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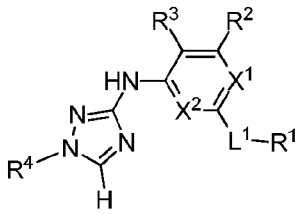
(54) Title: TRIAZOLES FOR THE TREATMENT OF DEMYELINATING DISEASES



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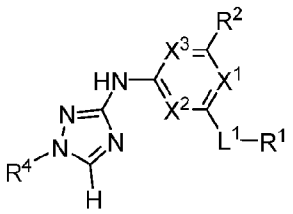

(I')

(57) Abstract: The invention relates to triazole compounds of formula I and I' or pharmaceutically acceptable salts thereof, useful as modulators of demyelinating diseases: The invention also provides pharmaceutically acceptable compositions comprising the compounds of the invention, methods of using the compositions and kits thereof in the treatment of various demyelinating and neurodegenerative diseases, including multiple sclerosis.

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TRIAZOLES FOR THE TREATMENT OF DEMYELINATING DISEASES

BACKGROUND OF THE INVENTION

[0001] Multiple sclerosis (MS) is an inflammatory neurodegenerative disease of the central nervous system, characterized by myelin loss and degeneration of axons (see, Blakemore, et al., *J. of Neuroimmunology*, 98, 69-76, 1999). Activation and CNS infiltration of the peripheral immune system is typical in early stages of the disease, but can become less prevalent as disease progresses.

[0002] A hallmark of MS is loss of myelin, accompanied by the death of associated oligodendrocytes (see, Merrill, J.E. et al., *Neuropathology and Applied Neurobiology*, 25, 435-458, 1999). Myelin, which is produced by oligodendrocytes, ensheathes axons and dramatically increases conduction velocity of neural impulses while providing trophic support to the neuron. Myelin is thought to regenerate early in disease, as oligodendroycte progenitor cells (OPCs) proliferate and generate new myelinating oligodendrocytes in response to demyelination events. As the disease progresses the regenerative capacity of the OPCs becomes less robust, and axons remain chronically demyelinated. Chronic demyelination is thought to underlie axon loss, as loss of trophic support combined with the metabolic stress of transmitting impulses along a demyelinated membrane can lead to a breakdown of axonal integrity and permanent damage to the demyelinated circuit. In addition, exposure of a demyelinated axon to an inflammatory milieu, including infiltrating immune cells and activated microglial cells, is also thought to produce permanent damage and axonal loss. Axon loss as a result of demyelination is thought to underlie long term disease progression and disability in MS patients (see, Compston, et al.,*The Lancet*, Vol. 359, 1221-1231, 2002 and D. Kremer et al, *Trends in Neurosciences*, Vol. 39, No. 4, 246-263, 2016).

[0003] The loss of remyelinating capacity in MS is not well understood, but is thought to involve a block in the differentiation capacity of OPCs, or the absence of a necessary signal present in the cell environment of the demyelinating lesion or in the demyelinated axons (see, R. Franklin et al., *Nature Reviews/Neuroscience*, Vol. 9, 839-855, 2008). The OPC cell population is prevalent in MS patients, but fails to generate new myelin in response to demyelination. Thus, a compound that can promote differentiation and myelination of OPCs should function to restore this regenerative capacity and blunt or reverse the degenerative effects of MS (see, Stangel, M. et

al., *Progress in Neurobiology*, 68, 361-376, 2002, Nalm, F. J. et al., *Nature* (Letter), published online 20 April 2015, doi:10.1038/nature14335). Such an agent could both increase the function of neurons and provide trophic support to enhance their survival (see, Mei, F. et al. *Nature Medicine*, Vol. 20, No. 8, 954-961, 2014).

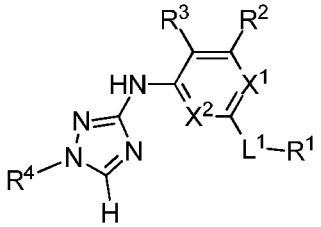
[0004] Leukodystrophies are degenerative white matter diseases characterized by dysmyelination or demyelination. Multiple genetic or metabolic disorders can lead to progressive white matter damage in pediatric or adult populations resulting in severe motor or cognitive deficits, mental retardation or death. A compound that can delay myelin damage or promote repair of demyelinated axons could significantly alter the course of leukodystrophies and improve their outcome. Such a compound could be also useful in combination with other therapies that can correct the disease-specific defect, metabolic, genetic or other, responsible for initiating or maintaining the disease in order to accelerate repair, restore function or prevent further damage.

[0005] Hypoxic-ischemic insults leading to reduced oxygenation and blood supply into the brain can cause severe damage to OPCs, and demyelination. Periventricular leukomalacia is a condition characterized by toxic death of OPCs in the periventricular region and leading to severe dysmyelination and demyelination. This pathology has been proposed as the root cause of cerebral palsy, a life-long debilitating CNS disorder characterized by various motor and/or cognitive deficits of variable intensity. A compound promoting differentiation of surviving OPCs and remyelination of damaged areas could be used for the treatment or prevention of cerebral palsy in vulnerable infant populations.

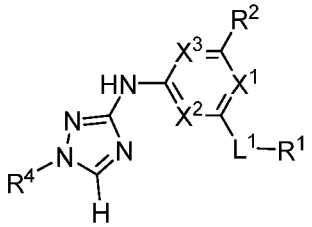
[0006] Current therapies for MS are immunomodulatory in nature and do not directly promote repair. In addition, some of these immunomodulatory agents can leave patients vulnerable to opportunistic infection or neoplasia. Thus, there remains a need for compounds, such as those of the present invention, that can promote differentiation and myelination of OPCs and lead to the repair of demyelinated axons. Such a compound could also be useful in combination with existing or experimental immunmodulating and other relevant therapies to treat MS and other neurological and demyelinating diseases.

SUMMARY OF THE INVENTION

[0007] The present invention provides compounds or a pharmaceutically acceptable salt thereof and the methods, compositions and kits disclosed herein for treating or lessening the severity of, in a subject, a disease or disorder selected from a demyelinating disease, central pontine myelinolysis, a nerve injury disease or disorder, a leukoencephalopathy or a leukodystrophy. These compounds have the general formula I and I':



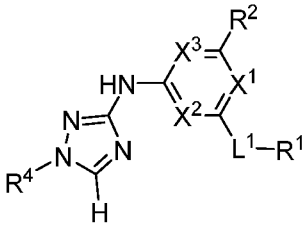
I



I'

or a pharmaceutically acceptable salt thereof.

[0008] In one aspect, the present invention provides compounds of formula (I')



(I')

[0009] or a pharmaceutically acceptable salt thereof, wherein:

[0010] X^1 is CH or N;

[0011] X^2 is CR^{X2} or N;

[0012] X^3 is CR^3 or N;

[0013] where R^3 and R^{X2} are each independently selected from the group consisting of hydrogen, halogen, C_{1-4}alkyl, C_{1-4}haloalkyl, –OC_{1-4}alkyl, and cyano;

[0014] provided that X^1, X^2, and X^3 are not simultaneously N, X^2 and X^3 are not simultaneously N, and X^1 and X^3 are not simultaneously N;

[0015] L^1 is a bond, –O–, –NR^5–, –NR^5–C_{1-4}alkylene–, –O–C_{1-4}alkylene–, –C_{1-4}alkylene–, or –C(O)–, wherein R^5 is hydrogen or C_{1-4}alkyl;

[0016] R^1 is –G^1–L^2–R^6, –G^1–L^2–R^7, G^2, G^3, G^4, G^5, G^6, or –≡–G^5;

[0017] G^1 is i) a 4- to 8-membered monocyclic heterocycle containing 1-2 heteroatoms independently selected from oxygen, nitrogen, and sulfur, the monocyclic heterocycle optionally containing one double bond and/or a C_{1-3}alkylene bridge between two non-adjacent ring atoms, G^1 being optionally substituted with 1-4 substituents independently selected from the group consisting of C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, hydroxyl, and oxo; or ii) a 3- to 8-membered cycloalkyl optionally substituted with 1-4 substituents independently selected from the group consisting of C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, hydroxyl, and oxo;

[0018] L^2 is a bond, a –C_{1-3}alkylene–, or –C(O)–;

[0019] R^6 is a) a 4- to 8-membered monocyclic heterocycle containing 1-2 heteroatoms independently selected from oxygen, nitrogen, and sulfur, the monocyclic heterocycle optionally containing one double bond and/or a C_{1-3}alkylene bridge between two non-adjacent ring atoms and being optionally substituted with 1-4 substituents independently selected from the group consisting of C_{1-4}alkyl, C_{1-4}haloalkyl, –$CH_2S(O)_2$phenyl, halogen, hydroxyl, and oxo; b) a 5- or 6-membered monocyclic heteroaryl containing 1-3 heteroatoms independently selected from nitrogen, oxygen, and sulfur, the monocyclic heteroaryl being optionally substituted with 1-3 substituents independently selected from the group consisting of C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, and hydroxyl; c) a 7- to 12-membered spiro heterocycle comprising a first ring and a second ring, the first ring being a 4- to 8-membered monocyclic heterocycle containing 1-2 heteroatoms independently selected from nitrogen and oxygen and being attached to L^2, the second ring being a C_{3-8}cycloalkyl or a 4- to 8-membered monocyclic heterocycle containing 1-2 oxygen atoms wherein two atoms of the second ring are attached to one carbon of the first ring to form a spirocycle optionally substituted with 1-4 substituents independently selected from the group consisting of C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, hydroxyl, and oxo; or d) a 7- to 12-membered fused bicyclic heterocycle containing 1-3 heteroatoms independently selected from oxygen, nitrogen, and sulfur and being optionally substituted with 1-4 substituents independently selected from the group consisting of C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, hydroxyl, and oxo;

[0020] R^7 is a) a 3- to 8-membered cycloalkyl optionally substituted with 1-4 substituents independently selected from the group consisting of C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, hydroxyl, –C(O)OC_{1-4}alkyl, –C(O)OH, and oxo; or b) phenyl optionally substituted with 1-4 substituents independently selected from the group consisting of C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, hydroxyl, –C(O)OC_{1-4}alkyl, and –C(O)OH;

[0021] G^2 is a 4- to 8-membered monocyclic heterocycle containing 1-3 heteroatoms independently selected from oxygen, nitrogen, and sulfur, the monocyclic heterocycle optionally containing one double bond and/or a C_{1-3}alkylene bridge between two non-adjacent ring atoms, G^2 being optionally substituted with 1-4 substituents independently selected from the group consisting of C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, hydroxyl, oxo, cyano, –C(O)C_{1-4}alkyl, –C(O)C_{3-6}cycloalkyl, –C(O)OC_{1-4}alkyl, –C(O)OC_{1-4}haloalkyl, –C(O)NH_2, –C(O)NH(C_{1-4}alkyl), –C(O)N(C_{1-4}alkyl)(C_{1-4}alkyl), –C(O)NH(–C_{1-6}alkylene–OC_{1-4}alkyl), –C(O)N(C_{1-4}alkyl)(–C_{1-6}alkylene–OC_{1-4}alkyl), –C(O)NH(–C_{1-6}alkylene–OH), –C(O)N(C_{1-4}alkyl)(–C_{1-6}alkylene–OH), –NH(–C_{1-6}alkylene–OC_{1-4}alkyl), –N(C_{1-4}alkyl)(–C_{1-6}alkylene–OC_{1-4}alkyl), –NH(–C_{1-6}alkylene–OH), –N(C_{1-4}alkyl)(–C_{1-6}alkylene–OH), –C(O)C_{1-4}haloalkyl, –OC_{1-4}alkyl, –C_{1-6}alkylene–OC_{1-4}alkyl, –C_{1-6}alkylene–OH, –C_{1-6}alkylene substituted by 2 groups independently selected from hydroxyl and –OC(O)C_{1-4}alkyl, –C_{1-6}alkylene–NH_2, –C_{1-6}alkylene–NH(C_{1-4}alkyl), –C_{1-6}alkylene–N(C_{1-4}alkyl)(C_{1-4}alkyl), –C_{1-4}alkylene–C(O)OC_{1-4}alkyl, –C_{1-4}alkylene–C(O)OH, –NHC(O)(C_{1-4}alkyl), –N(C_{1-4}alkyl)C(O)(C_{1-4}alkyl), –NH_2, –NH(C_{1-4}alkyl), and –N(C_{1-4}alkyl)(C_{1-4}alkyl);

[0022] G^3 is a 7- to 12-membered spiro heterocycle comprising a first ring and a second ring, the first ring being a 4- to 8-membered monocyclic heterocycle containing 1-2 heteroatoms independently selected from nitrogen and oxygen and being attached to L^1, the second ring being a C_{3-8}cycloalkyl or a 4- to 8-membered monocyclic heterocycle containing 1-2 oxygen atoms wherein two atoms of the second ring are attached to one carbon of the first ring to form a spirocycle, and wherein G^3 is optionally substituted with 1-4 substituents independently selected from the group consisting of C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, hydroxyl, and oxo;

[0023] G^4 is a 7- to 12-membered fused bicyclic heterocycle containing 1-3 heteroatoms independently selected from oxygen, nitrogen, and sulfur, G^4 being optionally substituted with 1-4 substituents independently selected from the group consisting of C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, hydroxyl, and oxo;

[0024] G^5 is 3- to 8-membered cycloalkyl optionally substituted with 1-4 substituents independently selected from the group consisting of C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, hydroxyl, oxo, cyano, –C(O)C_{1-4}alkyl, –C(O)C_{3-6}cycloalkyl, –C(O)OC_{1-4}alkyl, –C(O)OC_{1-4}haloalkyl, –C(O)NH_2, –C(O)NH(C_{1-4}alkyl), –C(O)N(C_{1-4}alkyl)(C_{1-4}alkyl), –C(O)NH(–C_{1-6}alkylene–OC_{1-4}alkyl), –C(O)N(C_{1-4}alkyl)(–C_{1-6}alkylene–OC_{1-4}alkyl), –C(O)NH(–C_{1-6}alkylene–OH), –

C(O)N(C_{1-4}alkyl)(–C_{1-6}alkylene–OH), –NH(–C_{1-6}alkylene–OC_{1-4}alkyl), –N(C_{1-4}alkyl)(–C_{1-6}alkylene–OC_{1-4}alkyl), –NH(–C_{1-6}alkylene–OH), –N(C_{1-4}alkyl)(–C_{1-6}alkylene–OH), –C(O)C_{1-4}haloalkyl, –OC_{1-4}alkyl, –C_{1-4}alkylene–OC_{1-4}alkyl, –C_{1-6}alkylene–OH, –C_{1-6}alkylene substituted by 2 groups independently selected from hydroxyl and –OC(O)C_{1-4}alkyl, –C_{1-4}alkylene–C(O)OC_{1-4}alkyl, –C_{1-4}alkylene–C(O)OH, –NHC(O)(C_{1-4}alkyl), –N(C_{1-4}alkyl)C(O)(C_{1-4}alkyl), –NH_2, –NH(C_{1-4}alkyl), and –N(C_{1-4}alkyl)(C_{1-4}alkyl);

[0025] G^6 is a monocyclic or bicyclic heteroaryl containing 1-4 heteroatoms independently selected from oxygen, nitrogen, and sulfur, G^6 being optionally substituted with 1-4 substituents independently selected from the group consisting of C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, hydroxyl, cyano, phenyl, –C(O)C_{1-4}alkyl, –C(O)C_{3-6}cycloalkyl, –C(O)OC_{1-4}alkyl, –C(O)OC_{1-4}haloalkyl, –C(O)NH_2, –C(O)NH(C_{1-4}alkyl), –C(O)N(C_{1-4}alkyl)(C_{1-4}alkyl), –C(O)NH(–C_{1-6}alkylene–OC_{1-4}alkyl), –C(O)N(C_{1-4}alkyl)(–C_{1-6}alkylene–OC_{1-4}alkyl), –C(O)NH(–C_{1-6}alkylene–OH), –C(O)N(C_{1-4}alkyl)(–C_{1-6}alkylene–OH), –NH(–C_{1-6}alkylene–OC_{1-4}alkyl), –N(C_{1-4}alkyl)(–C_{1-6}alkylene–OC_{1-4}alkyl), –NH(–C_{1-6}alkylene–OH), –N(C_{1-4}alkyl)(–C_{1-6}alkylene–OH), –C(O)C_{1-4}haloalkyl, –OC_{1-4}alkyl, –C_{1-4}alkylene–OC_{1-4}alkyl, –C_{1-6}alkylene–OH, –C_{1-6}alkylene substituted by 2 groups independently selected from hydroxyl and –OC(O)C_{1-4}alkyl, –C_{1-4}alkylene–C(O)OC_{1-4}alkyl, –C_{1-4}alkylene–C(O)OH, –NHC(O)(C_{1-4}alkyl), –N(C_{1-4}alkyl)C(O)(C_{1-4}alkyl), –NH_2, –NH(C_{1-4}alkyl), and –N(C_{1-4}alkyl)(C_{1-4}alkyl);

[0026] R^2 is C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, hydroxyl, cyano, –S(O)$_2$$C_{1-4}$alkyl, –S(O)$C_{1-4}$alkyl, –S$C_{1-4}$alkyl, –O$C_{1-4}$alkyl, –O$C_{1-4}$haloalkyl, –C(O)$C_{1-4}$alkyl, –C(O)O$C_{1-4}$alkyl, –C(O)$NH_2$, –C(O)NH($C_{1-4}$alkyl), –C(O)N($C_{1-4}$alkyl)($C_{1-4}$alkyl), –$C_{1-4}$alkylene–O$C_{1-4}$alkyl, –$C_{1-4}$alkylene–OH, or G^{10}, G^{10} being a C_{3-6}cycloalkyl, C_{5-6}cycloalkenyl, or a 4- to 8-membered monocyclic heterocycle containing 1 to 2 heteroatoms independently selected from nitrogen and oxygen and optionally containing 1 double bond, G^{10} being optionally substituted with 1-2 substituents independently selected from oxo, halogen, C_{1-4}alkyl, C_{1-4}haloalkyl, and G^{20}, G^{20} being a C_{3-6}cycloalkyl or a 4- to 8-membered monocyclic heterocycle containing 1 to 2 heteroatoms independently selected from nitrogen and oxygen, G^{20} being optionally substituted with 1-4 substituents independently selected from the group consisting of C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, hydroxyl, and oxo; and

[0027] R^4 is phenyl or a 6-membered heteroaryl containing 1-3 nitrogen atoms, R^4 being optionally substituted with 1-3 substituents independently selected from the group consisting of

halogen, hydroxyl, cyano, –$S(O)_2C_{1-4}$alkyl, –$S(O)C_{1-4}$alkyl, –SC_{1-4}alkyl, C_{1-4}alkyl, C_{1-4}haloalkyl, –OC_{1-4}alkyl, –OC_{1-4}haloalkyl, –C_{1-4}alkylene–OC_{1-4}alkyl, –C_{1-4}alkylene–N(C_{1-4}alkyl)(C_{1-4}alkyl), –NH(C_{1-4}alkylene–OC_{1-4}alkyl), –NH(C_{1-4}alkylene–OH), –N(C_{1-4}alkyl)(C_{1-4}alkylene–OC_{1-4}alkyl), –N(C_{1-4}alkyl)(C_{1-4}alkylene–OH), –NH_2, –NH(C_{1-4}alkyl), –N(C_{1-4}alkyl)(C_{1-4}alkyl), C_{3-6}cycloalkyl, C_{5-6}cycloalkenyl, or a 4- to 8-membered monocyclic heterocycle containing 1-2 nitrogen atoms, the C_{3-6}cycloalkyl, the C_{5-6}cycloalkenyl, and the 4- to 8-membered monocyclic heterocycle being independently optionally substituted with 1-2 substituents independently selected from the group consisting of halogen, hydroxyl, –OC_{1-4}alkyl, C_{1-4}alkyl, C_{1-4}haloalkyl, –C_{1-4}alkylene–OC_{1-4}alkyl, and –C_{1-4}alkylene–OH.

[0028] In another aspect, the present invention provides compounds of formula (I'), or a pharmaceutically acceptable salt thereof, wherein:

[0029] X^1 is CH or N;

[0030] X^2 is CR^{X2} or N;

[0031] X^3 is CR^3 or N;

[0032] where R^3 and R^{X2} are each independently selected from the group consisting of hydrogen, halogen, C_{1-4}alkyl, C_{1-4}haloalkyl, –OC_{1-4}alkyl, and cyano;

[0033] provided that X^1, X^2, and X^3 are not simultaneously N, X^2 and X^3 are not simultaneously N, and X^1 and X^3 are not simultaneously N;

[0034] L^1 is a bond, –O–, –NR^5–, –NR^5–C_{1-4}alkylene–, –O–C_{1-4}alkylene–, –C_{1-4}alkylene–, –C(O)–, –NR^5C(O)–, –OC(O)–, –NR^5C(O)NR^5–, –NR^5C(O)O–, –NR^5–C_{1-4}alkylene–C(O)–, –O–C_{1-4}alkylene–C(O)–, –C_{1-4}alkylene–C(O)–, –NR^5C(O)–C_{1-4}alkylene–, –OC(O)–C_{1-4}alkylene–, –NR^5C(O)NR^5–C_{1-4}alkylene–, –NR^5C(O)O–C_{1-4}alkylene–, or –NR^5–C_{1-4}alkylene–O–, wherein each R^5 is independently hydrogen or C_{1-4}alkyl, and the C_{1-4}alkylene of –NR^5–C_{1-4}alkylene–, –O–C_{1-4}alkylene–, –C_{1-4}alkylene–, NR^5–C_{1-4}alkylene–C(O)–, –O–C_{1-4}alkylene–C(O)–, –C_{1-4}alkylene–C(O)–, –NR^5C(O)–C_{1-4}alkylene–, –OC(O)–C_{1-4}alkylene–, –NR^5C(O)NR^5–C_{1-4}alkylene–, –NR^5C(O)O–C_{1-4}alkylene–, or –NR^5–C_{1-4}alkylene–O– is optionally substituted with 1-6 halogens;

[0035] R^1 is –G^1–L^2–R^6, –G^1–L^2–R^7, G^2, G^3, G^4, G^5, G^6, G^7, or –≡–G^5;

[0036] G^1 is i) a 4- to 8-membered monocyclic heterocycle containing 1-2 heteroatoms independently selected from oxygen, nitrogen, and sulfur, the monocyclic heterocycle optionally containing one double bond and/or a C_{1-3}alkylene bridge between two non-adjacent ring atoms,

G^1 being optionally substituted with 1-4 substituents independently selected from the group consisting of C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, hydroxyl, and oxo; or ii) a 3- to 8-membered cycloalkyl optionally substituted with 1-4 substituents independently selected from the group consisting of C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, hydroxyl, and oxo;

[0037] L^2 is a bond, a –C_{1-6}alkylene–, –C(O)–, –O–, or –$NR^{5'}$–, wherein the –C_{1-6}alkylene– is optionally substituted with 1-6 halogens and 1-2 C_1alkylene units of the –C_{1-6}alkylene– are optionally replaced with –C(O)–, –O–, or –$NR^{5'}$–, wherein each $R^{5'}$ is independently hydrogen or C_{1-4}alkyl;

[0038] R^6 is a) a 4- to 8-membered monocyclic heterocycle containing 1-2 heteroatoms independently selected from oxygen, nitrogen, and sulfur, the monocyclic heterocycle optionally containing one double bond and/or a C_{1-3}alkylene bridge between two non-adjacent ring atoms and being optionally substituted with 1-4 substituents independently selected from the group consisting of C_{1-4}alkyl, C_{1-4}haloalkyl, –$CH_2S(O)_2$phenyl, halogen, hydroxyl, oxo, –OC_{1-4}alkyl, –C_{1-6}alkylene–OC_{1-4}alkyl, and –C_{1-6}alkylene–OH; b) a 5- or 6-membered monocyclic heteroaryl containing 1-3 heteroatoms independently selected from nitrogen, oxygen, and sulfur, the monocyclic heteroaryl being optionally substituted with 1-3 substituents independently selected from the group consisting of C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, hydroxyl, –OC_{1-4}alkyl, –C_{1-6}alkylene–OC_{1-4}alkyl, and –C_{1-6}alkylene–OH; c) a 7- to 12-membered spiro heterocycle comprising a first ring and a second ring, the first ring being a 4- to 8-membered monocyclic heterocycle containing 1-2 heteroatoms independently selected from nitrogen and oxygen and being attached to L^2, the second ring being a C_{3-8}cycloalkyl or a 4- to 8-membered monocyclic heterocycle containing 1-2 oxygen atoms wherein two atoms of the second ring are attached to one carbon of the first ring to form a spirocycle optionally substituted with 1-4 substituents independently selected from the group consisting of C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, hydroxyl, oxo, –OC_{1-4}alkyl, –C_{1-6}alkylene–OC_{1-4}alkyl, and –C_{1-6}alkylene–OH; or d) a 7- to 12-membered fused bicyclic heterocycle containing 1-3 heteroatoms independently selected from oxygen, nitrogen, and sulfur and being optionally substituted with 1-4 substituents independently selected from the group consisting of C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, hydroxyl, oxo, –OC_{1-4}alkyl, –C_{1-6}alkylene–OC_{1-4}alkyl, and –C_{1-6}alkylene–OH;

[0039] R^7 is a) a 3- to 8-membered cycloalkyl optionally substituted with 1-4 substituents independently selected from the group consisting of C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, hydroxyl,

–C(O)OC$_{1-4}$alkyl, –C(O)OH, oxo, –OC$_{1-4}$alkyl, –C$_{1-6}$alkylene–OC$_{1-4}$alkyl, and –C$_{1-6}$alkylene–OH; or b) phenyl optionally substituted with 1-4 substituents independently selected from the group consisting of C$_{1-4}$alkyl, C$_{1-4}$haloalkyl, halogen, hydroxyl, –C(O)OC$_{1-4}$alkyl, –C(O)OH, –OC$_{1-4}$alkyl, –C$_{1-6}$alkylene–OC$_{1-4}$alkyl, and –C$_{1-6}$alkylene–OH;

[0040] G^2 is a 4- to 8-membered monocyclic heterocycle containing 1-3 heteroatoms independently selected from oxygen, nitrogen, and sulfur, the monocyclic heterocycle optionally containing one double bond and/or a C$_{1-3}$alkylene bridge between two non-adjacent ring atoms, G^2 being optionally substituted with 1-4 substituents independently selected from the group consisting of C$_{1-4}$alkyl, C$_{1-4}$haloalkyl, halogen, hydroxyl, oxo, cyano, –C$_{1-6}$alkylene–cyano, –C(O)C$_{1-4}$alkyl, –C(O)–C$_{1-6}$alkylene–OC$_{1-4}$alkyl, –C(O)–C$_{1-6}$alkylene–OH, –C(O)C$_{3-6}$cycloalkyl, –C(O)OC$_{1-4}$alkyl, –C(O)OC$_{1-4}$haloalkyl, –C(O)NH$_2$, –C(O)NH(C$_{1-4}$alkyl), –C(O)N(C$_{1-4}$alkyl)(C$_{1-4}$alkyl), –C(O)NH(–C$_{1-6}$alkylene–OC$_{1-4}$alkyl), –C(O)N(C$_{1-4}$alkyl)(–C$_{1-6}$alkylene–OC$_{1-4}$alkyl), –C(O)NH(–C$_{1-6}$alkylene–OH), –C(O)N(C$_{1-4}$alkyl)(–C$_{1-6}$alkylene–OH), –NH(–C$_{1-6}$alkylene–OC$_{1-4}$alkyl), –N(C$_{1-4}$alkyl)(–C$_{1-6}$alkylene–OC$_{1-4}$alkyl), –NH(–C$_{1-6}$alkylene–OH), –N(C$_{1-4}$alkyl)(–C$_{1-6}$alkylene–OH), –C(O)C$_{1-4}$haloalkyl, –OC$_{1-4}$alkyl, –OC$_{1-4}$haloalkyl, –C$_{1-6}$alkylene–OC$_{1-4}$alkyl, –C$_{1-6}$alkylene–OH, –C$_{1-6}$alkylene–NH$_2$, –C$_{1-6}$alkylene–NH(C$_{1-4}$alkyl), –C$_{1-6}$alkylene–N(C$_{1-4}$alkyl)(C$_{1-4}$alkyl), –O–C$_{1-6}$alkylene–NH$_2$, –O–C$_{1-6}$alkylene–NH(C$_{1-4}$alkyl), –O–C$_{1-6}$alkylene–N(C$_{1-4}$alkyl)(C$_{1-4}$alkyl), –O–C$_{1-6}$alkylene–OC$_{1-4}$alkyl, –O–C$_{1-6}$alkylene–OH, –C$_{1-4}$alkylene–O–C$_{1-4}$alkylene–OC$_{1-4}$alkyl, –C$_{1-4}$alkylene–O–C$_{1-4}$alkylene–OH, –C$_{1-4}$alkylene–C(O)OC$_{1-4}$alkyl, –C$_{1-4}$alkylene–C(O)OH, –NHC(O)(C$_{1-4}$alkyl), –N(C$_{1-4}$alkyl)C(O)(C$_{1-4}$alkyl), –NH$_2$, –NH(C$_{1-4}$alkyl), –N(C$_{1-4}$alkyl)(C$_{1-4}$alkyl), –S(O)$_{1-2}$C$_{1-4}$alkyl, –C$_{1-6}$alkylene–S(O)$_{1-2}$C$_{1-4}$alkyl, and a –C$_{1-6}$alkylene substituted by 2 groups independently selected from hydroxyl, –OC(O)C$_{1-4}$alkyl, –OC$_{1-4}$alkyl, –NH$_2$, –NH(C$_{1-4}$alkyl), and –N(C$_{1-4}$alkyl)(C$_{1-4}$alkyl);

[0041] G^3 is a 7- to 12-membered spiro heterocycle comprising a first ring and a second ring, the first ring being a 4- to 8-membered monocyclic heterocycle containing 1-2 heteroatoms independently selected from nitrogen and oxygen and being attached to L^1, the second ring being a C$_{3-8}$cycloalkyl or a 4- to 8-membered monocyclic heterocycle containing 1-2 oxygen atoms wherein two atoms of the second ring are attached to one carbon of the first ring to form a spirocycle, and wherein G^3 is optionally substituted with 1-4 substituents independently selected from the group consisting of C$_{1-4}$alkyl, C$_{1-4}$haloalkyl, halogen, hydroxyl, and oxo;

[0042] G^4 is a 7- to 12-membered fused bicyclic heterocycle containing 1-3 heteroatoms independently selected from oxygen, nitrogen, and sulfur, G^4 being optionally substituted with 1-4 substituents independently selected from the group consisting of C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, hydroxyl, and oxo;

[0043] G^5 is 3- to 8-membered cycloalkyl optionally substituted with 1-4 substituents independently selected from the group consisting of C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, hydroxyl, oxo, cyano, –C(O)C_{1-4}alkyl, –C(O)C_{3-6}cycloalkyl, –C(O)OC_{1-4}alkyl, –C(O)OC_{1-4}haloalkyl, –C(O)NH_2, –C(O)NH(C_{1-4}alkyl), –C(O)N(C_{1-4}alkyl)(C_{1-4}alkyl), –C(O)NH(–C_{1-6}alkylene–OC_{1-4}alkyl), –C(O)N(C_{1-4}alkyl)(–C_{1-6}alkylene–OC_{1-4}alkyl), –C(O)NH(–C_{1-6}alkylene–OH), –C(O)N(C_{1-4}alkyl)(–C_{1-6}alkylene–OH), –NH(–C_{1-6}alkylene–OC_{1-4}alkyl), –N(C_{1-4}alkyl)(–C_{1-6}alkylene–OC_{1-4}alkyl), –NH(–C_{1-6}alkylene–OH), –N(C_{1-4}alkyl)(–C_{1-6}alkylene–OH), –C(O)C_{1-4}haloalkyl, –OC_{1-4}alkyl, –C_{1-4}alkylene–OC_{1-4}alkyl, –C_{1-6}alkylene–OH, –C_{1-6}alkylene substituted by 2 groups independently selected from hydroxyl and –OC(O)C_{1-4}alkyl, –C_{1-4}alkylene–C(O)OC_{1-4}alkyl, –C_{1-4}alkylene–C(O)OH, –NHC(O)(C_{1-4}alkyl), –N(C_{1-4}alkyl)C(O)(C_{1-4}alkyl), –NH_2, –NH(C_{1-4}alkyl), and –N(C_{1-4}alkyl)(C_{1-4}alkyl);

[0044] G^6 is a monocyclic or bicyclic heteroaryl containing 1-4 heteroatoms independently selected from oxygen, nitrogen, and sulfur, G^6 being optionally substituted with 1-4 substituents independently selected from the group consisting of C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, hydroxyl, cyano, phenyl, –C(O)C_{1-4}alkyl, –C(O)C_{3-6}cycloalkyl, –C(O)OC_{1-4}alkyl, –C(O)OC_{1-4}haloalkyl, –C(O)NH_2, –C(O)NH(C_{1-4}alkyl), –C(O)N(C_{1-4}alkyl)(C_{1-4}alkyl), –C(O)NH(–C_{1-6}alkylene–OC_{1-4}alkyl), –C(O)N(C_{1-4}alkyl)(–C_{1-6}alkylene–OC_{1-4}alkyl), –C(O)NH(–C_{1-6}alkylene–OH), –C(O)N(C_{1-4}alkyl)(–C_{1-6}alkylene–OH), –NH(–C_{1-6}alkylene–OC_{1-4}alkyl), –N(C_{1-4}alkyl)(–C_{1-6}alkylene–OC_{1-4}alkyl), –NH(–C_{1-6}alkylene–OH), –N(C_{1-4}alkyl)(–C_{1-6}alkylene–OH), –C(O)C_{1-4}haloalkyl, –OC_{1-4}alkyl, –C_{1-4}alkylene–OC_{1-4}alkyl, –C_{1-6}alkylene–OH, –C_{1-6}alkylene substituted by 2 groups independently selected from hydroxyl and –OC(O)C_{1-4}alkyl, –C_{1-4}alkylene–C(O)OC_{1-4}alkyl, –C_{1-4}alkylene–C(O)OH, –NHC(O)(C_{1-4}alkyl), –N(C_{1-4}alkyl)C(O)(C_{1-4}alkyl), –NH_2, –NH(C_{1-4}alkyl), and –N(C_{1-4}alkyl)(C_{1-4}alkyl);

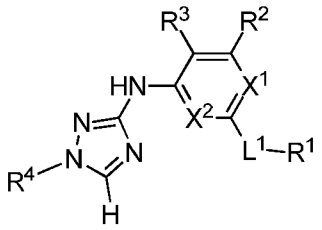
[0045] G^7 is aryl optionally substituted with 1-4 substituents independently selected from the group consisting of C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, hydroxyl, cyano, phenyl, –C(O)C_{1-4}alkyl, –C(O)C_{3-6}cycloalkyl, –C(O)OC_{1-4}alkyl, –C(O)OC_{1-4}haloalkyl, –C(O)NH_2, –C(O)NH(C_{1-4}alkyl), –C(O)N(C_{1-4}alkyl)(C_{1-4}alkyl), –C(O)NH(–C_{1-6}alkylene–OC_{1-4}alkyl), –C(O)N(C_{1-4}alkyl)(–C_{1-}

$_6$alkylene–OC$_{1-4}$alkyl), –C(O)NH(–C$_{1-6}$alkylene–OH), –C(O)N(C$_{1-4}$alkyl)(–C$_{1-6}$alkylene–OH), –NH(–C$_{1-6}$alkylene–OC$_{1-4}$alkyl), –N(C$_{1-4}$alkyl)(–C$_{1-6}$alkylene–OC$_{1-4}$alkyl), –NH(–C$_{1-6}$alkylene–OH), –N(C$_{1-4}$alkyl)(–C$_{1-6}$alkylene–OH), –C(O)C$_{1-4}$haloalkyl, –OC$_{1-4}$alkyl, –C$_{1-4}$alkylene–OC$_{1-4}$alkyl, –C$_{1-6}$alkylene–OH, –C$_{1-6}$alkylene substituted by 2 groups independently selected from hydroxyl and –OC(O)C$_{1-4}$alkyl, –C$_{1-4}$alkylene–C(O)OC$_{1-4}$alkyl, –C$_{1-4}$alkylene–C(O)OH, –NHC(O)(C$_{1-4}$alkyl), –N(C$_{1-4}$alkyl)C(O)(C$_{1-4}$alkyl), –NH$_2$, –NH(C$_{1-4}$alkyl), and –N(C$_{1-4}$alkyl)(C$_{1-4}$alkyl);

[0046] R^2 is C$_{1-4}$alkyl, C$_{1-4}$haloalkyl, halogen, hydroxyl, cyano, –S(O)$_2$C$_{1-4}$alkyl, –S(O)C$_{1-4}$alkyl, –SC$_{1-4}$alkyl, –OC$_{1-4}$alkyl, –OC$_{1-4}$haloalkyl, –C(O)C$_{1-4}$alkyl, –C(O)OC$_{1-4}$alkyl, –C(O)NH$_2$, –C(O)NH(C$_{1-4}$alkyl), –C(O)N(C$_{1-4}$alkyl)(C$_{1-4}$alkyl), –C$_{1-4}$alkylene–OC$_{1-4}$alkyl, –C$_{1-4}$alkylene–OH, or G^{10}, G^{10} being a C$_{3-6}$cycloalkyl, C$_{5-6}$cycloalkenyl, or a 4- to 8-membered monocyclic heterocycle containing 1 to 2 heteroatoms independently selected from nitrogen and oxygen and optionally containing 1 double bond, G^{10} being optionally substituted with 1-2 substituents independently selected from oxo, halogen, C$_{1-4}$alkyl, C$_{1-4}$haloalkyl, and G^{20}, G^{20} being a C$_{3-6}$cycloalkyl or a 4- to 8-membered monocyclic heterocycle containing 1 to 2 heteroatoms independently selected from nitrogen and oxygen, G^{20} being optionally substituted with 1-4 substituents independently selected from the group consisting of C$_{1-4}$alkyl, C$_{1-4}$haloalkyl, halogen, hydroxyl, and oxo; and

[0047] R^4 is phenyl or a 6-membered heteroaryl containing 1-3 nitrogen atoms, R^4 being optionally substituted with 1-3 substituents independently selected from the group consisting of halogen, hydroxyl, cyano, –S(O)$_2$C$_{1-4}$alkyl, –S(O)C$_{1-4}$alkyl, –SC$_{1-4}$alkyl, C$_{1-4}$alkyl, C$_{1-4}$haloalkyl, –OC$_{1-4}$alkyl, –OC$_{1-4}$haloalkyl, –C$_{1-4}$alkylene–OC$_{1-4}$alkyl, –C$_{1-4}$alkylene–N(C$_{1-4}$alkyl)(C$_{1-4}$alkyl), –NH(C$_{1-4}$alkylene–OC$_{1-4}$alkyl), –NH(C$_{1-4}$alkylene–OH), –N(C$_{1-4}$alkyl)(C$_{1-4}$alkylene–OC$_{1-4}$alkyl), –N(C$_{1-4}$alkyl)(C$_{1-4}$alkylene–OH), –NH$_2$, –NH(C$_{1-4}$alkyl), –N(C$_{1-4}$alkyl)(C$_{1-4}$alkyl), C$_{3-6}$cycloalkyl, C$_{5-6}$cycloalkenyl, or a 4- to 8-membered monocyclic heterocycle containing 1-2 nitrogen atoms, the C$_{3-6}$cycloalkyl, the C$_{5-6}$cycloalkenyl, and the 4- to 8-membered monocyclic heterocycle being independently optionally substituted with 1-2 substituents independently selected from the group consisting of halogen, hydroxyl, –OC$_{1-4}$alkyl, C$_{1-4}$alkyl, C$_{1-4}$haloalkyl, –C$_{1-4}$alkylene–OC$_{1-4}$alkyl, and –C$_{1-4}$alkylene–OH.

[0048] In another aspect, the present invention provides compounds of formula (I)



(I)

[0049] or a pharmaceutically acceptable salt thereof, wherein:

[0050] X^1 and X^2 are independently CH or N, provided that both X^1 and X^2 are not simultaneously N;

[0051] L^1 is a bond, –O–,–NR^5–, or –NR^5–C_{1-4}alkylene–, wherein R^5 is hydrogen or C_{1-4}alkyl;

[0052] R^1 is –G^1–L^2–R^6, –G^1–L^2–R^7, G^2, G^3, G^4 or G^5;

[0053] G^1 is a 4- to 8-membered monocyclic heterocycle containing 1 or 2 nitrogen atoms, the monocyclic heterocycle optionally containing one double bond and/or a C_{1-3}alkylene bridge between two non-adjacent ring atoms, G^1 being optionally substituted with 1-4 substituents independently selected from the group consisting of C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, hydroxyl, and oxo;

[0054] L^2 is a bond or a –C_{1-3}alkylene–;

[0055] R^6 is: a) a 4- to 8-membered monocyclic heterocycle containing 1-2 heteroatoms independently selected from oxygen, nitrogen, and sulfur, the monocyclic heterocycle being optionally substituted with 1-4 substituents independently selected from the group consisting of C_{1-4}alkyl, C_{1-4}haloalkyl, –$CH_2S(O)_2$phenyl, halogen, hydroxyl, and oxo; or b) a 5- or 6-membered monocyclic heteroaryl containing 1-3 heteroatoms independently selected from nitrogen, oxygen, and sulfur, the monocyclic heteroaryl being optionally substituted with 1-3 substituents independently selected from C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, and hydroxyl;

[0056] R^7 is: a) a 3- to 8-membered cycloalkyl optionally substituted with 1-4 substituents independently selected from the group consisting of C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, hydroxyl, –C(O)OC_{1-4}alkyl, –C(O)OH, and oxo; or b) phenyl optionally substituted with 1-4 substituents independently selected from the group consisting of C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, hydroxyl, –C(O)OC_{1-4}alkyl, and –C(O)OH;

[0057] G^2 is a 4- to 8-membered monocyclic heterocycle containing 1-3 heteroatoms independently selected from oxygen, nitrogen, and sulfur, the monocyclic heterocycle optionally containing one double bond and/or a C_{1-3}alkylene bridge between two non-adjacent ring atoms, G^2 being optionally substituted with 1-4 substituents independently selected from the group consisting of C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, hydroxyl, oxo, cyano, –C(O)C_{1-4}alkyl, –C(O)C_{3-6}cycloalkyl, –C(O)OC_{1-4}alkyl, –C(O)OC_{1-4}haloalkyl, –C(O)NH_2, –C(O)NH(C_{1-4}alkyl), and –C(O)N(C_{1-4}alkyl)(C_{1-4}alkyl), –C(O)C_{1-4}haloalkyl, –OC_{1-4}alkyl, –C_{1-4}alkylene–OC_{1-4}alkyl, –C_{1-6}alkylene–OH, –C_{1-6}alkylene substituted by 2 groups independently selected from hydroxyl and –OC(O)C_{1-4}alkyl, –C_{1-4}alkylene–C(O)OC_{1-4}alkyl, –C_{1-4}alkylene–C(O)OH, –NHC(O)(C_{1-4}alkyl), –N(C_{1-4}alkyl)C(O)(C_{1-4}alkyl), –NH_2, –NH(C_{1-4}alkyl), and –N(C_{1-4}alkyl)(C_{1-4}alkyl);

[0058] G^3 is a 7- to 12-membered spiro heterocycle comprising a first ring and a second ring, the first ring being a 4- to 8-membered monocyclic heterocycle containing 1-2 heteroatoms independently selected from nitrogen and oxygen and being attached to L^1, the second ring being a C_{3-8}cycloalkyl or a 4- to 8-membered monocyclic heterocycle containing 1-2 oxygen atoms wherein two atoms of the second ring are attached to one carbon of the first ring to form a spirocycle, and wherein G^3 is optionally substituted with 1-4 substituents independently selected from the group consisting of C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, and oxo;

[0059] G^4 is a 7- to 12-membered fused bicyclic heterocycle containing 1-3 heteroatoms independently selected from oxygen, nitrogen, and sulfur, G^4 being optionally substituted with 1-4 substituents independently selected from the group consisting of C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, and oxo;

[0060] G^5 is 3- to 8-membered cycloalkyl optionally substituted with 1-4 substituents independently selected from the group consisting of C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, hydroxyl, oxo, cyano, –C(O)C_{1-4}alkyl, –C(O)C_{3-6}cycloalkyl, –C(O)OC_{1-4}alkyl, –C(O)OC_{1-4}haloalkyl, –C(O)C_{1-4}haloalkyl, –OC_{1-4}alkyl, –C_{1-4}alkylene–OC_{1-4}alkyl, –C_{1-6}alkylene–OH, –C_{1-6}alkylene substituted by 2 groups independently selected from hydroxyl and –OC(O)C_{1-4}alkyl, –C_{1-4}alkylene–C(O)OC_{1-4}alkyl, –C_{1-4}alkylene–C(O)OH, –NHC(O)(C_{1-4}alkyl), –N(C_{1-4}alkyl)C(O)(C_{1-4}alkyl), –NH_2, –NH(C_{1-4}alkyl), and –N(C_{1-4}alkyl)(C_{1-4}alkyl);

[0061] R^2 is C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, cyano, –S(O)$_2$$C_{1-4}$alkyl, –S(O)$C_{1-4}$alkyl, –S$C_{1-4}$alkyl, –O$C_{1-4}$alkyl, –O$C_{1-4}$haloalkyl, –C(O)$C_{1-4}$alkyl, C_{3-6}cycloalkyl, C_{5-6}cycloalkenyl, or a 4- to 8-membered monocyclic heterocycle containing 1 to 2 heteroatoms independently selected from

nitrogen and oxygen and optionally containing 1 double bond, the C_{3-6}cycloalkyl, the C_{5-6}cycloalkenyl, and the 4- to 8-membered monocyclic heterocycle being optionally substituted with 1-2 substituents independently selected from oxo, halogen, C_{1-4}alkyl C_{1-4}haloalkyl, C_{3-6}cycloalkyl, and a 4- to 8-membered monocyclic heterocycle containing 1 to 2 heteroatoms independently selected from nitrogen and oxygen;

[0062] R^3 is hydrogen, halogen, C_{1-4}alkyl, C_{1-4}haloalkyl, –OC_{1-4}alkyl, or cyano; and

[0063] R^4 is phenyl or a 6-membered heteroaryl containing 1-3 nitrogen atoms, R^4 being optionally substituted with 1-3 substituents independently selected from the group consisting of halogen, hydroxyl, cyano, –$S(O)_2C_{1-4}$alkyl, –$S(O)C_{1-4}$alkyl, –SC_{1-4}alkyl, C_{1-4}alkyl, C_{1-4}haloalkyl, –OC_{1-4}alkyl, –OC_{1-4}haloalkyl, –C_{1-4}alkylene–OC_{1-4}alkyl, –C_{1-4}alkylene–$N(C_{1-4}alkyl)(C_{1-4}alkyl)$, –$N(C_{1-4}alkyl)(C_{1-4}alkylene–OC_{1-4}alkyl)$, C_{3-6}cycloalkyl, C_{5-6}cycloalkenyl, or a 4- to 8-membered monocyclic heterocycle containing 1-2 nitrogen atoms, the C_{3-6}cycloalkyl, the C_{5-6}cycloalkenyl, and the 4- to 8-membered monocyclic heterocycle being independently optionally substituted with 1-2 substituents independently selected from the group consisting of halogen, hydroxyl, –OC_{1-4}alkyl, C_{1-4}alkyl, C_{1-4}haloalkyl, –C_{1-4}alkylene–OC_{1-4}alkyl, and –C_{1-4}alkylene–OH.

[0064] Another aspect of the present invention provides pharmaceutical compositions comprising a pharmaceutically acceptable carrier and therapeutically effective amounts of a compound of formula (I), or a pharmaceutically acceptable salt thereof.

[0065] In another aspect, the invention provides compounds of formula (I), or a pharmaceutically acceptable salt thereof, which promote remyelination of demyelinated axons.

[0066] In another aspect, the invention provides compounds of formula (I), or a pharmaceutically acceptable salt thereof, which differentiate endogenous oligodendrocyte precursor cells.

[0067] In another aspect, the invention provides methods of treating multiple sclerosis by administering to a patient in need thereof a therapeutically effective amount of a compound or composition of formula (I), or a pharmaceutically acceptable salt thereof.

[0068] In another aspect, the present invention provides a method of treating, preventing or ameliorating one or more symptoms of a subject with multiple sclerosis or another neurological disease.

[0069] In another aspect, the invention provides the use of a compound of formula (I), or a pharmaceutically acceptable salt thereof, for the manufacture of a medicament for the treatment

of multiple sclerosis, the promotion of remyelination of demyelinated axons, or the differentiation of endogenous oligodendrocyte precursor cells.

[0070] In another aspect, the invention provides compounds of formula (I), or a pharmaceutically acceptable salt thereof, for use in treating multiple sclerosis, promoting remyelination of demyelinated axons, or differentiating endogenous oligodendrocyte precursor cells.

[0071] In another aspect, the invention provides compounds of formula (I), or a pharmaceutically acceptable salt thereof for treating or lessening the severity of, in a subject, a disease or disorder selected from a demyelinating disease, central pontine myelinolysis, a nerve injury disease or disorder, a leukoencephalopathy or a leukodystrophyin.

[0072] In another aspect, the invention provides compounds of formula (I), or a pharmaceutically acceptable salt thereof

[0073] In another aspect, the invention provides compounds of formula (I), or a pharmaceutically acceptable salt thereof can be employed in combination therapies, that is, the compounds and pharmaceutically acceptable compositions can be administered concurrently with, prior to, or subsequent to, one or more other desired therapeutics or medical procedures.

[0074] The present invention also features kits comprising compounds of formula I or I'.

DETAILED DESCRIPTION OF THE INVENTION

[0075] 1. Definitions

[0076] For purposes of this invention, the chemical elements are identified in accordance with the Periodic Table of the Elements, CAS version, Handbook of Chemistry and Physics, 75th Ed. Additionally, general principles of organic chemistry are described in "Organic Chemistry," Thomas Sorrell, University Science Books, Sausalito: 1999, and "March's Advanced Organic Chemistry," 5th Ed., Ed.: Smith, M.B. and March, J., John Wiley & Sons, New York: 2001, the entire contents of which are hereby incorporated by reference.

[0077] As described herein, compounds of the invention can optionally be substituted with one or more substituents, such as are illustrated generally above, or as exemplified by particular classes, subclasses, and species of the invention. As described herein, the variables in formula I or I' encompass specific groups, such as, for example, alkyl and cycloalkyl. As one of ordinary skill in the art will recognize, combinations of substituents envisioned by this invention are those

combinations that result in the formation of stable or chemically feasible compounds. The term "stable," as used herein, refers to compounds that are not substantially altered when subjected to conditions to allow for their production, detection, and preferably their recovery, purification, and use for one or more of the purposes disclosed herein. In some embodiments, a stable compound or chemically feasible compound is one that is not substantially altered when kept at a temperature of 40°C or less, in the absence of moisture or other chemically reactive conditions, for at least a week.

[0078] The phrase "optionally substituted" may be used interchangeably with the phrase "substituted or unsubstituted." In general, the term "substituted," whether preceded by the term "optionally" or not, refers to the replacement of hydrogen radicals in a given structure with the radical of a specified substituent. Specific substituents are described above in the definitions and below in the description of compounds and examples thereof. Unless otherwise indicated, an optionally substituted group can have a substituent at each substitutable position of the group, and when more than one position in any given structure can be substituted with more than one substituent selected from a specified group, the substituent can be either the same or different at every position. A ring substituent, such as a heterocycloalkyl, can be bound to another ring, such as a cycloalkyl, to form a spiro-bicyclic ring system, e.g., both rings share one common atom. As one of ordinary skill in the art will recognize, combinations of substituents envisioned by this invention are those combinations that result in the formation of stable or chemically feasible compounds.

[0079] The compounds of the invention are defined according to the terms in the claims and the embodiments. The following definitions are provided as a general guide to understanding the claims and embodiments and are applicable where specific definitions are absent.

[0080] The term "alkyl" as used herein, means a straight or branched chain saturated hydrocarbon. Representative examples of alkyl include, but are not limited to, methyl, ethyl, n-propyl, isopropyl, n-butyl, sec-butyl, isobutyl, tert-butyl, n-pentyl, isopentyl, neopentyl, n-hexyl, 3-methylhexyl, 2,2-dimethylpentyl, 2,3-dimethylpentyl, n-heptyl, n-octyl, n-nonyl, and n-decyl.

[0081] The term "alkylene," as used herein, means a divalent group derived from a straight or branched chain saturated hydrocarbon. Representative examples of alkylene include, but are

not limited to, $-CH_2-$, $-CH_2CH_2-$, $-CH_2CH_2CH_2-$, $-CH_2CH(CH_3)CH_2-$, and $-CH_2CH(CH_3)CH(CH_3)CH_2-$.

[0082] The term "alkoxy" as used herein, means an alkyl group, as defined herein, appended to the parent molecular moiety through an oxygen atom. Representative examples of alkoxy include, but are not limited to, methoxy, ethoxy, propoxy, isopropoxy, butoxy, isobutoxy, tert-butoxy, pentyloxy, and hexyloxy.

[0083] The term "aryl," as used herein, means phenyl or a bicyclic aryl. The bicyclic aryl is naphthyl, dihydronaphthalenyl, tetrahydronaphthalenyl, indanyl, or indenyl. The phenyl and bicyclic aryls are attached to the parent molecular moiety through any carbon atom contained within the phenyl or bicyclic aryl.

[0084] The term "halogen" means a chlorine, bromine, iodine, or fluorine atom.

[0085] The term "haloalkyl," as used herein, means an alkyl, as defined herein, in which one, two, three, four, five, six, or seven hydrogen atoms are replaced by halogen. For example, representative examples of haloalkyl include, but are not limited to, 2-fluoroethyl, difluoromethyl, trifluoromethyl, 2,2,2-trifluoroethyl, 2,2,2-trifluoro-1,1-dimethylethyl, and the like.

[0086] The term "haloalkoxy," as used herein, means an alkoxy group, as defined herein, in which one, two, three, four, five, or six hydrogen atoms are replaced by halogen. Representative examples of haloalkoxy include, but are not limited to, trifluoromethoxy, difluoromethoxy, 2,2,2-trifluoroethoxy, 2,2-difluoroethoxy, 2-fluoroethoxy, and pentafluoroethoxy.

[0087] The term "heteroaryl," as used herein, means an aromatic heterocycle, i.e., an aromatic ring that contains at least one heteroatom. A heteroaryl may contain from 5 to 12 ring atoms. A heteroaryl may be a 5- to 6-membered monocyclic heteroaryl or an 8- to 12-membered bicyclic heteroaryl. A 5-membered monocyclic heteroaryl ring contains two double bonds, and one, two, three, or four heteroatoms as ring atoms. Representative examples of 5-membered monocyclic heteroaryls include, but are not limited to, furanyl, imidazolyl, isoxazolyl, isothiazolyl, oxadiazolyl, oxazolyl, pyrazolyl, pyrrolyl, tetrazolyl, thiadiazolyl, thiazolyl, thienyl,

and triazolyl. A 6-membered heteroaryl ring contains three double bonds, and one, two, three or four heteroatoms as ring atoms. Representative examples of 6-membered monocyclic heteroaryls include, but are not limited to, pyridinyl, pyridazinyl, pyrimidinyl, pyrazinyl, and triazinyl. The bicyclic heteroaryl is an 8- to 12-membered ring system having a monocyclic heteroaryl fused to an aromatic, saturated, or partially saturated carbocyclic ring, or fused to a second monocyclic heteroaryl ring. Representative examples of bicyclic heteroaryl include, but are not limited to, benzofuranyl, benzoxadiazolyl, 1,3-benzothiazolyl, benzimidazolyl, benzothienyl, indolyl, indazolyl, isoquinolinyl, naphthyridinyl, oxazolopyridine, quinolinyl, thienopyridinyl, 5,6,7,8-tetrahydroquinolinyl, and 6,7-dihydro-5H-cyclopenta[b]pyridinyl. The heteroaryl groups are connected to the parent molecular moiety through any substitutable carbon atom or any substitutable nitrogen atom contained within the groups.

[0088] The term "cycloalkyl" as used herein, means a monocyclic all-carbon ring containing zero heteroatoms as ring atoms, and zero double bonds. Examples of cycloalkyls include, but are not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, and cyclooctyl. The cycloalkyl groups described herein can be appended to the parent molecular moiety through any substitutable carbon atom.

[0089] The term "cycloalkenyl" as used herein, means a monocyclic non-aromatic all-carbon 5- to 6-membered ring containing zero heteroatoms as ring atoms and one double bond. Examples of cycloalkenyl include cyclopentenyl and cyclohexenyl. The cycloalkenyl groups described herein can be appended to the parent molecular moiety through any substitutable carbon atom.

[0090] The terms "heterocycle" or "heterocyclic" refer generally to ring systems containing at least one heteroatom as a ring atom where the heteroatom is selected from oxygen, nitrogen, and sulfur. In some embodiments, a nitrogen or sulfur atom of the heterocycle is optionally substituted with oxo. Heterocycles may be a monocyclic heterocycle, a fused bicyclic heterocycle, or a spiro heterocycle. The monocyclic heterocycle is generally a 4, 5, 6, 7, or 8-membered non-aromatic ring containing at least one heteroatom selected from O, N, or S. The 4-membered ring contains one heteroatom and optionally one double bond. The 5-membered ring contains zero or one double bond and one, two or three heteroatoms. The 6, 7, or 8-

membered ring contains zero, one, or two double bonds, and one, two, or three heteroatoms. Representative examples of monocyclic heterocycle include, but are not limited to, azetidinyl, azepanyl, diazepanyl, 1,3-dioxanyl, 1,4-dioxanyl, 1,3-dioxolanyl , 4,5-dihydroisoxazol-5-yl, 3,4-dihydropyranyl, 1,3-dithiolanyl, 1,3-dithianyl, imidazolinyl, imidazolidinyl, isothiazolinyl, isothiazolidinyl, isoxazolinyl, isoxazolidinyl, morpholinyl, oxadiazolinyl, oxadiazolidinyl, oxazolinyl, oxazolidinyl, oxetanyl, piperazinyl, piperidinyl, pyranyl, pyrazolinyl, pyrazolidinyl, pyrrolinyl, pyrrolidinyl, tetrahydrofuranyl, tetrahydropyranyl, tetrahydrothienyl, thiadiazolinyl, thiadiazolidinyl, thiazolinyl, thiazolidinyl, thiomorpholinyl, 1,1-dioxidothiomorpholinyl, thiopyranyl, and trithianyl. The fused bicyclic heterocycle is a 7-12-membered ring system having a monocyclic heterocycle fused to a phenyl, to a saturated or partially saturated carbocyclic ring, or to another monocyclic heterocyclic ring, or to a monocyclic heteroaryl ring. Representative examples of fused bicyclic heterocycle include, but are not limited to, 1,3-benzodioxol-4-yl, 1,3-benzodithiolyl, 3-azabicyclo[3.1.0]hexanyl, hexahydro-1H-furo[3,4-c]pyrrolyl, 2,3-dihydro-1,4-benzodioxinyl, 2,3-dihydro-1-benzofuranyl, 2,3-dihydro-1-benzothienyl, 2,3-dihydro-1H-indolyl, 5,6,7,8-tetrahydroimidazo[1,2-a]pyrazinyl, and 1,2,3,4-tetrahydroquinolinyl. Spiro heterocycle means a 4, 5-, 6-, 7-, or 8-membered monocyclic heterocycle ring wherein two of the substituents on the same carbon atom form a second ring having 3, 4, 5, 6, 7, or 8- members. Examples of a spiro heterocycle include, but are not limited to, 1,4-dioxa-8-azaspiro[4.5]decanyl, 2-oxa-7-azaspiro[3.5]nonanyl, 2-oxa-6-azaspiro[3.3]heptanyl, and 8-azaspiro[4.5]decane. The monocyclic heterocycle groups of the present invention may contain an alkylene bridge of 1, 2, or 3 carbon atoms, linking two non-adjacent atoms of the group. Examples of such a bridged heterocycle include, but are not limited to, 2,5-diazabicyclo[2.2.1]heptanyl, 2-azabicyclo[2.2.1]heptanyl, 2-azabicyclo[2.2.2]octanyl, and oxabicyclo[2.2.1]heptanyl. The monocyclic, fused bicyclic, and spiro heterocycle groups are connected to the parent molecular moiety through any substitutable carbon atom or any substitutable nitrogen atom contained within the group. The foregoing description of heterocycles is merely illustrative. In the embodiments of the invention are set forth definitions for types of heterocycles at G^1, G^2, G^3, G^4, R^2, R^4, and R^6, and the substituents contained therein.

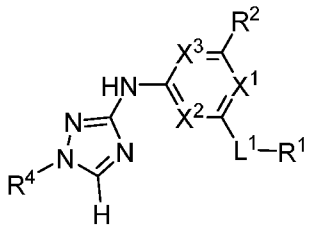
[0091] The term "oxo" as used herein refers to an oxygen atom bonded to the parent molecular moiety. An oxo may be attached to a carbon atom or a sulfur atom by a double bond. Alternatively, an oxo may be attached to a nitrogen atom by a single bond, i.e., an N-oxide.

[0092] Terms such as "alkyl," "cycloalkyl," "alkylene," etc. may be preceded by a designation indicating the number of atoms present in the group in a particular instance (e.g., "C_{1-4}alkyl," "C_{3-6}cycloalkyl," "C_{1-4}alkylene"). These designations are used as generally understood by those skilled in the art. For example, the representation "C" followed by a subscripted number indicates the number of carbon atoms present in the group that follows. Thus, "C_3alkyl" is an alkyl group with three carbon atoms (i.e., n-propyl, isopropyl). Where a range is given, as in "C_{1-4}," the members of the group that follows may have any number of carbon atoms falling within the recited range. A "C_{1-4}alkyl," for example, is an alkyl group having from 1 to 4 carbon atoms, however arranged (i.e., straight chain or branched).

[0093] Unless otherwise stated, structures depicted herein are also meant to include all isomeric (e.g., enantiomeric, diastereomeric, and geometric (or conformational)) forms of the structure; for example, the R and S configurations for each asymmetric center, (Z) and (E) double bond isomers, and (Z) and (E) conformational isomers. Therefore, single stereochemical isomers as well as enantiomeric, diastereomeric, and geometric (or conformational) mixtures of the present compounds are within the scope of the invention. Unless otherwise stated, all tautomeric forms of the compounds of the invention are within the scope of the invention. Thus, included within the scope of the invention are tautomers of compounds of formula I or I'. The structures also include zwitterioinc forms of the compounds or salts of formula I or I' where appropriate.

[0094] 2. Compounds

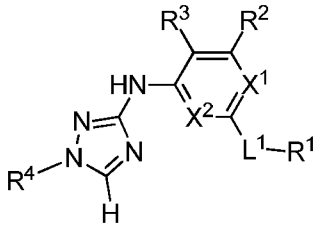
[0095] In a first aspect of the invention are provided compounds of formula (I')



(I')

[0096] or a pharmaceutically acceptable salt thereof, wherein L^1, R^1, R^2, R^4, X^1, X^2, and X^3 are as defined herein.

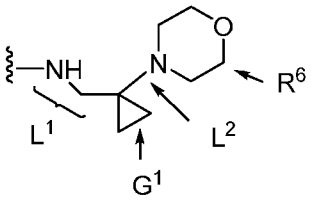
[0097] In a second aspect of the invention are provided compounds of formula (I)



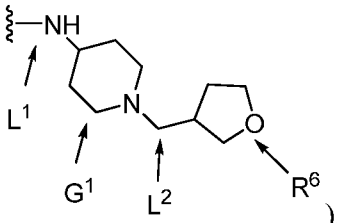
(I)

or a pharmaceutically acceptable salt thereof, wherein L^1, R^1, R^2, R^3, R^4, X^1, and X^2 are as defined herein.

[0098] In some embodiments of the invention, L^1–R^1 is L^1–G^1–L^2–R^6, wherein L^1, G^1, L^2, and R^6 are as defined herein. L^1 and L^2 may be bonded to the same atom in G^1 (e.g.,



) or L^1 and L^2 may be bonded to different atoms in G^1 (e.g.,



). In some embodiments of the invention, R^1 is –G^1–L^2–R^6, wherein G^1, L^2, and R^6 are as defined herein. R^6 may be unsubstituted or substituted. Unless substitution is indicated as present or optional for a specific R^6, then R^6 is unsubstituted.

[0099] In some embodiments R^6 is a 4- to 8-membered monocyclic heterocycle containing 1-2 heteroatoms independently selected from oxygen, nitrogen, and sulfur, the monocyclic heterocycle optionally containing one double bond and/or a C_{1-3}alkylene bridge between two non-adjacent ring atoms and being optionally substituted with 1-4 substituents independently selected from the group consisting of C_{1-4}alkyl, C_{1-4}haloalkyl, –$CH_2S(O)_2$phenyl, halogen, hydroxyl, and oxo. In some embodiments R^6 is a 4- to 8-membered monocyclic heterocycle containing 1-2 heteroatoms independently selected from oxygen, nitrogen, and sulfur, the monocyclic heterocycle optionally containing one double bond and/or a C_{1-3}alkylene bridge

between two non-adjacent ring atoms and being optionally substituted with 1-4 substituents independently selected from the group consisting of C_{1-4}alkyl, C_{1-4}haloalkyl, $–CH_2S(O)_2$phenyl, halogen, hydroxyl, oxo, $–OC_{1-4}$alkyl, $–C_{1-6}$alkylene$–OC_{1-4}$alkyl, and $–C_{1-6}$alkylene–OH. For example, in some embodiments, R^6 is an oxetanyl, a tetrahydrofuranyl, a tetrahydropyranyl, a morpholinyl, 2-oxa-5-azabicyclo[2.2.1]heptanyl, 6-oxa-3-azabicyclo[3.1.1]heptanyl, 1,4-oxazepanyl, 3-oxa-8-azabicyclo[3.2.1]octanyl, 8-oxa-3-azabicyclo[3.2.1]octanyl, a pyrrolidinyl, piperidinyl, thiomorpholinyl, a thietanyl, piperazinyl, or azetidinyl, each being optionally substituted as described herein. In other embodiments, R^6 is oxetanyl, tetrahydrofuranyl, tetrahydropyranyl, morpholinyl, pyrrolidinyl, or thietanyl, each being optionally substituted with 1-4 substituents independently selected from C_{1-4}alkyl and oxo. In some embodiments, the oxetanyl, tetrahydrofuranyl, tetrahydropyranyl, morpholinyl, pyrrolidinyl, thietanyl, piperazinyl, and azetidinyl, are each optionally substituted with 1-4 substituents independently selected from halogen, C_{1-4}alkyl and oxo. In some embodiments, the oxetanyl, tetrahydrofuranyl, tetrahydropyranyl, morpholinyl, pyrrolidinyl, thietanyl, and piperazinyl are each optionally substituted with C_{1-4}alkyl, and the pyrrolidinyl, piperazinyl, and thietanyl further optionally substituted with 1-2 oxo groups. In other embodiments, R^6 is a 4- to 8-membered monocyclic heterocycle containing 1 oxygen atom (e.g., an oxetanyl, a tetrahydrofuranyl, a tetrahydropyranyl). In other embodiments, R^6 is a 4-membered monocyclic heterocycle containing 1 oxygen atom and optionally substituted with C_{1-4}alkyl or $–CH_2S(O)_2$phenyl. In other embodiments, R^6 is a 4-membered monocyclic heterocycle containing 1 oxygen atom and optionally substituted with C_{1-4}alkyl. In other embodiments, R^6 is a 4- to 8-membered monocyclic heterocycle containing 1 sulfur atom (e.g., thietanyl, tetrahydrothiophenyl, tetrahydro-2H-thiopyranyl). In other embodiments, R^6 is a 4-membered monocyclic heterocycle containing 1 sulfur atom and optionally substituted with 1-2 oxo groups. In other embodiments, R^6 is a 4- to 8-membered monocyclic heterocycle containing 1 nitrogen atom and optionally 1 oxygen atom or 1 sulfur atom (e.g., azetidinyl, pyrrolidinyl, morpholinyl, homomorpholinyl, thiomorpholinyl, piperazinyl) and optionally substituted with oxo (e.g., 2-oxopyrrolidin-1-yl). The heterocycles of R^6 may be appended to the parent molecule (i.e., at L^2) by any substitutable carbon atom or nitrogen atom. Thus, in some embodiments, the oxygen-containing heterocycle is oxetan-3-yl, tetrahydrofuran-3-yl, tetrahydropyran-3-yl, or tetrahydropyran-4-yl. In other embodiments, the sulfur-containing heterocycle is thietan-3-yl, tetrahydrothiophen-3-yl,

tetrahydro-2H-thiopyran-3-yl, or tetahydro-2H-thiopyran-4-yl. In other embodiments, the heterocycle containing 1 nitrogen atom and optionally 1 oxygen or sulfur atom is e.g., piperidin-1-yl, morpholin-4-yl, azetidin-1-yl, piperazin-1-yl, 2-oxa-5-azabicyclo[2.2.1]heptan-5-yl, 6-oxa-3-azabicyclo[3.1.1]heptan-3-yl, 1,4-oxazepan-4-yl, 3-oxa-8-azabicyclo[3.2.1]octan-8-yl, 8-oxa-3-azabicyclo[3.2.1]octan-3-yl, thiomorpholin-4-yl, or 2-oxopyrrolidin-1-yl. In the embodiments of the invention, the oxygen- and sulfur-containing heterocycles may be unsubstituted or substituted as described herein. For example, the oxygen-containing heterocycle may be oxetan-3-yl, 3-methyloxetan-3-yl or 3-((phenylsulfonyl)methyl)oxetan-3-yl and the sulfur-containing heterocycle may be thietan-3-yl or 1,1-dioxothietan-3-yl.

[00100] In other embodiments, R^6 is a 5- or 6-membered monocyclic heteroaryl containing 1-3 heteroatoms independently selected from nitrogen, oxygen, and sulfur, the monocyclic heteroaryl being optionally substituted with 1-3 substituents independently selected from C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, or hydroxyl. In other embodiments, R^6 is a 5- or 6-membered monocyclic heteroaryl containing 1-3 heteroatoms independently selected from nitrogen, oxygen, and sulfur, the monocyclic heteroaryl being optionally substituted with 1-3 substituents independently selected from C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, hydroxyl, –OC_{1-4}alkyl, –C_{1-6}alkylene–OC_{1-4}alkyl, and –C_{1-6}alkylene–OH. For example, in some embodiments, R^6 is a 5-membered heteroaryl containing 1-3 nitrogen atoms (e.g., pyrrolyl, imidazolyl, pyrazolyl, triazolyl). In certain embodiments, R^6 is pyrazol-1-yl. In other embodiments, R^6 is a 6-membered heteroaryl containing 1-3 nitrogen atoms (e.g., pyridine, pyrimidine, etc.).

[00101] In other embodiments, R^6 is a 7- to 12-membered spiro heterocycle comprising a first ring and a second ring, the first ring being a 4- to 8-membered monocyclic heterocycle containing 1-2 heteroatoms independently selected from nitrogen and oxygen and being attached to L^2, the second ring being a C_{3-8}cycloalkyl or a 4- to 8-membered monocyclic heterocycle containing 1-2 oxygen atoms wherein two atoms of the second ring are attached to one carbon of the first ring to form a spirocycle optionally substituted with 1-4 substituents independently selected from the group consisting of C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, hydroxyl, and oxo. In some embodiments, the spirocyclic R^6 is optionally substituted with 1-4 substituents independently selected from the group consisting of C_{1-4}alkyl, C_{1-4}haloalkyl, –$CH_2S(O)_2$phenyl, halogen, hydroxyl, oxo, –OC_{1-4}alkyl, –C_{1-6}alkylene–OC_{1-4}alkyl, and –C_{1-6}alkylene–OH. In some embodiments, R^6 is a 7- to 12-membered spiro heterocycle consisting of the first ring and a

second ring, as described herein. The first ring is attached to L^2 through any substitutable carbon or nitrogen atom. In one embodiment, the first ring is attached to L^2 through a nitrogen atom. The first ring of R^6 includes, but is not limited to, heterocycles such as azetidine, pyrrolidine, piperidine, azepane, morpholine, azocane, piperazine, and homopiperazine. In some embodiments, the first ring of R^6 is a 4- to 8-membered monocyclic heterocycle containing 1-2 nitrogen atoms or 1 nitrogen atom and 1 oxygen atom. For example, in some embodiments, the first ring is morpholino, piperazin-1-yl, or piperidin-1-yl. The second ring includes a C_{3-8}cycloalkyl, e.g., cyclopropyl, cyclobutyl cyclopentyl. The second ring is formed by the attachment of two atoms of the second ring to a single carbon atom of the first ring such that the first ring and the second ring share one carbon atom in common. For example, in some embodiments, R^6 is 4-oxa-7-azaspiro[2.5]octanyl (e.g., 4-oxa-7-azaspiro[2.5]octan-7-yl).

[00102] In other embodiments, R^6 is a 7- to 12-membered fused bicyclic heterocycle containing 1-3 heteroatoms independently selected from oxygen, nitrogen, and sulfur and being optionally substituted with 1-4 substituents independently selected from the group consisting of C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, hydroxyl, and oxo. In other embodiments, R^6 is a 7- to 12-membered fused bicyclic heterocycle containing 1-3 heteroatoms independently selected from oxygen, nitrogen, and sulfur and being optionally substituted with 1-4 substituents independently selected from the group consisting of C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, hydroxyl, oxo, –OC_{1-4}alkyl, –C_{1-6}alkylene–OC_{1-4}alkyl, and –C_{1-6}alkylene–OH. For example, in some embodiments, R^6 is 2-oxa-5-azabicyclo[4.1.0]heptanyl (e.g., 2-oxa-5-azabicyclo[4.1.0]heptan-5-yl).

[00103] In some embodiments of the invention, L^1–R^1 is L^1–G^1–L^2–R^7, wherein L^1, G^1, L^2, and R^7 are as defined herein. L^1 and L^2 may be bonded to the same atom in G^1, or L^1 and L^2 may be bonded to different atoms in G^1. In some embodiments of the invention, R^1 is –G^1–L^2–R^7. Unless substitution is indicated as present or optional for a specific R^7, R^7 is unsubstituted.

[00104] In some embodiments, R^7 is a 3- to 8-membered cycloalkyl optionally substituted with 1-4 substituents independently selected from the group consisting of C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, hydroxyl, –C(O)OC_{1-4}alkyl, –C(O)OH, and oxo. In other embodiments, R^7 is optionally substituted with 1-4 substituents independently selected from the group consisting of C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, hydroxyl, –C(O)OC_{1-4}alkyl, –C(O)OH, oxo, –OC_{1-4}alkyl, –C_{1-6}alkylene–OC_{1-4}alkyl, and –C_{1-6}alkylene–OH. For example, in some embodiments, R^7 is cyclopropyl, cyclobutyl, or cyclopentyl, each being optionally substituted with –C(O)OC_{1-4}alkyl,

–C(O)OH, hydroxyl or 1-2 halogen. In one group of compounds, R^7 is cyclopropyl. In another group of compounds R^7 is cyclobutyl. In other embodiments, R^7 is 3,3-difluorocyclobutyl. In other embodiments, R^7 is a cyclobutane carboxylic acid.

[00105] In other embodiments, R^7 is phenyl optionally substituted with 1-4 substituents independently selected from the group consisting of C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, hydroxyl, –C(O)OC_{1-4}alkyl, and –C(O)OH. In other embodiments, R^7 is phenyl optionally substituted with 1-4 substituents independently selected from the group consisting of C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, hydroxyl, –C(O)OC_{1-4}alkyl, –C(O)OH, oxo, –OC_{1-4}alkyl, –C_{1-6}alkylene–OC_{1-4}alkyl, and –C_{1-6}alkylene–OH.

[00106] In some embodiments, G^1 is a a 4- to 8-membered monocyclic heterocycle containing 1-2 heteroatoms independently selected from oxygen, nitrogen, and sulfur, the monocyclic heterocycle optionally containing one double bond and/or a C_{1-3}alkylene bridge between two non-adjacent ring atoms, G^1 being optionally substituted with 1-4 substituents independently selected from the group consisting of C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, hydroxyl, and oxo. In some embodiments, G^1 is a 4- to 8-membered monocyclic heterocycle containing 1 or 2 nitrogen atoms, the monocyclic heterocycle optionally containing one double bond and/or a C_{1-3}alkylene bridge between two non-adjacent ring atoms, G^1 being optionally substituted with 1-4 substituents independently selected from the group consisting of C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, hydroxyl, and oxo. In some embodiments, G^1 contains one nitrogen atom. In other embodiments, G^1 contains two nitrogen atoms. In some embodiments, G^1 is a 6-membered monocyclic heterocycle containing 1 or 2 nitrogen atoms. The heterocycles at G^1 may be unsubstituted or substituted. Unless substitution is indicated as present or optional for a specific heterocyclic G^1, the heterocycle is unsubstituted. For example, in some embodiments, G^1 may be piperazinyl, homopiperazinyl, azetidinyl, pyrrolidinyl, piperidinyl, azepanyl, 2,5-diazabicyclo[2.2.1]heptanyl, 2,5-dihydro-1H-pyrrolyl, oxetanyl, morpholino, tetrahydropyranyl, or 1,2,3,6-tetrahydropyridinyl, each unsubstituted or substituted as described herein. In other embodiments, the piperazinyl, homopiperazinyl, azetidinyl, pyrrolidinyl, piperidinyl, azepanyl, 2,5-diazabicyclo[2.2.1]heptanyl, 2,5-dihydro-1H-pyrrolyl, oxetanyl, morpholino, tetrahydropyranyl, or 1,2,3,6-tetrahydropyridinyl are optionally substituted with 1-4 substituents independently selected from 1 hydroxyl, 1-2 halogen, 1 oxo, and 1-4 C_{1-4}alkyl groups. In some embodiments, pyrrolidinyl and/or piperidinyl is optionally substituted with halogen, 1 hydroxyl,

or 1 oxo and the piperazinyl is optionally substituted with oxo. In some embodiments, G^1 is piperazin-1-yl optionally substituted with oxo. In some embodiments, G^1 may have a C_{1-3}alkylene bridge between two non-adjacent ring atoms (e.g., 2,5-diazabicyclo[2.2.1]heptanyl). In other embodiments, G^1 is without a C_{1-3}alkylene bridge between two non-adjacent ring atoms. The heterocycles of G^1 may be appended to the parent molecule (i.e., at L^1) by any substitutable carbon or nitrogen atom. For example, non-limiting examples of G^1 include piperazin-1-yl, 2-oxo-piperazin-1-yl, homopiperazin-1-yl, azetidin-1-yl, azetidin-3-yl, pyrrolidin-3-yl, 3-hydroxy-pyrrolidin-3-yl, 3-fluoro-pyrrolidin-3-yl, piperidin-1-yl, piperidin-3-yl, piperidin-4-yl, 3-hydroxypiperidin-4-yl, 4-hydroxypiperidin-4-yl, 3-fluoropiperidin-4-yl, 4-fluoropiperidin-4-yl, 3,3-difluoropiperidin-4-yl, azepan-3-yl, 2,5-diazabicyclo[2.2.1]heptan-2-yl, 2,5-dihydro-1H-pyrrol-3-yl, or 1,2,3,6-tetrahydropyridin-4-yl.

[00107] L^2 is a bond, a –C_{1-3}alkylene– (e.g., CH_2), or a –C(O)– that links G^1 with R^6 or R^7. L^2 may be attached at any substitutable nitrogen or carbon atom of G^1 and any substitutable carbon or nitrogen atom of R^6 or carbon atom of R^7.

[00108] In other embodiments, L^2 is a bond, a –C_{1-6}alkylene– (e.g., –CH_2–, –$CH_2CH_2CH_2$–), –C(O)–, –O–, or –$NR^{5'}$–, wherein the –C_{1-6}alkylene– is optionally substituted with 1-6 halogens (e.g., fluoro) and 1-2 C_1alkylene units of the –C_{1-6}alkylene– are optionally replaced with –C(O)–, –O–, or –$NR^{5'}$– (e.g., –CH_2OCH_2–, –OCH_2CH_2–), wherein each $R^{5'}$ is independently hydrogen or C_{1-4}alkyl. In some embodiments, L^2 is C_{1-3}alkylene.

[00109] In other embodiments, G^1 is a 3- to 8-membered cycloalkyl optionally substituted with 1-4 substituents independently selected from the group consisting of C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, hydroxyl, and oxo. For example, in some embodiments, G^1 may be cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, or cyclooctyl.

[00110] In some embodiments, R^1 is –G^1–L^2–R^6 where G^1 is the monocyclic heterocycle and R^6 is one of the heterocyclic groups a), b), c) or d). In other embodiments, G^1 is the cycloalkyl and R^6 is one of the heterocyclic groups a), b), c) or d).

[00111] For example, in some embodiments –G^1–L^2–R^6 together may represent 4-(oxetan-3-yl)piperazin-1-yl, 4-(3-methyloxetan-3-yl)piperazin-1-yl, 4-(tetrahydrofuran-3-yl)piperazin-1-yl, 4-(2-methyltetrahydrofuran-3-yl)piperazin-1-yl, 4-(tetrahydro-2H-pyran-3-yl)piperazin-1-yl, 4-(tetrahydro-2H-pyran-4-yl)piperazin-1-yl, 4-((3-methyloxetan-3-yl)methyl)piperazin-1-yl, 4-(oxetan-3-yl)-2-oxo-piperazin-1-yl, 4-(oxetan-3-yl)piperidin-1-yl, 1-(oxetan-3-yl)piperidin-3-yl,

1-(oxetan-3-yl)piperidin-4-yl, 1-(3-methyloxetan-3-yl)piperidin-4-yl, 1-((3-methyloxetan-3-yl)methyl)piperidin-4-yl, 3-hydroxy-1-(oxetan-3-yl)piperidin-4-yl, 3-fluoro-1-(oxetan-3-yl)piperidin-4-yl, 4-hydroxy-1-(oxetan-3-yl)piperidin-4-yl, 4-fluoro-1-(oxetan-3-yl)piperidin-4-yl, 3,3-difluoro-1-(oxetan-3-yl)piperidin-4-yl, 4-(2-oxopyrrolidin-1-yl)piperidin-1-yl, 3-(2-oxopyrrolidin-1-yl)piperidin-1-yl, 4-morpholinopiperidin-1-yl, (4-methylpiperazin-1-yl)piperidin-1-yl, 4-(3,3-difluoroazetidin-1-yl)piperidin-1-yl, 3-morpholinopyrrolidin-1-yl, 1-(oxetan-3-yl)pyrrolidin-3-yl, 5-(oxetan-3-yl)-2,5-diazabicyclo[2.2.1]heptan-2-yl, 1-(oxetan-3-yl)-1,2,3,6-tetrahydropyridin-4-yl, 3-hydroxy-1-(oxetan-3-yl)-pyrrolidin-3-yl, 3-fluoro-1-(oxetan-3-yl)pyrrolidin-3-yl, 1-(oxetan-3-yl)azetidin-3-yl, 3-(oxetan-3-yl)azetidin-1-yl, 3-(pyrrolidin-1-yl)azetidin-1-yl, 3-(4-fluoropiperidin-1-yl)azetidin-1-yl, 3-morpholinoazetidin-1-yl, 3-methyl-3-morpholinoazetidin-1-yl, 3-(2-methylmorpholino)azetidin-1-yl, 3-(3-methylmorpholino)azetidin-1-yl, dimethylmorpholino)azetidin-1-yl, 3-(2,6-dimethylmorpholino)azetidin-1-yl, 3-(morpholine-4-carbonyl)azetidin-1-yl, 3-(pyrrolidine-1-carbonyl)azetidin-1-yl, 3-(1,4-oxazepan-4-yl)azetidin-1-yl, 3-(6-oxa-3-azabicyclo[3.1.1]heptan-3-yl)azetidin-1-yl, (3-(2-oxa-5-azabicyclo[2.2.1]heptan-5-yl)azetidin-1-yl, 3-(8-oxa-3-azabicyclo[3.2.1]octan-3-yl)azetidin-1-yl, 3-(3-oxa-8-azabicyclo[3.2.1]octan-8-yl)azetidin-1-yl, 3-(4-oxa-7-azaspiro[2.5]octan-7-yl)azetidin-1-yl, 3-(2-oxa-5-azabicyclo[4.1.0]heptan-5-yl)azetidin-1-yl, 3-(morpholinomethyl)azetidin-1-yl), 3-(1,1-dioxidothiomorpholino)azetidin-1-yl, 4-(thietan-3-yl)piperazin-1-yl, 4-(piperazin-1-yl)thietane 1,1-dioxide, or 4-(oxetan-3-yl)-4-(λ^1-oxidanyl)-4λ^4-piperazin-1-yl , 3-(1H-pyrazol-1-yl)azetidin-1-yl, 4-(oxetan-3-yl)morpholin-2-yl, 6-methyl-4-(oxetan-3-yl)morpholin-2-yl, 5-methyl-4-(oxetan-3-yl)morpholin-2-yl, 2-methyl-4-(oxetan-3-yl)morpholin-2-yl, 4-(oxetan-3-yl)-1,4-diazepan-1-yl, or 3-morpholinocyclobutyl.

[00112] In some embodiments, R^1 is $–G^1–L^2–R^7$ where G^1 is the monocyclic heterocycle and R^7 is the cycloalkyl group a) or the phenyl group.

[00113] In other embodiments, $–G^1–L^2–R^7$ together may represent 3-(1-hydroxycyclobutyl)piperazin-1-yl; 4-cyclopropylpiperazin-1-yl; 4-cyclobutylpiperazin-1-yl; 4-cyclopentylpiperazin-1-yl; 1-cyclopropylpiperidin-4-yl; 1-cyclopropylpiperidin-3-yl; 1-cyclobutylpiperidin-4-yl, 1-cyclopentylpiperidin-4-yl, 4-(3,3-difluorocyclobutyl)piperazin-1-yl; or 5-cyclopropyl-2,5-diazabicyclo[2.2.1]heptan-2-yl.

[00114] In other embodiments of the invention, R^1 is G^2, where G^2 is as described above. The heterocycles at G^2 may be unsubstituted or substituted. Unless substitution is indicated as

present or optional for a specific heterocyclic G^2, the heterocycle is unsubstituted. The optional G^2 substituent may be bonded to the same atom, or a different atom, in G^2, to which L^1 is bonded. For example, in some embodiments, G^2 may be morpholinyl, homomorpholinyl, thiomorpholinyl, piperazinyl, homopiperazinyl, azetidinyl, pyrrolidinyl, oxazolidinyl, piperidinyl, azepanyl, 2,5-diazabicyclo[2.2.1]heptanyl, 6-oxa-3-azabicyclo[3.1.1]heptanyl, 2-oxa-5-azabicyclo[2.2.1]heptanyl, 3-oxa-8-azabicyclo[3.2.1]octanyl, 8-oxa-3-azabicyclo[3.2.1]octanyl, 2,5-dihydro-1H-pyrrolyl, 1,2,3,6-tetrahydropyridinyl, oxetanyl, tetrahydrofuranyl, tetrahydropyranyl, 2,5-dihydrofuranyl, or 3,6-dihydro-2H-pyranyl, each being optionally substituted with 1-4 substituents independently selected from the group consisting of C_{1-4}alkyl (e.g., methyl, ethyl, isopropyl), C_{1-4}haloalkyl (e.g., $–CF_3$, $–CH_2CF_3$, $–CH_2CHF_2$), halogen (e.g., fluoro), hydroxyl, oxo, cyano, $–C(O)C_{1-4}$alkyl (e.g., $–C(O)CH_3$), $–C(O)C_{3-6}$cycloalkyl (e.g., –C(O)cyclopropyl), $–C(O)OC_{1-4}$alkyl (e.g., $–C(O)OCH_3$, $–C(O)OCH_2CH_3$, $–C(O)OC(CH_3)_3$), $–C(O)OC_{1-4}$haloalkyl (e.g., $–C(O)OCH_2CF_3$), $–C(O)NH_2$, $–C(O)NH(C_{1-4}$alkyl) (e.g., $–C(O)NHCH_2CH_3$), $–C(O)N(C_{1-4}$alkyl)(C_{1-4}alkyl) (e.g., $–C(O)N(CH_3)_2$), $–C(O)NH(–C_{1-6}$alkylene$–OC_{1-4}$alkyl) (e.g., $–C(O)NH(CH_2CH_2OCH_3)$), $–C(O)N(C_{1-4}$alkyl)($–C_{1-6}$alkylene$–OC_{1-4}$alkyl) (e.g., $–C(O)NCH_3(CH_2CH_2OCH_3)$), $–C(O)NH(–C_{1-6}$alkylene–OH) (e.g., $–C(O)NH(CH_2CH_2OH)$), $–C(O)N(C_{1-4}$alkyl)($–C_{1-6}$alkylene–OH) (e.g., $–C(O)NCH_3(CH_2CH_2OH)$), $–NH(–C_{1-6}$alkylene$–OC_{1-4}$alkyl) (e.g., $–NH(CH_2CH_2OCH_3)$), $–N(C_{1-4}$alkyl)($–C_{1-6}$alkylene$–OC_{1-4}$alkyl) (e.g., $–NCH_3(CH_2CH_2OCH_3)$), $–NH(–C_{1-6}$alkylene–OH) (e.g., $–NH(CH_2CH_2OH)$), $–N(C_{1-4}$alkyl)($–C_{1-6}$alkylene–OH) (e.g., $–NCH_3(CH_2CH_2OH)$), $–C(O)C_{1-4}$haloalkyl (e.g., $–C(O)CF_3$), $–OC_{1-4}$alkyl (e.g., $–OCH_3$), $–C_{1-6}$alkylene$–OC_{1-4}$alkyl (e.g., $–CH_2OCH_3$, $–CH_2CH_2OCH_3$, $–CH_2CH_2CH_2OCH_3$), $–C_{1-6}$alkylene–OH (e.g., $–CH_2OH$, $–C(OH)(CH_3)_2$, $–CH_2C(OH)(CH_3)_2$, $–C(OH)(CH_3)CH(CH_3)_2$), $–C_{1-6}$alkylene substituted by 2 groups independently selected from hydroxyl and $–OC(O)C_{1-4}$alkyl (e.g., $–CH(CH_2OH)_2$, $–C(CH_3)(CH_2OH)_2$, $–C(CH_3)(CH_2OC(O)CH_3)_2$, $–C(CH_3)(CH_2OH)(CH_2OC(O)CH_3)$), $–C_{1-6}$alkyl$–NH_2$, $–C_{1-6}$alkyl$–NH(C_{1-4}$alkyl), $–C_{1-6}$alkyl$–N(C_{1-4}$alkyl)(C_{1-4}alkyl) (e.g., $–CH_2CH_2–N(CH_3)_2$, $–CH_2CH_2CH_2–N(CH_3)_2$), $–C_{1-4}$alkylene$–C(O)OC_{1-4}$alkyl (e.g., $–CH_2C(O)OCH_2CH_3$), $–C_{1-4}$alkylene–C(O)OH (e.g., $–CH_2C(O)OH$), $–C(CH_3)_2C(O)OH$), $–NHC(O)(C_{1-4}$alkyl) , $–N(C_{1-4}$alkyl)C(O)(C_{1-4}alkyl) (e.g., $–N(CH_3)C(O)CH_3$), $–NH_2$, $–NH(C_{1-4}$alkyl) (e.g., $–NHCH_3$), and $–N(C_{1-4}$alkyl)(C_{1-4}alkyl) (e.g., $–N(CH_3)_2$). In some embodiments, G^2 may be substituted with one substituent selected from the foregoing group and further optionally substituted with 1-3

substituents selected from the group consisting of C_{1-4}alkyl and halogen. In some embodiments, G^2 is a 6-membered monocyclic heterocycle containing 1 or 2 nitrogen atoms and substituted with C_{1-4}alkyl. In some embodiments, G^2 is piperazin-1-yl optionally substituted with C_{1-4}alkyl. For example, G^2 may be 4-C_{1-4}alkyl-piperazin-1-yl. In other embodiments, G^2 may be unsubstituted. In some embodiments, G^2 may be an optionally substituted 4- to 8-membered monocyclic heterocycle containing one oxygen atom and optionally one double bond (e.g., oxetanyl, tetrahydrofuranyl, 2,5-dihydrofuranyl). In other embodiments, G^2 may be an optionally substituted 4- to 8-membered monocyclic heterocycle containing one nitrogen and optionally a second nitrogen atom, an oxygen or sulfur atom, and optionally containing one double bond and/or a C_{1-3}alkylene bridge between two non-adjacent ring atoms (e.g., azetidinyl, piperidinyl, piperazinyl, 2,5-diazabicyclo[2.2.1]heptanyl, 2-oxa-5-azabicyclo[2.2.1]heptanyl, 3-oxa-8-azabicyclo[3.2.1]octanyl, 8-oxa-3-azabicyclo[3.2.1]octanyl, 6-oxa-3-azabicyclo[3.1.1]heptanyl, 2,5-dihydro-1H-pyrrolyl, morpholinyl). For example, G^2 may be morpholinyl, homomorpholinyl, thiomorpholinyl, piperazinyl, homopiperazinyl, azetidinyl, pyrrolidinyl, piperidinyl, azepanyl, 2,5-diazabicyclo[2.2.1]heptanyl, 2,5-dihydro-1H-pyrrolyl, 6-oxa-3-azabicyclo[3.1.1]heptanyl, 2-oxa-5-azabicyclo[2.2.1]heptanyl, 3-oxa-8-azabicyclo[3.2.1]octanyl, 8-oxa-3-azabicyclo[3.2.1]octanyl, 1,2,3,6-tetrahydropyridinyl, oxetanyl, tetrahydrofuranyl, tetrahydropyranyl, 2,5-dihydrofuranyl, or 3,6-dihydro-2H-pyranyl. In some embodiments, G^2 may have a C_{1-3}alkylene bridge between two non-adjacent ring atoms (e.g., 2,5-diazabicyclo[2.2.1]heptanyl, 2-oxa-5-azabicyclo[2.2.1]heptanyl, 3-oxa-8-azabicyclo[3.2.1]octanyl, 8-oxa-3-azabicyclo[3.2.1]octanyl). In other embodiments, G^2 is without a C_{1-3}alkylene bridge between two non-adjacent ring atoms. The heterocycles of G^2 may be appended to the parent molecule (i.e., at L^1) by any substitutable carbon or nitrogen atom (e.g., morpholin-4-yl, homomorpholin-4-yl, thiomorpholin-4-yl, 4-thiomorpholine 1,1-dioxide, piperazin-1-yl, homopiperazin-1-yl, azetidin-1-yl, azetidin-3-yl, pyrrolidin-1-yl, pyrrolidin-3-yl, 2-oxooxazolidin-3-yl, 2-oxooxazolidin-5-yl, piperidin-1-yl, piperidin-3-yl, piperidin-4-yl, azepan-1-yl, azepan-3-yl, 2,5-diazabicyclo[2.2.1]heptan-2-yl, 6-oxa-3-azabicyclo[3.1.1]heptan-3-yl, 2-oxa-5-azabicyclo[2.2.1]heptan-5-yl, 3-oxa-8-azabicyclo[3.2.1]octan-8-yl, 8-oxa-3-azabicyclo[3.2.1]octan-3-yl, 2,5-dihydro-1H-pyrrol-3-yl, 1,2,3,6-tetrahydropyridin-4-yl, oxetan-3-yl, tetrahydrofuran-3-yl, tetrahydropyran-4-yl, 2,5-dihydrofuran-3-yl, and 3,6-dihydro-2H-pyran-4-yl).

[00115] In some embodiments, G^2 is morpholinyl, homomorpholinyl, thiomorpholinyl, piperazinyl, homopiperazinyl, azetidinyl, pyrrolidinyl, oxazolidinyl, piperidinyl, azepanyl, 2,5-diazabicyclo[2.2.1]heptanyl, 6-oxa-3-azabicyclo[3.1.1]heptanyl, 2-oxa-5-azabicyclo[2.2.1]heptanyl, 3-oxa-8-azabicyclo[3.2.1]octanyl, 8-oxa-3-azabicyclo[3.2.1]octanyl, 2,5-dihydro-1H-pyrrolyl, 1,2,3,6-tetrahydropyridinyl, oxetanyl, tetrahydrofuranyl, tetrahydropyranyl, 2,5-dihydrofuranyl, or 3,6-dihydro-2H-pyranyl, each being optionally substituted with one substituent selected from the group consisting of C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, hydroxyl, oxo, cyano, –C(O)C_{1-4}alkyl, –C(O)C_{3-6}cycloalkyl, –C(O)OC_{1-4}alkyl, –C(O)OC_{1-4}haloalkyl, –C(O)NH_2, –C(O)NH(C_{1-4}alkyl), –C(O)N(C_{1-4}alkyl)(C_{1-4}alkyl), –C(O)NH(–C_{1-6}alkylene–OC_{1-4}alkyl), –C(O)N(C_{1-4}alkyl)(–C_{1-6}alkylene–OC_{1-4}alkyl), –C(O)NH(–C_{1-6}alkylene–OH), –C(O)N(C_{1-4}alkyl)(–C_{1-6}alkylene–OH), –NH(–C_{1-6}alkylene–OC_{1-4}alkyl), –N(C_{1-4}alkyl)(–C_{1-6}alkylene–OC_{1-4}alkyl), –NH(–C_{1-6}alkylene–OH), –N(C_{1-4}alkyl)(–C_{1-6}alkylene–OH), –C(O)C_{1-4}haloalkyl, –OC_{1-4}alkyl, –C_{1-6}alkylene–OC_{1-4}alkyl, –C_{1-6}alkylene–OH, –C_{1-6}alkylene substituted by 2 groups independently selected from hydroxyl and –OC(O)C_{1-4}alkyl, –C_{1-6}alkylene–NH_2, –C_{1-6}alkylene–NH(C_{1-4}alkyl), –C_{1-6}alkylene–N(C_{1-4}alkyl)(C_{1-4}alkyl), –C_{1-4}alkylene–C(O)OC_{1-4}alkyl, –C_{1-4}alkylene–C(O)OH, –NHC(O)(C_{1-4}alkyl), –N(C_{1-4}alkyl)C(O)(C_{1-4}alkyl), –NH_2, –NH(C_{1-4}alkyl), and –N(C_{1-4}alkyl)(C_{1-4}alkyl), and further optionally substituted with 1-3 substituents selected from the group consisting of C_{1-4}alkyl and halogen.

[00116] In some embodiments, G^2 is morpholinyl, homomorpholinyl, thiomorpholinyl, piperazinyl, homopiperazinyl, azetidinyl, pyrrolidinyl, oxazolidinyl, piperidinyl, azepanyl, 2,5-diazabicyclo[2.2.1]heptanyl, 6-oxa-3-azabicyclo[3.1.1]heptanyl, 2-oxa-5-azabicyclo[2.2.1]heptanyl, 3-oxa-8-azabicyclo[3.2.1]octanyl, 8-oxa-3-azabicyclo[3.2.1]octanyl, 2,5-dihydro-1H-pyrrolyl, 1,2,3,6-tetrahydropyridinyl, oxetanyl, tetrahydrofuranyl, tetrahydropyranyl, 2,5-dihydrofuranyl, or 3,6-dihydro-2H-pyranyl, each being optionally substituted with one substituent selected from the group consisting of C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, hydroxyl, oxo, cyano, –C_{1-6}alkylene–cyano (e.g., –CH_2CN), –C(O)C_{1-4}alkyl, –C(O)–C_{1-6}alkylene–OC_{1-4}alkyl (e.g., –C(O)CH_2OCH_3), –C(O)–C_{1-6}alkylene–OH, –C(O)C_{3-6}cycloalkyl, –C(O)OC_{1-4}alkyl, –C(O)OC_{1-4}haloalkyl, –C(O)NH_2, –C(O)NH(C_{1-4}alkyl), –C(O)N(C_{1-4}alkyl)(C_{1-4}alkyl), –C(O)NH(–C_{1-6}alkylene–OC_{1-4}alkyl), –C(O)N(C_{1-4}alkyl)(–C_{1-6}alkylene–OC_{1-4}alkyl), –C(O)NH(–C_{1-6}alkylene–OH), –C(O)N(C_{1-4}alkyl)(–C_{1-6}alkylene–OH), –NH(–C_{1-6}alkylene–OC_{1-}

$_4$alkyl), –N(C_{1-4}alkyl)(–C_{1-6}alkylene–OC_{1-4}alkyl), –NH(–C_{1-6}alkylene–OH), –N(C_{1-4}alkyl)(–C_{1-6}alkylene–OH), –C(O)C_{1-4}haloalkyl, –OC_{1-4}alkyl, –OC_{1-4}haloalkyl (e.g., –OCH_2CH_2F, –OCF_3), –C_{1-6}alkylene–OC_{1-4}alkyl, –C_{1-6}alkylene–OH, –C_{1-6}alkylene–NH_2, –C_{1-6}alkylene–NH(C_{1-4}alkyl), –C_{1-6}alkylene–N(C_{1-4}alkyl)(C_{1-4}alkyl), –O–C_{1-6}alkylene–NH_2, –O–C_{1-6}alkylene–NH(C_{1-4}alkyl), –O–C_{1-6}alkylene–N(C_{1-4}alkyl)(C_{1-4}alkyl) (e.g., –O$CH_2CH_2N(CH_3)_2$), –O–C_{1-6}alkylene–OC_{1-4}alkyl (e.g., –O$CH_2CH_2OCH_2CH_3$), –O–C_{1-6}alkylene–OH, –C_{1-4}alkylene–O–C_{1-4}alkylene–OC_{1-4}alkyl, –C_{1-4}alkylene–O–C_{1-4}alkylene–OH (e.g., –$CH_2CH_2OCH_2CH_2OH$), –C_{1-4}alkylene–C(O)OC_{1-4}alkyl, –C_{1-4}alkylene–C(O)OH, –NHC(O)(C_{1-4}alkyl), –N(C_{1-4}alkyl)C(O)(C_{1-4}alkyl), –NH_2, –NH(C_{1-4}alkyl), –N(C_{1-4}alkyl)(C_{1-4}alkyl), –S(O)$_{1-2}$$C_{1-4}$alkyl (e.g., S(O)$_2CH_3$), –$C_{1-6}$alkylene–S(O)$_{1-2}$$C_{1-4}$alkyl (e.g., –$CH_2S(O)_2CH_3$), and a –$C_{1-6}$alkylene substituted by 2 groups independently selected from hydroxyl, –OC(O)C_{1-4}alkyl, –OC_{1-4}alkyl, –NH_2, –NH(C_{1-4}alkyl), and –N(C_{1-4}alkyl)(C_{1-4}alkyl) (e.g., $CH_2CH(OH)CH_2N(CH_3)_2$, $CH_2CH(OH)CH_2OCH_2CH_3$), and further optionally substituted with 1-3 substituents selected from the group consisting of C_{1-4}alkyl and halogen.

[00117] In some embodiments, G^2 may be morpholinyl, homomorpholinyl, thiomorpholinyl, piperazinyl, homopiperazinyl, azetidinyl, pyrrolidinyl, oxazolidinyl, piperidinyl, azepanyl, 2,5-diazabicyclo[2.2.1]heptanyl, 6-oxa-3-azabicyclo[3.1.1]heptanyl, 2,5-dihydro-1H-pyrrolyl, 1,2,3,6-tetrahydropyridinyl, oxetanyl, tetrahydrofuranyl, tetrahydropyranyl, 2,5-dihydrofuranyl, or 3,6-dihydro-2H-pyranyl, each being optionally substituted with one substituent selected from the group consisting of C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, hydroxyl, oxo, cyano, –C(O)C_{1-4}alkyl, –C(O)C_{3-6}cycloalkyl, –C(O)OC_{1-4}alkyl, –C(O)OC_{1-4}haloalkyl, –C(O)NH_2, –C(O)NH(C_{1-4}alkyl), –C(O)N(C_{1-4}alkyl)(C_{1-4}alkyl), –C(O)C_{1-4}haloalkyl, –OC_{1-4}alkyl, –C_{1-4}alkylene–OC_{1-4}alkyl, –C_{1-6}alkylene–OH, –C_{1-6}alkylene substituted by 2 groups independently selected from hydroxyl and –OC(O)C_{1-4}alkyl, –C_{1-4}alkylene–C(O)OC_{1-4}alkyl, –C_{1-4}alkylene–C(O)OH, –NHC(O)(C_{1-4}alkyl), –N(C_{1-4}alkyl)C(O)(C_{1-4}alkyl), –NH_2, –NH(C_{1-4}alkyl), and –N(C_{1-4}alkyl)(C_{1-4}alkyl), and further optionally substituted with 1-3 substituents selected from the group consisting of C_{1-4}alkyl and halogen.

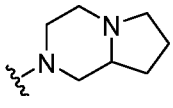
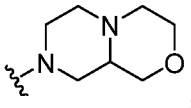
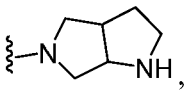
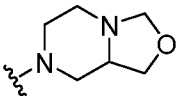
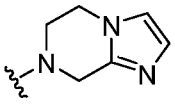
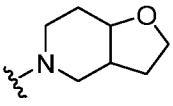
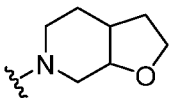
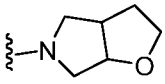
[00118] In other embodiments, G^2 may be piperidin-1-yl, piperidin-3-yl, piperidin-4-yl, 3-fluoropiperidin-1-yl, 4-fluoropiperidin-1-yl, 3-methoxypiperidin-1-yl, 3-(methoxymethyl)piperidin-1-yl, 4-(methoxymethyl)piperidin-1-yl, 4-methylpiperidin-1-yl, 4-hydroxy-4-methylpiperidin-1-yl, 1-acetylpiperidin-3-yl, 4-(ethoxycarbonyl)piperidin-1-yl, 4-(tert-butoxycarbonyl)piperidin-1-yl, 4-(ethylcarbamoyl)piperidin-1-yl, 1-methylpiperidin-3-yl, 3-

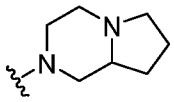
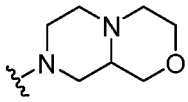
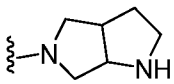
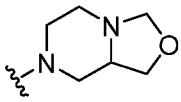
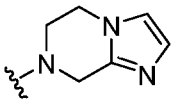
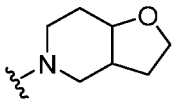
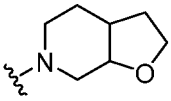
cyanopiperidin-1-yl, 4-cyanopiperidin-1-yl, 1-(methoxycarbonyl)piperidin-3-yl, 1-(methoxycarbonyl)piperidin-4-yl, 3-hydroxypiperidin-1-yl, 4-hydroxypiperidin-1-yl, 3-(hydroxymethyl)piperidin-1-yl, 1-(3-methoxypropyl)piperidin-4-yl, 4-(2-methoxyethyl)piperidin-1-yl, 1-acetylpiperidin-4-yl, 3-hydroxypiperidin-4-yl, pyrrolidin-1-yl, 3-fluoropyrrolidin-1-yl, 3-fluoro-1-methylpyrrolidin-3-yl, 3-hydroxy-1-methylpyrrolidin-3-yl, 1-acetylpyrrolidin-3-yl, 1-(2,2-difluoroethyl)pyrrolidin-3-yl, 3-(2-hydroxypropan-2-yl)pyrrolidin-1-yl, 3-(methylamino)pyrrolidin-1-yl, 3-(dimethylamino)pyrrolidin-1-yl, 3-hydroxy-3-methylpyrrolidin-1-yl, 3-(N-methylacetamido)pyrrolidin-1-yl, 2-oxooxazolidin-3-yl, 5-methyl-2-oxooxazolidin-5-yl, 3,5-dimethyl-2-oxooxazolidin-5-yl, 4-methylpiperazin-1-yl, 4-ethylpiperazin-1-yl, 4-isopropylpiperazin-1-yl, 4-(tert-butyl)piperazin-1-yl, 3-(2-hydroxypropan-2-yl)piperazin-1-yl, 4-(ethoxycarbonyl)piperazin-1-yl, 4-(methoxycarbonyl)piperazin-1-yl, 4-((2,2,2-trifluoroethoxy)carbonyl)piperazin-1-yl, 4-acetylpiperazin-1-yl, 4-(ethylcarbamoyl)piperazin-1-yl, 2,4,5-trimethylpiperazin-1-yl, 3,3,4-trimethylpiperazin-1-yl, 4-(2,2,2-trifluoroacetyl)piperazin-1-yl, piperazin-1-yl, 3-(trifluoromethyl)piperazin-1-yl, 4-(2-carboxypropan-2-yl)piperazin-1-yl, 4-(2-methoxyethyl)piperazin-1-yl, 4-(2,2,2-trifluoroethyl)piperazin-1-yl, 3,4,5-trimethylpiperazin-1-yl, 3-(2-hydroxy-3-methylbutan-2-yl)piperazin-1-yl, 2,5-dimethylpiperazin-1-yl, 3,4-dimethylpiperazin-1-yl, 3-methylpiperazin-1-yl, 4-(2-hydroxy-2-methylpropyl)piperazin-1-yl, 3-(hydroxymethyl)-4-methylpiperazin-1-yl, 4-(1-acetoxy-3-hydroxy-2-methylpropan-2-yl)piperazin-1-yl, 4-(1,3-diacetoxy-2-methylpropan-2-yl)piperazin-1-yl, 4-(1,3-dihydroxy-2-methylpropan-2-yl)piperazin-1-yl, 3-(hydroxymethyl)piperazin-1-yl, 4-(tert-butoxycarbonyl)piperazin-1-yl, 2-oxopiperazin-1-yl, 3-methylpiperazin-1-yl, 4-(cyclopropanecarbonyl)piperazin-1-yl, 4-(1,3-dihydroxypropan-2-yl)piperazin-1-yl, 4-(carboxymethyl)piperazin-1-yl, 4-(2-ethoxy-2-oxoethyl)piperazin-1-yl, (3-methoxypropyl)piperazin-1-yl, 2-(dimethylamino)ethyl)piperazin-1-yl, 3-(dimethylamino)propyl)piperazin-1-yl, 4-methyl-1,4-diazepan-1-yl, 4-acetyl-1,4-diazepan-1-yl, 1,4-oxazepan-4-yl, morpholin-4-yl, 2,6-dimethylmorpholino, 2-(methoxymethyl)morpholino, 1,1-dioxidothiomorpholino, tetrahydropyran-4-yl, tetrahydropyran-3-yl, 3,6-dihydro-2H-pyran-4-yl, 2,5-dihydrofuran-3-yl, tetrahydrofuran-3-yl, 2-methyltetrahydrofuran-2-yl, oxetan-3-yl, 3-hydroxyoxetan-3-yl, 3-methyloxetan-3-yl, azetidin-1-yl, azetidin-3-yl, 3-aminoazetidin-1-yl, 3-methylazetidin-1-yl, 3-hydroxy-3-methylazetidin-1-yl, 3-ethyl-3-hydroxyazetidin-1-yl, 3-hydroxy-3-isopropylazetidin-1-yl, 3-fluoroazetidin-1-yl, 3-(methoxymethyl)azetidin-1-yl, 3-

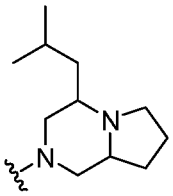
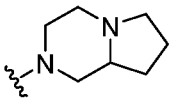
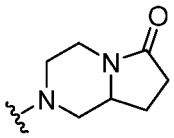
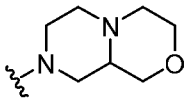
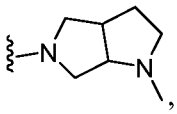
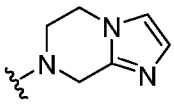
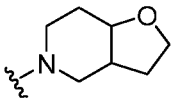
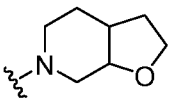
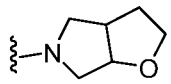
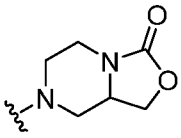
(hydroxymethyl)azetidin-1-yl, 3-methoxyazetidin-1-yl, 3-hydroxyazetidin-1-yl, 3-(2-hydroxypropan-2-yl)azetidin-1-yl, 3-cyanoazetidin-1-yl, 3-(dimethylcarbamoyl)azetidin-1-y, 3-(diethylcarbamoyl)azetidin-1-y, 3-((2-methoxyethyl)(methyl)carbamoyl)azetidin-1-yl, 3-((1-methoxypropan-2-yl)carbamoyl)azetidin-1-yl, 3-((2-hydroxyethyl)amino)azetidin-1-yl, 3-((2-methoxyethyl)amino)azetidin-1-yl, 6-oxa-3-azabicyclo[3.1.1]heptan-3-yl, 2-oxa-5-azabicyclo[2.2.1]heptan-5-yl, 3-oxa-8-azabicyclo[3.2.1]octan-8-yl, 8-oxa-3-azabicyclo[3.2.1]octan-3-yl, or 5-methyl-2,5-diazabicyclo[2.2.1]heptan-2-yl.

[00119] In other embodiments of the invention, R^1 is G^3, where G^3 is a 7- to 12-membered spiro heterocycle comprising a first ring and a second ring, the first ring being a 4- to 8-membered monocyclic heterocycle containing 1-2 heteroatoms independently selected from nitrogen and oxygen and being attached to L^1, the second ring being a C_{3-8}cycloalkyl or a 4- to 8-membered monocyclic heterocycle containing 1-2 oxygen atoms wherein two atoms of the second ring are attached to one carbon of the first ring to form a spirocycle, and wherein G^3 is optionally substituted with 1-4 substituents independently selected from the group consisting of C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, hydroxyl, and oxo. Unless substitution is indicated as present or optional for a specific spiro heterocyclic G^3, the spiro heterocycle is unsubstituted. In some embodiments, G^3 is a 7- to 12-membered spiro heterocycle consisting of the first ring and a second ring, as described herein. The first ring of G^3 includes, but is not limited to, heterocycles such as azetidine, pyrrolidine, piperidine, azepane, morpholine, azocane, piperazine, and homopiperazine. In a preferred embodiment, the first ring of G^3 is a 4- to 8-membered monocyclic heterocycle containing 1-2 nitrogen atoms or 1 nitrogen atom and 1 oxygen atom. In another embodiment, the first ring of G^3 is a 4- to 6-membered monocyclic heterocycle containing 1-2 nitrogen atoms. The first ring is attached to L^1 through any substitutable carbon or nitrogen atom. In one embodiment, the first ring is attached to L^1 through a nitrogen atom. For example, in some embodiments, the first ring is azetidin-1-yl, pyrrolidin-1-yl, piperazin-1-yl, or piperidin-1-yl. The second ring of G^3 includes, but is not limited to, heterocycles such as oxetane, tetrahydrofuran, tetrahydropyran, dioxolane, etc. In some embodiments, the second ring has one oxygen atom. In other embodiments, the second ring has two oxygen atoms. In other embodiments, the second ring is a C_{3-8}cycloalkyl, e.g., cyclopropyl, cyclobutyl cyclopentyl. The second ring is formed by the attachment of two atoms of the second ring to a single carbon atom of the first ring such that the first ring and the second ring share one carbon atom in

common. For example, the second ring may be joined with the first ring at the 4-position of a first ring piperidin-1-yl or the 3-position of a first ring azetidin-1-yl, pyrrolidin-1-yl, piperidin-1-yl, or piperazin-1-yl. In certain embodiments, G^3 is 1,4-dioxa-8-azaspiro[4.5]decanyl, 2-oxa-6-azaspiro[3.5]nonanyl, 2-oxa-7-azaspiro[3.5]nonanyl, 2-oxa-5,8-diazaspiro[3.5]nonanyl, 2,5-dioxa-8-azaspiro[3.5]nonanyl, 1-oxa-8-azaspiro[4.5]decanyl, 5-oxa-8-azaspiro[3.5]nonanyl, 2-oxa-6-azaspiro[3.4]octanyl, 6-oxa-2-azaspiro[3.4]octanyl, 1-oxa-6-azaspiro[3.3]heptanyl, or 2-oxa-6-azaspiro[3.3]heptanyl, 2-oxa-8-azaspiro[4.5]decanyl, or 2,6-diazaspiro[3.3]heptanyl, where the 2-oxa-5,8-diazaspiro[3.5]nonanyl is optionally substituted with C_{1-4}alkyl and/or oxo. In other embodiments, G^3 is 1,4-dioxa-8-azaspiro[4.5]decanyl, 2-oxa-6-azaspiro[3.5]nonanyl, 2-oxa-7-azaspiro[3.5]nonanyl, 2-oxa-5,8-diazaspiro[3.5]nonanyl, 5-oxa-8-azaspiro[3.5]nonanyl, 2-oxa-6-azaspiro[3.4]octanyl, 6-oxa-2-azaspiro[3.4]octanyl, 1-oxa-6-azaspiro[3.3]heptanyl, or 2-oxa-6-azaspiro[3.3]heptanyl, the 2-oxa-5,8-diazaspiro[3.5]nonanyl being optionally substituted with C_{1-4}alkyl and oxo. The heterocycles of G^3 may be appended to the parent molecule (i.e., at L^1) by any substitutable carbon or nitrogen atom. Other embodiments include 1,4-dioxa-8-azaspiro[4.5]decan-8-yl, 2-oxa-7-azaspiro[3.5]nonan-7-yl, 5-methyl-2-oxa-5,8-diazaspiro[3.5]nonan-8-yl, 2-oxa-6-azaspiro[3.4]octan-6-yl, 1-oxa-6-azaspiro[3.3]heptan-6-yl, 2-oxa-6-azaspiro[3.5]nonan-6-yl, 2,5-dioxa-8-azaspiro[3.5]nonan-8-yl, 1-oxa-8-azaspiro[4.5]decan-8-yl, 5-oxa-8-azaspiro[3.5]nonan-8-yl, 6-oxa-2-azaspiro[3.4]octan-2-yl, 2-oxa-6-azaspiro[3.3]heptan-6-yl, 2-oxa-8-azaspiro[4.5]decan-8-yl, or 2,6-diazaspiro[3.3]heptan-2-yl.

[00120] In other embodiments of the invention, R^1 is G^4, where G^4 is as described above. The heterocycles at G^4 may be unsubstituted or substituted. Unless substitution is indicated as present or optional for a specific heterocyclic G^4, the heterocycle is unsubstituted. For example, in some embodiments, G^4 may be  ,  ,  ,  ,  ,  ,  , or  , each being optionally substituted with 1-4 substituents selected from the group consisting of C_{1-4}alkyl (e.g., methyl, ethyl, isobutyl), C_{1-4}haloalkyl (e.g.,– CF_3, –CH_2CF_3), halogen (e.g., fluoro), hydroxyl, and oxo. In

other embodiments G^4 may be  ,  ,  ,  ,  ,  , or  , each being optionally substituted with one C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, or oxo. In some embodiments, G^4 may be substituted with one substituent selected from the foregoing group. For example, in some embodiments, G^4 is

 ,  ,  ,  ,  ,  ,  ,  ,  , or  .

[00121] In other embodiments of the invention, R^1 is G^5, where G^5 is as described above. In some embodiments, G^5 is cyclopropyl, cyclobutyl, or cyclopentyl, each optionally substituted as defined herein. For example, in some embodiments G^5 is substituted with C_{1-4}alkoxy (e.g., 3-methoxycyclobutane). The optional G^5 substituent may be bonded to the same atom, or a different atom, in G^5, to which L^1 is bonded.

[00122] In some embodiments, R^1 is –≡–G^5, where G^5 is as described above. For example, in some embodiments, R^1 is –≡–cyclopropyl.

[00123] In some embodiments, R^1 is G^6, where G^6 is as described above. The heteroaryls at G^6 may be unsubstituted or substituted. Unless substitution is indicated as present or optional for a specific G^6, the heteroaryl is unsubstituted. For example, in some embodiments, G^6 may be optionally substituted with 1-4 substituents independently selected from the group consisting of C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, hydroxyl, cyano, phenyl, –C(O)C_{1-4}alkyl, –C(O)C_{3-6}cycloalkyl, –C(O)OC_{1-4}alkyl, –C(O)OC_{1-4}haloalkyl, –C(O)NH_2, –C(O)NH(C_{1-4}alkyl), –C(O)N(C_{1-4}alkyl)(C_{1-4}alkyl), –C(O)NH(–C_{1-6}alkylene–OC_{1-4}alkyl), –C(O)N(C_{1-4}alkyl)(–C_{1-6}alkylene–OC_{1-4}alkyl), –C(O)NH(–C_{1-6}alkylene–OH), –C(O)N(C_{1-4}alkyl)(–C_{1-6}alkylene–OH), –NH(–C_{1-6}alkylene–OC_{1-4}alkyl), –N(C_{1-4}alkyl)(–C_{1-6}alkylene–OC_{1-4}alkyl), –NH(–C_{1-6}alkylene–OH), –N(C_{1-4}alkyl)(–C_{1-6}alkylene–OH), –C(O)C_{1-4}haloalkyl, –OC_{1-4}alkyl, –C_{1-4}alkylene–OC_{1-4}alkyl, –C_{1-6}alkylene–OH,

–C_{1-6}alkylene substituted by 2 groups independently selected from hydroxyl and –OC(O)C_{1-4}alkyl, –C_{1-4}alkylene–C(O)OC_{1-4}alkyl, –C_{1-4}alkylene–C(O)OH, –NHC(O)(C_{1-4}alkyl), –N(C_{1-4}alkyl)C(O)(C_{1-4}alkyl), –NH_2, –NH(C_{1-4}alkyl), and –N(C_{1-4}alkyl)(C_{1-4}alkyl). For example, in some embodiments G^6 may be a thiazole, oxazole, triazole or pyrazole optionally substituted with C_{1-4}alkyl or phenyl. Further exemplary G^6 include 1-methyl-1H-1,2,4-triazol-3-yl, 1-ethyl-1H-1,2,4-triazol-3-yl, 1-phenyl-1H-1,2,4-triazol-3-yl, 1-methyl-1H-pyrazol-3-yl, 1-ethyl-1H-pyrazol-3-yl, 1-phenyl-1H-pyrazol-3-yl, oxazol-2-yl, and thiazol-2-yl.

[00124] In some embodiments, R^1 is G^7, where G^7 is as described above. G^7 may be unsubstituted or substituted. Unless substitution is indicated as present or optional for a specific G^7, is unsubstituted. In some embodiments, G^7 is phenyl.

[00125] According to the embodiments described herein above and below are further combinations of embodiments wherein L^1 is a bond. In alternative combinations of embodiments, L^1 is –O–. In still further alternative combinations, L^1 is –NR^5– and R^5 is hydrogen or C_{1-4}alkyl. In still other embodiments, L^1 is –NR^5–C_{1-4}alkylene–, wherein R^5 is hydrogen or C_{1-4}alkyl. In other embodiments, L^1 is –O–C_{1-4}alkylene–. In other embodiments, L^1 is –C_{1-4}alkylene–. In other embodiments, L^1 is –C(O)–.

[00126] In still other embodiments, and combinations thereof, L^1 is a bond, –O–, –NR^5–, –NR^5–C_{1-4}alkylene–, –O–C_{1-4}alkylene–, –C_{1-4}alkylene–, –C(O)–,–NR^5C(O)–, –OC(O)–, –NR^5C(O)NR^5–, –NR^5C(O)O–, –NR^5–C_{1-4}alkylene–C(O)–, –O–C_{1-4}alkylene–C(O)–, –C_{1-4}alkylene–C(O)–, –NR^5C(O)–C_{1-4}alkylene–, –OC(O)–C_{1-4}alkylene–, –NR^5C(O)NR^5–C_{1-4}alkylene–, –NR^5C(O)O–C_{1-4}alkylene–, or –NR^5–C_{1-4}alkylene–O–, wherein each R^5 is independently hydrogen or C_{1-4}alkyl, and the C_{1-4}alkylene of –NR^5–C_{1-4}alkylene–, –O–C_{1-4}alkylene–, –C_{1-4}alkylene–, NR^5–C_{1-4}alkylene–C(O)–, –O–C_{1-4}alkylene–C(O)–, –C_{1-4}alkylene–C(O)–, –NR^5C(O)–C_{1-4}alkylene–, –OC(O)–C_{1-4}alkylene–, –NR^5C(O)NR^5–C_{1-4}alkylene–, –NR^5C(O)O–C_{1-4}alkylene–, or –NR^5–C_{1-4}alkylene–O– is optionally substituted with 1-6 halogens (e.g., fluoro). For example, in some embodiments, each of the foregoing C_{1-4}alkylenes is optionally substituted with three fluoros.

[00127] In some embodiments, L^1-R^1 is –NR^5–C_{1-4}alkylene–R^1, –O–C_{1-4}alkylene–R^1, –NR^5C(O)–R^1, –OC(O)–R^1, –NR^5C(O)O–R^1, –NR^5–C_{1-4}alkylene–C(O)–R^1, –O–C_{1-4}alkylene–C(O)–R^1, –C_{1-4}alkylene–C(O)–R^1, –NR^5C(O)–C_{1-4}alkylene–R^1, –OC(O)–C_{1-4}alkylene–R^1, –NR^5C(O)NR^5–C_{1-4}alkylene–R^1, –NR^5C(O)O–C_{1-4}alkylene–R^1, or –NR^5–C_{1-4}alkylene–O–R^1,

wherein each R^5 is independently hydrogen or C_{1-4}alkyl, and the C_{1-4}alkylene of –NR^5–C_{1-4}alkylene–, –O–C_{1-4}alkylene–, –C_{1-4}alkylene–, NR^5–C_{1-4}alkylene–C(O)–, –O–C_{1-4}alkylene–C(O)–, –C_{1-4}alkylene–C(O)–, –NR^5C(O)–C_{1-4}alkylene–, –OC(O)–C_{1-4}alkylene–, –NR^5C(O)NR^5–C_{1-4}alkylene–, –NR^5C(O)O–C_{1-4}alkylene–, or –NR^5–C_{1-4}alkylene–O– is optionally substituted with 1-6 halogens. In other embodiments, the L^1 is reversed such that L^1-R^1 is R^1–NR^5–C_{1-4}alkylene–, R^1–O–C_{1-4}alkylene–, R^1–NR^5C(O)–, R^1–OC(O)–, R^1–NR^5C(O)O–, R^1–NR^5–C_{1-4}alkylene–C(O)–, R^1–O–C_{1-4}alkylene–C(O)–, R^1–C_{1-4}alkylene–C(O)–, R^1–NR^5C(O)–C_{1-4}alkylene–, R^1–OC(O)–C_{1-4}alkylene–, R^1–NR^5C(O)NR^5–C_{1-4}alkylene–, R^1–NR^5C(O)O–C_{1-4}alkylene–, or R^1–NR^5–C_{1-4}alkylene–O–, wherein each R^5 is independently hydrogen or C_{1-4}alkyl, and the C_{1-4}alkylene of –NR^5–C_{1-4}alkylene–, –O–C_{1-4}alkylene–, –C_{1-4}alkylene–, NR^5–C_{1-4}alkylene–C(O)–, –O–C_{1-4}alkylene–C(O)–, –C_{1-4}alkylene–C(O)–, –NR^5C(O)–C_{1-4}alkylene–, –OC(O)–C_{1-4}alkylene–, –NR^5C(O)NR^5–C_{1-4}alkylene–, –NR^5C(O)O–C_{1-4}alkylene–, or –NR^5–C_{1-4}alkylene–O– is optionally substituted with 1-6 halogens.

[00128] Further in accordance with the embodiments described herein above and below are embodiments where X^1 and X^2 are each CH. In alternative embodiments, X^1 is N and X^2 is CH. In still other embodiments, X^1 is CH and X^2 is N. In other embodiments, X^1, X^2, and X^3 are each CH. In other embodiments, X^1 is CH, X^2 is CR^{X2}, and X^3 is CR^3. In other embodiments, X^1 is CH, X^2 is CR^{X2}, and X^3 is CH. In other embodiments, X^1 is CH, X^2 is C-F, and X^3 is CH. In other embodiments, X^1 is CH, X^2 is CH, and X^3 is CR^3. In other embodiments, X^1 is N and X^2 and X^3 and are CH. In other embodiments, X^1 is N, X^2 is CR^{X2}, and X^3 is CR^3. In other embodiments, X^1 is CH, X^2 is N, and X^3 is CH. In other embodiments, X^1 is CH, X^2 is N, and X^3 is CR^3. In other embodiments, X^1 and X^2 are CH, and X^3 is N. In other embodiments, X^1 is CH, X^2 is CR^{X2}, and X^3 is N. In other embodiments, X^1 and X^2 are N, and X^3 is CH. In other embodiments, X^1 and X^2 are N, and X^3 is CR^3.

[00129] R^3 and R^{X2} are each independently selected from the group consisting of hydrogen, halogen (e.g, fluoro), C_{1-4}alkyl (methyl), C_{1-4}haloalkyl, –OC_{1-4}alkyl, or cyano.

[00130] Further according to each of the foregoing embodiments, R^4 is phenyl or a 6-membered heteroaryl containing 1-3 nitrogen atoms, R^4 being optionally substituted with 1-3 substituents independently selected from the group consisting of halogen (e.g., fluoro, chloro), hydroxyl, cyano, –$S(O)_2C_{1-4}$alkyl (e.g., –SO_2CH_3), –S(O)C_{1-4}alkyl (e.g., –$SOCH_3$), –SC_{1-4}alkyl (e.g., –SCH_3), C_{1-4}alkyl (e.g., methyl, ethyl), C_{1-4}haloalkyl (e.g., –CF_3), –OC_{1-4}alkyl (e.g., –

OCH_3, $–OCH_2CH_3$, $–OCH(CH_3)_2$), $–OC_{1-4}$haloalkyl (e.g., $–OCF_3$), $–C_{1-4}$alkylene$–OC_{1-4}$alkyl (e.g., $–CH_2OCH_3$), $–C_{1-4}$alkylene$–N(C_{1-4}$alkyl$)(C_{1-4}$alkyl) (e.g., $–CH_2N(CH_3)(CH_2CH_3)$), $–NH(C_{1-4}$alkylene$–OC_{1-4}$alkyl) (e.g., $–NH(CH_2CH_2OCH_3)$), $–NH(C_{1-4}$alkylene$–OH)$ (e.g., $–NH(CH_2CH_2OH)$), $–N(C_{1-4}$alkyl$)(C_{1-4}$alkylene$–OC_{1-4}$alkyl) (e.g., $–N(CH_3)(CH_2CH_2OCH_3)$), $–N(C_{1-4}$alkyl$)(C_{1-4}$alkylene$–OH)$(e.g., $–N(CH_3)(CH_2CH_2OH)$), $–NH_2$, $–NH(C_{1-4}$alkyl), $–N(C_{1-4}$alkyl$)(C_{1-4}$alkyl)), C_{3-6}cycloalkyl, C_{5-6}cycloalkenyl, or a 4- to 8-membered monocyclic heterocycle containing 1-2 nitrogen atoms (e.g., azetidin-1-yl, pyrrolidin-1-yl, azepan-1-yl), the C_{3-6}cycloalkyl, the C_{5-6}cycloalkenyl, and the 4- to 8-membered monocyclic heterocycle being independently optionally substituted with 1-2 substituents independently selected from the group consisting of halogen (e.g., fluoro), hydroxyl, $–OC_{1-4}$alkyl (e.g., $–OCH_3$), C_{1-4}alkyl (e.g., ethyl), C_{1-4}haloalkyl, $–C_{1-4}$alkylene$–OC_{1-4}$alkyl (e.g., $–CH_2OCH_3$, $–CH_2OCH_2CH_3$), and $–C_{1-4}$alkylene$–OH$ (e.g., $–CH_2OH$, $–C(OH)(CH_3)_2$). In further embodiments, R^4 is phenyl, or a 6-membered heteroaryl such as pyrazinyl, pyrimidinyl, pyridazinyl, or pyridinyl, each optionally substituted as defined above. The 6-membered heteroaryl at R^4 includes a pyridone ring, which is defined herein by the tautomeric hydroxypyridine form, whether or not the pyridone or the hydroxypyridine tautomer predominates. In some embodiments, R^4 is phenyl, the phenyl being optionally substituted with one substituent selected from the group consisting of halogen, hydroxyl, cyano, $–S(O)_2C_{1-4}$alkyl, $–S(O)C_{1-4}$alkyl, $–SC_{1-4}$alkyl, C_{1-4}alkyl, C_{1-4}haloalkyl, $–OC_{1-4}$alkyl, $–OC_{1-4}$haloalkyl, $–C_{1-4}$alkylene$–OC_{1-4}$alkyl, $–C_{1-4}$alkylene$–N(C_{1-4}$alkyl$)(C_{1-4}$alkyl), $–NH(C_{1-4}$alkylene$–OC_{1-4}$alkyl), $–NH(C_{1-4}$alkylene$–OH)$, $–N(C_{1-4}$alkyl$)(C_{1-4}$alkylene$–OC_{1-4}$alkyl), $–N(C_{1-4}$alkyl$)(C_{1-4}$alkylene$–OH)$, $–NH_2$, $–NH(C_{1-4}$alkyl), $–N(C_{1-4}$alkyl$)(C_{1-4}$alkyl), C_{3-6}cycloalkyl, C_{5-6}cycloalkenyl, or a 4- to 8-membered monocyclic heterocycle containing 1-2 nitrogen atoms, the C_{3-6}cycloalkyl, the C_{5-6}cycloalkenyl, and the 4- to 8-membered monocyclic heterocycle being independently optionally substituted with 1-2 substituents independently selected from the group consisting of halogen, hydroxyl, $–OC_{1-4}$alkyl, C_{1-4}alkyl, C_{1-4}haloalkyl, $–C_{1-4}$alkylene$–OC_{1-4}$alkyl, and $–C_{1-4}$alkylene$–OH$, and the phenyl being further optionally substituted with 1-2 substituents independently selected from the group consisting of halogen and C_{1-4}alkyl. In yet additional embodiments, R^4 is phenyl, the phenyl being optionally substituted with one substituent selected from the group consisting of halogen, cyano, $–S(O)_2C_{1-4}$alkyl, $–S(O)C_{1-4}$alkyl, $–SC_{1-4}$alkyl, C_{1-4}alkyl, C_{1-4}haloalkyl, $–OC_{1-4}$alkyl, $–OC_{1-4}$haloalkyl, $–C_{1-4}$alkylene$–OC_{1-4}$alkyl, $–C_{1-4}$alkylene$–N(C_{1-4}$alkyl$)(C_{1-4}$alkyl), $–N(C_{1-4}$alkyl$)(C_{1-4}$alkylene$–OC_{1-}$

$_4$alkyl), or a 4- to 8-membered monocyclic heterocycle containing 1-2 nitrogen atoms, the 4- to 8-membered monocyclic heterocycle being independently optionally substituted with 1-2 substituents independently selected from the group consisting of halogen, –OC_{1-4}alkyl, C_{1-4}alkyl, –C_{1-4}alkylene–OC_{1-4}alkyl, and –C_{1-4}alkylene–OH, and the phenyl being further optionally substituted with 1-2 substituents independently selected from the group consisting of halogen and C_{1-4}alkyl. Alternatively, R^4 is pyrazinyl, the pyrazinyl being optionally substituted with 1-3 C_{1-4}alkyl groups. In another alternative, R^4 is pyrimidinyl (e.g., pyrimidin-4-yl, pyrimidin-5-yl), the pyrimidinyl being optionally substituted with one substituent selected from halogen, –$S(O)_2C_{1-4}$alkyl, –$S(O)C_{1-4}$alkyl, –SC_{1-4}alkyl, C_{1-4}alkyl, –OC_{1-4}alkyl, or –C_{1-4}alkylene–OC_{1-4}alkyl, the pyrimidinyl being further optionally substituted with C_{1-4}alkyl. In still a further alternative, R^4 is pyridazinyl (e.g., pyridazin-4-yl). In another alternative, R^4 is pyridinyl (e.g., pyridin-2-yl, pyridin-3-yl, pyridin-4-yl), the pyridinyl being optionally substituted with one substituent selected from the group consisting of halogen, hydroxyl, C_{1-4}alkyl, and a 4- to 8-membered monocyclic heterocycle containing 1-2 nitrogen atoms, the pyridinyl being further optionally substituted with 1-2 substituents selected from halogen and C_{1-4}alkyl.

[00131] In further embodiments according to the foregoing, R^4 is phenyl optionally substituted with 1-3 substituents independently selected from the group consisting of halogen and C_{1-4}alkyl. For example, in certain embodiments, R^4 is phenyl optionally substituted with 1-2 fluoro atoms or 1 fluoro and 1 methyl group. In certain embodiments, R^4 is independently any of phenyl, 3,5-difluorophenyl, 3-fluorophenyl, 3,4-difluorophenyl, 2,5-difluorophenyl, or 3-fluoro-5-methylphenyl.

[00132] In further embodiments according to the foregoing, R^4 is pyrazine, which is unsubstituted.

[00133] R^2 is C_{1-4}alkyl (e.g., methyl, ethyl, isopropyl, t-butyl), C_{1-4}haloalkyl (e.g., CF_3, CHF_2), halogen (e.g., fluoro, chloro, bromo), hydroxyl, cyano, –$S(O)_2C_{1-4}$alkyl (e.g., –$S(O)_2CH_3$), –$S(O)C_{1-4}$alkyl (e.g., –$S(O)CH_3$), –SC_{1-4}alkyl (e.g., –SCH_3), –OC_{1-4}alkyl (e.g., –OCH_3, –$OCH(CH_3)_2$), –OC_{1-4}haloalkyl (e.g., –OCF_3), –$C(O)C_{1-4}$alkyl (e.g., –$C(O)CH_3$), –$C(O)OC_{1-4}$alkyl (e.g., –$C(O)OCH_3$), –$C(O)NH_2$, –$C(O)NH(C_{1-4}$alkyl) (e.g., –$C(O)NHCH_3$), –$C(O)N(C_{1-4}$alkyl)(C_{1-4}alkyl), –C_{1-4}alkylene–OC_{1-4}alkyl (e.g., –CH_2OCH_3), –C_{1-4}alkylene–OH (e.g., –CH_2OH), or G^{10}, G^{10} being a C_{3-6}cycloalkyl (e.g., cyclopropyl), C_{5-6}cycloalkenyl (e.g., cyclopentenyl), or a 4- to 8-membered monocyclic heterocycle containing 1 to 2 heteroatoms

selected from nitrogen and oxygen and optionally containing 1 double bond (e.g., tetrahydropyran-4-yl, 3,6-dihydro-2H-pyran-4-yl, tetrahydrofuran-3-yl, 2,5-dihydrofuran-3-yl, oxetan-3-yl, morpholin-4-yl, azetidin-1-yl, piperazin-1-yl), G^{10} being optionally substituted with 1-2 substituents independently selected from oxo, halogen, C_{1-4}alkyl (e.g., methyl), C_{1-4}haloalkyl, and G^{20}, G^{20} being a C_{3-6}cycloalkyl, or a 4- to 8-membered monocyclic heterocycle containing 1 to 2 heteroatoms selected from nitrogen and oxygen (e.g., oxetan-3-yl, morpholino), G^{20} being optionally substituted with 1-4 substituents independently selected from the group consisting of C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, hydroxyl, and oxo. In some embodiments, R^2 may be G^{10}–G^{20}, where G^{10} and G^{20} are optionally substituted as described herein. In some embodiments, R^2 is C_{1-4}alkyl, C_{1-4}haloalkyl, halogen or C_{3-6}cycloalkyl. In other embodiments, R^2 is C_{1-4}alkyl, C_{1-4}haloalkyl, or C_{3-6}cycloalkyl. In other embodiments, R^2 is C_{1-4}alkyl or C_{1-4}haloalkyl. In other embodiments, R^2 is a 4- to 8-membered monocyclic heterocycle containing 1 to 2 nitrogen atoms (e.g., azetidine, piperazine) optionally substituted with a 4- to 8-membered monocyclic heterocycle containing 1 to 2 heteroatoms selected from nitrogen and oxygen (e.g., oxetane, morpholine). In one group of compounds, R^2 is methyl, ethyl, fluoro, trifluoromethyl, difluoromethyl, or cyclopropyl. In another group of compounds, R^2 is methyl, ethyl, trifluoromethyl, difluoromethyl, or cyclopropyl.

[00134] In still other embodiments, X^1 and X^2 are each CH and R^2 is C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, cyano, –$S(O)_2C_{1-4}$alkyl, –$S(O)C_{1-4}$alkyl, –SC_{1-4}alkyl, –OC_{1-4}alkyl, –OC_{1-4}haloalkyl, –$C(O)C_{1-4}$alkyl, C_{3-6}cycloalkyl, C_{5-6}cycloalkenyl, or a 4- to 8-membered monocyclic heterocycle containing 1 to 2 heteroatoms selected from nitrogen and oxygen and optionally containing 1 double bond, the C_{3-6}cycloalkyl, the C_{5-6}cycloalkenyl, and the 4- to 8-membered monocyclic heterocycle being optionally substituted with 1-2 substituents independently selected from oxo, halogen, C_{1-4}alkyl, and C_{1-4}haloalkyl; or X^1 is N, X^2 is CH and R^2 is C_{1-4}alkyl, halogen, C_{3-6}cycloalkyl, or a 4- to 8-membered monocyclic heterocycle containing 1 to 2 heteroatoms selected from nitrogen and oxygen and optionally containing 1 double bond, the C_{3-6}cycloalkyl, the C_{5-6}cycloalkenyl, and the 4- to 8-membered monocyclic heterocycle being optionally substituted with 1-2 substituents independently selected from oxo, halogen, C_{1-4}alkyl, and C_{1-4}haloalkyl; or X^1 is CH, X^2 is N, and R^2 is C_{1-4}alkyl.

[00135] In other embodiments, X^1 and X^2 are each CH and R^2 is C_{1-4}alkyl (e.g., methyl, ethyl, isopropyl, t-butyl), C_{1-4}haloalkyl (e.g., CF_3, CHF_2), halogen (e.g., fluoro, chloro, bromo), cyano,

–$S(O)_2C_{1-4}$alkyl (e.g., –$S(O)_2CH_3$), –SC_{1-4}alkyl (e.g., –SCH_3), –OC_{1-4}alkyl (e.g., –OCH_3), –OC_{1-4}haloalkyl (e.g., –OCF_3), C_{3-6}cycloalkyl (e.g., cyclopropyl), or a 4- to 6-membered monocyclic heterocycle containing 1 to 2 heteroatoms selected from nitrogen and oxygen and optionally containing 1 double bond (e.g., tetrahydropyran-4-yl, 3,6-dihydro-2H-pyran-4-yl, tetrahydrofuran-3-yl, 2,5-dihydrofuran-3-yl, oxetan-3-yl, morpholin-4-yl); or X^1 is N, X^2 is CH, and R^2 is C_{1-4}alkyl (e.g. methyl), halogen (e.g., chloro), C_{3-6}cycloalkyl (e.g., cyclopropyl), or a 6-membered monocyclic heterocycle containing 1 to 2 heteroatoms selected from nitrogen and oxygen (e.g., morpholin-4-yl); or X^1 is CH, X^2 is N, and R^2 is C_{1-4}alkyl (e.g. methyl).

[00136] R^3 is hydrogen, halogen (e.g., fluoro, chloro, bromo), C_{1-4}alkyl (e.g., methyl, ethyl), C_{1-4}haloalkyl (e.g., CF_3, CHF_2), –OC_{1-4}alkyl (e.g., –OCH_3), or cyano. In some embodiments of formula (I), X^1 and X^2 are each CH and R^3 is hydrogen, halogen, C_{1-4}alkyl, C_{1-4}haloalkyl, –OC_{1-4}alkyl, or cyano. In other embodiments, X^1 and X^2 are each CH and R^3 is hydrogen, halogen, C_{1-4}alkyl, or –OC_{1-4}alkyl. In one group of compounds, X^1 and X^2 are each CH and R^3 is hydrogen, fluoro, methyl, or methoxy. In other embodiments, X^1 and X^2 are as defined herein and R^3 is hydrogen. In one group of compounds, X^1 and X^2 are each CH and R^3 is hydrogen. In another group of compounds, X^1 is N, X^2 is CH, and R^3 is hydrogen. In still another group of compounds, X^1 is CH, X^2 is N, and R^3 is hydrogen.

[00137] In yet other embodiments, the invention provides particular combinations of L^1, R^1, R^2, R^3, R^4, X^1 and X^2.

[00138] In one embodiment, R^1 is –G^1–L^2–R^6, –G^1–L^2–R^7, or G^2; L^1 is a bond; G^1 is a 6-membered monocyclic heterocycle containing 1 or 2 nitrogen atoms; L^2 is a bond; R^6 is a 4-membered monocyclic heterocycle containing 1 oxygen atom and optionally substituted with C_{1-4}alkyl; R^7 is a cyclopropyl; G^2 is a 6-membered monocyclic heterocycle containing 1 or 2 nitrogen atoms and substituted with C_{1-4}alkyl or G^2 is a 4-membered monocyclic heterocycle containing 1 oxygen atom; R^2 is C_{1-4}alkyl C_{1-4}haloalkyl, or C_{3-6}cycloalkyl; R^3 is hydrogen; R^4 is phenyl optionally substituted with 1-2 substituents selected from halogen and C_{1-4}alkyl or R^4 is pyrazinyl; and X^1 and X^2 are each CH or X^1 is CH and X^2 is N. In one group of compounds, G^1 is piperazin-1-yl; L^2 is a bond; R^6 is oxetan-3-yl or 3-methyloxetan-3-yl, each attached to the 4-position of the piperazin-1-yl of G^1; R^7 is cyclopropyl attached to the 4-position of the piperazin-1-yl of G^1; G^2 is 4-methylpiperazin-1-yl or oxetan-3-yl; R^2 is methyl, ethyl, trifluoromethyl, difluoromethyl, or cyclopropyl; R^3 is hydrogen; R^4 is phenyl, 3,5-difluorophenyl, 3-

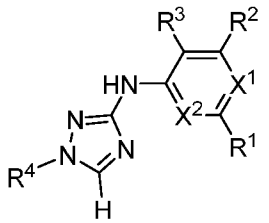
fluorophenyl, 3,4-difluorophenyl, 2,5-difluorophenyl, 3-fluoro-5-methylphenyl, or pyrazin-2-yl; and X^1 and X^2 are each CH, or X^1 is CH and X^2 is N.

[00139] In another embodiment, R^1 is $–G^1–L^2–R^6$; L^1 is a bond; G^1 is a 6-membered monocyclic heterocycle containing 1 or 2 nitrogen atoms; L^2 is a bond; R^6 is a 4-membered monocyclic heterocycle containing 1 oxygen atom and optionally substituted with C_{1-4}alkyl; R^2 is C_{1-4}alkyl, C_{1-4}haloalkyl, or C_{3-6}cycloalkyl; R^3 is hydrogen; R^4 is phenyl optionally substituted with 1-2 substituents selected from halogen and C_{1-4}alkyl or R^4 is pyrazinyl; and X^1 and X^2 are each CH, or X^1 is CH and X^2 is N. In one group of compounds, G^1 is piperazin-1-yl; L^2 is a bond; R^6 is oxetan-3-yl or 3-methyloxetan-3-yl, each attached to the 4-position of the piperazin-1-yl of G^1; R^2 is methyl, ethyl, trifluoromethyl, difluoromethyl, or cyclopropyl; R^3 is hydrogen; R^4 is phenyl, 3,5-difluorophenyl, 3-fluorophenyl, 3,4-difluorophenyl, 2,5-difluorophenyl, 3-fluoro-5-methylphenyl, or pyrazin-2-yl; and X^1 and X^2 are each CH, or X^1 is CH and X^2 is N.

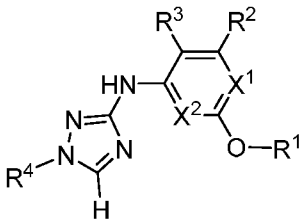
[00140] In another embodiment, R^1 is $–G^1–L^2–R^7$; L^1 is a bond; G^1 is a 6-membered monocyclic heterocycle containing 1 or 2 nitrogen atoms; L^2 is a bond; R^7 is a cyclopropyl; R^2 is C_{1-4}alkyl, C_{1-4}haloalkyl, or C_{3-6}cycloalkyl; R^3 is hydrogen; R^4 is phenyl optionally substituted with 1-2 substituents selected from halogen and C_{1-4}alkyl or R^4 is pyrazinyl; and X^1 and X^2 are each CH, or X^1 is CH and X^2 is N. In one group of compounds, G^1 is piperazin-1-yl; L^2 is a bond; R^7 is cyclopropyl attached to the 4-position of the piperazin-1-yl of G^1; R^2 is methyl, ethyl, trifluoromethyl, difluoromethyl, or cyclopropyl; R^3 is hydrogen; R^4 is phenyl, 3,5-difluorophenyl, 3-fluorophenyl, 3,4-difluorophenyl, 2,5-difluorophenyl, 3-fluoro-5-methylphenyl, or pyrazin-2-yl; and X^1 and X^2 are each CH, or X^1 is CH and X^2 is N.

[00141] In another embodiment, R^1 is G^2; L^1 is a bond; G^2 is a 6-membered monocyclic heterocycle containing 1 or 2 nitrogen atoms and substituted with C_{1-4}alkyl or G^2 is a 4-membered monocyclic heterocycle containing 1 oxygen atom; R^2 is C_{1-4}alkyl, C_{1-4}haloalkyl, or C_{3-6}cycloalkyl; R^3 is hydrogen; R^4 is phenyl optionally substituted with 1-2 substituents selected from halogen and C_{1-4}alkyl or R^4 is pyrazinyl; and X^1 and X^2 are each CH, or X^1 is CH and X^2 is N. In one group of compounds, G^2 is 4-methylpiperazin-1-yl or oxetan-3-yl; R^2 is methyl, ethyl, trifluoromethyl, difluoromethyl, or cyclopropyl; R^3 is hydrogen; R^4 is phenyl, 3,5-difluorophenyl, 3-fluorophenyl, 3,4-difluorophenyl, 2,5-difluorophenyl, 3-fluoro-5-methylphenyl, or pyrazin-2-yl; and X^1 and X^2 are each CH, or X^1 is CH and X^2 is N.

[00142] In some embodiments are compounds of formula (II), formula (III), formula (IV) or formula (V), wherein R^1, R^2, R^3, R^4, R^5, X^1, and X^2 are as defined herein:



(II)



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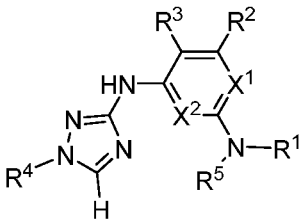

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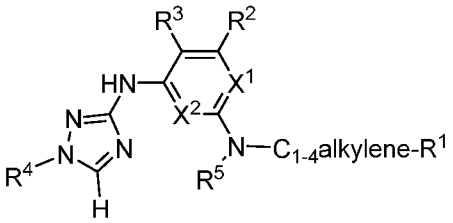
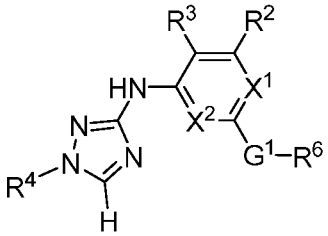
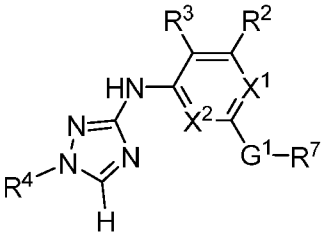


(V)

[00143] Included in compounds of formula (II) are compounds of formula (IIA), (IIB), (IIC), (IID), (IIE) and (IIF), wherein G^1, G^2, G^3, G^4, G^5, R^2, R^3, R^4, R^6, R^7, X^1, and X^2 are as defined herein:



(IIA)



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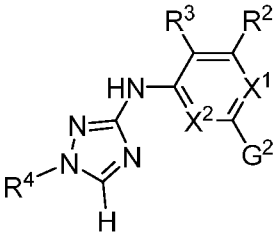
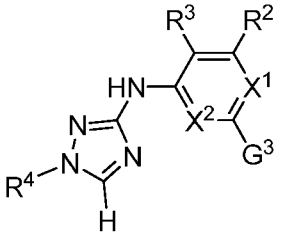
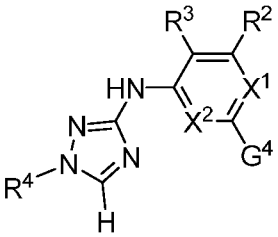


(IIC)



(IID)



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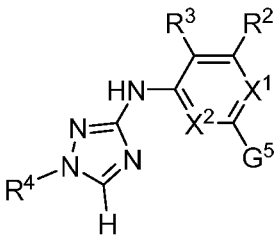
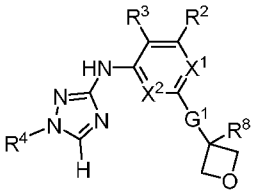
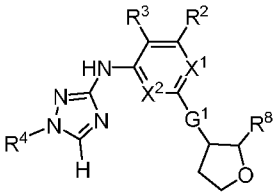


(IIF)

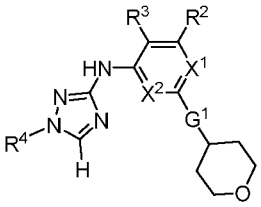
[00144] In some embodiments of formula (IIA), are compounds of formula (IIA-1), (IIA-2), (IIA-3), (IIA-4), (IIA-5), (IIA-6), (IIA-7), (IIA-8), or (IIA-9):



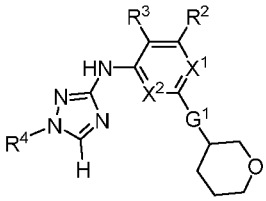
(IIA-1)



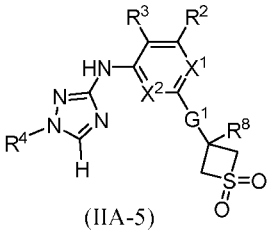
(IIA-2)



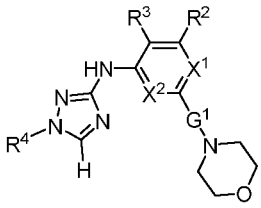
(IIA-3)



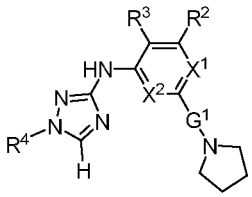
(IIA-4)



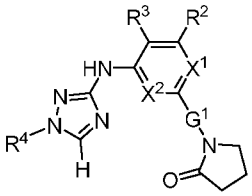
(IIA-5)



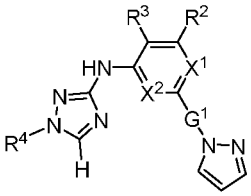
(IIA-6)



(IIA-7)

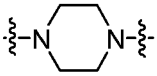
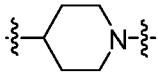
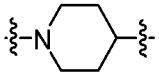


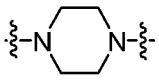
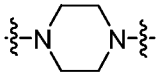
(IIA-8)



(IIA-9)

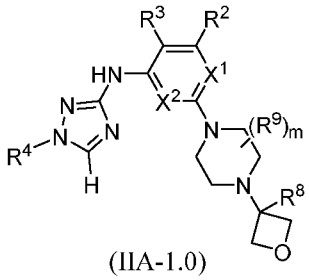
wherein R^8 is hydrogen or C_{1-4}alkyl and G^1, R^2, R^3, R^4, X^1, and X^2 are as defined herein.

[00145] In some embodiments according to formula (IIA), (IIA-1), (IIA-2), (IIA-3), (IIA-4), (IIA-5), (IIA-6) , (IIA-7), (IIA-8), or (IIA-9), G^1 is  ,  , or  .

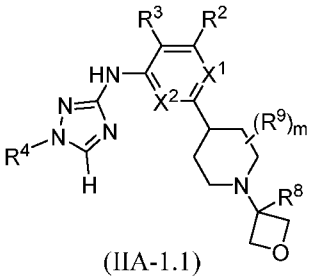
In another embodiment, G^1 is  ; and R^8 is hydrogen or C_{1-4}alkyl. In a further embodiment, G^1 is  ; and R^8 is hydrogen or methyl.

[00146] In another embodiment, the present invention features a compound of formula (IIA), (IIA-1), (IIA-2), (IIA-3), (IIA-4), (IIA-5), (IIA-6) , (IIA-7), (IIA-8), or (IIA-9) and the attendant definitions, wherein one or more hydrogen atoms are replaced by a deuterium atom

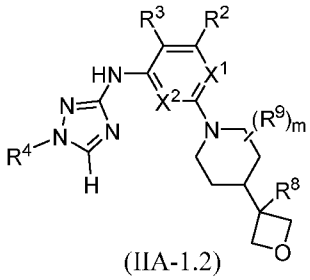
[00147] In other embodiments, compounds of formula (IIA-1) may be represented by the formulas (IIA-1.0) to (IIA-1.9):



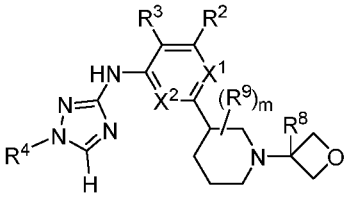
(IIA-1.0)



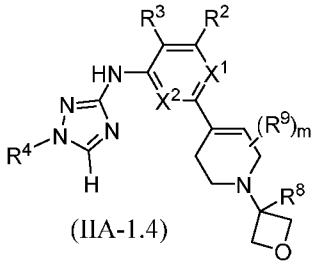
(IIA-1.1)



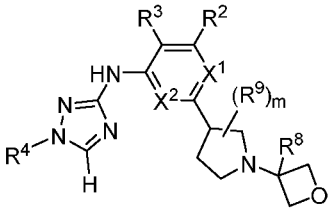
(IIA-1.2)



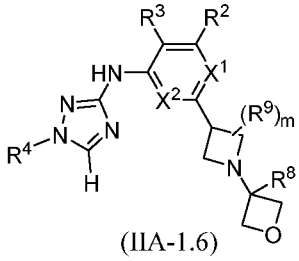
(IIA-1.3)



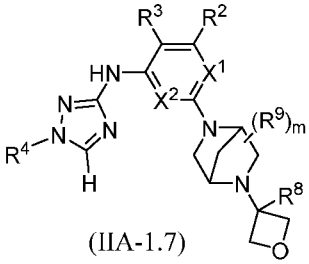
(IIA-1.4)



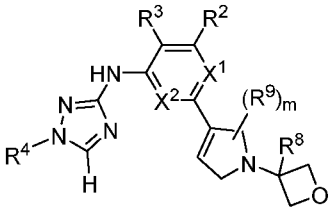
(IIA-1.5)



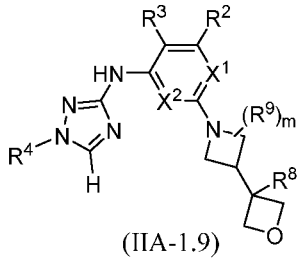
(IIA-1.6)



(IIA-1.7)



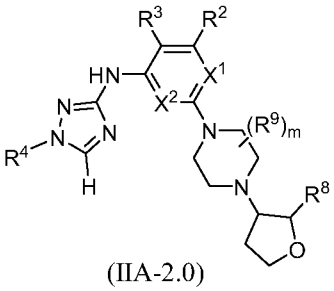
(IIA-1.8)



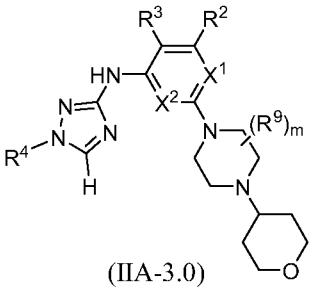
(IIA-1.9)

wherein R^9 is C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, hydroxyl, or oxo, m is an integer from 0 to 4, and R^2, R^3, R^4, R^8, X^1, and X^2 are as defined herein.

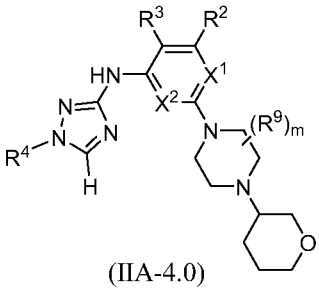
In some embodiments, m is 0. In other embodiments, compounds of formulas (IIA-2), (IIA-3), (IIA-4), (IIA-5), (IIA-6), (IIA-7), (IIA-8), and (IIA-9) may be represented, respectively, by the formulas (IIA-2.0), (IIA-3.0), (IIA-4.0), (IIA-5.0), (IIA-6.0), (IIA-6.1), (IIA-6.2), (IIA-7.0), (IIA-8.0), (IIA-8.1), (IIA-8.2), and (IIA-9.0):



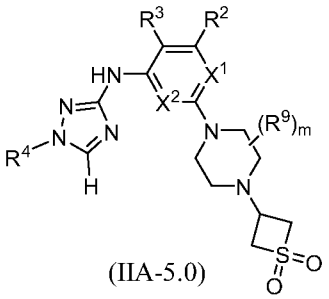
(IIA-2.0)



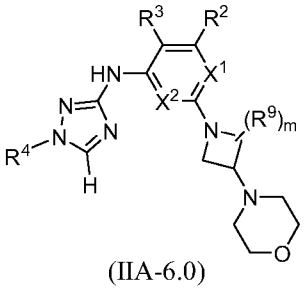
(IIA-3.0)



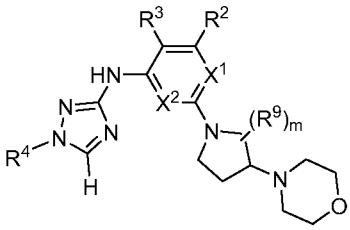
(IIA-4.0)



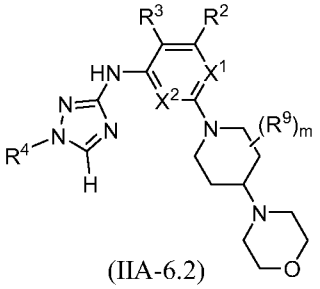
(IIA-5.0)



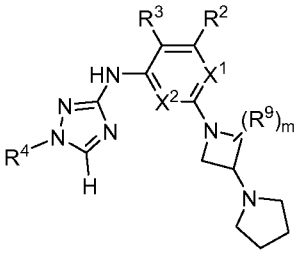
(IIA-6.0)



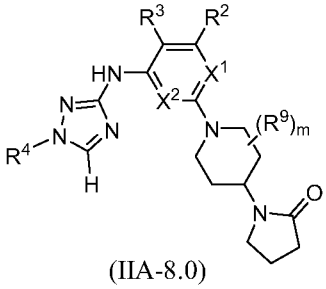
(IIA-6.1)



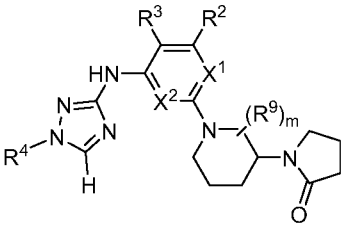
(IIA-6.2)



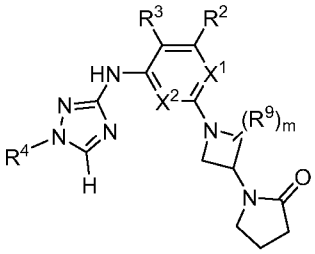
(IIA-7.0)



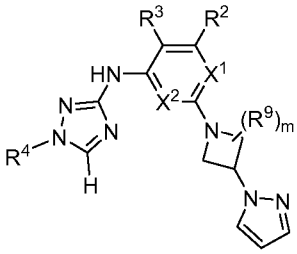
(IIA-8.0)



(IIA-8.1)



(IIA-8.2)



(IIA-9.0)

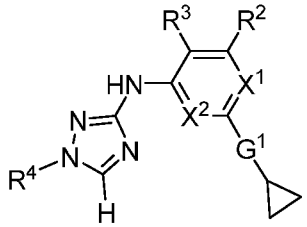
wherein m, R^2, R^3, R^4, R^8, R^9, X1, and X^2 are as defined herein.

[00148] In the compounds of formulas (IIA-1) to (IIA-9), (IIA-1.0) to (IIA-1.9), (IIA-2.0), (IIA-3.0), (IIA-4.0), (IIA-5.0), (IIA-6.0), (IIA-6.1), (IIA-6.2), (IIA-7.0), (IIA-8.0), (IIA-8.1), (IIA-8.2), and (IIA-9.0) are embodiments wherein R^2 is C_{1-4}alkyl C_{1-4}haloalkyl, or C_{3-6}cycloalkyl; R^3 is hydrogen; R^4 is phenyl optionally substituted with 1-2 substituents selected from halogen and C_{1-4}alkyl or R^4 is pyrazinyl. In some embodiments, R^2 is methyl, ethyl,

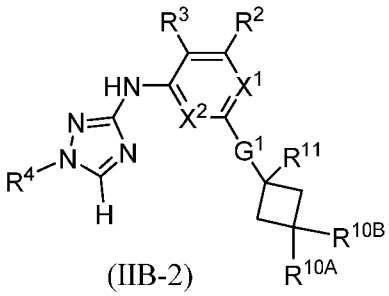
trifluoromethyl, difluoromethyl, or cyclopropyl; R^3 is hydrogen; R^4 is phenyl, 3,5-difluorophenyl, 3-fluorophenyl, 3,4-difluorophenyl, 2,5-difluorophenyl, 3-fluoro-5-methylphenyl, or pyrazin-2-yl. In further embodiments, X^1 and X^2 are each CH; or X^1 is N and X^2 is CH; or X^1 is CH and X^2 is N.

[00149] In other embodiments, the present invention features compounds of formulas (IIA-1) to (IIA-9), (IIA-1.0) to (IIA-1.9), (IIA-2.0), (IIA-3.0), (IIA-4.0), (IIA-5.0), (IIA-6.0), (IIA-6.1), (IIA-6.2), (IIA-7.0), (IIA-8.0), (IIA-8.1), (IIA-8.2), and (IIA-9.0) and the attendant definitions, wherein one or more hydrogen atoms are replaced by a deuterium atom.

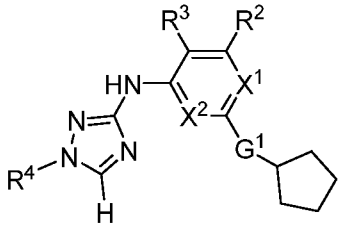
[00150] In some embodiments of formula (IIB), are compounds of formula (IIB-1), (IIB-2), (IIB-3), or (IIB-4):



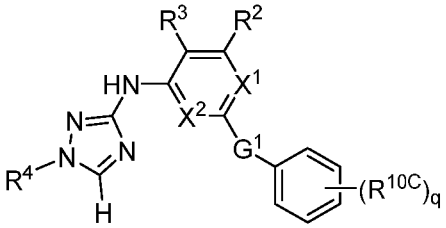
(IIB-1)



(IIB-2)

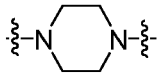
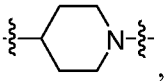
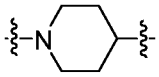
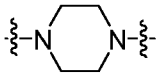


(IIB-3)

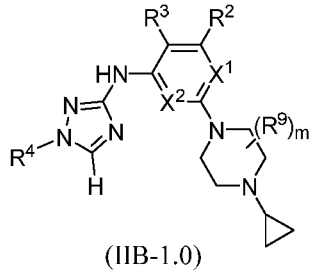


(IIB-4)

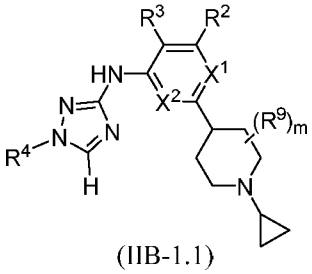
wherein R^{10A} and R^{10B} are each, independently hydrogen or halogen (e.g., fluoro), or COOH; R^{10C} is C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, hydroxyl, –C(O)OC_{1-4}alkyl, or –C(O)OH; q is an integer from 0 to 4; R^{11} is hydrogen or hydroxyl; and G^1, R^2, R^3, R^4, X^1, and X^2 are as defined herein. In some embodiments of formula (IIB-2), each of R^{10A}, R^{10B}, and R^{11} is hydrogen. In other embodiments of formula (IIB-2), R^{11} is hydroxyl and each of R^{10A} and R^{10B} is hydrogen. In yet other embodiments, R^{11} is hydrogen and each of R^{10A} and R^{10B} is

fluoro. In some embodiments according to formula (IIB-1), (IIB-2), (IIB-3) or (IIB-4), G^1 is  ,  , or  . In one embodiment, G^1 is  .

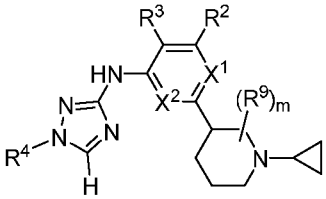
[00151] In other embodiments, compounds of formula (IIB-1), (IIB-2), (IIB-3) or (IIB-4) may be represented by the formulas (IIB-1.0) to (IIB-1.3), (IIB-2.0) to (IIB-2.3), (IIB-3.0) to (IIB-3.1) or (IIB-4.0):



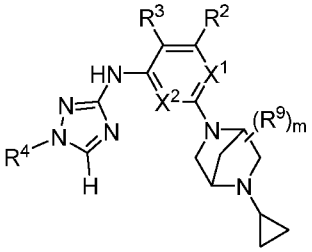
(IIB-1.0)



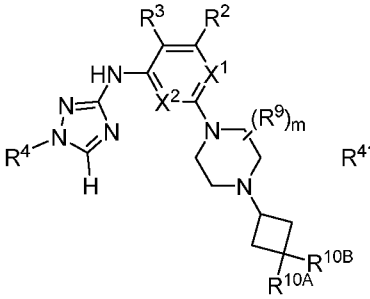
(IIB-1.1)



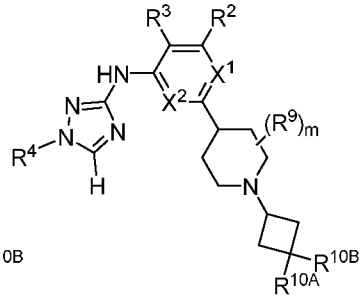
(IIB-1.2)



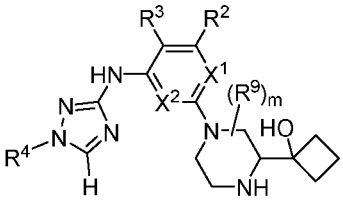
(IIB-1.3)



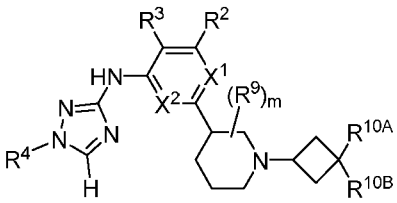
(IIB-2.0)



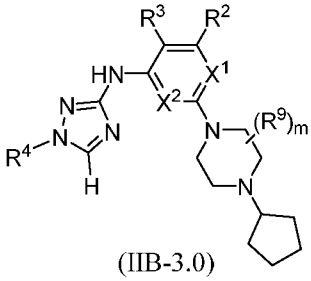
(IIB-2.1)



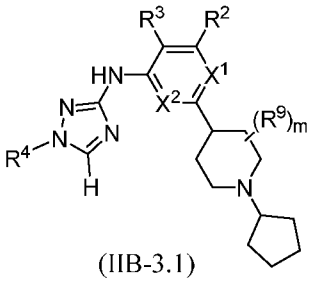
(IIB-2.2)



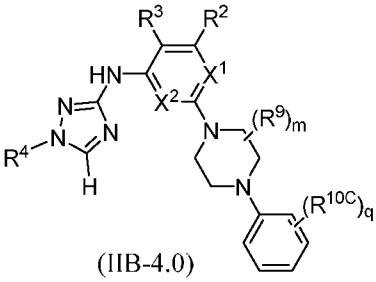
(IIB-2.3)



(IIB-3.0)



(IIB-3.1)



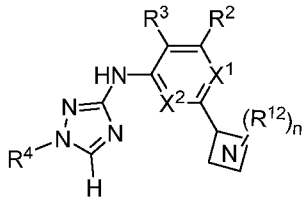
(IIB-4.0)

wherein R^9 is C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, hydroxyl, or oxo, m and q are each independently an integer from 0 to 4; and R^2, R^3, R^4, R^{10A}, R^{10B}, X^1, and X^2 are as defined herein.

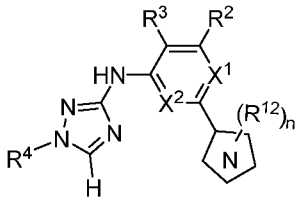
[00152] In the compounds of formulas (IIB-1), (IIB-2), (IIB-3), (IIB-4), (IIB-1.0) to (IIB-1.3), (IIB-2.0) to (IIB-2.3), (IIB-3.0) to (IIB-3.1) and (IIB-4.0) are embodiments wherein R^2 is C_{1-4}alkyl, C_{1-4}haloalkyl, or C_{3-6}cycloalkyl; R^3 is hydrogen; R^4 is phenyl optionally substituted with 1-2 substituents selected from halogen and C_{1-4}alkyl or R^4 is pyrazinyl. In some embodiments, R^2 is methyl, ethyl, trifluoromethyl, difluoromethyl, or cyclopropyl; R^3 is hydrogen; R^4 is phenyl, 3,5-difluorophenyl, 3-fluorophenyl, 3,4-difluorophenyl, 2,5-difluorophenyl, 3-fluoro-5-methylphenyl, or pyrazin-2-yl. In further embodiments, X^1 and X^2 are each CH; or X^1 is N and X^2 is CH; or X^1 is CH and X^2 is N.

[00153] In other embodiments, the present invention features compounds of formulas (IIB-1), (IIB-2), (IIB-3), (IIB-4), (IIB-1.0) to (IIB-1.3), (IIB-2.0) to (IIB-2.3), (IIB-3.0) to (IIB-3.1) and (IIB-4.0) and the attendant definitions, wherein one or more hydrogen atoms are replaced by a deuterium atom.

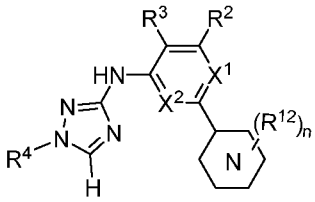
[00154] In some embodiments of formula (IIC) are compounds of formula (IIC-1), (IIC-2), (IIC-3), (IIC-4), (IIC-5), (IIC-6), (IIC-7), (IIC-8), (IIC-9) and (IIC-10):



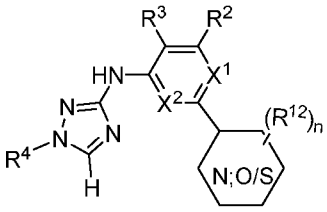
(IIC-1)



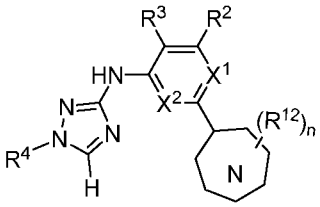
(IIC-2)



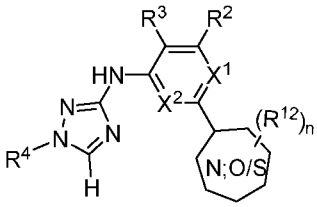
(IIC-3)



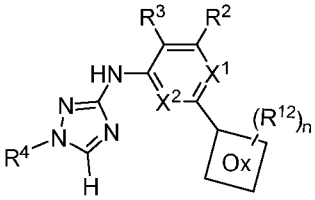
(IIC-4)



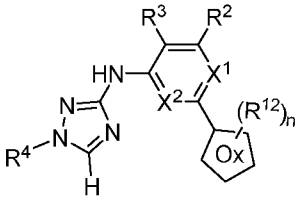
(IIC-5)



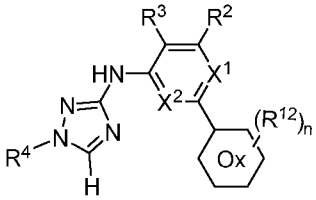
(IIC-6)



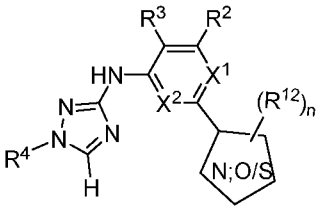
(IIC-7)



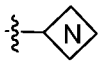
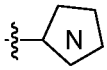
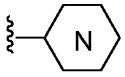
(IIC-8)

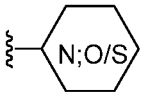


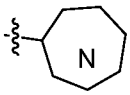
(IIC-9)

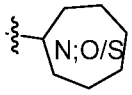


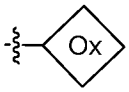
(IIC-10)

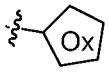
[00155] In each of formulas (IIC-1), (IIC-2), (IIC-3), (IIC-4), (IIC-5), (IIC-6), (IIC-7), (IIC-8), (IIC-9) and (IIC-10), R^{12} represents the optional G^2 substitution, as defined herein, and n is an integer from 0-4. In the foregoing formulas, the illustrated G^2 groups having the following meanings: In compounds of formula (IIC-1),  is a 4-membered monocyclic heterocycle containing one nitrogen atom. In compounds of formula (IIC-2),  is a 5-membered monocyclic heterocycle containing one nitrogen atom and optionally one double bond. In compounds of formula (IIC-3),  is a 6-membered monocyclic hetereocycle containing

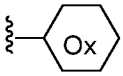
one nitrogen and optionally a second nitrogen, one double bond, and/or a C_{1-3}alkylene bridge between two non-adjacent ring atoms. In compounds of formula (IIC-4), 

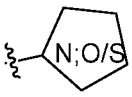
is a 6-membered monocyclic hetereocycle containing one nitrogen and one oxygen atom or sulfur atom, and optionally one double bond, and/or a C_{1-3}alkylene bridge between two non-adjacent ring atoms. In compounds of formula (IIC-5), 

is a 7-membered monocyclic hetereocycle containing one nitrogen and optionally a second nitrogen, one double bond, and/or a C_{1-3}alkylene bridge between two non-adjacent ring atoms. In compounds of formula (IIC-6), 

is a 7-membered monocyclic hetereocycle containing one nitrogen and one oxygen atom or sulfur atom, and optionally one double bond, and/or a C_{1-3}alkylene bridge between two non-adjacent ring atoms. In compounds of formula (IIC-7), 

is a 4-membered monocyclic heterocycle containing one oxygen atom. In compounds of formula (IIC-8), 

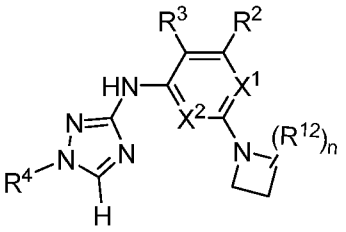
is a 5-membered monocyclic heterocycle containing one oxygen atom and optionally one double bond. In compounds of formula (IIC-9), 

is a 6-membered monocyclic hetereocycle containing one oxygen atom and optionally one double bond and/or a C_{1-3}alkylene bridge between two non-adjacent ring atoms. In compounds of formula (IIC-10), 

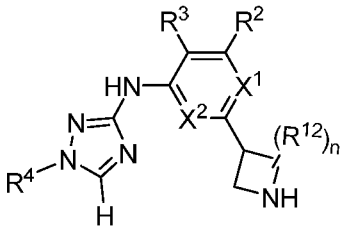
is a 5-membered monocyclic heterocycle containing one nitrogen and one oxygen atom or sulfur atom.

[00156] In other embodiments, the present invention features compounds of formulas (IIC-1), (IIC-2), (IIC-3), (IIC-4), (IIC-5), (IIC-6), (IIC-7), (IIC-8), (IIC-9) and (IIC-10) and the attendant definitions, wherein one or more hydrogen atoms are replaced by a deuterium atom.

[00157] In compounds of formula (IIC-1), are further compounds of formula (IIC-1.0) or (IIC-1.1), wherein R^{12} and n are as defined herein:

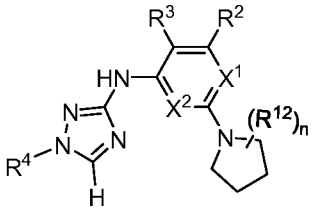


(IIC-1.0)

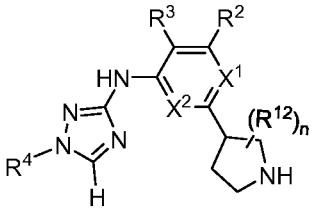


(IIC-1.1)

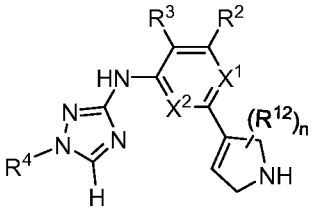
[00158] In compounds of formula (IIC-2), are further compounds of formula (IIC-2.0), (IIC-2.1), or (IIC-2.2), wherein R^{12} and n are as defined herein:



(IIC-2.0)

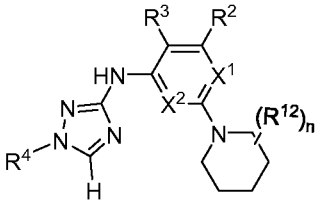


(IIC-2.1)

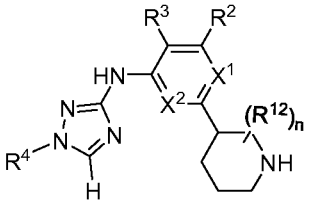


(IIC-2.2)

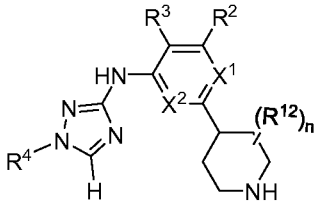
[00159] In compounds of formula (IIC-3), are further compounds of formula (IIC-3.0) to (IIC-3.5), wherein R^{12} and n are as defined herein:



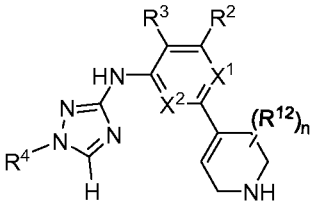
(IIC-3.0)



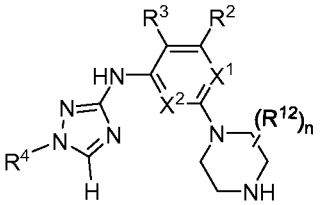
(IIC-3.1)



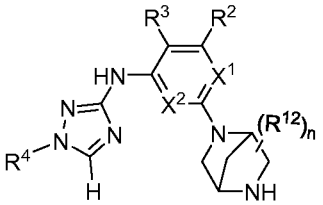
(IIC-3.2)



(IIC-3.3)

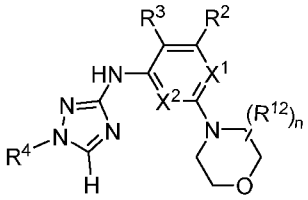


(IIC-3.4)

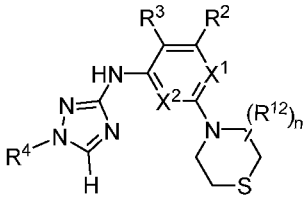


(IIC-3.5)

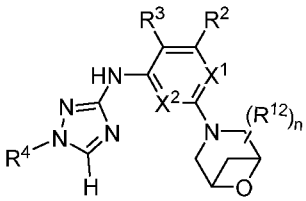
[00160] In compounds of formula (IIC-4), (IIC-5), and (IIC-6) are further compounds, respectively, of formula (IIC-4.0)-(IIC-4.2), (IIC-5.0), and (IIC-6.0), wherein R^{12} and n are as defined herein:



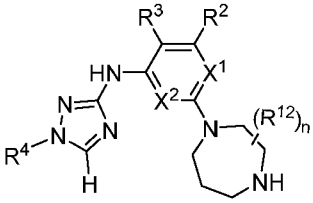
(IIC-4.0)



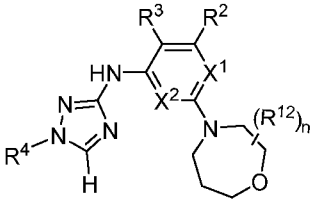
(IIC-4.1)



(IIC-4.2)



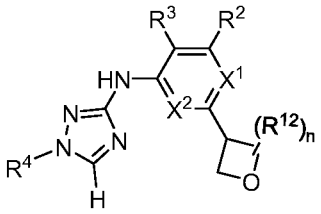
(IIC-5.0)



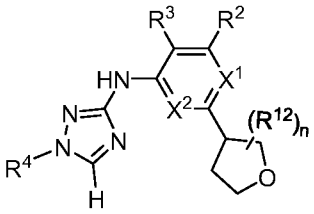
(IIC-6.0)

[00161] In compounds of formula (IIC-1.1), (IIC-2.1), (IIC-2.2), (IIC-3.1)-(IIC-3.5), and (IIC-5.0) are embodiments where n is 1 and R^{12} is attached to the available ring nitrogen atom. In some embodiments, the single R^{12} is C_{1-4}alkyl, C_{1-4}haloalkyl, –C(O)C_{1-4}alkyl, –C(O)C_{3-6}cycloalkyl, –C(O)OC_{1-4}alkyl, –C(O)OC_{1-4}haloalkyl, –C(O)C_{1-4}haloalkyl, –C_{1-4}alkylene–OC_{1-4}alkyl, –C_{1-6}alkylene–OH, –C_{1-6}alkylene substituted by 2 groups independently selected from hydroxyl and –OC(O)C_{1-4}alkyl, –C_{1-4}alkylene–C(O)OC_{1-4}alkyl, or –C_{1-4}alkylene–C(O)OH.

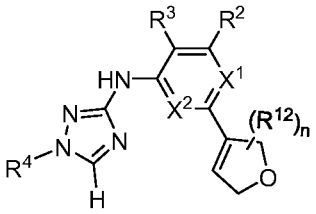
[00162] In compounds of formula (IIC-7), (IIC-8), (IIC-9) and (IIC-10) are further compounds, respectively, of formula (IIC-7.0), (IIC-8.0)-(IIC-8.1) (IIC-9.0)-(IIC-9.1) and (IIC-10.0)-(IIC-10.1), wherein R^{12} and n are as defined herein:



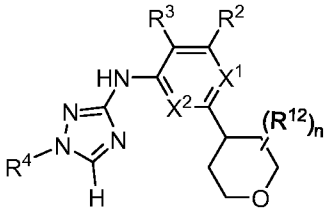
(IIC-7.0)



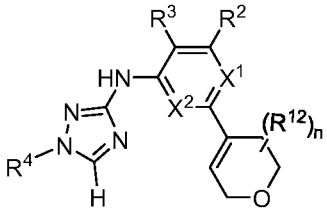
(IIC-8.0)



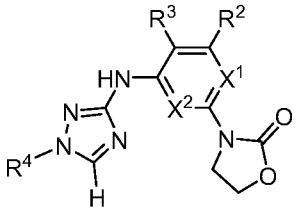
(IIC-8.1)



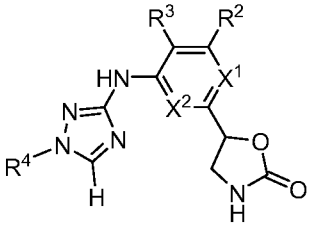
(IIC-9.0)



(IIC-9.1)

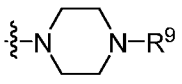


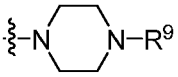
(IIC-10.0)



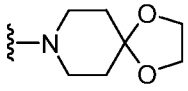
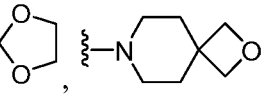
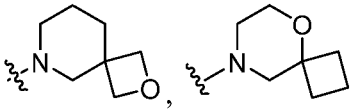
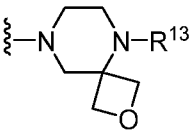
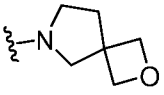
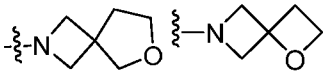
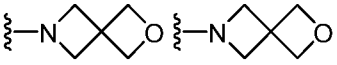
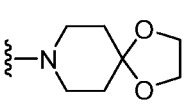
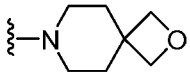
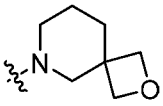
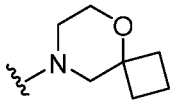
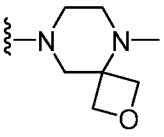
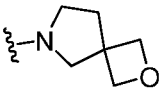
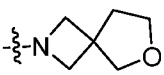
(IIC-10.1)

[00163] In the compounds of formulas (IIC-1) to (IIC-10), (IIC-1.0), (IIC-1.1), (IIC-2.0), (IIC-2.1), (IIC-2.2), (IIC-3.0) to (IIC-3.5), (IIC-4.0), (IIC-4.1), (IIC-5.0), (IIC-6.0), (IIC-7.0), (IIC-8.0), (IIC-8.1), (IIC-9.0), (IIC-9.1), (IIC-10.0), and (IIC-10.1) are embodiments wherein R^2 is C_{1-4}alkyl C_{1-4}haloalkyl, or C_{3-6}cycloalkyl; R^3 is hydrogen; R^4 is phenyl optionally substituted with 1-2 substituents selected from halogen and C_{1-4}alkyl or R^4 is pyrazinyl. In some groups of compounds in these embodiments, R^2 is methyl, ethyl, trifluoromethyl, difluoromethyl, or cyclopropyl; R^3 is hydrogen; R^4 is phenyl, 3,5-difluorophenyl, 3-fluorophenyl, 3,4-difluorophenyl, 2,5-difluorophenyl, 3-fluoro-5-methylphenyl, or pyrazin-2-yl. In further subgroups of compounds, X^1 and X^2 are each CH; or X^1 is N and X^2 is CH; or X^1 is CH and X^2 is N.

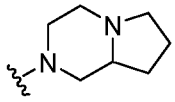
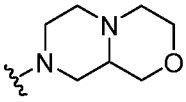
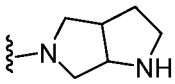
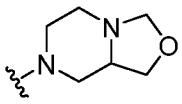
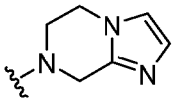
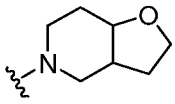
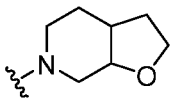
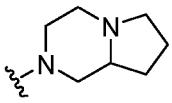
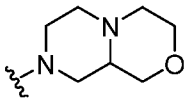
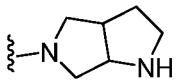
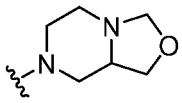
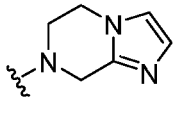
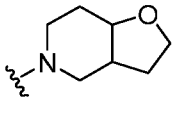
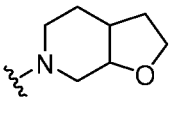
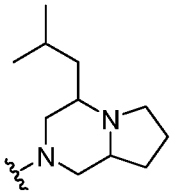
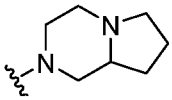
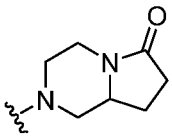
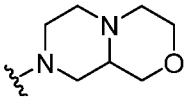
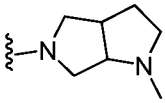
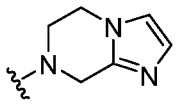
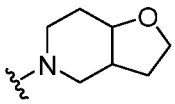
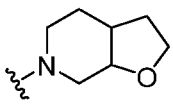
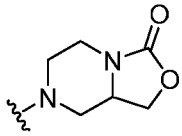
[00164] In some embodiments of formula (IIC), G^2 is  , R^9 is C_{1-4}alkyl, R^2 is C_{1-4}alkyl C_{1-4}haloalkyl, or C_{3-6}cycloalkyl; R^3 is hydrogen; R^4 is phenyl optionally substituted with

1-2 substituents selected from halogen and C_{1-4}alkyl or R^4 is pyrazinyl and X^1, and X^2 are as defined herein. In one embodiment, G^2 is  ; R^9 is methyl; R^2 is methyl, ethyl, trifluoromethyl, difluoromethyl, or cyclopropyl; R^3 is hydrogen; and R^4 is phenyl, 3,5-difluorophenyl, 3-fluorophenyl, 3,4-difluorophenyl, 2,5-difluorophenyl, 3-fluoro-5-methylphenyl, or pyrazin-2-yl. In another embodiment, X^1 and X^2 are each CH; or X^1 is N and X^2 is CH; or X^1 is CH and X^2 is N.

[00165] In other embodiments of formula (IIC), G^2 is oxetan-3-yl; R^2 is C_{1-4}alkyl C_{1-4}haloalkyl, or C_{3-6}cycloalkyl; R^3 is hydrogen; R^4 is phenyl optionally substituted with 1-2 substituents selected from halogen and C_{1-4}alkyl or R^4 is pyrazinyl and X^1, and X^2 are as defined herein. In some embodiment, G^2 is oxetan-3-yl; R^2 is methyl, ethyl, trifluoromethyl, difluoromethyl, or cyclopropyl; R^3 is hydrogen; and R^4 is phenyl, 3,5-difluorophenyl, 3-fluorophenyl, 3,4-difluorophenyl, 2,5-difluorophenyl, 3-fluoro-5-methylphenyl, or pyrazin-2-yl. In other embodiments, X^1 and X^2 are each CH; or X^1 is N and X^2 is CH; or X^1 is CH and X^2 is N.

[00166] In some embodiments of formula (IID), G^3 is  ,  ,  ,  ,  ,  or  , wherein R^{13} is hydrogen or an optional substituent of G^3 (e.g., C_{1-4}alkyl such as methyl, ethyl). In some embodiments, R^2 is C_{1-4}alkyl C_{1-4}haloalkyl, or C_{3-6}cycloalkyl; R^3 is hydrogen; R^4 is phenyl optionally substituted with 1-2 substituents selected from halogen and C_{1-4}alkyl or R^4 is pyrazinyl and X^1, and X^2 are as defined herein. In some groups of compounds, G^3 is  ,  ,  ,  ,  ,  ,  , or ; R^2 is methyl, ethyl, trifluoromethyl, difluoromethyl, or cyclopropyl; R^3 is hydrogen; and R^4 is phenyl, 3,5-difluorophenyl, 3-fluorophenyl, 3,4-difluorophenyl, 2,5-difluorophenyl, 3-fluoro-5-

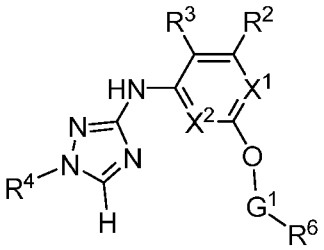
methylphenyl, or pyrazin-2-yl. In some embodiments, X^1 and X^2 are each CH; or X^1 is N and X^2 is CH; or X^1 is CH and X^2 is N.

[00167] In some embodiments of formula (IIE), G^4 is  ,  ,  ,  ,  ,  , or  , each being optionally substituted with 1-4 substituents selected from the group consisting of C_{1-4}alkyl (e.g., methyl, ethyl, isobutyl), C_{1-4}haloalkyl (e.g.,– CF_3, –CH_2CF_3), halogen (e.g., fluoro), and oxo. In one embodiment, G^4 is  ,  ,  ,  ,  ,  , or  , each being optionally substituted with one C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, or oxo. In some embodiments, R^2 is C_{1-4}alkyl C_{1-4}haloalkyl, or C_{3-6}cycloalkyl; R^3 is hydrogen; R^4 is phenyl optionally substituted with 1-2 substituents selected from halogen and C_{1-4}alkyl or R^4 is pyrazinyl and X^1, and X^2 are as defined herein. In other embodiments, G^4 is  ,  ,  ,  ,  ,  ,  ,  , or  ; R^2 is methyl, ethyl, trifluoromethyl, difluoromethyl, or cyclopropyl; R^3 is hydrogen; and R^4 is phenyl, 3,5-difluorophenyl, 3-fluorophenyl, 3,4-difluorophenyl, 2,5-difluorophenyl, 3-fluoro-5-methylphenyl, or pyrazin-2-yl. In some embodiment, X^1 and X^2 are each CH; or X^1 is N and X^2 is CH; or X^1 is CH and X^2 is N.

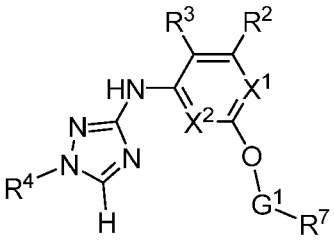
[00168] In other embodiments, the present invention features compounds of formulas (IIC-1) to (IIC-10), (IIC-1.0), (IIC-1.1), (IIC-2.0), (IIC-2.1), (IIC-2.2), (IIC-3.0) to (IIC-3.5), (IIC-4.0), (IIC-4.1), (IIC-5.0), (IIC-6.0), (IIC-7.0), (IIC-8.0), (IIC-8.1), (IIC-9.0), (IIC-9.1), (IIC-10.0), and

(IIC-10.1) and the attendant definitions, wherein one or more hydrogen atoms are replaced by a deuterium atom.

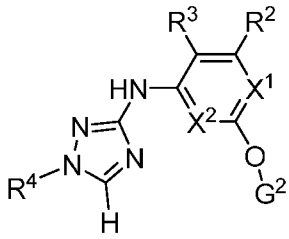
[00169] Included in compounds of formula (III) are compounds of formula (IIIA), (IIIB), (IIIC), (IIID), (IIIE) and (IIIF), wherein G^1, G^2, G^3, G^4, G^5, R^2, R^3, R^4, X^1, and X^2 are as defined herein:



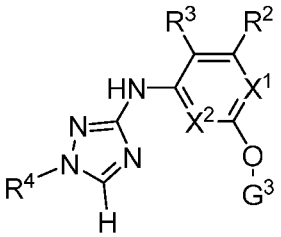
(IIIA)



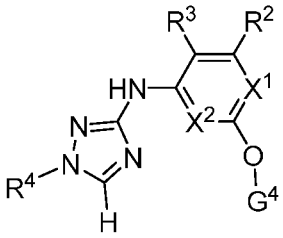
(IIIB)



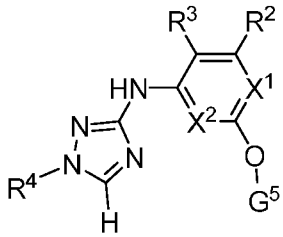
(IIIC)



(IIID)



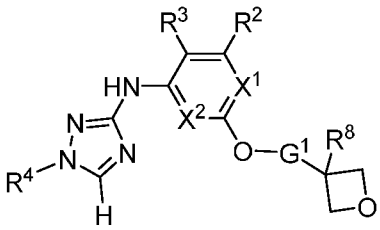
(IIIE)



(IIIF)

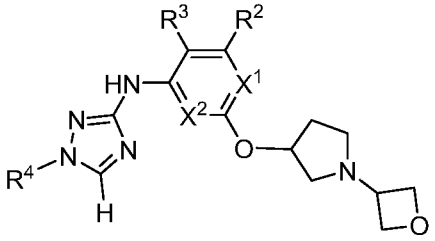
[00170] In some embodiments, the present invention features compounds of formulas (IIIA), (IIIB), (IIIC), (IIID), (IIIE) and (IIIF) and the attendant definitions, wherein one or more hydrogen atoms are replaced by a deuterium atom.

[00171] In some embodiments of formula (IIIA), are compounds of formula (IIIA-1), wherein G^1, R^2, R^3, R^4, R^8, X^1, and X^2 are as defined herein:

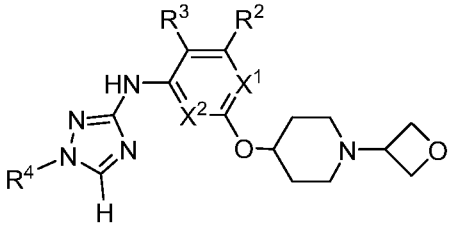


(IIIA-1)

[00172] In some embodiments, compounds of formula (IIIA-1) may be represented by the formulas (IIIA-1.0) or (IIIA-1.1):



(IIIA-1.0)

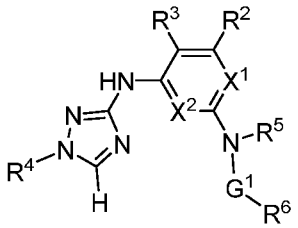


(IIIA-1.1)

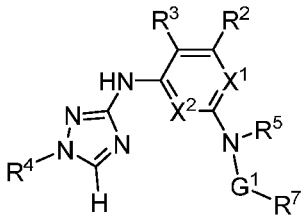
wherein R^2, R^3, R^4, X^1, and X^2 are as defined herein.

[00173] In some embodiments of formulas (IIIA) to (IIIF), (IIIA-1), (IIIA-1.0), or (IIIA-1.1), R^2 is C_{1-4}alkyl C_{1-4}haloalkyl, or C_{3-6}cycloalkyl; R^3 is hydrogen; R^4 is phenyl optionally substituted with 1-2 substituents selected from halogen and C_{1-4}alkyl or R^4 is pyrazinyl and X^1, and X^2 are as defined herein. In some embodiments, R^2 is methyl, ethyl, trifluoromethyl, difluoromethyl, or cyclopropyl; R^3 is hydrogen; and R^4 is phenyl, 3,5-difluorophenyl, 3-fluorophenyl, 4-fluorophenyl, 3,4-difluorophenyl, 2,5-difluorophenyl, 3-fluoro-5-methylphenyl, or pyrazin-2-yl. In other embodiments, X^1 and X^2 are each CH; or X^1 is N and X^2 is CH; or X^1 is CH and X^2 is N.

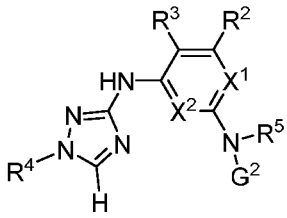
[00174] Included in compounds of formula (IV) are compounds of formula (IVA), (IVB), (IVC), (IVD), (IVE) or (IVF), wherein G^1, G^2, G^3, G^4, G^5, R^2, R^3, R^4, R^5, X^1, and X^2 are as defined herein:



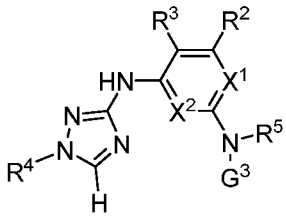
(IVA)



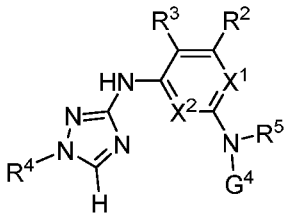
(IVB)



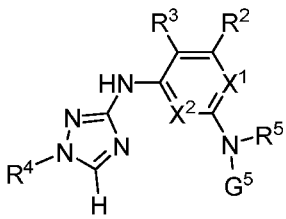
(IVC)



(IVD)



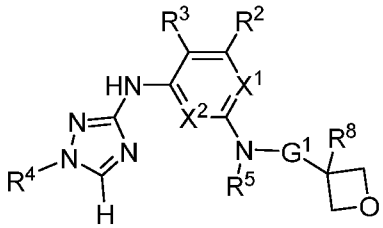
(IVE)



(IVF)

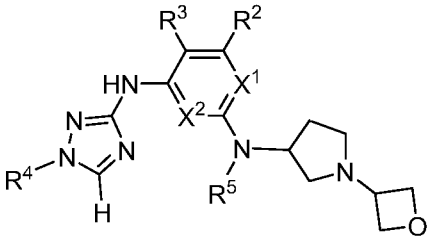
[00175] In some embodiments, the present invention features compounds of formulas (IVA), (IVB), (IVC), (IVD), (IVE) and (IVF) and the attendant definitions, wherein one or more hydrogen atoms are replaced by a deuterium atom.

[00176] In some embodiments of formula (IVA), are compounds of formula (IVA-1), wherein G^1, R^2, R^3, R^4, R^5, R^8, X^1, and X^2 are as defined herein:

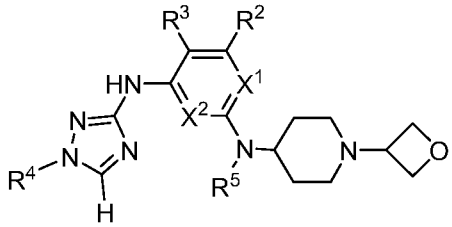


(IVA-1)

[00177] In some embodiments, compounds of formula (IVA-1) may be represented by the formulas (IVA-1.0) or (IVA-1.1):



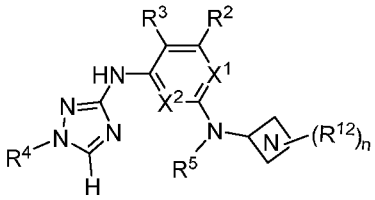
(IVA-1.0)



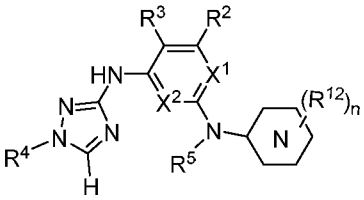
(IVA-1.1)

[00178] In some embodiments of formulas (IVA) to (IVF), (IVA-1), (IVA-1.0), or (IVA-1.1), R^5 is H or methyl; R^2 is C_{1-4}alkyl C_{1-4}haloalkyl, or C_{3-6}cycloalkyl; R^3 is hydrogen; R^4 is phenyl optionally substituted with 1-2 substituents selected from halogen and C_{1-4}alkyl or R^4 is pyrazinyl and X^1, and X^2 are as defined herein. In some embodiments, R^5 is H or methyl; R^2 is methyl, ethyl, trifluoromethyl, difluoromethyl, or cyclopropyl; R^3 is hydrogen; and R^4 is phenyl, 3,5-difluorophenyl, 3-fluorophenyl, 4-fluorophenyl, 3,4-difluorophenyl, 2,5-difluorophenyl, 3-fluoro-5-methylphenyl, pyridine-3-yl, 2-fluoropyridin-4-yl, or pyrazin-2-yl. In subgroups of compounds X^1 and X^2 are each CH; or X^1 is N and X^2 is CH; or X^1 is CH and X^2 is N.

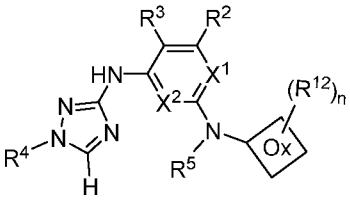
[00179] In some embodiments of formula (IVC), are compounds of formula (IVC-1) (IVC-2), (IVC-3), or (IVC-4), wherein n, R^2, R^3, R^4, R^5, R^{12}, X^1, and X^2 are as defined herein:



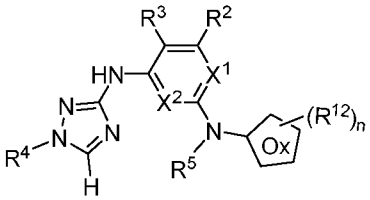
(IVC-1)



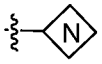
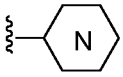
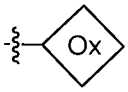
(IVC-2)

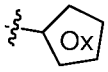


(IVC-3)

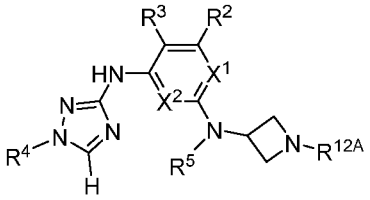


(IVC-4)

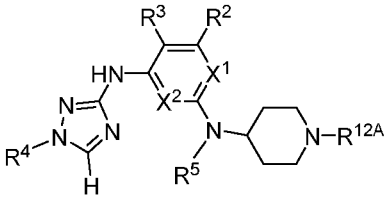
wherein R^{12} represents the optional G^2 substitution, as defined herein, and n is an integer from 0-4. In some embodiments, R^{12} is –C_{1-4}alkylene–OC_{1-4}alkyl or –C(O)C_{1-4}alkyl and n is 1. In a subgroup of compounds, n is 1 and R^{12} is –C_{1-4}alkylene–OC_{1-4}alkyl or –C(O)C_{1-4}alkyl and is bonded to an available ring nitrogen atom. In compounds of formula (IVC-1),  is a 4-membered monocyclic heterocycle containing one nitrogen atom. In compounds of formula (IVC-2),  is a 6-membered monocyclic hetereocycle containing one nitrogen and optionally a second nitrogen, one double bond, and/or a C_{1-3}alkylene bridge between two non-adjacent ring atoms. In compounds of (IVC-3),  is a 4-membered monocyclic

heterocycle containing one oxygen atom. In compounds of formula (IVC-4),  is a 5-membered monocyclic heterocycle containing one oxygen atom and optionally one double bond.

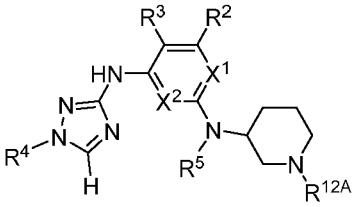
[00180] In some embodiments, compounds of formula (IVC-1) (IVC-2), (IVC-3), and (IVC-4) may be represented by the formulas (IVC-1.0), (IVC-2.0), (IVC-2.1), (IVC-3.0), and (IVC-4.0):



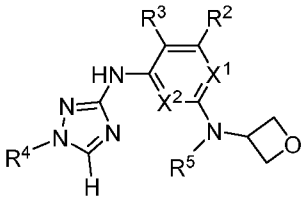
(IVC-1.0)



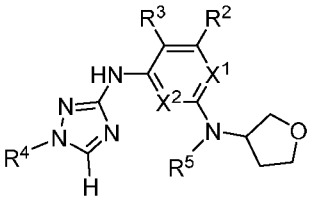
(IVC-2.0)



(IVC-2.1)



(IVC-3.0)



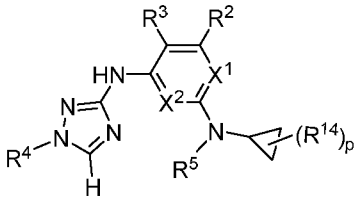
(IVC-4.0)

;

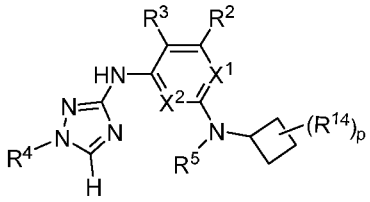
wherein R^{12A} is H or R^{12}, and R^2, R^3, R^4, R^5, R^{12}, X^1, and X^2 are as defined herein.

[00181] In some embodiments of formulas (IVC-1), (IVC-2), (IVC-3), (IVC-4), (IV-1.0), (IVA-2.0), (IVC-2.1), (IVC-3.0), or (IVC-4.0), R^5 is H or methyl; R^2 is C_{1-4}alkyl C_{1-4}haloalkyl, or C_{3-6}cycloalkyl; R^3 is hydrogen; R^4 is phenyl optionally substituted with 1-2 substituents selected from halogen and C_{1-4}alkyl or R^4 is pyrazinyl; and X^1 and X^2 are as defined herein. In some embodiments, R^5 is H or methyl; R^2 is methyl, ethyl, trifluoromethyl, difluoromethyl, or cyclopropyl; R^3 is hydrogen; and R^4 is phenyl, 3,5-difluorophenyl, 3-fluorophenyl, 4-fluorophenyl, 2,4-difluorophenyl, 3,4-difluorophenyl, 2,5-difluorophenyl, 3-fluoro-5-methylphenyl, pyridine-3-yl, 2-fluoropyridin-4-yl, or pyrazin-2-yl. In subgroups of compounds X^1 and X^2 are each CH; or X^1 is N and X^2 is CH; or X^1 is CH and X^2 is N.

[00182] In some embodiments of formula (IVF), are compounds of formula (IVF-1) and (IVF-2), wherein R^{14} is the optional substituent on G^5, p is an integer from 0-4, and R^2, R^3, R^4, R^5, X^1, and X^2 are as defined herein:

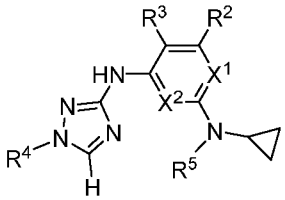


(IVF-1)

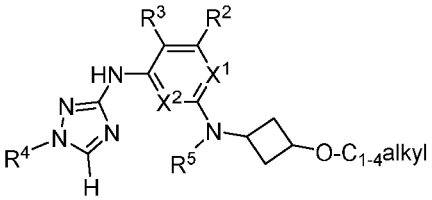


(IVF-2)

[00183] In some embodiments, compounds of formula (IVF-1) and (IVF-2) may be represented by the formulas (IVF-1.0) and (IVF-2.0):



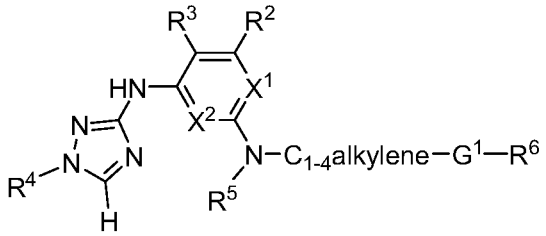
(IVF-1.0)



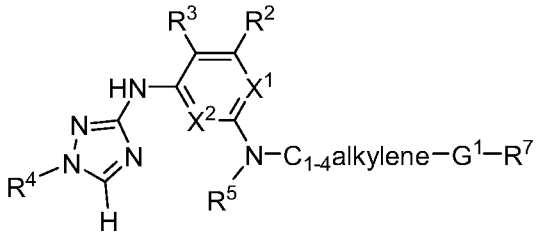
(IVF-2.0)

[00184] In some embodiments of formulas (IVF-1), (IVF-2), (IVF-1.0) or (IVF-2.0), R^5 is H or methyl; R^2 is C_{1-4}alkyl, C_{1-4}haloalkyl, or C_{3-6}cycloalkyl; R^3 is hydrogen; R^4 is phenyl optionally substituted with 1-2 substituents selected from halogen and C_{1-4}alkyl or R^4 is pyrazinyl; and X^1 and X^2 are as defined herein. In some embodiments, R^5 is H or methyl; R^2 is methyl, ethyl, trifluoromethyl, difluoromethyl, or cyclopropyl; R^3 is hydrogen; and R^4 is phenyl, 3,5-difluorophenyl, 3-fluorophenyl, 4-fluorophenyl, 2,4-difluorophenyl, 3,4-difluorophenyl, 2,5-difluorophenyl, 3-fluoro-5-methylphenyl, pyridine-3-yl, 2-fluoropyridin-4-yl, or pyrazin-2-yl. In other embodiments, X^1 and X^2 are each CH; or X^1 is N and X^2 is CH; or X^1 is CH and X^2 is N.

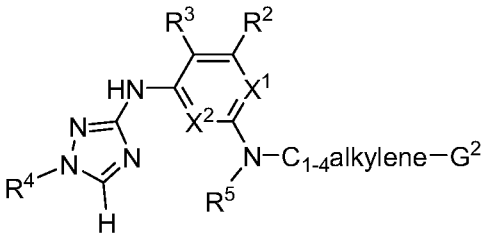
[00185] Included in compounds of formula (V) are compounds of formula (VA), (VB), (VC), (VD), (VE), or (VF), wherein G^1, G^2, G^3, G^4, G^5, R^2, R^3, R^4, R^5, X^1, and X^2 are as defined herein:



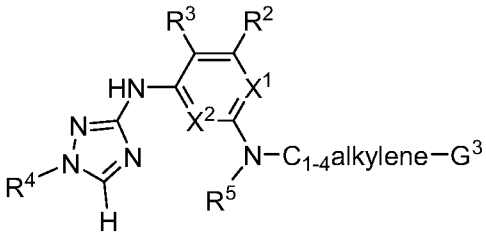
(VA)



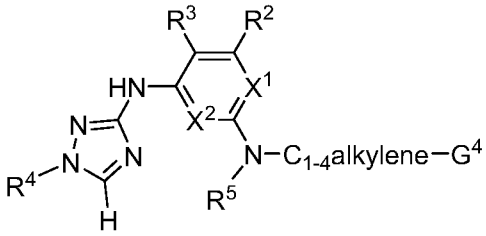
(VB)



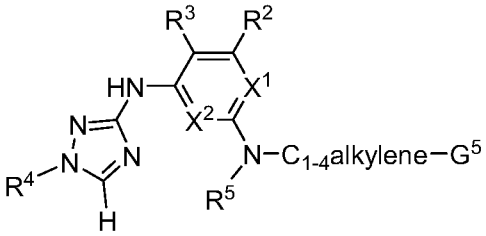
(VC)



(VD)



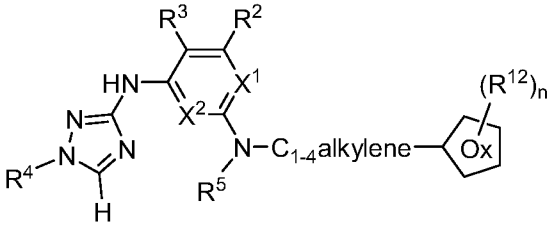
(VE)



(VF)

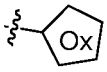
[00186] In some embodiments, the present invention features compounds of formulas (VA), (VB), (VC), (VD), (VE), and (VF) and the attendant definitions, wherein one or more hydrogen atoms are replaced by a deuterium atom.

[00187] In some embodiments of formula (VC), are compounds of formula (VC-1)



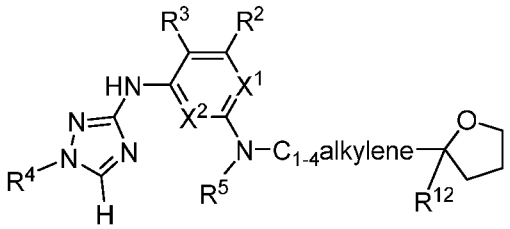
(VC-1) ;

[00188] wherein n, R^2, R^3, R^4, R^5, R^{12}, X^1, and X^2 are as defined herein. R^{12} represents the optional G^2 substitution, as defined herein, and n is an integer from 0-4. In compounds of

formula (VC-1), 

is a 5-membered monocyclic heterocycle containing one oxygen atom and optionally one double bond.

[00189] In some embodiments, compounds of formula (VC-1) may be represented by the formula (VC-1.0)

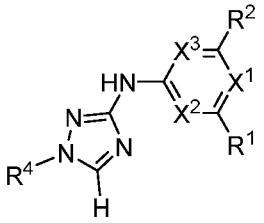


(VC-1.0)

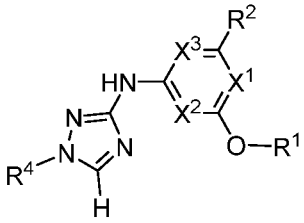
[00190] In some embodiments of formulas (VA) to VF), (VC-1) or (VC-1.0), R^5 is H or methyl; R^{12} is H or C_{1-4}alkyl, R^2 is C_{1-4}alkyl, C_{1-4}haloalkyl, or C_{3-6}cycloalkyl; R^3 is hydrogen; R^4 is phenyl optionally substituted with 1-2 substituents selected from halogen and C_{1-4}alkyl or R^4 is pyrazinyl; and X^1 and X^2 are as defined herein. In some embodiments, R^5 is H or methyl; R^{12} is H or methyl, the C_{1-4}alkylene is $–CH_2–$ or $–CH_2CH_2–$; R^2 is methyl, ethyl, trifluoromethyl, difluoromethyl, or cyclopropyl; R^3 is hydrogen; and R^4 is phenyl, 3,5-difluorophenyl, 3-fluorophenyl, 4-fluorophenyl, 2,4-difluorophenyl, 3,4-difluorophenyl, 2,5-difluorophenyl, 3-fluoro-5-methylphenyl, pyridine-3-yl, 2-fluoropyridin-4-yl, or pyrazin-2-yl. In other embodiments, X^1 and X^2 are each CH; or X^1 is N and X^2 is CH; or X^1 is CH and X^2 is N.

[00191] In still other embodiments, the invention provides particular combinations of L^1, R^1, R^2, R^4, X^1, X^2, and X^3.

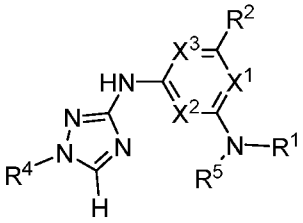
[00192] In some embodiments are compounds of formula (II'), formula (III'), formula (IV'), formula (V'), formula (VI'), formula (VII'), or formula (VIII'), wherein $–NR^5–C_{1-4}$alkylene–, –O–C_{1-4}alkylene–, –C_{1-4}alkylene–, R^1, R^2, R^4, X^1, X^2, and X^3 are as defined herein:



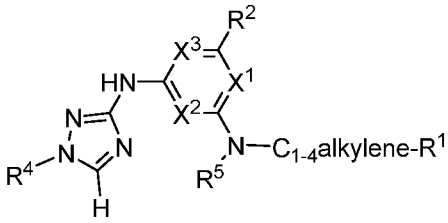
(II')



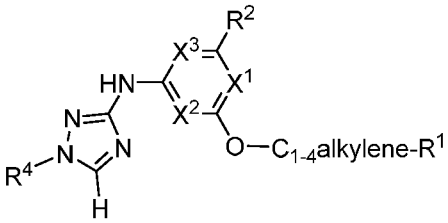
(III')



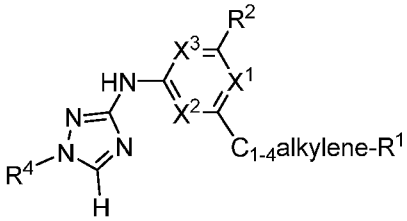
(IV')



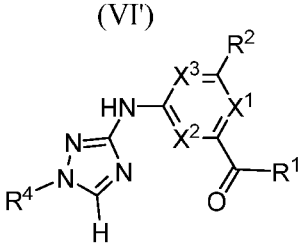
(V')



(VI')



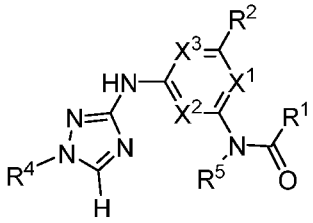
(VII')



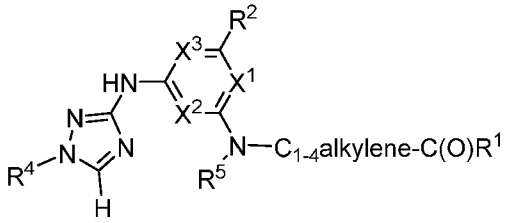
(VIII')

[00193] In some embodiments, the present invention features compounds of formulas (II'), formula (III'), formula (IV'), formula (V'), formula (VI'), formula (VII'), and formula (VIII') and the attendant definitions, wherein one or more hydrogen atoms are replaced by a deuterium atom.

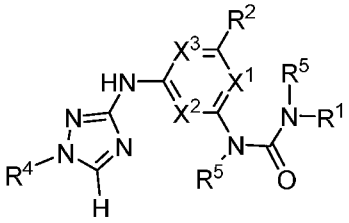
[00194] In other embodiments, the present invention features compounds of formulas (IX'), (XI'), (XII'), (XIII'), and (XIV'), wherein $–NR^5–C_{1-4}$alkylene–, $–O–C_{1-4}$alkylene–, $–C_{1-4}$alkylene–, R^1, R^2, R^4, X^1, X^2, and X^3 are as defined herein and wherein one or more hydrogen atoms are optionally replaced by a deuterium atom.



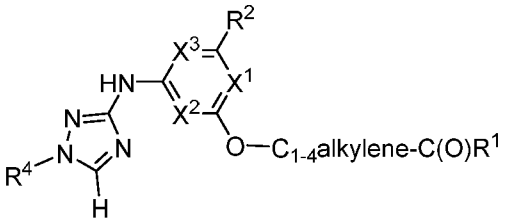
(IX')



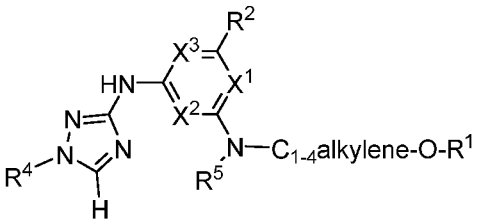
(XI')



(XII')



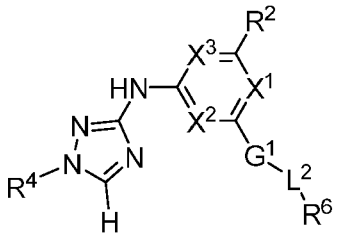
(XIII')



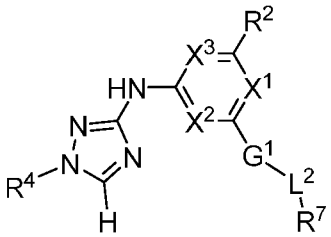
(XIV')

[00195] In some embodiments of formulas (II') to (VIII') and (IX'), (XI'), (XII'), (XIII'), and (XIV') are compounds where X^2 is CR^{X2} and R^{X2} is hydrogen or fluoro. In other embodiments, R^{X2} is fluoro.

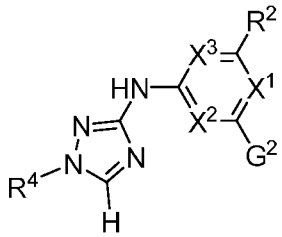
[00196] Included in compounds of formula (II') are compounds of formula (IIA'), (IIB'), (IIC'), (IID'), (IIE'), (IIF'), (IIG'), and (IIH'), wherein L^2, G^1, G^2, G^3, G^4, G^5, G^6,R^2, R^4, R^6, R^7, X^1, X^2, and X^3 are as defined herein:



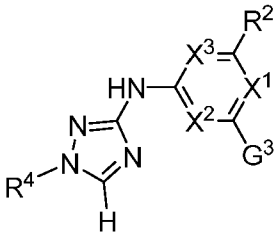
(IIA')



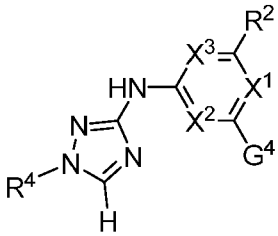
(IIB')



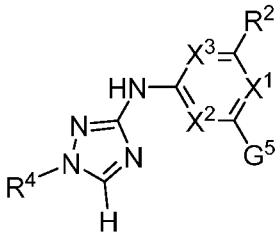
(IIC')



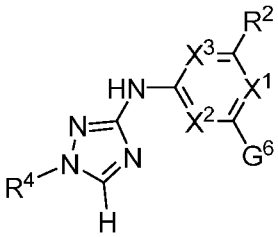
(IID')



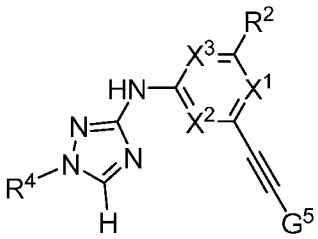
(IIE')



(IIF')



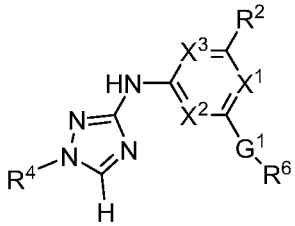
(IIG')

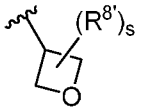
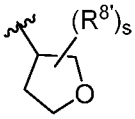
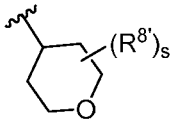
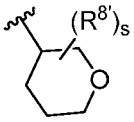
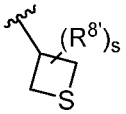
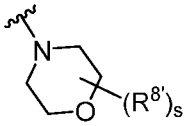
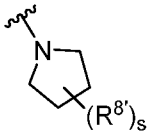
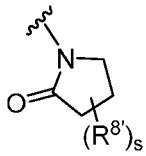
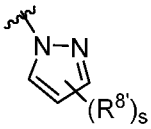
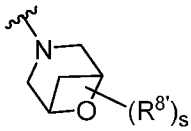
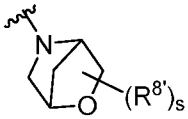
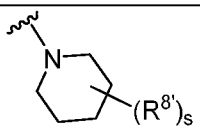
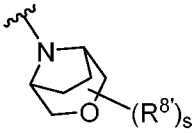
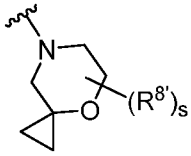
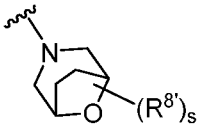
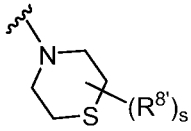
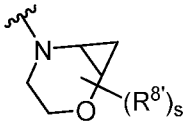
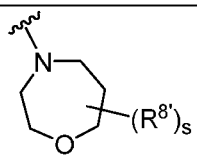
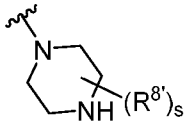
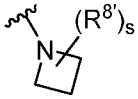


(IIH')

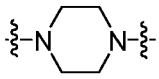
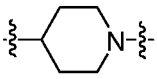
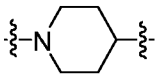
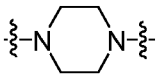
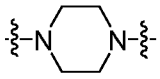
[00197] In some embodiments, the present invention features compounds of formulas (IIA'), (IIB'), (IIC'), (IID'), (IIE'), (IIF'), (IIG'), and (IIH') and the attendant definitions, wherein one or more hydrogen atoms are replaced by a deuterium atom.

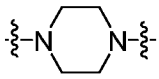
[00198] In some embodiments of formula (IIA'), are compounds where L^2 is a bond having formula (IIA-1') to (IIA-20'), wherein $R^{8'}$ is C_{1-4}alkyl, C_{1-4}haloalkyl, $-CH_2S(O)_2$phenyl, halogen, hydroxyl, or oxo, s is an integer from 0-4, and G^1, R^2, R^4, X^1, X^2, and X^3, are as defined herein.

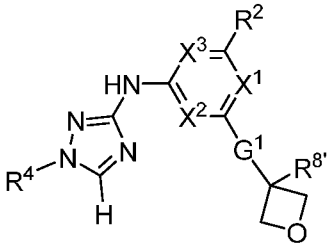


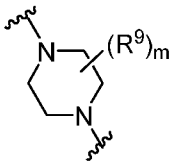
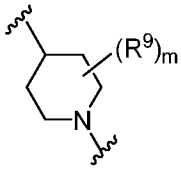
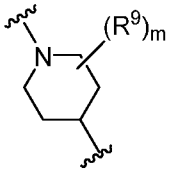
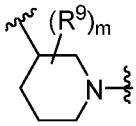
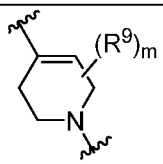
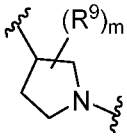
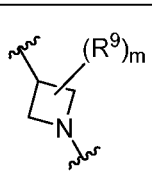
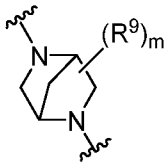
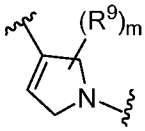
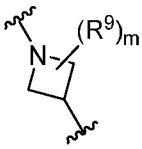
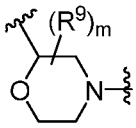
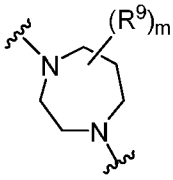
R^6			
 (IIA-1')	 (IIA-2')	 (IIA-3')	 (IIA-4')
 (IIA-5')	 (IIA-6')	 (IIA-7')	 (IIA-8')
 (IIA-9')	 (IIA-10')	 (IIA-11')	 (IIA-12')
 (IIA-13')	 (IIA-14')	 (IIA-15')	 (IIA-16')
 (IIA-17')	 (IIA-18')	 (IIA-19')	 (IIA-20')

[00199] In some embodiments, the present invention features compounds of formulas (IIA-1') to (IIA-20') and the attendant definitions, wherein one or more hydrogen atoms are replaced by a deuterium atom.

[00200] In some embodiments according to formula (IIA'), and (IIA-1') to (IIA-20'), G^1 is  ,  , or  . In another embodiment, G^1 is  ; $R^{8'}$ is C_{1-4}alkyl or oxo, and s is an integer from 0 to 2. In a further embodiment, G^1 is  ; $R^{8'}$

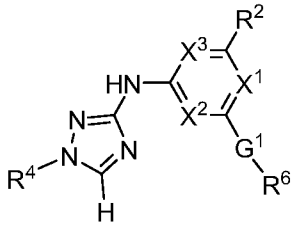
is methyl or oxo, and s is an integer from 0 to 2. In other embodiments, compounds of formula (IIA-1') may be represented by the formulas (IIA-1.0') to (IIA-1.11'), where R^9 is C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, hydroxyl, or oxo, m is an integer from 0 to 4, and R^2, R^4, $R^{8'}$, X^1, X^2, and X^3, are as defined herein. In some embodiments, m is 0. In some embodiments, $R^{8'}$ is H or C_{1-4}alkyl (e.g., methyl). In some embodiments, G^1 is  , m is 0, $R^{8'}$ is H, R^2 is C_{1-4}alkyl (e.g., methyl), X^1 is CH, X^2 is CR^{X2}, R^{X2} is F, and X^3 is CH.

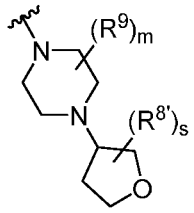
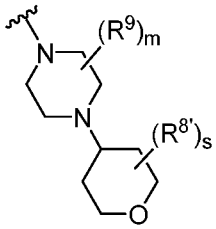
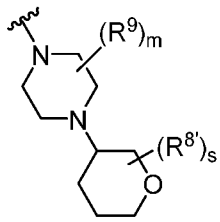
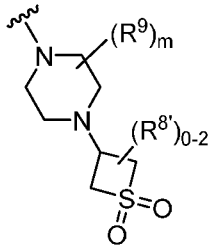
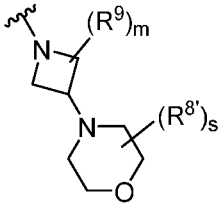
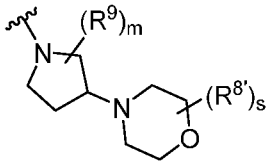
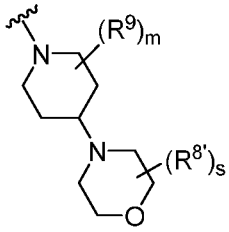
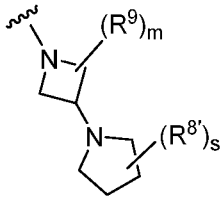
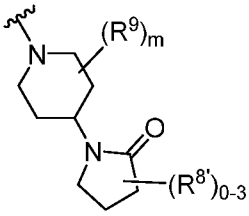
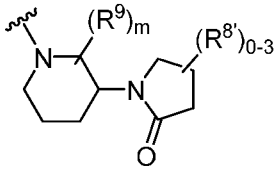
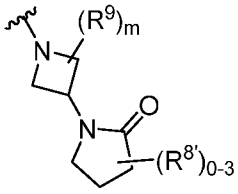
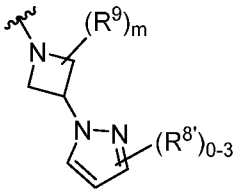


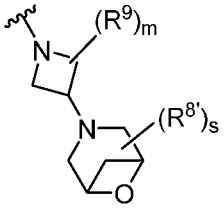
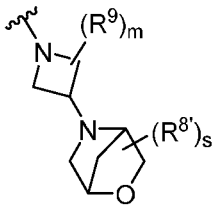
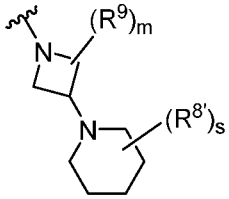
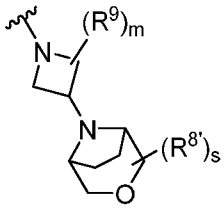
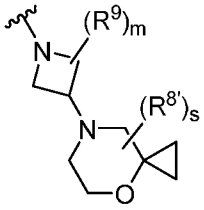
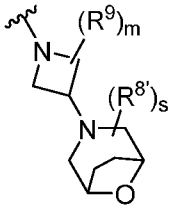
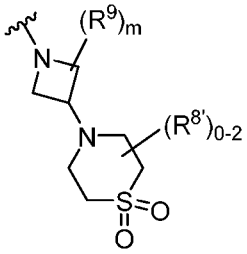
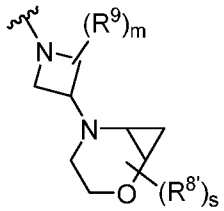
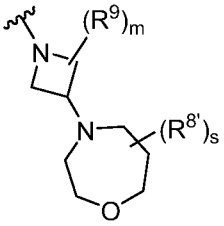
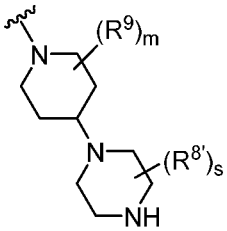
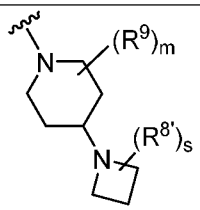
G^1			
 (IIA-1.0')	 (IIA-1.1')	 (IIA-1.2')	 (IIA-1.3')
 (IIA-1.4')	 (IIA-1.5')	 (IIA-1.6')	 (IIA-1.7')
 (IIA-1.8')	 (IIA-1.9')	 (IIA-1.10')	 (IIA-1.11')

[00201] In some embodiments, the present invention features compounds of formulas (IIA-1.0') to (IIA-1.11') and the attendant definitions, wherein one or more hydrogen atoms are replaced by a deuterium atom.

[00202] In other embodiments, compounds of formulas (IIA-2') to (IIA-20') may be represented, respectively, by the formulas (IIA-2.0') to (IIA-20.0') wherein m, s, R^2, R^4, $R^{8'}$, R^9, X^1, X^2, and X^3 are as defined herein.

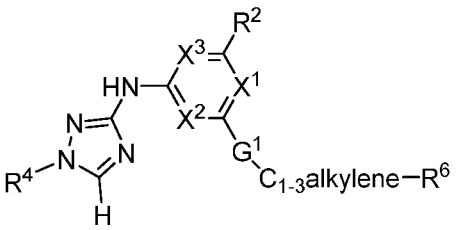


G^1-R^6			
 (IIA-2.0')	 (IIA-3.0')	 (IIA-4.0)	 (IIA-5.0')
 (IIA-6.0')	 (IIA-6.1')	 (IIA-6.2')	 (IIA-7.0')
 (IIA-8.0')	 (IIA-8.1')	 (IIA-8.2')	 (IIA-9.0')

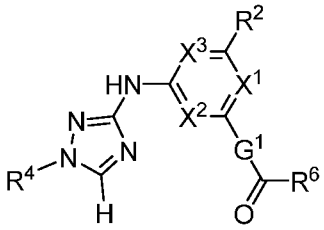
 (IIA-10.0')	 (IIA-11.0')	 (IIA-12.0')	 (IIA-13.0')
 (IIA-14.0')	 (IIA-15.0')	 (IIA-16.0')	 (IIA-17.0')
 (IIA-18.0')	 (IIA-19.0')	 (IIA-20.0')	

[00203] In some embodiments, the present invention features compounds of formulas (IIA-2.0') to (IIA-20.0') and the attendant definitions, wherein one or more hydrogen atoms are replaced by a deuterium atom.

[00204] In some embodiments of formula (IIA'), are compounds of formula (IIA-100') and (IIA-200').



(IIA-100')

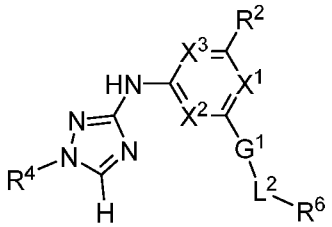


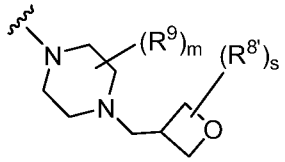
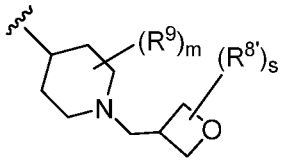
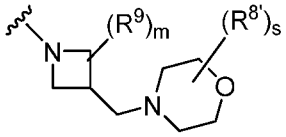
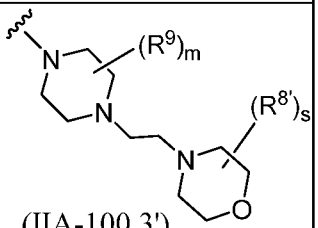
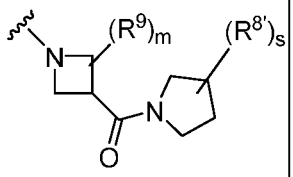
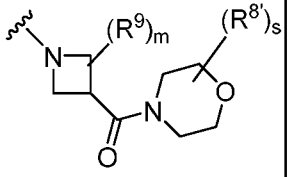
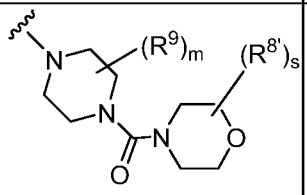
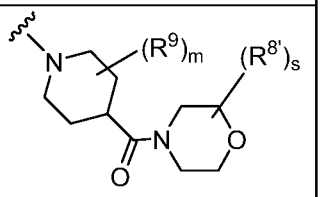
(IIA-200')

[00205] In some embodiments, the present invention features compounds of formula (IIA-100') and (IIA-200') and the attendant definitions, wherein one or more hydrogen atoms are replaced by a deuterium atom.

[00206] In some embodiments of formulas (IIA-100') and (IIA-200'), G^1 is piperazinyl, piperidinyl, or azetidinyl, and R^6 is oxetanyl, morpholino, or pyrrolidinyl. In other embodiments, G^1 is piperazin-1-yl, piperidin-1-yl, or azetidin-1-yl and R^6 is oxetan-3-yl, morpholin-4-yl, or pyrrolidin-1-yl. In each of the foregoing instances, G^1 and R^6 are optionally substituted as described herein.

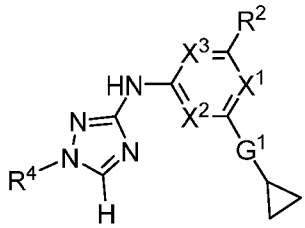
[00207] In other embodiments, compounds of formulas (IIA-100') and (IIA-200'), where L^2 is methylene or carbonyl, may be represented, respectively, by the formulas (IIA-100.0') to (IIA-100.3') and (IIA-200.0') to (IIA-200.3') wherein m, s, R^2, R^4, $R^{8'}$, R^9, X^1, X^2, and X^3 are as defined herein.



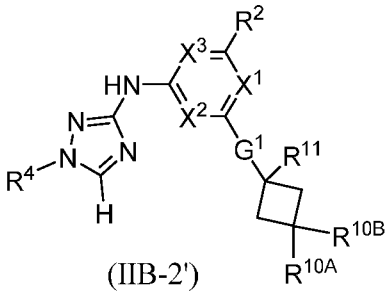
G^1-L^2-R^6			
 (IIA-100.0')	 (IIA-100.1')	 (IIA-100.2')	 (IIA-100.3')
 (IIA-200.0')	 (IIA-200.1')	 (IIA-200.2')	 (IIA-200.3')

[00208] In some embodiments, the present invention features compounds of formulas (IIA-100.0') to (IIA-100.3') and (IIA-200.0') to (IIA-200.3') and the attendant definitions, wherein one or more hydrogen atoms are replaced by a deuterium atom.

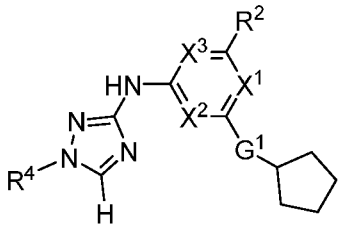
[00209] In some embodiments of formula (IIB'), are compounds of formula (IIB-1'), (IIB-2'), (IIB-3'), or (IIB-4'):



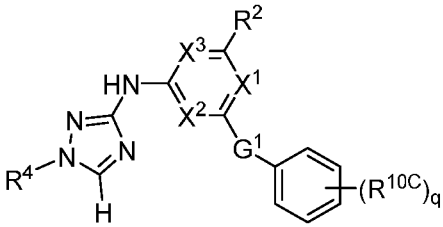
(IIB-1')



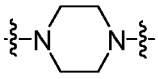
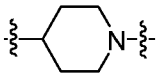
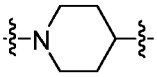
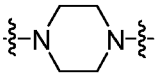
(IIB-2')



(IIB-3')

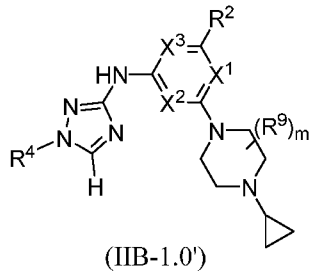


(IIB-4')

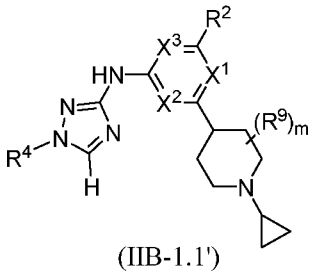
wherein R^{10A} and R^{10B} are each independently hydrogen, halogen (e.g., fluoro), or COOH; R^{10C} is C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, hydroxyl, –C(O)OC_{1-4}alkyl, or –C(O)OH; q is an integer from 0 to 4; R^{11} is hydrogen or hydroxyl; and G^1, R^2, R^4, X^1, X^2, and X^3 are as defined herein. In some embodiments of formula (IIB-2'), each of R^{10A}, R^{10B}, and R^{11} is hydrogen. In other embodiments of formula (IIB-2'), R^{11} is hydroxyl and each of R^{10A} and R^{10B} is hydrogen. In yet other embodiments, R^{11} is hydrogen and each of R^{10A} and R^{10B} is fluoro. In some embodiments according to formula (IIB-1'), (IIB-2'), (IIB-3') or (IIB-4'), G^1 is  ,  , or  . In one embodiment, G^1 is  .

[00210] In some embodiments, the present invention features compounds of formulas (IIB-1'), (IIB-2'), (IIB-3'), and (IIB-4') and the attendant definitions, wherein one or more hydrogen atoms are replaced by a deuterium atom.

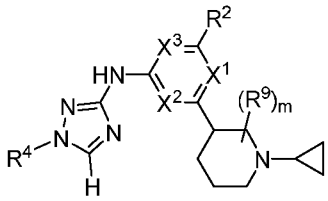
[00211] In other embodiments, compounds of formula (IIB-1') to (IIB-4') may be represented by the formulas (IIB-1.0') to (IIB-1.3'), (IIB-2.0') to (IIB-2.3'), (IIB-3.0') to (IIB-3.1') or (IIB-4.0'):



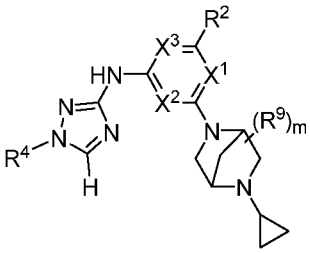
(IIB-1.0')



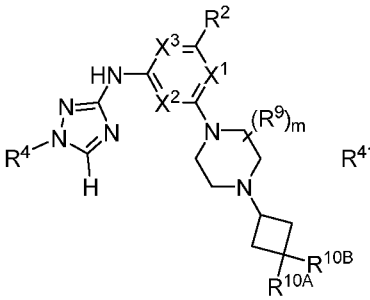
(IIB-1.1')



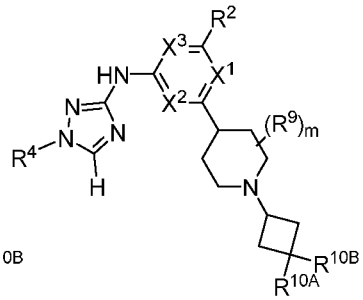
(IIB-1.2')



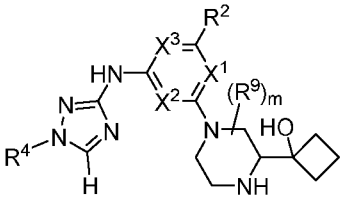
(IIB-1.3')



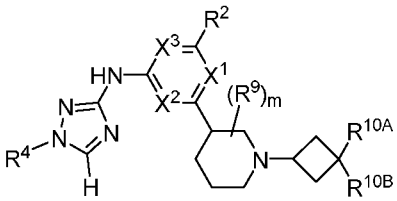
(IIB-2.0')



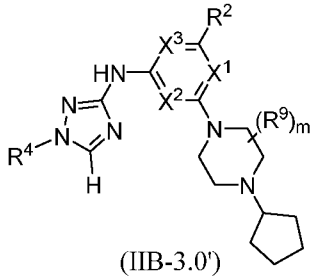
(IIB-2.1')



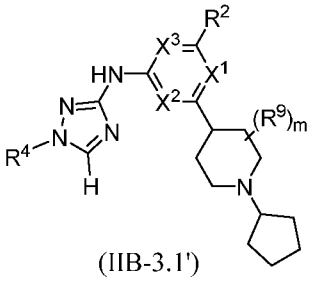
(IIB-2.2')



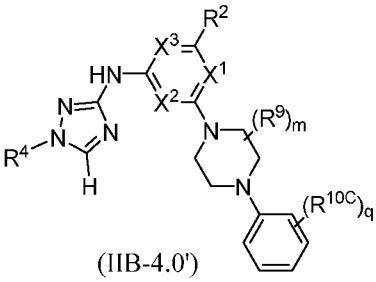
(IIB-2.3')



(IIB-3.0')



(IIB-3.1')

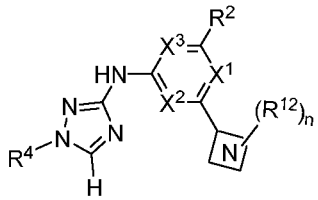


(IIB-4.0')

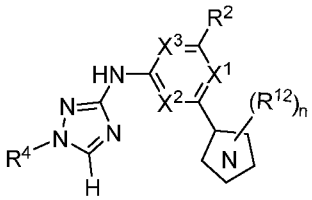
wherein R^9 is C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, hydroxyl, or oxo, m and q are each independently an integer from 0 to 4; and R^2, R^4, R^{10A}, R^{10B}, R^{10C}, X^1, X^2, and X^3 are as defined herein.

[00212] In some embodiments, the present invention features compounds of formulas (IIB-1.0') to (IIB-1.3'), (IIB-2.0') to (IIB-2.3'), (IIB-3.0') to (IIB-3.1') and (IIB-4.0') and the attendant definitions, wherein one or more hydrogen atoms are replaced by a deuterium atom.

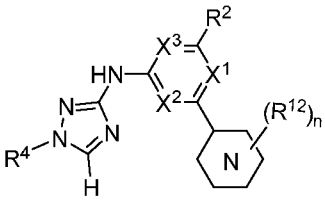
[00213] In some embodiments of formula (IIC') are compounds of formula (IIC-1') to (IIC-10'):



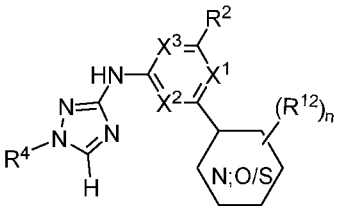
(IIC-1')



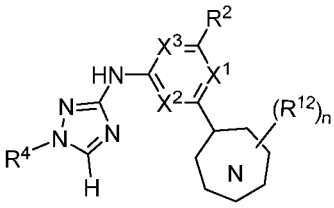
(IIC-2')



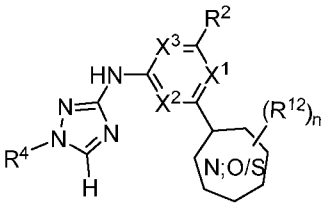
(IIC-3')



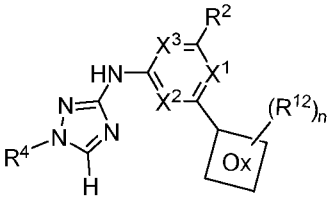
(IIC-4')



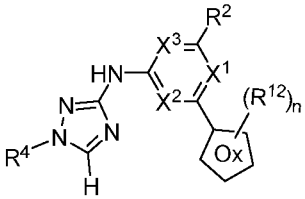
(IIC-5')



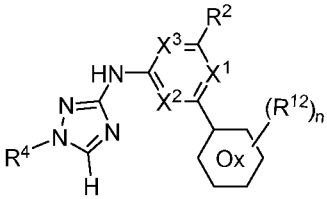
(IIC-6')



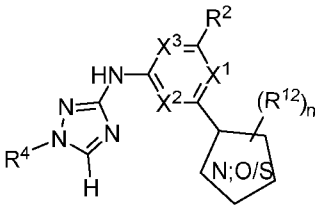
(IIC-7')



(IIC-8')

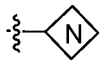


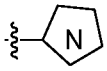
(IIC-9')

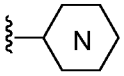


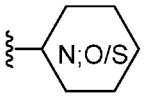
(IIC-10')

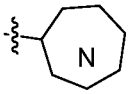
[00214] In some embodiments, the present invention features compounds of formulas (IIC-1'), (IIC-2'), (IIC-3'), (IIC-4'), (IIC-5'), (IIC-6'), (IIC-7'), (IIC-8'), (IIC-9') and (IIC-10') and the attendant definitions, wherein one or more hydrogen atoms are replaced by a deuterium atom.

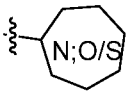
[00215] In each of formulas (IIC-1'), (IIC-2'), (IIC-3'), (IIC-4'), (IIC-5'), (IIC-6'), (IIC-7'), (IIC-8'), (IIC-9') and (IIC-10'), R^{12} represents the optional G^2 substitution, as defined herein, and n is an integer from 0-4. In the foregoing formulas, the illustrated G^2 groups having the following meanings: In compounds of formula (IIC-1'), 

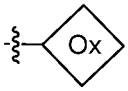
is a 4-membered monocyclic heterocycle containing one nitrogen atom. In compounds of formula (IIC-2'), 

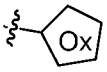
is a 5-membered monocyclic heterocycle containing one nitrogen atom and optionally one double bond. In compounds of formula (IIC-3'), 

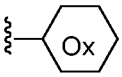
is a 6-membered monocyclic hetereocycle containing one nitrogen and optionally a second nitrogen, one double bond, and/or a C_{1-3}alkylene bridge between two non-adjacent ring atoms. In compounds of formula (IIC-4'), 

is a 6-membered monocyclic hetereocycle containing one nitrogen and one oxygen atom or sulfur atom, and optionally one double bond, and/or a C_{1-3}alkylene bridge between two non-adjacent ring atoms. In compounds of formula (IIC-5'), 

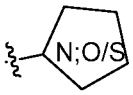
is a 7-membered monocyclic hetereocycle containing one nitrogen and optionally a second nitrogen, one double bond, and/or a C_{1-3}alkylene bridge between two non-adjacent ring atoms. In compounds of formula (IIC-6'), 

is a 7-membered monocyclic hetereocycle containing one nitrogen and one oxygen atom or sulfur atom, and optionally one double bond, and/or a C_{1-3}alkylene bridge between two non-adjacent ring atoms. In compounds of formula (IIC-7'), 

is a 4-membered monocyclic heterocycle containing one oxygen atom. In compounds of formula (IIC-8'), 

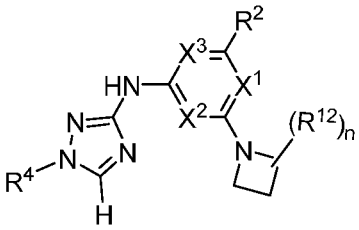
is a 5-membered monocyclic heterocycle containing one oxygen atom and optionally one double bond. In compounds of formula (IIC-9'), 

is a 6-membered monocyclic hetereocycle containing one oxygen atom and optionally one double bond and/or a C_{1-3}alkylene

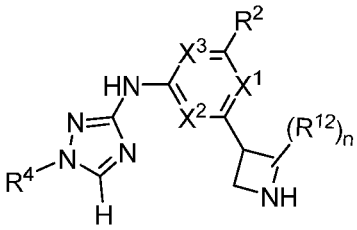
bridge between two non-adjacent ring atoms. In compounds of formula (IIC-10'), 

is a 5-membered monocyclic heterocycle containing one nitrogen and one oxygen atom or sulfur atom.

[00216] In compounds of formula (IIC-1'), are further compounds of formula (IIC-1.0') or (IIC-1.1'), wherein R^{12} and n are as defined herein:



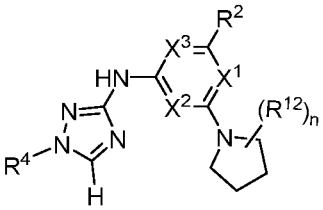
(IIC-1.0')



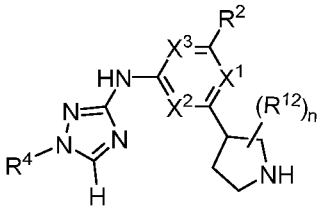
(IIC-1.1')

[00217] In some embodiments, the present invention features compounds of formulas (IIC-1.0') and (IIC-1.1') and the attendant definitions, wherein one or more hydrogen atoms are replaced by a deuterium atom.

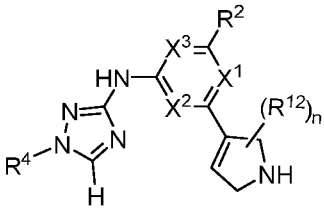
[00218] In compounds of formula (IIC-2'), are further compounds of formula (IIC-2.0'), (IIC-2.1'), or (IIC-2.2'), wherein R^{12} and n are as defined herein:



(IIC-2.0')



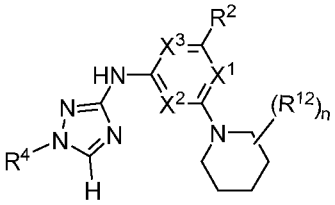
(IIC-2.1')



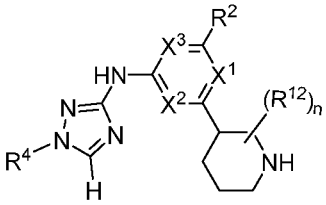
(IIC-2.2')

[00219] In some embodiments, the present invention features compounds of formulas (IIC-2.0'), (IIC-2.1'), and (IIC-2.2') and the attendant definitions, wherein one or more hydrogen atoms are replaced by a deuterium atom.

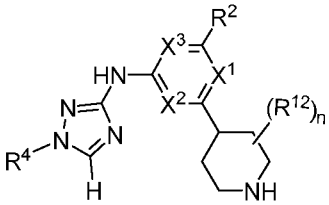
[00220] In compounds of formula (IIC-3'), are further compounds of formula (IIC-3.0') to (IIC-3.5'), wherein R^{12} and n are as defined herein:



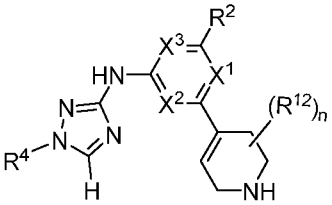
(IIC-3.0')



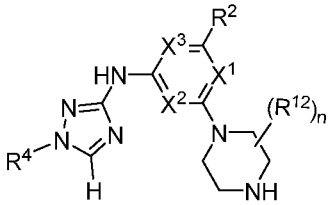
(IIC-3.1')



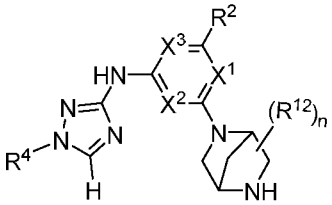
(IIC-3.2')



(IIC-3.3')



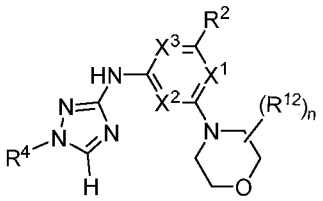
(IIC-3.4')



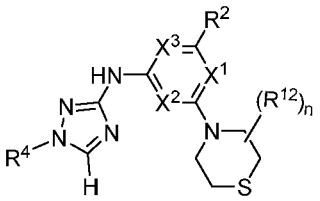
(IIC-3.5')

[00221] In some embodiments, the present invention features compounds of formulas (IIC-3.0') to (IIC-3.5') and the attendant definitions, wherein one or more hydrogen atoms are replaced by a deuterium atom.

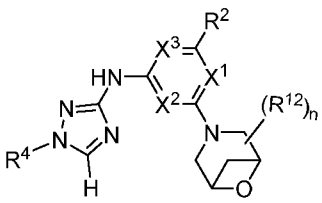
[00222] In compounds of formula (IIC-4'), (IIC-5'), and (IIC-6') are further compounds, respectively, of formula (IIC-4.0')-(IIC-4.6'), (IIC-5.0'), and (IIC-6.0'), wherein R^{12} and n are as defined herein:



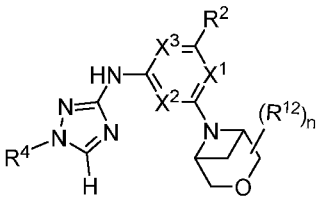
(IIC-4.0')



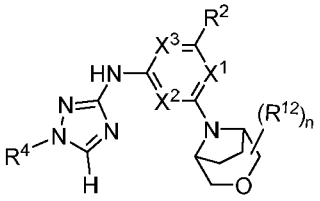
(IIC-4.1')



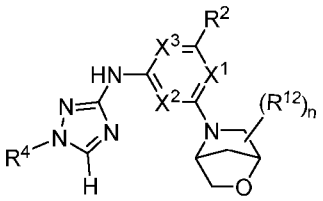
(IIC-4.2')



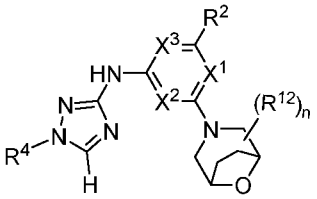
(IIC-4.3')



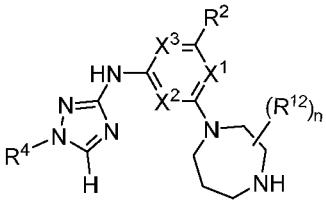
(IIC-4.4')



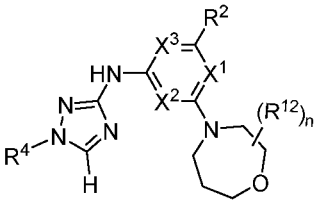
(IIC-4.5')



(IIC-4.6')



(IIC-5.0')

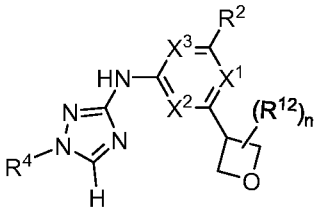


(IIC-6.0')

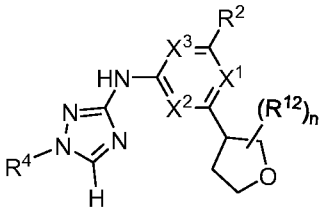
[00223] In some embodiments, the present invention features compounds of formulas (IIC-4.0')-(IIC-4.6'), (IIC-5.0'), and (IIC-6.0') and the attendant definitions, wherein one or more hydrogen atoms are replaced by a deuterium atom.

[00224] In compounds of formula (IIC-1.1'), (IIC-2.1'), (IIC-2.2'), (IIC-3.1')-(IIC-3.5'), and (IIC-5.0') are embodiments where n is 1 and R^{12} is attached to the available ring nitrogen atom. In some embodiments, the single R^{12} is C_{1-4}alkyl, C_{1-4}haloalkyl, –C(O)C_{1-4}alkyl, –C(O)C_{3-6}cycloalkyl, –C(O)OC_{1-4}alkyl, –C(O)OC_{1-4}haloalkyl, –C(O)C_{1-4}haloalkyl, –C_{1-6}alkylene–OC_{1-4}alkyl, –C_{1-6}alkylene–OH, –C_{1-6}alkylene substituted by 2 groups independently selected from hydroxyl and –OC(O)C_{1-4}alkyl, –C_{1-6}alkyl–N(C_{1-4}alkyl)(C_{1-4}alkyl), –C_{1-4}alkylene–C(O)OC_{1-4}alkyl, or –C_{1-4}alkylene–C(O)OH.

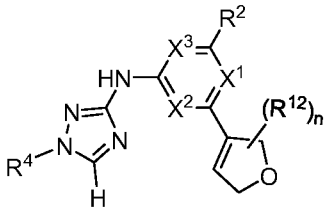
[00225] In compounds of formula (IIC-7'), (IIC-8'), (IIC-9') and (IIC-10') are further compounds, respectively, of formula (IIC-7.0'), (IIC-8.0')-(IIC-8.1') (IIC-9.0')-(IIC-9.1') and (IIC-10.0')-(IIC-10.1'), wherein R^{12} and n are as defined herein:



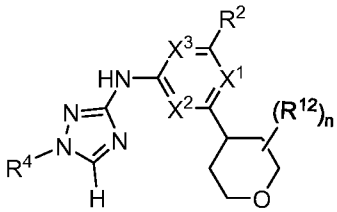
(IIC-7.0')



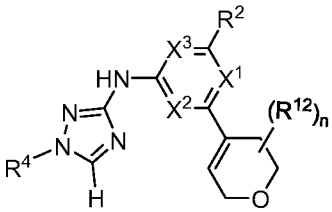
(IIC-8.0')



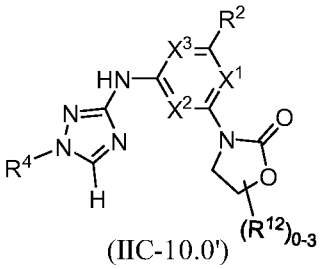
(IIC-8.1')



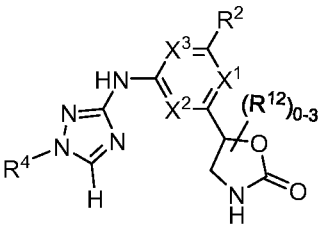
(IIC-9.0')



(IIC-9.1')

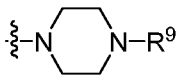
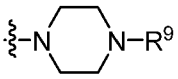


(IIC-10.0')



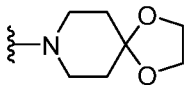
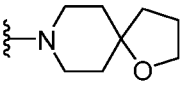
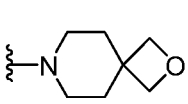
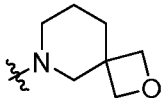
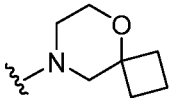
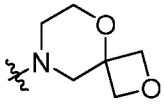
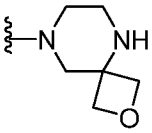
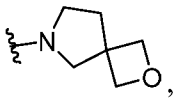
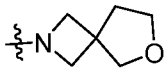
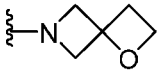
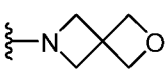
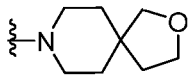
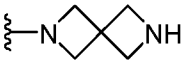
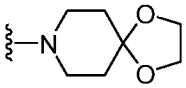
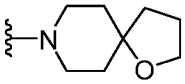
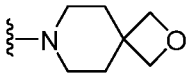
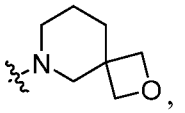
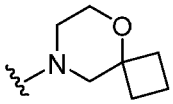
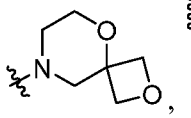
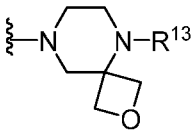
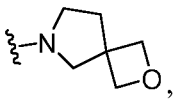
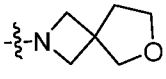
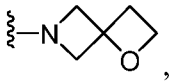
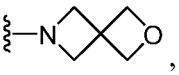
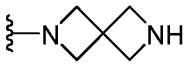
(IIC-10.1')

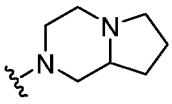
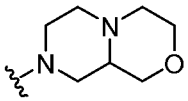
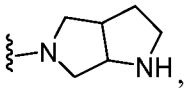
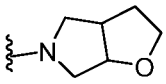
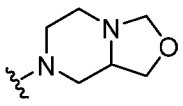
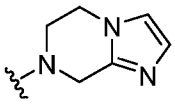
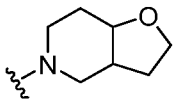
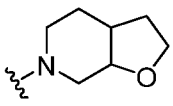
[00226] In some embodiments, the present invention features compounds of formulas (IIC-7.0'), (IIC-8.0')-(IIC-8.1') (IIC-9.0')-(IIC-9.1') and (IIC-10.0')-(IIC-10.1') and the attendant definitions, wherein one or more hydrogen atoms are replaced by a deuterium atom.

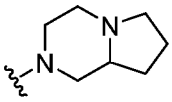
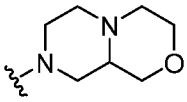
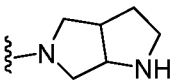
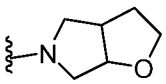
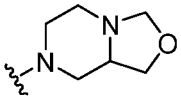
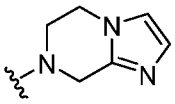
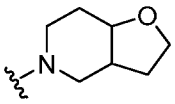
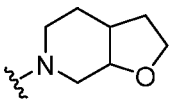
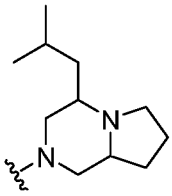
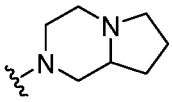
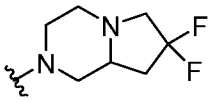
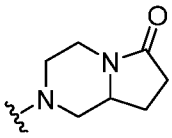
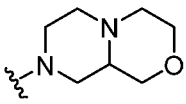
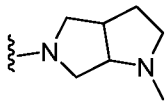
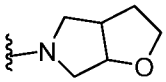
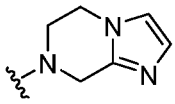
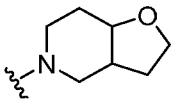
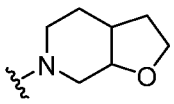
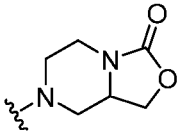
[00227] In some embodiments of formula (IIC'), G^2 is  , R^9 is C_{1-4}alkyl, R^2 is C_{1-4}alkyl C_{1-4}haloalkyl, or C_{3-6}cycloalkyl; R^4 is phenyl optionally substituted with 1-2 substituents selected from halogen and C_{1-4}alkyl or R^4 is pyrazinyl and X^1, X^2, and X^3 are as defined herein. In one embodiment, G^2 is  ; R^9 is methyl; R^2 is methyl, ethyl, trifluoromethyl, difluoromethyl, or cyclopropyl; and R^4 is phenyl, 3,5-difluorophenyl, 3-fluorophenyl, 3,4-difluorophenyl, 2,5-difluorophenyl, 3-fluoro-5-methylphenyl, or pyrazin-2-yl.

[00228] In other embodiments of formula (IIC'), G^2 is oxetan-3-yl; R^2 is C_{1-4}alkyl C_{1-4}haloalkyl, or C_{3-6}cycloalkyl; R^4 is phenyl optionally substituted with 1-2 substituents selected from halogen and C_{1-4}alkyl or R^4 is pyrazinyl and X^1, X^2, and X^3 are as defined herein. In some

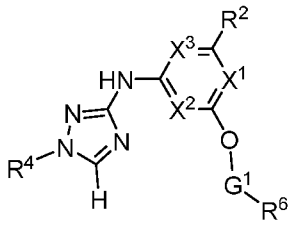
embodiments, G^2 is oxetan-3-yl; R^2 is methyl, ethyl, trifluoromethyl, difluoromethyl, or cyclopropyl; and R^4 is phenyl, 3,5-difluorophenyl, 3-fluorophenyl, 3,4-difluorophenyl, 2,5-difluorophenyl, 3-fluoro-5-methylphenyl, or pyrazin-2-yl.

[00229] In some embodiments of formula (IID'), G^3 is  ,  ,  ,  ,  ,  ,  ,  ,  ,  ,  ,  , or  , each being optionally substituted with 1-4 substituents selected from the group consisting of C_{1-4}alkyl (e.g., methyl, ethyl, isobutyl), C_{1-4}haloalkyl (e.g.,– CF_3, –CH_2CF_3), halogen (e.g., fluoro), and oxo (i.e., the optional substituent of G^3). In some embodiments, R^2 is C_{1-4}alkyl, C_{1-4}haloalkyl, or C_{3-6}cycloalkyl; R^4 is phenyl optionally substituted with 1-2 substituents selected from halogen and C_{1-4}alkyl or R^4 is pyrazinyl and X^1, X^2, and X^3 are as defined herein. In some groups of compounds, G^3 is  ,  ,  ,  ,  ,  ,  ,  ,  ,  ,  , , or  , where R^{13} is H or the optional substituent of G^3 (e.g., C_{1-4}alkyl such as methyl, ethyl).

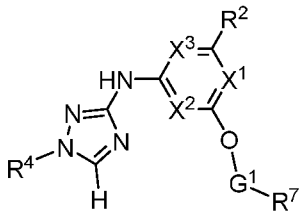
[00230] In some embodiments of formula (IIE'), G^4 is  ,  ,  ,  ,  ,  ,  , or  , each being optionally substituted with 1-4 substituents selected from the group consisting of C_{1-4}alkyl (e.g., methyl, ethyl, isobutyl), C_{1-4}haloalkyl (e.g.,– CF_3, –CH_2CF_3), halogen (e.g., fluoro),

and oxo. In one embodiment, G^4 is  ,  ,  ,  ,  ,  ,  , or  , each being optionally substituted with one C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, or oxo. In some embodiments, R^2 is C_{1-4}alkyl, C_{1-4}haloalkyl, or C_{3-6}cycloalkyl; R^4 is phenyl optionally substituted with 1-2 substituents selected from halogen and C_{1-4}alkyl or R^4 is pyrazinyl and X^1, X^2, and X^3 are as defined herein. In other embodiments, G^4 is  ,  ,  ,  ,  ,  ,  ,  ,  ,  , or  .

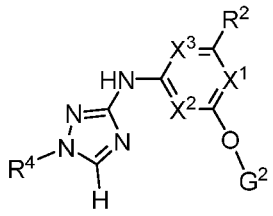
[00231] Included in compounds of formula (III') are compounds of formula (IIIA') to (IIIG'), wherein G^1, G^2, G^3, G^4, G^5, G^6, R^2, R^4, R^6, R^7, X^1, X^2, and X^3 are as defined herein.



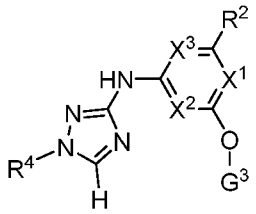
(IIIA')



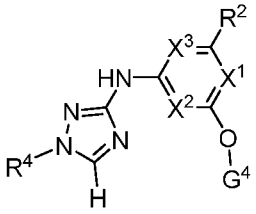
(IIIB')



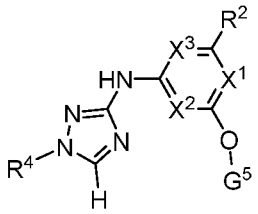
(IIIC')



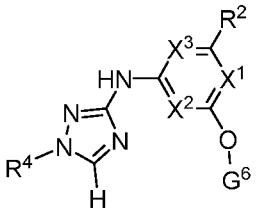
(IIID')



(IIIE')



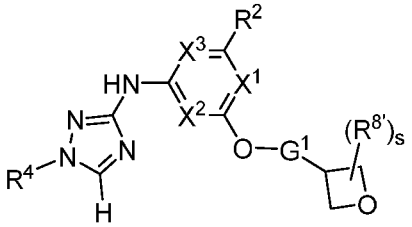
(IIIF')



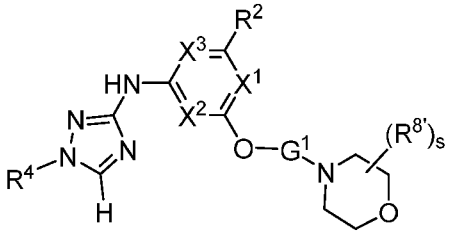
(IIIG')

[00232] In some embodiments, the present invention features compounds of formulas (IIIA') to (IIIG') and the attendant definitions, wherein one or more hydrogen atoms are replaced by a deuterium atom.

[00233] In some embodiments of formula (IIIA'), are compounds of formula (IIIA-1') and (IIIA-2'), wherein s, G^1, R^2, R^4, $R^{8'}$, X^1, X^2, and X^3 are as defined herein.



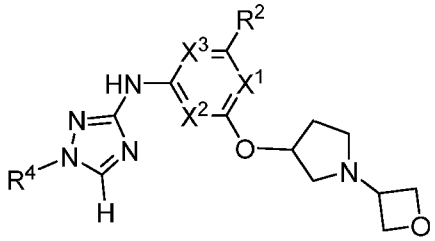
(IIIA-1')



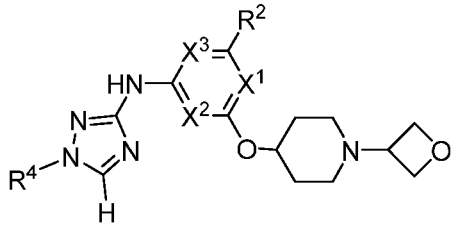
(IIIA-2')

[00234] In some embodiments, the present invention features compounds of formulas (IIIA-1') and (IIIA-2') and the attendant definitions, wherein one or more hydrogen atoms are replaced by a deuterium atom.

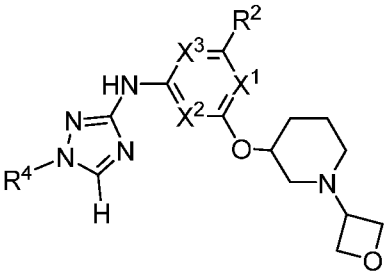
[00235] In some embodiments, compounds of formula (IIIA-1') and (IIIA-2') may be represented by the formulas (IIIA-1.0'), (IIIA-1.1'), (IIIA-1.2'), or (IIIA-2.0'):



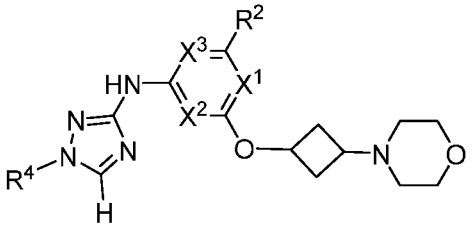
(IIIA-1.0')



(IIIA-1.1')



(IIIA-1.2')



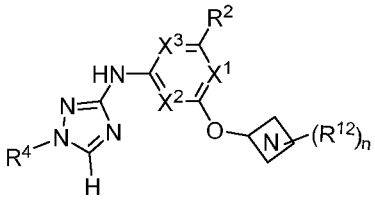
(IIIA-2.0')

wherein R^2, R^4, X^1, X^2, and X^3 are as defined herein.

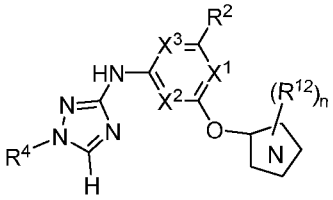
[00236] In some embodiments, the present invention features compounds of formulas (IIIA-1.0'), (IIIA-1.1'), (IIIA-1.2'), and (IIIA-2.0') and the attendant definitions, wherein one or more hydrogen atoms are replaced by a deuterium atom.

[00237] In some embodiments of formula (IIIC'), are compounds of formula (IIIC-1') to (IIIC-6'), wherein R^{12} represents the optional G^2 substitution, as defined herein, n is an integer from 0-

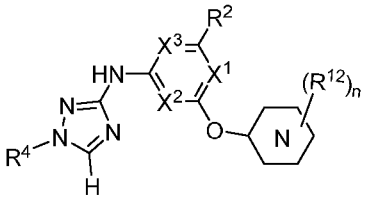
4, and R^2, R^4, X^1, X^2, and X^3 are as defined herein.



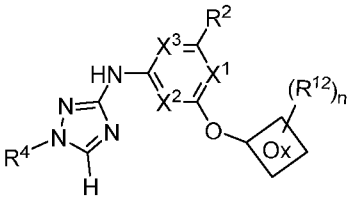
(IIIC-1')



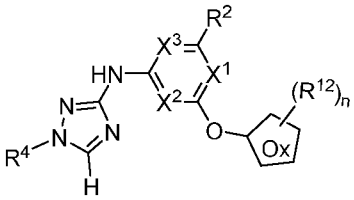
(IIIC-2')



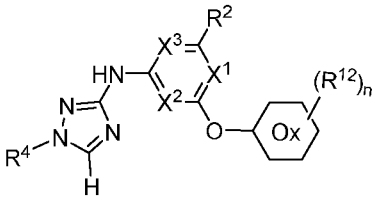
(IIIC-3')



(IIIC-4')



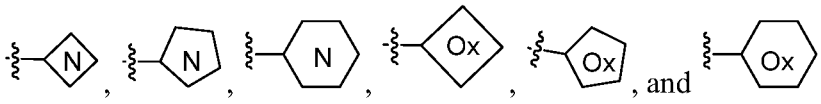
(IIIC-5')



(IIIC-6')

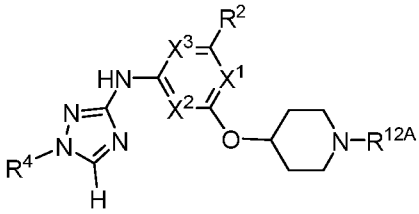
[00238] In some embodiments, the present invention features compounds of formulas (IIIC-1') to (IIIC-6') and the attendant definitions, wherein one or more hydrogen atoms are replaced by a deuterium atom.

[00239] In some embodiments, R^{12} is $–C_{1-4}$alkylene–OC_{1-4}alkyl or $–C(O)C_{1-4}$alkyl and n is 1. In a subgroup of compounds, n is 1 and R^{12} is $–C_{1-4}$alkylene–OC_{1-4}alkyl or $–C(O)C_{1-4}$alkyl and is bonded to an available ring nitrogen atom. In compounds of formulas (IIIC-1') to (IIIC-6'),

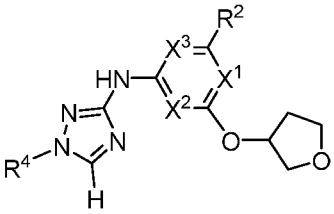


are as defined elsewhere herein.

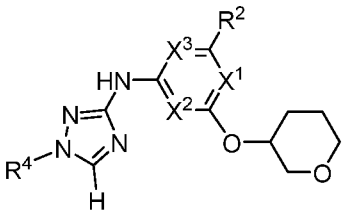
[00240] In some embodiments of formula (IIIC-3'), (IIIC-5'), and (IIIC-6') are compounds of formula (IIIC-3.0'), (IIIC-5.0'), and (IIIC-6.0'), wherein R^{12A} is H or R^{12}, and R^2, R^4, R^{12}, X^1, X^2, and X^3 are as defined herein.



(IIIC-3.0')



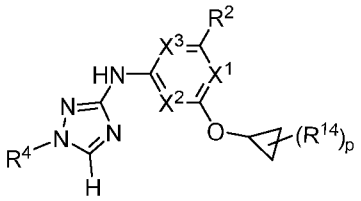
(IIIC-5.0')



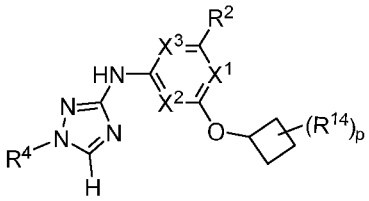
(IIIC-6.0')

[00241] In some embodiments, the present invention features compounds of formulas (IIIC-3.0'), (IIIC-5.0'), and (IIIC-6.0') and the attendant definitions, wherein one or more hydrogen atoms are replaced by a deuterium atom.

[00242] In some embodiments of formula (IIIF'), are compounds of formula (IIIF-1') and (IIIF-2'), wherein R^{14} is the optional substituent on G^5, p is an integer from 0-4, and R^2, R^4, X^1, X^2, and X^3 are as defined herein.



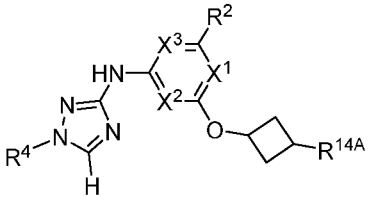
(IIIF-1')



(IIIF-2')

[00243] In some embodiments, the present invention features compounds of formulas (IIIF-1') and (IIIF-2') and the attendant definitions, wherein one or more hydrogen atoms are replaced by a deuterium atom.

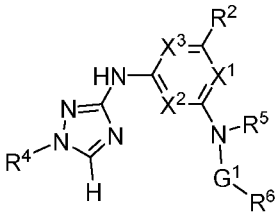
[00244] In some embodiments of formula (IIIF-2') are compounds of formula (IIIF-2.0'), wherein R^{14A} is H or R^{14}. In some groups of compounds R^{14A} is –NHC(O)(C_{1-4}alkyl).



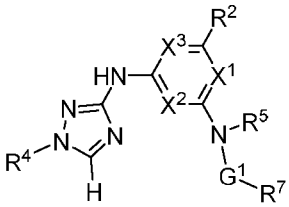
(IIIF-2.0')

[00245] In some embodiments, the present invention features compounds of formula (IIIF-2.0') and the attendant definitions, wherein one or more hydrogen atoms are replaced by a deuterium atom.

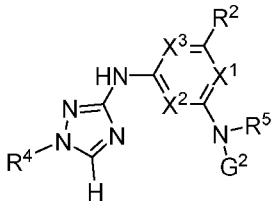
[00246] Included in compounds of formula (IV') are compounds of formula (IVA') to (IVH') and (IVJ'), wherein L^2, G^1, G^2, G^3, G^4, G^5, G^6, R^2, R^4, R^5, R^6, R^7, X^1, X^2, and X^3 are as defined herein:



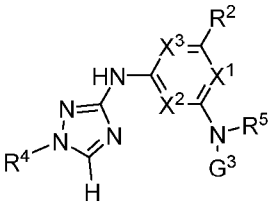
(IVA')



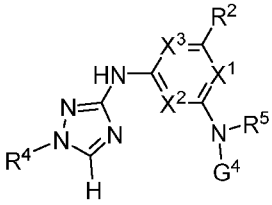
(IVB')



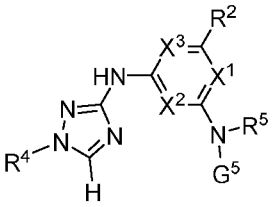
(IVC')



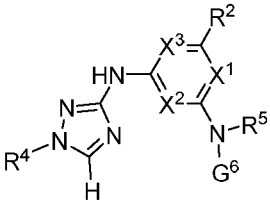
(IVD')



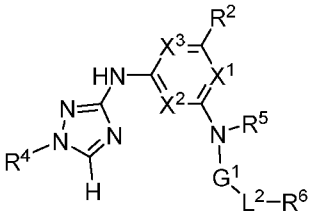
(IVE')



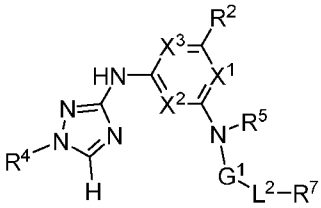
(IVF')



(IVG')



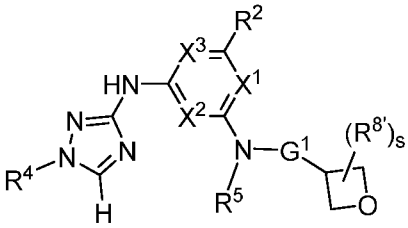
(IVH')



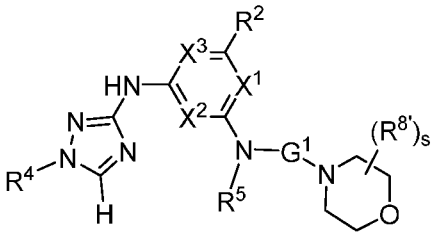
(IVJ')

[00247] In some embodiments, the present invention features compounds of formulas (IVA') to (IVH') and (IVJ') and the attendant definitions, wherein one or more hydrogen atoms are replaced by a deuterium atom.

[00248] In some embodiments of formula (IVA'), are compounds of formula (IVA-1') and (IVA-2'), wherein s, G^1, R^2, R^4, R^5, $R^{8'}$, X^1, X^2, and X^3 are as defined herein.



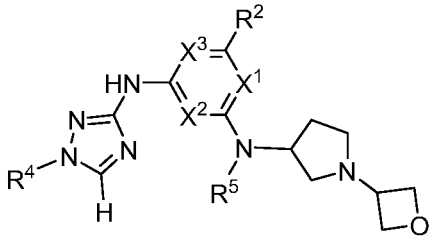
(IVA-1')



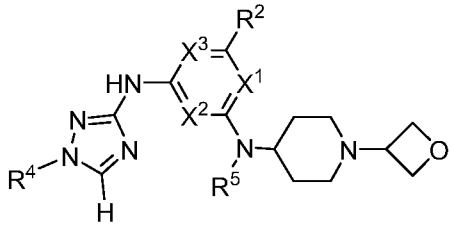
(IVA-2')

[00249] In some embodiments, the present invention features compounds of formulas (IVA-1') and (IVA-2') and the attendant definitions, wherein one or more hydrogen atoms are replaced by a deuterium atom.

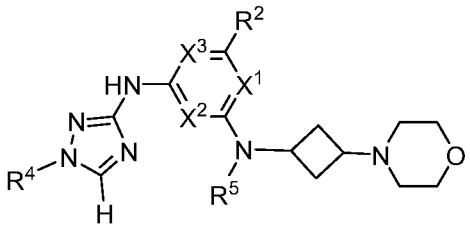
[00250] In some embodiments, compounds of formula (IVA-1') and (IVA-2') may be represented by the formulas (IVA-1.0') to (IVA-2.0').



(IVA-1.0')



(IVA-1.1')

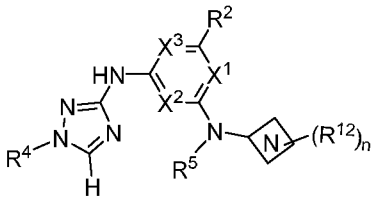


(IVA-2.0')

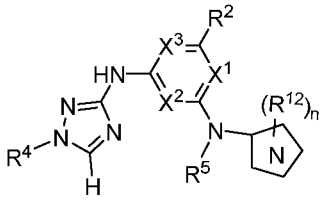
[00251] In some embodiments, the present invention features compounds of formulas (IVA-1.0') to (IVA-2.0') and the attendant definitions, wherein one or more hydrogen atoms are replaced by a deuterium atom.

[00252] In some embodiments of formulas (IVA') to (IVG'), (IVA-1'), (IVA-2'), (IVA-1.0'), (IVA-1.1'), or (IVA-2.0'), R^5 is H or methyl;

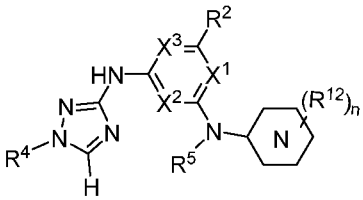
[00253] In some embodiments of formula (IVC'), are compounds of formula (IVC-1') to (IVC-6'), wherein n, R^2, R^4, R^5, R^{12}, X^1, X^2, and X^3 are as defined herein.



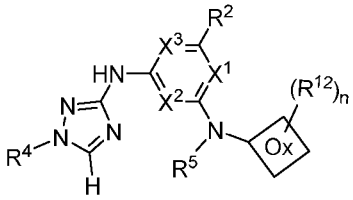
(IVC-1')



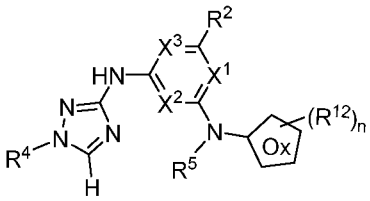
(IVC-2')



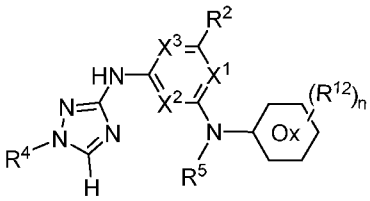
(IVC-3')



(IVC-4')

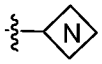
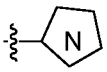
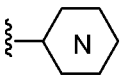
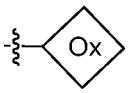
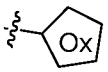
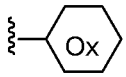


(IVC-5')

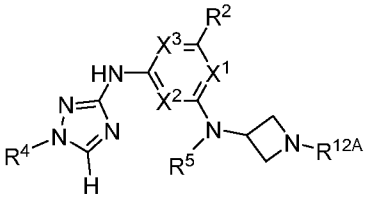


(IVC-6')

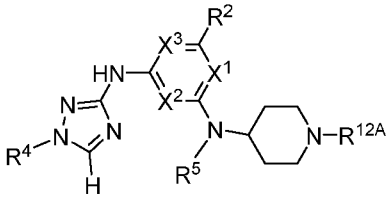
[00254] In some embodiments, the present invention features compounds of formulas (IVC-1') to (IVC-6') and the attendant definitions, wherein one or more hydrogen atoms are replaced by a deuterium atom.

[00255] In some embodiments, R^{12} is $–C_{1-4}$alkylene–OC_{1-4}alkyl, $–C(O)C_{1-4}$alkyl, or C_{1-4}alkyl and n is 1. In a subgroup of compounds, n is 1 and R^{12} is $–C_{1-4}$alkylene–OC_{1-4}alkyl or $–C(O)C_{1-4}$alkyl and is bonded to an available ring nitrogen atom. In compounds of formulas (IVC-1') to (IVC-6'),  ,  ,  ,  ,  , and  are as defined herein.

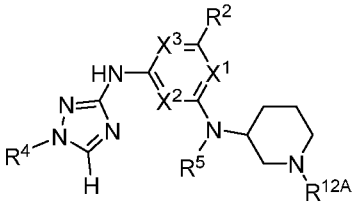
[00256] In some embodiments, compounds of formula (IVC-1') (IVC-3'), (IVC-4'), (IVC-5'), and (IVC-6') may be represented by the formulas (IVC-1.0'), (IVC-3.0'), (IVC-3.1'), (IVC-4.0'), (IVC-5.0'), and (IVC-6.0'), wherein R^{12A} and R^{12B} are independently H or R^{12}, and R^2, R^4, R^5, R^{12}, X^1, X^2, and X^3 are as defined herein. In some embodiments, R^{12A} is $–C_{1-4}$alkylene–OC_{1-4}alkyl, or $–C(O)C_{1-4}$alkyl. In some embodiments, R^{12B} is H or C_{1-4}alkyl.



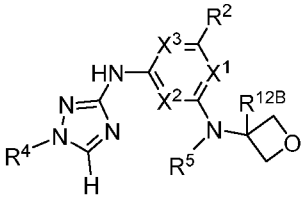
(IVC-1.0')



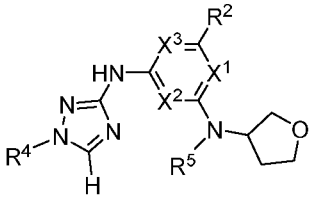
(IVC-3.0')



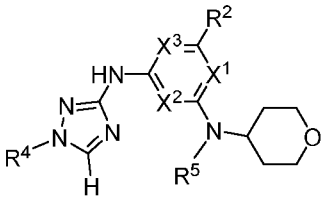
(IVC-3.1')



(IVC-4.0')



(IVC-5.0')

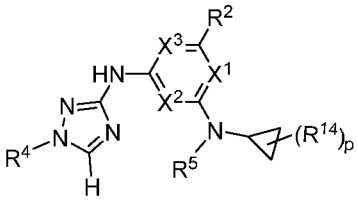


(IVC-6.0')

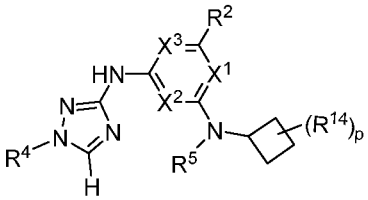
[00257] In some embodiments, the present invention features compounds of formulas (IVC-1') to (IVC-6'), (IV-1.0'), (IVA-3.0'), (IVC-3.1'), (IVC-4.0'), (IVC-5.0'), and (IVC-6.0') and the attendant definitions, wherein one or more hydrogen atoms are replaced by a deuterium atom.

[00258] In some embodiments of formulas (IVC-1') to (IVC-6'), (IV-1.0'), (IVA-3.0'), (IVC-3.1'), (IVC-4.0'), (IVC-5.0'), or (IVC-6.0'), R^5 is H or methyl;

[00259] In some embodiments of formula (IVF'), are compounds of formula (IVF-1') and (IVF-2'), wherein R^{14} is the optional substituent on G^5, p is an integer from 0-4, and R^2, R^4, R^5, X^1, X^2, and X^3 are as defined herein.



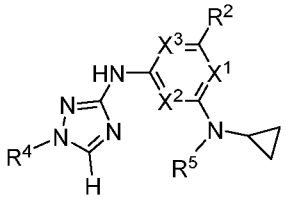
(IVF-1')



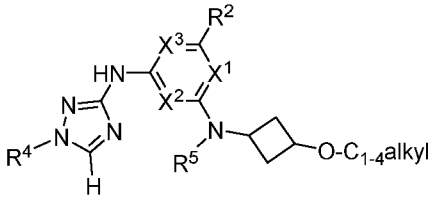
(IVF-2')

[00260] In some embodiments, the present invention features compounds of formulas (IVF-1') and (IVF-2') and the attendant definitions, wherein one or more hydrogen atoms are replaced by a deuterium atom.

[00261] In some embodiments, compounds of formula (IVF-1') and (IVF-2') may be represented by the formulas (IVF-1.0') and (IVF-2.0').



(IVF-1.0')

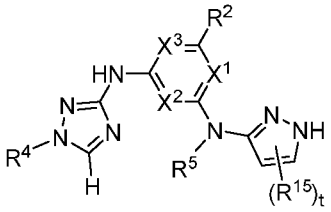


(IVF-2.0')

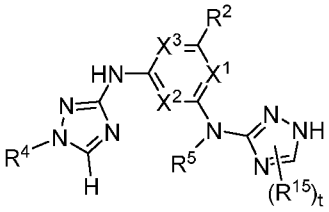
[00262] In some embodiments, the present invention features compounds of formulas (IVF-1.0') and (IVF-2.0') and the attendant definitions, wherein one or more hydrogen atoms are replaced by a deuterium atom.

[00263] In some embodiments of formulas (IVF-1'), (IVF-2'), (IVF-1.0') or (IVF-2.0'), R^5 is H or methyl.

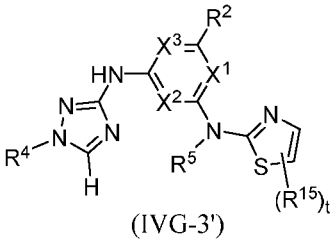
[00264] In some embodiments of formula (IVG'), are compounds of formula (IVG-1') to (IVG-4'), wherein R^{15} is the optional substituent on G^6, t is an integer from 0-4, and R^2, R^4, R^5, X^1, X^2, and X^3 are as defined herein.



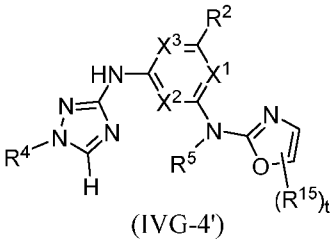
(IVG-1')



(IVG-2')



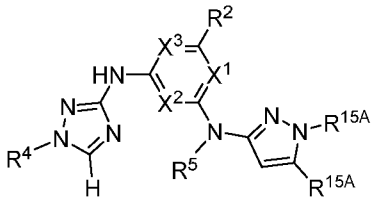
(IVG-3')



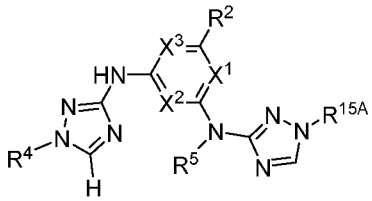
(IVG-4')

[00265] In some embodiments, the present invention features compounds of formulas (IVG-1') to (IVG-4') and the attendant definitions, wherein one or more hydrogen atoms are replaced by a deuterium atom.

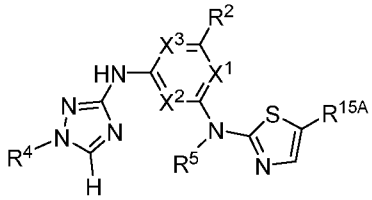
[00266] In some embodiments, compounds of formula (IVG-1') - (IVG-4') may be represented by the formulas (IVG-1.0') - (IVG-4.0'), where R^{15A} is H or R^{15}. In some embodiments, R^{15A} is H, phenyl or C_{1-4}alkyl.



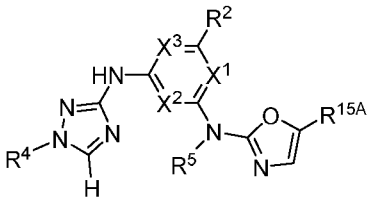
(IVG-1.0')



(IVG-2.0')



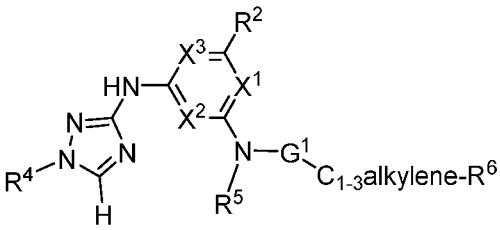
(IVG-3.0')



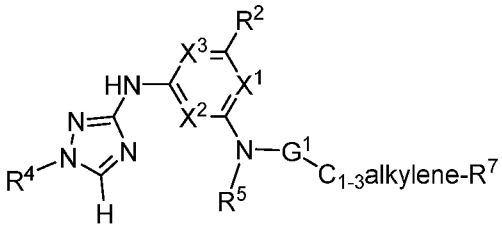
(IVG-4.0')

[00267] In some embodiments, the present invention features compounds of formulas (IVG-1.0') to (IVG-4.0') and the attendant definitions, wherein one or more hydrogen atoms are replaced by a deuterium atom.

[00268] In some embodiments of formulas (IVH') and (IVJ'), are compounds, respectively, of formulas (IVH-1') and (IVJ-1'), wherein G^1, R^2, R^4, R^5, R^6, R^7, X^1, X^2, and X^3 are as defined herein and wherein one or more hydrogen atoms are optionally replaced by a deuterium atom.

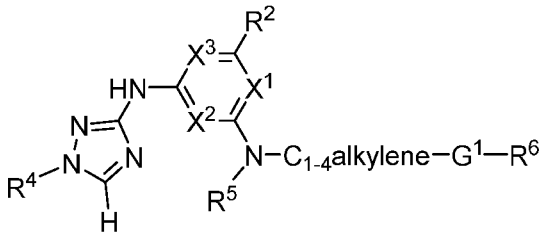


(IVH-1')

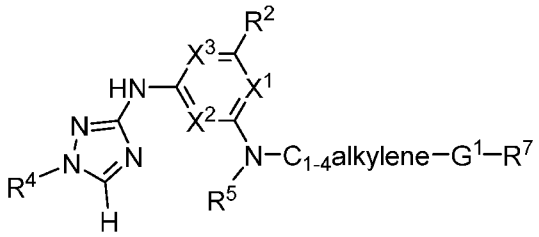


(IVJ-1')

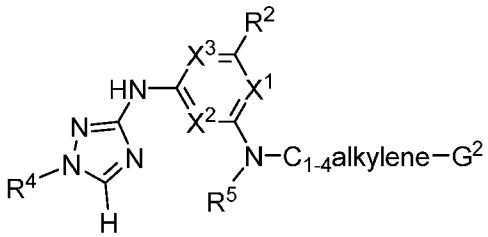
[00269] Included in compounds of formula (V') are compounds of formula (VA'), (VB'), (VC'), (VD'), (VE'), (VF'), (VG'), or (VH'), wherein $–NR^5–C_{1-4}$alkylene–, G^1, G^2, G^3, G^4, G^5, G^6, G^7, R^2, R^4, R^6, R^7, X^1, X^2, and X^3 are as defined herein:



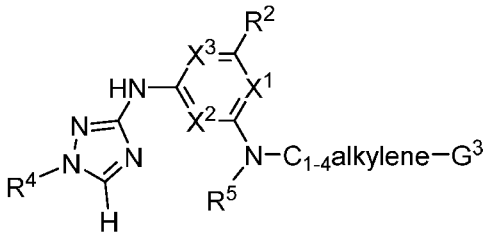
(VA')



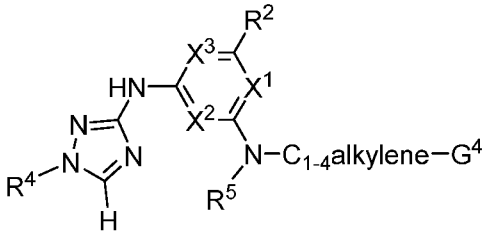
(VB')



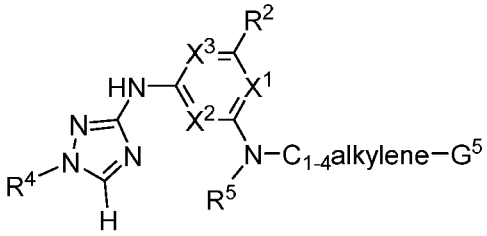
(VC')



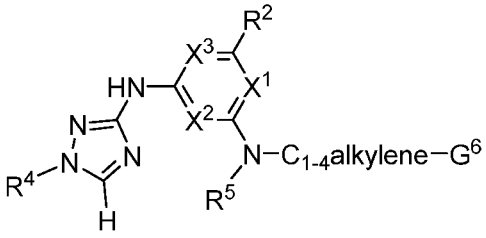
(VD')



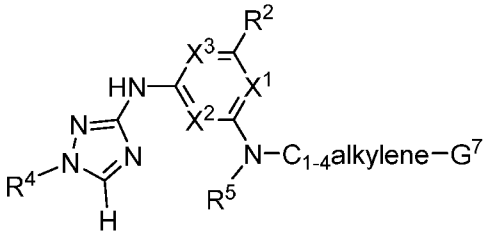
(VE')



(VF')



(VG')



(VH')

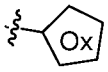
[00270] In some embodiments, the present invention features compounds of formulas (VA'), (VB'), (VC'), (VD'), (VE'), (VF'), (VG'), and (VH') and the attendant definitions, wherein one or more hydrogen atoms are replaced by a deuterium atom.

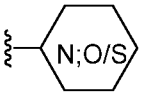
[00271] In some embodiments of formula (VA'), are compounds wherein G^1 is a 3-8 membered cycloalkyl optionally substituted as described herein. In subsets of these compounds, R^6 is the 4- to 8-membered monocyclic heterocyclic ring as described herein. In further subsets

of compounds, the C_{1-4}alkylene is a methylene. In further subsets of compounds, R^6 is the 4- to 8-membered monocyclic heterocyclic ring as described herein and the C_{1-4}alkylene is a methylene.

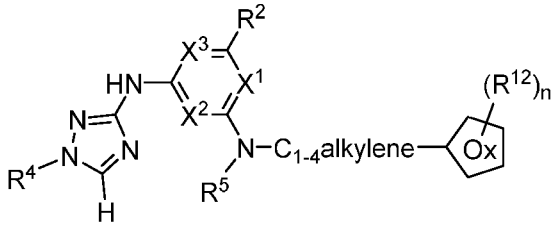
[00272] In some embodiments of formula (VA'), are compounds wherein G^1 is a 4- to 8-membered monocyclic heterocyclic ring as described herein (e.g., oxetanyl, piperidinyl). In subsets of these compounds, R^6 is the 4- to 8-membered monocyclic heterocyclic ring as described herein (e.g., pyrrolidinyl, tetrahydropyranyl). In further subsets of compounds, the C_{1-4}alkylene is a methylene. In further subsets of compounds, R^6 is the 4- to 8-membered monocyclic heterocyclic ring as described herein and the C_{1-4}alkylene is a methylene. Representative examples include the Compound numbers 1020 and 1046.

[00273] In some embodiments of formula (VB'), are compounds wherein G^1 is a 4- to 8-membered monocyclic heterocyclic ring as described herein (e.g., morpholino). In subsets of these compounds, R^7 is a 3-8 membered cycloalkyl optionally substituted as described herein (e.g., cyclopropyl). In further subsets of compounds, the C_{1-4}alkylene is a methylene. In further subsets of compounds, R^7 is a 3-8 membered cycloalkyl optionally substituted as described herein and the C_{1-4}alkylene is a methylene. A representative example includes Compound number 968.

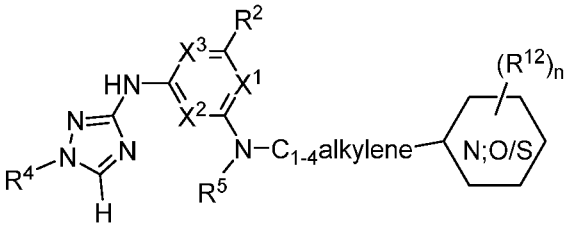
[00274] In some embodiments of formula (VC'), are compounds of formula (VC-1') and (VC-2') wherein 

, 

, n, $-NR^5-C_{1-4}$alkylene–, R^2, R^4, R^{12}, X^1, X^2, and X^3 are as defined herein.



(VC-1')

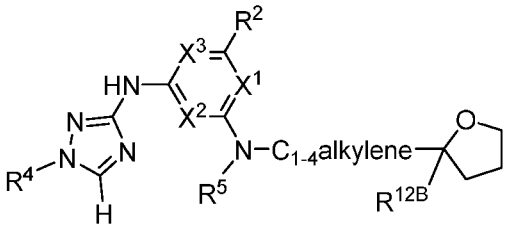


(VC-2')

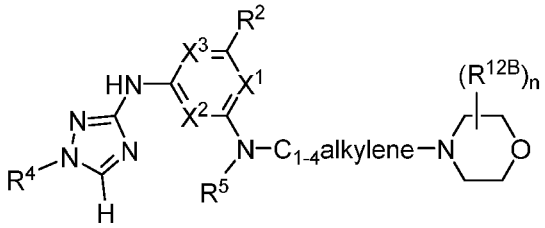
;

[00275] In some embodiments, the present invention features compounds of formulas (VC-1') and (VC-2') and the attendant definitions, wherein one or more hydrogen atoms are replaced by a deuterium atom.

[00276] In some embodiments, compounds of formula (VC-1') and (VC-2') may be represented by the formula (VC-1.0') and (VC-2.0'), where R^{12B} is H or R^{12}. In some embodiments, R^{12B} is H or C_{1-4}alkyl (e.g., methyl) and the C_{1-4}alkylene is methylene, ethylene, or propylene, optionally substituted with 1-3 fluoros.



(VC-1.0')



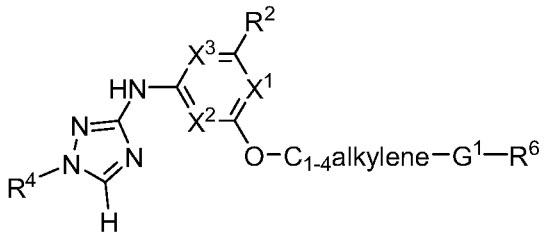
(VC-2.0')

[00277] In some embodiments, the present invention features compounds of formulas (VC-1.0') and (VC-2.0') and the attendant definitions, wherein one or more hydrogen atoms are replaced by a deuterium atom.

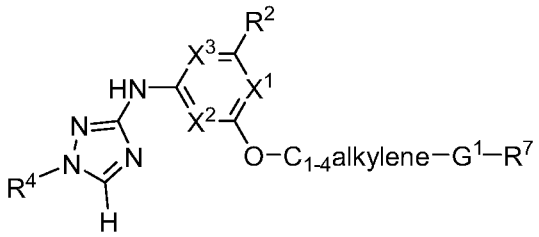
[00278] In other embodiments of formula VC' are compounds where G^2 is an azetidinyl, 1,4-dioxanyl, or 4,5-dihydroisoxazolyl (i.e., isoxazoline), for example, as shown in Compound numbers 932, 1004 or 1084.

[00279] In some embodiments of formulas (VA') to (VH'), (VC-1'), (VC-2'), (VC-1.0'), or (VC-2.0'), R^5 is H or methyl.

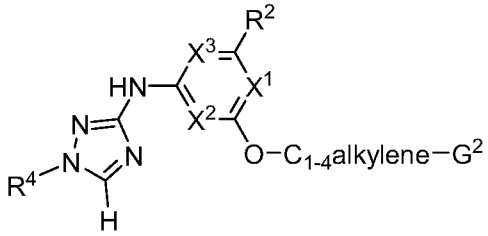
[00280] Included in compounds of formula (VI') are compounds of formula (VIA'), (VIB'), (VIC'), (VID'), (VIE'), (VIF'), or (VIG'), wherein G^1, G^2, G^3, G^4, G^5, G^6, R^2, R^4, R^6, R^7, X^1, X^2, and X^3 are as defined herein.



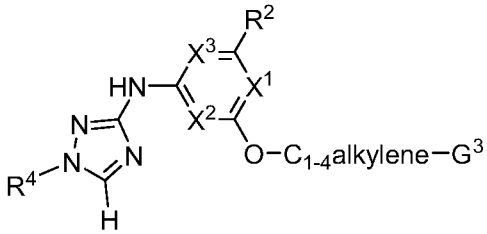
(VIA')



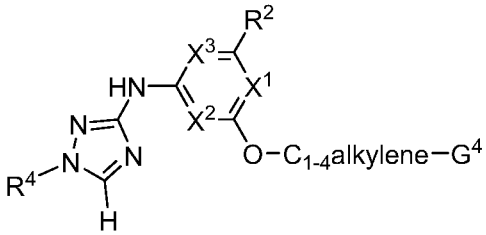
(VIB')



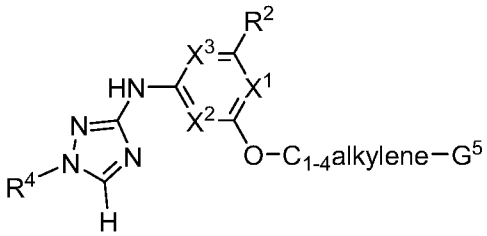
(VIC')



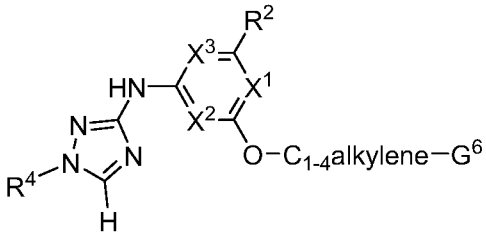
(VID')



(VIE')

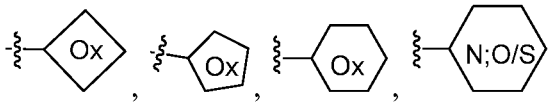


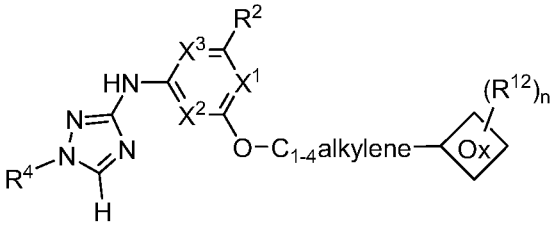
(VIF')



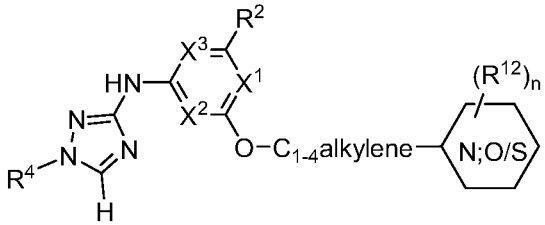
(VIG')

[00281] In some embodiments, the present invention features compounds of formulas (VIA'), (VIB'), (VIC'), (VID'), (VIE'), (VIF'), and (VIG') and the attendant definitions, wherein one or more hydrogen atoms are replaced by a deuterium atom.

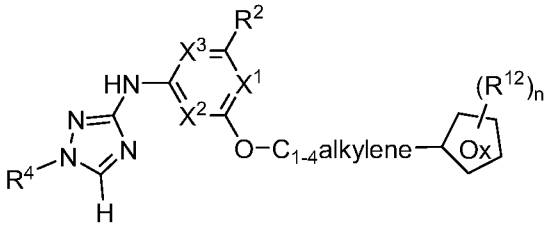
[00282] In some embodiments of formula (VIC'), are compounds of formula (VIC-1') to (VIC-4'), wherein  , n, R^2, R^4, R^{12}, X^1, X^2, and X^3 are as defined herein.



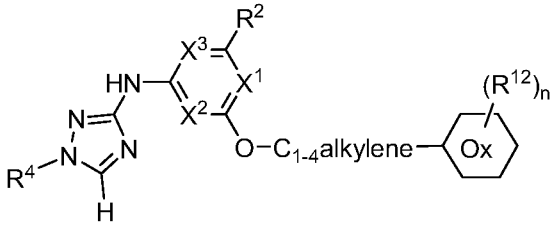
(VIC-1')



(VIC-2')



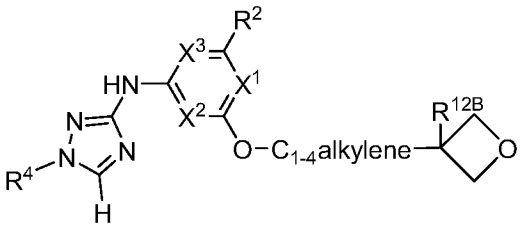
(VIC-3')



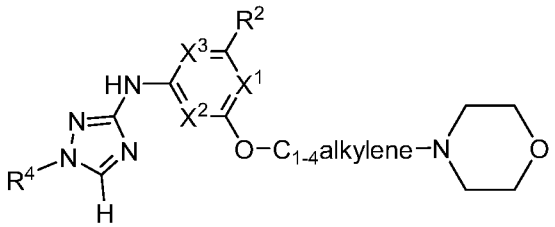
(VIC-4')

[00283] In some embodiments, the present invention features compounds of formulas (VIC-1') to (VIC-4') and the attendant definitions, wherein one or more hydrogen atoms are replaced by a deuterium atom.

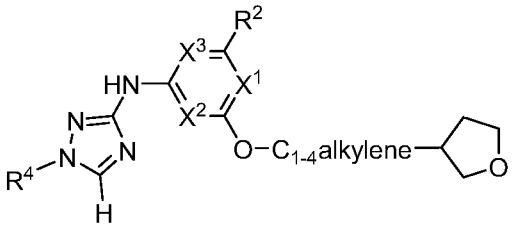
[00284] In some embodiments, compounds of formula (VIC-1'), (VIC-2'), (VIC-3'), and (VIC-4') may be represented by the formulas (VIC-1.0') - (VIC-4.0'), wherein R^{12B} is H or R^{12}. In some embodiments, R^{12B} is H or C_{1-4}alkyl (e.g., methyl) and the C_{1-4}alkylene is methylene or ethylene.



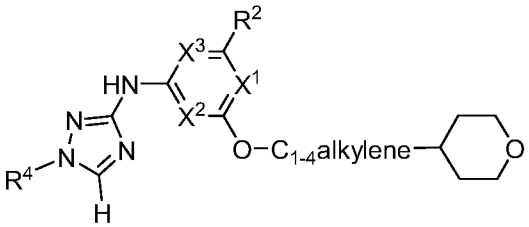
(VIC-1.0')



(VIC-2.0')



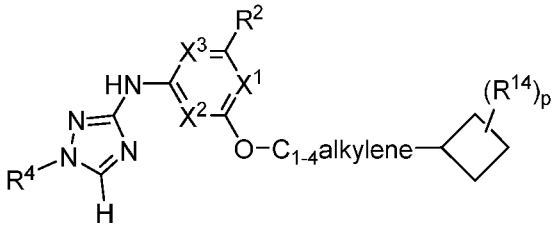
(VIC-3.0')



(VIC-4.0')

[00285] In some embodiments, the present invention features compounds of formulas (VIC-1.0') to (VIC-4.0') and the attendant definitions, wherein one or more hydrogen atoms are replaced by a deuterium atom.

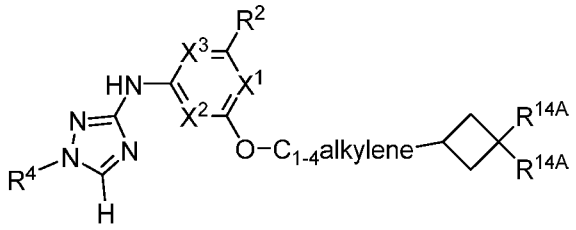
[00286] In some embodiments of formula (VIF'), are compounds of formula (VIF-1'), wherein p, R^2, R^4, R^{14}, X^1, X^2, and X^3 are as defined herein.



(VIF-1')

[00287] In some embodiments, the present invention features compounds of formula (VIF-1') and the attendant definitions, wherein one or more hydrogen atoms are replaced by a deuterium atom.

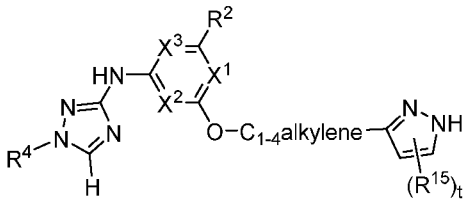
[00288] In some embodiments, compounds of formula (VIF-1') may be represented by the formulas (VIF-1.0'), wherein R^{14A} is H or R^{14}. For example, in some cases R^{14A} is halogen (e.g., fluoro).



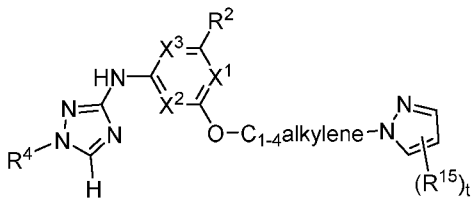
(VIF-1.0')

[00289] In some embodiments, the present invention features compounds of formula (VIF-1.0') and the attendant definitions, wherein one or more hydrogen atoms are replaced by a deuterium atom.

[00290] In some embodiments of formula (VIG'), are compounds of formula (VIG-1') and (VIG-2'), wherein R^{15} is the optional substituent on G^6, t is an integer from 0-4, and R^2, R^4, R^5, X^1, X^2, and X^3 are as defined herein.



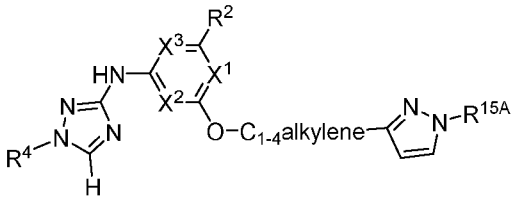
(VIG-1')



(VIG-2')

[00291] In some embodiments, the present invention features compounds of formulas (VIG-1') and (VIG-2') and the attendant definitions, wherein one or more hydrogen atoms are replaced by a deuterium atom.

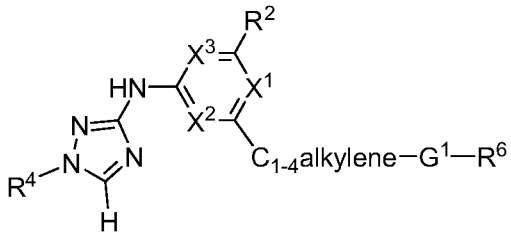
[00292] In some embodiments, compounds of formula (VIG-1') may be represented by the formulas (VIG-1.0'), where R^{15A} is H or R^{15}. In some embodiments, R^{15A} is phenyl or C_{1-4}alkyl.



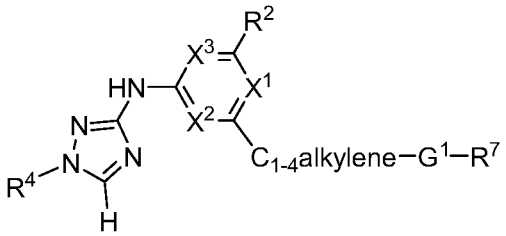
(VIG-1.0')

[00293] In some embodiments, the present invention features compounds of formula (VIG-1.0') and the attendant definitions, wherein one or more hydrogen atoms are replaced by a deuterium atom.

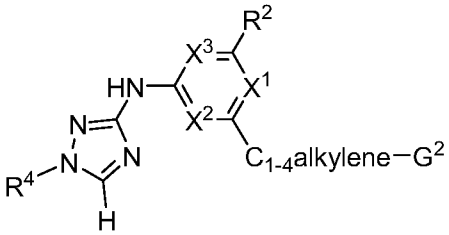
[00294] Included in compounds of formula (VII') are compounds of formula (VIIA') to (VIIG'), wherein G^1, G^2, G^3, G^4, G^5, G^6, R^2, R^4, R^6, R^7, X^1, X^2, and X^3 are as defined herein.



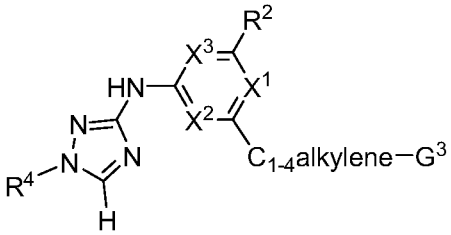
(VIIA')



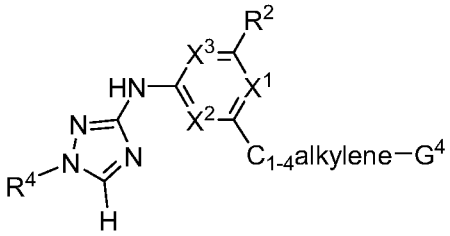
(VIIB')



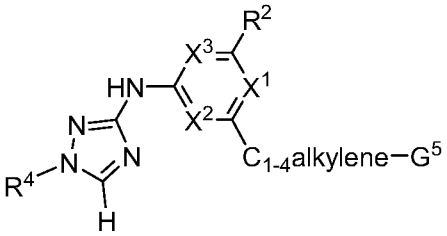
(VIIC')



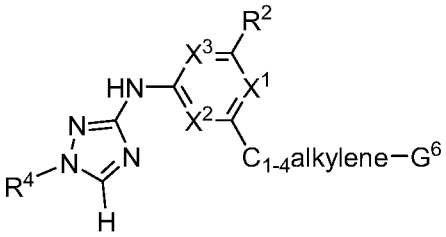
(VIID')



(VIIE')



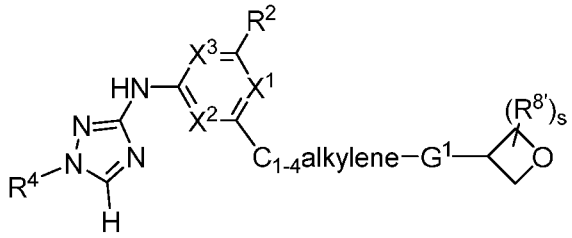
(VIIF')



(VIIG')

[00295] In some embodiments, the present invention features compounds of formulas (VIIA') to (VIIG') and the attendant definitions, wherein one or more hydrogen atoms are replaced by a deuterium atom.

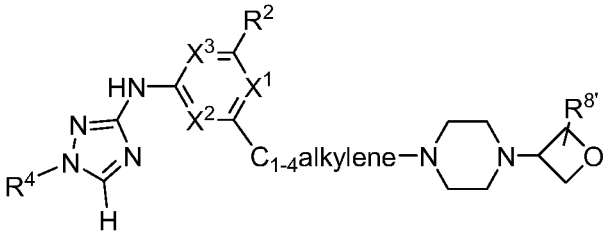
[00296] In some embodiments of formula (VIIA'), are compounds of formula (VIIA-1'), wherein s, G^1, R^2, R^4, $R^{8'}$, X^1, X^2, and X^3 are as defined herein.



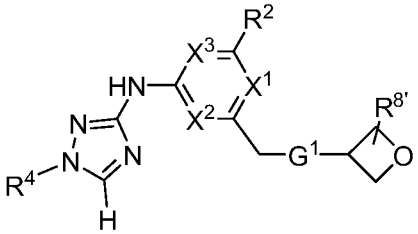
(VIIA-1')

[00297] In some embodiments, the present invention features compounds of formula (VIIA-1') and the attendant definitions, wherein one or more hydrogen atoms are replaced by a deuterium atom.

[00298] In some embodiments, compounds of formula (VIIA-1') may be represented by the formula (VIIA-1.0') or (VIIA-2.0'). As shown in (VIIA-2.0'), in some embodiments, the C_{1-4}alkylene is a CH_2 group.



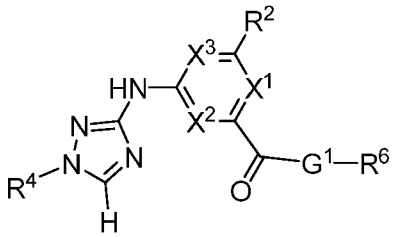
(VIIA-1.0')



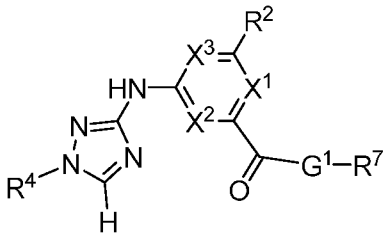
(VIIA-2.0')

[00299] In some embodiments, the present invention features compounds of formulas (VIIA-1.0') and (VIIA-2.0') and the attendant definitions, wherein one or more hydrogen atoms are replaced by a deuterium atom.

[00300] Included in compounds of formula (VIII') are compounds of formula (VIIIA') to (VIIIG'), wherein G^1, G^2, G^3, G^4, G^5, G^6, R^2, R^4, R^6, R^7, X^1, X^2, and X^3 are as defined herein.



(VIIIA')



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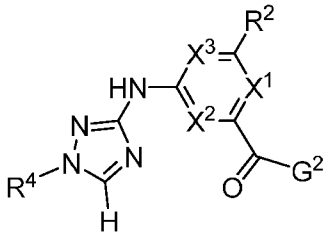
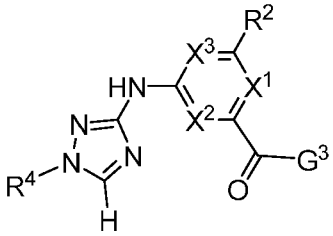


(VIIIC')



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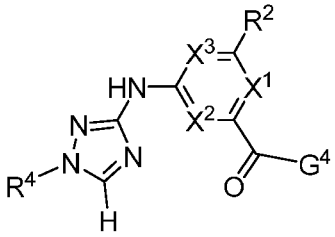
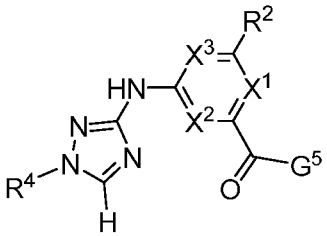


(VIIIE')



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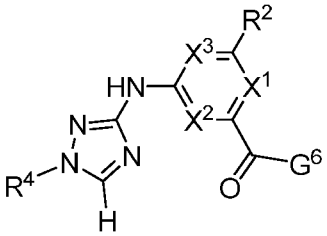
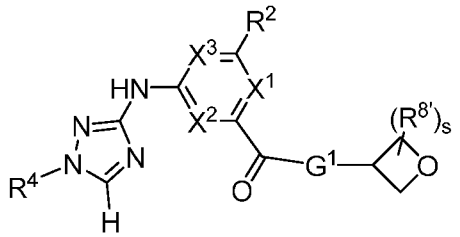


(VIIIG')

[00301] In some embodiments, the present invention features compounds of formulas (VIIIA') to (VIIIG') and the attendant definitions, wherein one or more hydrogen atoms are replaced by a deuterium atom.

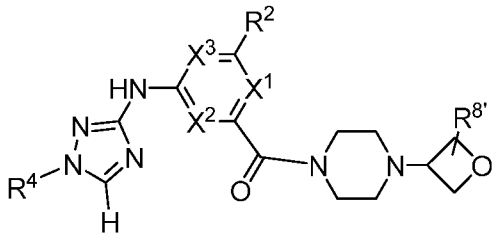
[00302] In some embodiments of formula (VIIIA'), are compounds of formula (VIIIA-1'), wherein s, G^1, R^2, R^4, $R^{8'}$, X^1, X^2, and X^3 are as defined herein.



(VIIIA-1')

[00303] In some embodiments, the present invention features compounds of formula (VIIIA-1') and the attendant definitions, wherein one or more hydrogen atoms are replaced by a deuterium atom.

[00304] In some embodiments, compounds of formula (VIIIA-1') may be represented by the formula (VIIIA-1.0').



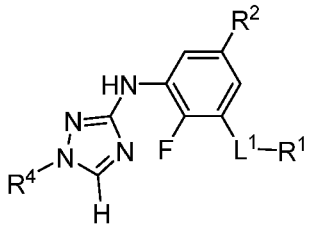
(VIIIA-1.0')

[00305] In some embodiments, the present invention features compounds of formula (VIIIA-1.0') and the attendant definitions, wherein one or more hydrogen atoms are replaced by a deuterium atom.

[00306] In each of the foregoing embodiments related to compounds of formulas (II') to (VIII'), and associated subformulas and compounds, are embodiments wherein R^2 is C_{1-4}alkyl C_{1-4}haloalkyl, or C_{3-6}cycloalkyl; R^4 is phenyl optionally substituted with 1-2 substituents selected from halogen and C_{1-4}alkyl or R^4 is pyrazinyl. In some embodiments, R^2 is methyl, ethyl, trifluoromethyl, difluoromethyl, or cyclopropyl; and R^4 is phenyl, 3,5-difluorophenyl, 3-fluorophenyl, 3,4-difluorophenyl, 2,5-difluorophenyl, 3-fluoro-5-methylphenyl, or pyrazin-2-yl. In further embodiments, X^1, X^2, and X^3 are each CH. In other embodiments, X^1 is CH, X^2 is CR^{X2}, and X^3 is CR^3. In other embodiments, X^1 is CH, X^2 is CR^{X2}, and X^3 is CH. In other embodiments, X^1 is CH, X^2 is C-F, and X^3 is CH. In other embodiments, X^1 is CH, X^2 is CH, and X^3 is CR^3. In other embodiments, X^1 is N and X^2 and X^3 and are CH. In other embodiments, X^1 is N, X^2 is CR^{X2}, and X^3 is CR^3. In other embodiments, X^1 is CH, X^2 is N, and

X^3 is CH. In other embodiments, X^1 is CH, X^2 is N, and X^3 is CR^3. In other embodiments, X^1 and X^2 are CH, and X^3 is N. In other embodiments, X^1 is CH, X^2 is CR^{X2}, and X^3 is N. In other embodiments, X^1 and X^2 are N, and X^3 is CH. In other embodiments, X^1 and X^2 are N, and X^3 is CR^3.

[00307] In some embodiments according to formulas (II') to (VIII'), and associated subformulas and compounds are further compounds where X^1 is H, X^3 is H, X^2 is CR^{X2} and R^{X2} is hydrogen or fluoro. In other embodiments, R^{X2} is fluoro. For example, in some embodiments are compounds of formula (X'), wherein R^1, R^2, R^4, and L^1 are as defined in the description and embodiments herein.



(X')

[00308] In some embodiments, the present invention features compounds of formula (X') and the attendant definitions, wherein one or more hydrogen atoms are replaced by a deuterium atom.

[00309] In another embodiment, the compounds of formula I or I' include isotope-labelled forms thereof. An isotope-labelled form of a compound of formula I or I' is identical to this compound apart from the fact that one or more atoms of the compound have been replaced by an atom or atoms having an atomic mass or mass number which differs from the atomic mass or mass number of the atom which usually occurs in greater natural abundance. Examples of isotopes which are readily commercially available and which can be incorporated into a compound of formula I or I' by well-known methods include isotopes of hydrogen, carbon, nitrogen, oxygen, phosphorus, fluorine and chlorine, for example ^2H, ^3H, ^{13}C, ^{14}C, ^{15}N, ^{18}O, ^{17}O, ^{31}P, ^{32}P, ^{35}S, ^{18}F and ^{36}Cl, respectively.

[00310] In another embodiment, a compound of formula I or I' or a pharmaceutically acceptable salt thereof which contains one or more of the above-mentioned isotopes and/or other isotopes of other atoms is intended to be part of the present invention.

[00311] In another embodiment, the present invention features a compound of formula I or I' and the attendant definitions, wherein one or more hydrogen atoms are replaced by a deuterium atom.

[00312] In another embodiment, an isotope-labelled compound of formula I or I' can be used in a number of beneficial ways. In one embodiment, an isotope-labelled compound of formula I or I' into which, for example, a radioisotope, such as ^{3}H or ^{14}C, has been incorporated is suitable for a medicament and/or for substrate tissue distribution assays. In one embodiment, tritium (^{3}H) and carbon-14 (^{14}C) are particularly preferred owing to simple preparation and excellent detectability.

[00313] In yet another embodiment, incorporation of heavier isotopes, for example deuterium (^{2}H), into a compound of formula I or I' have therapeutic advantages owing to the higher metabolic stability of this isotope-labelled compound. Higher metabolic stability translates directly into an increased in vivo half-life or lower dosages, which under most circumstances would represent a preferred embodiment of the present invention. An isotope-labelled compound of formula I or I' can usually be prepared by carrying out the procedures disclosed in the synthesis schemes and the related description, in the example part and in the preparation part in the present text, replacing a non-isotope-labelled reactant by a readily available isotope-labelled reactant.

[00314] In another embodiment, Deuterium (^{2}H) can also be incorporated into a compound of formula I or I' for the purpose of manipulating the oxidative metabolism of the compound by way of the primary kinetic isotope effect. The primary kinetic isotope effect is a change of the rate for a chemical reaction that results from exchange of isotopic nuclei, which in turn is caused by the change in ground state energies necessary for covalent bond formation after this isotopic exchange. Exchange of a heavier isotope usually results in a lowering of the ground state energy for a chemical bond and thus causes a reduction in the rate-limiting bond breakage. If the bond breakage occurs in or in the vicinity of a saddle-point region along the coordinate of a multi-product reaction, the product distribution ratios can be altered substantially. For explanation: if deuterium is bonded to a carbon atom at a non-exchangeable position, rate differences of $k_{M/kD}$ = 2-7 are typical. If this rate difference is successfully applied to a compound of formula I or I' that is susceptible to oxidation, the profile of this compound in vivo can be drastically modified and result in improved pharmacokinetic properties. For a further discussion, see S. L. Harbeson

and R. D. Tung, *Deuterium In Drug Discovery and Development*, Ann. Rep. Med. Chem. 2011, 46, 403-417, incorporated in its entirety herein by reference.

[00315] When discovering and developing therapeutic agents, the person skilled in the art attempts to optimise pharmacokinetic parameters while retaining desirable in vitro properties. It is reasonable to assume that many compounds with poor pharmacokinetic profiles are susceptible to oxidative metabolism. In vitro liver microsomal assays currently available provide valuable information on the course of oxidative metabolism of this type, which in turn permits the rational design of deuterated compounds of formula I or I' with improved stability through resistance to such oxidative metabolism. Significant improvements in the pharmacokinetic profiles of compounds of formula I or I' are thereby obtained, and can be expressed quantitatively in terms of increases in the in vivo half-life ($t_{1/2}$), concentration at maximum therapeutic effect (C_{max}), area under the dose response curve (AUC), and bioavailability; and in terms of reduced clearance, dose and materials costs.

[00316] The following is intended to illustrate the above: a compound of formula I or I' which has multiple potential sites of attack for oxidative metabolism, for example benzylic hydrogen atoms and hydrogen atoms bonded to a nitrogen atom, is prepared as a series of analogues in which various combinations of hydrogen atoms are replaced by deuterium atoms, so that some, most or all of these hydrogen atoms have been replaced by deuterium atoms. Half-life determinations enable favourable and accurate determination of the extent to which the improvement in resistance to oxidative metabolism has improved. In this way, it is determined that the half-life of the parent compound can be extended by up to 100% as the result of deuterium-hydrogen exchange of this type.

[00317] In another embodiment, deuterium-hydrogen exchange in a compound of formula I or I' can be used to achieve a favourable modification of the metabolite spectrum of the starting compound in order to diminish or eliminate undesired toxic metabolites. For example, if a toxic metabolite arises through oxidative carbon-hydrogen (C-H) bond cleavage, it can reasonably be assumed that the deuterated analogue will greatly diminish or eliminate production of the unwanted metabolite, even if the particular oxidation is not a rate-determining step. Further information on the state of the art with respect to deuterium-hydrogen exchange may be found, for example in Hanzlik et al., J. Org. Chem. 55, 3992-3997, 1990, Reider et al., J. Org. Chem.

52, 3326-3334, 1987, Foster, Adv. Drug Res. 14, 1-40, 1985, Gillette et al, Biochemistry 33(10) 2927-2937, 1994, and Jarman et al. Carcinogenesis 16(4), 683-688, 1993.

[00318] In another embodiment, the invention features a compound of formula I or I', wherein the compound or a pharmaceutically acceptable salt thereof, is selected from Table 1 below. In the Table 1 below, compounds 92 and 473 are each single enantiomers with unknown stereochemistry and are arbitrarily assigned the "S" and "R" conformation, respectively. Compounds 101 and 487 are also each single enantiomers with unknown stereochemistry and are arbitrarily assigned the "S" and "R" conformation, respectively. Compound 208 is a racemic mixture of the (S,R) and (R,R) diastereomers where the stereocenters are in a *cis* configuration. Compound 282 is a racemic mixture of the (R,R) and (S,S) diastereomers where the stereocenters are in a *trans* configuration.

[00319] **Table 1**. Compound Table

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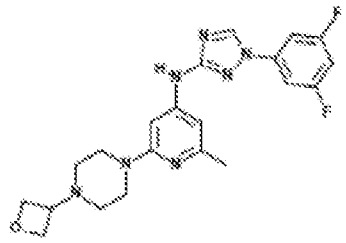		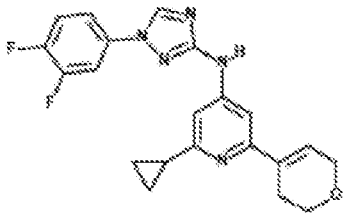
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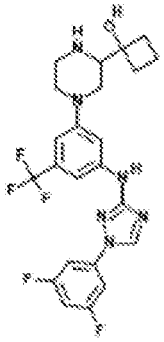	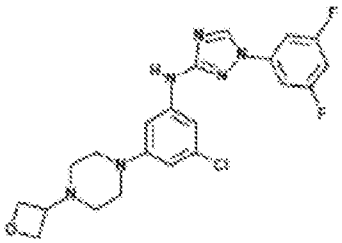	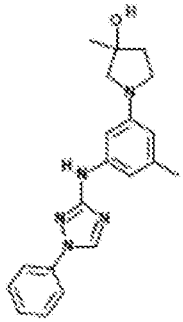
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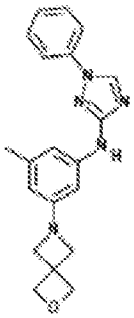	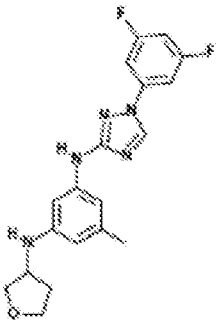	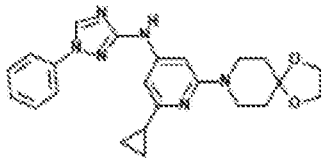
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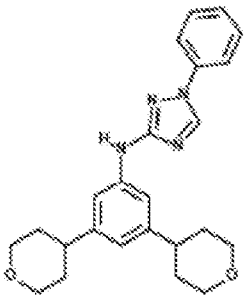	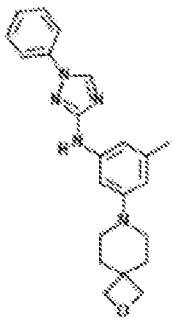	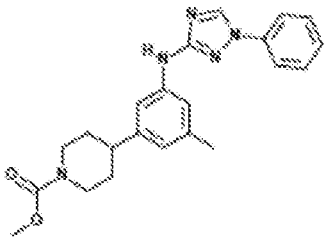

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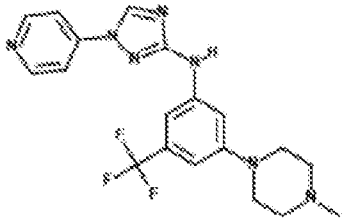	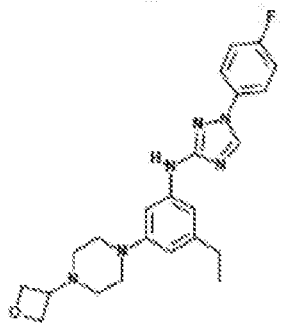	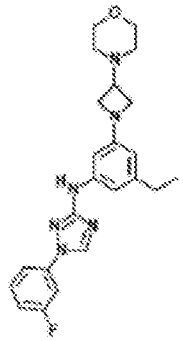
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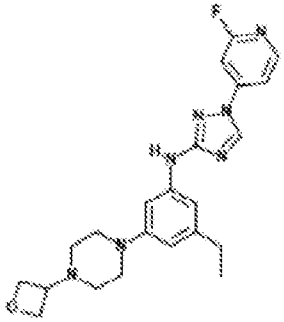	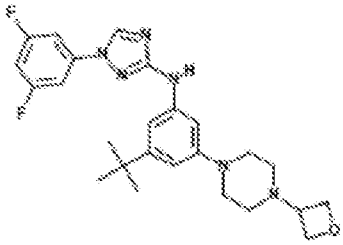	
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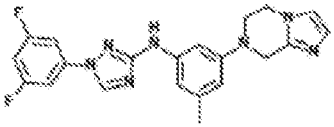	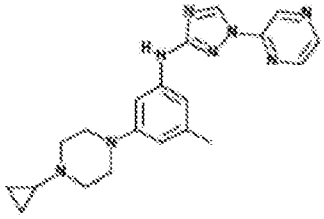	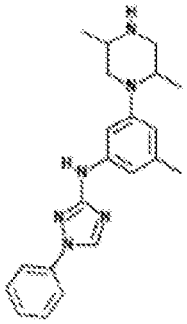
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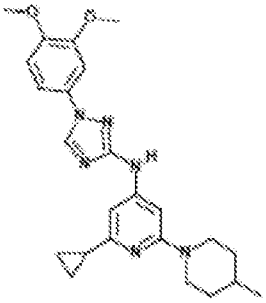	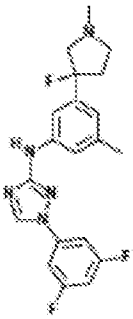	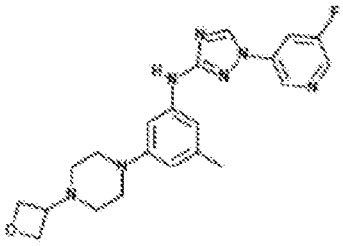

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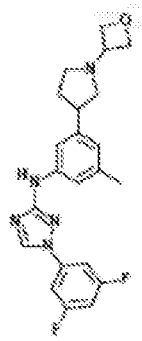	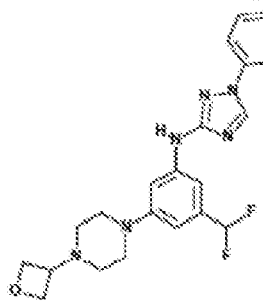	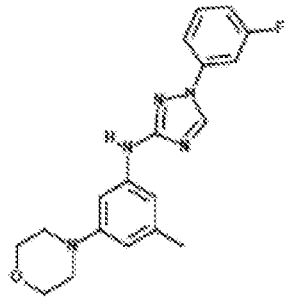
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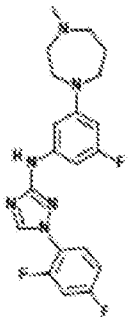	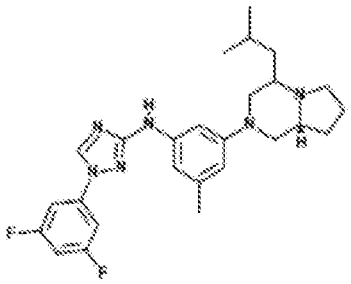	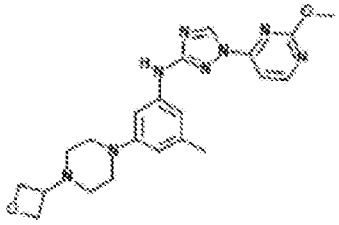
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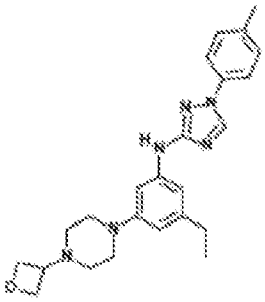	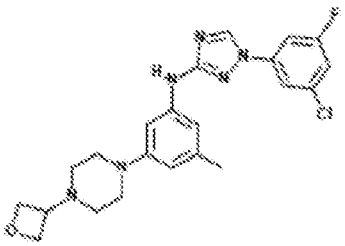	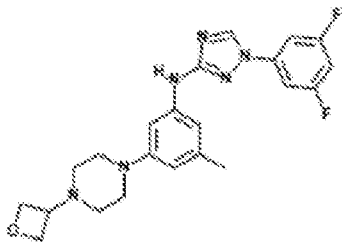
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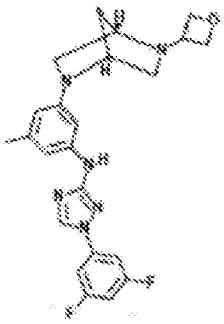	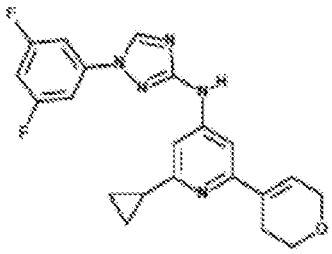	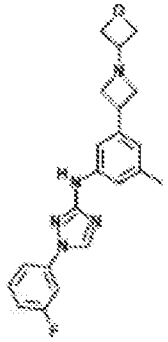

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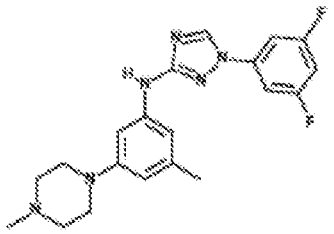	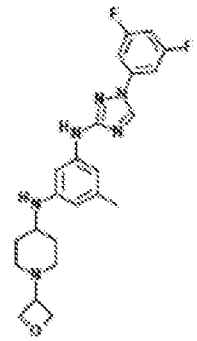	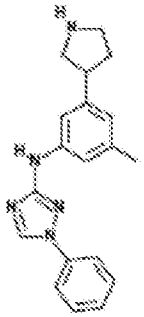
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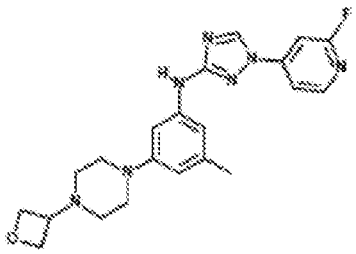	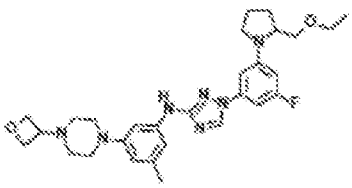	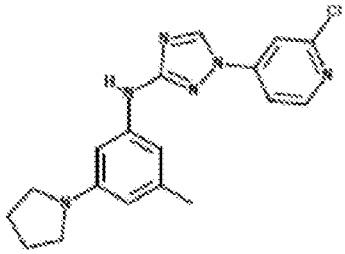
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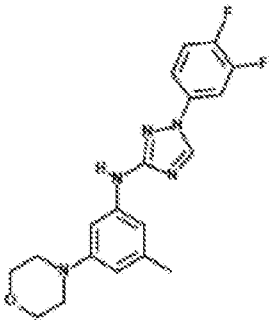	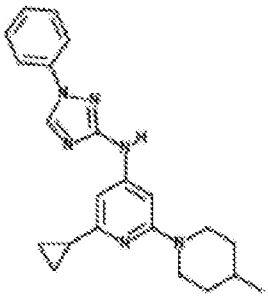	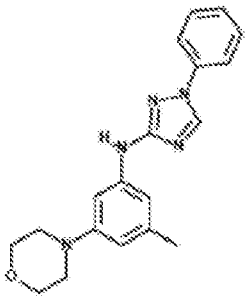
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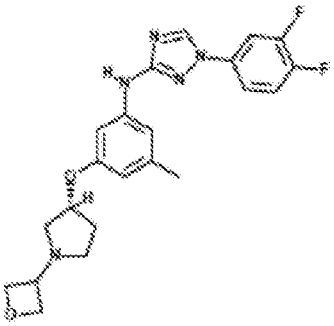	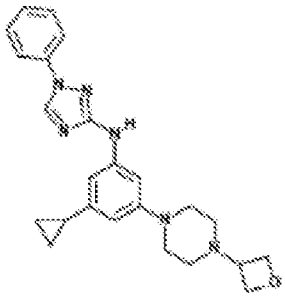	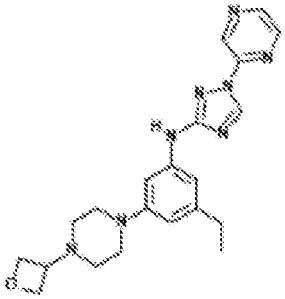

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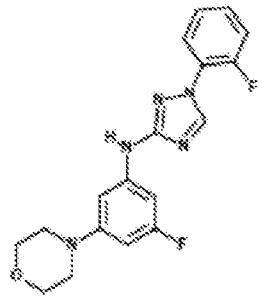	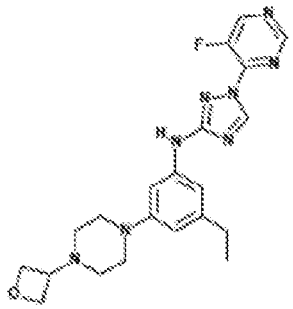	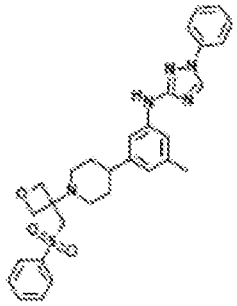
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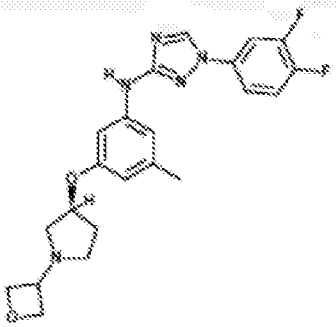	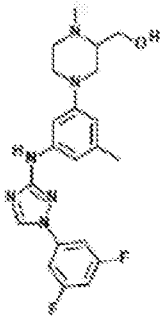	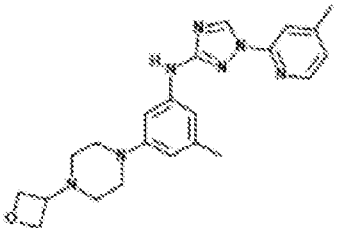
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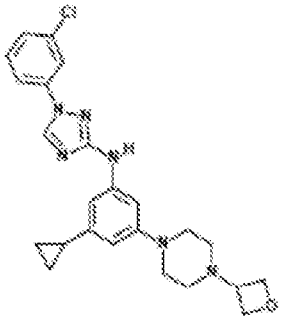	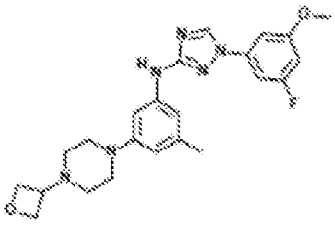	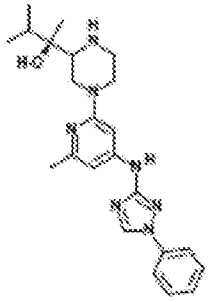
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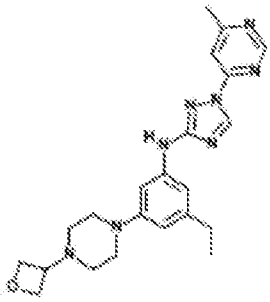		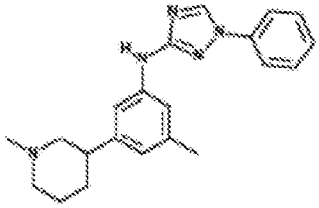

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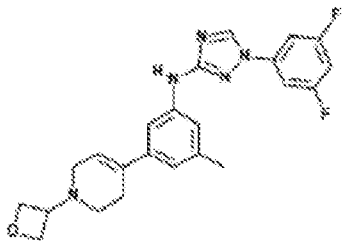	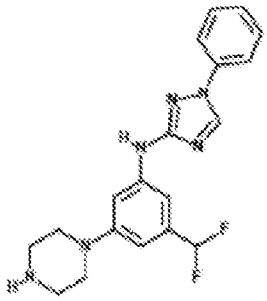	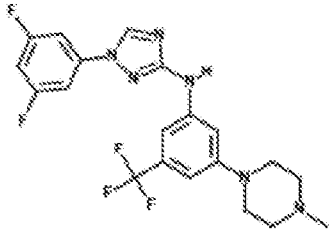
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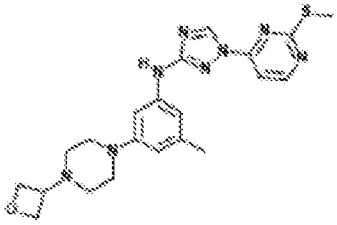	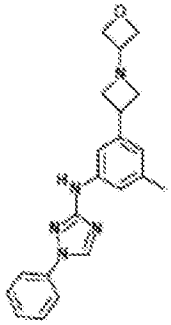	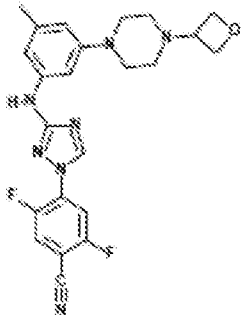
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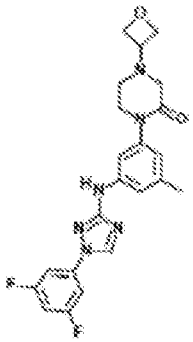	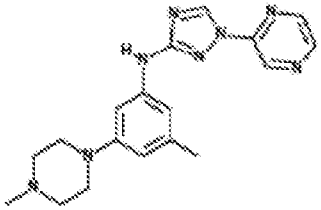	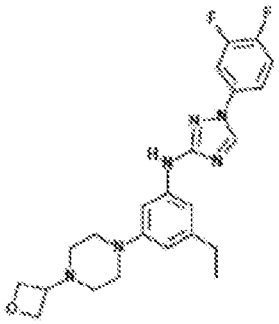
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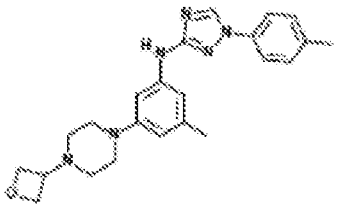	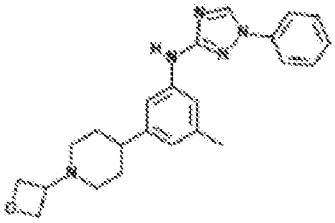	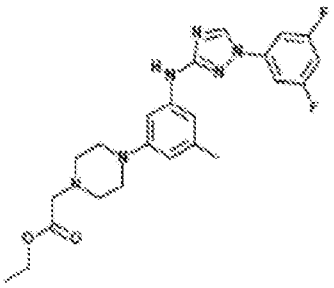

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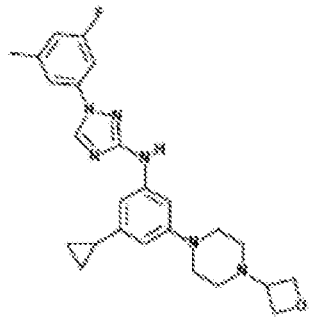	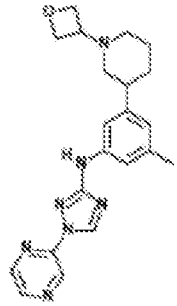	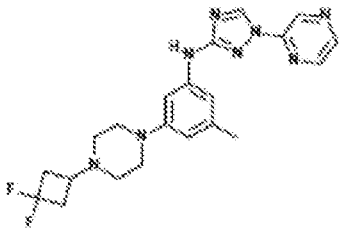
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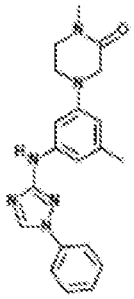	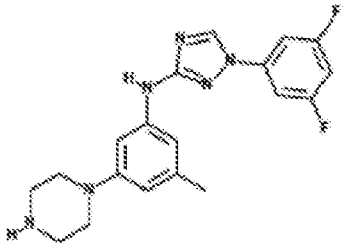	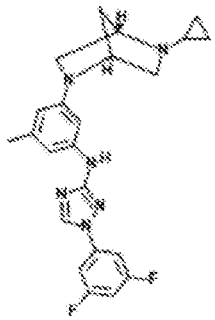
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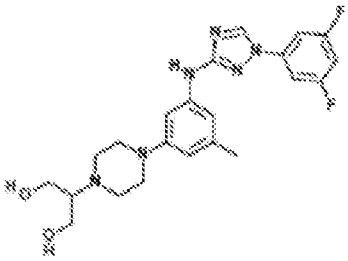	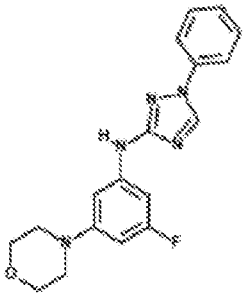	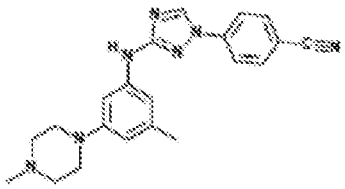
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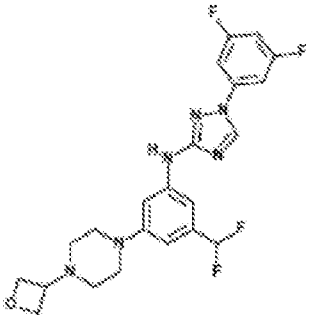	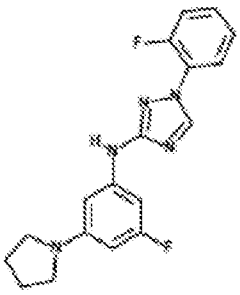	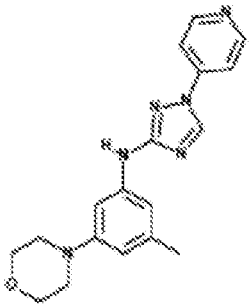

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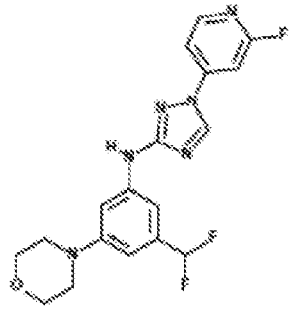	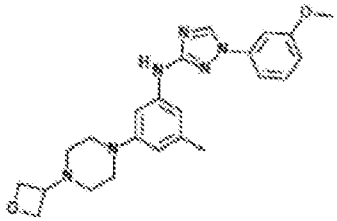	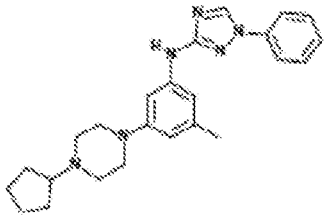
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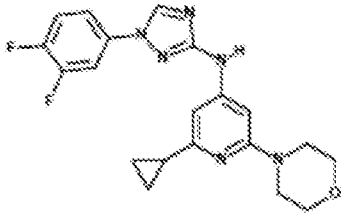	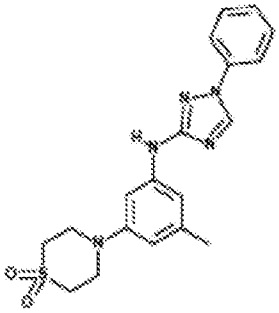	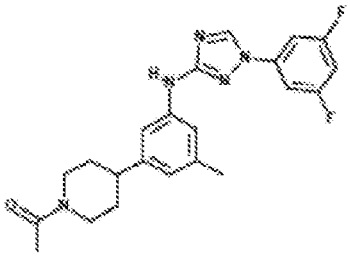
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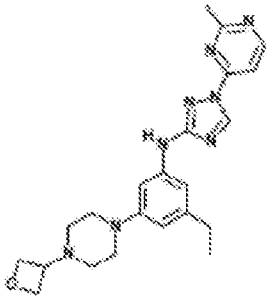	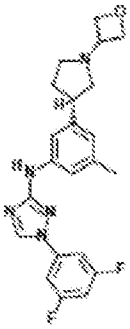	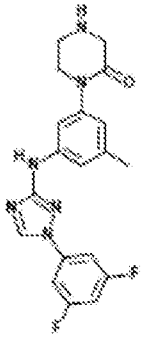
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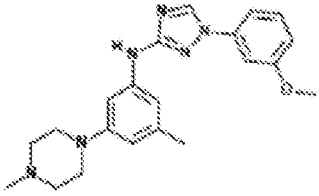	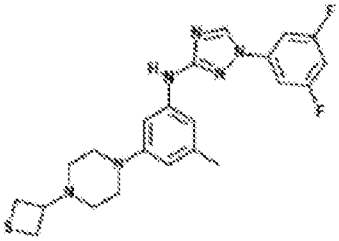	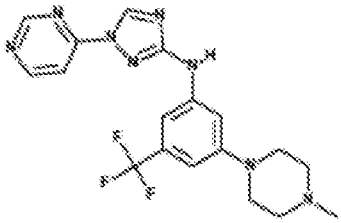

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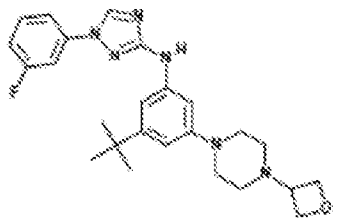	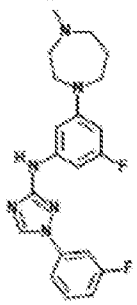	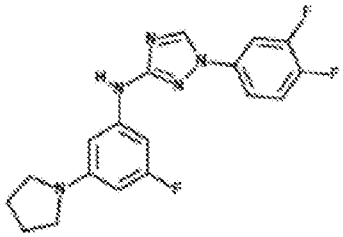
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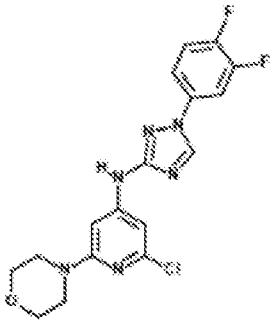		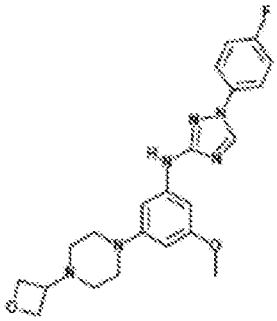
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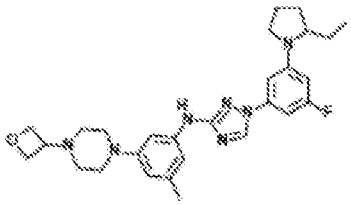	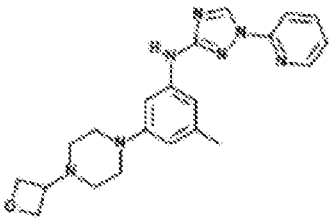	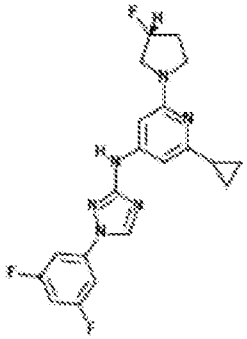
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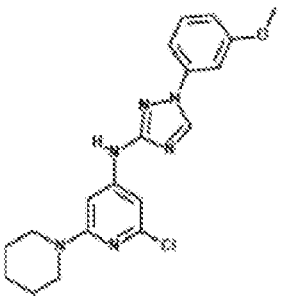	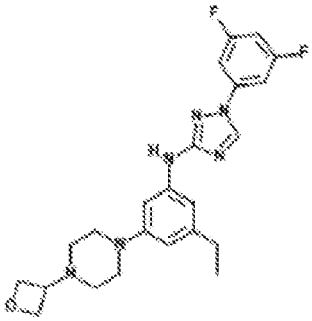	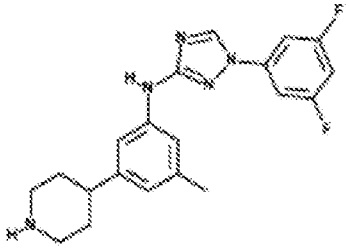

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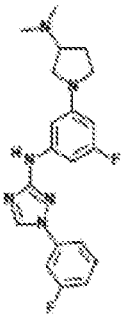	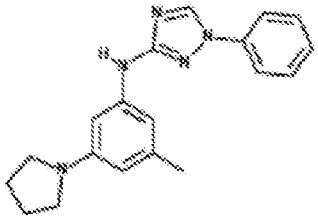	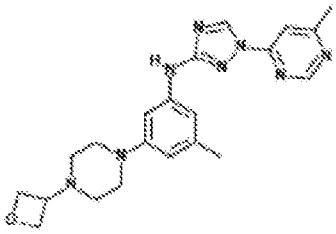
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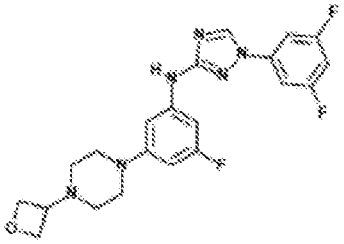	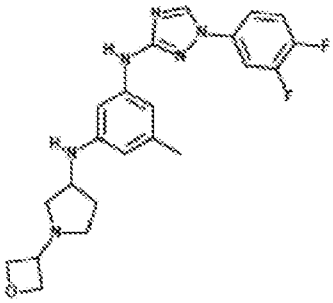	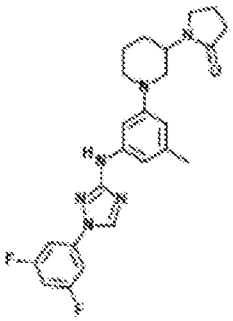
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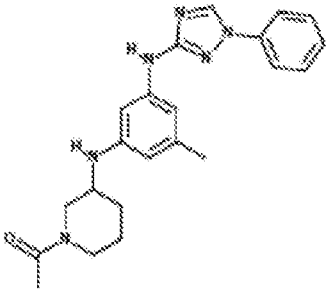	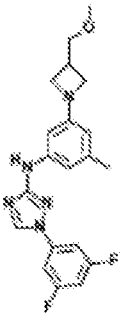	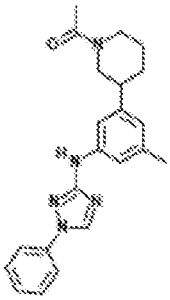
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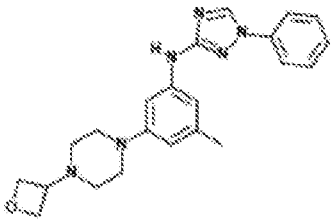		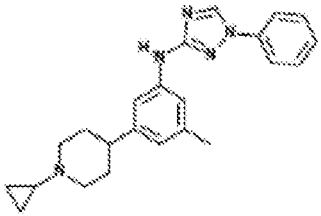

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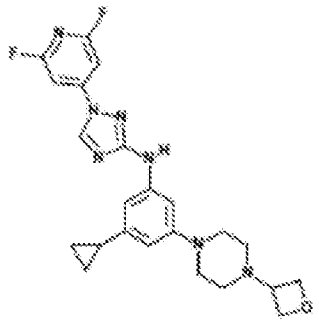	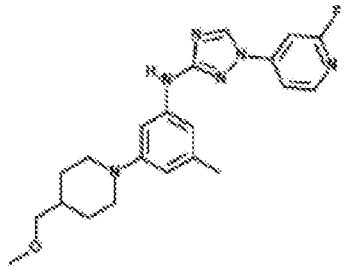	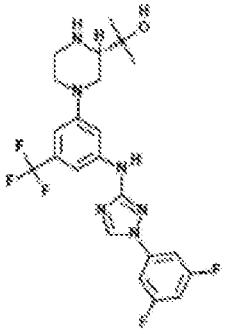

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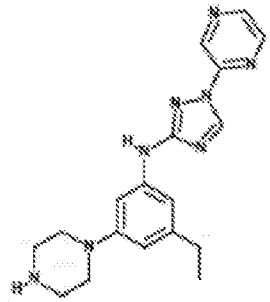	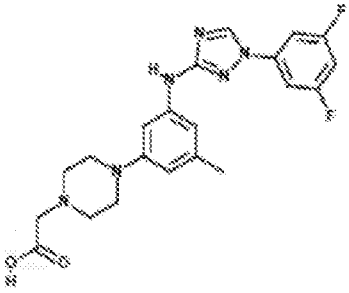

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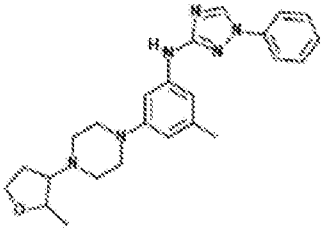	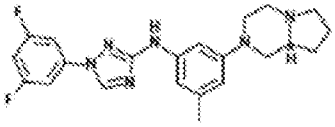	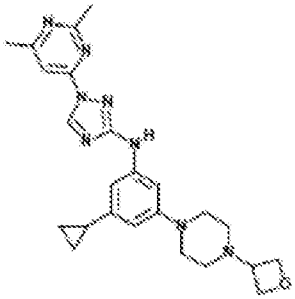

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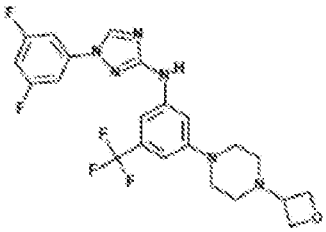	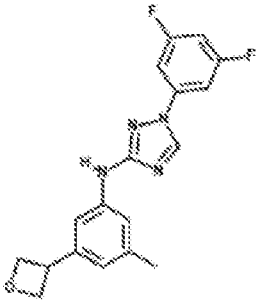	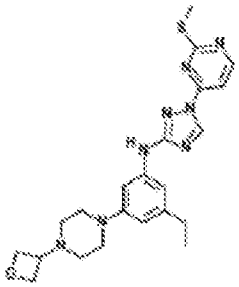

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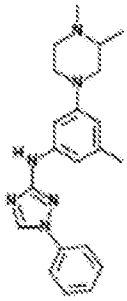	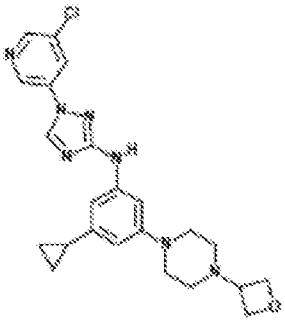	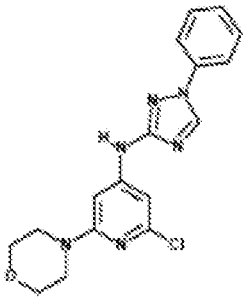
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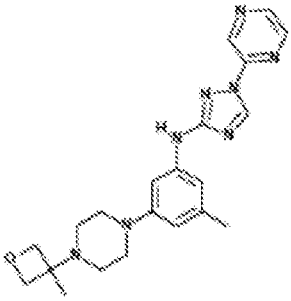	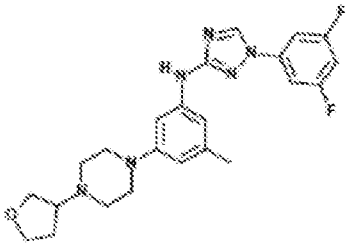	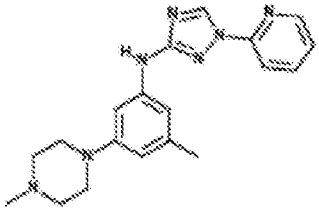
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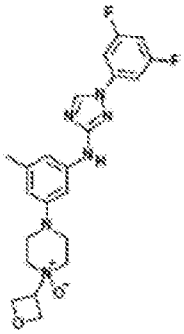	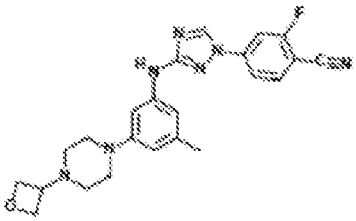	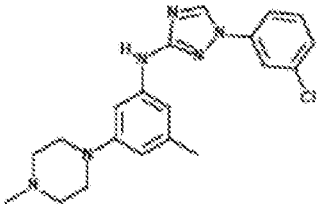
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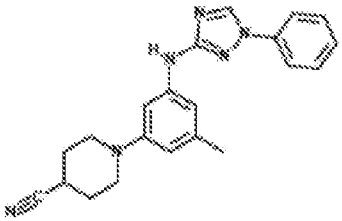	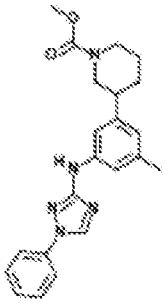	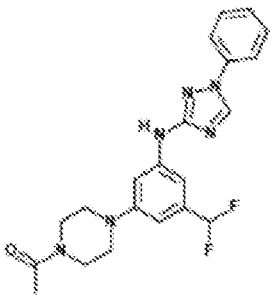

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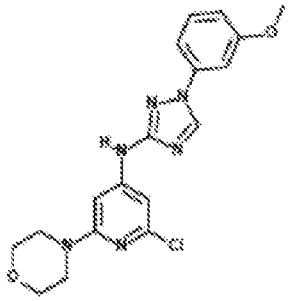	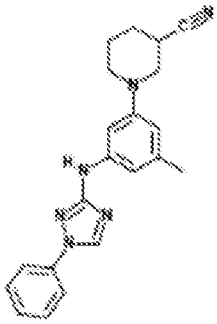	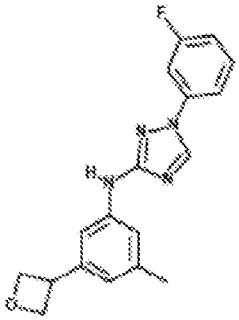
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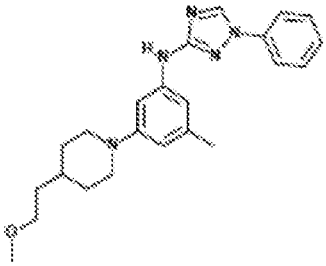	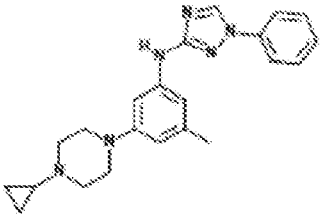	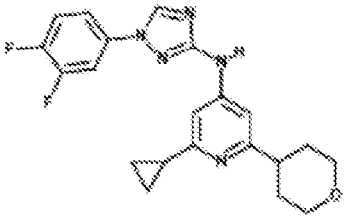
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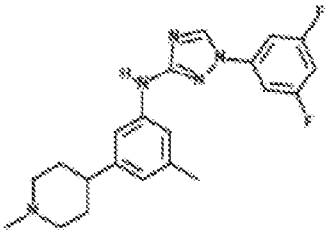	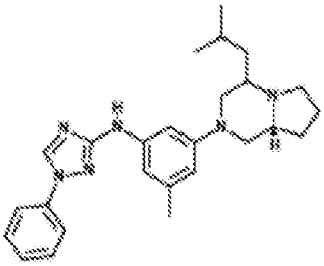	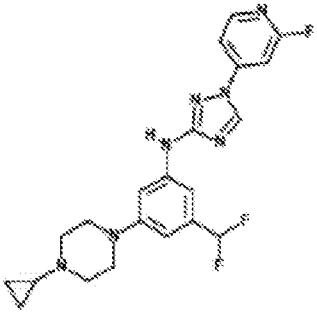
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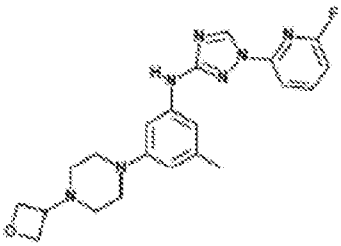	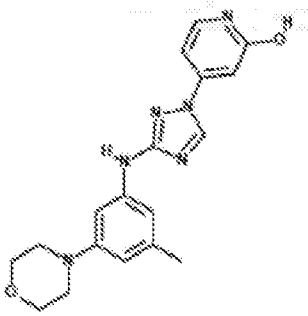	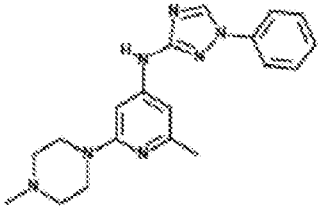

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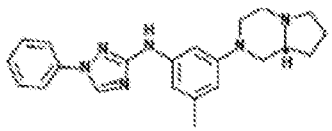	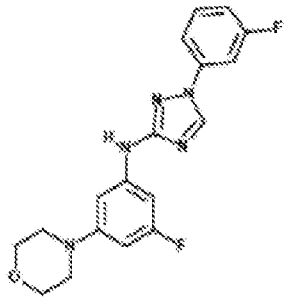	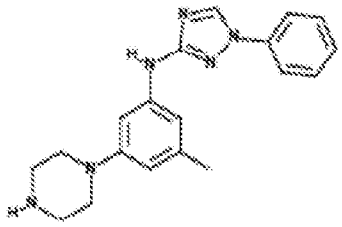
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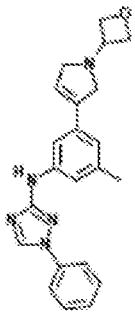	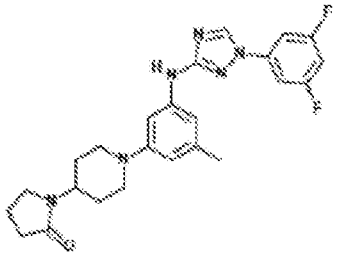	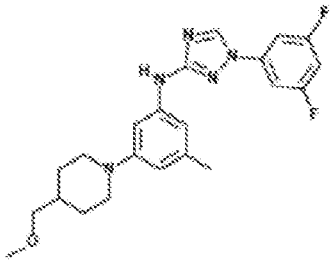
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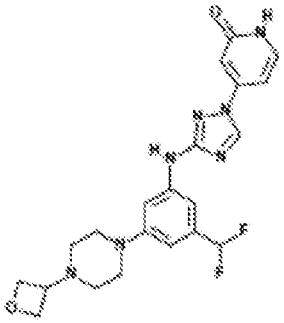	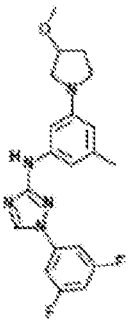	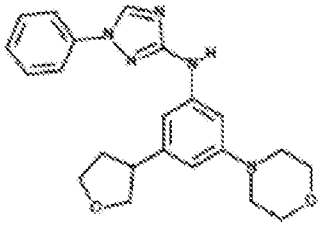
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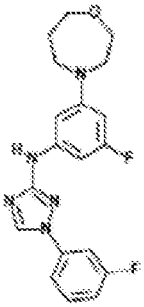	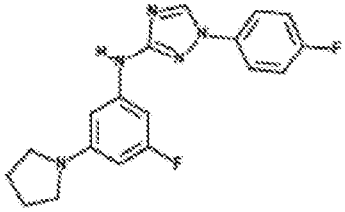	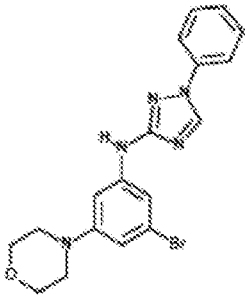

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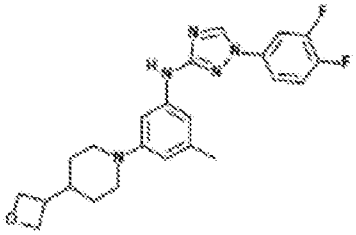	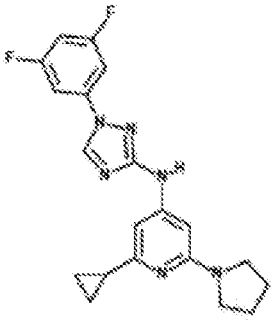	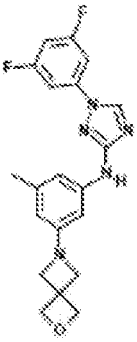
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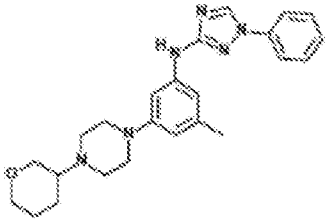	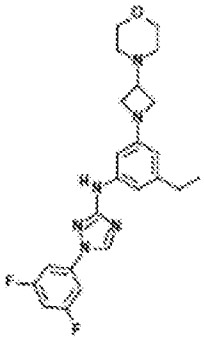	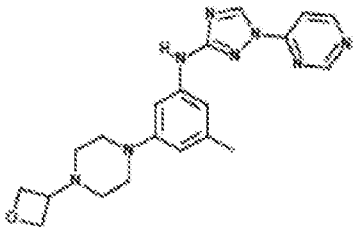
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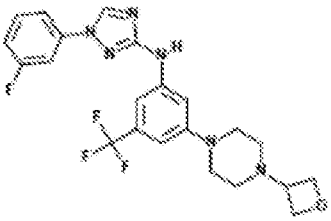	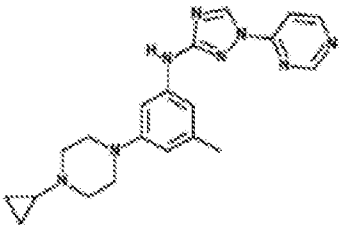	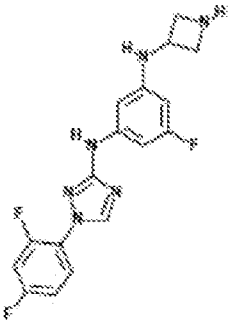
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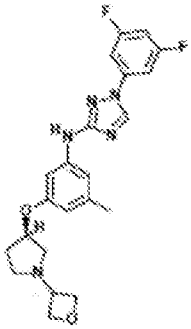		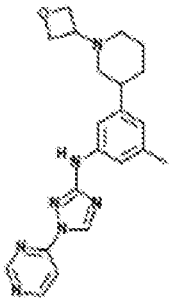

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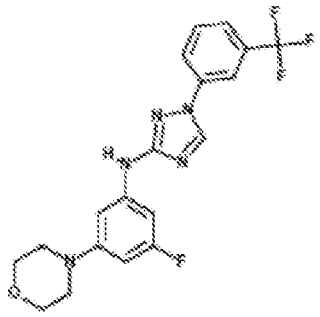	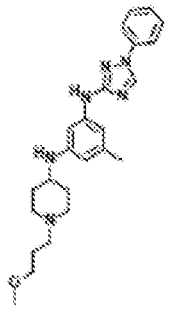	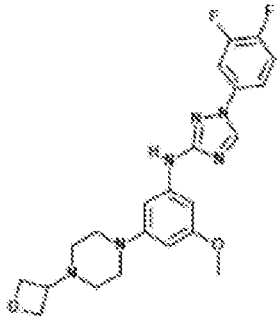
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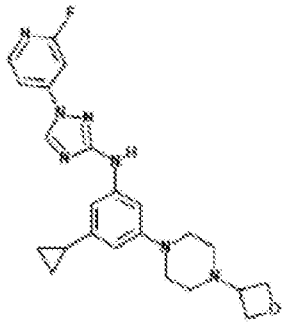	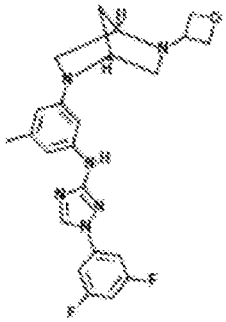	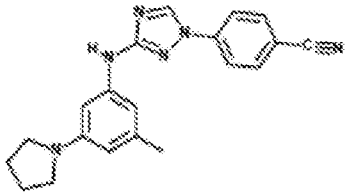
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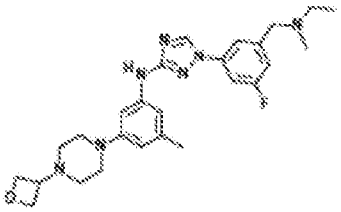	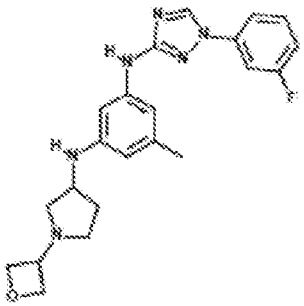	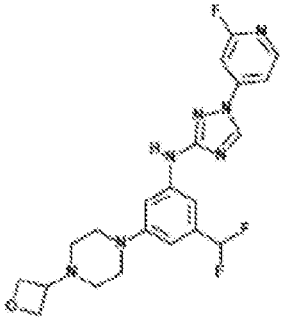
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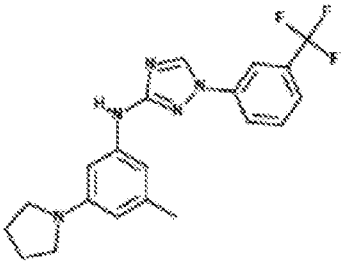	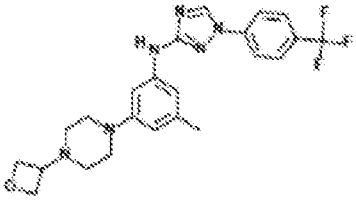	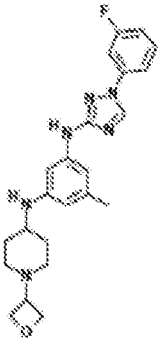

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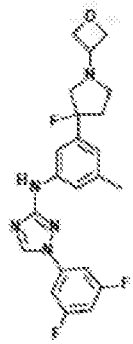	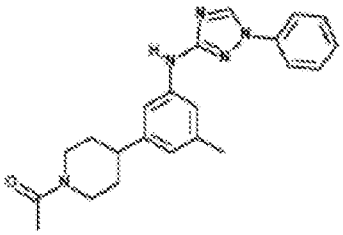	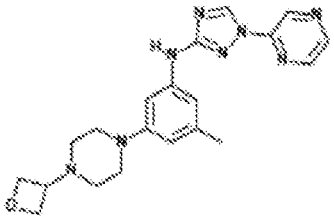
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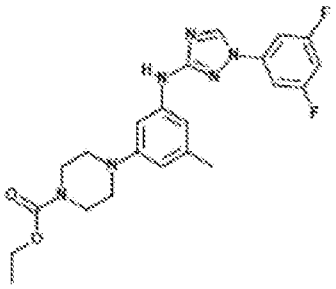	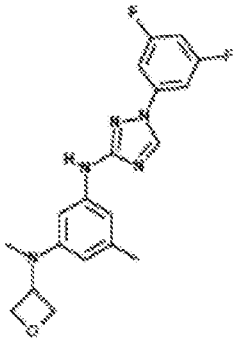	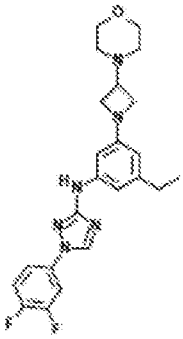
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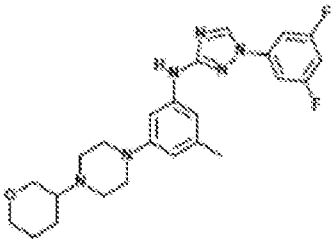	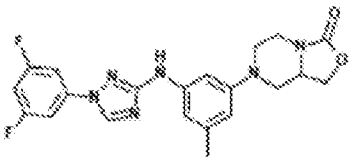	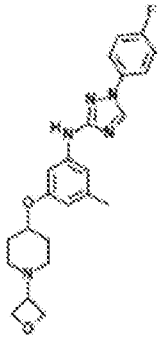
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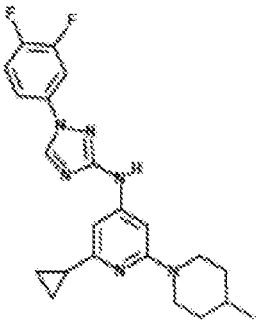	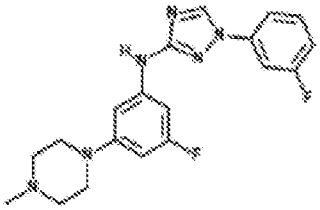	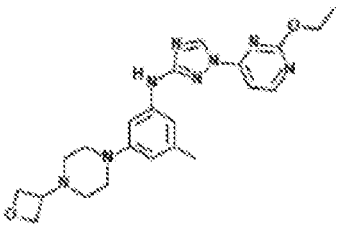

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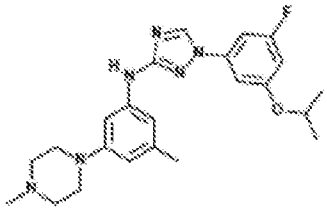	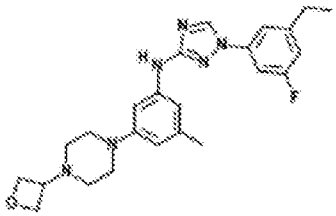	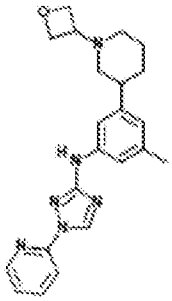
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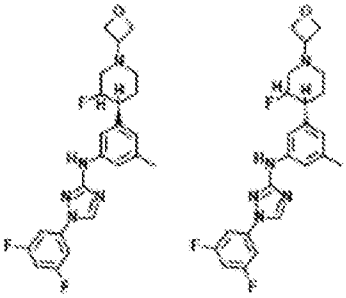	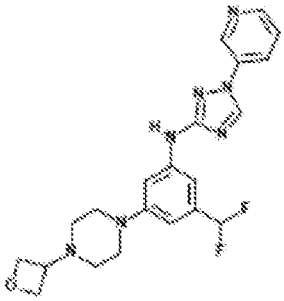	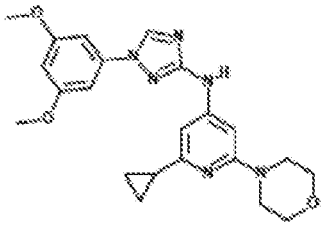
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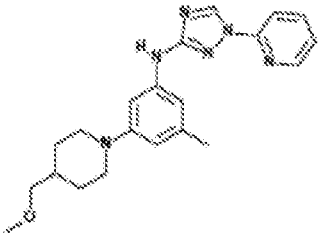	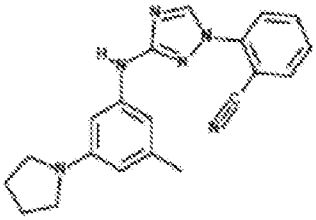	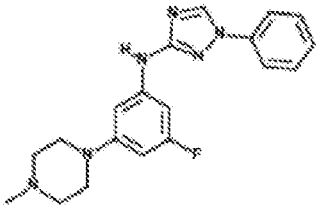
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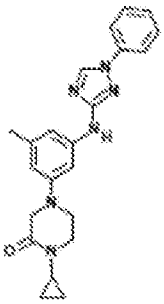	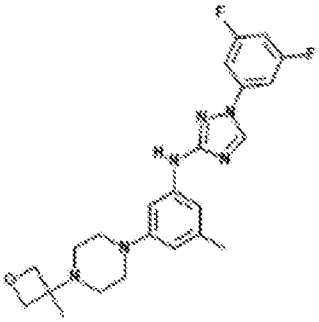	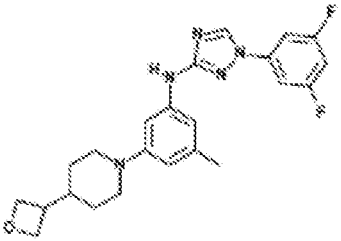

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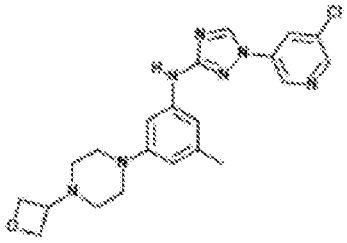	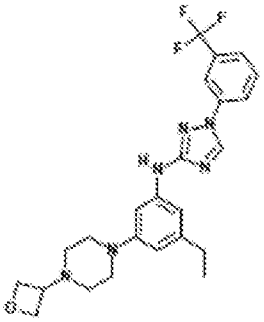	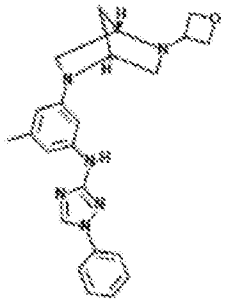
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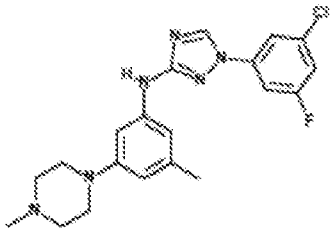	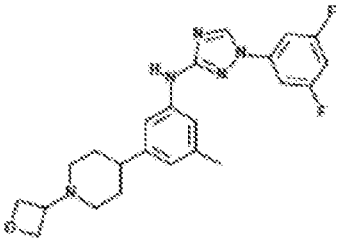	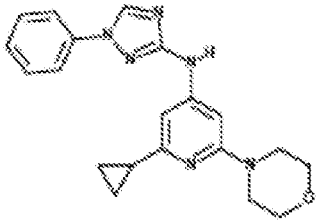
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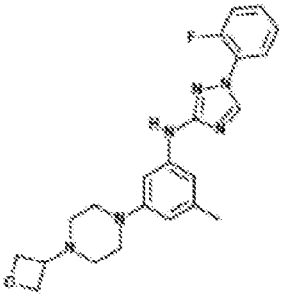	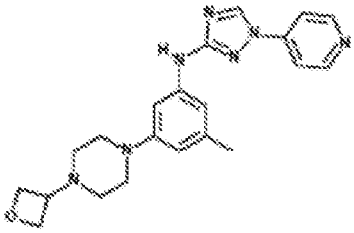	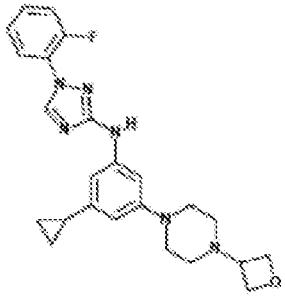
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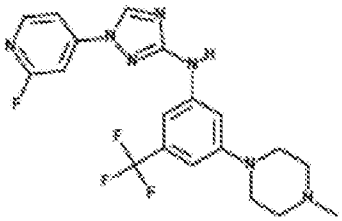	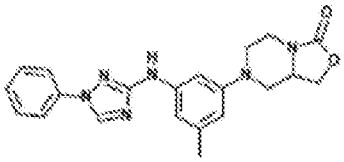	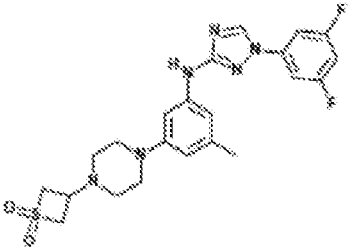

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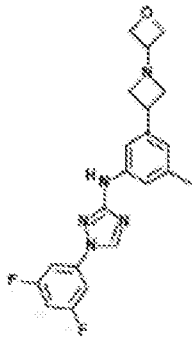		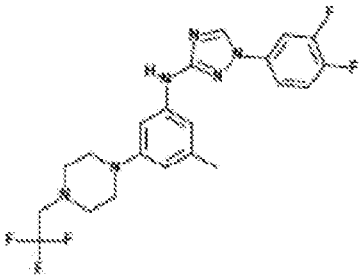
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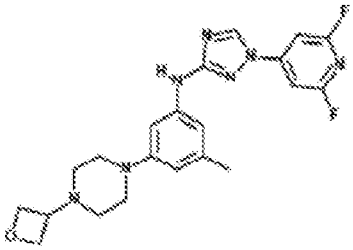	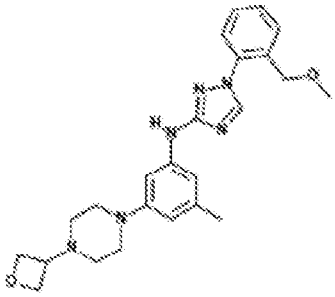	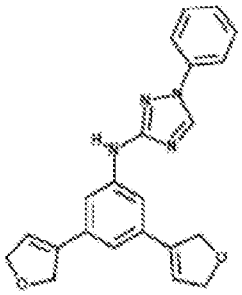
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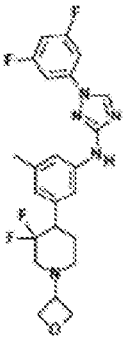	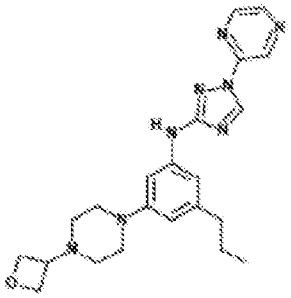	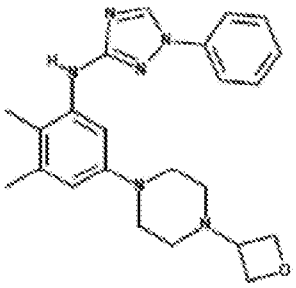
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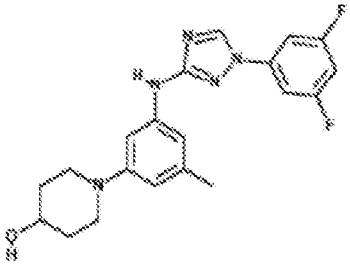	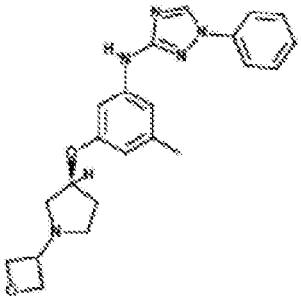	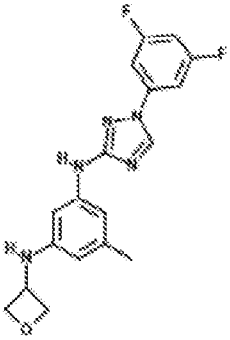

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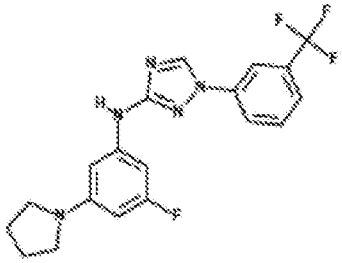	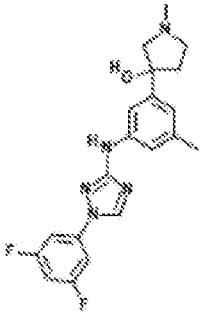	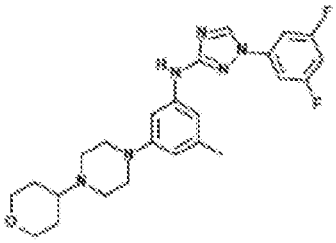
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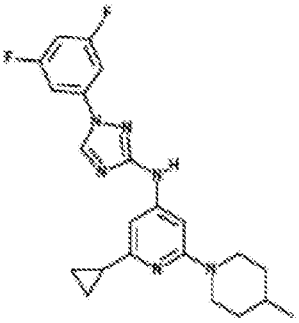	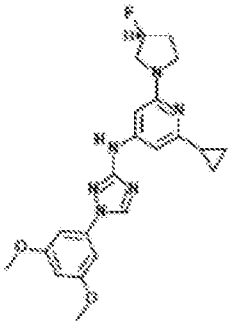	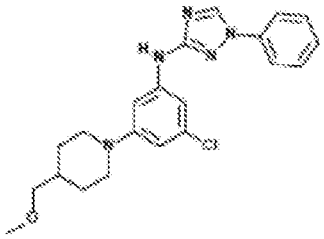
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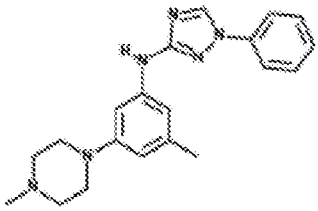	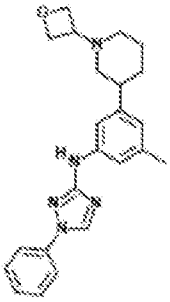	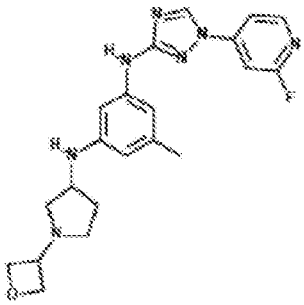
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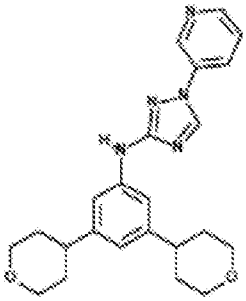	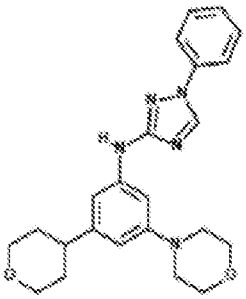	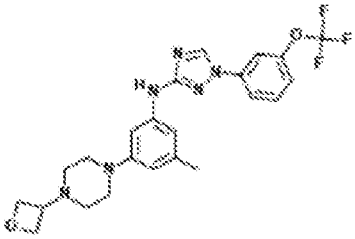

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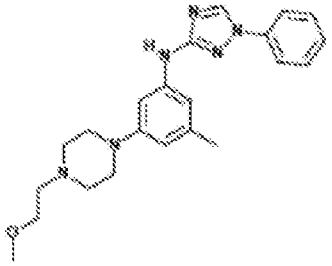	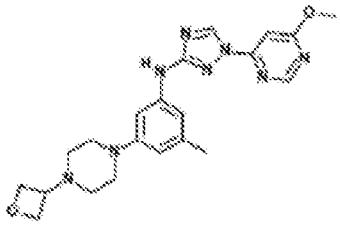	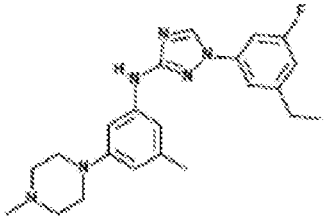

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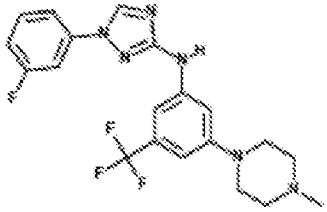	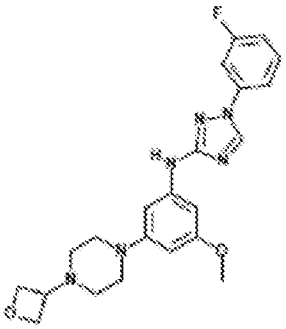

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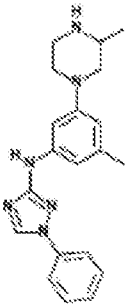		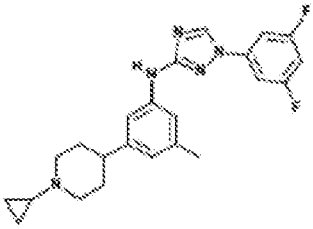

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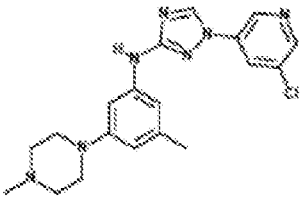	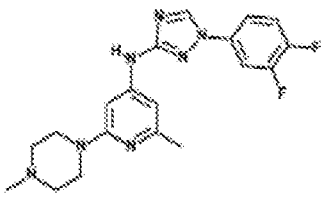	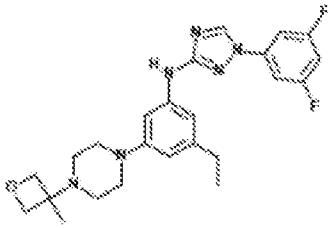

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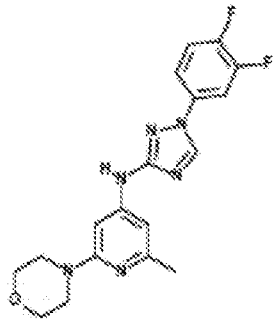	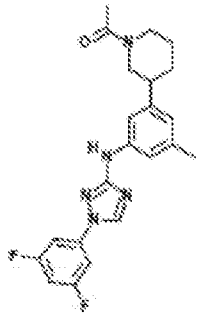	
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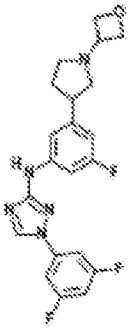	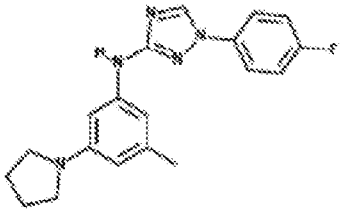	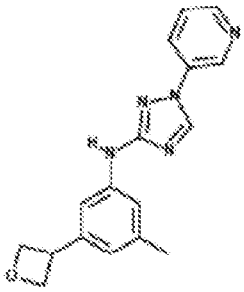
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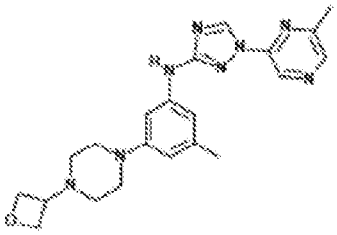	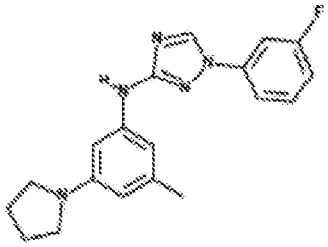	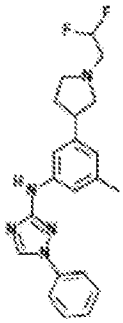
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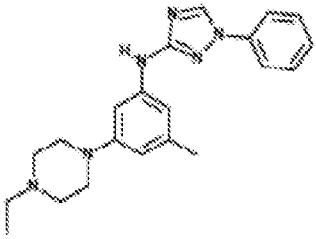	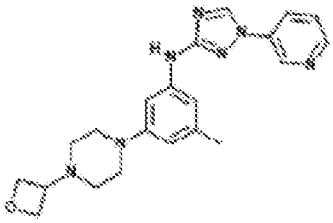	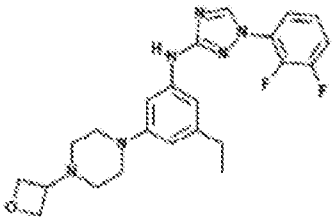

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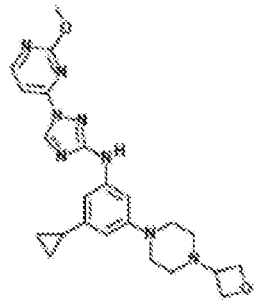	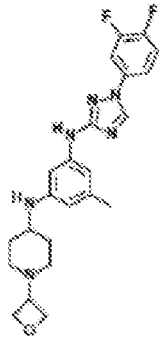	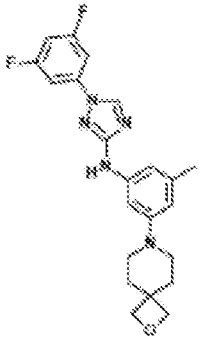
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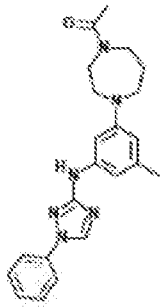	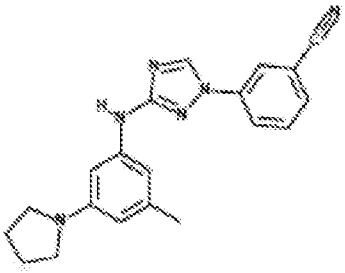	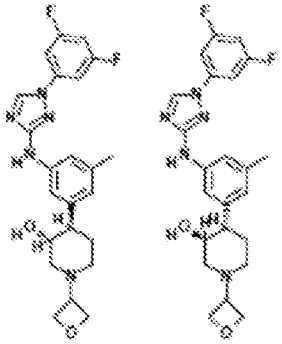
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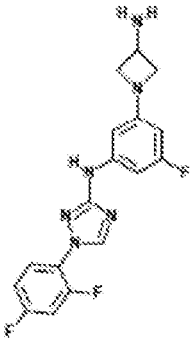	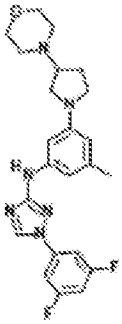	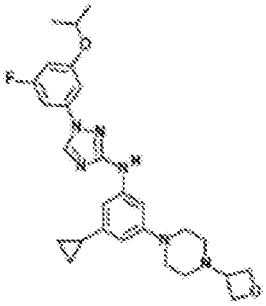
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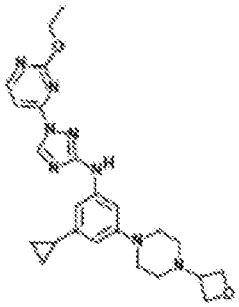	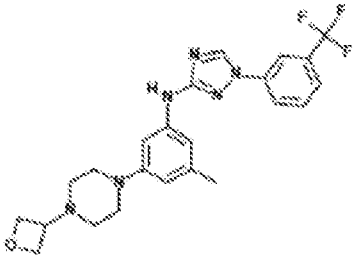	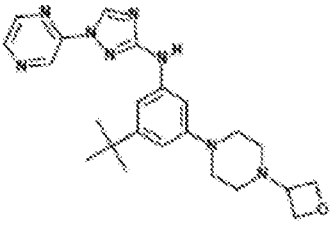

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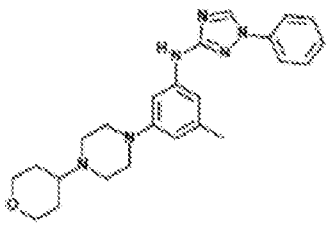	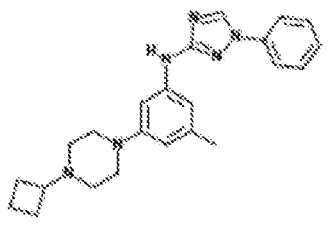	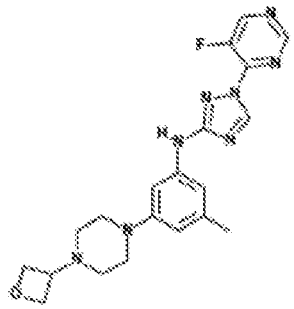
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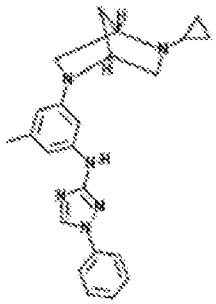	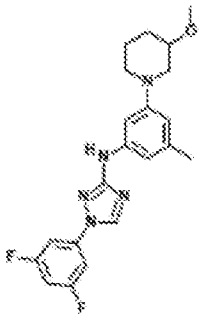	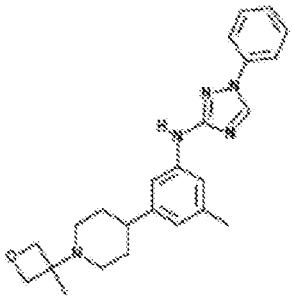
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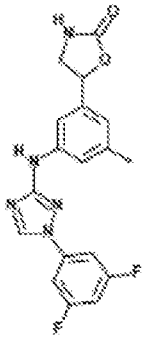	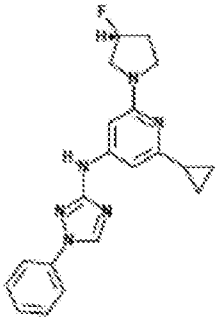	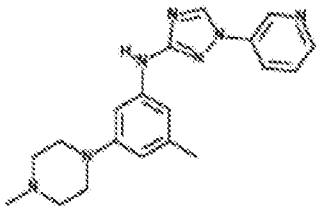
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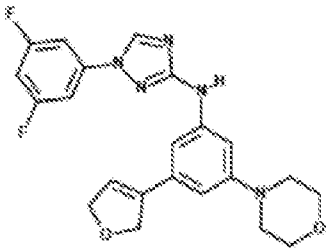	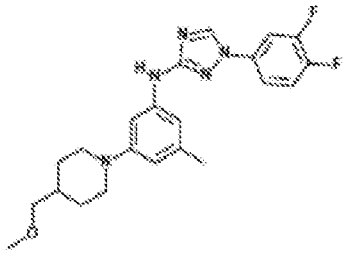	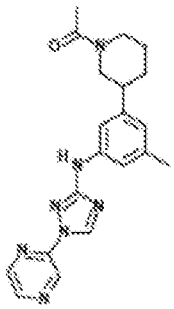

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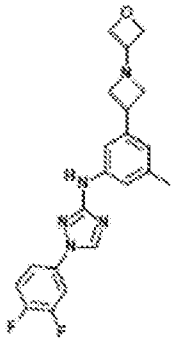	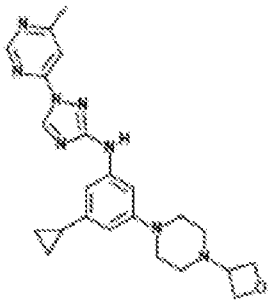	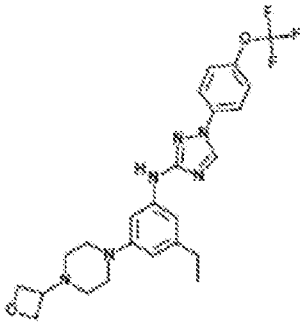
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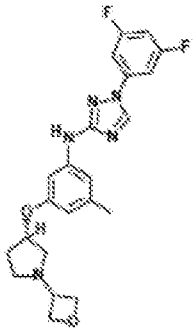	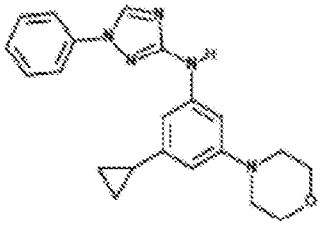	
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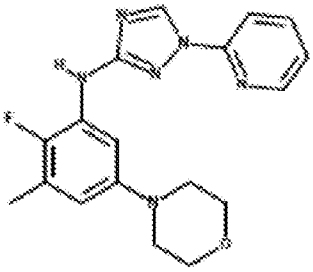	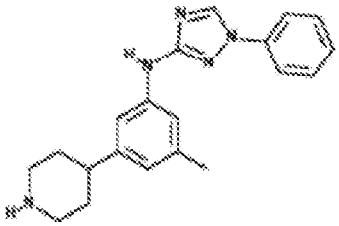	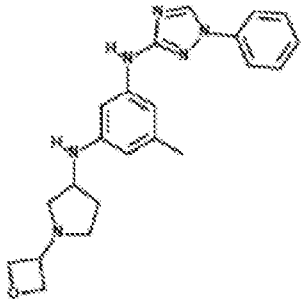
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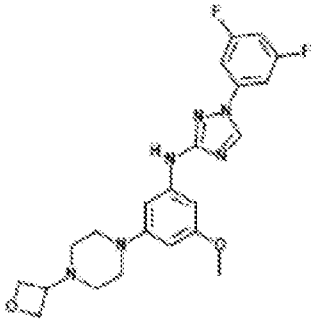	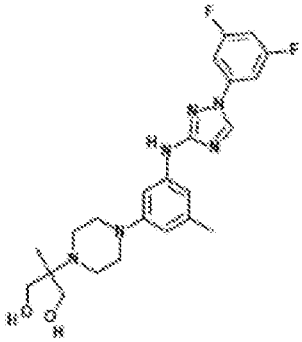	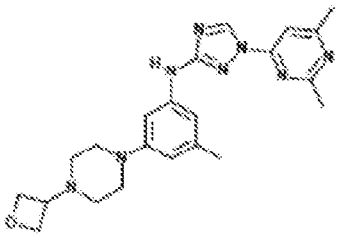

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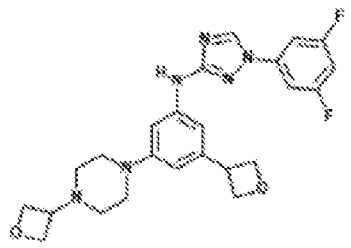	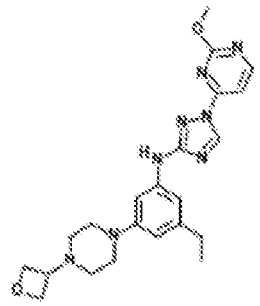	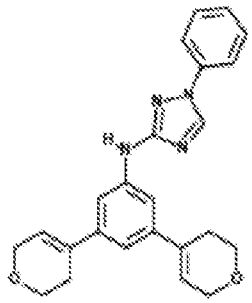
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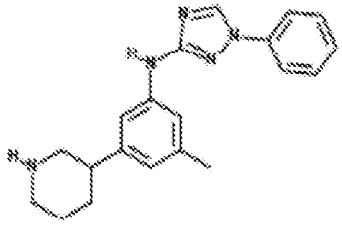	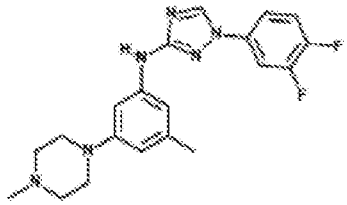	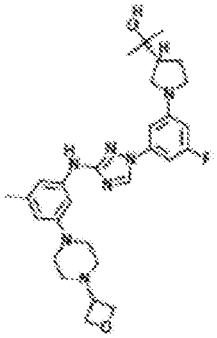
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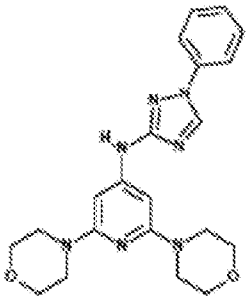	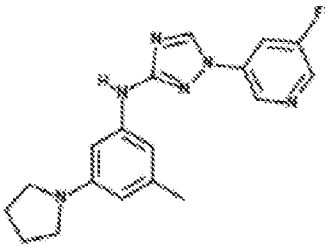	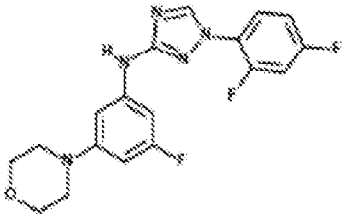
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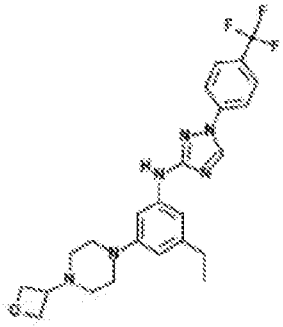	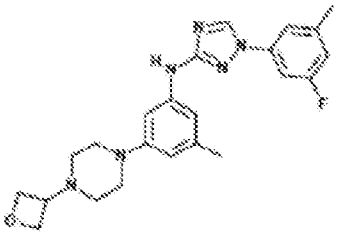	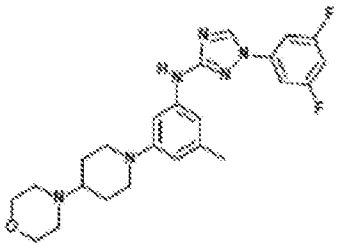

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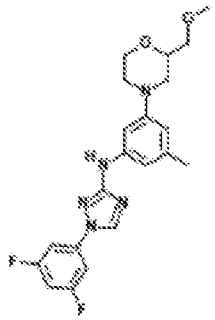	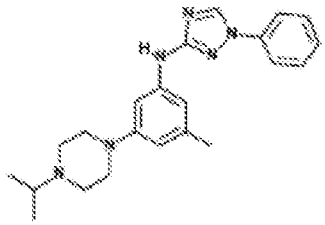	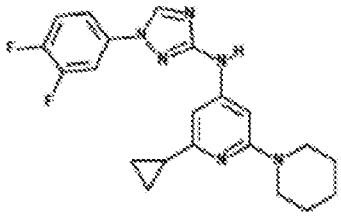
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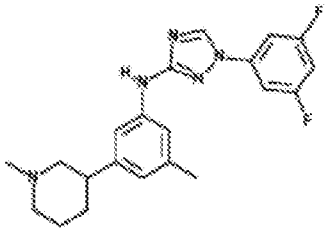	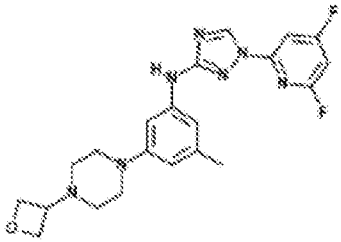	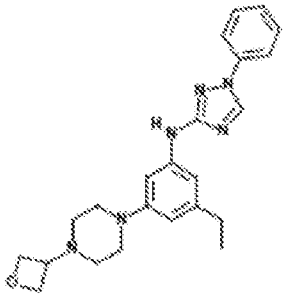
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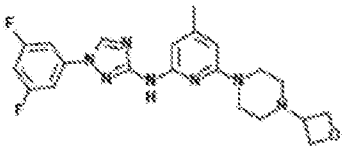	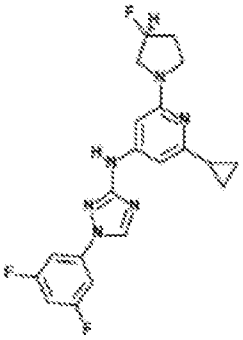	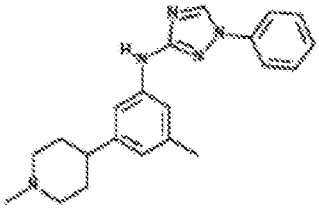
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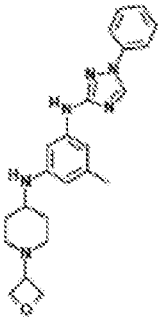	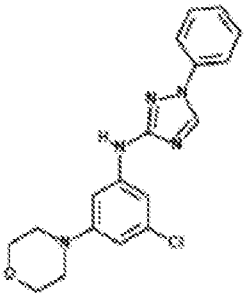	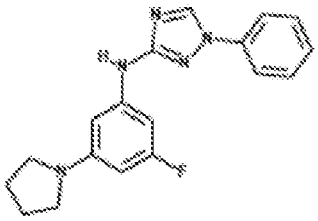

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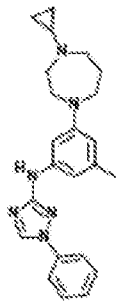	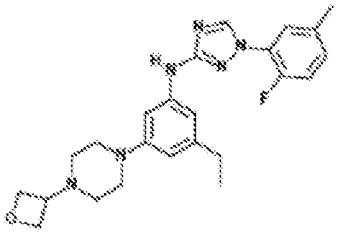	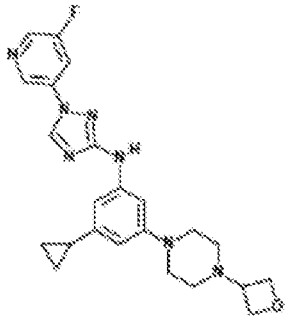
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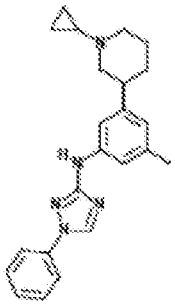	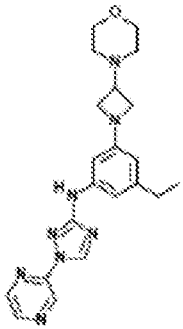	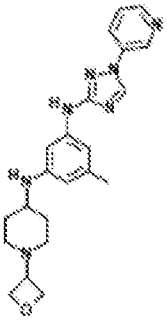
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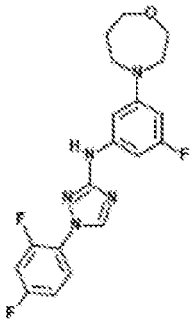	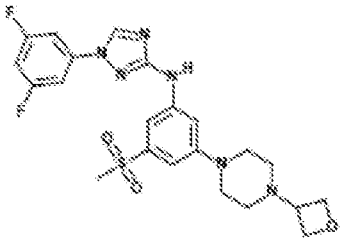	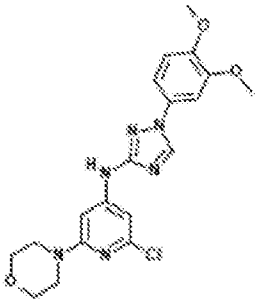
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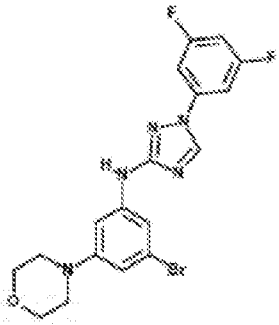	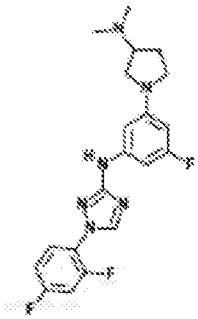	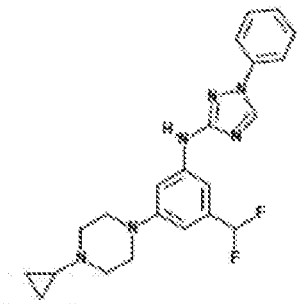

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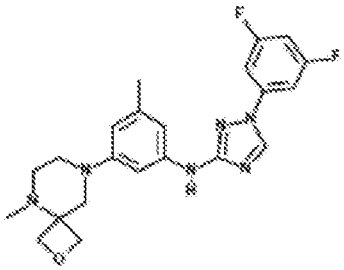	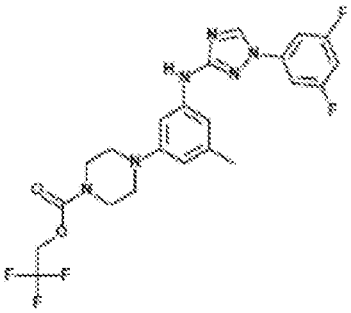	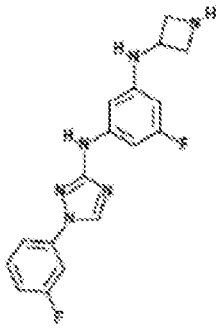
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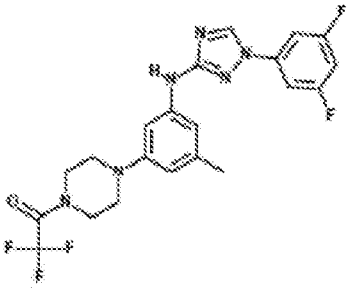	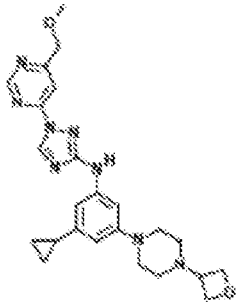	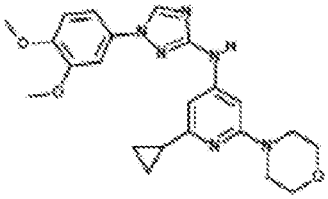
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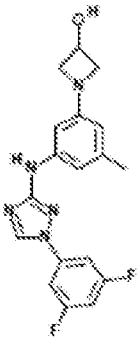	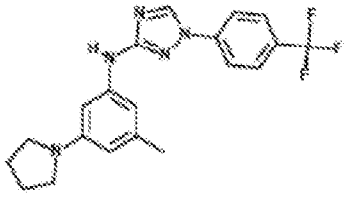	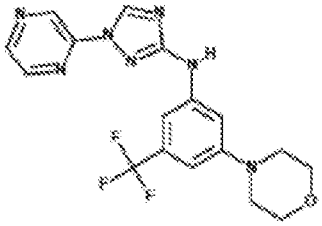
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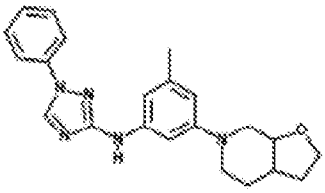	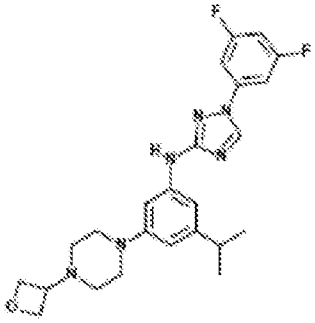	

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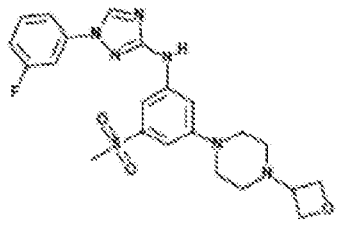	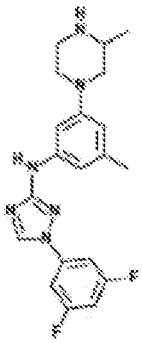	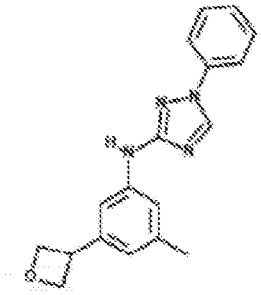
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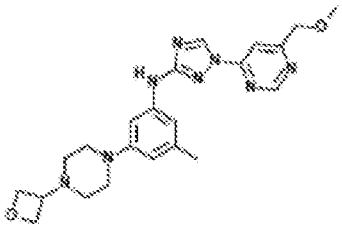	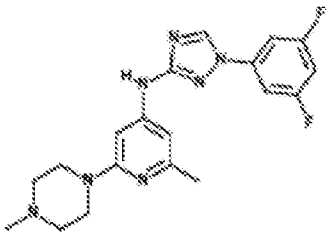	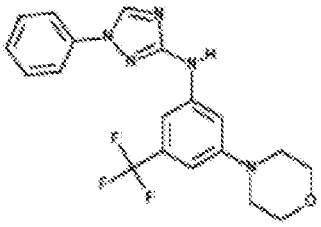
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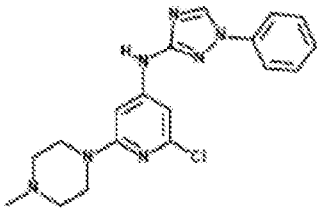	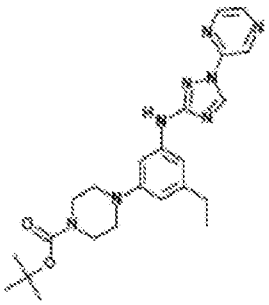	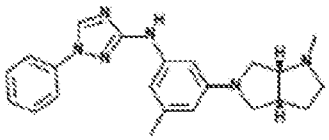
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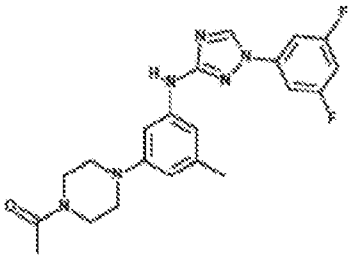	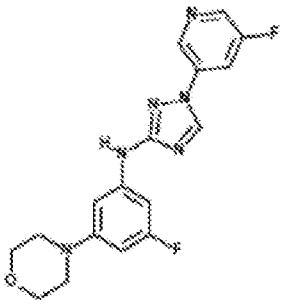	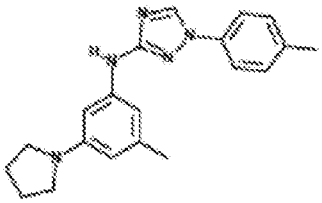

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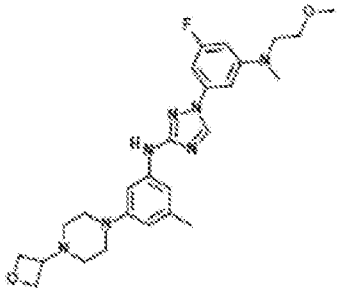	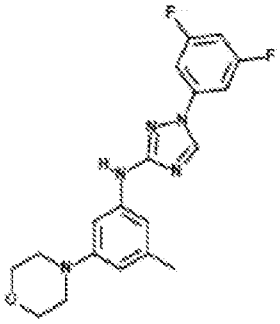	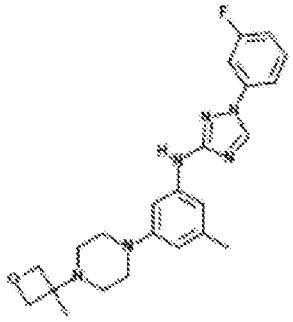
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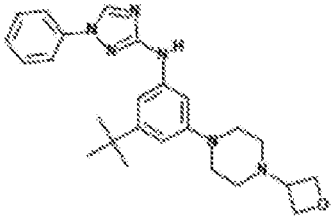	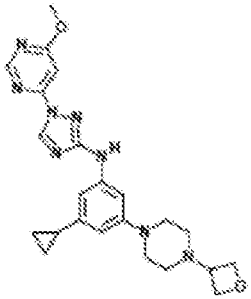	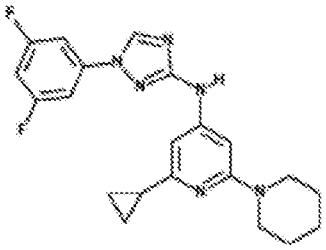
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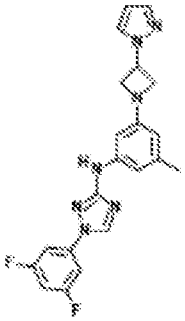	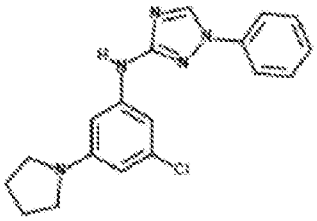	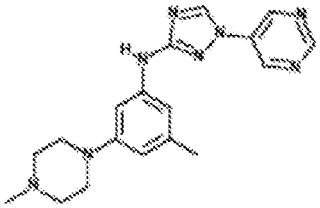
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	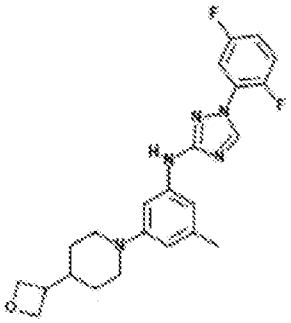	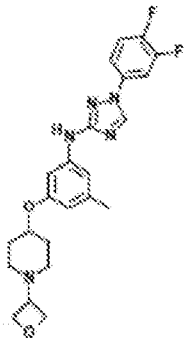

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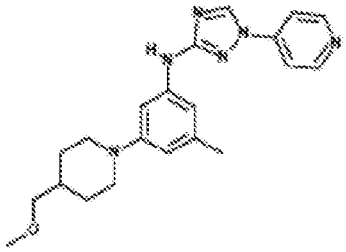	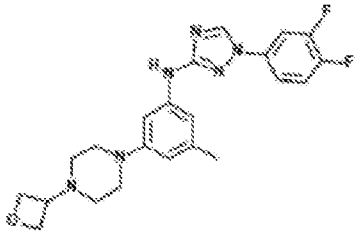	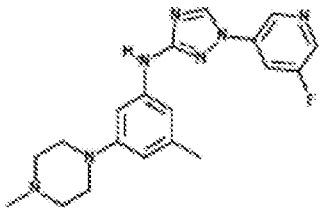
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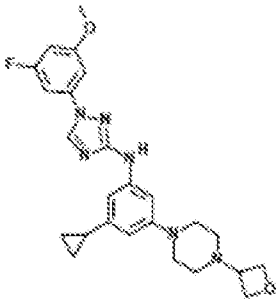	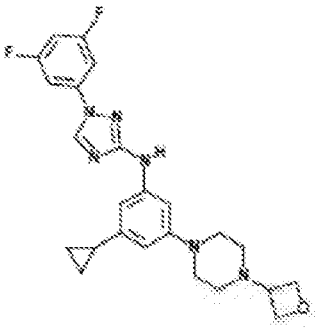	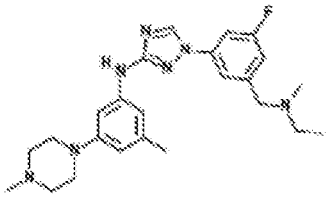
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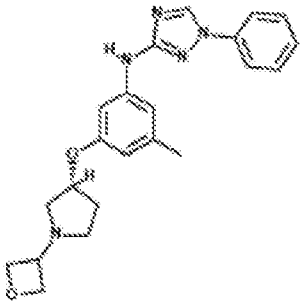	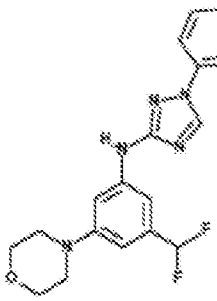	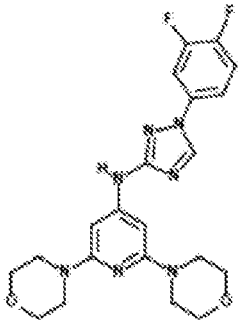
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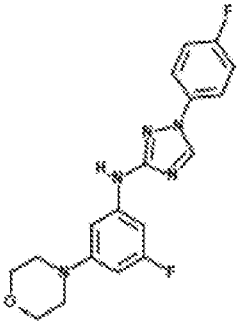	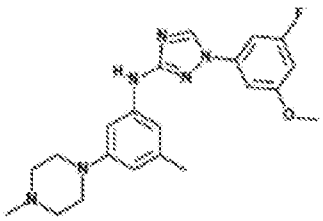	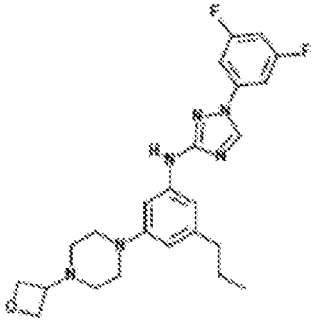

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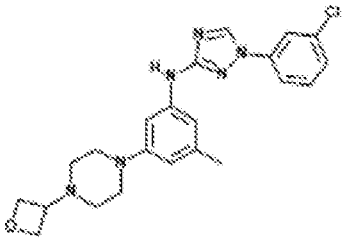	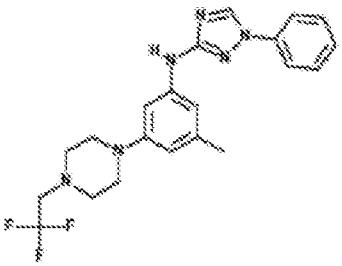	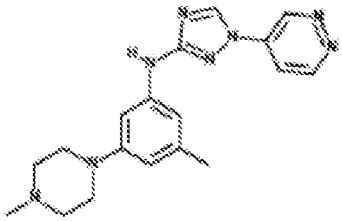
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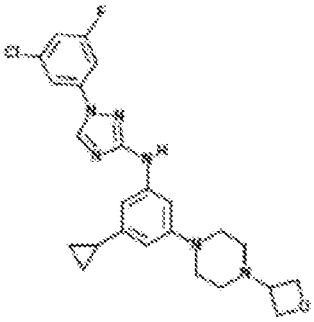	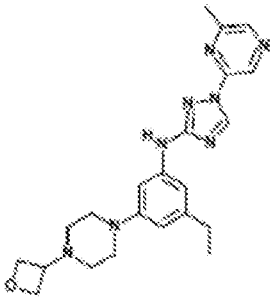	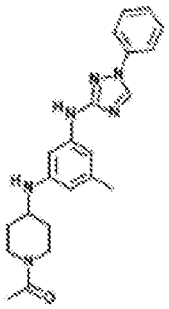
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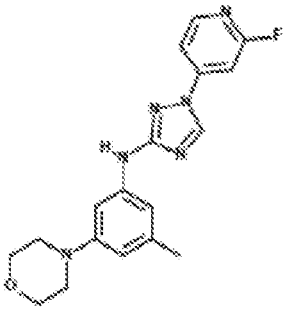	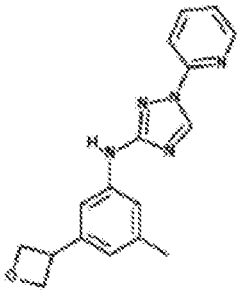	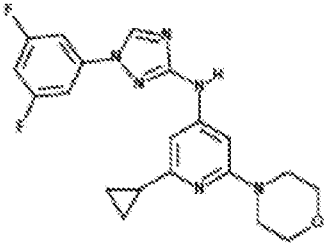
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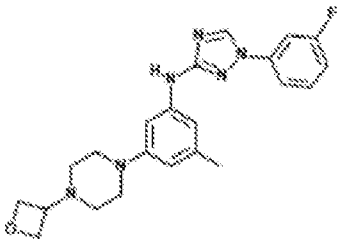	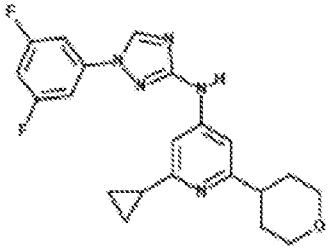	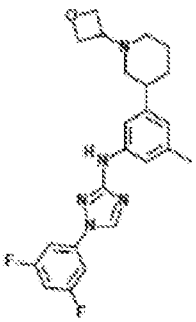

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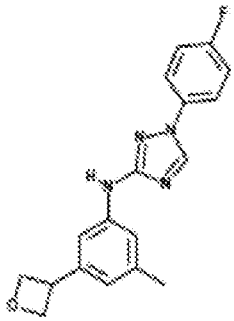	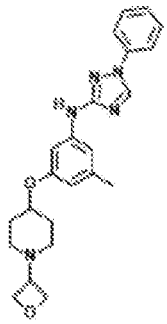	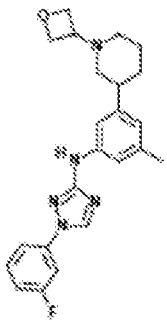
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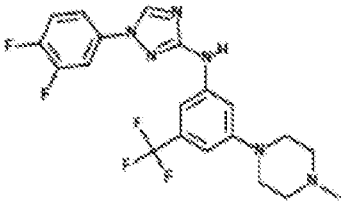	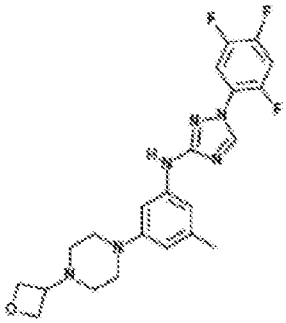	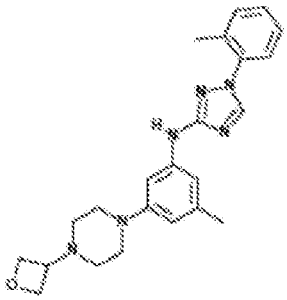
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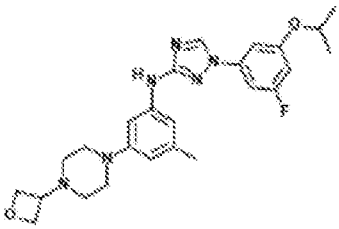	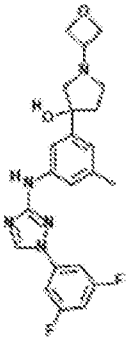	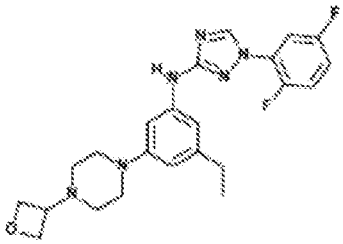
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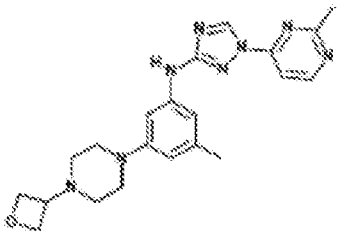	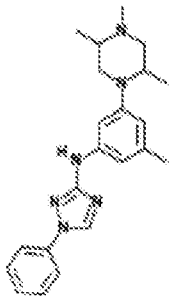	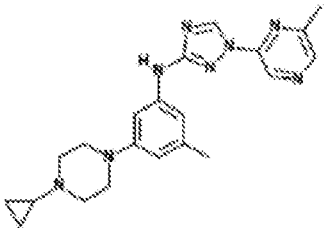

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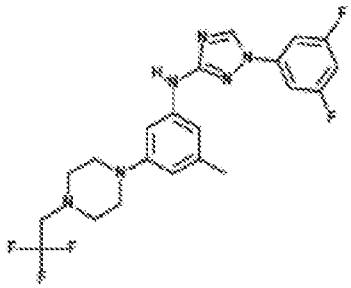	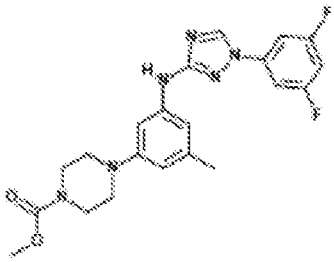	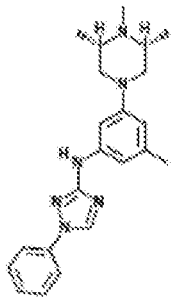
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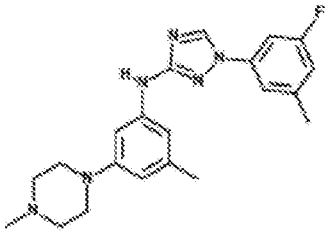	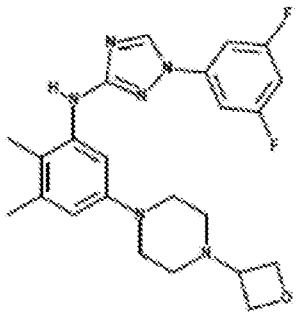	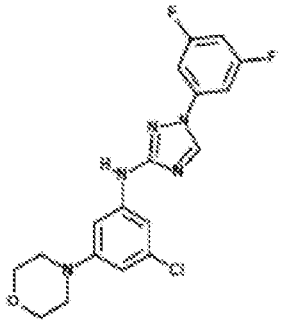
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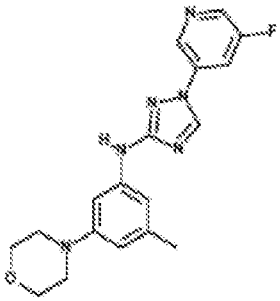	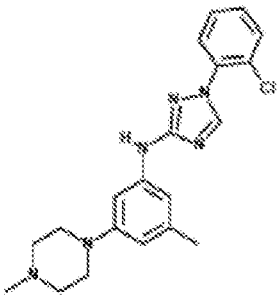	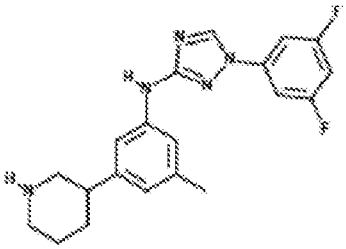
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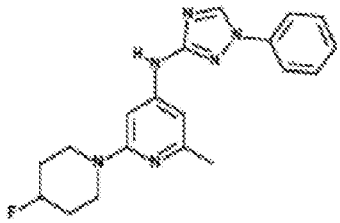	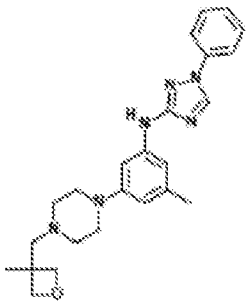	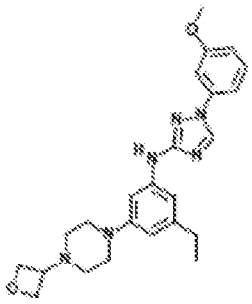

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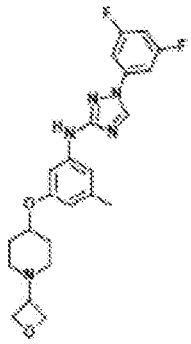	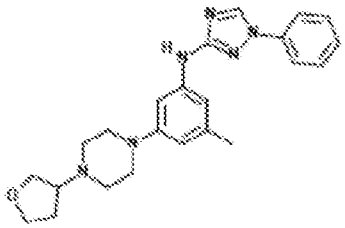	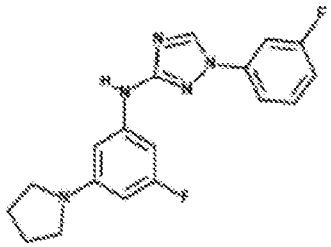
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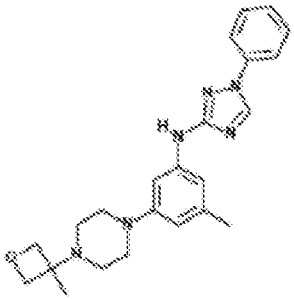	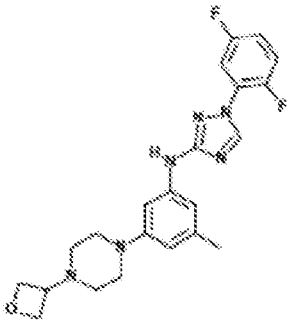	
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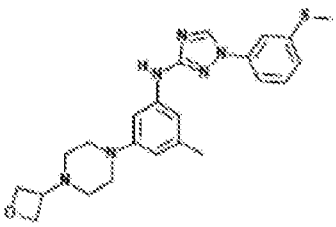	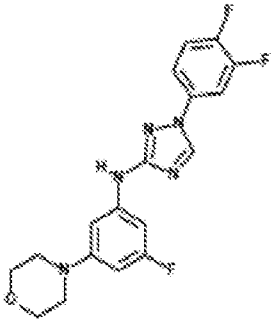	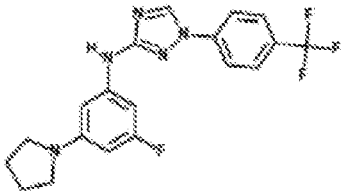
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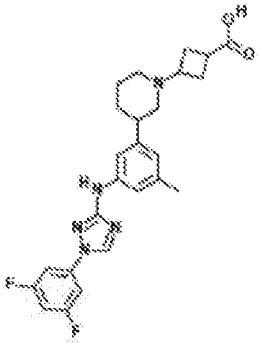	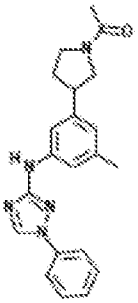	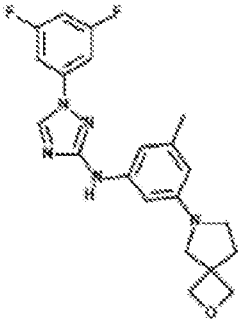

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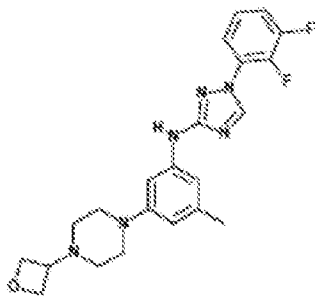	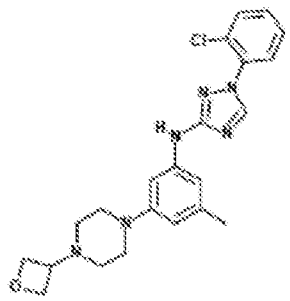	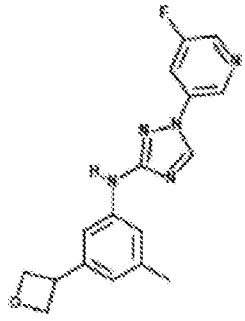
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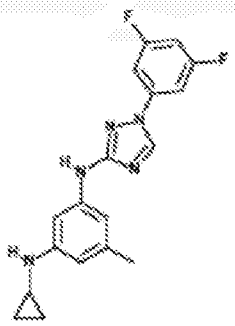	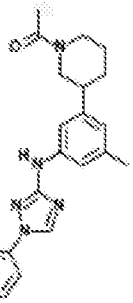	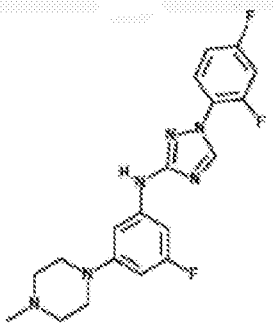
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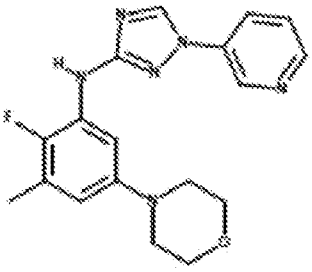	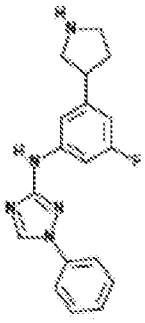	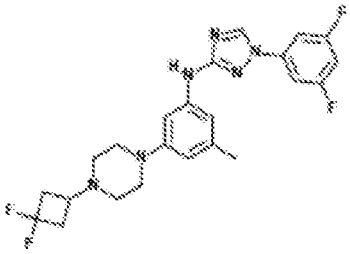
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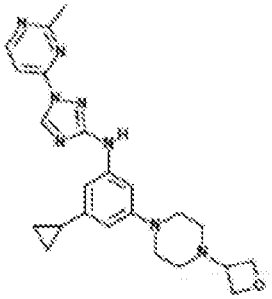	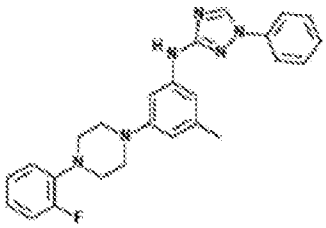	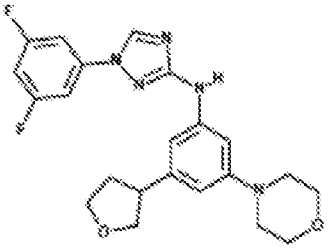

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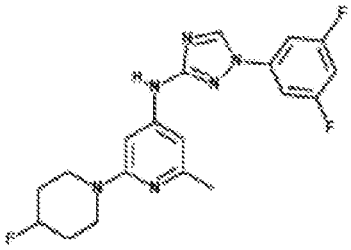	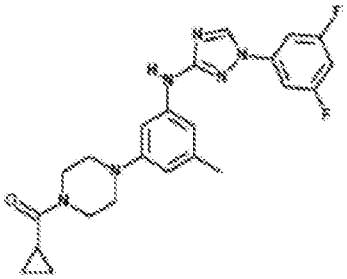	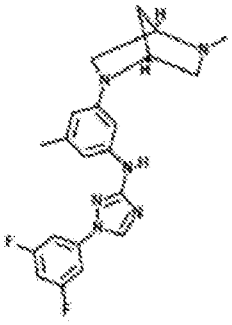
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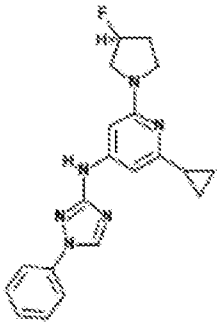	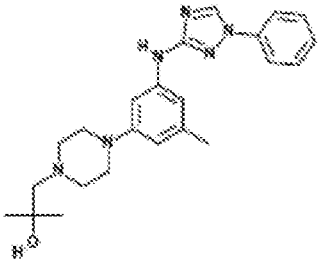	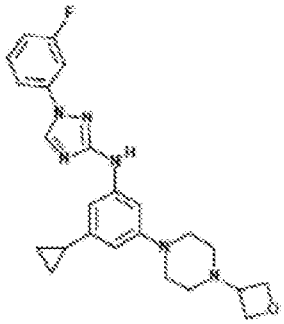
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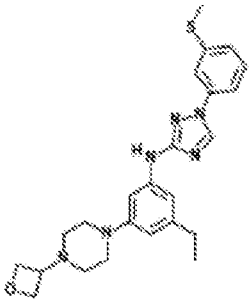	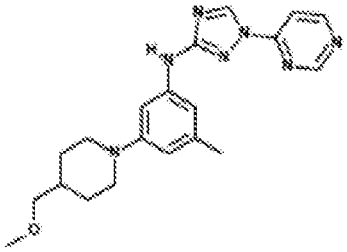	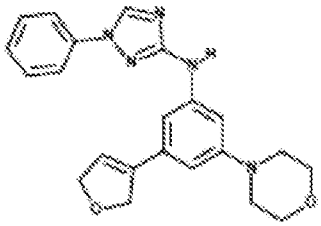
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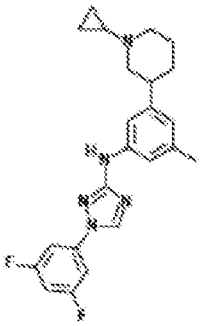	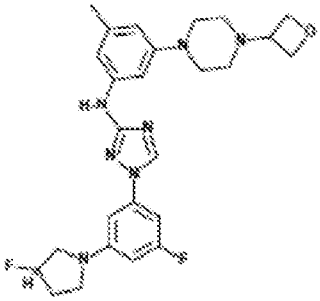	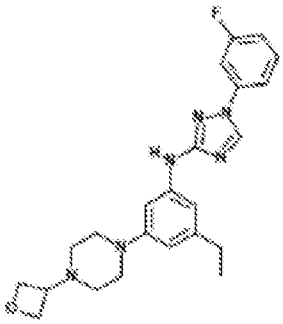

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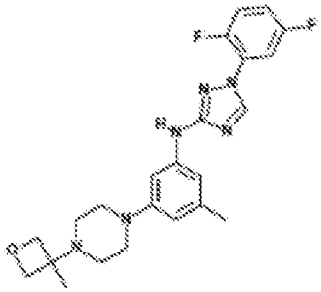	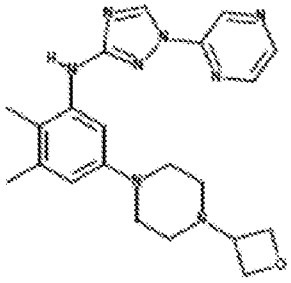	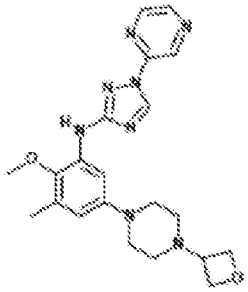

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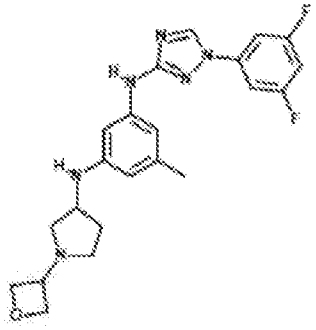	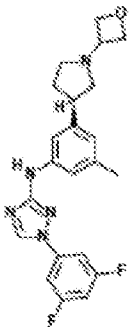

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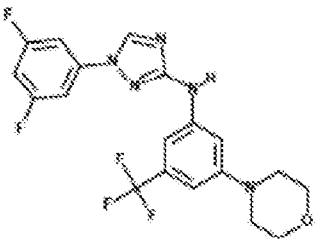	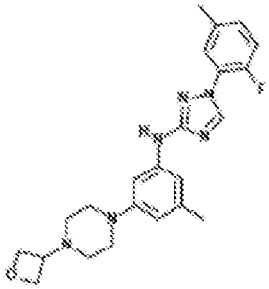	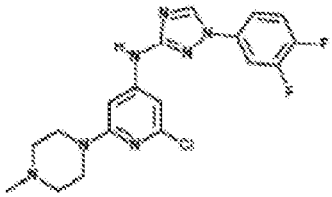

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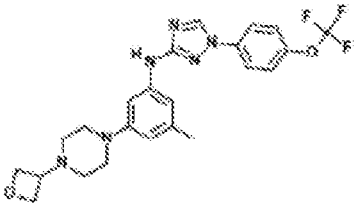	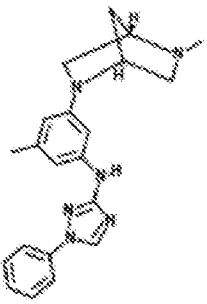	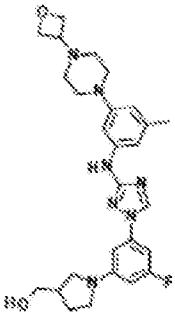

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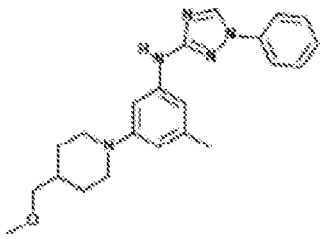	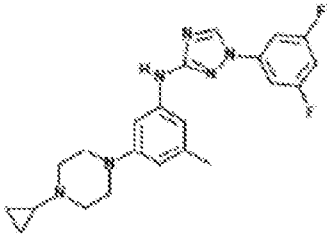	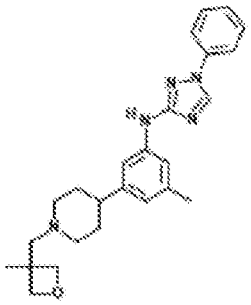
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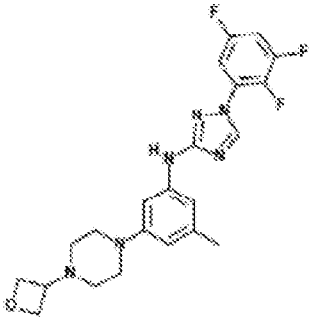	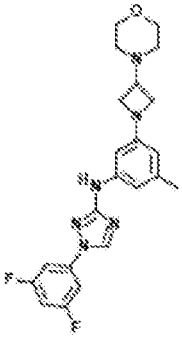	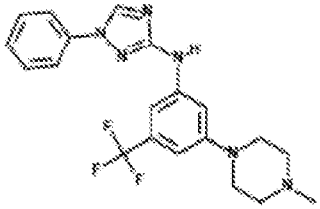
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	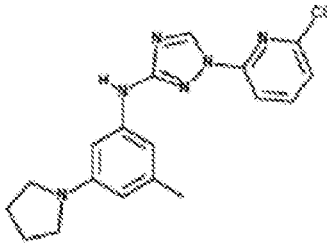	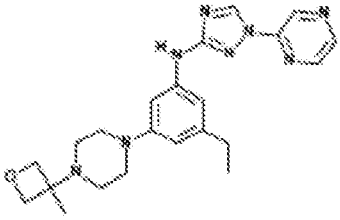
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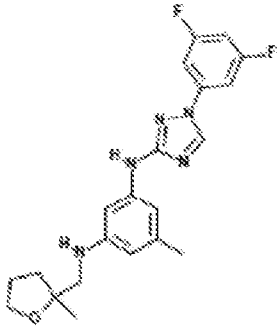	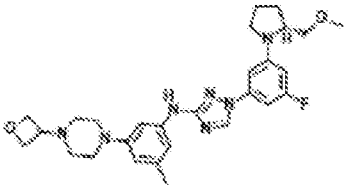	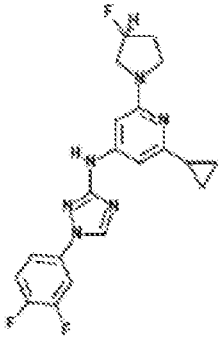

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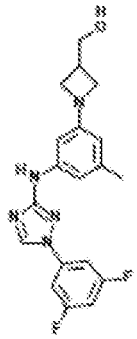	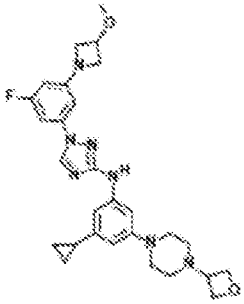	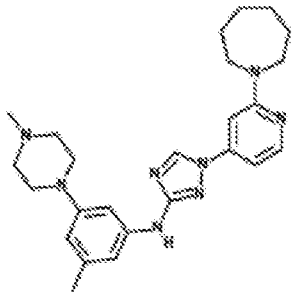
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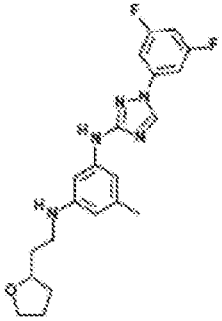	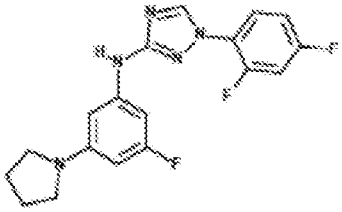	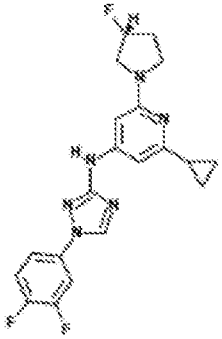
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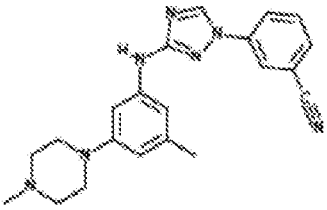	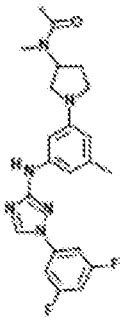	

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	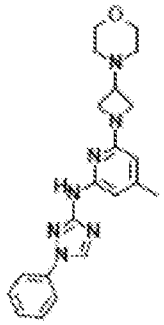	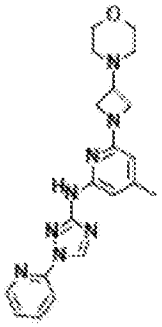
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	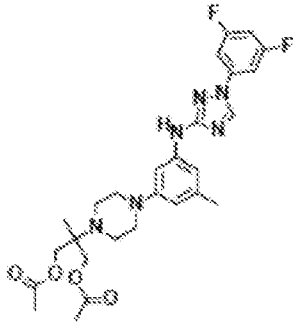	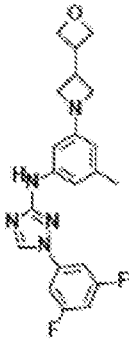
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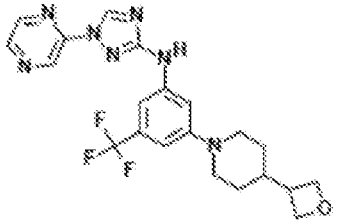	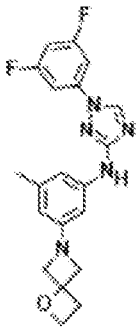	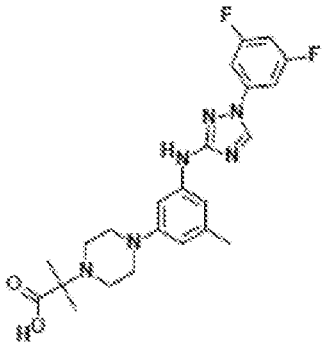
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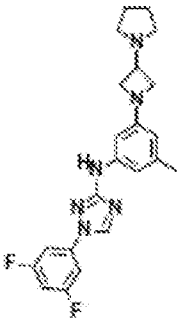	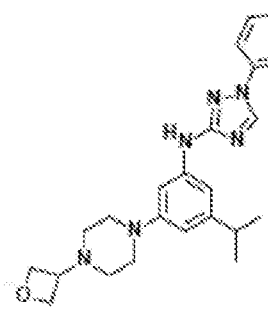	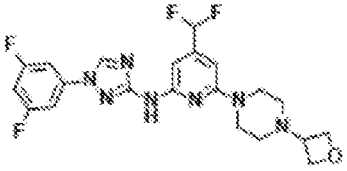

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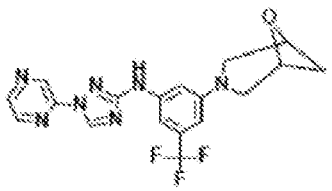	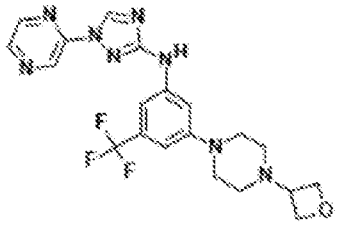	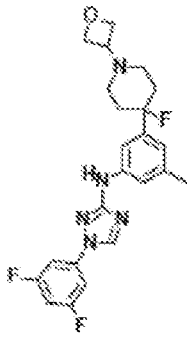
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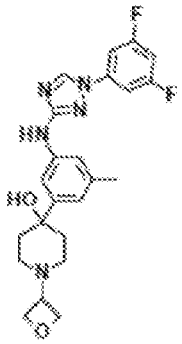	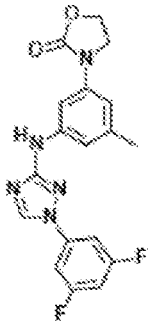	
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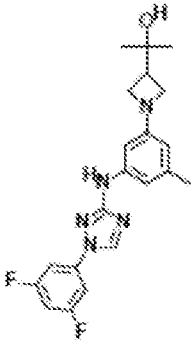	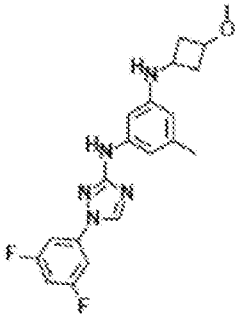	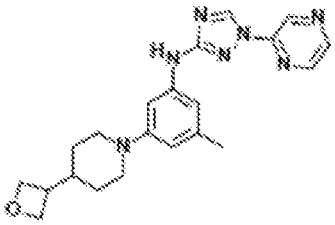
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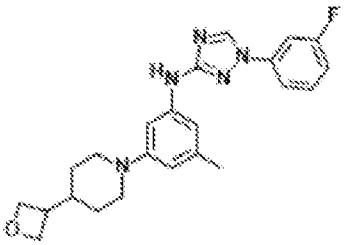	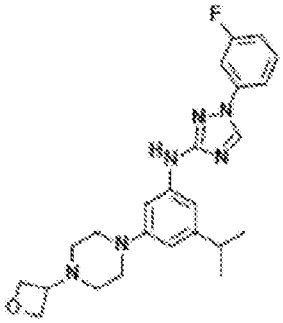	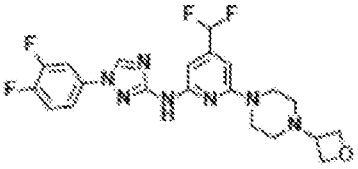

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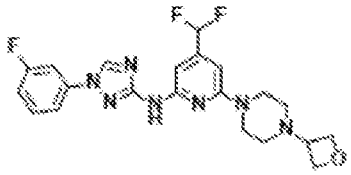	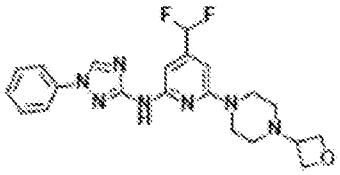	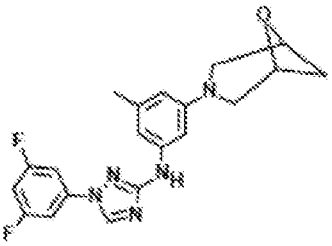
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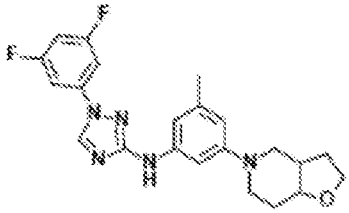	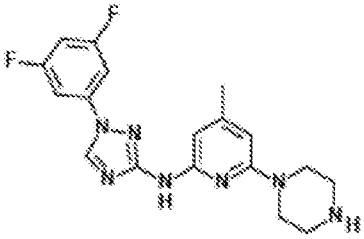	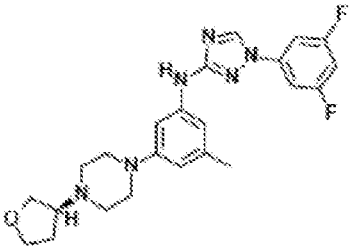
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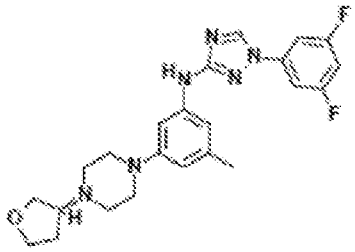	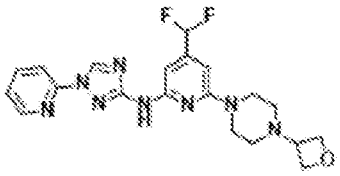	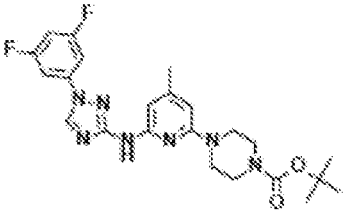
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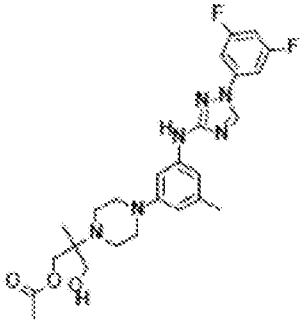	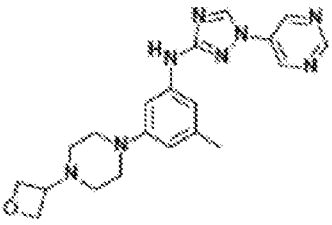	

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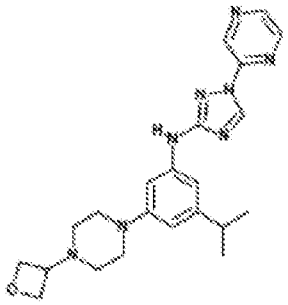	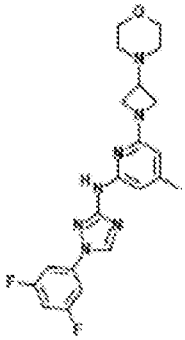	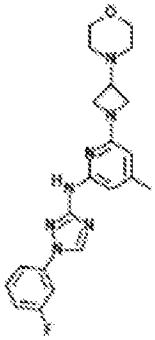
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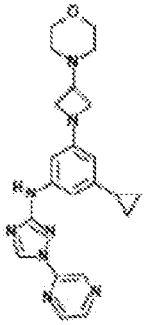	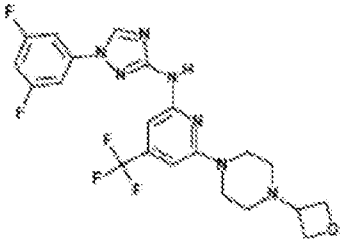	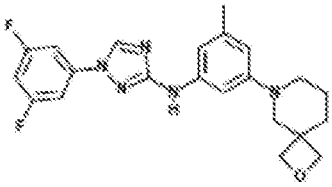
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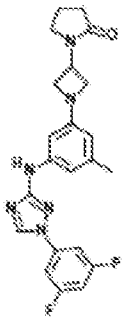	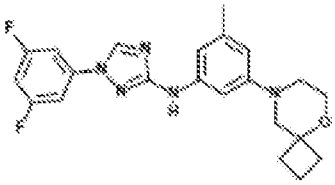	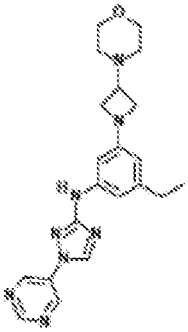
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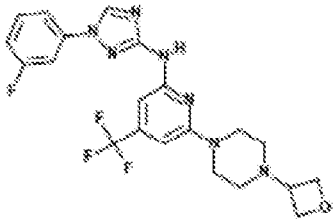	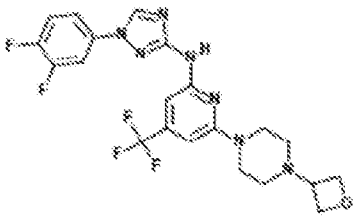	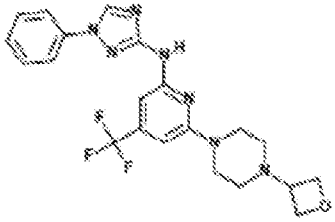

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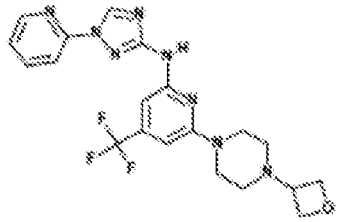	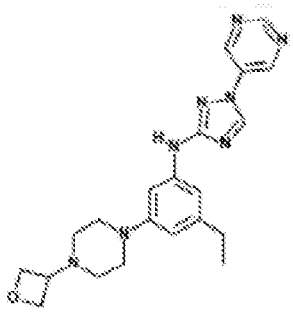	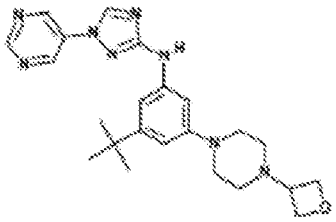
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	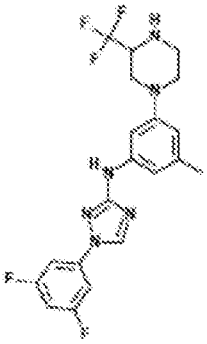	
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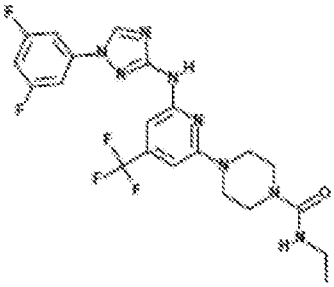	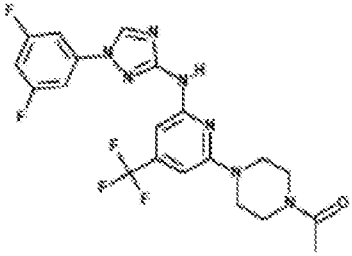	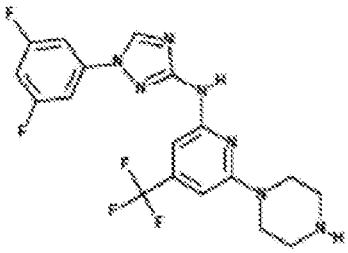
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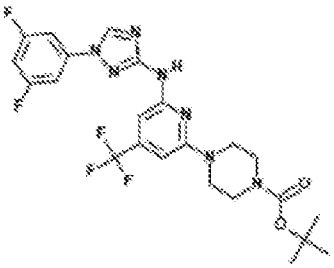	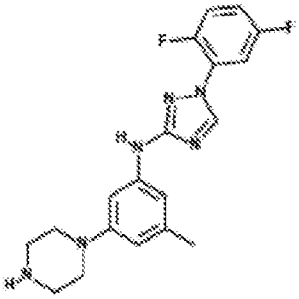	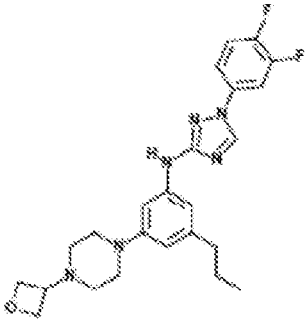

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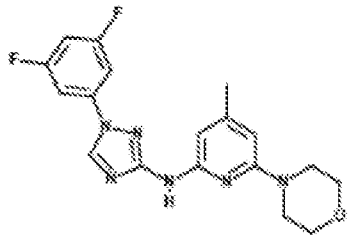	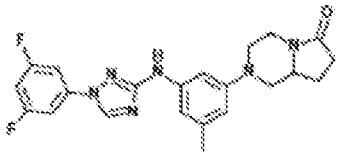	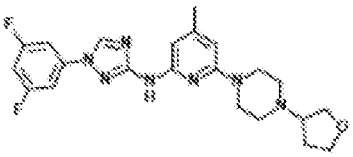
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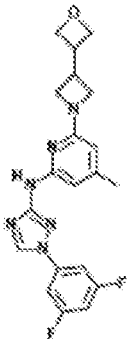	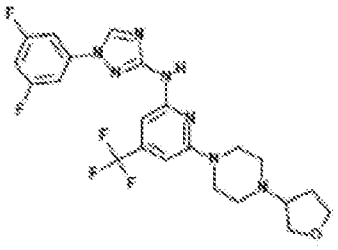	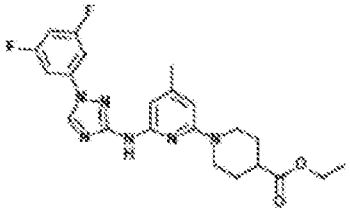
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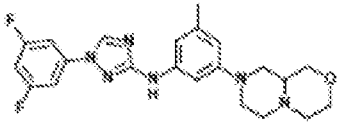	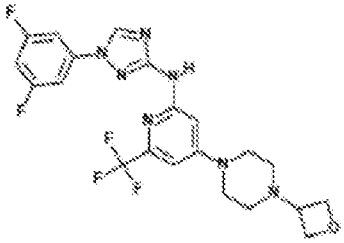	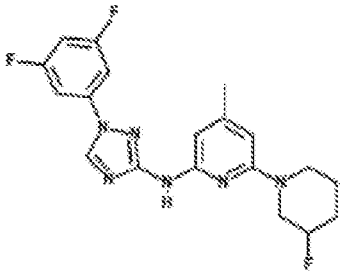
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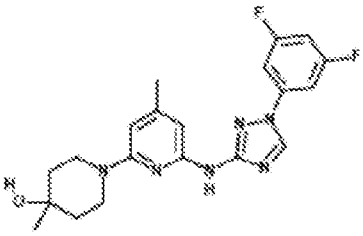	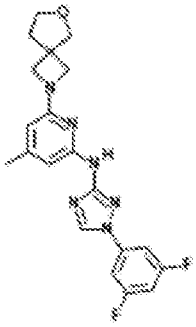	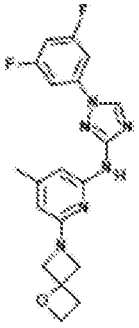

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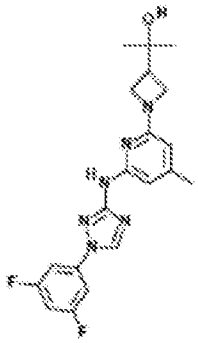	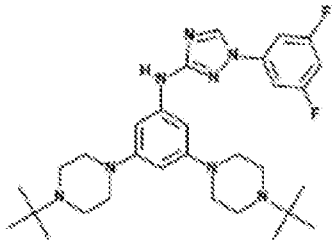	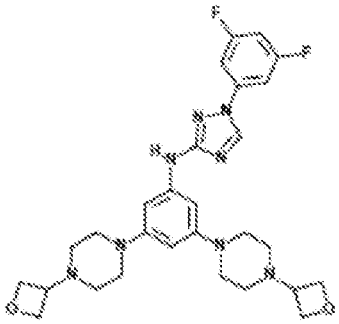

[00320] In Table 1A below, several compounds have stereocenters with either known (*R* or *S*) or unknown absolute configurations and/or known (*cis* or *trans*) or unknown configurations. For example, compounds 603, 611, 623, 632, 655, 665, 667, 672, 673, 679, 682, 696, 700, 740, 748, 750, 751, 787, 796 and 800 are each single enantiomers with unknown stereochemistry and are arbitrarily assigned the "S" or "R" conformation. Compounds 649 and 792 are each single enantiomers of unknown *cis*/*trans* configuration and are arbitrarily assigned a *trans* conformation. Compounds 826 and 861 are each single enantiomers of unknown *cis*/*trans* configuration and are arbitrarily assigned a *cis* conformation.

[00321] **Table 1A**. Compound Table

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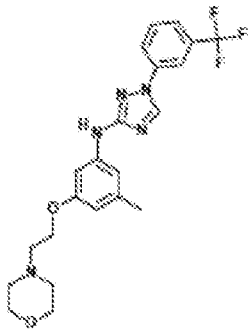	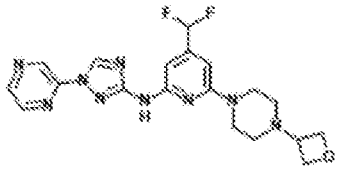	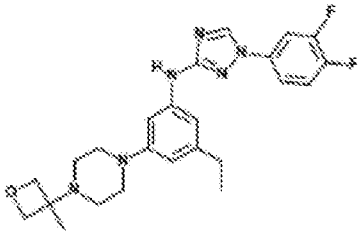
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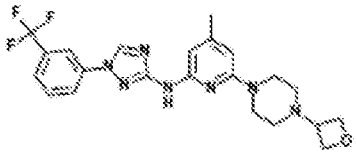	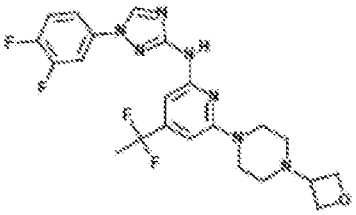	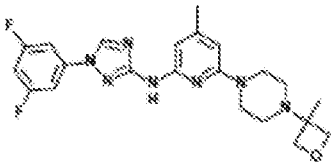
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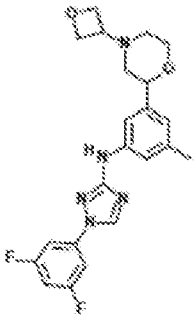	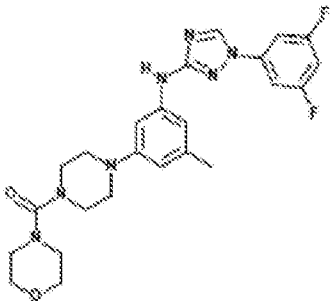	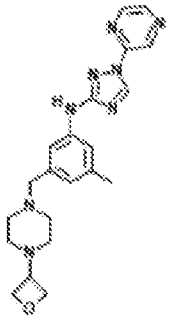
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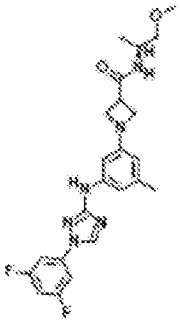	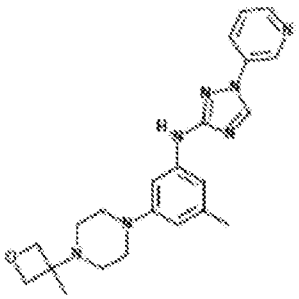	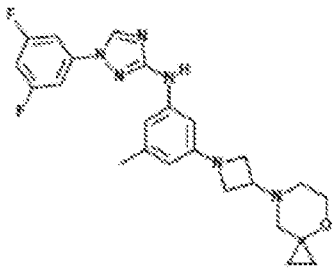

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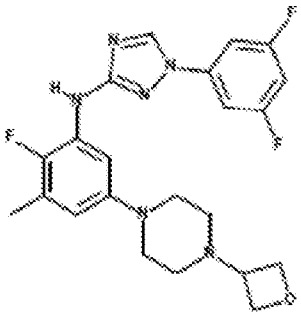	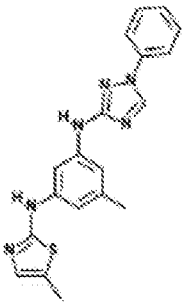	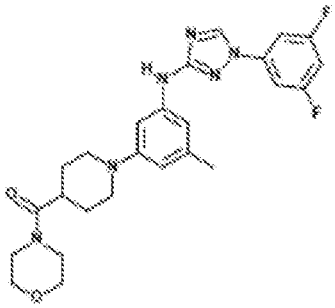
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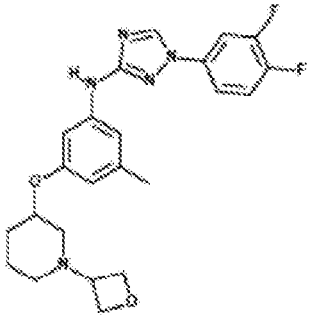	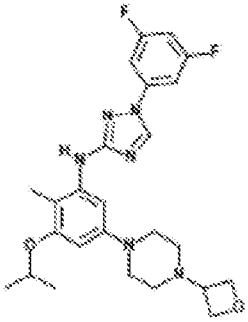	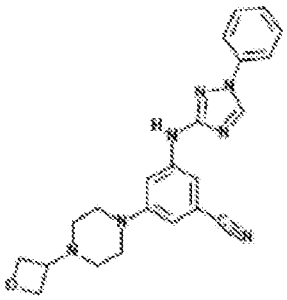
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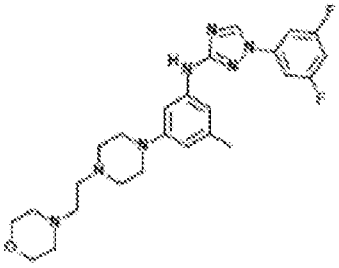	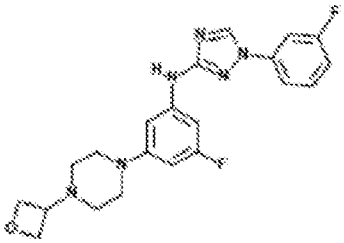	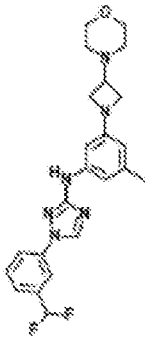
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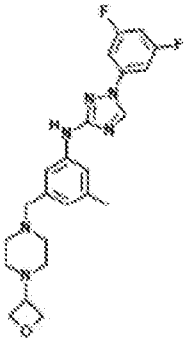	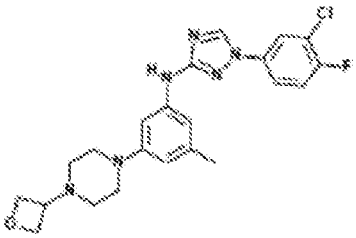	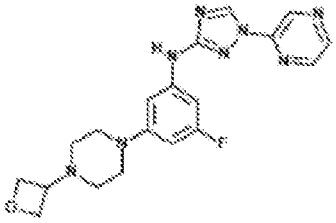

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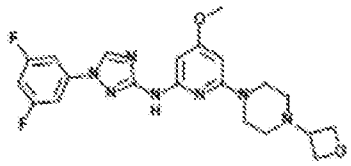	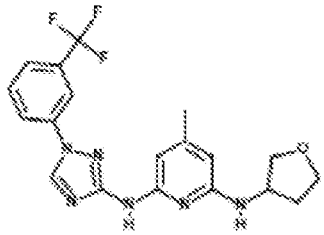	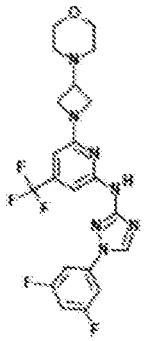
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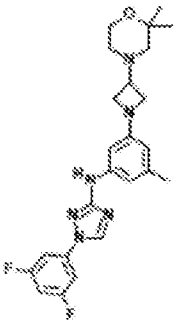	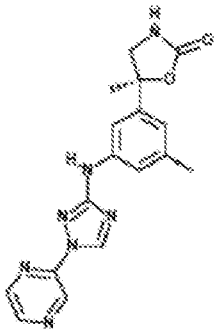	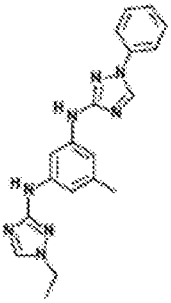
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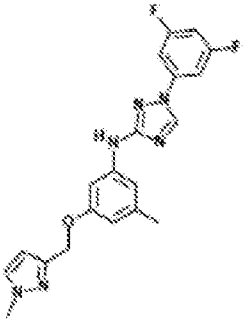	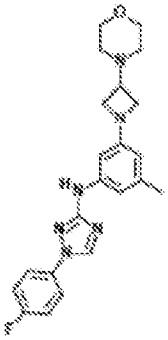	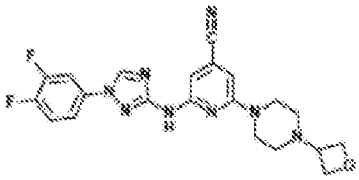
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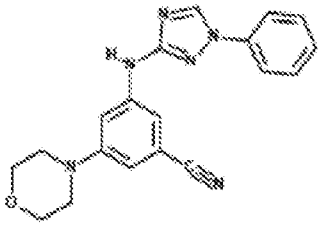	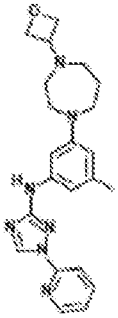	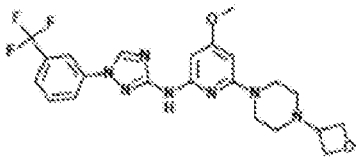

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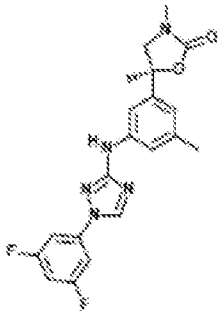	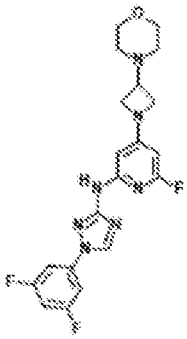	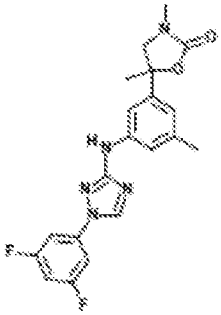
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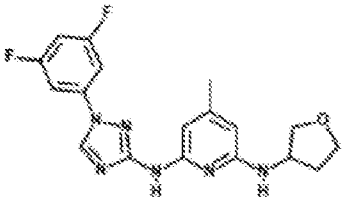	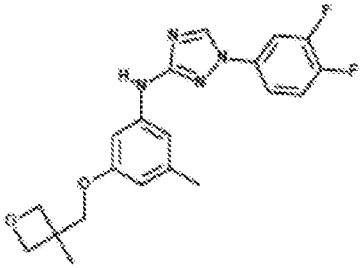	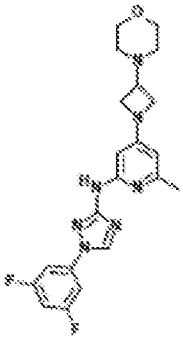
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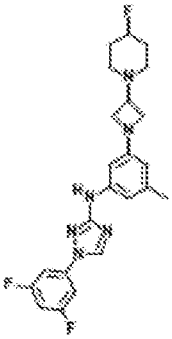	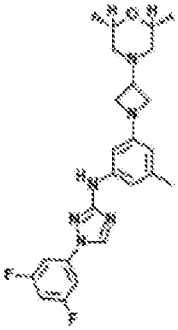	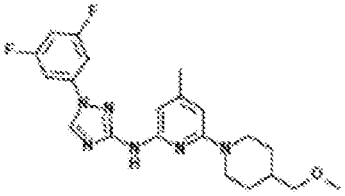
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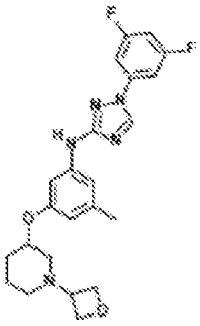	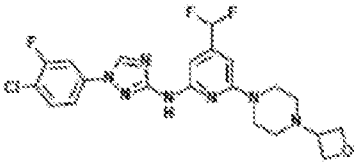	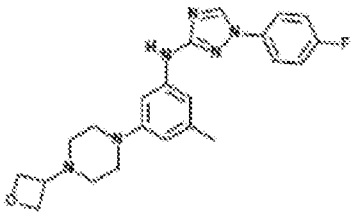

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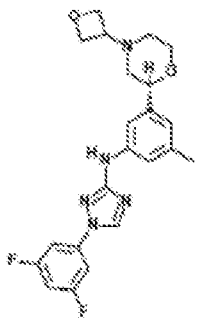	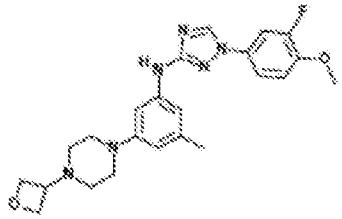	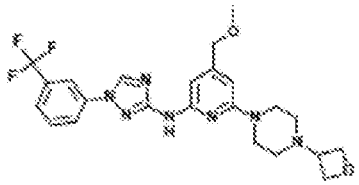
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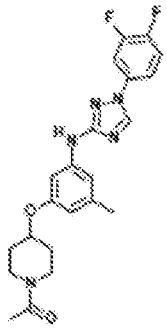	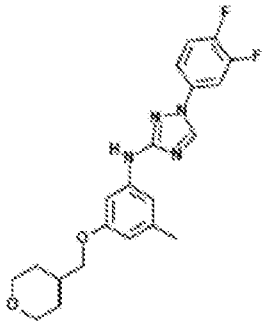	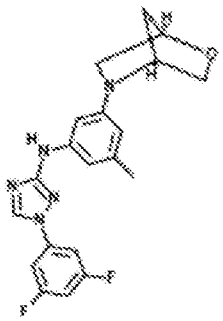
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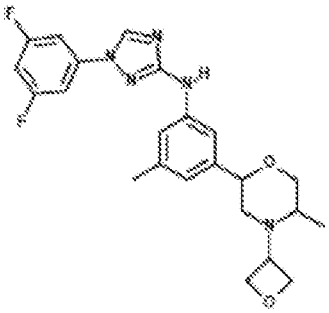	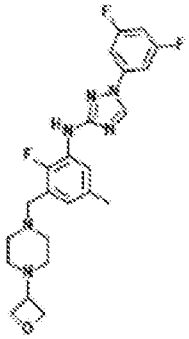	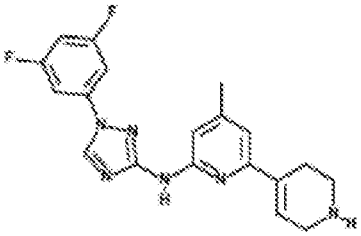
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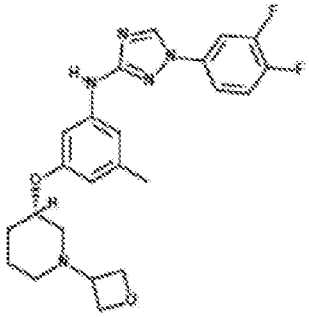	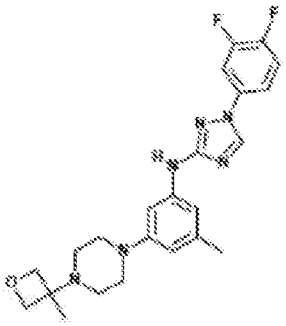	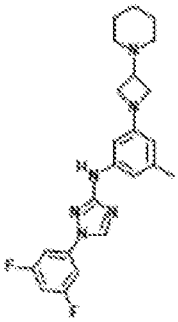

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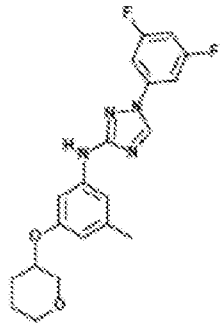	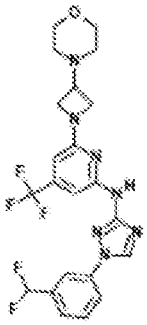	
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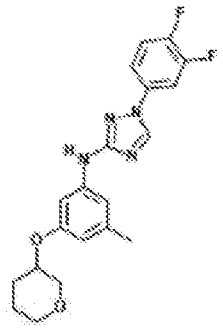	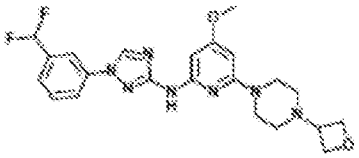	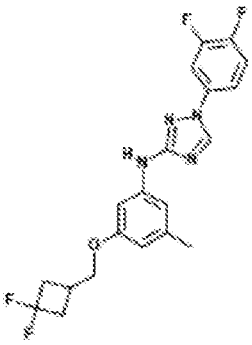
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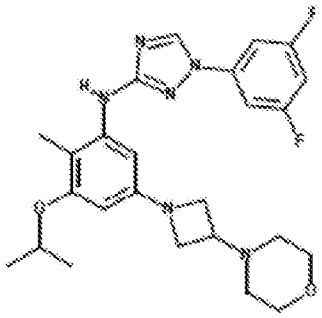	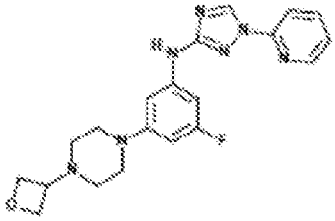	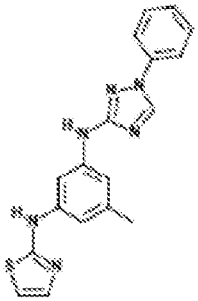
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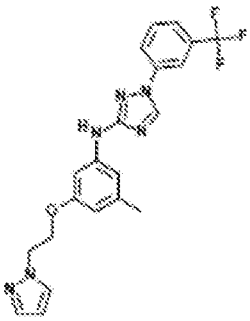	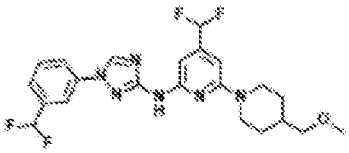	

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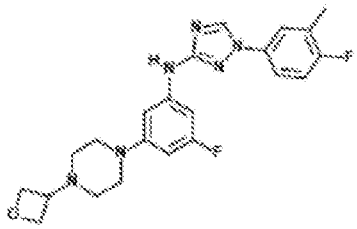	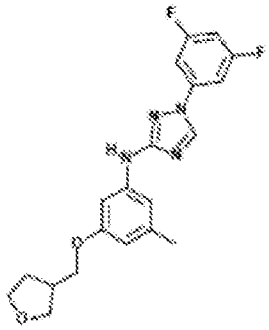	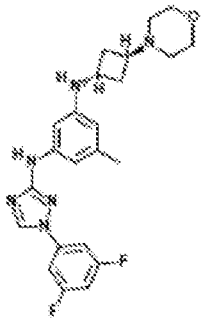
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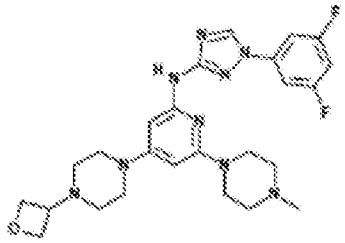	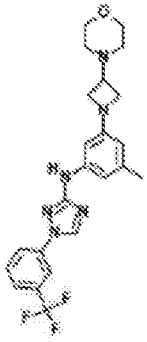	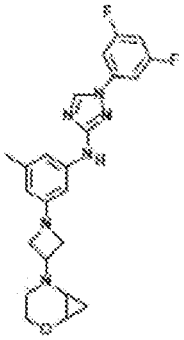
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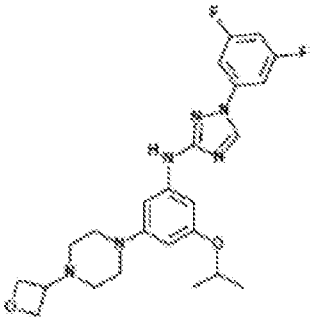	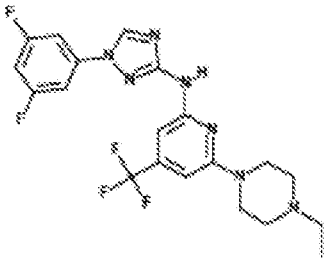	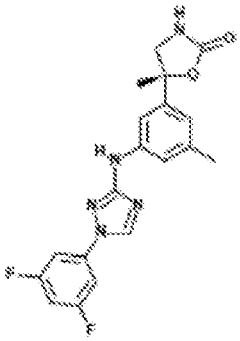
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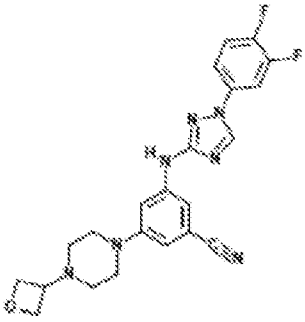	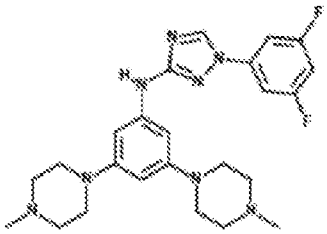	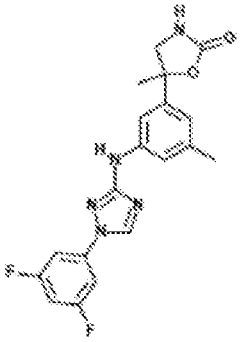

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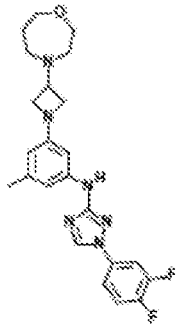	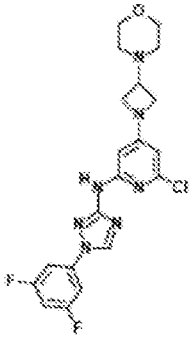	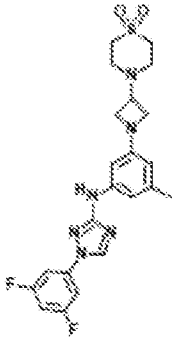
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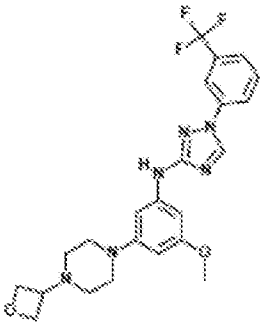	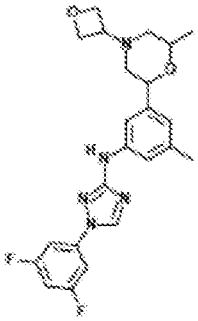	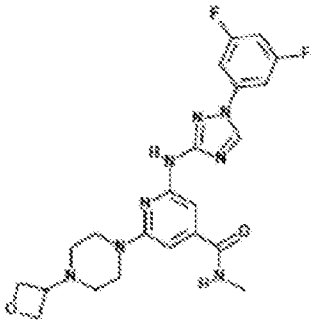
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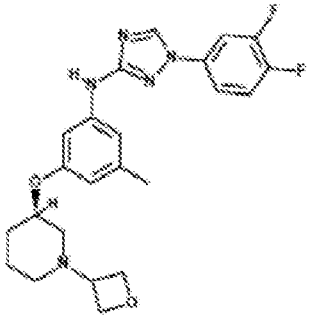	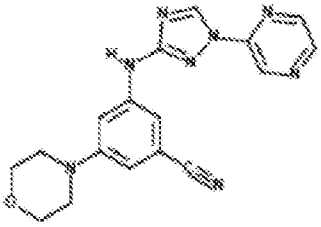	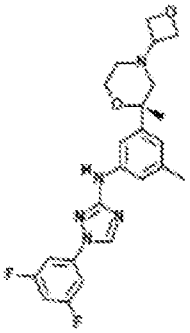
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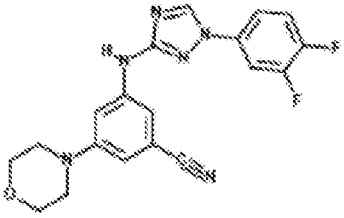	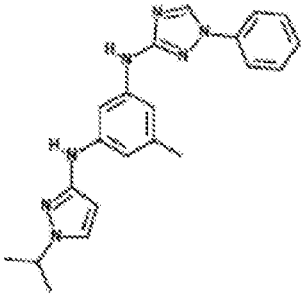	

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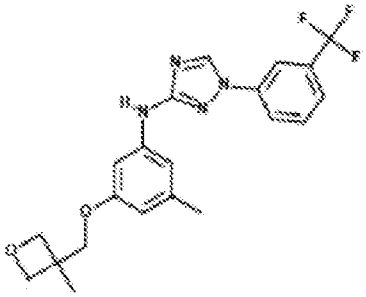	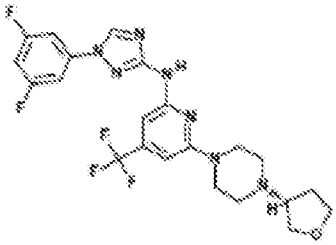	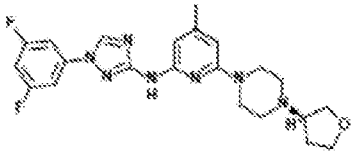
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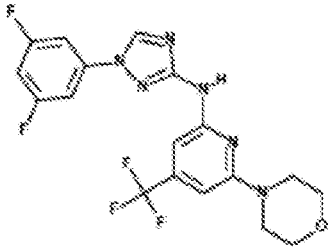	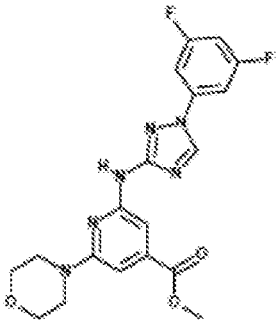	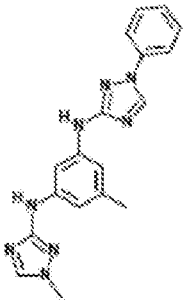
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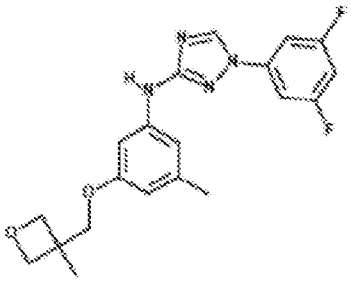	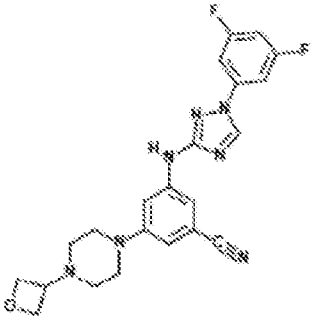	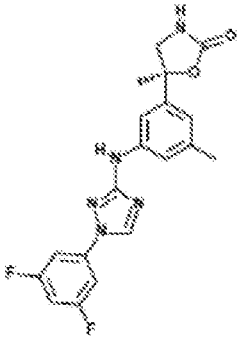
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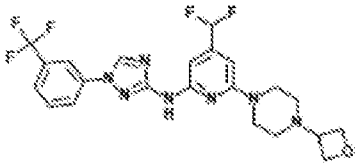	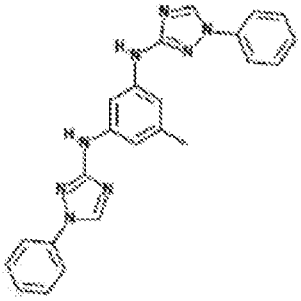	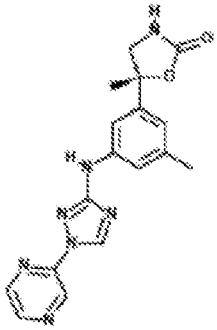

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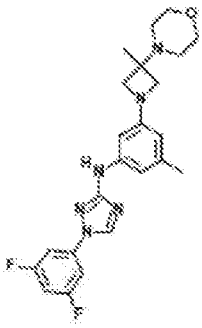	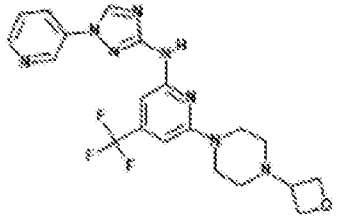	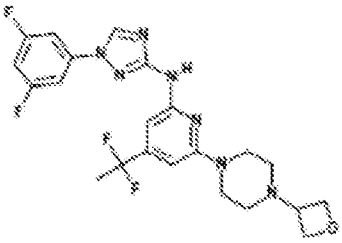
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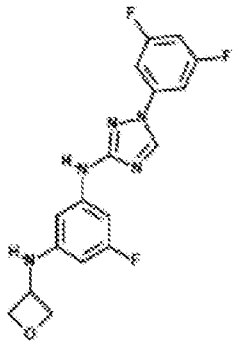	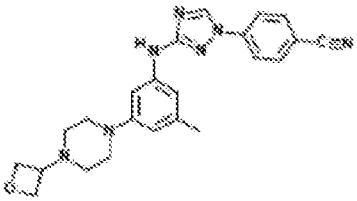	
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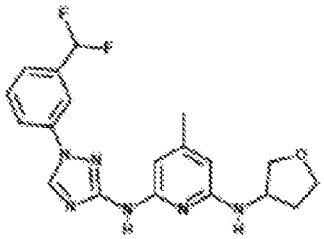	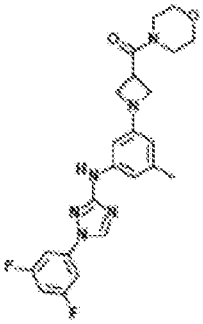	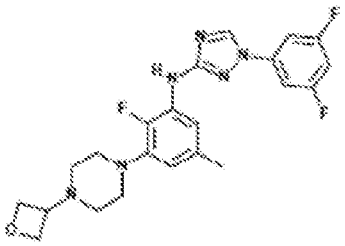
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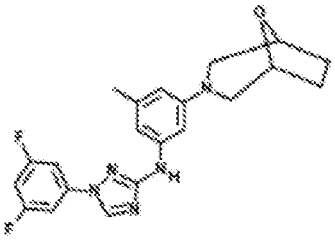	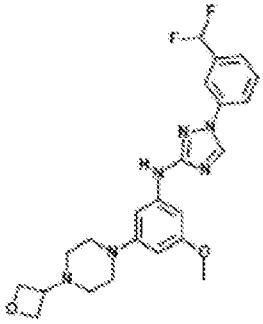	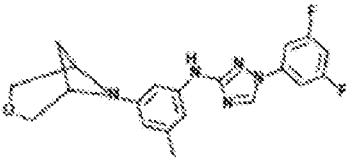

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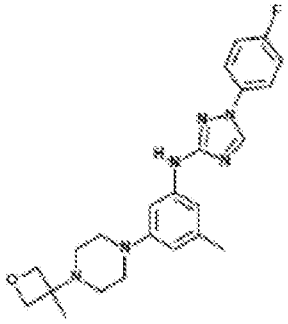	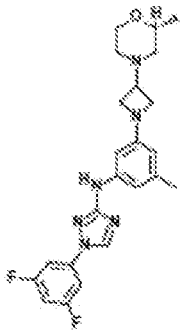	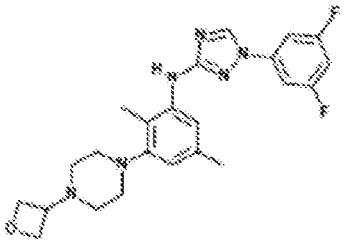
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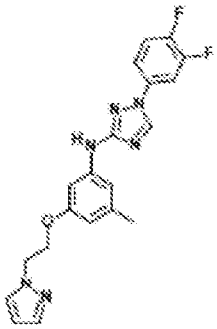	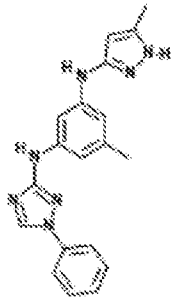	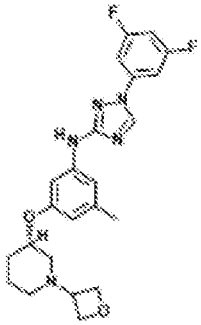
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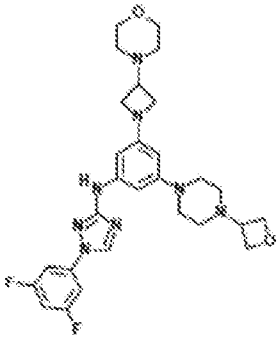	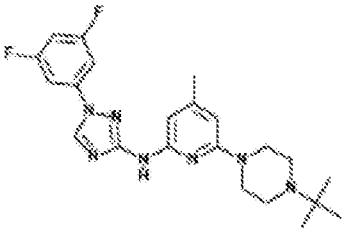	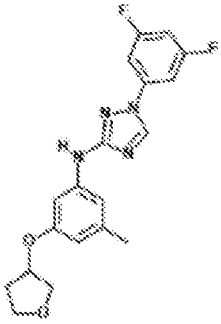
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	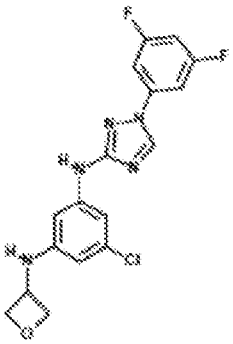	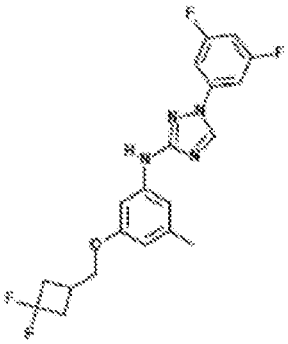

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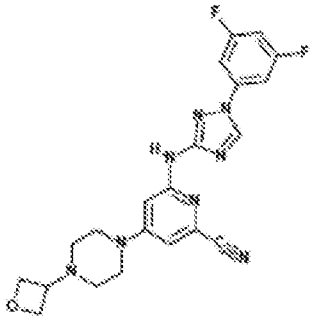	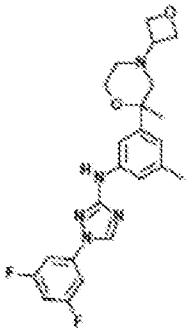	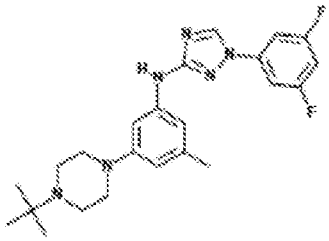
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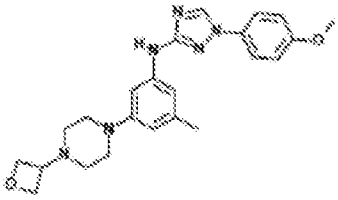	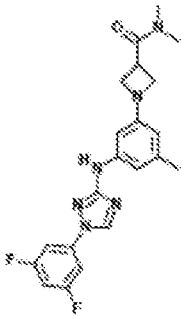	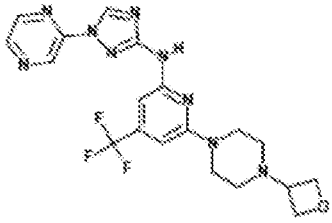
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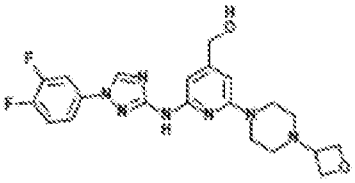	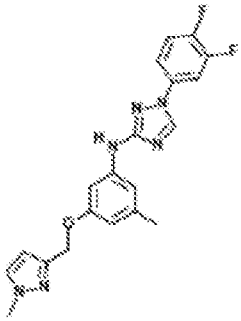	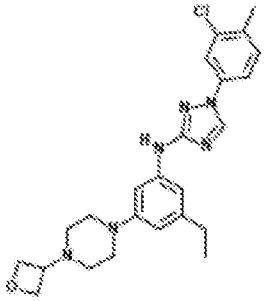
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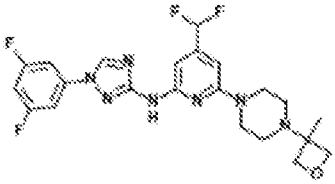	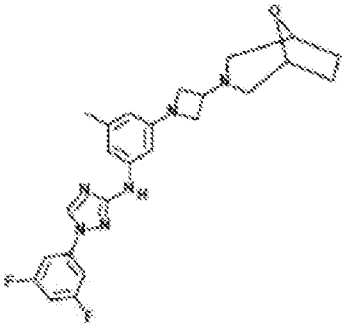	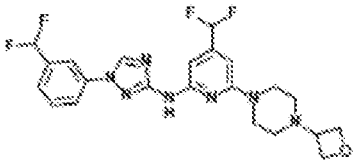

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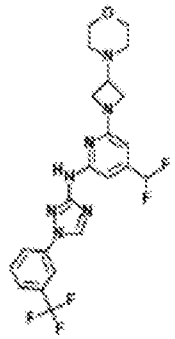	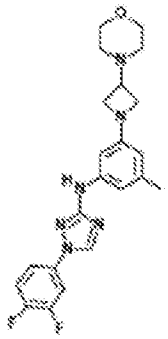	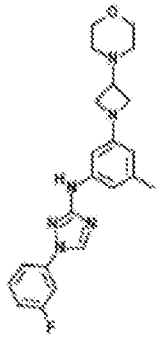
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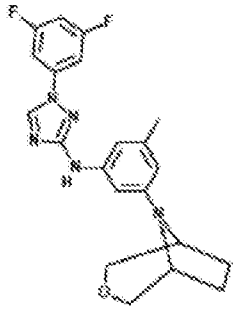	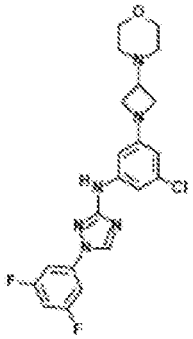	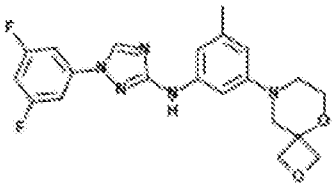
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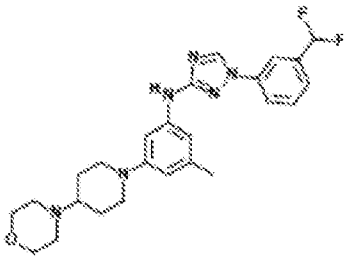	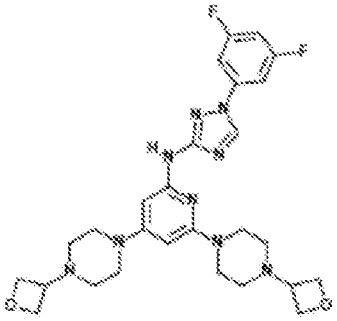	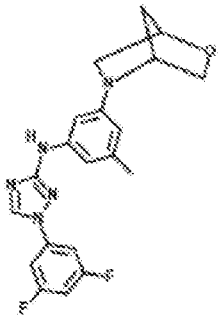
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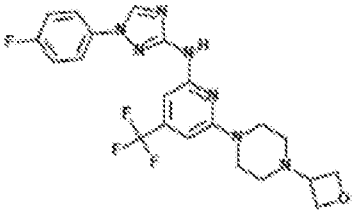	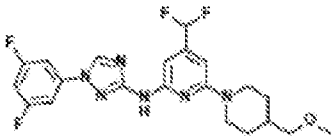	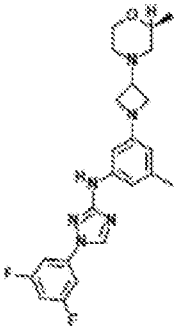

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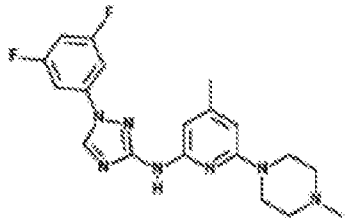	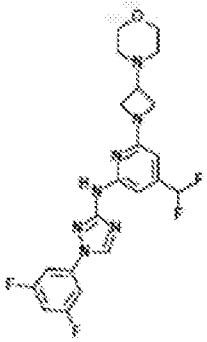	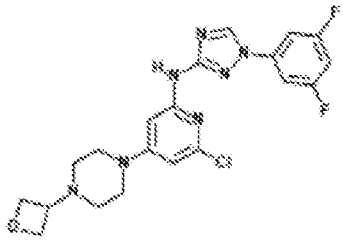
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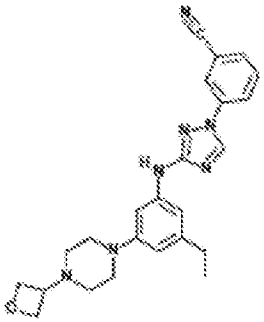	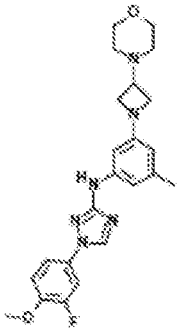	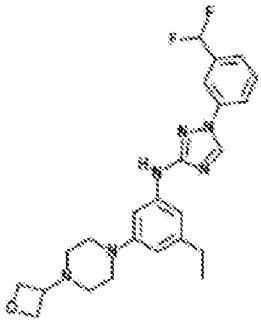
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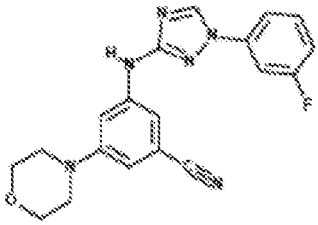	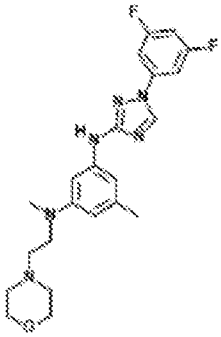	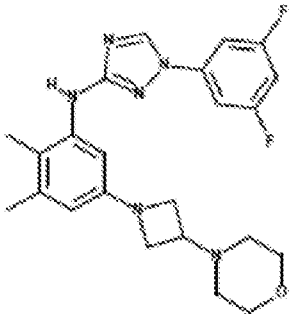
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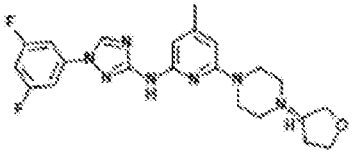	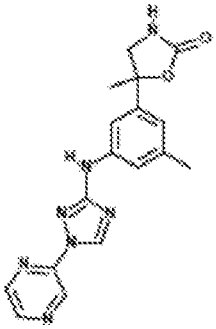	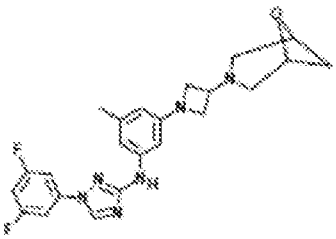

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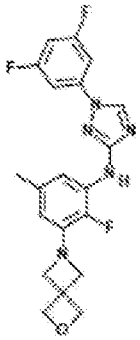	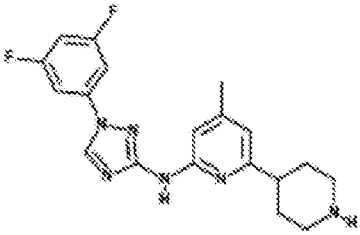	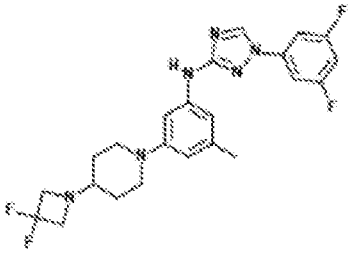
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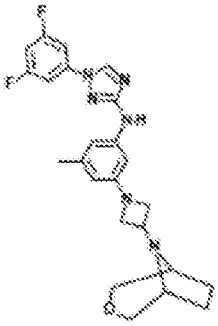	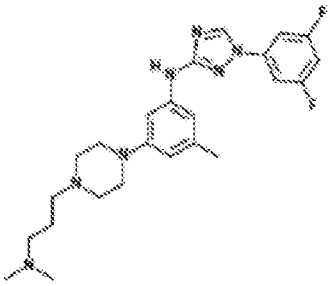	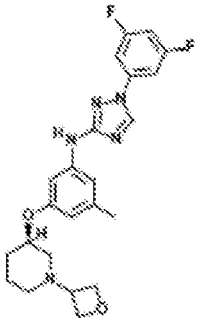
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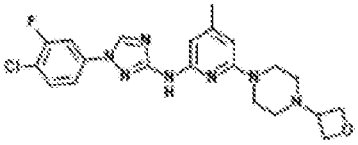	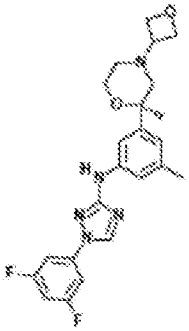	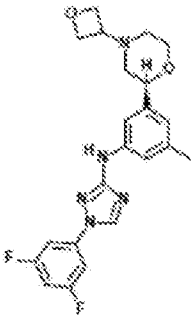
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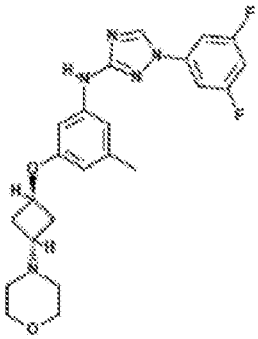	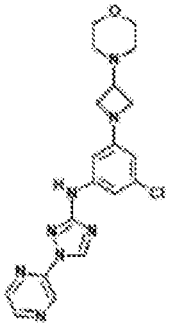	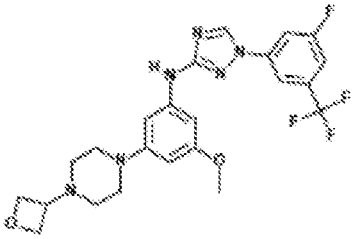

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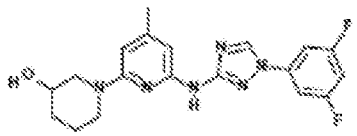	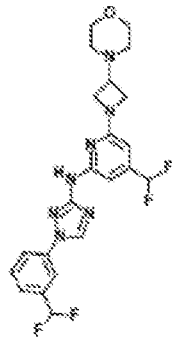	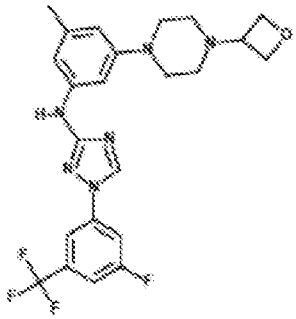
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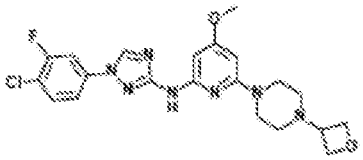	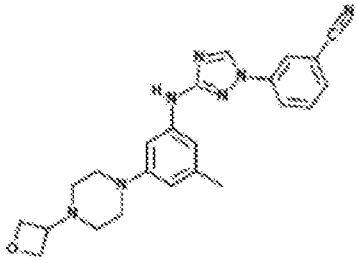	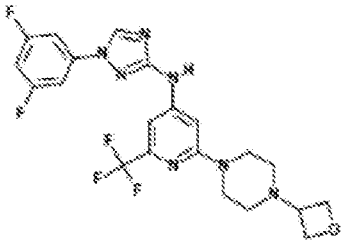
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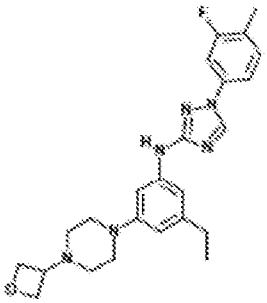	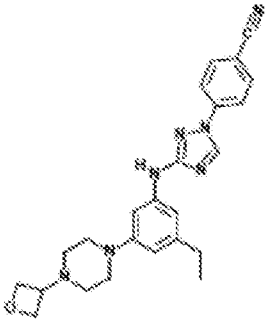	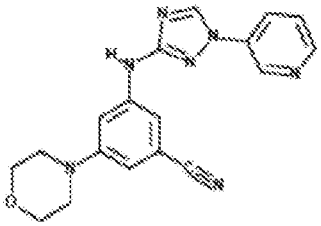
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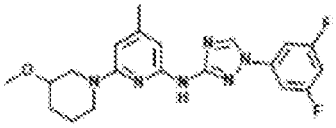	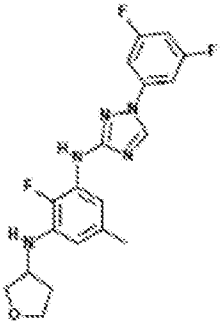	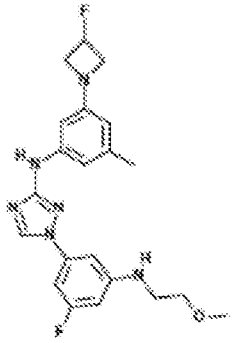

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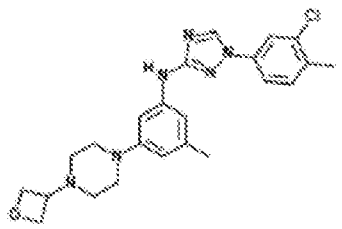	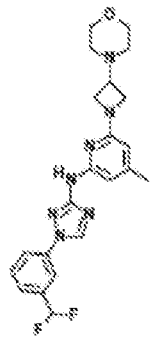	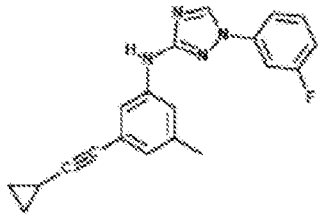
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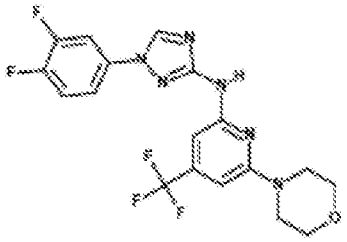		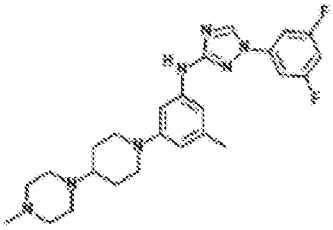
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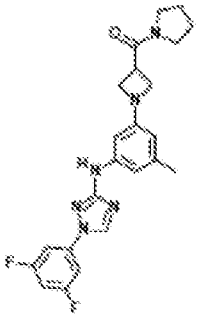	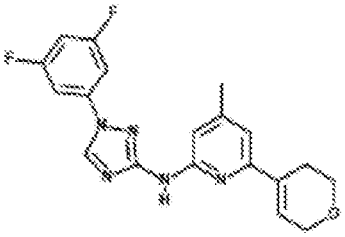	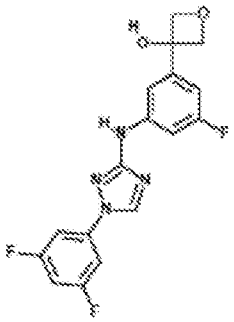
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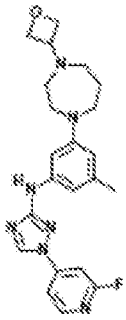	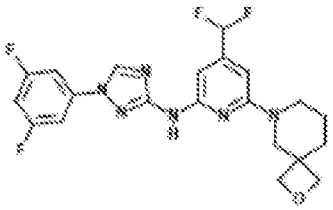	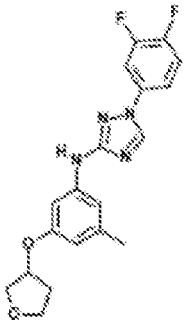

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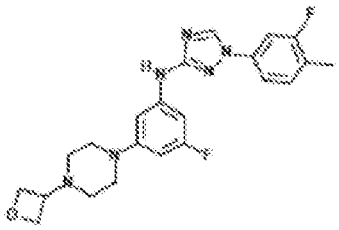	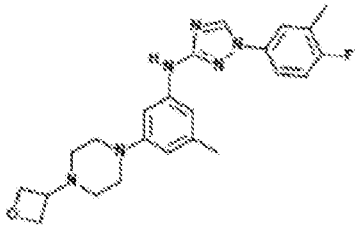	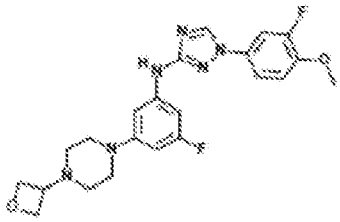
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	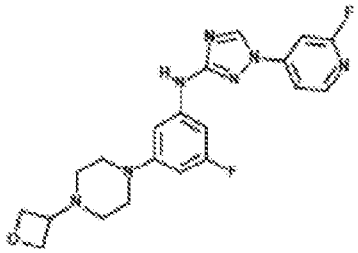	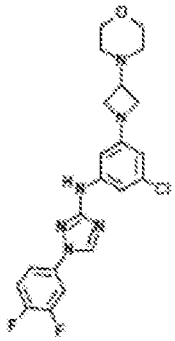
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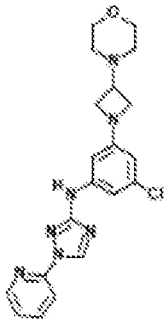	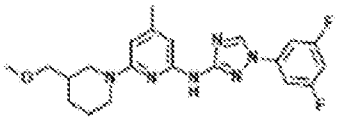	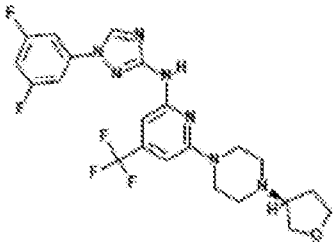
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	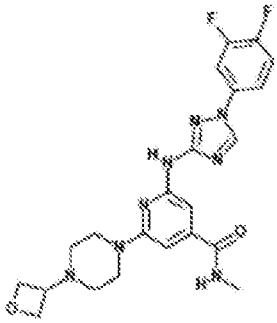	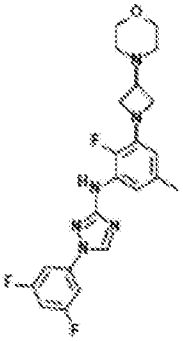

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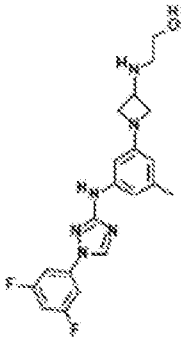	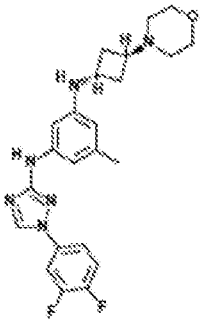	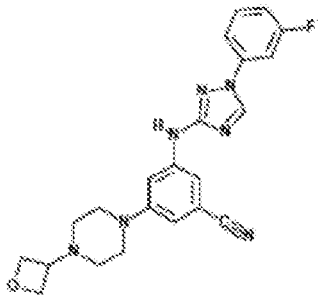
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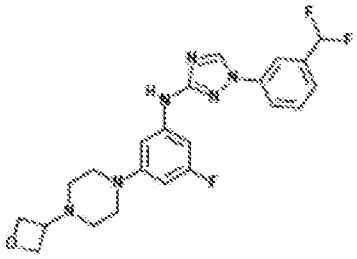	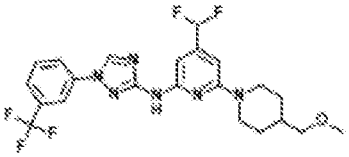	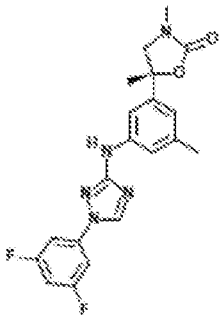
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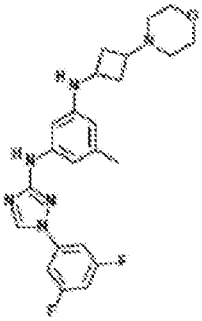	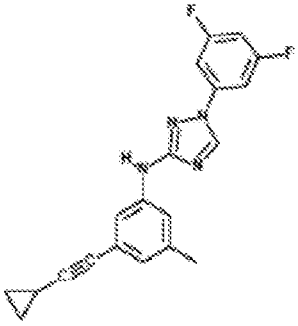	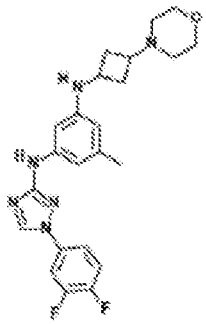
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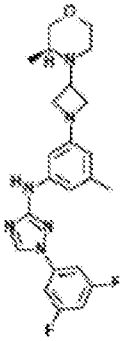	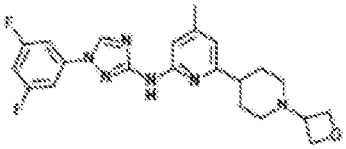	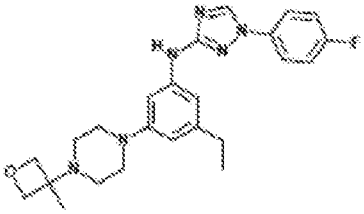

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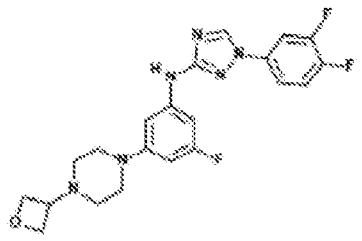	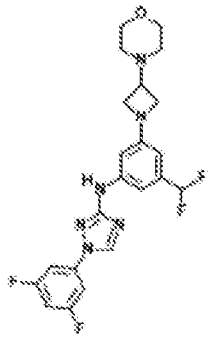	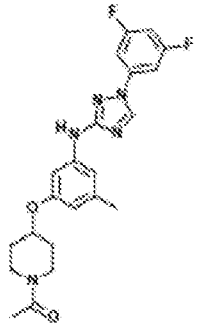
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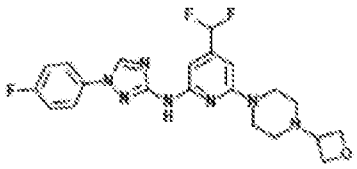	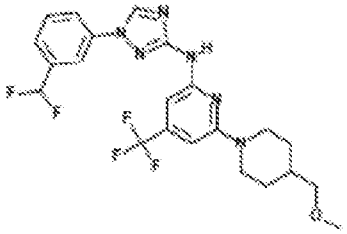	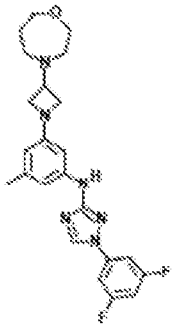
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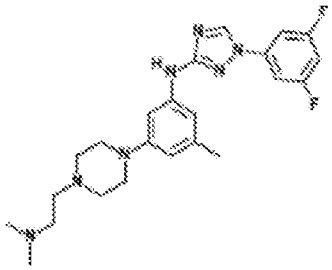	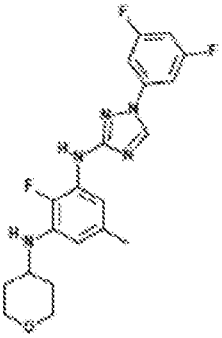	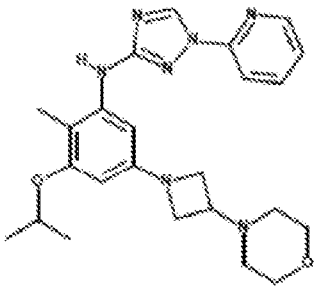
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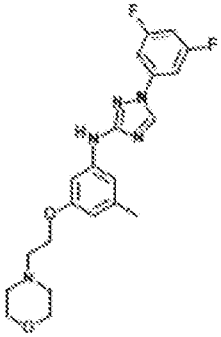	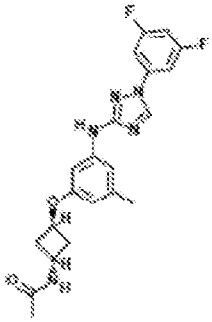	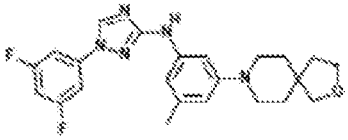

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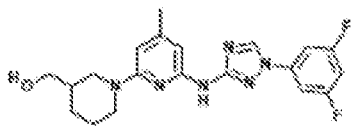	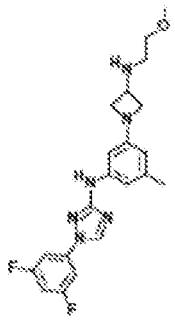	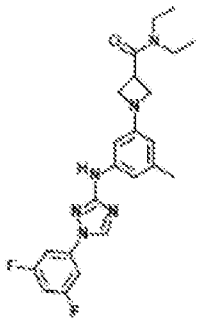
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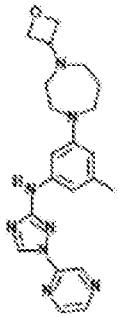	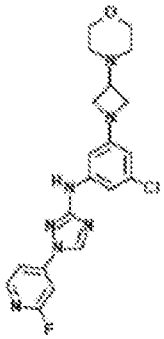	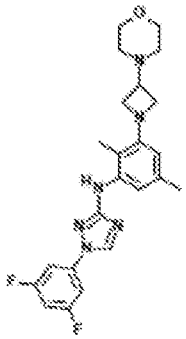
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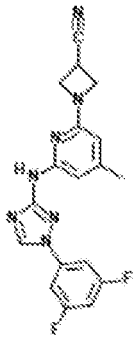	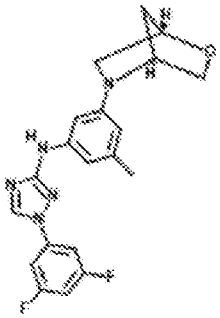	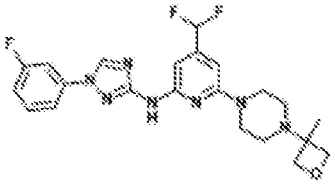
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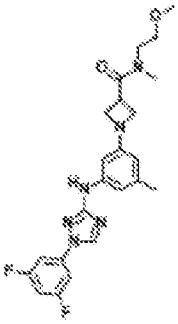	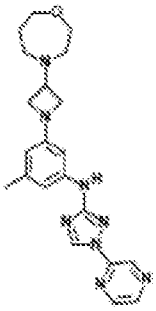	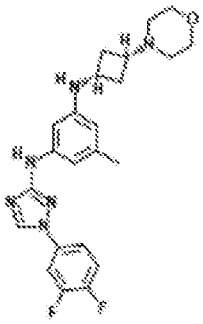

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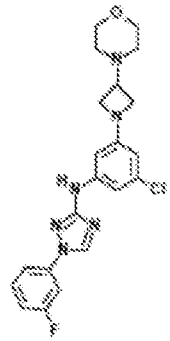	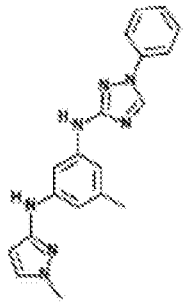	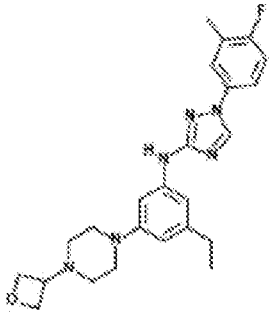
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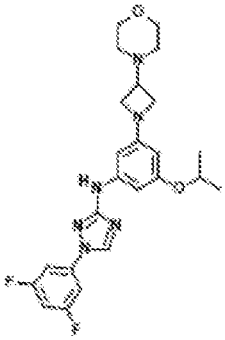	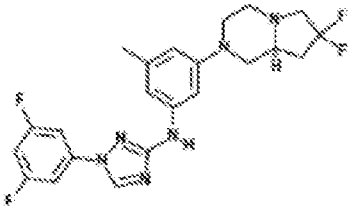	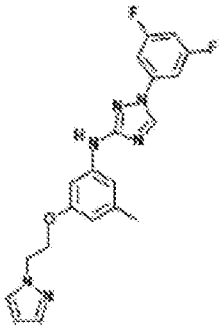
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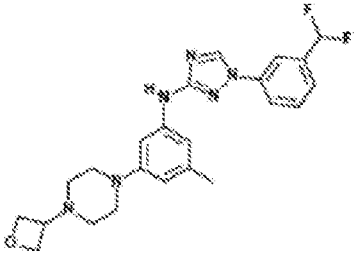	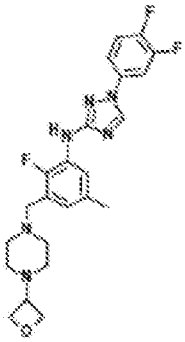	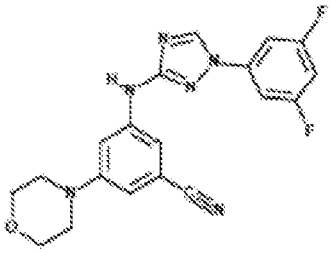
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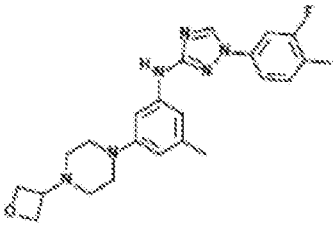	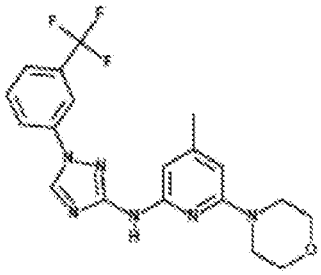	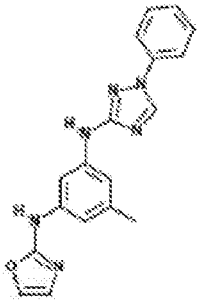

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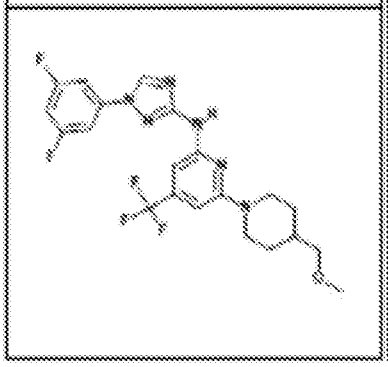	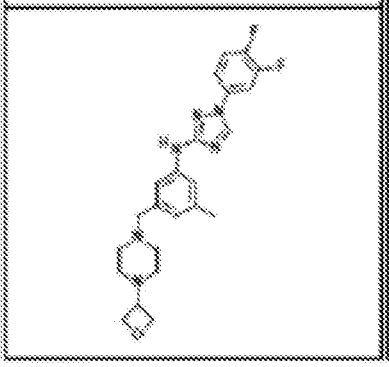	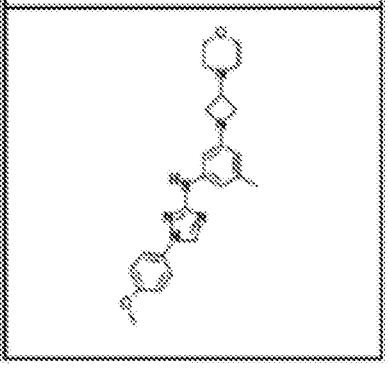

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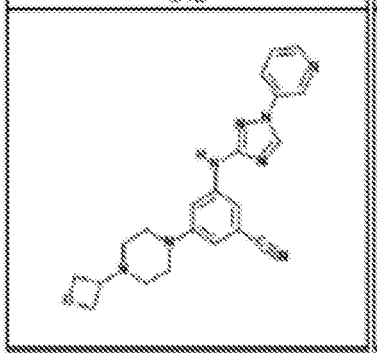	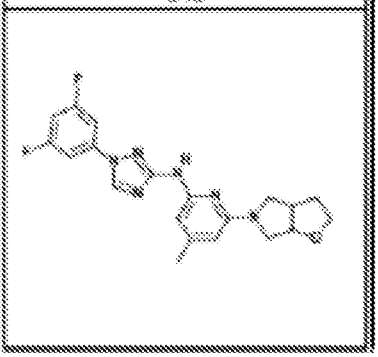

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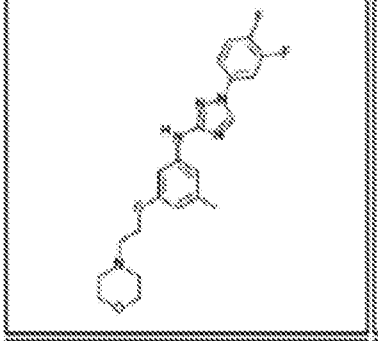	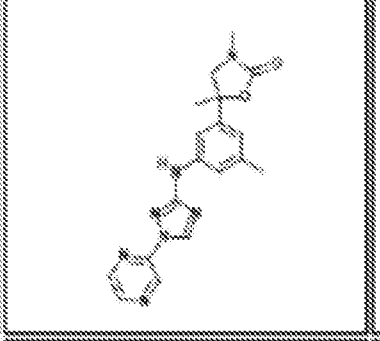	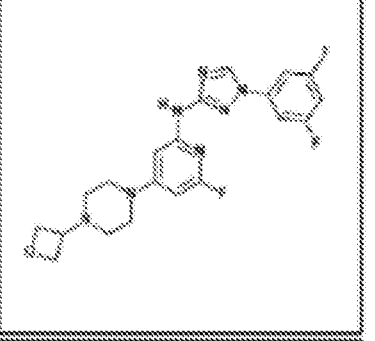

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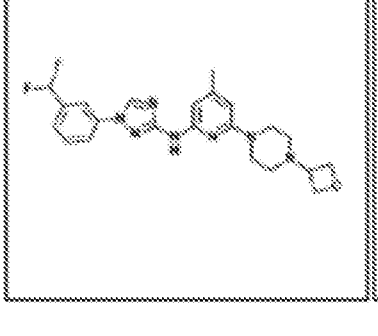	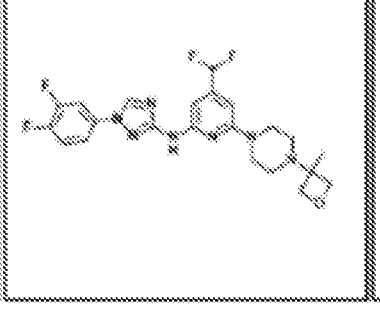	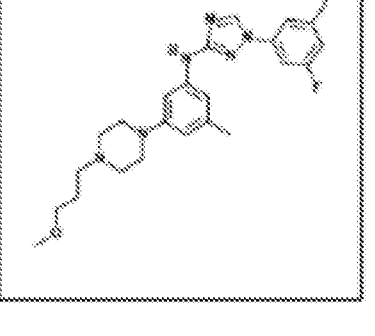

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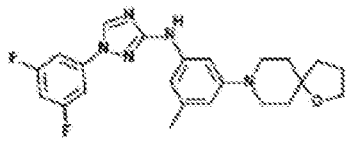	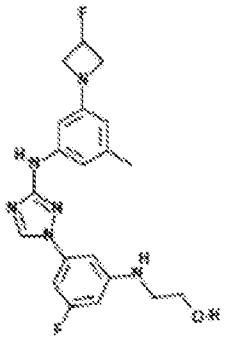	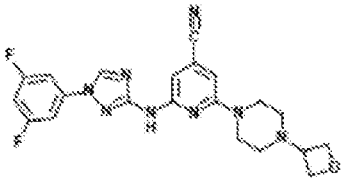
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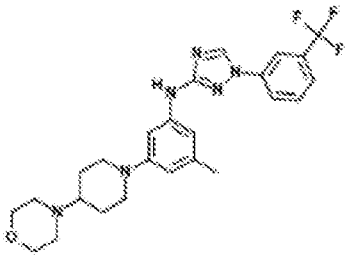	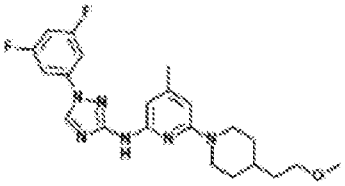	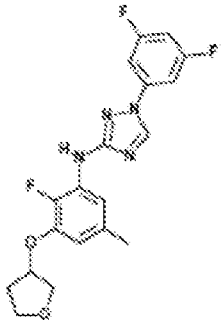
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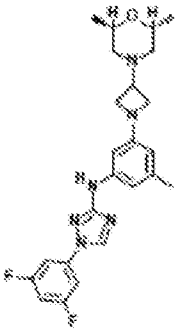	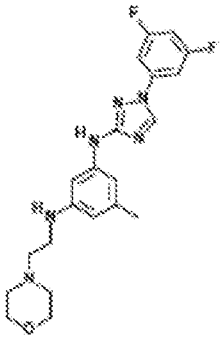	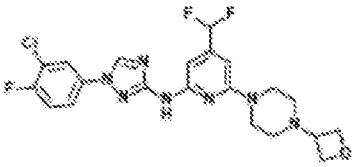
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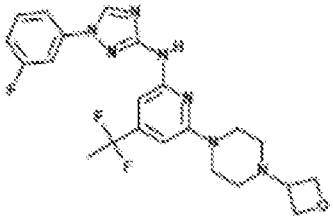	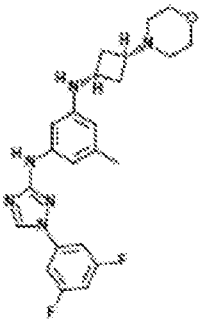	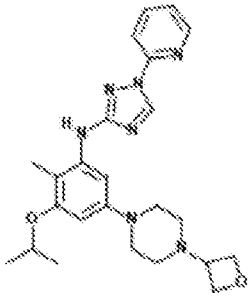

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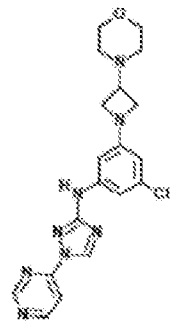	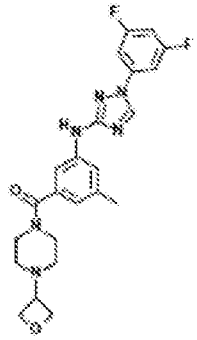	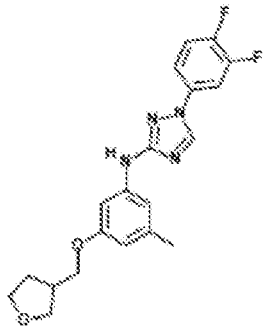
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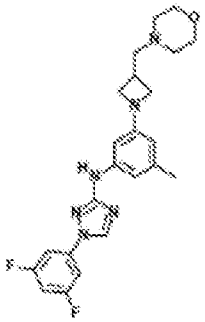	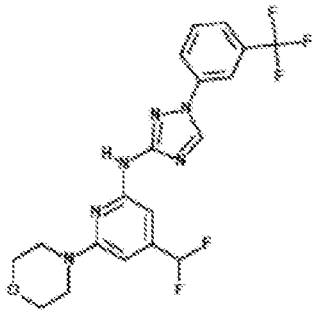	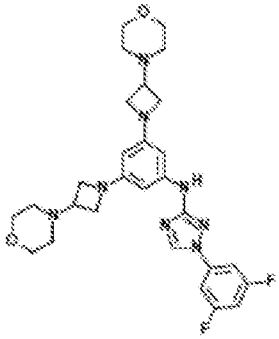
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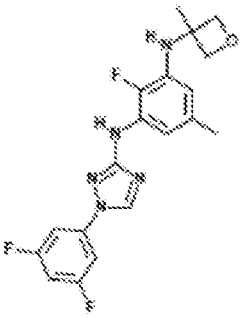		

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[00322] In Table 1B below, several compounds have stereocenters with either known (*R* or *S*) or unknown absolute configurations and/or known (*cis* or *trans*) or unknown configurations. For example, compound 919 is a single enantiomer with the "S" conformation. Compounds 886, 1002, 1009, 1028, 1052, 1053, 1055, and 1061 are each single enantiomers with unknown stereochemistry and are arbitrarily assigned the "S" or "R" conformation.

[00323] **Table 1B**. Compound Table

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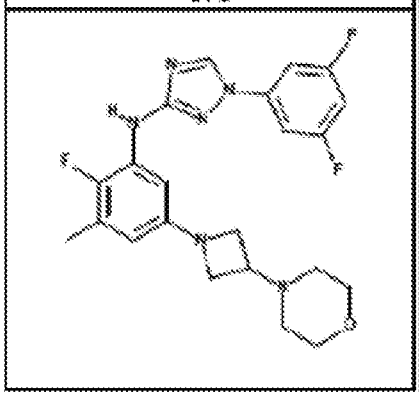	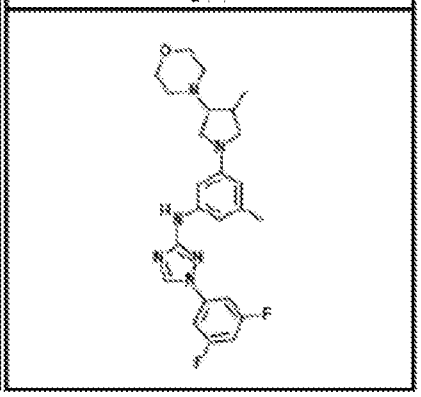

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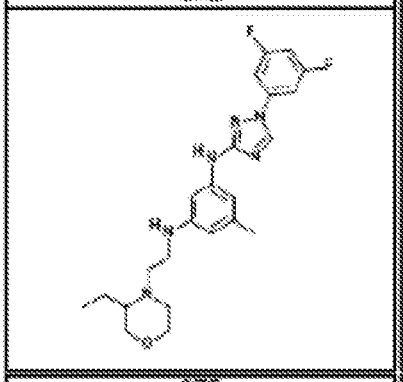	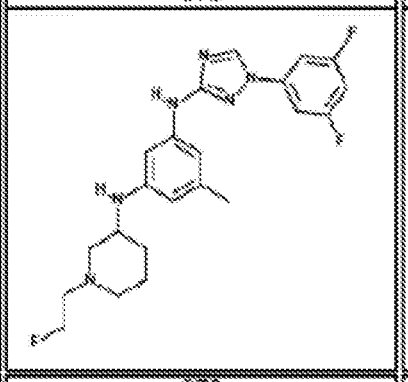	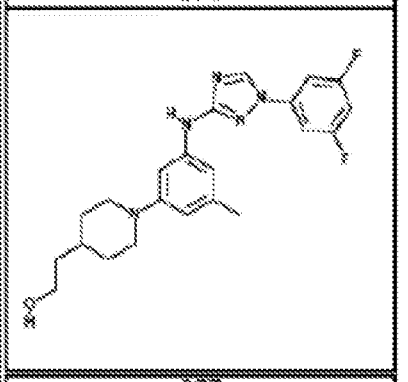
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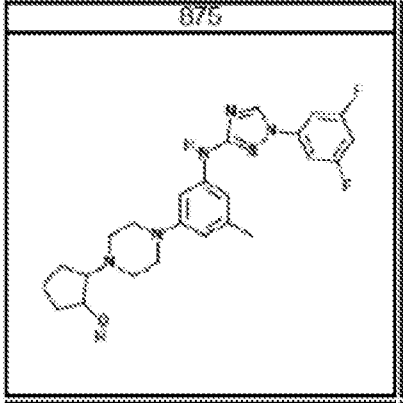	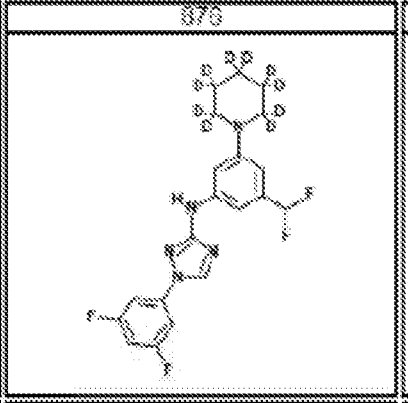	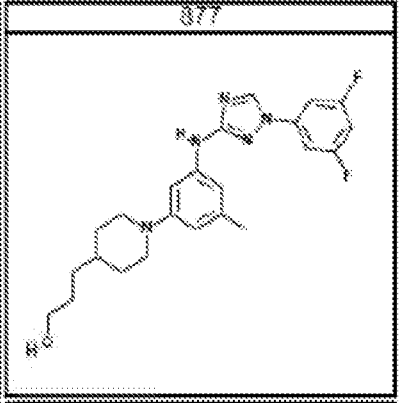
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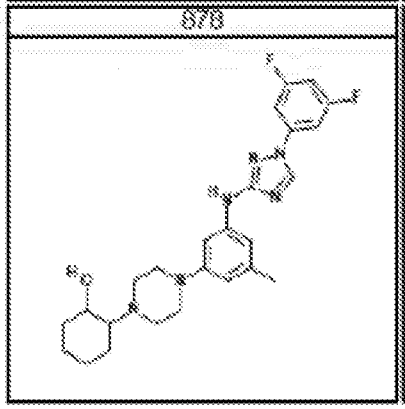	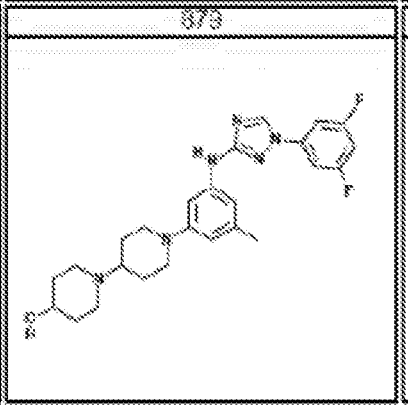	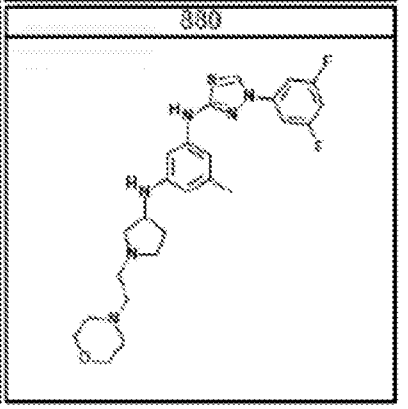

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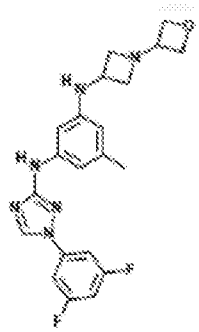	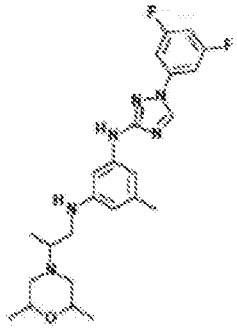	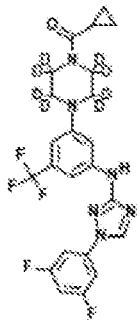
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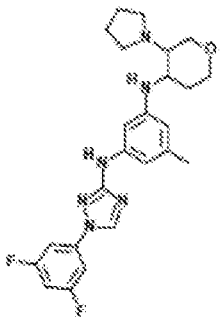	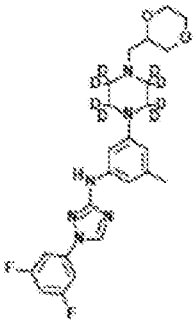	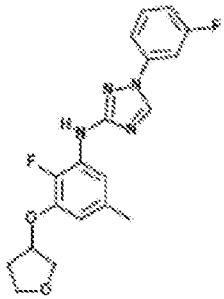
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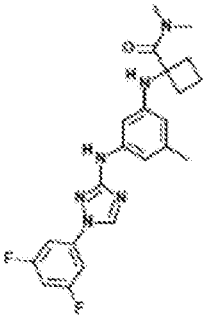	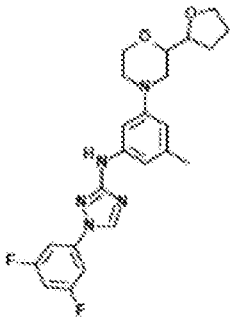	
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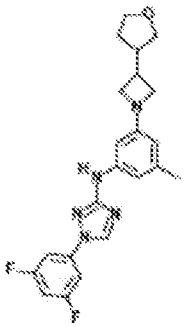	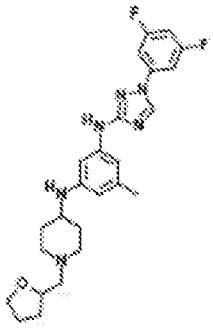	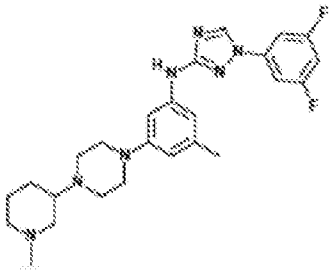

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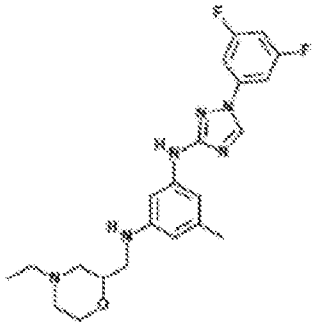	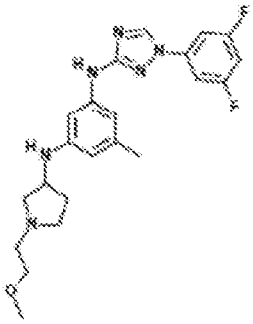	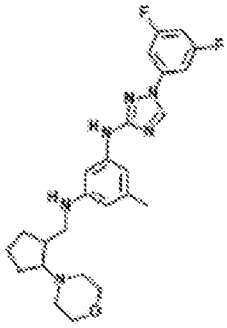
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	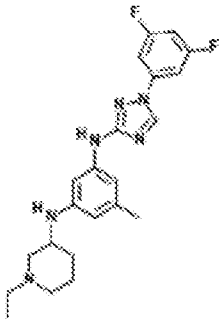	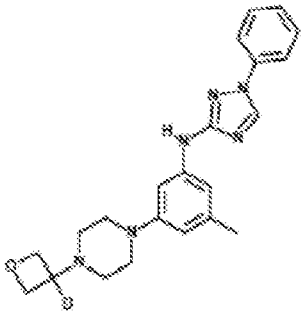
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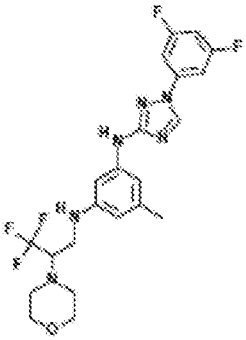	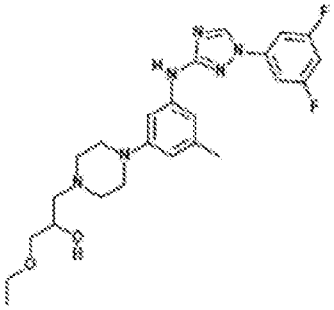	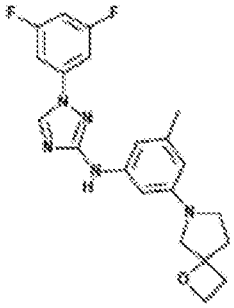
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	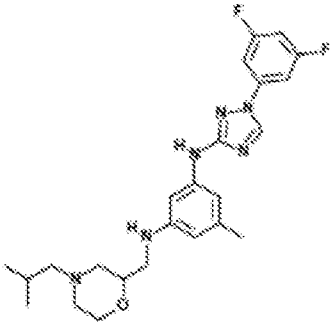	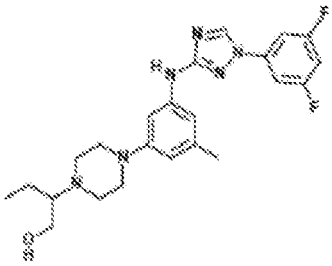

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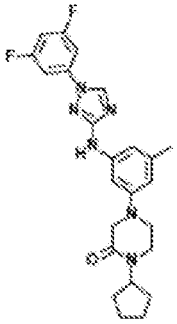	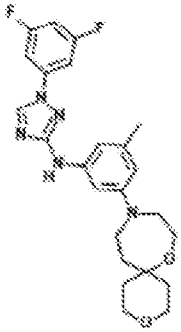	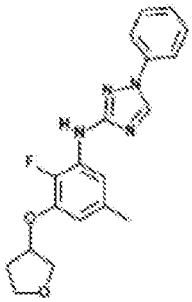
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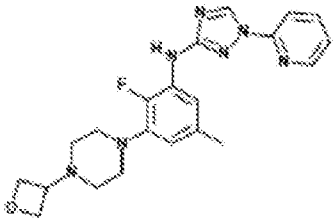	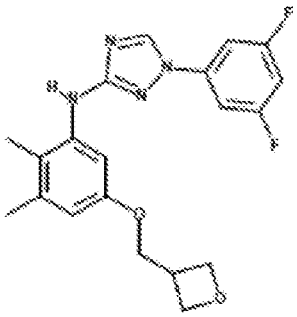	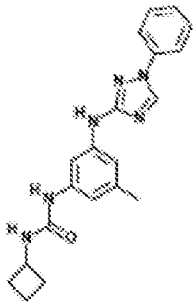
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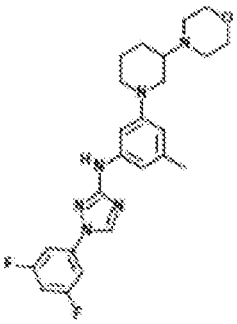	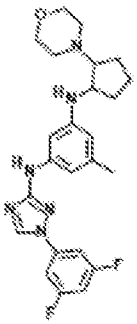	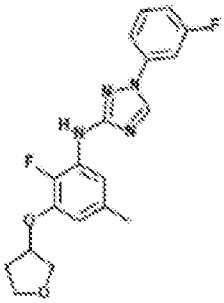
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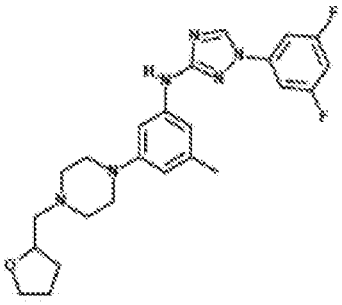	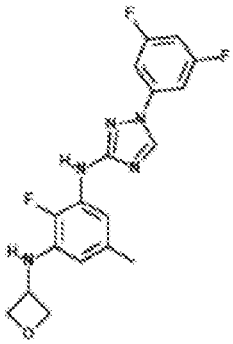	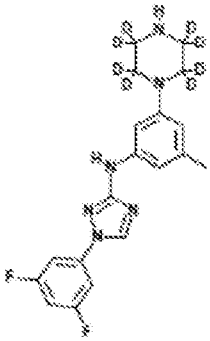

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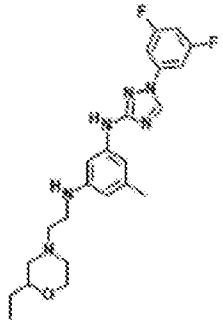	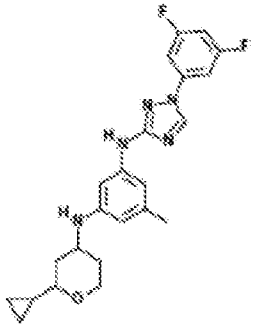	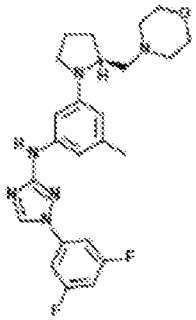
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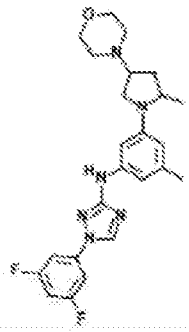	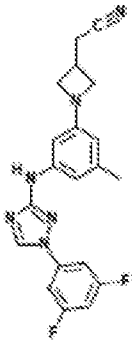	
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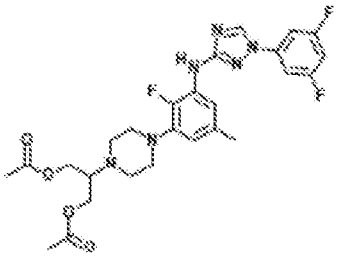	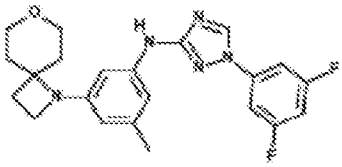	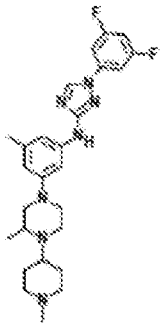
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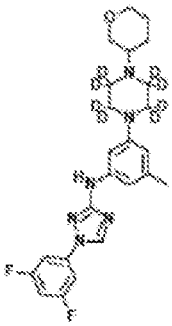	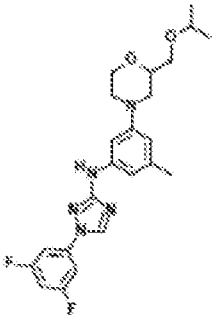	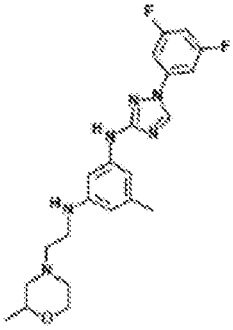

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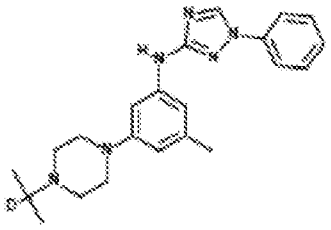	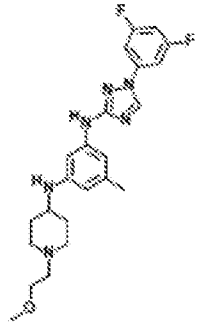	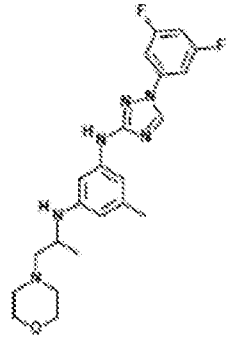
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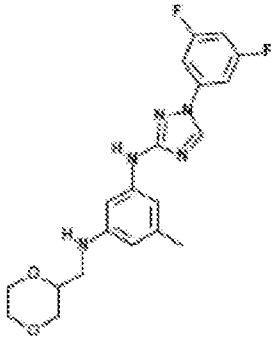	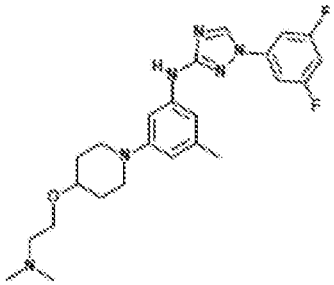	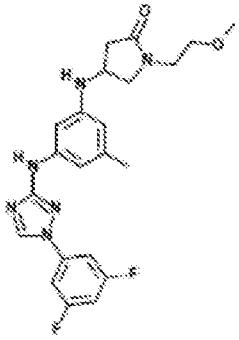
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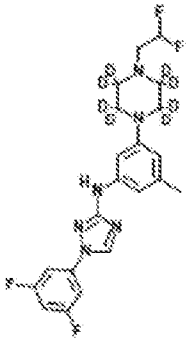	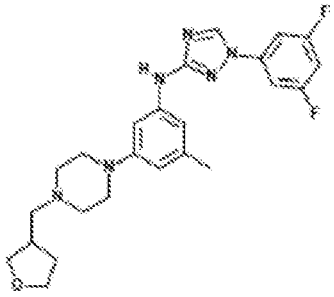	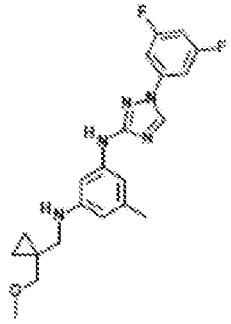
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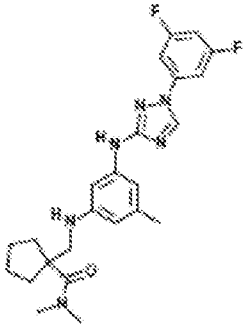	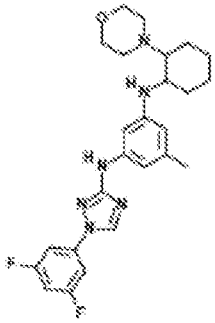	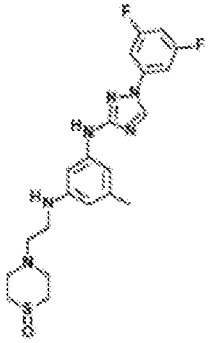

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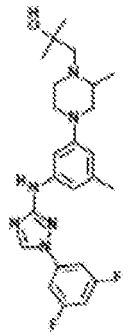	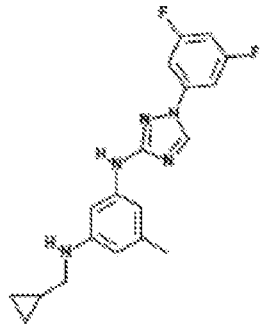	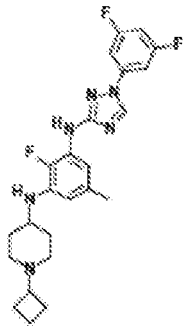
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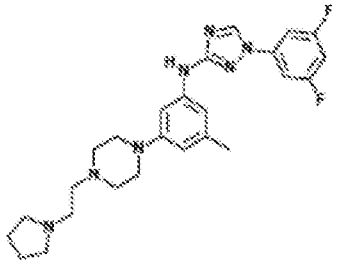	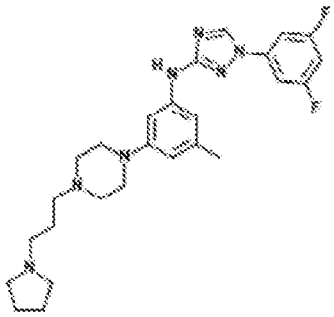	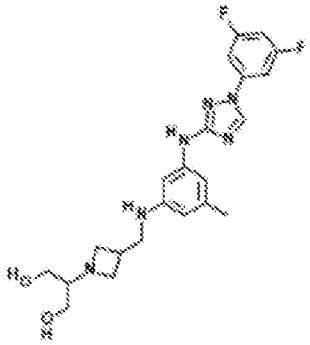
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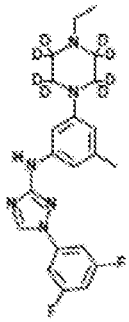	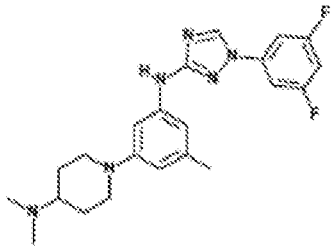	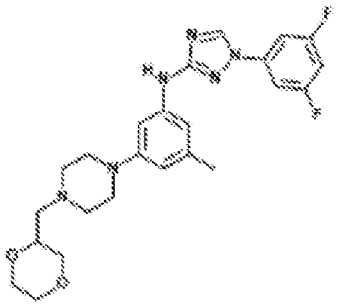
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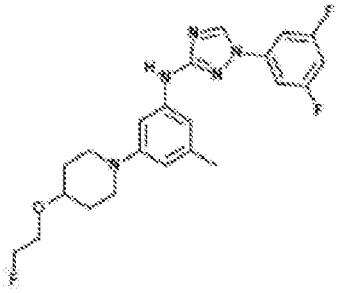	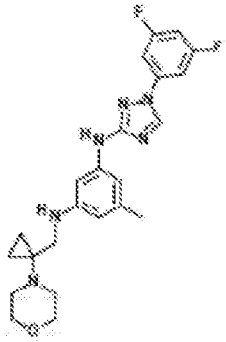	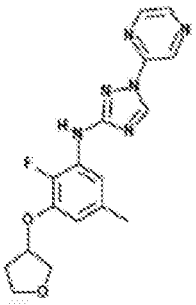

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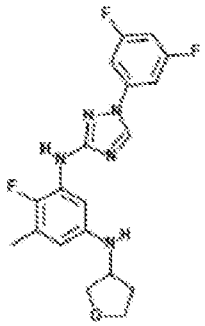	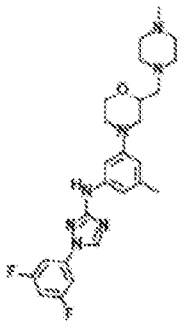	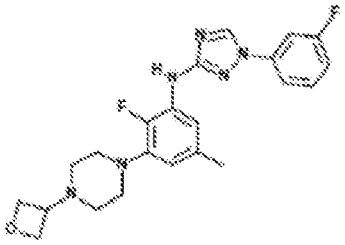
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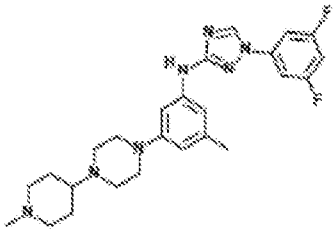	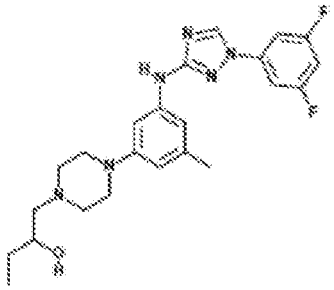	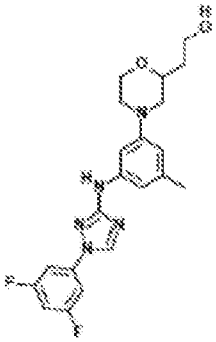
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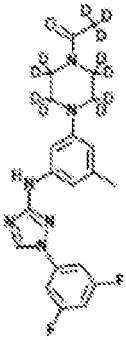	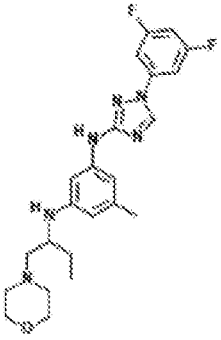	
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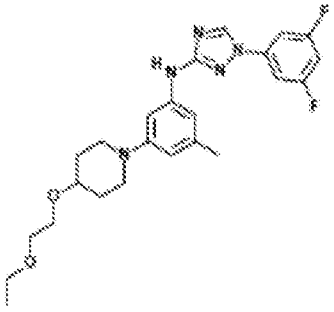	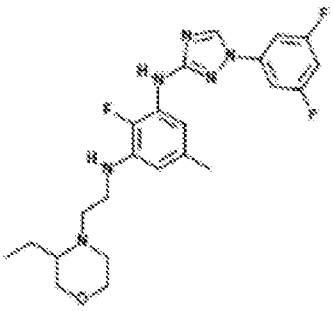	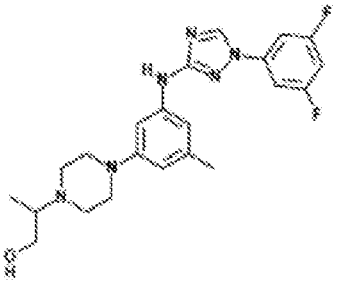

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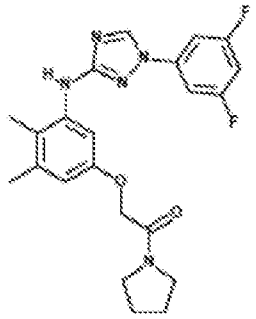	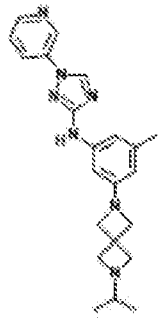	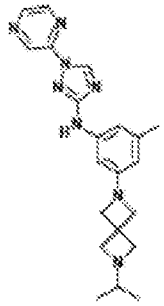
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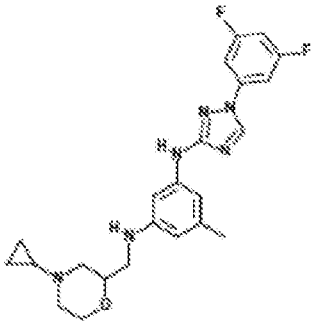	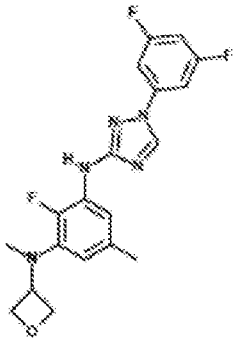	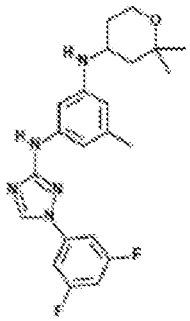
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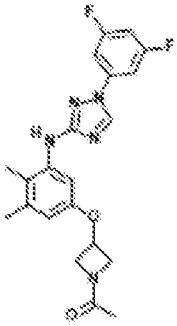	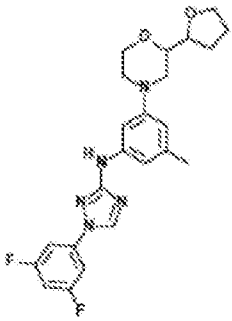	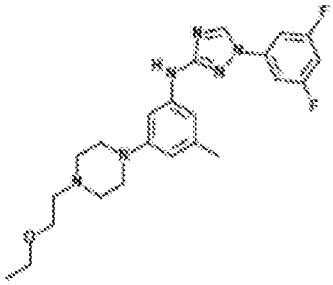
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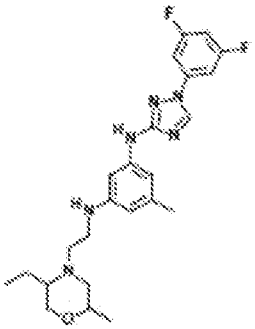	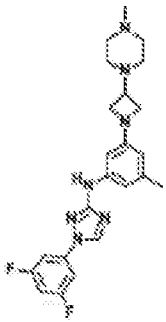	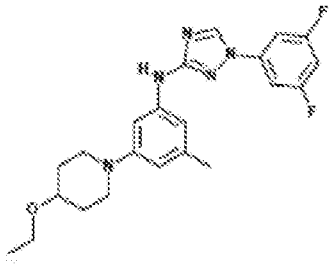

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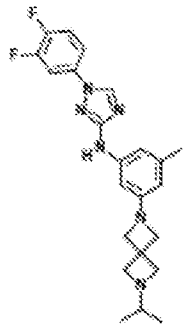	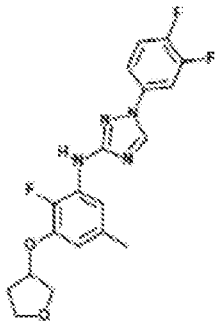	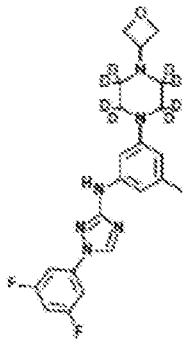
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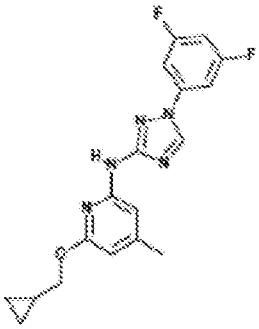	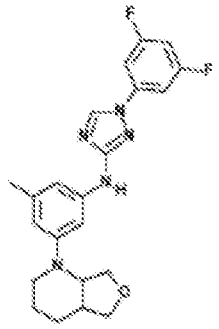	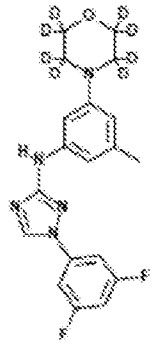
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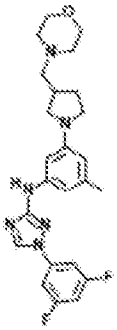	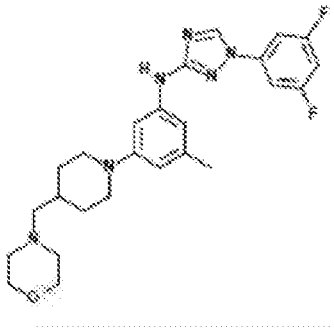	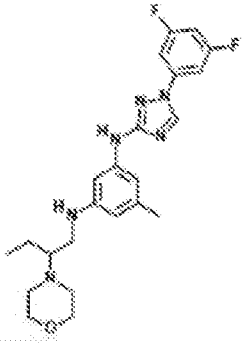
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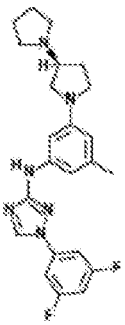	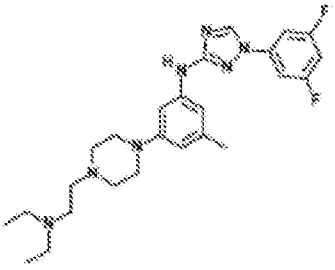	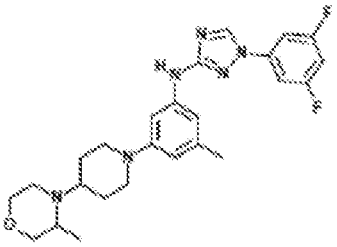

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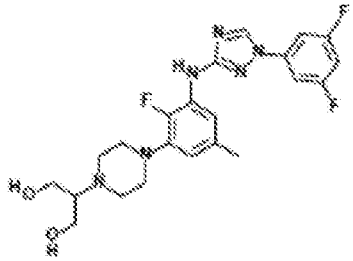	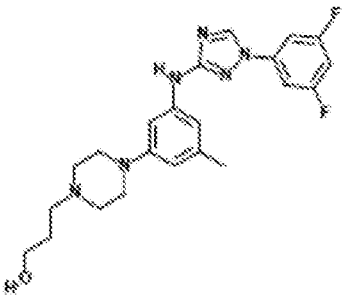	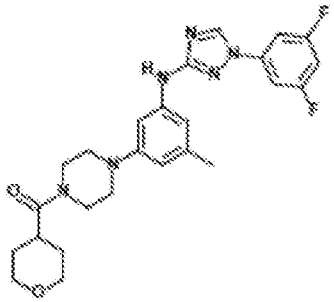
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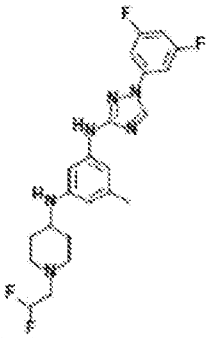	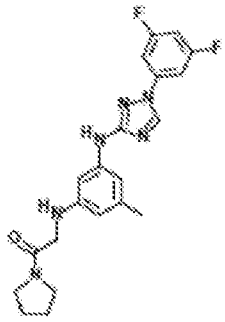	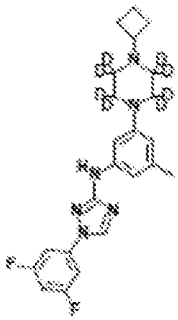
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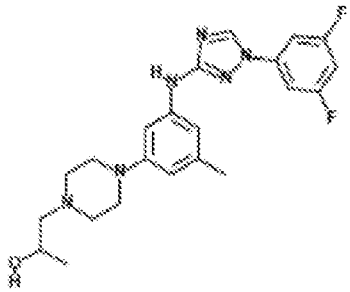	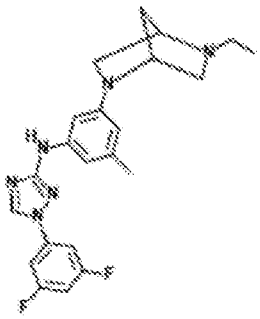	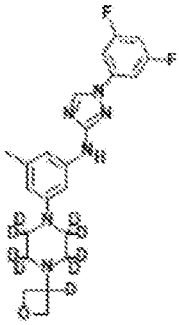
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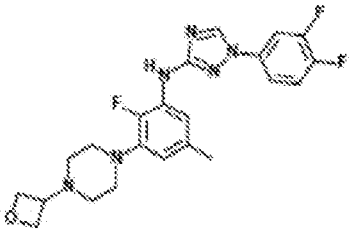	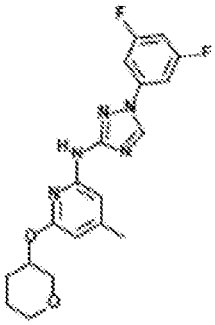	

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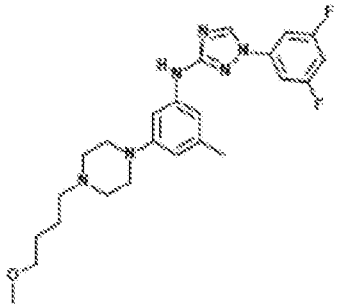	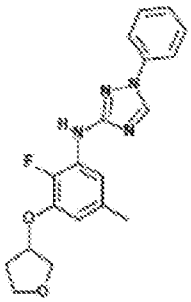	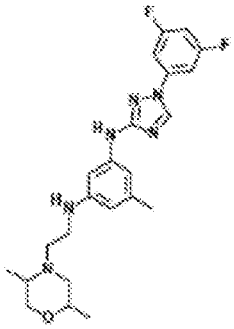
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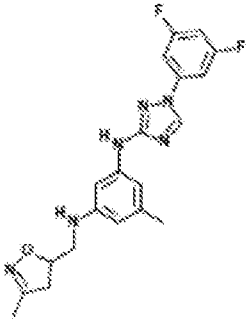	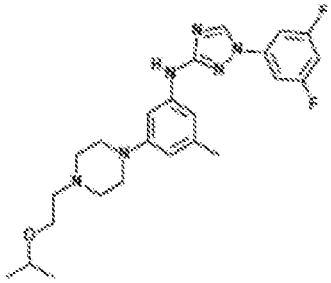	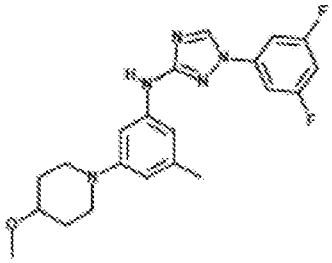
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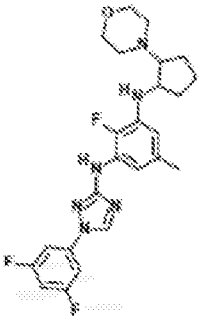	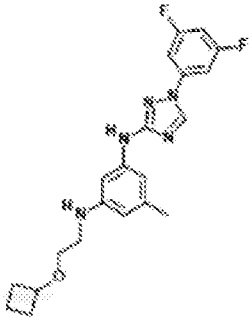	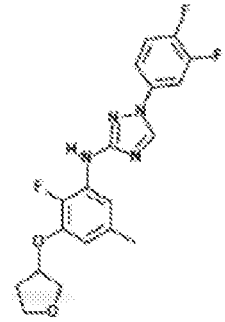
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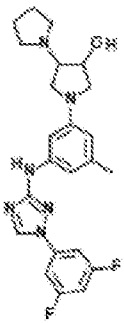	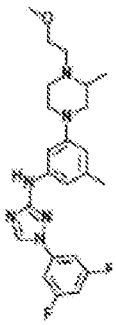	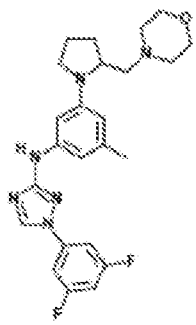

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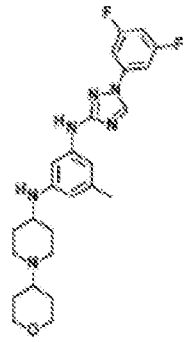	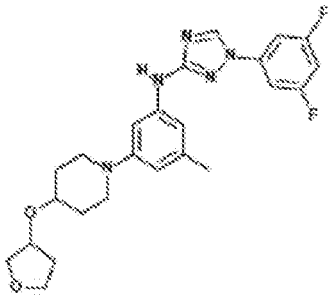	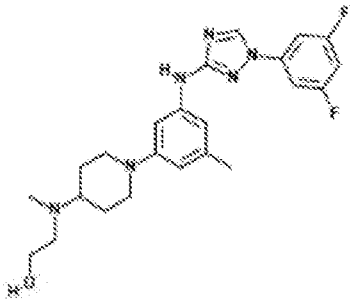
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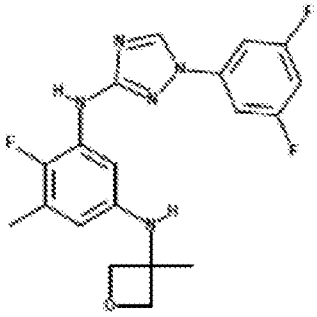	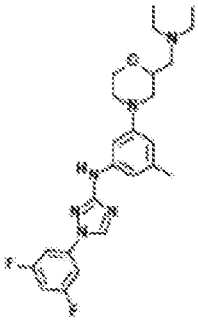	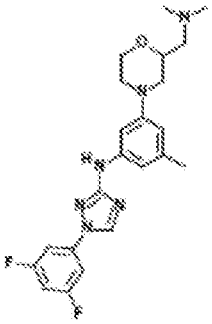
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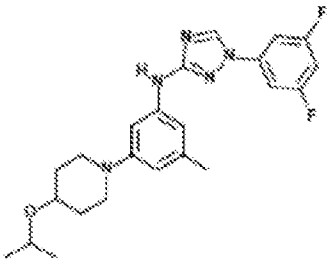	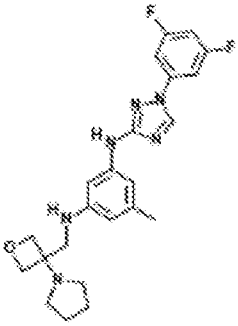	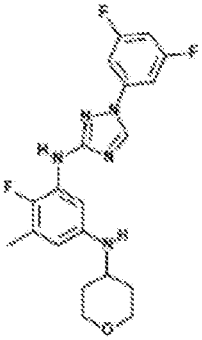
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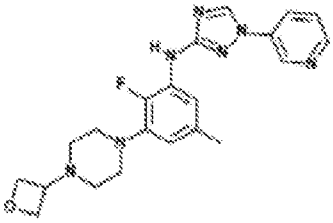	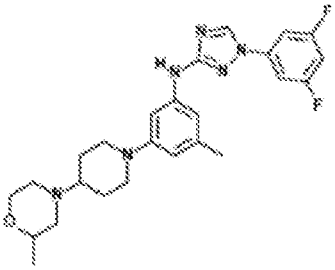	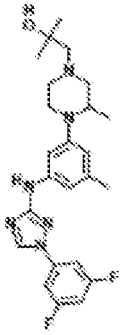

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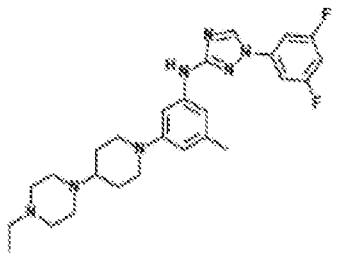	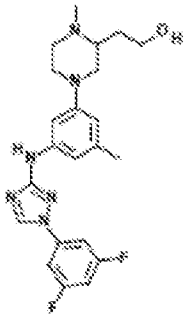	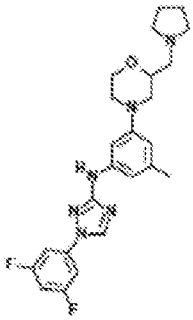
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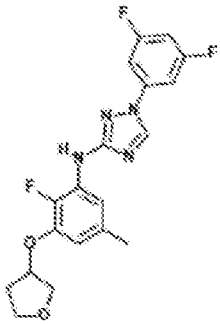	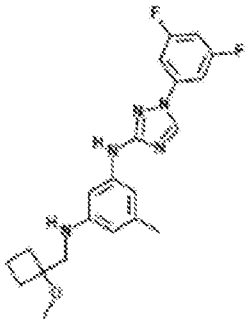	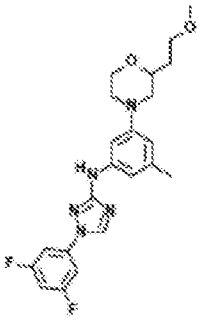
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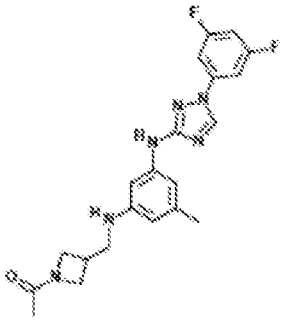	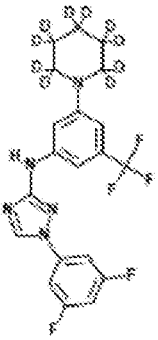	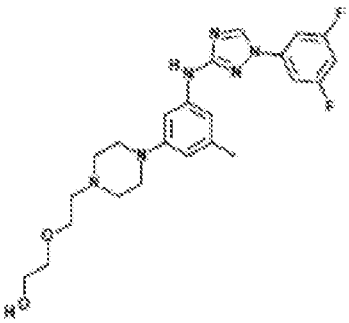
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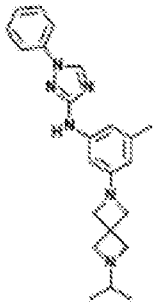	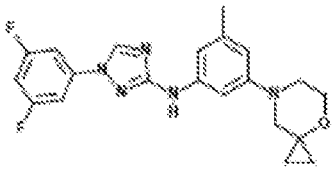	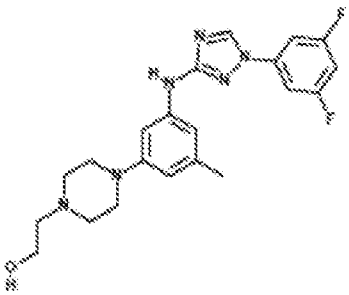

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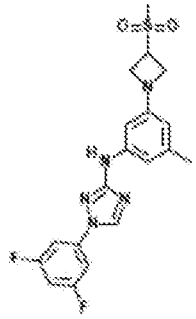	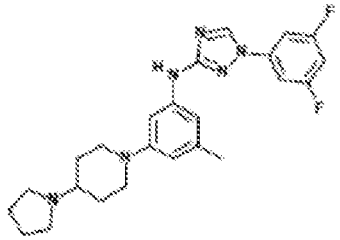	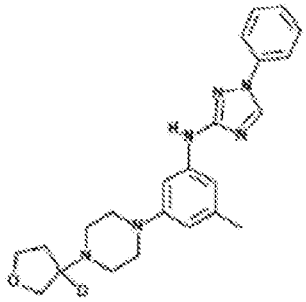
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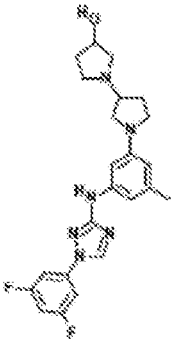	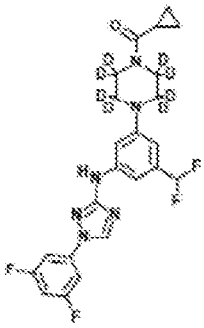	
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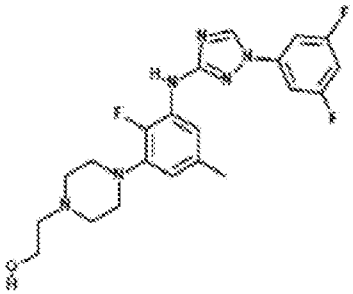	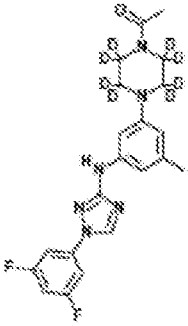	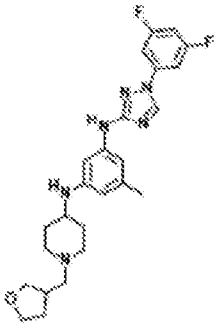
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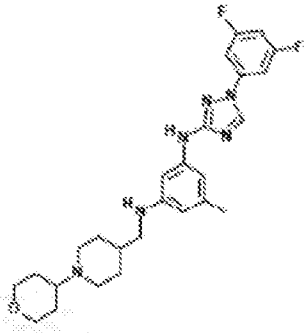	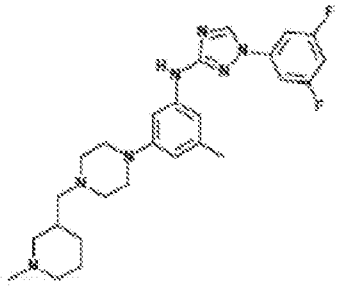	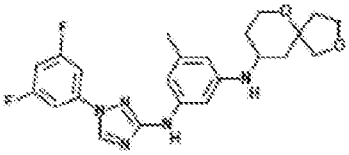

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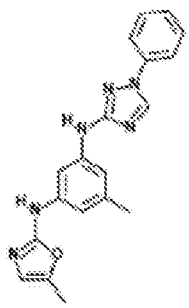	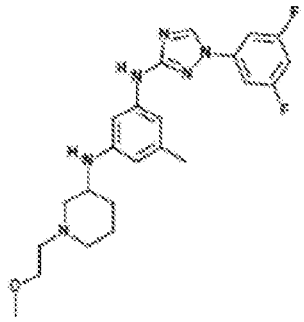	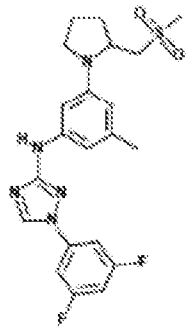
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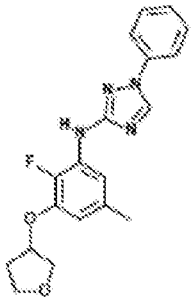	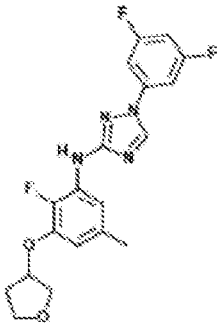	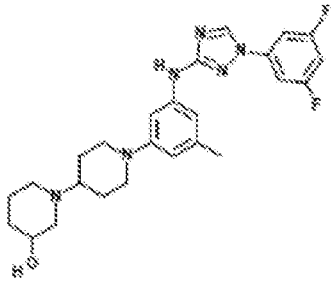
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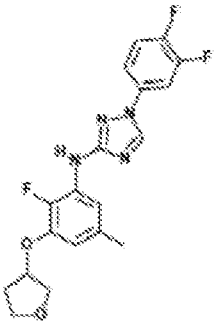	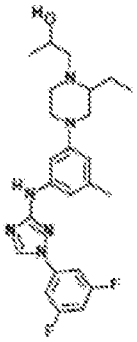	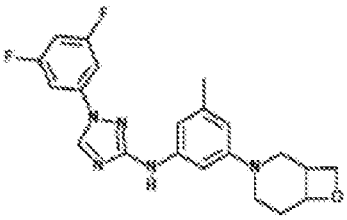
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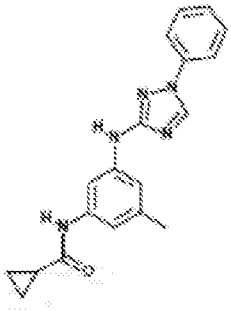	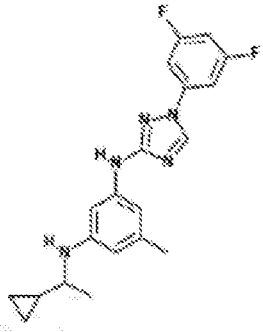	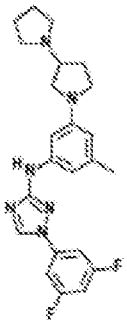

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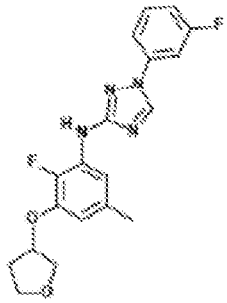	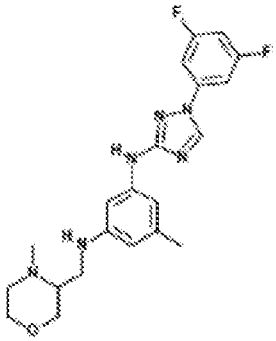	
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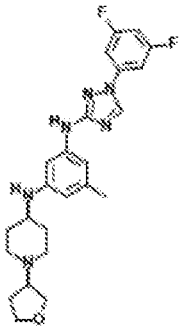	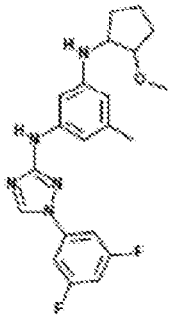	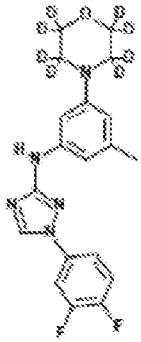
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	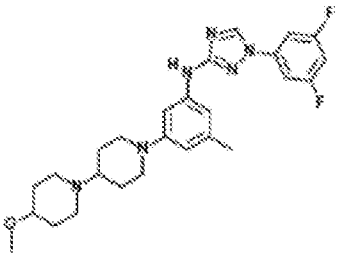	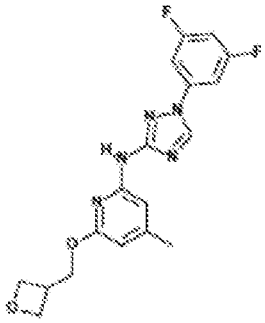
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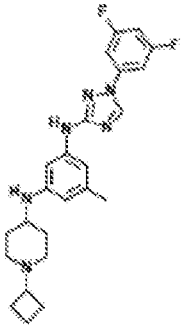	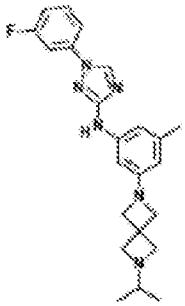	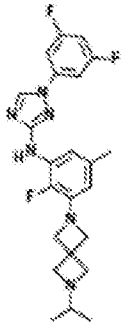

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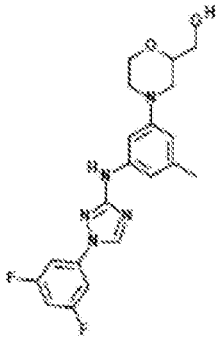	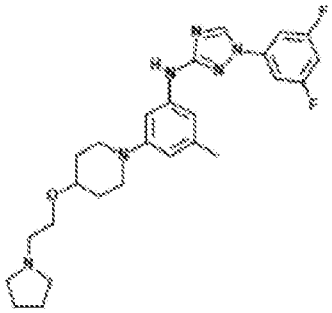	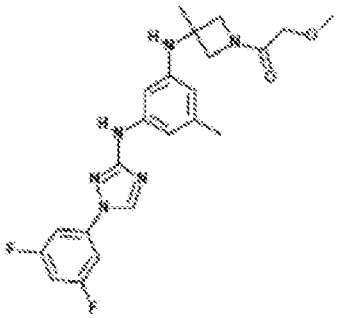
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	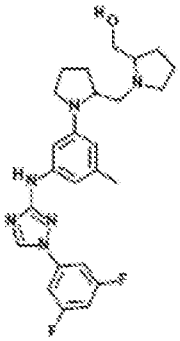	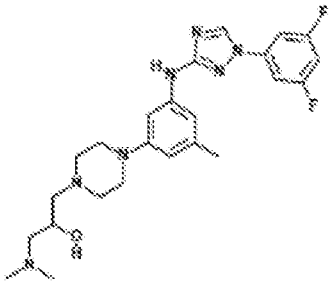
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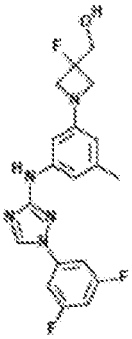	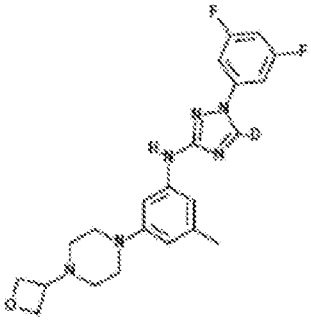	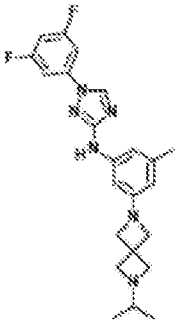
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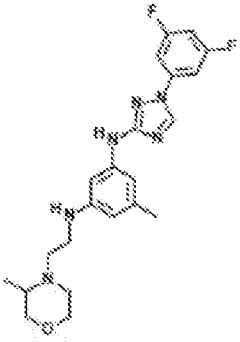	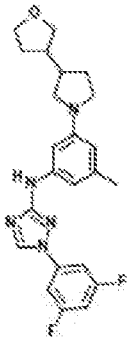	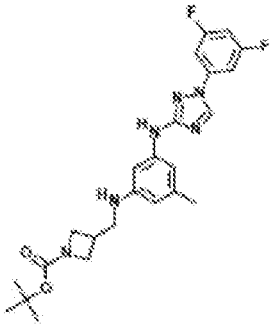

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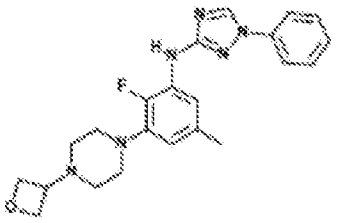		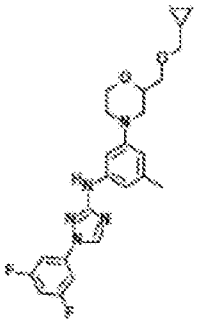

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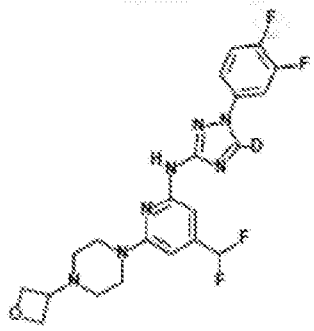	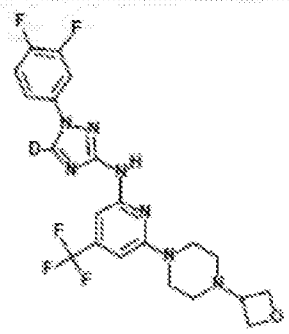	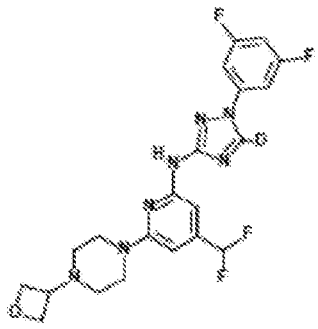
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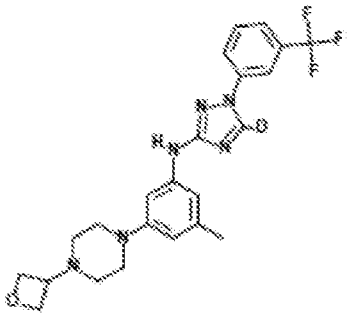	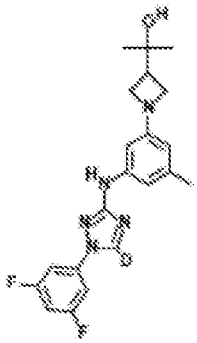	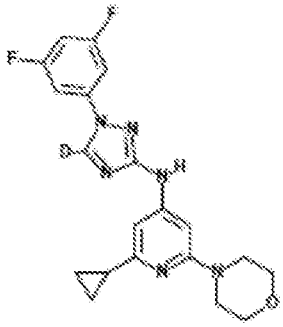
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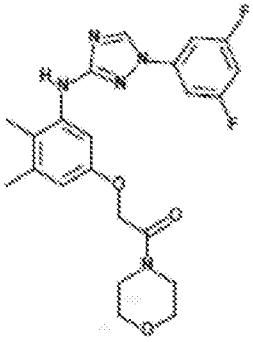	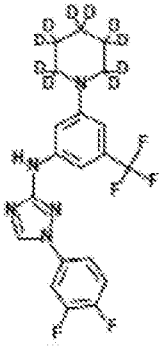	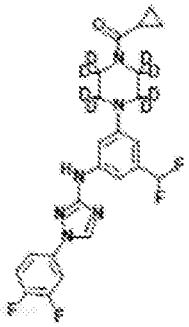
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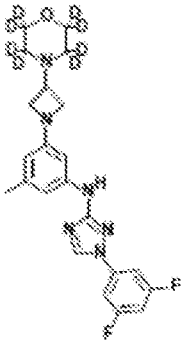	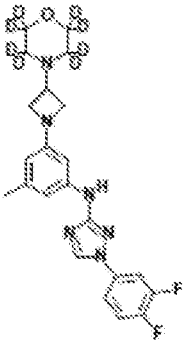	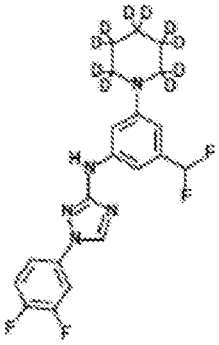

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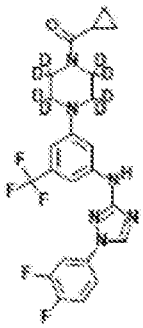	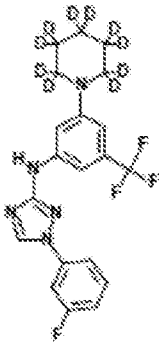	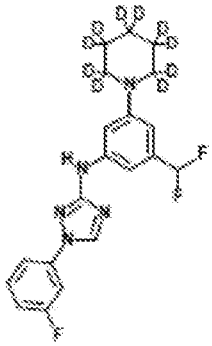
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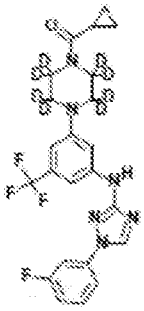	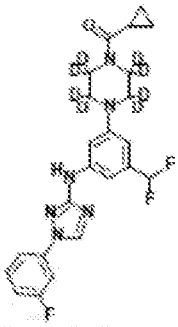	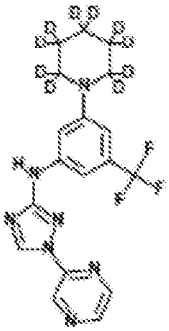
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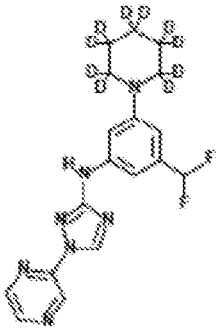	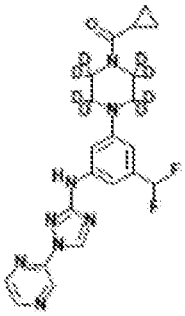	
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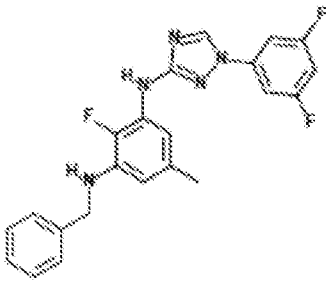		

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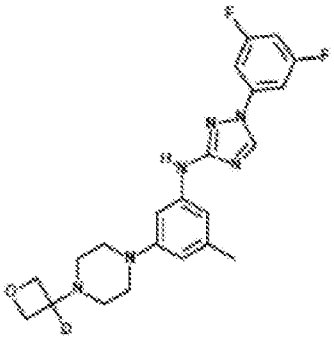	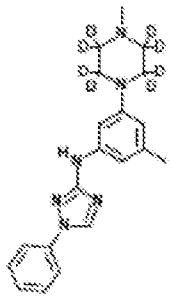

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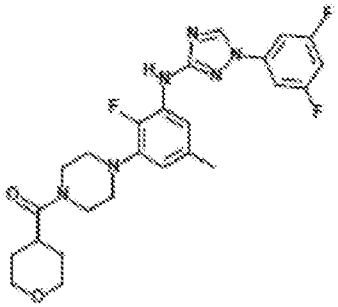		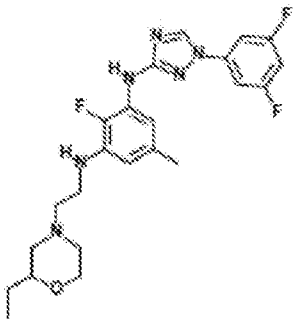

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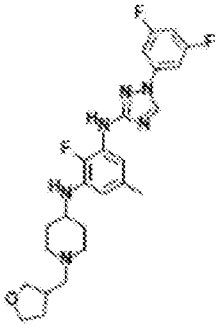	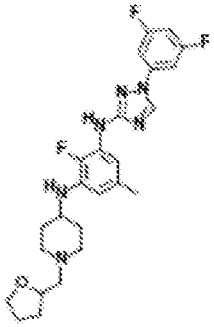	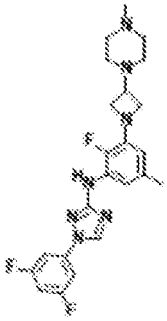

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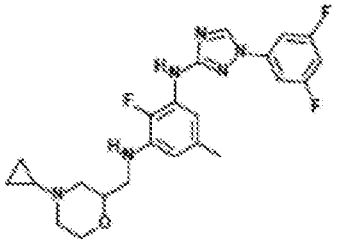	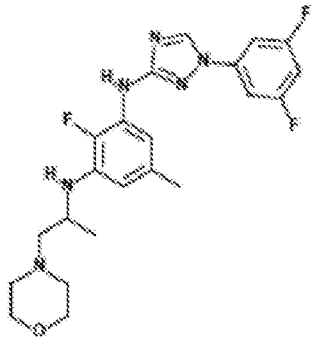	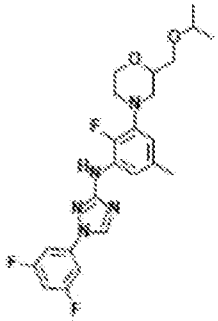
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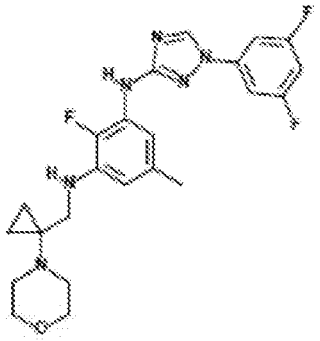		

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[00324] Table 2. Compound Names (IUPAC Nomenclature)

Cmpd Number	IUPAC Name
1	N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-2-methyl-6-[4-(oxetan-3-yl)piperazin-1-yl]pyridin-4-amine
2	1-(3,5-difluorophenyl)-N-[3-(3-methoxyazetidin-1-yl)-5-methyl-phenyl]-1,2,4-triazol-3-amine
3	2-cyclopropyl-N-[1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]-6-(3,6-dihydro-2H-pyran-4-yl)pyridin-4-amine
4	1-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-(trifluoromethyl)phenyl]piperazin-2-yl]cyclobutanol
5	N-[3-chloro-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
6	3-methyl-1-[3-methyl-5-[(1-phenyl-1,2,4-triazol-3-yl)amino]phenyl]pyrrolidin-3-ol
7	N-[3-methyl-5-(6-oxa-2-azaspiro[3.3]heptan-2-yl)phenyl]-1-phenyl-1,2,4-triazol-3-amine
8	N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N1-tetrahydrofuran-3-yl-benzene-1,3-diamine
9	2-cyclopropyl-6-(1,4-dioxa-8-azaspiro[4.5]decan-8-yl)-N-(1-phenyl-1,2,4-triazol-3-yl)pyridin-4-amine

Cmpd Number	IUPAC Name
10	N-[3,5-di(tetrahydropyran-4-yl)phenyl]-1-phenyl-1,2,4-triazol-3-amine
11	N-[3-methyl-5-(2-oxa-7-azaspiro[3.5]nonan-7-yl)phenyl]-1-phenyl-1,2,4-triazol-3-amine
12	methyl 4-[3-methyl-5-[(1-phenyl-1,2,4-triazol-3-yl)amino]phenyl]piperidine-1-carboxylate
13	N-[3-(4-methylpiperazin-1-yl)-5-(trifluoromethyl)phenyl]-1-(4-pyridyl)-1,2,4-triazol-3-amine
14	N-[3-ethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(4-fluorophenyl)-1,2,4-triazol-3-amine
15	N-[3-ethyl-5-(3-morpholinoazetidin-1-yl)phenyl]-1-(3-fluorophenyl)-1,2,4-triazol-3-amine
16	N-[3-ethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(2-fluoro-4-pyridyl)-1,2,4-triazol-3-amine
17	N-[3-tert-butyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
18	N-[3-[3-fluoro-1-(oxetan-3-yl)pyrrolidin-3-yl]-5-methyl-phenyl]-1-phenyl-1,2,4-triazol-3-amine
19	1-(3,5-difluorophenyl)-N-[3-(6,8-dihydro-5H-imidazo[1,2-a]pyrazin-7-yl)-5-methyl-phenyl]-1,2,4-triazol-3-amine
20	N-[3-(4-cyclopropylpiperazin-1-yl)-5-methyl-phenyl]-1-pyrazin-2-yl-1,2,4-triazol-3-amine
21	N-[3-(2,5-dimethylpiperazin-1-yl)-5-methyl-phenyl]-1-phenyl-1,2,4-triazol-3-amine
22	2-cyclopropyl-N-[1-(3,4-dimethoxyphenyl)-1,2,4-triazol-3-yl]-6-(4-methyl-1-piperidyl)pyridin-4-amine
23	1-(3,5-difluorophenyl)-N-[3-(3-fluoro-1-methyl-pyrrolidin-3-yl)-5-methyl-phenyl]-1,2,4-triazol-3-amine
24	1-(5-fluoro-3-pyridyl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
25	1-(3,5-difluorophenyl)-N-[3-methyl-5-[1-(oxetan-3-yl)pyrrolidin-3-yl]phenyl]-1,2,4-triazol-3-amine
26	N-[3-(difluoromethyl)-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-phenyl-1,2,4-triazol-3-amine
27	1-(3-fluorophenyl)-N-(3-methyl-5-morpholino-phenyl)-1,2,4-triazol-3-amine
28	1-(2,4-difluorophenyl)-N-[3-fluoro-5-(4-methyl-1,4-diazepan-1-yl)phenyl]-1,2,4-triazol-3-amine
29	N-[3-[(8aR)-4-isobutyl-3,4,6,7,8,8a-hexahydro-1H-pyrrolo[1,2-a]pyrazin-2-yl]-5-methyl-phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
30	1-(2-methoxypyrimidin-4-yl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine

Cmpd Number	IUPAC Name
31	N-[3-ethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(p-tolyl)-1,2,4-triazol-3-amine
32	1-(3-chloro-5-fluoro-phenyl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
33	1-(3,5-difluorophenyl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
34	1-(3,5-difluorophenyl)-N-[3-methyl-5-[(1S,4S)-2-(oxetan-3-yl)-2,5-diazabicyclo[2.2.1]heptan-5-yl]phenyl]-1,2,4-triazol-3-amine
35	2-cyclopropyl-N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-(3,6-dihydro-2H-pyran-4-yl)pyridin-4-amine
36	1-(3-fluorophenyl)-N-[3-methyl-5-[1-(oxetan-3-yl)azetidin-3-yl]phenyl]-1,2,4-triazol-3-amine
37	1-(3,5-difluorophenyl)-N-[3-methyl-5-(4-methylpiperazin-1-yl)phenyl]-1,2,4-triazol-3-amine
38	N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N1-[1-(oxetan-3-yl)-4-piperidyl]benzene-1,3-diamine
39	N-(3-methyl-5-pyrrolidin-3-yl-phenyl)-1-phenyl-1,2,4-triazol-3-amine
40	1-(2-fluoro-4-pyridyl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
41	1-[3-[2-(ethoxymethyl)pyrrolidin-1-yl]-5-fluoro-phenyl]-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
42	1-(2-chloro-4-pyridyl)-N-(3-methyl-5-pyrrolidin-1-yl-phenyl)-1,2,4-triazol-3-amine
43	1-(3,4-difluorophenyl)-N-(3-methyl-5-morpholino-phenyl)-1,2,4-triazol-3-amine
44	2-cyclopropyl-6-(4-methyl-1-piperidyl)-N-(1-phenyl-1,2,4-triazol-3-yl)pyridin-4-amine
45	N-(3-methyl-5-morpholino-phenyl)-1-phenyl-1,2,4-triazol-3-amine
46	1-(3,4-difluorophenyl)-N-[3-methyl-5-[(3R)-1-(oxetan-3-yl)pyrrolidin-3-yl]oxy-phenyl]-1,2,4-triazol-3-amine
47	N-[3-cyclopropyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-phenyl-1,2,4-triazol-3-amine
48	N-[3-ethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-pyrazin-2-yl-1,2,4-triazol-3-amine
49	N-(3-fluoro-5-morpholino-phenyl)-1-(2-fluorophenyl)-1,2,4-triazol-3-amine
50	N-[3-ethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(5-fluoropyrimidin-4-yl)-1,2,4-triazol-3-amine
51	N-[3-[1-[3-(benzenesulfonylmethyl)oxetan-3-yl]-4-piperidyl]-

Cmpd Number	IUPAC Name
	5-methyl-phenyl]-1-phenyl-1,2,4-triazol-3-amine
52	1-(3,4-difluorophenyl)-N-[3-methyl-5-[(3S)-1-(oxetan-3-yl)pyrrolidin-3-yl]oxy-phenyl]-1,2,4-triazol-3-amine
53	[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-1-methyl-piperazin-2-yl]methanol
54	N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(4-methyl-2-pyridyl)-1,2,4-triazol-3-amine
55	1-(3-chlorophenyl)-N-[3-cyclopropyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
56	1-(3-fluoro-5-methoxy-phenyl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
57	(2R)-3-methyl-2-[4-[6-methyl-4-[(1-phenyl-1,2,4-triazol-3-yl)amino]-2-pyridyl]piperazin-2-yl]butan-2-ol
58	N-[3-ethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(6-methylpyrimidin-4-yl)-1,2,4-triazol-3-amine
59	[4-[3-methyl-5-[(1-phenyl-1,2,4-triazol-3-yl)amino]phenyl]piperazin-2-yl]methanol
60	N-[3-methyl-5-(1-methyl-3-piperidyl)phenyl]-1-phenyl-1,2,4-triazol-3-amine
61	1-(3,5-difluorophenyl)-N-[3-methyl-5-[1-(oxetan-3-yl)-3,6-dihydro-2H-pyridin-4-yl]phenyl]-1,2,4-triazol-3-amine
62	N-[3-(difluoromethyl)-5-piperazin-1-yl-phenyl]-1-phenyl-1,2,4-triazol-3-amine
63	1-(3,5-difluorophenyl)-N-[3-(4-methylpiperazin-1-yl)-5-(trifluoromethyl)phenyl]-1,2,4-triazol-3-amine
64	N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(2-methylsulfanylpyrimidin-4-yl)-1,2,4-triazol-3-amine
65	N-[3-methyl-5-[1-(oxetan-3-yl)azetidin-3-yl]phenyl]-1-phenyl-1,2,4-triazol-3-amine
66	2,5-difluoro-4-[3-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]anilino]-1,2,4-triazol-1-yl]benzonitrile
67	1-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-4-(oxetan-3-yl)piperazin-2-one
68	N-[3-methyl-5-(4-methylpiperazin-1-yl)phenyl]-1-pyrazin-2-yl-1,2,4-triazol-3-amine
69	1-(3,4-difluorophenyl)-N-[3-ethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
70	N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(p-tolyl)-1,2,4-triazol-3-amine
71	N-[3-methyl-5-[1-(oxetan-3-yl)-4-piperidyl]phenyl]-1-phenyl-1,2,4-triazol-3-amine
72	ethyl 2-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperazin-1-yl]acetate

Cmpd Number	IUPAC Name
73	N-[3-cyclopropyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(3-fluoro-5-methyl-phenyl)-1,2,4-triazol-3-amine
74	N-[3-methyl-5-[1-(oxetan-3-yl)-3-piperidyl]phenyl]-1-pyrazin-2-yl-1,2,4-triazol-3-amine
75	N-[3-[4-(3,3-difluorocyclobutyl)piperazin-1-yl]-5-methyl-phenyl]-1-pyrazin-2-yl-1,2,4-triazol-3-amine
76	1-methyl-4-[3-methyl-5-[(1-phenyl-1,2,4-triazol-3-yl)amino]phenyl]piperazin-2-one
77	1-(3,5-difluorophenyl)-N-(3-methyl-5-piperazin-1-yl-phenyl)-1,2,4-triazol-3-amine
78	N-[3-[(1S,4S)-2-cyclopropyl-2,5-diazabicyclo[2.2.1]heptan-5-yl]-5-methyl-phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
79	2-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperazin-1-yl]propane-1,3-diol
80	N-(3-fluoro-5-morpholino-phenyl)-1-phenyl-1,2,4-triazol-3-amine
81	4-[3-[3-methyl-5-(4-methylpiperazin-1-yl)anilino]-1,2,4-triazol-1-yl]benzonitrile
82	N-[3-(difluoromethyl)-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
83	1-(2-fluorophenyl)-N-(3-fluoro-5-pyrrolidin-1-yl-phenyl)-1,2,4-triazol-3-amine
84	N-(3-methyl-5-morpholino-phenyl)-1-(4-pyridyl)-1,2,4-triazol-3-amine
85	N-[3-(difluoromethyl)-5-morpholino-phenyl]-1-(2-fluoro-4-pyridyl)-1,2,4-triazol-3-amine
86	1-(3-methoxyphenyl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
87	N-[3-(4-cyclopentylpiperazin-1-yl)-5-methyl-phenyl]-1-phenyl-1,2,4-triazol-3-amine
88	2-cyclopropyl-N-[1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]-6-morpholino-pyridin-4-amine
89	N-[3-(1,1-dioxo-1,4-thiazinan-4-yl)-5-methyl-phenyl]-1-phenyl-1,2,4-triazol-3-amine
90	1-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-1-piperidyl]ethanone
91	N-[3-ethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(2-methylpyrimidin-4-yl)-1,2,4-triazol-3-amine
92	1-(3,5-difluorophenyl)-N-[3-methyl-5-[(3S)-1-(oxetan-3-yl)pyrrolidin-3-yl]phenyl]-1,2,4-triazol-3-amine
93	1-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperazin-2-one

Cmpd Number	IUPAC Name
94	1-(3-methoxyphenyl)-N-[3-methyl-5-(4-methylpiperazin-1-yl)phenyl]-1,2,4-triazol-3-amine
95	1-(3,5-difluorophenyl)-N-[3-methyl-5-[4-(thietan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
96	N-[3-(4-methylpiperazin-1-yl)-5-(trifluoromethyl)phenyl]-1-pyrimidin-4-yl-1,2,4-triazol-3-amine
97	N-[3-tert-butyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(3-fluorophenyl)-1,2,4-triazol-3-amine
98	N-[3-fluoro-5-(4-methyl-1,4-diazepan-1-yl)phenyl]-1-(3-fluorophenyl)-1,2,4-triazol-3-amine
99	1-(3,4-difluorophenyl)-N-(3-fluoro-5-pyrrolidin-1-yl-phenyl)-1,2,4-triazol-3-amine
100	2-chloro-N-[1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]-6-morpholino-pyridin-4-amine
101	(3S)-3-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-1-(oxetan-3-yl)pyrrolidin-3-ol
102	1-(4-fluorophenyl)-N-[3-methoxy-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
103	1-[3-(2-ethylpyrrolidin-1-yl)-5-fluoro-phenyl]-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
104	N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(2-pyridyl)-1,2,4-triazol-3-amine
105	2-cyclopropyl-N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-[(3R)-3-fluoropyrrolidin-1-yl]pyridin-4-amine
106	2-chloro-N-[1-(3-methoxyphenyl)-1,2,4-triazol-3-yl]-6-(1-piperidyl)pyridin-4-amine
107	1-(3,5-difluorophenyl)-N-[3-ethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
108	1-(3,5-difluorophenyl)-N-[3-methyl-5-(4-piperidyl)phenyl]-1,2,4-triazol-3-amine
109	N-[3-[3-(dimethylamino)pyrrolidin-1-yl]-5-fluoro-phenyl]-1-(3-fluorophenyl)-1,2,4-triazol-3-amine
110	N-(3-methyl-5-pyrrolidin-1-yl-phenyl)-1-phenyl-1,2,4-triazol-3-amine
111	N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(6-methylpyrimidin-4-yl)-1,2,4-triazol-3-amine
112	1-(3,5-difluorophenyl)-N-[3-fluoro-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
113	N3-[1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N1-[1-(oxetan-3-yl)pyrrolidin-3-yl]benzene-1,3-diamine
114	1-[1-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-3-piperidyl]pyrrolidin-2-one
115	1-[3-[3-methyl-5-[(1-phenyl-1,2,4-triazol-3-yl)amino]anilino]-

Cmpd Number	IUPAC Name
	1-piperidyl]ethanone
116	1-(3,5-difluorophenyl)-N-[3-[3-(methoxymethyl)azetidin-1-yl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
117	1-[3-[3-methyl-5-[(1-phenyl-1,2,4-triazol-3-yl)amino]phenyl]-1-piperidyl]ethanone
118	N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-phenyl-1,2,4-triazol-3-amine
119	1-(3,5-difluorophenyl)-N-[3-(3,4-dimethylpiperazin-1-yl)-5-methyl-phenyl]-1,2,4-triazol-3-amine
120	N-[3-(1-cyclopropyl-4-piperidyl)-5-methyl-phenyl]-1-phenyl-1,2,4-triazol-3-amine
121	N-[3-cyclopropyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(2,6-difluoro-4-pyridyl)-1,2,4-triazol-3-amine
122	1-(2-fluoro-4-pyridyl)-N-[3-[4-(methoxymethyl)-1-piperidyl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
123	2-[(2S)-4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-(trifluoromethyl)phenyl]piperazin-2-yl]propan-2-ol
124	N-(3-ethyl-5-piperazin-1-yl-phenyl)-1-pyrazin-2-yl-1,2,4-triazol-3-amine
125	2-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperazin-1-yl]acetic acid
127	N-[3-methyl-5-[4-(2-methyltetrahydrofuran-3-yl)piperazin-1-yl]phenyl]-1-phenyl-1,2,4-triazol-3-amine
128	N-[3-[(8aR)-3,4,6,7,8,8a-hexahydro-1H-pyrrolo[1,2-a]pyrazin-2-yl]-5-methyl-phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
129	N-[3-cyclopropyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(2,6-dimethylpyrimidin-4-yl)-1,2,4-triazol-3-amine
130	1-(3,5-difluorophenyl)-N-[3-[4-(oxetan-3-yl)piperazin-1-yl]-5-(trifluoromethyl)phenyl]-1,2,4-triazol-3-amine
131	1-(3,5-difluorophenyl)-N-[3-methyl-5-(oxetan-3-yl)phenyl]-1,2,4-triazol-3-amine
132	N-[3-ethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(2-methylsulfanylpyrimidin-4-yl)-1,2,4-triazol-3-amine
133	N-[3-(3,4-dimethylpiperazin-1-yl)-5-methyl-phenyl]-1-phenyl-1,2,4-triazol-3-amine
134	1-(5-chloro-3-pyridyl)-N-[3-cyclopropyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
135	2-chloro-6-morpholino-N-(1-phenyl-1,2,4-triazol-3-yl)pyridin-4-amine
136	N-[3-methyl-5-[4-(3-methyloxetan-3-yl)piperazin-1-

Cmpd Number	IUPAC Name
	yl]phenyl]-1-pyrazin-2-yl-1,2,4-triazol-3-amine
137	1-(3,5-difluorophenyl)-N-[3-methyl-5-(4-tetrahydrofuran-3-ylpiperazin-1-yl)phenyl]-1,2,4-triazol-3-amine
138	N-[3-methyl-5-(4-methylpiperazin-1-yl)phenyl]-1-(2-pyridyl)-1,2,4-triazol-3-amine
139	1-(3,5-difluorophenyl)-N-[3-methyl-5-[4-(oxetan-3-yl)-4-oxido-piperazin-4-ium-1-yl]phenyl]-1,2,4-triazol-3-amine
140	2-fluoro-4-[3-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]anilino]-1,2,4-triazol-1-yl]benzonitrile
141	1-(3-chlorophenyl)-N-[3-methyl-5-(4-methylpiperazin-1-yl)phenyl]-1,2,4-triazol-3-amine
142	1-[3-methyl-5-[(1-phenyl-1,2,4-triazol-3-yl)amino]phenyl]piperidine-4-carbonitrile
143	methyl 3-[3-methyl-5-[(1-phenyl-1,2,4-triazol-3-yl)amino]phenyl]piperidine-1-carboxylate
144	1-[4-[3-(difluoromethyl)-5-[(1-phenyl-1,2,4-triazol-3-yl)amino]phenyl]piperazin-1-yl]ethanone
145	2-chloro-N-[1-(3-methoxyphenyl)-1,2,4-triazol-3-yl]-6-morpholino-pyridin-4-amine
146	1-[3-methyl-5-[(1-phenyl-1,2,4-triazol-3-yl)amino]phenyl]piperidine-3-carbonitrile
147	1-(3-fluorophenyl)-N-[3-methyl-5-(oxetan-3-yl)phenyl]-1,2,4-triazol-3-amine
148	N-[3-[4-(2-methoxyethyl)-1-piperidyl]-5-methyl-phenyl]-1-phenyl-1,2,4-triazol-3-amine
149	N-[3-(4-cyclopropylpiperazin-1-yl)-5-methyl-phenyl]-1-phenyl-1,2,4-triazol-3-amine
150	2-cyclopropyl-N-[1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]-6-tetrahydropyran-4-yl-pyridin-4-amine
151	1-(3,5-difluorophenyl)-N-[3-methyl-5-(1-methyl-4-piperidyl)phenyl]-1,2,4-triazol-3-amine
152	N-[3-[(8aR)-4-isobutyl-3,4,6,7,8,8a-hexahydro-1H-pyrrolo[1,2-a]pyrazin-2-yl]-5-methyl-phenyl]-1-phenyl-1,2,4-triazol-3-amine
153	N-[3-(4-cyclopropylpiperazin-1-yl)-5-(difluoromethyl)phenyl]-1-(2-fluoro-4-pyridyl)-1,2,4-triazol-3-amine
154	1-(6-fluoro-2-pyridyl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
155	4-[3-(3-methyl-5-morpholino-anilino)-1,2,4-triazol-1-yl]pyridin-2-ol
156	2-methyl-6-(4-methylpiperazin-1-yl)-N-(1-phenyl-1,2,4-triazol-3-yl)pyridin-4-amine

Cmpd Number	IUPAC Name
157	N-[3-[(8aR)-3,4,6,7,8,8a-hexahydro-1H-pyrrolo[1,2-a]pyrazin-2-yl]-5-methyl-phenyl]-1-phenyl-1,2,4-triazol-3-amine
158	N-(3-fluoro-5-morpholino-phenyl)-1-(3-fluorophenyl)-1,2,4-triazol-3-amine
159	N-(3-methyl-5-piperazin-1-yl-phenyl)-1-phenyl-1,2,4-triazol-3-amine
160	N-[3-methyl-5-[1-(oxetan-3-yl)-2,5-dihydropyrrol-3-yl]phenyl]-1-phenyl-1,2,4-triazol-3-amine
161	1-[1-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-4-piperidyl]pyrrolidin-2-one
162	1-(3,5-difluorophenyl)-N-[3-[4-(methoxymethyl)-1-piperidyl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
163	4-[3-[3-(difluoromethyl)-5-[4-(oxetan-3-yl)piperazin-1-yl]anilino]-1,2,4-triazol-1-yl]-1H-pyridin-2-one
164	1-(3,5-difluorophenyl)-N-[3-(3-methoxypyrrolidin-1-yl)-5-methyl-phenyl]-1,2,4-triazol-3-amine
165	N-(3-morpholino-5-tetrahydrofuran-3-yl-phenyl)-1-phenyl-1,2,4-triazol-3-amine
166	N-[3-fluoro-5-(1,4-oxazepan-4-yl)phenyl]-1-(3-fluorophenyl)-1,2,4-triazol-3-amine
167	1-(4-fluorophenyl)-N-(3-fluoro-5-pyrrolidin-1-yl-phenyl)-1,2,4-triazol-3-amine
168	N-(3-bromo-5-morpholino-phenyl)-1-phenyl-1,2,4-triazol-3-amine
169	1-(3,4-difluorophenyl)-N-[3-methyl-5-[4-(oxetan-3-yl)-1-piperidyl]phenyl]-1,2,4-triazol-3-amine
170	2-cyclopropyl-N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-pyrrolidin-1-yl-pyridin-4-amine
171	1-(3,5-difluorophenyl)-N-[3-methyl-5-(6-oxa-2-azaspiro[3.3]heptan-2-yl)phenyl]-1,2,4-triazol-3-amine
172	N-[3-methyl-5-(4-tetrahydropyran-3-ylpiperazin-1-yl)phenyl]-1-phenyl-1,2,4-triazol-3-amine
173	1-(3,5-difluorophenyl)-N-[3-ethyl-5-(3-morpholinoazetidin-1-yl)phenyl]-1,2,4-triazol-3-amine
174	N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-pyrimidin-4-yl-1,2,4-triazol-3-amine
175	1-(3-fluorophenyl)-N-[3-[4-(oxetan-3-yl)piperazin-1-yl]-5-(trifluoromethyl)phenyl]-1,2,4-triazol-3-amine
176	N-[3-(4-cyclopropylpiperazin-1-yl)-5-methyl-phenyl]-1-pyrimidin-4-yl-1,2,4-triazol-3-amine
177	N1-(azetidin-3-yl)-N3-[1-(2,4-difluorophenyl)-1,2,4-triazol-3-yl]-5-fluoro-benzene-1,3-diamine
178	1-(3,5-difluorophenyl)-N-[3-methyl-5-[(3R)-1-(oxetan-3-

Cmpd Number	IUPAC Name
	yl)pyrrolidin-3-yl]oxy-phenyl]-1,2,4-triazol-3-amine
179	N-[3-methyl-5-(4-methyl-1,4-diazepan-1-yl)phenyl]-1-phenyl-1,2,4-triazol-3-amine
180	N-[3-methyl-5-[1-(oxetan-3-yl)-3-piperidyl]phenyl]-1-pyrimidin-4-yl-1,2,4-triazol-3-amine
181	N-(3-fluoro-5-morpholino-phenyl)-1-[3-(trifluoromethyl)phenyl]-1,2,4-triazol-3-amine
182	N1-[1-(3-methoxypropyl)-4-piperidyl]-5-methyl-N3-(1-phenyl-1,2,4-triazol-3-yl)benzene-1,3-diamine
183	1-(3,4-difluorophenyl)-N-[3-methoxy-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
184	N-[3-cyclopropyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(2-fluoro-4-pyridyl)-1,2,4-triazol-3-amine
185	1-(3,5-difluorophenyl)-N-[3-methyl-5-[(1R,4R)-2-(oxetan-3-yl)-2,5-diazabicyclo[2.2.1]heptan-5-yl]phenyl]-1,2,4-triazol-3-amine
186	4-[3-(3-methyl-5-pyrrolidin-1-yl-anilino)-1,2,4-triazol-1-yl]benzonitrile
187	1-[3-[[ethyl(methyl)amino]methyl]-5-fluoro-phenyl]-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
188	N3-[1-(3-fluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N1-[1-(oxetan-3-yl)pyrrolidin-3-yl]benzene-1,3-diamine
189	N-[3-(difluoromethyl)-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(2-fluoro-4-pyridyl)-1,2,4-triazol-3-amine
190	N-(3-methyl-5-pyrrolidin-1-yl-phenyl)-1-[3-(trifluoromethyl)phenyl]-1,2,4-triazol-3-amine
191	N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-[4-(trifluoromethyl)phenyl]-1,2,4-triazol-3-amine
192	N3-[1-(3-fluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N1-[1-(oxetan-3-yl)-4-piperidyl]benzene-1,3-diamine
193	1-(3,5-difluorophenyl)-N-[3-[3-fluoro-1-(oxetan-3-yl)pyrrolidin-3-yl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
194	1-[4-[3-methyl-5-[(1-phenyl-1,2,4-triazol-3-yl)amino]phenyl]-1-piperidyl]ethanone
195	N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-pyrazin-2-yl-1,2,4-triazol-3-amine
196	ethyl 4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperazine-1-carboxylate
197	N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-N1,5-dimethyl-N1-(oxetan-3-yl)benzene-1,3-diamine
198	1-(3,4-difluorophenyl)-N-[3-ethyl-5-(3-morpholinoazetidin-1-yl)phenyl]-1,2,4-triazol-3-amine

Cmpd Number	IUPAC Name
199	1-(3,5-difluorophenyl)-N-[3-methyl-5-(4-tetrahydropyran-3-ylpiperazin-1-yl)phenyl]-1,2,4-triazol-3-amine
200	7-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-5,6,8,8a-tetrahydro-1H-oxazolo[3,4-a]pyrazin-3-one
201	1-(4-fluorophenyl)-N-[3-methyl-5-[[1-(oxetan-3-yl)-4-piperidyl]oxy]phenyl]-1,2,4-triazol-3-amine
202	2-cyclopropyl-N-[1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]-6-(4-methyl-1-piperidyl)pyridin-4-amine
203	N-[3-fluoro-5-(4-methylpiperazin-1-yl)phenyl]-1-(3-fluorophenyl)-1,2,4-triazol-3-amine
204	1-(2-ethoxypyrimidin-4-yl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
205	1-(3-fluoro-5-isopropoxy-phenyl)-N-[3-methyl-5-(4-methylpiperazin-1-yl)phenyl]-1,2,4-triazol-3-amine
206	1-(3-ethyl-5-fluoro-phenyl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
207	N-[3-methyl-5-[1-(oxetan-3-yl)-3-piperidyl]phenyl]-1-(2-pyridyl)-1,2,4-triazol-3-amine
208	1-(3,5-difluorophenyl)-N-[3-[(3S,4R)-3-fluoro-1-(oxetan-3-yl)-4-piperidyl]-5-methyl-phenyl]-1,2,4-triazol-3-amine; 1-(3,5-difluorophenyl)-N-[3-[(3R,4S)-3-fluoro-1-(oxetan-3-yl)-4-piperidyl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
209	N-[3-(difluoromethyl)-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(3-pyridyl)-1,2,4-triazol-3-amine
210	2-cyclopropyl-N-[1-(3,5-dimethoxyphenyl)-1,2,4-triazol-3-yl]-6-morpholino-pyridin-4-amine
211	N-[3-[4-(methoxymethyl)-1-piperidyl]-5-methyl-phenyl]-1-(2-pyridyl)-1,2,4-triazol-3-amine
212	2-[3-(3-methyl-5-pyrrolidin-1-yl-anilino)-1,2,4-triazol-1-yl]benzonitrile
213	N-[3-fluoro-5-(4-methylpiperazin-1-yl)phenyl]-1-phenyl-1,2,4-triazol-3-amine
214	1-cyclopropyl-4-[3-methyl-5-[(1-phenyl-1,2,4-triazol-3-yl)amino]phenyl]piperazin-2-one
215	1-(3,5-difluorophenyl)-N-[3-methyl-5-[4-(3-methyloxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
216	1-(3,5-difluorophenyl)-N-[3-methyl-5-[4-(oxetan-3-yl)-1-piperidyl]phenyl]-1,2,4-triazol-3-amine
217	1-(5-chloro-3-pyridyl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
218	N-[3-ethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-[3-(trifluoromethyl)phenyl]-1,2,4-triazol-3-amine

Cmpd Number	IUPAC Name
219	N-[3-methyl-5-[(1S,4S)-2-(oxetan-3-yl)-2,5-diazabicyclo[2.2.1]heptan-5-yl]phenyl]-1-phenyl-1,2,4-triazol-3-amine
220	1-(3-chloro-5-fluoro-phenyl)-N-[3-methyl-5-(4-methylpiperazin-1-yl)phenyl]-1,2,4-triazol-3-amine
221	1-(3,5-difluorophenyl)-N-[3-methyl-5-[1-(oxetan-3-yl)-4-piperidyl]phenyl]-1,2,4-triazol-3-amine
222	2-cyclopropyl-6-morpholino-N-(1-phenyl-1,2,4-triazol-3-yl)pyridin-4-amine
223	1-(2-fluorophenyl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
224	N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(4-pyridyl)-1,2,4-triazol-3-amine
225	N-[3-cyclopropyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(2-fluorophenyl)-1,2,4-triazol-3-amine
226	1-(2-fluoro-4-pyridyl)-N-[3-(4-methylpiperazin-1-yl)-5-(trifluoromethyl)phenyl]-1,2,4-triazol-3-amine
227	7-[3-methyl-5-[(1-phenyl-1,2,4-triazol-3-yl)amino]phenyl]-5,6,8,8a-tetrahydro-1H-oxazolo[3,4-a]pyrazin-3-one
228	1-(3,5-difluorophenyl)-N-[3-[4-(1,1-dioxothietan-3-yl)piperazin-1-yl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
229	1-(3,5-difluorophenyl)-N-[3-methyl-5-[1-(oxetan-3-yl)azetidin-3-yl]phenyl]-1,2,4-triazol-3-amine
230	N-[3-fluoro-5-[1-(oxetan-3-yl)pyrrolidin-3-yl]phenyl]-1-(3-fluorophenyl)-1,2,4-triazol-3-amine
231	1-(3,4-difluorophenyl)-N-[3-methyl-5-[4-(2,2,2-trifluoroethyl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
232	1-(2,6-difluoro-4-pyridyl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
233	1-[2-(methoxymethyl)phenyl]-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
234	N-[3,5-bis(2,5-dihydrofuran-3-yl)phenyl]-1-phenyl-1,2,4-triazol-3-amine
235	N-[3-[3,3-difluoro-1-(oxetan-3-yl)-4-piperidyl]-5-methyl-phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
236	N-[3-[4-(oxetan-3-yl)piperazin-1-yl]-5-propyl-phenyl]-1-pyrazin-2-yl-1,2,4-triazol-3-amine
237	N-[2,3-dimethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-phenyl-1,2,4-triazol-3-amine
238	1-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperidin-4-ol
239	N-[3-methyl-5-[(3S)-1-(oxetan-3-yl)pyrrolidin-3-yl]oxy-phenyl]-1-phenyl-1,2,4-triazol-3-amine

Cmpd Number	IUPAC Name
240	N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N1-(oxetan-3-yl)benzene-1,3-diamine
241	N-(3-fluoro-5-pyrrolidin-1-yl-phenyl)-1-[3-(trifluoromethyl)phenyl]-1,2,4-triazol-3-amine
242	3-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-1-methyl-pyrrolidin-3-ol
243	1-(3,5-difluorophenyl)-N-[3-methyl-5-(4-tetrahydropyran-4-ylpiperazin-1-yl)phenyl]-1,2,4-triazol-3-amine
244	2-cyclopropyl-N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-(4-methyl-1-piperidyl)pyridin-4-amine
245	2-cyclopropyl-N-[1-(3,5-dimethoxyphenyl)-1,2,4-triazol-3-yl]-6-[(3R)-3-fluoropyrrolidin-1-yl]pyridin-4-amine
246	N-[3-chloro-5-[4-(methoxymethyl)-1-piperidyl]phenyl]-1-phenyl-1,2,4-triazol-3-amine
247	N-[3-methyl-5-(4-methylpiperazin-1-yl)phenyl]-1-phenyl-1,2,4-triazol-3-amine
248	N-[3-methyl-5-[1-(oxetan-3-yl)-3-piperidyl]phenyl]-1-phenyl-1,2,4-triazol-3-amine
249	N3-[1-(2-fluoro-4-pyridyl)-1,2,4-triazol-3-yl]-5-methyl-N1-[1-(oxetan-3-yl)pyrrolidin-3-yl]benzene-1,3-diamine
250	N-[3,5-di(tetrahydropyran-4-yl)phenyl]-1-(3-pyridyl)-1,2,4-triazol-3-amine
251	N-(3-morpholino-5-tetrahydropyran-4-yl-phenyl)-1-phenyl-1,2,4-triazol-3-amine
252	N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-[3-(trifluoromethoxy)phenyl]-1,2,4-triazol-3-amine
253	N-[3-[4-(2-methoxyethyl)piperazin-1-yl]-5-methyl-phenyl]-1-phenyl-1,2,4-triazol-3-amine
254	1-(6-methoxypyrimidin-4-yl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
255	1-(3-ethyl-5-fluoro-phenyl)-N-[3-methyl-5-(4-methylpiperazin-1-yl)phenyl]-1,2,4-triazol-3-amine
257	1-(3-fluorophenyl)-N-[3-(4-methylpiperazin-1-yl)-5-(trifluoromethyl)phenyl]-1,2,4-triazol-3-amine
258	1-(3-fluorophenyl)-N-[3-methoxy-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
259	N-[3-methyl-5-(3-methylpiperazin-1-yl)phenyl]-1-phenyl-1,2,4-triazol-3-amine
260	N-[3-methyl-5-[1-(oxetan-3-yl)pyrrolidin-3-yl]phenyl]-1-phenyl-1,2,4-triazol-3-amine
261	N-[3-(1-cyclopropyl-4-piperidyl)-5-methyl-phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine

Cmpd Number	IUPAC Name
262	1-(5-chloro-3-pyridyl)-N-[3-methyl-5-(4-methylpiperazin-1-yl)phenyl]-1,2,4-triazol-3-amine
263	N-[1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]-2-methyl-6-(4-methylpiperazin-1-yl)pyridin-4-amine
264	1-(3,5-difluorophenyl)-N-[3-ethyl-5-[4-(3-methyloxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
265	N-[1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]-2-methyl-6-morpholino-pyridin-4-amine
266	1-[3-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-1-piperidyl]ethanone
267	1-(3,5-difluorophenyl)-N-[3-methyl-5-[3-(methylamino)pyrrolidin-1-yl]phenyl]-1,2,4-triazol-3-amine
268	1-(3,5-difluorophenyl)-N-[3-fluoro-5-[1-(oxetan-3-yl)pyrrolidin-3-yl]phenyl]-1,2,4-triazol-3-amine
269	1-(4-fluorophenyl)-N-(3-methyl-5-pyrrolidin-1-yl-phenyl)-1,2,4-triazol-3-amine
270	N-[3-methyl-5-(oxetan-3-yl)phenyl]-1-(3-pyridyl)-1,2,4-triazol-3-amine
271	N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(6-methylpyrazin-2-yl)-1,2,4-triazol-3-amine
272	1-(3-fluorophenyl)-N-(3-methyl-5-pyrrolidin-1-yl-phenyl)-1,2,4-triazol-3-amine
273	N-[3-[1-(2,2-difluoroethyl)pyrrolidin-3-yl]-5-methyl-phenyl]-1-phenyl-1,2,4-triazol-3-amine
274	N-[3-(4-ethylpiperazin-1-yl)-5-methyl-phenyl]-1-phenyl-1,2,4-triazol-3-amine
275	N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(3-pyridyl)-1,2,4-triazol-3-amine
276	1-(2,3-difluorophenyl)-N-[3-ethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
277	N-[3-cyclopropyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(2-methoxypyrimidin-4-yl)-1,2,4-triazol-3-amine
278	N3-[1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N1-[1-(oxetan-3-yl)-4-piperidyl]benzene-1,3-diamine
279	1-(3,5-difluorophenyl)-N-[3-methyl-5-(2-oxa-7-azaspiro[3.5]nonan-7-yl)phenyl]-1,2,4-triazol-3-amine
280	1-[4-[3-methyl-5-[(1-phenyl-1,2,4-triazol-3-yl)amino]phenyl]-1,4-diazepan-1-yl]ethanone
281	3-[3-(3-methyl-5-pyrrolidin-1-yl-anilino)-1,2,4-triazol-1-yl]benzonitrile
282	(3R,4R)-4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-1-(oxetan-3-yl)piperidin-3-ol; (3S,4S)-4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-

Cmpd Number	IUPAC Name
	yl]amino]-5-methyl-phenyl]-1-(oxetan-3-yl)piperidin-3-ol
283	N-[3-(3-aminoazetidin-1-yl)-5-fluoro-phenyl]-1-(2,4-difluorophenyl)-1,2,4-triazol-3-amine
284	1-(3,5-difluorophenyl)-N-[3-methyl-5-(3-morpholinopyrrolidin-1-yl)phenyl]-1,2,4-triazol-3-amine
285	N-[3-cyclopropyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(3-fluoro-5-isopropoxy-phenyl)-1,2,4-triazol-3-amine
286	N-[3-cyclopropyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(2-ethoxypyrimidin-4-yl)-1,2,4-triazol-3-amine
287	N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-[3-(trifluoromethyl)phenyl]-1,2,4-triazol-3-amine
288	N-[3-tert-butyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-pyrazin-2-yl-1,2,4-triazol-3-amine
289	N-[3-methyl-5-(4-tetrahydropyran-4-ylpiperazin-1-yl)phenyl]-1-phenyl-1,2,4-triazol-3-amine
290	N-[3-(4-cyclobutylpiperazin-1-yl)-5-methyl-phenyl]-1-phenyl-1,2,4-triazol-3-amine
291	1-(5-fluoropyrimidin-4-yl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
292	N-[3-[(1S,4S)-2-cyclopropyl-2,5-diazabicyclo[2.2.1]heptan-5-yl]-5-methyl-phenyl]-1-phenyl-1,2,4-triazol-3-amine
293	1-(3,5-difluorophenyl)-N-[3-(3-methoxy-1-piperidyl)-5-methyl-phenyl]-1,2,4-triazol-3-amine
294	N-[3-methyl-5-[1-(3-methyloxetan-3-yl)-4-piperidyl]phenyl]-1-phenyl-1,2,4-triazol-3-amine
295	5-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]oxazolidin-2-one
296	2-cyclopropyl-6-[(3R)-3-fluoropyrrolidin-1-yl]-N-(1-phenyl-1,2,4-triazol-3-yl)pyridin-4-amine
297	N-[3-methyl-5-(4-methylpiperazin-1-yl)phenyl]-1-(3-pyridyl)-1,2,4-triazol-3-amine
298	1-(3,5-difluorophenyl)-N-[3-(2,5-dihydrofuran-3-yl)-5-morpholino-phenyl]-1,2,4-triazol-3-amine
299	1-(3,4-difluorophenyl)-N-[3-[4-(methoxymethyl)-1-piperidyl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
300	1-[3-[3-methyl-5-[(1-pyrazin-2-yl-1,2,4-triazol-3-yl)amino]phenyl]-1-piperidyl]ethanone
301	1-(3,4-difluorophenyl)-N-[3-methyl-5-[1-(oxetan-3-yl)azetidin-3-yl]phenyl]-1,2,4-triazol-3-amine
302	N-[3-cyclopropyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(6-methylpyrimidin-4-yl)-1,2,4-triazol-3-amine
303	N-[3-ethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-[4-(trifluoromethoxy)phenyl]-1,2,4-triazol-3-amine

Cmpd Number	IUPAC Name
304	1-(3,5-difluorophenyl)-N-[3-methyl-5-[(3S)-1-(oxetan-3-yl)pyrrolidin-3-yl]oxy-phenyl]-1,2,4-triazol-3-amine
305	N-(3-cyclopropyl-5-morpholino-phenyl)-1-phenyl-1,2,4-triazol-3-amine
306	N-[3-(2,6-dimethylmorpholin-4-yl)-5-methyl-phenyl]-1-phenyl-1,2,4-triazol-3-amine
307	N-(2-fluoro-3-methyl-5-morpholino-phenyl)-1-(2-pyridyl)-1,2,4-triazol-3-amine
308	N-[3-methyl-5-(4-piperidyl)phenyl]-1-phenyl-1,2,4-triazol-3-amine
309	5-methyl-N1-[1-(oxetan-3-yl)pyrrolidin-3-yl]-N3-(1-phenyl-1,2,4-triazol-3-yl)benzene-1,3-diamine
310	1-(3,5-difluorophenyl)-N-[3-methoxy-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
311	2-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperazin-1-yl]-2-methyl-propane-1,3-diol
312	1-(2,6-dimethylpyrimidin-4-yl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
313	1-(3,5-difluorophenyl)-N-[3-(oxetan-3-yl)-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
314	N-[3-ethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(2-methoxypyrimidin-4-yl)-1,2,4-triazol-3-amine
315	N-[3,5-bis(3,6-dihydro-2H-pyran-4-yl)phenyl]-1-phenyl-1,2,4-triazol-3-amine
316	N-[3-methyl-5-(3-piperidyl)phenyl]-1-phenyl-1,2,4-triazol-3-amine
317	1-(3,4-difluorophenyl)-N-[3-methyl-5-(4-methylpiperazin-1-yl)phenyl]-1,2,4-triazol-3-amine
318	2-[(3R)-1-[3-fluoro-5-[3-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]anilino]-1,2,4-triazol-1-yl]phenyl]pyrrolidin-3-yl]propan-2-ol
319	2,6-dimorpholino-N-(1-phenyl-1,2,4-triazol-3-yl)pyridin-4-amine
320	1-(5-fluoro-3-pyridyl)-N-(3-methyl-5-pyrrolidin-1-yl-phenyl)-1,2,4-triazol-3-amine
321	1-(2,4-difluorophenyl)-N-(3-fluoro-5-morpholino-phenyl)-1,2,4-triazol-3-amine
322	N-[3-ethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-[4-(trifluoromethyl)phenyl]-1,2,4-triazol-3-amine
323	1-(3-fluoro-5-methyl-phenyl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
324	1-(3,5-difluorophenyl)-N-[3-methyl-5-(4-morpholino-1-piperidyl)phenyl]-1,2,4-triazol-3-amine

Cmpd Number	IUPAC Name
325	1-(3,5-difluorophenyl)-N-[3-[2-(methoxymethyl)morpholin-4-yl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
326	N-[3-(4-isopropylpiperazin-1-yl)-5-methyl-phenyl]-1-phenyl-1,2,4-triazol-3-amine
327	2-cyclopropyl-N-[1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]-6-(1-piperidyl)pyridin-4-amine
328	1-(3,5-difluorophenyl)-N-[3-methyl-5-(1-methyl-3-piperidyl)phenyl]-1,2,4-triazol-3-amine
329	1-(4,6-difluoro-2-pyridyl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
330	N-[3-ethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-phenyl-1,2,4-triazol-3-amine
331	N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-4-methyl-6-[4-(oxetan-3-yl)piperazin-1-yl]pyridin-2-amine
332	2-cyclopropyl-N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-[(3S)-3-fluoropyrrolidin-1-yl]pyridin-4-amine
333	N-[3-methyl-5-(1-methyl-4-piperidyl)phenyl]-1-phenyl-1,2,4-triazol-3-amine
334	5-methyl-N1-[1-(oxetan-3-yl)-4-piperidyl]-N3-(1-phenyl-1,2,4-triazol-3-yl)benzene-1,3-diamine
335	N-(3-chloro-5-morpholino-phenyl)-1-phenyl-1,2,4-triazol-3-amine
336	N-(3-fluoro-5-pyrrolidin-1-yl-phenyl)-1-phenyl-1,2,4-triazol-3-amine
337	N-[3-(4-cyclopropyl-1,4-diazepan-1-yl)-5-methyl-phenyl]-1-phenyl-1,2,4-triazol-3-amine
338	N-[3-ethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(2-fluoro-5-methyl-phenyl)-1,2,4-triazol-3-amine
339	N-[3-cyclopropyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(5-fluoro-3-pyridyl)-1,2,4-triazol-3-amine
340	N-[3-(1-cyclopropyl-3-piperidyl)-5-methyl-phenyl]-1-phenyl-1,2,4-triazol-3-amine
341	N-[3-ethyl-5-(3-morpholinoazetidin-1-yl)phenyl]-1-pyrazin-2-yl-1,2,4-triazol-3-amine
342	5-methyl-N1-[1-(oxetan-3-yl)-4-piperidyl]-N3-[1-(3-pyridyl)-1,2,4-triazol-3-yl]benzene-1,3-diamine
343	1-(2,4-difluorophenyl)-N-[3-fluoro-5-(1,4-oxazepan-4-yl)phenyl]-1,2,4-triazol-3-amine
344	1-(3,5-difluorophenyl)-N-[3-methylsulfonyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
345	2-chloro-N-[1-(3,4-dimethoxyphenyl)-1,2,4-triazol-3-yl]-6-morpholino-pyridin-4-amine
346	N-(3-bromo-5-morpholino-phenyl)-1-(3,5-difluorophenyl)-

Cmpd Number	IUPAC Name
	1,2,4-triazol-3-amine
347	1-(2,4-difluorophenyl)-N-[3-[3-(dimethylamino)pyrrolidin-1-yl]-5-fluoro-phenyl]-1,2,4-triazol-3-amine
348	N-[3-(4-cyclopropylpiperazin-1-yl)-5-(difluoromethyl)phenyl]-1-phenyl-1,2,4-triazol-3-amine
349	1-(3,5-difluorophenyl)-N-[3-methyl-5-(9-methyl-2-oxa-6,9-diazaspiro[3.5]nonan-6-yl)phenyl]-1,2,4-triazol-3-amine
350	2,2,2-trifluoroethyl 4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperazine-1-carboxylate
351	N1-(azetidin-3-yl)-5-fluoro-N3-[1-(3-fluorophenyl)-1,2,4-triazol-3-yl]benzene-1,3-diamine
352	1-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperazin-1-yl]-2,2,2-trifluoro-ethanone
353	N-[3-cyclopropyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-[6-(methoxymethyl)pyrimidin-4-yl]-1,2,4-triazol-3-amine
354	2-cyclopropyl-N-[1-(3,4-dimethoxyphenyl)-1,2,4-triazol-3-yl]-6-morpholino-pyridin-4-amine
355	1-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]azetidin-3-ol
356	N-(3-methyl-5-pyrrolidin-1-yl-phenyl)-1-[4-(trifluoromethyl)phenyl]-1,2,4-triazol-3-amine
357	N-[3-morpholino-5-(trifluoromethyl)phenyl]-1-pyrazin-2-yl-1,2,4-triazol-3-amine
358	N-[3-(3,3a,4,5,7,7a-hexahydro-2H-furo[2,3-c]pyridin-6-yl)-5-methyl-phenyl]-1-phenyl-1,2,4-triazol-3-amine
359	1-(3,5-difluorophenyl)-N-[3-isopropyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
360	4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-1-methyl-piperazin-2-one
361	1-(3-fluorophenyl)-N-[3-methylsulfonyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
362	1-(3,5-difluorophenyl)-N-[3-methyl-5-(3-methylpiperazin-1-yl)phenyl]-1,2,4-triazol-3-amine
363	N-[3-methyl-5-(oxetan-3-yl)phenyl]-1-phenyl-1,2,4-triazol-3-amine
364	1-[6-(methoxymethyl)pyrimidin-4-yl]-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
365	N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-2-methyl-6-(4-methylpiperazin-1-yl)pyridin-4-amine
366	N-[3-morpholino-5-(trifluoromethyl)phenyl]-1-phenyl-1,2,4-triazol-3-amine
367	2-chloro-6-(4-methylpiperazin-1-yl)-N-(1-phenyl-1,2,4-triazol-3-yl)pyridin-4-amine

Cmpd Number	IUPAC Name
368	tert-butyl 4-[3-ethyl-5-[(1-pyrazin-2-yl-1,2,4-triazol-3-yl)amino]phenyl]piperazine-1-carboxylate
369	N-[3-[(3aR,6aR)-1-methyl-2,3,3a,4,6,6a-hexahydropyrrolo[2,3-c]pyrrol-5-yl]-5-methyl-phenyl]-1-phenyl-1,2,4-triazol-3-amine
370	1-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperazin-1-yl]ethanone
371	N-(3-fluoro-5-morpholino-phenyl)-1-(5-fluoro-3-pyridyl)-1,2,4-triazol-3-amine
372	N-(3-methyl-5-pyrrolidin-1-yl-phenyl)-1-(p-tolyl)-1,2,4-triazol-3-amine
373	1-[3-fluoro-5-[2-methoxyethyl(methyl)amino]phenyl]-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
374	1-(3,5-difluorophenyl)-N-(3-methyl-5-morpholino-phenyl)-1,2,4-triazol-3-amine
375	1-(3-fluorophenyl)-N-[3-methyl-5-[4-(3-methyloxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
376	N-[3-tert-butyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-phenyl-1,2,4-triazol-3-amine
377	N-[3-cyclopropyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(6-methoxypyrimidin-4-yl)-1,2,4-triazol-3-amine
378	2-cyclopropyl-N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-(1-piperidyl)pyridin-4-amine
379	1-(3,5-difluorophenyl)-N-[3-methyl-5-(3-pyrazol-1-ylazetidin-1-yl)phenyl]-1,2,4-triazol-3-amine
380	N-(3-chloro-5-pyrrolidin-1-yl-phenyl)-1-phenyl-1,2,4-triazol-3-amine
381	N-[3-methyl-5-(4-methylpiperazin-1-yl)phenyl]-1-pyrimidin-5-yl-1,2,4-triazol-3-amine
382	1-(3-fluorophenyl)-N-[3-methyl-5-[1-(oxetan-3-yl)pyrrolidin-3-yl]phenyl]-1,2,4-triazol-3-amine
383	1-(2,5-difluorophenyl)-N-[3-methyl-5-[4-(oxetan-3-yl)-1-piperidyl]phenyl]-1,2,4-triazol-3-amine
384	1-(3,4-difluorophenyl)-N-[3-methyl-5-[[1-(oxetan-3-yl)-4-piperidyl]oxy]phenyl]-1,2,4-triazol-3-amine
385	N-[3-[4-(methoxymethyl)-1-piperidyl]-5-methyl-phenyl]-1-(4-pyridyl)-1,2,4-triazol-3-amine
386	1-(3,4-difluorophenyl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
387	1-(5-fluoro-3-pyridyl)-N-[3-methyl-5-(4-methylpiperazin-1-yl)phenyl]-1,2,4-triazol-3-amine
388	N-[3-cyclopropyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-

Cmpd Number	IUPAC Name
	(3-fluoro-5-methoxy-phenyl)-1,2,4-triazol-3-amine
389	N-[3-cyclopropyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
390	1-[3-[[ethyl(methyl)amino]methyl]-5-fluoro-phenyl]-N-[3-methyl-5-(4-methylpiperazin-1-yl)phenyl]-1,2,4-triazol-3-amine
391	N-[3-methyl-5-[(3R)-1-(oxetan-3-yl)pyrrolidin-3-yl]oxy-phenyl]-1-phenyl-1,2,4-triazol-3-amine
392	N-[3-(difluoromethyl)-5-morpholino-phenyl]-1-phenyl-1,2,4-triazol-3-amine
393	N-[1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]-2,6-dimorpholino-pyridin-4-amine
394	N-(3-fluoro-5-morpholino-phenyl)-1-(4-fluorophenyl)-1,2,4-triazol-3-amine
395	1-(3-fluoro-5-methoxy-phenyl)-N-[3-methyl-5-(4-methylpiperazin-1-yl)phenyl]-1,2,4-triazol-3-amine
396	1-(3,5-difluorophenyl)-N-[3-[4-(oxetan-3-yl)piperazin-1-yl]-5-propyl-phenyl]-1,2,4-triazol-3-amine
397	1-(3-chlorophenyl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
398	N-[3-methyl-5-[4-(2,2,2-trifluoroethyl)piperazin-1-yl]phenyl]-1-phenyl-1,2,4-triazol-3-amine
399	N-[3-methyl-5-(4-methylpiperazin-1-yl)phenyl]-1-pyridazin-4-yl-1,2,4-triazol-3-amine
400	1-(3-chloro-5-fluoro-phenyl)-N-[3-cyclopropyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
401	N-[3-ethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(6-methylpyrazin-2-yl)-1,2,4-triazol-3-amine
402	1-[4-[3-methyl-5-[(1-phenyl-1,2,4-triazol-3-yl)amino]anilino]-1-piperidyl]ethanone
403	1-(2-fluoro-4-pyridyl)-N-(3-methyl-5-morpholino-phenyl)-1,2,4-triazol-3-amine
404	N-[3-methyl-5-(oxetan-3-yl)phenyl]-1-(2-pyridyl)-1,2,4-triazol-3-amine
405	2-cyclopropyl-N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-morpholino-pyridin-4-amine
406	1-(3-fluorophenyl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
407	2-cyclopropyl-N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-tetrahydropyran-4-yl-pyridin-4-amine
408	1-(3,5-difluorophenyl)-N-[3-methyl-5-[1-(oxetan-3-yl)-3-piperidyl]phenyl]-1,2,4-triazol-3-amine
409	1-(4-fluorophenyl)-N-[3-methyl-5-(oxetan-3-yl)phenyl]-1,2,4-

Cmpd Number	IUPAC Name
	triazol-3-amine
410	N-[3-methyl-5-[[1-(oxetan-3-yl)-4-piperidyl]oxy]phenyl]-1-phenyl-1,2,4-triazol-3-amine
411	1-(3-fluorophenyl)-N-[3-methyl-5-[1-(oxetan-3-yl)-3-piperidyl]phenyl]-1,2,4-triazol-3-amine
412	1-(3,4-difluorophenyl)-N-[3-(4-methylpiperazin-1-yl)-5-(trifluoromethyl)phenyl]-1,2,4-triazol-3-amine
413	N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(2,4,5-trifluorophenyl)-1,2,4-triazol-3-amine
414	N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(o-tolyl)-1,2,4-triazol-3-amine
415	1-(3-fluoro-5-isopropoxy-phenyl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
416	3-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-1-(oxetan-3-yl)pyrrolidin-3-ol
417	1-(2,5-difluorophenyl)-N-[3-ethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
418	N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(2-methylpyrimidin-4-yl)-1,2,4-triazol-3-amine
419	N-[3-methyl-5-(2,4,5-trimethylpiperazin-1-yl)phenyl]-1-phenyl-1,2,4-triazol-3-amine
420	N-[3-(4-cyclopropylpiperazin-1-yl)-5-methyl-phenyl]-1-(6-methylpyrazin-2-yl)-1,2,4-triazol-3-amine
421	1-(3,5-difluorophenyl)-N-[3-methyl-5-[4-(2,2,2-trifluoroethyl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
422	methyl 4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperazine-1-carboxylate
423	N-[3-methyl-5-[(3R,5S)-3,4,5-trimethylpiperazin-1-yl]phenyl]-1-phenyl-1,2,4-triazol-3-amine
424	1-(3-fluoro-5-methyl-phenyl)-N-[3-methyl-5-(4-methylpiperazin-1-yl)phenyl]-1,2,4-triazol-3-amine
425	1-(3,5-difluorophenyl)-N-[2,3-dimethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
426	N-(3-chloro-5-morpholino-phenyl)-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
427	1-(5-fluoro-3-pyridyl)-N-(3-methyl-5-morpholino-phenyl)-1,2,4-triazol-3-amine
428	1-(2-chlorophenyl)-N-[3-methyl-5-(4-methylpiperazin-1-yl)phenyl]-1,2,4-triazol-3-amine
429	1-(3,5-difluorophenyl)-N-[3-methyl-5-(3-piperidyl)phenyl]-1,2,4-triazol-3-amine
430	2-(4-fluoro-1-piperidyl)-6-methyl-N-(1-phenyl-1,2,4-triazol-3-yl)pyridin-4-amine

Cmpd Number	IUPAC Name
431	N-[3-methyl-5-[4-[(3-methyloxetan-3-yl)methyl]piperazin-1-yl]phenyl]-1-phenyl-1,2,4-triazol-3-amine
432	N-[3-ethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(3-methoxyphenyl)-1,2,4-triazol-3-amine
433	1-(3,5-difluorophenyl)-N-[3-methyl-5-[[1-(oxetan-3-yl)-4-piperidyl]oxy]phenyl]-1,2,4-triazol-3-amine
434	N-[3-methyl-5-(4-tetrahydrofuran-3-ylpiperazin-1-yl)phenyl]-1-phenyl-1,2,4-triazol-3-amine
435	1-(3-fluorophenyl)-N-(3-fluoro-5-pyrrolidin-1-yl-phenyl)-1,2,4-triazol-3-amine
436	N-[3-methyl-5-[4-(3-methyloxetan-3-yl)piperazin-1-yl]phenyl]-1-phenyl-1,2,4-triazol-3-amine
437	1-(2,5-difluorophenyl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
438	4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperidin-3-ol
439	N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(3-methylsulfanylphenyl)-1,2,4-triazol-3-amine
440	1-(3,4-difluorophenyl)-N-(3-fluoro-5-morpholino-phenyl)-1,2,4-triazol-3-amine
441	N-(3-fluoro-5-pyrrolidin-1-yl-phenyl)-1-[4-(trifluoromethyl)phenyl]-1,2,4-triazol-3-amine
442	3-[3-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-1-piperidyl]cyclobutanecarboxylic acid
443	1-[3-[3-methyl-5-[(1-phenyl-1,2,4-triazol-3-yl)amino]phenyl]pyrrolidin-1-yl]ethanone
444	1-(3,5-difluorophenyl)-N-[3-methyl-5-(2-oxa-7-azaspiro[3.4]octan-7-yl)phenyl]-1,2,4-triazol-3-amine
445	1-(2,3-difluorophenyl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
446	1-(2-chlorophenyl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
447	1-(5-fluoro-3-pyridyl)-N-[3-methyl-5-(oxetan-3-yl)phenyl]-1,2,4-triazol-3-amine
448	N1-cyclopropyl-N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-benzene-1,3-diamine
449	1-[3-[3-methyl-5-[(1-pyrimidin-4-yl-1,2,4-triazol-3-yl)amino]phenyl]-1-piperidyl]ethanone
450	1-(2,4-difluorophenyl)-N-[3-fluoro-5-(4-methylpiperazin-1-yl)phenyl]-1,2,4-triazol-3-amine
451	N-(2-fluoro-3-methyl-5-morpholino-phenyl)-1-(3-pyridyl)-1,2,4-triazol-3-amine
452	N-(3-fluoro-5-pyrrolidin-3-yl-phenyl)-1-phenyl-1,2,4-triazol-

Cmpd Number	IUPAC Name
	3-amine
453	N-[3-[4-(3,3-difluorocyclobutyl)piperazin-1-yl]-5-methyl-phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
454	N-[3-cyclopropyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(2-methylpyrimidin-4-yl)-1,2,4-triazol-3-amine
455	N-[3-[4-(2-fluorophenyl)piperazin-1-yl]-5-methyl-phenyl]-1-phenyl-1,2,4-triazol-3-amine
456	1-(3,5-difluorophenyl)-N-(3-morpholino-5-tetrahydrofuran-3-yl-phenyl)-1,2,4-triazol-3-amine
457	N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-2-(4-fluoro-1-piperidyl)-6-methyl-pyridin-4-amine
458	cyclopropyl-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperazin-1-yl]methanone
459	1-(3,5-difluorophenyl)-N-[3-methyl-5-[(1R,4R)-5-methyl-2,5-diazabicyclo[2.2.1]heptan-2-yl]phenyl]-1,2,4-triazol-3-amine
460	2-cyclopropyl-6-[(3S)-3-fluoropyrrolidin-1-yl]-N-(1-phenyl-1,2,4-triazol-3-yl)pyridin-4-amine
461	2-methyl-1-[4-[3-methyl-5-[(1-phenyl-1,2,4-triazol-3-yl)amino]phenyl]piperazin-1-yl]propan-2-ol
462	N-[3-cyclopropyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(3-fluorophenyl)-1,2,4-triazol-3-amine
463	N-[3-ethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(3-methylsulfanylphenyl)-1,2,4-triazol-3-amine
464	N-[3-[4-(methoxymethyl)-1-piperidyl]-5-methyl-phenyl]-1-pyrimidin-4-yl-1,2,4-triazol-3-amine
465	N-[3-(2,5-dihydrofuran-3-yl)-5-morpholino-phenyl]-1-phenyl-1,2,4-triazol-3-amine
466	N-[3-(1-cyclopropyl-3-piperidyl)-5-methyl-phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
467	1-[3-fluoro-5-[(3R)-3-fluoropyrrolidin-1-yl]phenyl]-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
468	N-[3-ethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(3-fluorophenyl)-1,2,4-triazol-3-amine
469	1-(2,5-difluorophenyl)-N-[3-methyl-5-[4-(3-methyloxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
470	N-[2,3-dimethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-pyrazin-2-yl-1,2,4-triazol-3-amine
471	N-[2-methoxy-3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-pyrazin-2-yl-1,2,4-triazol-3-amine
472	N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N1-[1-(oxetan-3-yl)pyrrolidin-3-yl]benzene-1,3-diamine
473	1-(3,5-difluorophenyl)-N-[3-methyl-5-[(3R)-1-(oxetan-3-

Cmpd Number	IUPAC Name
	yl)pyrrolidin-3-yl]phenyl]-1,2,4-triazol-3-amine
475	1-(3,5-difluorophenyl)-N-[3-morpholino-5-(trifluoromethyl)phenyl]-1,2,4-triazol-3-amine
476	1-(2-fluoro-5-methyl-phenyl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
477	2-chloro-N-[1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]-6-(4-methylpiperazin-1-yl)pyridin-4-amine
478	N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-[4-(trifluoromethoxy)phenyl]-1,2,4-triazol-3-amine
479	N-[3-methyl-5-[(1S,4S)-5-methyl-2,5-diazabicyclo[2.2.1]heptan-2-yl]phenyl]-1-phenyl-1,2,4-triazol-3-amine
480	[1-[3-fluoro-5-[3-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]anilino]-1,2,4-triazol-1-yl]phenyl]pyrrolidin-3-yl]methanol
481	N-[3-[4-(methoxymethyl)-1-piperidyl]-5-methyl-phenyl]-1-phenyl-1,2,4-triazol-3-amine
482	N-[3-(4-cyclopropylpiperazin-1-yl)-5-methyl-phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
483	N-[3-methyl-5-[1-[(3-methyloxetan-3-yl)methyl]-4-piperidyl]phenyl]-1-phenyl-1,2,4-triazol-3-amine
484	N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(2,3,5-trifluorophenyl)-1,2,4-triazol-3-amine
485	1-(3,5-difluorophenyl)-N-[3-methyl-5-(3-morpholinoazetidin-1-yl)phenyl]-1,2,4-triazol-3-amine
486	N-[3-(4-methylpiperazin-1-yl)-5-(trifluoromethyl)phenyl]-1-phenyl-1,2,4-triazol-3-amine
487	(3R)-3-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-1-(oxetan-3-yl)pyrrolidin-3-ol
488	1-(6-chloro-2-pyridyl)-N-(3-methyl-5-pyrrolidin-1-yl-phenyl)-1,2,4-triazol-3-amine
489	N-[3-ethyl-5-[4-(3-methyloxetan-3-yl)piperazin-1-yl]phenyl]-1-pyrazin-2-yl-1,2,4-triazol-3-amine
490	N1-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N3-[(2-methyltetrahydrofuran-2-yl)methyl]benzene-1,3-diamine
491	1-[3-fluoro-5-[(2R)-2-(methoxymethyl)pyrrolidin-1-yl]phenyl]-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
492	2-cyclopropyl-N-[1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]-6-[(3S)-3-fluoropyrrolidin-1-yl]pyridin-4-amine
493	[1-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]azetidin-3-yl]methanol
494	N-[3-cyclopropyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-

Cmpd Number	IUPAC Name
	[3-fluoro-5-(3-methoxyazetidin-1-yl)phenyl]-1,2,4-triazol-3-amine
495	1-[2-(azepan-1-yl)-4-pyridyl]-N-[3-methyl-5-(4-methylpiperazin-1-yl)phenyl]-1,2,4-triazol-3-amine
496	N1-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N3-(2-tetrahydrofuran-2-ylethyl)benzene-1,3-diamine
497	1-(2,4-difluorophenyl)-N-(3-fluoro-5-pyrrolidin-1-yl-phenyl)-1,2,4-triazol-3-amine
498	2-cyclopropyl-N-[1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]-6-[(3R)-3-fluoropyrrolidin-1-yl]pyridin-4-amine
499	3-[3-[3-methyl-5-(4-methylpiperazin-1-yl)anilino]-1,2,4-triazol-1-yl]benzonitrile
500	N-[1-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]pyrrolidin-3-yl]-N-methyl-acetamide
501	N-[1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]-4-methyl-6-(3-morpholinoazetidin-1-yl)pyridin-2-amine
502	4-methyl-6-(3-morpholinoazetidin-1-yl)-N-(1-phenyl-1,2,4-triazol-3-yl)pyridin-2-amine
503	4-methyl-6-(3-morpholinoazetidin-1-yl)-N-[1-(2-pyridyl)-1,2,4-triazol-3-yl]pyridin-2-amine
504	N-[1-(2-fluoro-4-pyridyl)-1,2,4-triazol-3-yl]-4-methyl-6-(3-morpholinoazetidin-1-yl)pyridin-2-amine
505	[3-acetoxy-2-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperazin-1-yl]-2-methyl-propyl] acetate
506	1-(3,5-difluorophenyl)-N-[3-methyl-5-[3-(oxetan-3-yl)azetidin-1-yl]phenyl]-1,2,4-triazol-3-amine
507	N-[3-[4-(oxetan-3-yl)-1-piperidyl]-5-(trifluoromethyl)phenyl]-1-pyrazin-2-yl-1,2,4-triazol-3-amine
508	1-(3,5-difluorophenyl)-N-[3-methyl-5-(3-oxa-6-azaspiro[3.3]heptan-6-yl)phenyl]-1,2,4-triazol-3-amine
509	2-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperazin-1-yl]-2-methyl-propanoic acid
510	1-(3,5-difluorophenyl)-N-[3-methyl-5-(3-pyrrolidin-1-ylazetidin-1-yl)phenyl]-1,2,4-triazol-3-amine
511	N-[3-isopropyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-pyrimidin-4-yl-1,2,4-triazol-3-amine
512	4-(difluoromethyl)-N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-[4-(oxetan-3-yl)piperazin-1-yl]pyridin-2-amine
513	N-[3-(6-oxa-3-azabicyclo[3.1.1]heptan-3-yl)-5-(trifluoromethyl)phenyl]-1-pyrazin-2-yl-1,2,4-triazol-3-amine
514	N-[3-[4-(oxetan-3-yl)piperazin-1-yl]-5-(trifluoromethyl)phenyl]-1-pyrazin-2-yl-1,2,4-triazol-3-amine

Cmpd Number	IUPAC Name
515	1-(3,5-difluorophenyl)-N-[3-[4-fluoro-1-(oxetan-3-yl)-4-piperidyl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
516	4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-1-(oxetan-3-yl)piperidin-4-ol
517	3-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]oxazolidin-2-one
518	1-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-3-isopropyl-azetidin-3-ol
519	2-[1-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]azetidin-3-yl]propan-2-ol
520	N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-N1-(3-methoxycyclobutyl)-5-methyl-benzene-1,3-diamine
521	N-[3-methyl-5-[4-(oxetan-3-yl)-1-piperidyl]phenyl]-1-pyrazin-2-yl-1,2,4-triazol-3-amine
522	1-(3-fluorophenyl)-N-[3-methyl-5-[4-(oxetan-3-yl)-1-piperidyl]phenyl]-1,2,4-triazol-3-amine
523	1-(3-fluorophenyl)-N-[3-isopropyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
524	4-(difluoromethyl)-N-[1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]-6-[4-(oxetan-3-yl)piperazin-1-yl]pyridin-2-amine
525	4-(difluoromethyl)-N-[1-(3-fluorophenyl)-1,2,4-triazol-3-yl]-6-[4-(oxetan-3-yl)piperazin-1-yl]pyridin-2-amine
526	4-(difluoromethyl)-6-[4-(oxetan-3-yl)piperazin-1-yl]-N-(1-phenyl-1,2,4-triazol-3-yl)pyridin-2-amine
527	1-(3,5-difluorophenyl)-N-[3-methyl-5-(6-oxa-3-azabicyclo[3.1.1]heptan-3-yl)phenyl]-1,2,4-triazol-3-amine
528	N-[3-(3,3a,4,6,7,7a-hexahydro-2H-furo[3,2-c]pyridin-5-yl)-5-methyl-phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
529	N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-4-methyl-6-piperazin-1-yl-pyridin-2-amine
530	1-(3,5-difluorophenyl)-N-[3-methyl-5-[4-[(3S)-tetrahydrofuran-3-yl]piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
531	1-(3,5-difluorophenyl)-N-[3-methyl-5-[4-[(3R)-tetrahydrofuran-3-yl]piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
532	4-(difluoromethyl)-6-[4-(oxetan-3-yl)piperazin-1-yl]-N-[1-(2-pyridyl)-1,2,4-triazol-3-yl]pyridin-2-amine
533	tert-butyl 4-[6-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-4-methyl-2-pyridyl]piperazine-1-carboxylate
534	[2-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperazin-1-yl]-3-hydroxy-2-methyl-propyl] acetate

Cmpd Number	IUPAC Name
535	N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-pyrimidin-5-yl-1,2,4-triazol-3-amine
536	N-[3-isopropyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-pyrazin-2-yl-1,2,4-triazol-3-amine
537	N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-4-methyl-6-(3-morpholinoazetidin-1-yl)pyridin-2-amine
538	N-[1-(3-fluorophenyl)-1,2,4-triazol-3-yl]-4-methyl-6-(3-morpholinoazetidin-1-yl)pyridin-2-amine
539	N-[3-cyclopropyl-5-(3-morpholinoazetidin-1-yl)phenyl]-1-pyrazin-2-yl-1,2,4-triazol-3-amine
540	N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-[4-(oxetan-3-yl)piperazin-1-yl]-4-(trifluoromethyl)pyridin-2-amine
541	1-(3,5-difluorophenyl)-N-[3-methyl-5-(2-oxa-8-azaspiro[3.5]nonan-8-yl)phenyl]-1,2,4-triazol-3-amine
542	1-[1-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]azetidin-3-yl]pyrrolidin-2-one
543	1-(3,5-difluorophenyl)-N-[3-methyl-5-(9-oxa-6-azaspiro[3.5]nonan-6-yl)phenyl]-1,2,4-triazol-3-amine
544	N-[3-ethyl-5-(3-morpholinoazetidin-1-yl)phenyl]-1-pyrimidin-5-yl-1,2,4-triazol-3-amine
545	N-[1-(3-fluorophenyl)-1,2,4-triazol-3-yl]-6-[4-(oxetan-3-yl)piperazin-1-yl]-4-(trifluoromethyl)pyridin-2-amine
546	N-[1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]-6-[4-(oxetan-3-yl)piperazin-1-yl]-4-(trifluoromethyl)pyridin-2-amine
547	6-[4-(oxetan-3-yl)piperazin-1-yl]-N-(1-phenyl-1,2,4-triazol-3-yl)-4-(trifluoromethyl)pyridin-2-amine
548	6-[4-(oxetan-3-yl)piperazin-1-yl]-N-[1-(2-pyridyl)-1,2,4-triazol-3-yl]-4-(trifluoromethyl)pyridin-2-amine
549	N-[3-ethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-pyrimidin-5-yl-1,2,4-triazol-3-amine
550	N-[3-tert-butyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-pyrimidin-5-yl-1,2,4-triazol-3-amine
551	1-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-3-methyl-azetidin-3-ol
552	1-(3,5-difluorophenyl)-N-[3-methyl-5-[3-(trifluoromethyl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
553	1-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-3-ethyl-azetidin-3-ol
554	4-[6-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-4-(trifluoromethyl)-2-pyridyl]-N-ethyl-piperazine-1-carboxamide
555	1-[4-[6-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-4-

Cmpd Number	IUPAC Name
	(trifluoromethyl)-2-pyridyl]piperazin-1-yl]ethanone
556	N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-piperazin-1-yl-4-(trifluoromethyl)pyridin-2-amine
557	tert-butyl 4-[6-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-4-(trifluoromethyl)-2-pyridyl]piperazine-1-carboxylate
558	1-(2,5-difluorophenyl)-N-(3-methyl-5-piperazin-1-yl-phenyl)-1,2,4-triazol-3-amine
559	1-(3,4-difluorophenyl)-N-[3-[4-(oxetan-3-yl)piperazin-1-yl]-5-propyl-phenyl]-1,2,4-triazol-3-amine
560	N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-4-methyl-6-morpholino-pyridin-2-amine
561	2-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-1,3,4,7,8,8a-hexahydropyrrolo[1,2-a]pyrazin-6-one
562	N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-4-methyl-6-(4-tetrahydrofuran-3-ylpiperazin-1-yl)pyridin-2-amine
563	N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-4-methyl-6-[3-(oxetan-3-yl)azetidin-1-yl]pyridin-2-amine
564	N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-(4-tetrahydrofuran-3-ylpiperazin-1-yl)-4-(trifluoromethyl)pyridin-2-amine
565	ethyl 1-[6-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-4-methyl-2-pyridyl]piperidine-4-carboxylate
566	N-[3-(3,4,6,7,9,9a-hexahydro-1H-pyrazino[2,1-c][1,4]oxazin-8-yl)-5-methyl-phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
567	N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-4-[4-(oxetan-3-yl)piperazin-1-yl]-6-(trifluoromethyl)pyridin-2-amine
568	N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-(3-fluoro-1-piperidyl)-4-methyl-pyridin-2-amine
569	1-[6-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-4-methyl-2-pyridyl]-4-methyl-piperidin-4-ol
570	N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-4-methyl-6-(7-oxa-2-azaspiro[3.4]octan-2-yl)pyridin-2-amine
571	N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-4-methyl-6-(3-oxa-6-azaspiro[3.3]heptan-6-yl)pyridin-2-amine
572	2-[1-[6-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-4-methyl-2-pyridyl]azetidin-3-yl]propan-2-ol
573	N-[3,5-bis(4-tert-butylpiperazin-1-yl)phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
574	N-[3,5-bis[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine

[00325] In Tables 2A and 2B below, several compounds have stereocenters with either known (*R* or *S*) or unknown absolute configurations and/or known (*cis* or *trans*) or unknown configurations. For example, compounds 603, 611, 623, 632, 655, 665, 667, 672, 673, 679, 682, 696, 700, 740, 748, 750, 751, 787, 796 and 800 in Table 2A are each single enantiomers with unknown stereochemistry and are arbitrarily assigned the "S" or "R" conformation in the compound name. Compounds 649 and 792 in Table 2B are each single enantiomers of unknown *cis*/*trans* configuration and are arbitrarily assigned a *trans* conformation. Compounds 826 and 861 in Table 2B are each single enantiomers of unknown *cis*/*trans* configuration and are arbitrarily assigned a *cis* conformation.

[00326] Table 2A

Cmpds No	**Single Enantiomer**	**Absolute Stereochemistry**
584	Yes	*S*
603	Yes	Unknown
611	Yes	Unknown
623	Yes	Unknown
628	Yes	1*R*,4*S*
632	Yes	Unknown
655	Yes	Unknown
665	Yes	Unknown
667	Yes	Unknown
670	Yes	3*R*
672	Yes	Unknown
673	Yes	Unknown
679	Yes	Unknown
682	Yes	Unknown
696	Yes	Unknown
700	Yes	Unknown
730	Yes	*S*
740	Yes	Unknown
748	Yes	Unknown
750	Yes	Unknown
751	Yes	Unknown
787	Yes	Unknown
796	Yes	Unknown
800	Yes	Unknown
822	Yes	1*S*,4*S*
831	Yes	*S*

[00327] Table 2B

Cmpds No	**Single Enantiomer**	***cis/trans***
618	Yes	*cis*
649	Yes	Unknown
752	Yes	*trans*
792	Yes	Unknown
813	Yes	*trans*
826	Yes	Unknown
857	Yes	*trans*
861	Yes	Unknown

[00328] Table 2C. Compound Names (IUPAC Nomenclature)

Cmpd No.	IUPAC Name
575	N-[3-methyl-5-(2-morpholinoethoxy)phenyl]-1-[3-(trifluoromethyl)phenyl]-1,2,4-triazol-3-amine
576	4-(difluoromethyl)-6-[4-(oxetan-3-yl)piperazin-1-yl]-N-(1-pyrazin-2-yl-1,2,4-triazol-3-yl)pyridin-2-amine
577	1-(3,4-difluorophenyl)-N-[3-ethyl-5-[4-(3-methyloxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
578	4-methyl-6-[4-(oxetan-3-yl)piperazin-1-yl]-N-[1-[3-(trifluoromethyl)phenyl]-1,2,4-triazol-3-yl]pyridin-2-amine
579	4-(1,1-difluoroethyl)-N-[1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]-6-[4-(oxetan-3-yl)piperazin-1-yl]pyridin-2-amine
580	N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-4-methyl-6-[4-(3-methyloxetan-3-yl)piperazin-1-yl]pyridin-2-amine
581	1-(3,5-difluorophenyl)-N-[3-methyl-5-[4-(oxetan-3-yl)morpholin-2-yl]phenyl]-1,2,4-triazol-3-amine
582	[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperazin-1-yl]-morpholino-methanone
583	N-[3-methyl-5-[[4-(oxetan-3-yl)piperazin-1-yl]methyl]phenyl]-1-pyrazin-2-yl-1,2,4-triazol-3-amine
584	1-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-N-[(1S)-2-methoxy-1-methyl-ethyl]azetidine-3-carboxamide
585	N-[3-methyl-5-[4-(3-methyloxetan-3-yl)piperazin-1-yl]phenyl]-1-(3-pyridyl)-1,2,4-triazol-3-amine
586	1-(3,5-difluorophenyl)-N-[3-methyl-5-[3-(4-oxa-7-azaspiro[2.5]octan-7-yl)azetidin-1-yl]phenyl]-1,2,4-triazol-3-amine
587	1-(3,5-difluorophenyl)-N-[2-fluoro-3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
588	5-methyl-N1-(5-methylthiazol-2-yl)-N3-(1-phenyl-1,2,4-

Cmpd No.	IUPAC Name
	triazol-3-yl)benzene-1,3-diamine
589	[1-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-4-piperidyl]-morpholino-methanone
590	1-(3,4-difluorophenyl)-N-[3-methyl-5-[[1-(oxetan-3-yl)-3-piperidyl]oxy]phenyl]-1,2,4-triazol-3-amine
591	1-(3,5-difluorophenyl)-N-[3-isopropoxy-2-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
592	3-[4-(oxetan-3-yl)piperazin-1-yl]-5-[(1-phenyl-1,2,4-triazol-3-yl)amino]benzonitrile
593	1-(3,5-difluorophenyl)-N-[3-methyl-5-[4-(2-morpholinoethyl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
594	N-[3-fluoro-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(3-fluorophenyl)-1,2,4-triazol-3-amine
595	1-[3-(difluoromethyl)phenyl]-N-[3-methyl-5-(3-morpholinoazetidin-1-yl)phenyl]-1,2,4-triazol-3-amine
596	1-(3,5-difluorophenyl)-N-[3-methyl-5-[[4-(oxetan-3-yl)piperazin-1-yl]methyl]phenyl]-1,2,4-triazol-3-amine
597	1-(3-chloro-4-fluoro-phenyl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
598	N-[3-fluoro-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-pyrazin-2-yl-1,2,4-triazol-3-amine
599	N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-4-methoxy-6-[4-(oxetan-3-yl)piperazin-1-yl]pyridin-2-amine
600	4-methyl-N2-tetrahydrofuran-3-yl-N6-[1-[3-(trifluoromethyl)phenyl]-1,2,4-triazol-3-yl]pyridine-2,6-diamine
601	N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-(3-morpholinoazetidin-1-yl)-4-(trifluoromethyl)pyridin-2-amine
602	1-(3,5-difluorophenyl)-N-[3-[3-(2,2-dimethylmorpholin-4-yl)azetidin-1-yl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
603	(5S)-5-methyl-5-[3-methyl-5-[(1-pyrazin-2-yl-1,2,4-triazol-3-yl)amino]phenyl]oxazolidin-2-one
604	N1-(1-ethyl-1,2,4-triazol-3-yl)-5-methyl-N3-(1-phenyl-1,2,4-triazol-3-yl)benzene-1,3-diamine
605	1-(3,5-difluorophenyl)-N-[3-methyl-5-[(1-methylpyrazol-3-yl)methoxy]phenyl]-1,2,4-triazol-3-amine
606	1-(4-fluorophenyl)-N-[3-methyl-5-(3-morpholinoazetidin-1-yl)phenyl]-1,2,4-triazol-3-amine
607	2-[[1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]amino]-6-[4-(oxetan-3-yl)piperazin-1-yl]pyridine-4-carbonitrile
608	3-morpholino-5-[(1-phenyl-1,2,4-triazol-3-

Cmpd No.	IUPAC Name
	yl)amino]benzonitrile
609	N-[3-methyl-5-[4-(oxetan-3-yl)-1,4-diazepan-1-yl]phenyl]-1-(2-pyridyl)-1,2,4-triazol-3-amine
610	4-methoxy-6-[4-(oxetan-3-yl)piperazin-1-yl]-N-[1-[3-(trifluoromethyl)phenyl]-1,2,4-triazol-3-yl]pyridin-2-amine
611	(5S)-5-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-3,5-dimethyl-oxazolidin-2-one
612	N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-fluoro-4-(3-morpholinoazetidin-1-yl)pyridin-2-amine
613	5-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-3,5-dimethyl-oxazolidin-2-one
614	N6-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-4-methyl-N2-tetrahydrofuran-3-yl-pyridine-2,6-diamine
615	1-(3,4-difluorophenyl)-N-[3-methyl-5-[(3-methyloxetan-3-yl)methoxy]phenyl]-1,2,4-triazol-3-amine
616	N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-methyl-4-(3-morpholinoazetidin-1-yl)pyridin-2-amine
617	1-(3,5-difluorophenyl)-N-[3-[3-(4-fluoro-1-piperidyl)azetidin-1-yl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
618	1-(3,5-difluorophenyl)-N-[3-[3-[(2R,6S)-2,6-dimethylmorpholin-4-yl]azetidin-1-yl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
619	N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-[4-(methoxymethyl)-1-piperidyl]-4-methyl-pyridin-2-amine
620	1-(3,5-difluorophenyl)-N-[3-methyl-5-[[1-(oxetan-3-yl)-3-piperidyl]oxy]phenyl]-1,2,4-triazol-3-amine
621	N-[1-(4-chloro-3-fluoro-phenyl)-1,2,4-triazol-3-yl]-4-(difluoromethyl)-6-[4-(oxetan-3-yl)piperazin-1-yl]pyridin-2-amine
622	1-(4-fluorophenyl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
623	1-(3,5-difluorophenyl)-N-[3-methyl-5-[(2S)-4-(oxetan-3-yl)morpholin-2-yl]phenyl]-1,2,4-triazol-3-amine
624	1-(3-fluoro-4-methoxy-phenyl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
625	4-(methoxymethyl)-6-[4-(oxetan-3-yl)piperazin-1-yl]-N-[1-[3-(trifluoromethyl)phenyl]-1,2,4-triazol-3-yl]pyridin-2-amine
626	1-[4-[3-[[1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenoxy]-1-piperidyl]ethanone
627	1-(3,4-difluorophenyl)-N-[3-methyl-5-(tetrahydropyran-4-

Cmpd No.	IUPAC Name
	ylmethoxy)phenyl]-1,2,4-triazol-3-amine
628	1-(3,5-difluorophenyl)-N-[3-methyl-5-[(1R,4R)-2-oxa-5-azabicyclo[2.2.1]heptan-5-yl]phenyl]-1,2,4-triazol-3-amine
629	1-(3,5-difluorophenyl)-N-[3-methyl-5-[5-methyl-4-(oxetan-3-yl)morpholin-2-yl]phenyl]-1,2,4-triazol-3-amine
630	1-(3,5-difluorophenyl)-N-[2-fluoro-5-methyl-3-[[4-(oxetan-3-yl)piperazin-1-yl]methyl]phenyl]-1,2,4-triazol-3-amine
631	N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-4-methyl-6-(1,2,3,6-tetrahydropyridin-4-yl)pyridin-2-amine
632	1-(3,4-difluorophenyl)-N-[3-methyl-5-[[(3S)-1-(oxetan-3-yl)-3-piperidyl]oxy]phenyl]-1,2,4-triazol-3-amine
633	1-(3,4-difluorophenyl)-N-[3-methyl-5-[4-(3-methyloxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
634	1-(3,5-difluorophenyl)-N-[3-methyl-5-[3-(1-piperidyl)azetidin-1-yl]phenyl]-1,2,4-triazol-3-amine
635	1-(3,5-difluorophenyl)-N-(3-methyl-5-tetrahydropyran-3-yloxy-phenyl)-1,2,4-triazol-3-amine
636	N-[1-[3-(difluoromethyl)phenyl]-1,2,4-triazol-3-yl]-6-(3-morpholinoazetidin-1-yl)-4-(trifluoromethyl)pyridin-2-amine
637	1-(3,5-difluorophenyl)-N-[3-methyl-5-[4-(oxetan-3-yl)-1,4-diazepan-1-yl]phenyl]-1,2,4-triazol-3-amine
638	1-(3,4-difluorophenyl)-N-(3-methyl-5-tetrahydropyran-3-yloxy-phenyl)-1,2,4-triazol-3-amine
639	N-[1-[3-(difluoromethyl)phenyl]-1,2,4-triazol-3-yl]-4-methoxy-6-[4-(oxetan-3-yl)piperazin-1-yl]pyridin-2-amine
640	N-[3-[(3,3-difluorocyclobutyl)methoxy]-5-methyl-phenyl]-1-(3,4-difluorophenyl)-1,2,4-triazol-3-amine
641	1-(3,5-difluorophenyl)-N-[3-isopropoxy-2-methyl-5-(3-morpholinoazetidin-1-yl)phenyl]-1,2,4-triazol-3-amine
642	N-[3-fluoro-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(2-pyridyl)-1,2,4-triazol-3-amine
643	5-methyl-N3-(1-phenyl-1,2,4-triazol-3-yl)-N1-thiazol-2-yl-benzene-1,3-diamine
644	N-[3-methyl-5-(2-pyrazol-1-ylethoxy)phenyl]-1-[3-(trifluoromethyl)phenyl]-1,2,4-triazol-3-amine
645	4-(difluoromethyl)-N-[1-[3-(difluoromethyl)phenyl]-1,2,4-triazol-3-yl]-6-[4-(methoxymethyl)-1-piperidyl]pyridin-2-amine
646	1-(3,5-difluoro-4-methoxy-phenyl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
647	1-(4-fluoro-3-methyl-phenyl)-N-[3-fluoro-5-[4-(oxetan-3-

Cmpd No.	IUPAC Name
	yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
648	1-(3,5-difluorophenyl)-N-[3-methyl-5-(tetrahydrofuran-3-ylmethoxy)phenyl]-1,2,4-triazol-3-amine
649	N1-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N3-(3-morpholinocyclobutyl)benzene-1,3-diamine
650	N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-(4-methylpiperazin-1-yl)-4-[4-(oxetan-3-yl)piperazin-1-yl]pyridin-2-amine
651	N-[3-methyl-5-(3-morpholinoazetidin-1-yl)phenyl]-1-[3-(trifluoromethyl)phenyl]-1,2,4-triazol-3-amine
652	1-(3,5-difluorophenyl)-N-[3-methyl-5-[3-(5-oxa-2-azabicyclo[4.1.0]heptan-2-yl)azetidin-1-yl]phenyl]-1,2,4-triazol-3-amine
653	1-(3,5-difluorophenyl)-N-[3-isopropoxy-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
654	N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-(4-ethylpiperazin-1-yl)-4-(trifluoromethyl)pyridin-2-amine
655	(5R)-5-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-5-methyl-oxazolidin-2-one
656	3-[[1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-[4-(oxetan-3-yl)piperazin-1-yl]benzonitrile
657	N-[3,5-bis(4-methylpiperazin-1-yl)phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
658	5-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-5-methyl-oxazolidin-2-one
659	1-(3,4-difluorophenyl)-N-[3-methyl-5-[3-(1,4-oxazepan-4-yl)azetidin-1-yl]phenyl]-1,2,4-triazol-3-amine
660	6-chloro-N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-4-(3-morpholinoazetidin-1-yl)pyridin-2-amine
661	1-(3,5-difluorophenyl)-N-[3-[3-(1,1-dioxo-1,4-thiazinan-4-yl)azetidin-1-yl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
662	N-[3-methoxy-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-[3-(trifluoromethyl)phenyl]-1,2,4-triazol-3-amine
663	1-(3,5-difluorophenyl)-N-[3-methyl-5-[6-methyl-4-(oxetan-3-yl)morpholin-2-yl]phenyl]-1,2,4-triazol-3-amine
664	2-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-N-methyl-6-[4-(oxetan-3-yl)piperazin-1-yl]pyridine-4-carboxamide
665	1-(3,4-difluorophenyl)-N-[3-methyl-5-[[(3R)-1-(oxetan-3-yl)-3-piperidyl]oxy]phenyl]-1,2,4-triazol-3-amine
666	3-morpholino-5-[(1-pyrazin-2-yl-1,2,4-triazol-3-yl)amino]benzonitrile
667	1-(3,5-difluorophenyl)-N-[3-methyl-5-[(2S)-2-methyl-4-

Cmpd No.	IUPAC Name
	(oxetan-3-yl)morpholin-2-yl]phenyl]-1,2,4-triazol-3-amine
668	3-[[1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-morpholino-benzonitrile
669	N3-(1-isopropylpyrazol-3-yl)-5-methyl-N1-(1-phenyl-1,2,4-triazol-3-yl)benzene-1,3-diamine
670	1-(3,5-difluorophenyl)-N-[3-methyl-5-[3-[(3R)-3-methylmorpholin-4-yl]azetidin-1-yl]phenyl]-1,2,4-triazol-3-amine
671	N-[3-methyl-5-[(3-methyloxetan-3-yl)methoxy]phenyl]-1-[3-(trifluoromethyl)phenyl]-1,2,4-triazol-3-amine
672	N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-[4-[(3R)-tetrahydrofuran-3-yl]piperazin-1-yl]-4-(trifluoromethyl)pyridin-2-amine
673	N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-4-methyl-6-[4-[(3R)-tetrahydrofuran-3-yl]piperazin-1-yl]pyridin-2-amine
674	N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-morpholino-4-(trifluoromethyl)pyridin-2-amine
675	methyl 2-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-6-morpholino-pyridine-4-carboxylate
676	5-methyl-N3-(1-methyl-1,2,4-triazol-3-yl)-N1-(1-phenyl-1,2,4-triazol-3-yl)benzene-1,3-diamine
677	1-(3,5-difluorophenyl)-N-[3-methyl-5-[(3-methyloxetan-3-yl)methoxy]phenyl]-1,2,4-triazol-3-amine
678	3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-[4-(oxetan-3-yl)piperazin-1-yl]benzonitrile
679	(5S)-5-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-5-methyl-oxazolidin-2-one
680	4-(difluoromethyl)-6-[4-(oxetan-3-yl)piperazin-1-yl]-N-[1-[3-(trifluoromethyl)phenyl]-1,2,4-triazol-3-yl]pyridin-2-amine
681	5-methyl-N1,N3-bis(1-phenyl-1,2,4-triazol-3-yl)benzene-1,3-diamine
682	(5R)-5-methyl-5-[3-methyl-5-[(1-pyrazin-2-yl-1,2,4-triazol-3-yl)amino]phenyl]oxazolidin-2-one
683	1-(3,5-difluorophenyl)-N-[3-methyl-5-(3-methyl-3-morpholino-azetidin-1-yl)phenyl]-1,2,4-triazol-3-amine
684	6-[4-(oxetan-3-yl)piperazin-1-yl]-N-[1-(3-pyridyl)-1,2,4-triazol-3-yl]-4-(trifluoromethyl)pyridin-2-amine
685	4-(1,1-difluoroethyl)-N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-[4-(oxetan-3-yl)piperazin-1-yl]pyridin-2-amine
686	N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-fluoro-

Cmpd No.	IUPAC Name
	N1-(oxetan-3-yl)benzene-1,3-diamine
687	4-[3-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]anilino]-1,2,4-triazol-1-yl]benzonitrile
688	N-[3-(2,6-diazaspiro[3.3]heptan-2-yl)-2-fluoro-5-methyl-phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
689	N6-[1-[3-(difluoromethyl)phenyl]-1,2,4-triazol-3-yl]-4-methyl-N2-tetrahydrofuran-3-yl-pyridine-2,6-diamine
690	[1-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]azetidin-3-yl]-morpholino-methanone
691	1-(3,5-difluorophenyl)-N-[2-fluoro-5-methyl-3-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
692	1-(3,5-difluorophenyl)-N-[3-methyl-5-(8-oxa-3-azabicyclo[3.2.1]octan-3-yl)phenyl]-1,2,4-triazol-3-amine
693	1-[3-(difluoromethyl)phenyl]-N-[3-methoxy-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
694	1-(3,5-difluorophenyl)-N-[3-methyl-5-(3-oxa-6-azabicyclo[3.1.1]heptan-6-yl)phenyl]-1,2,4-triazol-3-amine
695	1-(4-fluorophenyl)-N-[3-methyl-5-[4-(3-methyloxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
696	1-(3,5-difluorophenyl)-N-[3-methyl-5-[3-[(2R)-2-methylmorpholin-4-yl]azetidin-1-yl]phenyl]-1,2,4-triazol-3-amine
697	1-(3,5-difluorophenyl)-N-[2,5-dimethyl-3-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
698	1-(3,4-difluorophenyl)-N-[3-methyl-5-(2-pyrazol-1-ylethoxy)phenyl]-1,2,4-triazol-3-amine
699	5-methyl-N3-(5-methyl-1H-pyrazol-3-yl)-N1-(1-phenyl-1,2,4-triazol-3-yl)benzene-1,3-diamine
700	1-(3,5-difluorophenyl)-N-[3-methyl-5-[[(3S)-1-(oxetan-3-yl)-3-piperidyl]oxy]phenyl]-1,2,4-triazol-3-amine
701	1-(3,5-difluorophenyl)-N-[3-(3-morpholinoazetidin-1-yl)-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
702	6-(4-tert-butylpiperazin-1-yl)-N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-4-methyl-pyridin-2-amine
703	1-(3,5-difluorophenyl)-N-(3-methyl-5-tetrahydrofuran-3-yloxy-phenyl)-1,2,4-triazol-3-amine
704	N-[3-methyl-5-(3-morpholinoazetidin-1-yl)phenyl]-1-phenyl-1,2,4-triazol-3-amine
705	5-chloro-N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-N1-(oxetan-3-yl)benzene-1,3-diamine
706	N-[3-[(3,3-difluorocyclobutyl)methoxy]-5-methyl-phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine

Cmpd No.	IUPAC Name
707	6-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-4-[4-(oxetan-3-yl)piperazin-1-yl]pyridine-2-carbonitrile
708	1-(3,5-difluorophenyl)-N-[3-methyl-5-[2-methyl-4-(oxetan-3-yl)morpholin-2-yl]phenyl]-1,2,4-triazol-3-amine
709	N-[3-(4-tert-butylpiperazin-1-yl)-5-methyl-phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
710	1-(4-methoxyphenyl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
711	1-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-N,N-dimethyl-azetidine-3-carboxamide
712	6-[4-(oxetan-3-yl)piperazin-1-yl]-N-(1-pyrazin-2-yl-1,2,4-triazol-3-yl)-4-(trifluoromethyl)pyridin-2-amine
713	[2-[[1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]amino]-6-[4-(oxetan-3-yl)piperazin-1-yl]-4-pyridyl]methanol
714	1-(3,4-difluorophenyl)-N-[3-methyl-5-[(1-methylpyrazol-3-yl)methoxy]phenyl]-1,2,4-triazol-3-amine
715	1-(3-chloro-4-methyl-phenyl)-N-[3-ethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
716	4-(difluoromethyl)-N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-[4-(3-methyloxetan-3-yl)piperazin-1-yl]pyridin-2-amine
717	1-(3,5-difluorophenyl)-N-[3-methyl-5-[3-(8-oxa-3-azabicyclo[3.2.1]octan-3-yl)azetidin-1-yl]phenyl]-1,2,4-triazol-3-amine
718	4-(difluoromethyl)-N-[1-[3-(difluoromethyl)phenyl]-1,2,4-triazol-3-yl]-6-[4-(oxetan-3-yl)piperazin-1-yl]pyridin-2-amine
719	4-(difluoromethyl)-6-(3-morpholinoazetidin-1-yl)-N-[1-[3-(trifluoromethyl)phenyl]-1,2,4-triazol-3-yl]pyridin-2-amine
720	1-(3,4-difluorophenyl)-N-[3-methyl-5-(3-morpholinoazetidin-1-yl)phenyl]-1,2,4-triazol-3-amine
721	1-(3-fluorophenyl)-N-[3-methyl-5-(3-morpholinoazetidin-1-yl)phenyl]-1,2,4-triazol-3-amine
722	1-(3,5-difluorophenyl)-N-[3-methyl-5-(3-oxa-8-azabicyclo[3.2.1]octan-8-yl)phenyl]-1,2,4-triazol-3-amine
723	N-[3-chloro-5-(3-morpholinoazetidin-1-yl)phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
724	1-(3,5-difluorophenyl)-N-[3-(2,5-dioxa-8-azaspiro[3.5]nonan-8-yl)-5-methyl-phenyl]-1,2,4-triazol-3-amine
725	1-[3-(difluoromethyl)phenyl]-N-[3-methyl-5-(4-morpholino-1-piperidyl)phenyl]-1,2,4-triazol-3-amine
726	N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-4,6-bis[4-

Cmpd No.	IUPAC Name
	(oxetan-3-yl)piperazin-1-yl]pyridin-2-amine
727	1-(3,5-difluorophenyl)-N-[3-methyl-5-(2-oxa-5-azabicyclo[2.2.1]heptan-5-yl)phenyl]-1,2,4-triazol-3-amine
728	N-[1-(4-fluorophenyl)-1,2,4-triazol-3-yl]-6-[4-(oxetan-3-yl)piperazin-1-yl]-4-(trifluoromethyl)pyridin-2-amine
729	4-(difluoromethyl)-N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-[4-(methoxymethyl)-1-piperidyl]pyridin-2-amine
730	1-(3,5-difluorophenyl)-N-[3-methyl-5-[3-[(2S)-2-methylmorpholin-4-yl]azetidin-1-yl]phenyl]-1,2,4-triazol-3-amine
731	N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-4-methyl-6-(4-methylpiperazin-1-yl)pyridin-2-amine
732	4-(difluoromethyl)-N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-(3-morpholinoazetidin-1-yl)pyridin-2-amine
733	6-chloro-N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-4-[4-(oxetan-3-yl)piperazin-1-yl]pyridin-2-amine
734	3-[3-[3-ethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]anilino]-1,2,4-triazol-1-yl]benzonitrile
735	1-(3-fluoro-4-methoxy-phenyl)-N-[3-methyl-5-(3-morpholinoazetidin-1-yl)phenyl]-1,2,4-triazol-3-amine
736	1-[3-(difluoromethyl)phenyl]-N-[3-ethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
737	3-[[1-(3-fluorophenyl)-1,2,4-triazol-3-yl]amino]-5-morpholino-benzonitrile
738	N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-N1,5-dimethyl-N1-(2-morpholinoethyl)benzene-1,3-diamine
739	1-(3,5-difluorophenyl)-N-[2,3-dimethyl-5-(3-morpholinoazetidin-1-yl)phenyl]-1,2,4-triazol-3-amine
740	N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-4-methyl-6-[4-[(3S)-tetrahydrofuran-3-yl]piperazin-1-yl]pyridin-2-amine
741	5-methyl-5-[3-methyl-5-[(1-pyrazin-2-yl-1,2,4-triazol-3-yl)amino]phenyl]oxazolidin-2-one
742	1-(3,5-difluorophenyl)-N-[3-methyl-5-[3-(6-oxa-3-azabicyclo[3.1.1]heptan-3-yl)azetidin-1-yl]phenyl]-1,2,4-triazol-3-amine
743	1-(3,5-difluorophenyl)-N-[2-fluoro-5-methyl-3-(2-oxa-6-azaspiro[3.3]heptan-6-yl)phenyl]-1,2,4-triazol-3-amine
744	N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-4-methyl-6-(4-piperidyl)pyridin-2-amine
745	N-[3-[4-(3,3-difluoroazetidin-1-yl)-1-piperidyl]-5-methyl-phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
746	1-(3,5-difluorophenyl)-N-[3-methyl-5-[3-(3-oxa-8-

Cmpd No.	IUPAC Name
	azabicyclo[3.2.1]octan-8-yl)azetidin-1-yl]phenyl]-1,2,4-triazol-3-amine
747	1-(3,5-difluorophenyl)-N-[3-[4-[3-(dimethylamino)propyl]piperazin-1-yl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
748	1-(3,5-difluorophenyl)-N-[3-methyl-5-[[(3R)-1-(oxetan-3-yl)-3-piperidyl]oxy]phenyl]-1,2,4-triazol-3-amine
749	N-[1-(4-chloro-3-fluoro-phenyl)-1,2,4-triazol-3-yl]-4-methyl-6-[4-(oxetan-3-yl)piperazin-1-yl]pyridin-2-amine
750	1-(3,5-difluorophenyl)-N-[3-methyl-5-[(2R)-2-methyl-4-(oxetan-3-yl)morpholin-2-yl]phenyl]-1,2,4-triazol-3-amine
751	1-(3,5-difluorophenyl)-N-[3-methyl-5-[(2R)-4-(oxetan-3-yl)morpholin-2-yl]phenyl]-1,2,4-triazol-3-amine
752	1-(3,5-difluorophenyl)-N-[3-methyl-5-(3-morpholinocyclobutoxy)phenyl]-1,2,4-triazol-3-amine
753	N-[3-chloro-5-(3-morpholinoazetidin-1-yl)phenyl]-1-pyrazin-2-yl-1,2,4-triazol-3-amine
754	1-[3-fluoro-5-(trifluoromethyl)phenyl]-N-[3-methoxy-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
755	1-[6-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-4-methyl-2-pyridyl]piperidin-3-ol
756	4-(difluoromethyl)-N-[1-[3-(difluoromethyl)phenyl]-1,2,4-triazol-3-yl]-6-(3-morpholinoazetidin-1-yl)pyridin-2-amine
757	1-[3-fluoro-5-(trifluoromethyl)phenyl]-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
758	N-[1-(4-chloro-3-fluoro-phenyl)-1,2,4-triazol-3-yl]-4-methoxy-6-[4-(oxetan-3-yl)piperazin-1-yl]pyridin-2-amine
759	3-[3-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]anilino]-1,2,4-triazol-1-yl]benzonitrile
760	N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-2-[4-(oxetan-3-yl)piperazin-1-yl]-6-(trifluoromethyl)pyridin-4-amine
761	N-[3-ethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(3-fluoro-4-methyl-phenyl)-1,2,4-triazol-3-amine
762	4-[3-[3-ethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]anilino]-1,2,4-triazol-1-yl]benzonitrile
763	3-morpholino-5-[[1-(3-pyridyl)-1,2,4-triazol-3-yl]amino]benzonitrile
764	N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-(3-methoxy-1-piperidyl)-4-methyl-pyridin-2-amine
765	N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-2-fluoro-5-methyl-N1-tetrahydrofuran-3-yl-benzene-1,3-diamine
766	N-[3-(3-fluoroazetidin-1-yl)-5-methyl-phenyl]-1-[3-fluoro-5-(2-methoxyethylamino)phenyl]-1,2,4-triazol-3-amine

Cmpd No.	IUPAC Name
767	1-(3-chloro-4-methyl-phenyl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
768	N-[1-[3-(difluoromethyl)phenyl]-1,2,4-triazol-3-yl]-4-methyl-6-(3-morpholinoazetidin-1-yl)pyridin-2-amine
769	N-[3-(2-cyclopropylethynyl)-5-methyl-phenyl]-1-(3-fluorophenyl)-1,2,4-triazol-3-amine
770	N-[1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]-6-morpholino-4-(trifluoromethyl)pyridin-2-amine
771	1-(3,5-difluorophenyl)-N-[3-methyl-5-(3,3,4-trimethylpiperazin-1-yl)phenyl]-1,2,4-triazol-3-amine
772	1-(3,5-difluorophenyl)-N-[3-methyl-5-[4-(4-methylpiperazin-1-yl)-1-piperidyl]phenyl]-1,2,4-triazol-3-amine
773	[1-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]azetidin-3-yl]-pyrrolidin-1-yl-methanone
774	N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-(3,6-dihydro-2H-pyran-4-yl)-4-methyl-pyridin-2-amine
775	3-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-fluoro-phenyl]oxetan-3-ol
776	1-(2-fluoro-4-pyridyl)-N-[3-methyl-5-[4-(oxetan-3-yl)-1,4-diazepan-1-yl]phenyl]-1,2,4-triazol-3-amine
777	4-(difluoromethyl)-N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-(2-oxa-8-azaspiro[3.5]nonan-8-yl)pyridin-2-amine
778	1-(3,4-difluorophenyl)-N-(3-methyl-5-tetrahydrofuran-3-yloxy-phenyl)-1,2,4-triazol-3-amine
779	1-(3-fluoro-4-methyl-phenyl)-N-[3-fluoro-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
780	1-(4-fluoro-3-methyl-phenyl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
781	1-(3-fluoro-4-methoxy-phenyl)-N-[3-fluoro-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
782	1-(3,5-difluoro-4-methoxy-phenyl)-N-[3-methyl-5-(3-morpholinoazetidin-1-yl)phenyl]-1,2,4-triazol-3-amine
783	N-[3-fluoro-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(2-fluoro-4-pyridyl)-1,2,4-triazol-3-amine
784	N-[3-chloro-5-(3-morpholinoazetidin-1-yl)phenyl]-1-(3,4-difluorophenyl)-1,2,4-triazol-3-amine
785	N-[3-chloro-5-(3-morpholinoazetidin-1-yl)phenyl]-1-(2-pyridyl)-1,2,4-triazol-3-amine
786	N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-[3-(methoxymethyl)-1-piperidyl]-4-methyl-pyridin-2-amine
787	N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-[4-[(3S)-tetrahydrofuran-3-yl]piperazin-1-yl]-4-

Cmpd No.	IUPAC Name
	(trifluoromethyl)pyridin-2-amine
788	1-(3,5-difluorophenyl)-N-[3-methyl-5-[3-(2-oxa-5-azabicyclo[2.2.1]heptan-5-yl)azetidin-1-yl]phenyl]-1,2,4-triazol-3-amine
789	2-[[1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]amino]-N-methyl-6-[4-(oxetan-3-yl)piperazin-1-yl]pyridine-4-carboxamide
790	1-(3,5-difluorophenyl)-N-[2-fluoro-5-methyl-3-(3-morpholinoazetidin-1-yl)phenyl]-1,2,4-triazol-3-amine
791	2-[[1-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]azetidin-3-yl]amino]ethanol
792	N1-[1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N3-(3-morpholinocyclobutyl)benzene-1,3-diamine
793	3-[[1-(3-fluorophenyl)-1,2,4-triazol-3-yl]amino]-5-[4-(oxetan-3-yl)piperazin-1-yl]benzonitrile
794	1-[3-(difluoromethyl)phenyl]-N-[3-fluoro-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
795	4-(difluoromethyl)-6-[4-(methoxymethyl)-1-piperidyl]-N-[1-[3-(trifluoromethyl)phenyl]-1,2,4-triazol-3-yl]pyridin-2-amine
796	(5R)-5-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-3,5-dimethyl-oxazolidin-2-one
797	N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N1-(3-morpholinocyclobutyl)benzene-1,3-diamine
798	N-[3-(2-cyclopropylethynyl)-5-methyl-phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
799	N3-[1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N1-(3-morpholinocyclobutyl)benzene-1,3-diamine
800	1-(3,5-difluorophenyl)-N-[3-methyl-5-[3-[(3S)-3-methylmorpholin-4-yl]azetidin-1-yl]phenyl]-1,2,4-triazol-3-amine
801	N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-4-methyl-6-[1-(oxetan-3-yl)-4-piperidyl]pyridin-2-amine
802	N-[3-ethyl-5-[4-(3-methyloxetan-3-yl)piperazin-1-yl]phenyl]-1-(4-fluorophenyl)-1,2,4-triazol-3-amine
803	1-(3,4-difluorophenyl)-N-[3-fluoro-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
804	N-[3-(difluoromethyl)-5-(3-morpholinoazetidin-1-yl)phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
805	1-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenoxy]-1-piperidyl]ethanone
806	4-(difluoromethyl)-N-[1-(4-fluorophenyl)-1,2,4-triazol-3-

Cmpd No.	IUPAC Name
	yl]-6-[4-(oxetan-3-yl)piperazin-1-yl]pyridin-2-amine
807	N-[1-[3-(difluoromethyl)phenyl]-1,2,4-triazol-3-yl]-6-[4-(methoxymethyl)-1-piperidyl]-4-(trifluoromethyl)pyridin-2-amine
808	1-(3,5-difluorophenyl)-N-[3-methyl-5-[3-(1,4-oxazepan-4-yl)azetidin-1-yl]phenyl]-1,2,4-triazol-3-amine
809	1-(3,5-difluorophenyl)-N-[3-[4-[2-(dimethylamino)ethyl]piperazin-1-yl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
810	N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-2-fluoro-5-methyl-N1-tetrahydropyran-4-yl-benzene-1,3-diamine
811	N-[3-isopropoxy-2-methyl-5-(3-morpholinoazetidin-1-yl)phenyl]-1-(2-pyridyl)-1,2,4-triazol-3-amine
812	1-(3,5-difluorophenyl)-N-[3-methyl-5-(2-morpholinoethoxy)phenyl]-1,2,4-triazol-3-amine
813	N-[3-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenoxy]cyclobutyl]acetamide
814	1-(3,5-difluorophenyl)-N-[3-methyl-5-(2-oxa-8-azaspiro[4.5]decan-8-yl)phenyl]-1,2,4-triazol-3-amine
815	[1-[6-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-4-methyl-2-pyridyl]-3-piperidyl]methanol
816	1-(3,5-difluorophenyl)-N-[3-[3-(2-methoxyethylamino)azetidin-1-yl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
817	1-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-N,N-diethyl-azetidine-3-carboxamide
818	N-[3-methyl-5-[4-(oxetan-3-yl)-1,4-diazepan-1-yl]phenyl]-1-pyrazin-2-yl-1,2,4-triazol-3-amine
819	N-[3-chloro-5-(3-morpholinoazetidin-1-yl)phenyl]-1-(2-fluoro-4-pyridyl)-1,2,4-triazol-3-amine
820	1-(3,5-difluorophenyl)-N-[2,5-dimethyl-3-(3-morpholinoazetidin-1-yl)phenyl]-1,2,4-triazol-3-amine
821	1-[6-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-4-methyl-2-pyridyl]azetidine-3-carbonitrile
822	1-(3,5-difluorophenyl)-N-[3-methyl-5-[(1S,4S)-2-oxa-5-azabicyclo[2.2.1]heptan-5-yl]phenyl]-1,2,4-triazol-3-amine
823	4-(difluoromethyl)-N-[1-(3-fluorophenyl)-1,2,4-triazol-3-yl]-6-[4-(3-methyloxetan-3-yl)piperazin-1-yl]pyridin-2-amine
824	1-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-N-(2-methoxyethyl)-N-methyl-azetidine-3-carboxamide
825	N-[3-methyl-5-[3-(1,4-oxazepan-4-yl)azetidin-1-

Cmpd No.	IUPAC Name
	yl]phenyl]-1-pyrazin-2-yl-1,2,4-triazol-3-amine
826	N1-[1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N3-(3-morpholinocyclobutyl)benzene-1,3-diamine
827	N-[3-chloro-5-(3-morpholinoazetidin-1-yl)phenyl]-1-(3-fluorophenyl)-1,2,4-triazol-3-amine
828	5-methyl-N3-(1-methylpyrazol-3-yl)-N1-(1-phenyl-1,2,4-triazol-3-yl)benzene-1,3-diamine
829	N-[3-ethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(4-fluoro-3-methyl-phenyl)-1,2,4-triazol-3-amine
830	1-(3,5-difluorophenyl)-N-[3-isopropoxy-5-(3-morpholinoazetidin-1-yl)phenyl]-1,2,4-triazol-3-amine
831	N-[3-[(8aS)-7,7-difluoro-1,3,4,6,8,8a-hexahydropyrrolo[1,2-a]pyrazin-2-yl]-5-methyl-phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
832	1-(3,5-difluorophenyl)-N-[3-methyl-5-(2-pyrazol-1-ylethoxy)phenyl]-1,2,4-triazol-3-amine
833	1-[3-(difluoromethyl)phenyl]-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
834	1-(3,4-difluorophenyl)-N-[2-fluoro-5-methyl-3-[[4-(oxetan-3-yl)piperazin-1-yl]methyl]phenyl]-1,2,4-triazol-3-amine
835	3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-morpholino-benzonitrile
836	1-(3-fluoro-4-methyl-phenyl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
837	4-methyl-6-morpholino-N-[1-[3-(trifluoromethyl)phenyl]-1,2,4-triazol-3-yl]pyridin-2-amine
838	5-methyl-N1-oxazol-2-yl-N3-(1-phenyl-1,2,4-triazol-3-yl)benzene-1,3-diamine
839	N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-[4-(methoxymethyl)-1-piperidyl]-4-(trifluoromethyl)pyridin-2-amine
840	1-(3,4-difluorophenyl)-N-[3-methyl-5-[[4-(oxetan-3-yl)piperazin-1-yl]methyl]phenyl]-1,2,4-triazol-3-amine
841	1-(4-methoxyphenyl)-N-[3-methyl-5-(3-morpholinoazetidin-1-yl)phenyl]-1,2,4-triazol-3-amine
842	3-[4-(oxetan-3-yl)piperazin-1-yl]-5-[[1-(3-pyridyl)-1,2,4-triazol-3-yl]amino]benzonitrile
843	6-(2,3,3a,4,6,6a-hexahydrofuro[2,3-c]pyrrol-5-yl)-N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-4-methyl-pyridin-2-amine
845	1-(3,4-difluorophenyl)-N-[3-methyl-5-(2-morpholinoethoxy)phenyl]-1,2,4-triazol-3-amine

Cmpd No.	IUPAC Name
846	3,5-dimethyl-5-[3-methyl-5-[(1-pyrazin-2-yl-1,2,4-triazol-3-yl)amino]phenyl]oxazolidin-2-one
847	N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-fluoro-4-[4-(oxetan-3-yl)piperazin-1-yl]pyridin-2-amine
848	N-[1-[3-(difluoromethyl)phenyl]-1,2,4-triazol-3-yl]-4-methyl-6-[4-(oxetan-3-yl)piperazin-1-yl]pyridin-2-amine
849	4-(difluoromethyl)-N-[1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]-6-[4-(3-methyloxetan-3-yl)piperazin-1-yl]pyridin-2-amine
850	1-(3,5-difluorophenyl)-N-[3-[4-(3-methoxypropyl)piperazin-1-yl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
851	1-(3,5-difluorophenyl)-N-[3-methyl-5-(1-oxa-8-azaspiro[4.5]decan-8-yl)phenyl]-1,2,4-triazol-3-amine
852	2-[3-fluoro-5-[3-[3-(3-fluoroazetidin-1-yl)-5-methyl-anilino]-1,2,4-triazol-1-yl]anilino]ethanol
853	2-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-6-[4-(oxetan-3-yl)piperazin-1-yl]pyridine-4-carbonitrile
854	N-[3-methyl-5-(4-morpholino-1-piperidyl)phenyl]-1-[3-(trifluoromethyl)phenyl]-1,2,4-triazol-3-amine
855	N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-[4-(2-methoxyethyl)-1-piperidyl]-4-methyl-pyridin-2-amine
856	1-(3,5-difluorophenyl)-N-(2-fluoro-5-methyl-3-tetrahydrofuran-3-yloxy-phenyl)-1,2,4-triazol-3-amine
857	1-(3,5-difluorophenyl)-N-[3-[3-[(2R,6R)-2,6-dimethylmorpholin-4-yl]azetidin-1-yl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
858	N1-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N3-(2-morpholinoethyl)benzene-1,3-diamine
859	N-[1-(3-chloro-4-fluoro-phenyl)-1,2,4-triazol-3-yl]-4-(difluoromethyl)-6-[4-(oxetan-3-yl)piperazin-1-yl]pyridin-2-amine
860	4-(1,1-difluoroethyl)-N-[1-(3-fluorophenyl)-1,2,4-triazol-3-yl]-6-[4-(oxetan-3-yl)piperazin-1-yl]pyridin-2-amine
861	N1-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N3-(3-morpholinocyclobutyl)benzene-1,3-diamine
862	N-[3-isopropoxy-2-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(2-pyridyl)-1,2,4-triazol-3-amine
863	N-[3-chloro-5-(3-morpholinoazetidin-1-yl)phenyl]-1-pyrimidin-4-yl-1,2,4-triazol-3-amine
864	[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-[4-(oxetan-3-yl)piperazin-1-yl]methanone
865	1-(3,4-difluorophenyl)-N-[3-methyl-5-(tetrahydrofuran-3-

Cmpd No.	IUPAC Name
	ylmethoxy)phenyl]-1,2,4-triazol-3-amine
866	1-(3,5-difluorophenyl)-N-[3-methyl-5-[3-(morpholinomethyl)azetidin-1-yl]phenyl]-1,2,4-triazol-3-amine
867	4-(difluoromethyl)-6-morpholino-N-[1-[3-(trifluoromethyl)phenyl]-1,2,4-triazol-3-yl]pyridin-2-amine
868	N-[3,5-bis(3-morpholinoazetidin-1-yl)phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
869	N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-2-fluoro-5-methyl-N1-(3-methyloxetan-3-yl)benzene-1,3-diamine

[00329] Table 2D. Compound Names (IUPAC Nomenclature)

Cmpd No.	IUPAC Name
870	1-(3,5-difluorophenyl)-N-[2-fluoro-3-methyl-5-(3-morpholinoazetidin-1-yl)phenyl]-1,2,4-triazol-3-amine
871	1-(3,5-difluorophenyl)-N-[3-methyl-5-(3-methyl-4-morpholino-pyrrolidin-1-yl)phenyl]-1,2,4-triazol-3-amine
872	N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-N1-[2-(3-ethylmorpholin-4-yl)ethyl]-5-methyl-benzene-1,3-diamine
873	N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-N1-[1-(2-fluoroethyl)-3-piperidyl]-5-methyl-benzene-1,3-diamine
874	2-[1-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-4-piperidyl]ethanol
875	2-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperazin-1-yl]cyclopentanol
876	N-[3-(2,2,3,3,4,4,5,5,6,6-decadeuterio-1-piperidyl)-5-(difluoromethyl)phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
877	3-[1-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-4-piperidyl]propan-1-ol
878	2-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperazin-1-yl]cyclohexanol
879	1-[1-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-4-piperidyl]piperidin-4-ol
880	N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N1-[1-(2-morpholinoethyl)pyrrolidin-3-yl]benzene-1,3-diamine
881	N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N1-[1-(oxetan-3-yl)azetidin-3-yl]benzene-1,3-diamine
882	N1-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-N3-[2-(2,6-dimethylmorpholin-4-yl)propyl]-5-methyl-benzene-1,3-

Cmpd No.	IUPAC Name
	diamine
883	cyclopropyl-[2,2,3,3,5,5,6,6-octadeuterio-4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-(trifluoromethyl)phenyl]piperazin-1-yl]methanone
884	N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N1-(3-pyrrolidin-1-yltetrahydropyran-4-yl)benzene-1,3-diamine
885	1-(3,5-difluorophenyl)-N-[3-methyl-5-[2,2,3,3,5,5,6,6-octadeuterio-4-(1,4-dioxan-2-ylmethyl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
886	N-(2-fluoro-5-methyl-3-tetrahydrofuran-3-yloxy-phenyl)-1-(3-fluorophenyl)-1,2,4-triazol-3-amine
887	1-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-anilino]-N,N-dimethyl-cyclobutanecarboxamide
888	1-(3,5-difluorophenyl)-N-[3-methyl-5-(2-tetrahydrofuran-2-ylmorpholin-4-yl)phenyl]-1,2,4-triazol-3-amine
889	N-[3-(1,4-diazabicyclo[3.2.1]octan-4-yl)-5-methyl-phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
890	1-(3,5-difluorophenyl)-N-[3-methyl-5-(3-tetrahydrofuran-3-ylazetidin-1-yl)phenyl]-1,2,4-triazol-3-amine
891	N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N1-[1-(tetrahydrofuran-2-ylmethyl)-4-piperidyl]benzene-1,3-diamine
892	1-(3,5-difluorophenyl)-N-[3-methyl-5-[4-(1-methyl-3-piperidyl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
893	N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-N1-[(4-ethylmorpholin-2-yl)methyl]-5-methyl-benzene-1,3-diamine
894	N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-N1-[1-(2-methoxyethyl)pyrrolidin-3-yl]-5-methyl-benzene-1,3-diamine
895	N1-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N3-[(2-morpholinocyclopentyl)methyl]benzene-1,3-diamine
896	1-(3,5-difluorophenyl)-N-[3-[4-(3-methoxypropyl)-1,4-diazepan-1-yl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
897	N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-N1-(1-ethyl-3-piperidyl)-5-methyl-benzene-1,3-diamine
898	N-[3-[4-(3-deuteriooxetan-3-yl)piperazin-1-yl]-5-methyl-phenyl]-1-phenyl-1,2,4-triazol-3-amine
899	N1-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N3-(3,3,3-trifluoro-2-morpholino-propyl)benzene-1,3-diamine

Cmpd No.	IUPAC Name
900	1-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperazin-1-yl]-3-ethoxy-propan-2-ol
901	1-(3,5-difluorophenyl)-N-[3-methyl-5-(1-oxa-7-azaspiro[3.4]octan-7-yl)phenyl]-1,2,4-triazol-3-amine
902	2-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-2-methyl-piperazin-1-yl]ethanol
903	N1-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-N3-[(4-isobutylmorpholin-2-yl)methyl]-5-methyl-benzene-1,3-diamine
904	2-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperazin-1-yl]butan-1-ol
905	1-cyclopentyl-4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperazin-2-one
906	1-(3,5-difluorophenyl)-N-[3-(3,7-dioxa-10-azaspiro[5.6]dodecan-10-yl)-5-methyl-phenyl]-1,2,4-triazol-3-amine
907	N-(2-fluoro-5-methyl-3-tetrahydrofuran-3-yloxy-phenyl)-1-phenyl-1,2,4-triazol-3-amine
908	N-[2-fluoro-5-methyl-3-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(2-pyridyl)-1,2,4-triazol-3-amine
909	1-(3,5-difluorophenyl)-N-[2,3-dimethyl-5-(oxetan-3-ylmethoxy)phenyl]-1,2,4-triazol-3-amine
910	1-cyclobutyl-3-[3-methyl-5-[(1-phenyl-1,2,4-triazol-3-yl)amino]phenyl]urea
911	1-(3,5-difluorophenyl)-N-[3-methyl-5-(3-morpholino-1-piperidyl)phenyl]-1,2,4-triazol-3-amine
912	N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N1-(2-morpholinocyclopentyl)benzene-1,3-diamine
913	N-(2-fluoro-5-methyl-3-tetrahydrofuran-3-yloxy-phenyl)-1-(3-fluorophenyl)-1,2,4-triazol-3-amine
914	1-(3,5-difluorophenyl)-N-[3-methyl-5-[4-(tetrahydrofuran-2-ylmethyl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
915	N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-2-fluoro-5-methyl-N1-(oxetan-3-yl)benzene-1,3-diamine
916	1-(3,5-difluorophenyl)-N-[3-methyl-5-(2,2,3,3,5,5,6,6-octadeuteriopiperazin-1-yl)phenyl]-1,2,4-triazol-3-amine
917	N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-N1-[2-(2-ethylmorpholin-4-yl)ethyl]-5-methyl-benzene-1,3-diamine
918	N1-(2-cyclopropyltetrahydropyran-4-yl)-N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-benzene-1,3-diamine
919	1-(3,5-difluorophenyl)-N-[3-methyl-5-[(2S)-2-(morpholinomethyl)pyrrolidin-1-yl]phenyl]-1,2,4-triazol-3-

Cmpd No.	IUPAC Name
	amine
920	1-(3,5-difluorophenyl)-N-[3-methyl-5-(2-methyl-4-morpholino-pyrrolidin-1-yl)phenyl]-1,2,4-triazol-3-amine
921	2-[1-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]azetidin-3-yl]acetonitrile
922	2-[2,2,3,3,5,5,6,6-octadeuterio-4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperazin-1-yl]ethanol
923	[3-acetoxy-2-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-2-fluoro-5-methyl-phenyl]piperazin-1-yl]propyl] acetate
924	1-(3,5-difluorophenyl)-N-[3-methyl-5-(7-oxa-1-azaspiro[3.5]nonan-1-yl)phenyl]-1,2,4-triazol-3-amine
925	1-(3,5-difluorophenyl)-N-[3-methyl-5-[3-methyl-4-(1-methyl-4-piperidyl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
926	1-(3,5-difluorophenyl)-N-[3-methyl-5-(2,2,3,3,5,5,6,6-octadeuterio-4-tetrahydropyran-3-yl-piperazin-1-yl)phenyl]-1,2,4-triazol-3-amine
927	1-(3,5-difluorophenyl)-N-[3-[2-(isopropoxymethyl)morpholin-4-yl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
928	N1-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N3-[2-(2-methylmorpholin-4-yl)ethyl]benzene-1,3-diamine
929	N-[3-[4-(1-deuterio-1-methyl-ethyl)piperazin-1-yl]-5-methyl-phenyl]-1-phenyl-1,2,4-triazol-3-amine
930	N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-N1-[1-(2-methoxyethyl)-4-piperidyl]-5-methyl-benzene-1,3-diamine
931	N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N1-(1-methyl-2-morpholino-ethyl)benzene-1,3-diamine
932	N1-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-N3-(1,4-dioxan-2-ylmethyl)-5-methyl-benzene-1,3-diamine
933	1-(3,5-difluorophenyl)-N-[3-[4-[2-(dimethylamino)ethoxy]-1-piperidyl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
934	4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-anilino]-1-(2-methoxyethyl)pyrrolidin-2-one
935	1-(3,5-difluorophenyl)-N-[3-methyl-5-[2,2,3,3,5,5,6,6-octadeuterio-4-(2,2-difluoroethyl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
936	1-(3,5-difluorophenyl)-N-[3-methyl-5-[4-(tetrahydrofuran-3-ylmethyl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine

Cmpd No.	IUPAC Name
937	N1-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-N3-[[1-(methoxymethyl)cyclopropyl]methyl]-5-methyl-benzene-1,3-diamine
938	1-[[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-anilino]methyl]-N,N-dimethyl-cyclopentanecarboxamide
939	N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N1-(2-morpholinocyclohexyl)benzene-1,3-diamine
940	N1-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N3-[2-(1-oxo-1,4-thiazinan-4-yl)ethyl]benzene-1,3-diamine
941	1-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-2-methyl-piperazin-1-yl]-2-methyl-propan-2-ol
942	N3-(cyclopropylmethyl)-N1-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-benzene-1,3-diamine
943	N1-(1-cyclobutyl-4-piperidyl)-N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-2-fluoro-5-methyl-benzene-1,3-diamine
944	1-(3,5-difluorophenyl)-N-[3-methyl-5-[4-(2-pyrrolidin-1-ylethyl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
945	1-(3,5-difluorophenyl)-N-[3-methyl-5-[4-(3-pyrrolidin-1-ylpropyl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
946	2-[3-[[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-anilino]methyl]azetidin-1-yl]propane-1,3-diol
947	1-(3,5-difluorophenyl)-N-[3-methyl-5-(2,2,3,3,5,5,6,6-octadeuterio-4-ethyl-piperazin-1-yl)phenyl]-1,2,4-triazol-3-amine
948	1-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-N,N-dimethyl-piperidin-4-amine
949	1-(3,5-difluorophenyl)-N-[3-[4-(1,4-dioxan-2-ylmethyl)piperazin-1-yl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
950	1-(3,5-difluorophenyl)-N-[3-[4-(2-fluoroethoxy)-1-piperidyl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
951	N1-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N3-[(1-morpholinocyclopropyl)methyl]benzene-1,3-diamine
952	N-(2-fluoro-5-methyl-3-tetrahydrofuran-3-yloxy-phenyl)-1-pyrazin-2-yl-1,2,4-triazol-3-amine
953	N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-4-fluoro-5-methyl-N1-tetrahydrofuran-3-yl-benzene-1,3-diamine
954	1-(3,5-difluorophenyl)-N-[3-methyl-5-[2-[(4-

Cmpd No.	IUPAC Name
	methylpiperazin-1-yl)methyl]morpholin-4-yl]phenyl]-1,2,4-triazol-3-amine
955	N-[2-fluoro-5-methyl-3-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(3-fluorophenyl)-1,2,4-triazol-3-amine
956	1-(3,5-difluorophenyl)-N-[3-methyl-5-[4-(1-methyl-4-piperidyl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
957	1-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperazin-1-yl]butan-2-ol
958	2-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]morpholin-2-yl]ethanol
959	2,2,2-trideuterio-1-[2,2,3,3,5,5,6,6-octadeuterio-4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperazin-1-yl]ethanone
960	N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N1-[1-(morpholinomethyl)propyl]benzene-1,3-diamine
961	cyclopropyl-[2,2,3,3,5,5,6,6-octadeuterio-4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperazin-1-yl]methanone
962	1-(3,5-difluorophenyl)-N-[3-[4-(2-ethoxyethoxy)-1-piperidyl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
963	N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-N1-[2-(3-ethylmorpholin-4-yl)ethyl]-2-fluoro-5-methyl-benzene-1,3-diamine
964	2-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperazin-1-yl]propan-1-ol
965	2-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-4,5-dimethyl-phenoxy]-1-pyrrolidin-1-yl-ethanone
966	N-[3-(2-isopropyl-2,6-diazaspiro[3.3]heptan-6-yl)-5-methyl-phenyl]-1-(3-pyridyl)-1,2,4-triazol-3-amine
967	N-[3-(2-isopropyl-2,6-diazaspiro[3.3]heptan-6-yl)-5-methyl-phenyl]-1-pyrazin-2-yl-1,2,4-triazol-3-amine
968	N3-[(4-cyclopropylmorpholin-2-yl)methyl]-N1-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-benzene-1,3-diamine
969	N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-2-fluoro-N1,5-dimethyl-N1-(oxetan-3-yl)benzene-1,3-diamine
970	N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-N1-(2,2-dimethyltetrahydropyran-4-yl)-5-methyl-benzene-1,3-diamine
971	1-[3-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-4,5-dimethyl-phenoxy]azetidin-1-yl]ethanone
972	1-(3,5-difluorophenyl)-N-[3-methyl-5-(2-tetrahydrofuran-2-ylmorpholin-4-yl)phenyl]-1,2,4-triazol-3-amine

Cmpd No.	IUPAC Name
973	1-(3,5-difluorophenyl)-N-[3-[4-(2-ethoxyethyl)piperazin-1-yl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
974	N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-N1-[2-(5-ethyl-2-methyl-morpholin-4-yl)ethyl]-5-methyl-benzene-1,3-diamine
975	1-(3,5-difluorophenyl)-N-[3-methyl-5-[3-(4-methylpiperazin-1-yl)azetidin-1-yl]phenyl]-1,2,4-triazol-3-amine
976	1-(3,5-difluorophenyl)-N-[3-(4-ethoxy-1-piperidyl)-5-methyl-phenyl]-1,2,4-triazol-3-amine
977	1-(3,4-difluorophenyl)-N-[3-(2-isopropyl-2,6-diazaspiro[3.3]heptan-6-yl)-5-methyl-phenyl]-1,2,4-triazol-3-amine
978	1-(3,4-difluorophenyl)-N-(2-fluoro-5-methyl-3-tetrahydrofuran-3-yloxy-phenyl)-1,2,4-triazol-3-amine
979	1-(3,5-difluorophenyl)-N-[3-methyl-5-[2,2,3,3,5,5,6,6-octadeuterio-4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
980	6-(cyclopropylmethoxy)-N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-4-methyl-pyridin-2-amine
981	N-[3-(3,4,4a,5,7,7a-hexahydro-2H-furo[3,4-b]pyridin-1-yl)-5-methyl-phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
982	1-(3,5-difluorophenyl)-N-[3-methyl-5-(2,2,3,3,5,5,6,6-octadeuteriomorpholin-4-yl)phenyl]-1,2,4-triazol-3-amine
983	1-(3,5-difluorophenyl)-N-[3-methyl-5-[3-(morpholinomethyl)pyrrolidin-1-yl]phenyl]-1,2,4-triazol-3-amine
984	1-(3,5-difluorophenyl)-N-[3-methyl-5-[4-(morpholinomethyl)-1-piperidyl]phenyl]-1,2,4-triazol-3-amine
985	N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N1-(2-morpholinobutyl)benzene-1,3-diamine
986	1-(3,5-difluorophenyl)-N-[3-methyl-5-[(3S)-3-pyrrolidin-1-ylpyrrolidin-1-yl]phenyl]-1,2,4-triazol-3-amine
987	N-[3-[4-[2-(diethylamino)ethyl]piperazin-1-yl]-5-methyl-phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
988	1-(3,5-difluorophenyl)-N-[3-methyl-5-[4-(3-methylmorpholin-4-yl)-1-piperidyl]phenyl]-1,2,4-triazol-3-amine
989	2-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-2-fluoro-5-methyl-phenyl]piperazin-1-yl]propane-1,3-diol
990	3-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-

Cmpd No.	IUPAC Name
	5-methyl-phenyl]piperazin-1-yl]propan-1-ol
991	[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperazin-1-yl]-tetrahydropyran-4-yl-methanone
992	N1-[1-(2,2-difluoroethyl)-4-piperidyl]-N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-benzene-1,3-diamine
993	2-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-anilino]-1-pyrrolidin-1-yl-ethanone
994	N-[3-(4-cyclobutyl-2,2,3,3,5,5,6,6-octadeuterio-piperazin-1-yl)-5-methyl-phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
995	1-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperazin-1-yl]propan-2-ol
996	1-(3,5-difluorophenyl)-N-[3-(5-ethyl-2,5-diazabicyclo[2.2.1]heptan-2-yl)-5-methyl-phenyl]-1,2,4-triazol-3-amine
997	1-(3,5-difluorophenyl)-N-[3-methyl-5-[2,2,3,3,5,5,6,6-octadeuterio-4-(3-deuteriooxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
998	1-(3,4-difluorophenyl)-N-[2-fluoro-5-methyl-3-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
999	N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-4-methyl-6-tetrahydropyran-3-yloxy-pyridin-2-amine
1001	1-(3,5-difluorophenyl)-N-[3-[4-(4-methoxybutyl)piperazin-1-yl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
1002	N-(2-fluoro-5-methyl-3-tetrahydrofuran-3-yloxy-phenyl)-1-phenyl-1,2,4-triazol-3-amine
1003	N1-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-N3-[2-(2,5-dimethylmorpholin-4-yl)ethyl]-5-methyl-benzene-1,3-diamine
1004	N1-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N3-[(3-methyl-4,5-dihydroisoxazol-5-yl)methyl]benzene-1,3-diamine
1005	1-(3,5-difluorophenyl)-N-[3-[4-(2-isopropoxyethyl)piperazin-1-yl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
1006	1-(3,5-difluorophenyl)-N-[3-(4-methoxy-1-piperidyl)-5-methyl-phenyl]-1,2,4-triazol-3-amine
1007	N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-2-fluoro-5-methyl-N1-(2-morpholinocyclopentyl)benzene-1,3-

Cmpd No.	IUPAC Name
	diamine
1008	N3-[2-(cyclobutoxy)ethyl]-N1-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-benzene-1,3-diamine
1009	1-(3,4-difluorophenyl)-N-(2-fluoro-5-methyl-3-tetrahydrofuran-3-yloxy-phenyl)-1,2,4-triazol-3-amine
1010	1-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-4-pyrrolidin-1-yl-pyrrolidin-3-ol
1011	1-(3,5-difluorophenyl)-N-[3-[4-(2-methoxyethyl)-3-methyl-piperazin-1-yl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
1012	1-(3,5-difluorophenyl)-N-[3-methyl-5-[2-(morpholinomethyl)pyrrolidin-1-yl]phenyl]-1,2,4-triazol-3-amine
1013	N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N1-(1-tetrahydropyran-4-yl-4-piperidyl)benzene-1,3-diamine
1014	1-(3,5-difluorophenyl)-N-[3-methyl-5-(4-tetrahydrofuran-3-yloxy-1-piperidyl)phenyl]-1,2,4-triazol-3-amine
1015	2-[[1-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-4-piperidyl]-methyl-amino]ethanol
1016	N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-4-fluoro-5-methyl-N1-(3-methyloxetan-3-yl)benzene-1,3-diamine
1017	N-[3-[2-(diethylaminomethyl)morpholin-4-yl]-5-methyl-phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
1018	1-(3,5-difluorophenyl)-N-[3-[2-[(dimethylamino)methyl]morpholin-4-yl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
1019	1-(3,5-difluorophenyl)-N-[3-(4-isopropoxy-1-piperidyl)-5-methyl-phenyl]-1,2,4-triazol-3-amine
1020	N1-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N3-[(3-pyrrolidin-1-yloxetan-3-yl)methyl]benzene-1,3-diamine
1021	N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-4-fluoro-5-methyl-N1-tetrahydropyran-4-yl-benzene-1,3-diamine
1022	N-[2-fluoro-5-methyl-3-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(3-pyridyl)-1,2,4-triazol-3-amine
1023	1-(3,5-difluorophenyl)-N-[3-methyl-5-[4-(2-methylmorpholin-4-yl)-1-piperidyl]phenyl]-1,2,4-triazol-3-amine
1024	1-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-3-methyl-piperazin-1-yl]-2-methyl-propan-2-ol

Cmpd No.	IUPAC Name
1025	1-(3,5-difluorophenyl)-N-[3-[4-(4-ethylpiperazin-1-yl)-1-piperidyl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
1026	2-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-1-methyl-piperazin-2-yl]ethanol
1027	1-(3,5-difluorophenyl)-N-[3-methyl-5-[2-(pyrrolidin-1-ylmethyl)morpholin-4-yl]phenyl]-1,2,4-triazol-3-amine
1028	1-(3,5-difluorophenyl)-N-(2-fluoro-5-methyl-3-tetrahydrofuran-3-yloxy-phenyl)-1,2,4-triazol-3-amine
1029	N1-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-N3-[(1-methoxycyclobutyl)methyl]-5-methyl-benzene-1,3-diamine
1030	1-(3,5-difluorophenyl)-N-[3-[2-(2-methoxyethyl)morpholin-4-yl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
1031	1-[3-[[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-anilino]methyl]azetidin-1-yl]ethanone
1032	N-[3-(2,2,3,3,4,4,5,5,6,6-decadeuterio-1-piperidyl)-5-(trifluoromethyl)phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
1033	2-[2-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperazin-1-yl]ethoxy]ethanol
1034	N-[3-(2-isopropyl-2,6-diazaspiro[3.3]heptan-6-yl)-5-methyl-phenyl]-1-phenyl-1,2,4-triazol-3-amine
1035	1-(3,5-difluorophenyl)-N-[3-methyl-5-(4-oxa-7-azaspiro[2.5]octan-7-yl)phenyl]-1,2,4-triazol-3-amine
1036	2-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperazin-1-yl]ethanol
1037	1-(3,5-difluorophenyl)-N-[3-methyl-5-(3-methylsulfonylazetidin-1-yl)phenyl]-1,2,4-triazol-3-amine
1038	1-(3,5-difluorophenyl)-N-[3-methyl-5-(4-pyrrolidin-1-yl-1-piperidyl)phenyl]-1,2,4-triazol-3-amine
1039	N-[3-[4-(3-deuteriotetrahydrofuran-3-yl)piperazin-1-yl]-5-methyl-phenyl]-1-phenyl-1,2,4-triazol-3-amine
1040	1-[1-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]pyrrolidin-3-yl]pyrrolidin-3-ol
1041	cyclopropyl-[2,2,3,3,5,5,6,6-octadeuterio-4-[3-(difluoromethyl)-5-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]phenyl]piperazin-1-yl]methanone
1042	1-(3,5-difluorophenyl)-N-[3-methyl-5-(2,2,3,3,5,5,6,6-octadeuterio-4-tetrahydrofuran-3-yl-piperazin-1-yl)phenyl]-1,2,4-triazol-3-amine
1043	2-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-2-fluoro-5-methyl-phenyl]piperazin-1-yl]ethanol

Cmpd No.	IUPAC Name
1044	1-[2,2,3,3,5,5,6,6-octadeuterio-4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperazin-1-yl]ethanone
1045	N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N1-[1-(tetrahydrofuran-3-ylmethyl)-4-piperidyl]benzene-1,3-diamine
1046	N1-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N3-[(1-tetrahydropyran-4-yl-4-piperidyl)methyl]benzene-1,3-diamine
1047	1-(3,5-difluorophenyl)-N-[3-methyl-5-[4-[(1-methyl-3-piperidyl)methyl]piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
1048	N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-N1-(2,6-dioxaspiro[4.5]decan-9-yl)-5-methyl-benzene-1,3-diamine
1049	5-methyl-N1-(5-methyloxazol-2-yl)-N3-(1-phenyl-1,2,4-triazol-3-yl)benzene-1,3-diamine
1050	N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-N1-[1-(2-methoxyethyl)-3-piperidyl]-5-methyl-benzene-1,3-diamine
1051	1-(3,5-difluorophenyl)-N-[3-methyl-5-[2-(methylsulfonylmethyl)pyrrolidin-1-yl]phenyl]-1,2,4-triazol-3-amine
1052	N-(2-fluoro-5-methyl-3-tetrahydrofuran-3-yloxy-phenyl)-1-phenyl-1,2,4-triazol-3-amine
1053	1-(3,5-difluorophenyl)-N-(2-fluoro-5-methyl-3-tetrahydrofuran-3-yloxy-phenyl)-1,2,4-triazol-3-amine
1054	1-[1-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-4-piperidyl]piperidin-3-ol
1055	1-(3,4-difluorophenyl)-N-(2-fluoro-5-methyl-3-tetrahydrofuran-3-yloxy-phenyl)-1,2,4-triazol-3-amine
1056	1-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-2-ethyl-piperazin-1-yl]propan-2-ol
1057	1-(3,5-difluorophenyl)-N-[3-methyl-5-(8-oxa-4-azabicyclo[4.2.0]octan-4-yl)phenyl]-1,2,4-triazol-3-amine
1058	N-[3-methyl-5-[(1-phenyl-1,2,4-triazol-3-yl)amino]phenyl]cyclopropanecarboxamide
1059	N1-(1-cyclopropylethyl)-N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-benzene-1,3-diamine
1060	1-(3,5-difluorophenyl)-N-[3-methyl-5-(3-pyrrolidin-1-ylpyrrolidin-1-yl)phenyl]-1,2,4-triazol-3-amine
1061	N-(2-fluoro-5-methyl-3-tetrahydrofuran-3-yloxy-phenyl)-1-(3-fluorophenyl)-1,2,4-triazol-3-amine
1062	N1-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N3-[(4-methylmorpholin-3-yl)methyl]benzene-1,3-diamine

Cmpd No.	IUPAC Name
1063	1-(3,5-difluorophenyl)-N-[3-[4-(2-ethoxyethyl)-3-methyl-piperazin-1-yl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
1064	N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N1-(1-tetrahydrofuran-3-yl-4-piperidyl)benzene-1,3-diamine
1065	N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-N1-(2-methoxycyclopentyl)-5-methyl-benzene-1,3-diamine
1066	1-(3,4-difluorophenyl)-N-[3-methyl-5-(2,2,3,3,5,5,6,6-octadeuteriomorpholin-4-yl)phenyl]-1,2,4-triazol-3-amine
1067	4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-1-(2-methoxyethyl)piperazin-2-one
1068	1-(3,5-difluorophenyl)-N-[3-[4-(4-methoxy-1-piperidyl)-1-piperidyl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
1069	N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-4-methyl-6-(oxetan-3-ylmethoxy)pyridin-2-amine
1070	N1-(1-cyclobutyl-4-piperidyl)-N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-benzene-1,3-diamine
1071	1-(3-fluorophenyl)-N-[3-(2-isopropyl-2,6-diazaspiro[3.3]heptan-6-yl)-5-methyl-phenyl]-1,2,4-triazol-3-amine
1072	1-(3,5-difluorophenyl)-N-[2-fluoro-3-(2-isopropyl-2,6-diazaspiro[3.3]heptan-6-yl)-5-methyl-phenyl]-1,2,4-triazol-3-amine
1073	[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]morpholin-2-yl]methanol
1074	1-(3,5-difluorophenyl)-N-[3-methyl-5-[4-(2-pyrrolidin-1-ylethoxy)-1-piperidyl]phenyl]-1,2,4-triazol-3-amine
1075	1-[3-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-anilino]-3-methyl-azetidin-1-yl]-2-methoxy-ethanone
1076	1-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-3-methyl-azetidine-3-carbonitrile
1077	[1-[[1-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]pyrrolidin-2-yl]methyl]pyrrolidin-2-yl]methanol
1078	1-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperazin-1-yl]-3-(dimethylamino)propan-2-ol
1079	[1-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-3-fluoro-azetidin-3-yl]methanol
1080	5-deuterio-1-(3,5-difluorophenyl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
1081	1-(3,5-difluorophenyl)-N-[3-(2-isopropyl-2,6-

Cmpd No.	IUPAC Name
	diazaspiro[3.3]heptan-6-yl)-5-methyl-phenyl]-1,2,4-triazol-3-amine
1082	N1-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N3-[2-(3-methylmorpholin-4-yl)ethyl]benzene-1,3-diamine
1083	1-(3,5-difluorophenyl)-N-[3-methyl-5-(3-tetrahydrofuran-3-ylpyrrolidin-1-yl)phenyl]-1,2,4-triazol-3-amine
1084	tert-butyl 3-[[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-anilino]methyl]azetidine-1-carboxylate
1085	N-[2-fluoro-5-methyl-3-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-phenyl-1,2,4-triazol-3-amine
1086	N-[3-methyl-5-[4-[1,2,2,2-tetradeuterio-1-(trideuteriomethyl)ethyl]piperazin-1-yl]phenyl]-1-phenyl-1,2,4-triazol-3-amine
1087	N-[3-[2-(cyclopropylmethoxymethyl)morpholin-4-yl]-5-methyl-phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
1088	N-[5-deuterio-1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]-4-(difluoromethyl)-6-[4-(oxetan-3-yl)piperazin-1-yl]pyridin-2-amine
1089	N-[5-deuterio-1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]-6-[4-(oxetan-3-yl)piperazin-1-yl]-4-(trifluoromethyl)pyridin-2-amine
1090	N-[5-deuterio-1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-4-(difluoromethyl)-6-[4-(oxetan-3-yl)piperazin-1-yl]pyridin-2-amine
1091	5-deuterio-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-[3-(trifluoromethyl)phenyl]-1,2,4-triazol-3-amine
1092	2-[1-[3-[[5-deuterio-1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]azetidin-3-yl]propan-2-ol
1093	2-cyclopropyl-N-[5-deuterio-1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-morpholino-pyridin-4-amine
1094	2-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-4,5-dimethyl-phenoxy]-1-morpholino-ethanone
1095	N-[3-(2,2,3,3,4,4,5,5,6,6-decadeuterio-1-piperidyl)-5-(trifluoromethyl)phenyl]-1-(3,4-difluorophenyl)-1,2,4-triazol-3-amine
1096	cyclopropyl-[2,2,3,3,5,5,6,6-octadeuterio-4-[3-(difluoromethyl)-5-[[1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]amino]phenyl]piperazin-1-yl]methanone
1097	1-(3,5-difluorophenyl)-N-[3-methyl-5-[3-(2,2,3,3,5,5,6,6-

Cmpd No.	IUPAC Name
	octadeuteriomorpholin-4-yl)azetidin-1-yl]phenyl]-1,2,4-triazol-3-amine
1098	1-(3,4-difluorophenyl)-N-[3-methyl-5-[3-(2,2,3,3,5,5,6,6-octadeuteriomorpholin-4-yl)azetidin-1-yl]phenyl]-1,2,4-triazol-3-amine
1099	N-[3-(2,2,3,3,4,4,5,5,6,6-decadeuterio-1-piperidyl)-5-(difluoromethyl)phenyl]-1-(3,4-difluorophenyl)-1,2,4-triazol-3-amine
1100	cyclopropyl-[2,2,3,3,5,5,6,6-octadeuterio-4-[3-[[1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-(trifluoromethyl)phenyl]piperazin-1-yl]methanone
1101	N-[3-(2,2,3,3,4,4,5,5,6,6-decadeuterio-1-piperidyl)-5-(trifluoromethyl)phenyl]-1-(3-fluorophenyl)-1,2,4-triazol-3-amine
1102	N-[3-(2,2,3,3,4,4,5,5,6,6-decadeuterio-1-piperidyl)-5-(difluoromethyl)phenyl]-1-(3-fluorophenyl)-1,2,4-triazol-3-amine
1103	cyclopropyl-[2,2,3,3,5,5,6,6-octadeuterio-4-[3-[[1-(3-fluorophenyl)-1,2,4-triazol-3-yl]amino]-5-(trifluoromethyl)phenyl]piperazin-1-yl]methanone
1104	cyclopropyl-[2,2,3,3,5,5,6,6-octadeuterio-4-[3-(difluoromethyl)-5-[[1-(3-fluorophenyl)-1,2,4-triazol-3-yl]amino]phenyl]piperazin-1-yl]methanone
1105	N-[3-(2,2,3,3,4,4,5,5,6,6-decadeuterio-1-piperidyl)-5-(trifluoromethyl)phenyl]-1-pyrazin-2-yl-1,2,4-triazol-3-amine
1106	N-[3-(2,2,3,3,4,4,5,5,6,6-decadeuterio-1-piperidyl)-5-(difluoromethyl)phenyl]-1-pyrazin-2-yl-1,2,4-triazol-3-amine
1107	cyclopropyl-[2,2,3,3,5,5,6,6-octadeuterio-4-[3-(difluoromethyl)-5-[(1-pyrazin-2-yl-1,2,4-triazol-3-yl)amino]phenyl]piperazin-1-yl]methanone
1108	N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(2,3,4,5,6-pentadeuteriophenyl)-1,2,4-triazol-3-amine
1109	N3-benzyl-N1-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-2-fluoro-5-methyl-benzene-1,3-diamine
1110	N-[3-[4-(3-deuteriooxetan-3-yl)piperazin-1-yl]-5-methyl-phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
1111	N-[3-methyl-5-(2,2,3,3,5,5,6,6-octadeuterio-4-methyl-piperazin-1-yl)phenyl]-1-phenyl-1,2,4-triazol-3-amine
1112	[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-2-fluoro-5-methyl-phenyl]piperazin-1-yl]-tetrahydropyran-4-yl-methanone

Cmpd No.	IUPAC Name
1113	1-(3,5-difluorophenyl)-N-[2-fluoro-5-methyl-3-[3-methyl-4-(1-methyl-4-piperidyl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
1114	N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-N1-[2-(2-ethylmorpholin-4-yl)ethyl]-2-fluoro-5-methyl-benzene-1,3-diamine
1115	N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-2-fluoro-5-methyl-N1-[1-(tetrahydrofuran-3-ylmethyl)-4-piperidyl]benzene-1,3-diamine
1116	N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-2-fluoro-5-methyl-N1-[1-(tetrahydrofuran-2-ylmethyl)-4-piperidyl]benzene-1,3-diamine
1117	1-(3,5-difluorophenyl)-N-[2-fluoro-5-methyl-3-[3-(4-methylpiperazin-1-yl)azetidin-1-yl]phenyl]-1,2,4-triazol-3-amine
1118	N3-[(4-cyclopropylmorpholin-2-yl)methyl]-N1-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-2-fluoro-5-methyl-benzene-1,3-diamine
1119	N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-2-fluoro-5-methyl-N1-(1-methyl-2-morpholino-ethyl)benzene-1,3-diamine
1120	1-(3,5-difluorophenyl)-N-[2-fluoro-3-[2-(isopropoxymethyl)morpholin-4-yl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
1121	N1-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-2-fluoro-5-methyl-N3-[(1-morpholinocyclopropyl)methyl]benzene-1,3-diamine
1122	1-(3,5-difluorophenyl)-N-[2-fluoro-5-methyl-3-(3-tetrahydrofuran-3-ylazetidin-1-yl)phenyl]-1,2,4-triazol-3-amine
1123	1-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-2-fluoro-5-methyl-phenyl]-2-methyl-piperazin-1-yl]-2-methyl-propan-2-ol

Salts, Compositions, Uses, Formulation, Administration and Additional Agents

Pharmaceutically acceptable salts and compositions

[00330] As discussed herein, the compounds of formula I or I' of the present invention and the methods, compositions and kits disclosed herein are useful for the treatment of neurodegenerative or neurological diseases or disorders related to axonal damage, demyelinating diseases, central pontine myelinolysis, nerve injury diseases or disorders, metabolic diseases,

mitochondrial diseases, metabolic axonal degeneration, a leukoencephalopathy or a leukodystrophy. In one embodiment, said neurodegenerative or neurological diseases or disorders related to axonal damage, demyelinating diseases, central pontine myelinolysis, nerve injury diseases or disorders, metabolic diseases, mitochondrial diseases, metabolic axonal degeneration, a leukoencephalopathy or a leukodystrophy include, but are not limited to spinal cord injury, stroke, multiple sclerosis, progressive multifocal leukoencephalopathy, congenital hypomyelination, encephalomyelitis, acute disseminated encephalomyelitis, central pontine myelolysis, hypoxic demyelination, ischemic demyelination, neuromyelitis optics, adrenoleukodystrophy, Alexander's disease, Niemann-Pick disease, Pelizaeus Merzbacher disease, periventricular leukomalatia, globoid cell leucodystrophy (Krabbe's disease), Wallerian degeneration, optic neuritis, transverse myelitis, amylotrophic lateral sclerosis (Lou Gehrig's diseae), Huntington's disease, Alzheimer's disease, Parkinson's disease, Tay-Sacks disease, Gaucher's disease, Hurler Syndrome, traumatic brain injury, post radiation injury, neurologic complications of chemotherapy, neuropathy, acute ischemic optic neuropathy, neuromyelitis optica, vitamin B12 deficiency, isolated vitamin E deficiency syndrome, Bassen-Kornzweig syndrome, Leber's hereditary optic atrophy/Leber congenital amaurosis, Marchiafava-Bignami syndrome, metachromatic leukodystrophy, acute hemorrhagic leukoencephalitis, trigeminal neuralgia, Bell's palsy, schizophrenia, cerebral ischemia, multiple system atrophy, traumatic glaucoma, tropical spastic paraparesis/human T-lymphotropic virus 1 (HTLV-1) associated myelopathy, essential tremor or osmotic hyponatremia.

[00331] In another embodiment, the compounds of formula I or I' of the present invention and the methods, compositions and kits disclosed herein are useful for treating, preventing or ameliorating one or more symptoms of multiple sclerosis or another neurodegenerative disease selected from auditory impairment, optic neuritis, decreased visual acuity, diplopia, nystagmus, ocular dysmetria, internuclear ophthalmoplegia, movement and sound phosphenes, afferent pupillary defect, paresis, monoparesis, paraparesis, hemiparesis, quadraparesis, plegia, paraplegia, hemiplegia, tetraplegia, quadraplegia, spasticity, dysarthria, motor dysfunction, walking impairment, muscle atrophy, spasms, cramps, hypotonia, clonus, myoclonus, myokymia, restless leg syndrome, gait disturbances, footdrop, dysfunctional reflexes, paraesthesia, anaesthesia, neuralgia, neuropathic and neurogenic pain, L'hermitte's, proprioceptive dysfunction, trigeminal neuralgia, ataxia, intention tremor, dysmetria, vestibular

ataxia, vertigo, speech ataxia, dystonia, disability progression, dysdiadochokinesia, frequent micturation, bladder spasticity, flaccid bladder, detrusor- sphincter dyssynergia, erectile dysfunction or anorgasmy.

[00332] Accordingly, in another aspect of the invention, pharmaceutically acceptable compositions are provided, wherein these compositions comprise any of the compounds as described herein, and optionally comprise a pharmaceutically acceptable carrier, adjuvant or vehicle. In certain embodiments, these compositions optionally further comprise one or more additional therapeutic agents. In one embodiment, the pharmaceutical composition comprises a therapeutically effective amount of a compound of the present invention or a pharmaceutically acceptable salt thereof and one or more pharmaceutically acceptable carriers or vehicles.

[00333] As used herein, the term "pharmaceutically acceptable salt" refers to those salts which are, within the scope of sound medical judgement, suitable for use in contact with the tissues of humans and lower animals without undue toxicity, irritation, allergic response and the like, and are commensurate with a reasonable benefit/risk ratio. A "pharmaceutically acceptable salt" means any non-toxic salt or salt of an ester of a compound of this invention that, upon administration to a recipient, is capable of providing, either directly or indirectly, a compound of this invention or an inhibitorily active metabolite or residue thereof. As used herein, the term "inhibitorily active metabolite or residue thereof" means that a metabolite or residue thereof is also useful for treating or lessening the severity of, in a subject, a disease or disorder selected from neurodegenerative or neurological diseases or disorders related to axonal damage, demyelinating diseases, central pontine myelinolysis, nerve injury diseases or disorders, metabolic diseases, mitochondrial diseases, metabolic axonal degeneration, a leukoencephalopathy or a leukodystrophy.

[00334] Pharmaceutically acceptable salts are well known in the art. For example, S. M. Berge, et *al*. describe pharmaceutically acceptable salts in detail in *J. Pharmaceutical Sciences*, **1977**, 66, 1-19, incorporated herein by reference. Pharmaceutically acceptable salts of the compounds of this invention include those derived from suitable inorganic and organic acids and bases. Examples of pharmaceutically acceptable, nontoxic acid addition salts are salts of an amino group formed with inorganic acids such as hydrochloric acid, hydrobromic acid, phosphoric acid, sulfuric acid and perchloric acid or with organic acids such as acetic acid, oxalic acid, maleic acid, tartaric acid, citric acid, succinic acid or malonic acid or by using other

methods used in the art such as ion exchange. Other pharmaceutically acceptable salts include adipate, alginate, ascorbate, aspartate, benzenesulfonate, benzoate, bisulfate, borate, butyrate, camphorate, camphorsulfonate, citrate, cyclopentanepropionate, digluconate, dodecylsulfate, ethanesulfonate, formate, fumarate, glucoheptonate, glycerophosphate, gluconate, hemisulfate, heptanoate, hexanoate, hydroiodide, 2-hydroxy-ethanesulfonate, lactobionate, lactate, laurate, lauryl sulfate, malate, maleate, malonate, methanesulfonate, 2-naphthalenesulfonate, nicotinate, nitrate, oleate, oxalate, palmitate, pamoate, pectinate, persulfate, 3-phenylpropionate, phosphate, picrate, pivalate, propionate, stearate, succinate, sulfate, tartrate, thiocyanate, p-toluenesulfonate, undecanoate, valerate salts, and the like. Salts derived from appropriate bases include alkali metal, alkaline earth metal, ammonium and $N^+(C_{1-4}$ alkyl$)_4$ salts. This invention also envisions the quaternization of any basic nitrogen-containing groups of the compounds disclosed herein. Water or oil-soluble or dispersable products may be obtained by such quaternization. Representative alkali or alkaline earth metal salts include sodium, lithium, potassium, calcium, magnesium, and the like. Further pharmaceutically acceptable salts include, when appropriate, nontoxic ammonium, quaternary ammonium, and amine cations formed using counterions such as halide, hydroxide, carboxylate, sulfate, phosphate, nitrate, lower alkyl sulfonate and aryl sulfonate.

[00335] As described herein, the pharmaceutically acceptable compositions of the invention additionally comprise a pharmaceutically acceptable carrier, adjuvant, or vehicle, which, as used herein, includes any and all solvents, diluents, or other liquid vehicle, dispersion or suspension aids, surface active agents, isotonic agents, thickening or emulsifying agents, preservatives, solid binders, lubricants and the like, as suited to the particular dosage form desired. Remington's Pharmaceutical Sciences, Sixteenth Edition, E. W. Martin (Mack Publishing Co., Easton, Pa., 1980) discloses various carriers used in formulating pharmaceutically acceptable compositions and known techniques for the preparation thereof. Except insofar as any conventional carrier medium is incompatible with the compounds of the invention, such as by producing any undesirable biological effect or otherwise interacting in a deleterious manner with any other component(s) of the pharmaceutically acceptable composition, its use is contemplated to be within the scope of this invention. Some examples of materials which can serve as pharmaceutically acceptable carriers include, but are not limited to, ion exchangers, alumina, aluminum stearate, lecithin, serum proteins, such as human serum albumin, buffer substances

such as phosphates, glycine, sorbic acid, or potassium sorbate, partial glyceride mixtures of saturated vegetable fatty acids, water, salts or electrolytes, such as protamine sulfate, disodium hydrogen phosphate, potassium hydrogen phosphate, sodium chloride, zinc salts, colloidal silica, magnesium trisilicate, polyvinyl pyrrolidone, polyacrylates, waxes, polyethylene-polyoxypropylene-block polymers, wool fat, sugars such as lactose, glucose and sucrose; starches such as corn starch and potato starch; cellulose and its derivatives such as sodium carboxymethyl cellulose, ethyl cellulose and cellulose acetate; powdered tragacanth; malt; gelatin; talc; excipients such as cocoa butter and suppository waxes; oils such as peanut oil, cottonseed oil; safflower oil; sesame oil; olive oil; corn oil and soybean oil; glycols; such a propylene glycol or polyethylene glycol; esters such as ethyl oleate and ethyl laurate; agar; buffering agents such as magnesium hydroxide and aluminum hydroxide; alginic acid; pyrogen-free water; isotonic saline; Ringer's solution; ethyl alcohol, and phosphate buffer solutions, as well as other non-toxic compatible lubricants such as sodium lauryl sulfate and magnesium stearate, as well as coloring agents, releasing agents, coating agents, sweetening, flavoring and perfuming agents, preservatives and antioxidants can also be present in the composition, according to the judgment of the formulator.

[00336] In another aspect, the invention features a pharmaceutical composition comprising the compound of the invention and a pharmaceutically acceptable carrier.

[00337] In another aspect, the invention features a pharmaceutical composition comprising a therapeutically effective amount of the compound or a pharmaceutically acceptable salt thereof of the compounds of formula I or I' and one or more pharmaceutically acceptable carriers or vehicles.

Uses of Compounds and Pharmaceutically Acceptable Salts and Compositions

[00338] In one embodiment, the methods described herein also provide a method of promoting oligodendrocyte proliferation, differentiation or survival comprising contacting oligodendrocytes with a compound of formula I or I' or a composition thereof.

[00339] In another embodiment, a method of the present invention comprises promoting oligodendrocyte proliferation, differentiation or survival. In another embodiment, a method of the present invention comprises promoting oligodendrocyte proliferation, differentiation or survival with a compound of formula I or I' or a composition thereof. In another embodiment, a

method of the present invention is useful for treating or lessening the severity of a disease or disorder selected from a disease or disorder associated with a lack of oligodendrocyte proliferation, differentiation or survival comprising administering a therapeutically effective amount of the compounds of formula I or I' or compositions thereof to a subject in need thereof.

[00340] In another embodiment, a method of the present invention comprises promoting myelination by contacting neuronal cells, oligodendrocyte cells or oligodendrocyte precursor cells. In one embodiment, a method of the present invention comprises promoting myelination by contacting neuronal cells, oligodendrocyte cells or oligodendrocyte precursor cells with a compound of formula I or I' or a composition thereof.

[00341] In another embodiment, a method of the present invention comprises promoting survival of cells of the nervous system. In another embodiment, a method of the present invention comprises promoting survival of cells of the nervous system comprising contacting the cells with a compound or composition of formula I or I'. In one embodiment, the cells of the nervous system comprise brain cells, cortical neurons, oligodendroctyes or oligodendrocyte precursor cells.

[00342] In another embodiment, a method of the present invention is useful for treating or lessening the severity of a disease or disorder selected from a disease or condition associated with demyelination comprising administering a therapeutically effective amount of the compounds of formula I or I' or compositions thereof to a subject in need thereof. In one embodiment, the disease or condition associated with demyelination is a CNS disorder or a CNS demyelinating disease as described herein. In one embodiment, the disease is multiple sclerosis.

[00343] In another embodiment, the subject has, or is at risk of having, multiple sclerosis. The subject with multiple sclerosis can be at any stage of treatment or disease. In one embodiment, the subject with multiple sclerosis has one or more of: benign multiple sclerosis, relapsing remitting multiple sclerosis, quiescent relapsing remitting multiple sclerosis, active relapsing remitting multiple sclerosis, primary progressive multiple sclerosis, or secondary progressive multiple sclerosis, clinically isolated syndrome, or clinically defined multiple sclerosis. In one embodiment, the type of multiple sclerosis is primary progressive multiple sclerosis. In another embodiment, the type of multiple sclerosis is relapsing-remitting multiple sclerosis. In yet another embodiment, the type of multiple sclerosis is secondary progressive multiple sclerosis. In still a further embodiment, the type of multiple sclerosis is progressive relapsing multiple

sclerosis. In another embodiment, the subject is asymptomatic. In another embodiment, the subject has one or more multiple sclerosis-like symptoms, such as those having clinically isolated syndrome or clinically defined multiple sclerosis. In yet other embodiments, the subject has one or more multiple sclerosis relapses.

[00344] In another embodiment, the subject has a relapsing form of multiple sclerosis such as relapsing remitting multiple sclerosis or relapsing secondary progressive multiple sclerosis. In one embodiment, the subject has relapsing remitting multiple sclerosis and has one or more ongoing clinical exacerbations. In another embodiment, the subject has relapsing remitting multiple sclerosis and one or more subclinical activities. In one embodiment, the clinical exacerbation or subclinical activity is shown by gadolinium enhancement of white matter lesions using T1/T2 magnetic resonance imaging. In another embodiment, the clinical exacerbation or subclinical activity is shown by development of new or enlarged white matter lesions on magnetic resonance imaging of the brain or spinal cord. In one embodiment, the development of new or enlarged white matter lesions is monitored by T1/T2 magnetic resonance imaging. In another embodiment, the development of new or enlarged white matter lesions is monitored by Proton Density magnetic resonance imaging. In yet another embodiment, the development of new or enlarged white matter lesions is monitored by MTR magnetic resonance imaging. See also, Gaitán, M. I. and Reich, D. S. (2014) MRI in Diagnosis and Disease Monitoring, in Multiple Sclerosis and CNS Inflammatory Disorders (eds L. M. Samkoff and A. D. Goodman), John Wiley & Sons, Ltd., Chichester, UK. doi: 10.1002/9781118298633.ch4 which is incorporated herein in its entirety by reference.

[00345] In another embodiment, the clinical exacerbations or subclinical activities are monitored by a functional readout such as ambulatory changes (gait changes, sway changes, etc.), T25W changes and/or EDSS changes. In another embodiment, the clinical exacerbations or subclinical activities are monitored by a visual evoked potential assay, a visual acuity assay or a measurement of optic nerve thickness. In another embodiment, the clinical exacerbations or subclinical activities are monitored by a myelin labelling assay.

[00346] In another embodiment, the subject with multiple sclerosis can be at any stage of treatment or disease and treatment with compounds of formula I or I' of the present invention result in improvement of the disease or symptoms. In one embodiment, improvement in the disease or symptoms is evidenced by a reduction or disappearance of one or more white matter

lesions in the brain. In another embodiment, improvement in the disease or symptoms is evidenced by improved function such as improved ambulation, improved gait, reduced sway, improved T25W scores or improved EDSS scores. In another embodiment, improvement in the disease or symptoms is evidenced by improvements in a visual acuity assay or a visual evoked potential assay. In another embodiment, improvement in the disease or symptoms is evidenced by enhanced optic nerve thickness. In another embodiment, improvement in the disease or symptoms is evidenced by increased myelination in a myelin labelling assay.

[00347] In another embodiment, the compounds of formula I or I' of the present invention and the methods, compositions and kits disclosed herein are useful for promoting myelin regeneration in progressive demyelinating diseases. In one embodiment, the compounds of formula I or I' of the present invention and the methods, compositions and kits disclosed herein are useful for promoting myelin regeneration in primary progressive multiple sclerosis. In another embodiment, the compounds of formula I or I' of the present invention and the methods, compositions and kits disclosed herein are useful for promoting myelin regeneration in secondary progressive multiple sclerosis. In another embodiment, the compounds of formula I or I' of the present invention and the methods, compositions and kits disclosed herein are useful for promoting myelin regeneration in relapsing-remitting multiple sclerosis. In another embodiment, the compounds of formula I or I' of the present invention and the methods, compositions and kits disclosed herein are useful for promoting myelin regeneration in progressive relapsing multiple sclerosis.

[00348] In yet another embodiment, the compounds of formula I or I' of the present invention and the methods, compositions and kits disclosed herein are useful for promoting remyelination at the cellular level wherein oligodendrocyte cells are stimulated to regenerate or differentiate. In another embodiment, the compounds of formula I or I' of the present invention and the methods, compositions and kits disclosed herein are useful for promoting remyelination at the cellular level wherein oligodendrocyte cells are stimulated to remyelinate axons.

[00349] In another embodiment, the compounds of formula I or I' of the present invention and the methods, compositions and kits disclosed herein are useful for promoting remyelination at the cellular level whereby oligodendrocyte cells are stimulated to regenerate or differentiate thereby treating demyelinating diseases or disorders. In yet another embodiment, the compounds of formula I or I' of the present invention and the methods, compositions and kits disclosed

herein are useful for promoting remyelination at the cellular level whereby axons are remyelinated by oligodendrocyte cells thereby treating demyelinating diseases or disorders.

[00350] In another embodiment, the compounds of formula I or I' of the present invention and the methods, compositions and kits disclosed herein are useful for inducing endogenous oligodendrocytes or oligodendrocyte precursor cells to contact an axon and produce myelin.

[00351] In another aspect, the present invention provides a method of treating or lessening the severity of, in a subject, a disease or disorder selected from a demyelinating disease, central pontine myelinolysis, a nerve injury disease or disorder, a leukoencephalopathy or a leukodystrophy comprising administering an effective amount of a compound, a pharmaceutically acceptable salt thereof or a pharmaceutical composition of the compounds of formula I or I'.

[00352] In one aspect, the present invention provides a method of treating or lessening the severity of, in a subject, a disease or disorder selected from spinal cord injury, stroke, multiple sclerosis, progressive multifocal leukoencephalopathy, congenital hypomyelination, encephalomyelitis, acute disseminated encephalomyelitis, central pontine myelolysis, hypoxic demyelination, ischemic demyelination, neuromyelitis optics, adrenoleukodystrophy, Alexander's disease, Niemann-Pick disease, Pelizaeus Merzbacher disease, periventricular leukomalatia, globoid cell leucodystrophy (Krabbe's disease), Wallerian degeneration, optic neuritis, transverse myelitis, amylotrophic lateral sclerosis (Lou Gehrig's diseae), Huntington's disease, Alzheimer's disease, Parkinson's disease, Tay-Sacks disease, Gaucher's disease, Hurler Syndrome, traumatic brain injury, post radiation injury, neurologic complications of chemotherapy, neuropathy, acute ischemic optic neuropathy, neuromyelitis optica, vitamin B12 deficiency, isolated vitamin E deficiency syndrome, Bassen-Kornzweig syndrome, Leber's hereditary optic atrophy/Leber congenital amaurosis, Marchiafava-Bignami syndrome, metachromatic leukodystrophy, acute hemorrhagic leukoencephalitis, trigeminal neuralgia, Bell's palsy, schizophrenia, cerebral ischemia, multiple system atrophy, traumatic glaucoma, tropical spastic paraparesis/human T-lymphotropic virus 1 (HTLV-1) associated myelopathy, essential tremor or osmotic hyponatremia comprising administering an effective amount of a compound, a pharmaceutically acceptable salt thereof or a pharmaceutical composition of the compounds of formula I or I'.

[00353] In another aspect, the present invention provides a method of treating, preventing or ameliorating one or more symptoms of multiple sclerosis or another neurodegenerative disease selected from auditory impairment, optic neuritis, decreased visual acuity, diplopia, nystagmus, ocular dysmetria, internuclear ophthalmoplegia, movement and sound phosphenes, afferent pupillary defect, paresis, monoparesis, paraparesis, hemiparesis, quadraparesis, plegia, paraplegia, hemiplegia, tetraplegia, quadraplegia, spasticity, dysarthria, motor dysfunction, walking impairment, muscle atrophy, spasms, cramps, hypotonia, clonus, myoclonus, myokymia, restless leg syndrome, gait disturbances, footdrop, dysfunctional reflexes, paraesthesia, anaesthesia, neuralgia, neuropathic and neurogenic pain, L'hermitte's, proprioceptive dysfunction, trigeminal neuralgia, ataxia, intention tremor, dysmetria, vestibular ataxia, vertigo, speech ataxia, dystonia, disability progression, dysdiadochokinesia, frequent micturation, bladder spasticity, flaccid bladder, detrusor- sphincter dyssynergia, erectile dysfunction or anorgasmy comprising administering an effective amount of a compound, a pharmaceutically acceptable salt thereof or a pharmaceutical composition of the compounds of formula I or I'.

[00354] In yet another aspect, the present invention provides a method of treating or lessening the severity of, in a subject, a disease or disorder selected from a demyelinating disease, central pontine myelinolysis, a nerve injury disease or disorder, a leukoencephalopathy or a leukodystrophy comprising administering an effective amount of a compound, a pharmaceutically acceptable salt thereof or a pharmaceutical composition of the compounds of formula I or I' with one or more additional therapeutic agents administered concurrently with, prior to, or subsequent to treatment with the compound or pharmaceutical composition.

[00355] In another aspect, the present invention provides a method of treating or lessening the severity of, in a subject, a disease or disorder selected from spinal cord injury, stroke, multiple sclerosis, progressive multifocal leukoencephalopathy, congenital hypomyelination, encephalomyelitis, acute disseminated encephalomyelitis, central pontine myelolysis, hypoxic demyelination, ischemic demyelination, neuromyelitis optics, adrenoleukodystrophy, Alexander's disease, Niemann-Pick disease, Pelizaeus Merzbacher disease, periventricular leukomalatia, globoid cell leucodystrophy (Krabbe's disease), Wallerian degeneration, optic neuritis, transverse myelitis, amylotrophic lateral sclerosis (Lou Gehrig's diseae), Huntington's disease, Alzheimer's disease, Parkinson's disease, Tay-Sacks disease, Gaucher's disease, Hurler

Syndrome, traumatic brain injury, post radiation injury, neurologic complications of chemotherapy, neuropathy, acute ischemic optic neuropathy, neuromyelitis optica, vitamin B12 deficiency, isolated vitamin E deficiency syndrome, Bassen-Kornzweig syndrome, Leber's hereditary optic atrophy/Leber congenital amaurosis, Marchiafava-Bignami syndrome, metachromatic leukodystrophy, acute hemorrhagic leukoencephalitis, trigeminal neuralgia, Bell's palsy, schizophrenia, cerebral ischemia, multiple system atrophy, traumatic glaucoma, tropical spastic paraparesis/human T-lymphotropic virus 1 (HTLV-1) associated myelopathy, essential tremor or osmotic hyponatremia comprising administering an effective amount of a compound, a pharmaceutically acceptable salt thereof or a pharmaceutical composition of the compounds of formula I or I' with one or more additional therapeutic agents administered concurrently with, prior to, or subsequent to treatment with the compound or pharmaceutical composition.

[00356] In another aspect, the present invention provides a method of treating or lessening the severity of, in a subject, a type of multiple sclerosis selected from primary progressive multiple sclerosis, relapsing-remitting multiple sclerosis, secondary progressive multiple sclerosis or progressive relapsing multiple sclerosis. In one aspect, the type of multiple sclerosis is primary progressive multiple sclerosis. In another aspect, the type of multiple sclerosis is relapsing-remitting multiple sclerosis. In yet another aspect, the type of multiple sclerosis is secondary progressive multiple sclerosis. In still a further aspect, the type of multiple sclerosis is progressive relapsing multiple sclerosis.

[00357] In another aspect, the present invention provides a method for treating, preventing or ameliorating one or more symptoms of multiple sclerosis or another neurodegenerative disease selected from auditory impairment, optic neuritis, decreased visual acuity, diplopia, nystagmus, ocular dysmetria, internuclear ophthalmoplegia, movement and sound phosphenes, afferent pupillary defect, paresis, monoparesis, paraparesis, hemiparesis, quadraparesis, plegia, paraplegia, hemiplegia, tetraplegia, quadraplegia, spasticity, dysarthria, motor dysfunction, walking impairment, muscle atrophy, spasms, cramps, hypotonia, clonus, myoclonus, myokymia, restless leg syndrome, gait disturbances, footdrop, dysfunctional reflexes, paraesthesia, anaesthesia, neuralgia, neuropathic and neurogenic pain, L'hermitte's, proprioceptive dysfunction, trigeminal neuralgia, ataxia, intention tremor, dysmetria, vestibular ataxia, vertigo, speech ataxia, dystonia, disability progression, dysdiadochokinesia, frequent micturation, bladder spasticity, flaccid bladder, detrusor- sphincter dyssynergia, erectile

dysfunction or anorgasmy comprising administering an effective amount of a compound, a pharmaceutically acceptable salt thereof or a pharmaceutical composition of the compounds of formula I or I' with one or more additional therapeutic agents administered concurrently with, prior to, or subsequent to treatment with the compound or pharmaceutical composition.

Manufacture of Medicaments

[00358] In one aspect, the present invention provides the use of a compound or pharmaceutical composition described herein for the manufacture of a medicament for use in treating or lessening the severity of, in a subject, a disease or disorder selected from a demyelinating disease, central pontine myelinolysis, a nerve injury disease or disorder or a leukoencephalopathy.

[00359] In another aspect, the present invention provides the use of a compound or pharmaceutical composition described herein for the manufacture of a medicament for use in treating or lessening the severity of, in a subject, a disease or disorder selected from spinal cord injury, stroke, multiple sclerosis, progressive multifocal leukoencephalopathy, congenital hypomyelination, encephalomyelitis, acute disseminated encephalomyelitis, central pontine myelolysis, hypoxic demyelination, ischemic demyelination, neuromyelitis optics, adrenoleukodystrophy, Alexander's disease, Niemann-Pick disease, Pelizaeus Merzbacher disease, periventricular leukomalatia, globoid cell leucodystrophy (Krabbe's disease), Wallerian degeneration, optic neuritis, transverse myelitis, amylotrophic lateral sclerosis (Lou Gehrig's diseae), Huntington's disease, Alzheimer's disease, Parkinson's disease, Tay-Sacks disease, Gaucher's disease, Hurler Syndrome, traumatic brain injury, post radiation injury, neurologic complications of chemotherapy, neuropathy, acute ischemic optic neuropathy, neuromyelitis optica, vitamin B12 deficiency, isolated vitamin E deficiency syndrome, Bassen-Kornzweig syndrome, Leber's hereditary optic atrophy/Leber congenital amaurosis, Marchiafava-Bignami syndrome, metachromatic leukodystrophy, acute hemorrhagic leukoencephalitis, trigeminal neuralgia, Bell's palsy, schizophrenia, cerebral ischemia, multiple system atrophy, traumatic glaucoma, tropical spastic paraparesis/human T-lymphotropic virus 1 (HTLV-1) associated myelopathy, essential tremor or osmotic hyponatremia.

[00360] In another aspect, the present invention provides the use of a compound or pharmaceutical composition described herein for the manufacture of a medicament for use in

treating, preventing or ameliorating one or more symptoms of multiple sclerosis or another neurodegenerative disease selected from auditory impairment, optic neuritis, decreased visual acuity, diplopia, nystagmus, ocular dysmetria, internuclear ophthalmoplegia, movement and sound phosphenes, afferent pupillary defect, paresis, monoparesis, paraparesis, hemiparesis, quadraparesis, plegia, paraplegia, hemiplegia, tetraplegia, quadraplegia, spasticity, dysarthria, motor dysfunction, walking impairment, muscle atrophy, spasms, cramps, hypotonia, clonus, myoclonus, myokymia, restless leg syndrome, gait disturbances, footdrop, dysfunctional reflexes, paraesthesia, anaesthesia, neuralgia, neuropathic and neurogenic pain, L'hermitte's, proprioceptive dysfunction, trigeminal neuralgia, ataxia, intention tremor, dysmetria, vestibular ataxia, vertigo, speech ataxia, dystonia, disability progression, dysdiadochokinesia, frequent micturation, bladder spasticity, flaccid bladder, detrusor- sphincter dyssynergia, erectile dysfunction or anorgasmy.

[00361] In yet another aspect, the present invention provides the use of a compound or pharmaceutical composition described herein for the manufacture of a medicament in combination with one or more additional therapeutic agents administered concurrently with, prior to, or subsequent to treatment with the compound or pharmaceutical composition.

[00362] In one aspect, the present invention provides the use of a compound or pharmaceutical composition described herein for the manufacture of a medicament for use in treating or lessening the severity of, in a subject, a disease or disorder selected from a demyelinating disease, central pontine myelinolysis, a nerve injury disease or disorder or a leukoencephalopathy with one or more additional therapeutic agents administered concurrently with, prior to, or subsequent to treatment with the compound or pharmaceutical composition.

[00363] In another aspect, the present invention provides the use of a compound or pharmaceutical composition described herein for the manufacture of a medicament for use in treating or lessening the severity of, in a subject, a disease or disorder selected from spinal cord injury, stroke, multiple sclerosis, progressive multifocal leukoencephalopathy, congenital hypomyelination, encephalomyelitis, acute disseminated encephalomyelitis, central pontine myelolysis, hypoxic demyelination, ischemic demyelination, neuromyelitis optics, adrenoleukodystrophy, Alexander's disease, Niemann-Pick disease, Pelizaeus Merzbacher disease, periventricular leukomalatia, globoid cell leucodystrophy (Krabbe's disease), Wallerian degeneration, optic neuritis, transverse myelitis, amylotrophic lateral sclerosis (Lou Gehrig's

diseae), Huntington's disease, Alzheimer's disease, Parkinson's disease, Tay-Sacks disease, Gaucher's disease, Hurler Syndrome, traumatic brain injury, post radiation injury, neurologic complications of chemotherapy, neuropathy, acute ischemic optic neuropathy, neuromyelitis optica, vitamin B12 deficiency, isolated vitamin E deficiency syndrome, Bassen-Kornzweig syndrome, Leber's hereditary optic atrophy/Leber congenital amaurosis, Marchiafava-Bignami syndrome, metachromatic leukodystrophy, acute hemorrhagic leukoencephalitis, trigeminal neuralgia, Bell's palsy, schizophrenia, cerebral ischemia, multiple system atrophy, traumatic glaucoma, tropical spastic paraparesis/human T-lymphotropic virus 1 (HTLV-1) associated myelopathy, essential tremor or osmotic hyponatremia in combination with one or more additional therapeutic agents administered concurrently with, prior to, or subsequent to treatment with the compound or pharmaceutical composition.

[00364] In another aspect, the present invention provides the use of a compound or pharmaceutical composition described herein for the manufacture of a medicament for use in treating or lessening the severity of, in a subject, a type of multiple sclerosis selected from primary progressive multiple sclerosis, relapsing-remitting multiple sclerosis, secondary progressive multiple sclerosis or progressive relapsing multiple sclerosis. In one aspect, the type of multiple sclerosis is primary progressive multiple sclerosis. In another aspect, the type of multiple sclerosis is relapsing-remitting multiple sclerosis. In yet another aspect, the type of multiple sclerosis is secondary progressive multiple sclerosis. In still a further aspect, the type of multiple sclerosis is progressive relapsing multiple sclerosis.

[00365] In yet another aspect, the present the present invention provides the use of a compound or pharmaceutical composition described herein for the manufacture of a medicament for use in treating, preventing or ameliorating one or more symptoms of multiple sclerosis or another neurodegenerative disease selected from auditory impairment, optic neuritis, decreased visual acuity, diplopia, nystagmus, ocular dysmetria, internuclear ophthalmoplegia, movement and sound phosphenes, afferent pupillary defect, paresis, monoparesis, paraparesis, hemiparesis, quadraparesis, plegia, paraplegia, hemiplegia, tetraplegia, quadraplegia, spasticity, dysarthria, motor dysfunction, walking impairment, muscle atrophy, spasms, cramps, hypotonia, clonus, myoclonus, myokymia, restless leg syndrome, gait disturbances, footdrop, dysfunctional reflexes, paraesthesia, anaesthesia, neuralgia, neuropathic and neurogenic pain, L'hermitte's, proprioceptive dysfunction, trigeminal neuralgia, ataxia, intention tremor, dysmetria, vestibular

ataxia, vertigo, speech ataxia, dystonia, disability progression, dysdiadochokinesia, frequent micturation, bladder spasticity, flaccid bladder, detrusor- sphincter dyssynergia, erectile dysfunction or anorgasmyin combination with one or more additional therapeutic agents administered concurrently with, prior to, or subsequent to treatment with the compound or pharmaceutical composition.

Administration of Pharmaceutically acceptable salts and Compositions

[00366] In certain embodiments of the invention an “effective amount” of the compound, a pharmaceutically acceptable salt thereof or pharmaceutically acceptable composition is that amount effective for treating or lessening the severity of, in a subject, a disease or disorder selected from one or more of a demyelinating disease, central pontine myelinolysis, a nerve injury disease or disorder or a leukoencephalopathy.

[00367] The exact amount required will vary from subject to subject, depending on the species, age, and general condition of the subject, the severity of the disease, the particular agent, its mode of administration, and the like. The compounds of the invention are preferably formulated in dosage unit form for ease of administration and uniformity of dosage. The expression "dosage unit form" as used herein refers to a physically discrete unit of agent appropriate for the patient to be treated. It will be understood, however, that the total daily usage of the compounds and compositions of the invention will be decided by the attending physician within the scope of sound medical judgment. The specific effective dose level for any particular patient or organism will depend upon a variety of factors including the disorder being treated and the severity of the disorder; the activity of the specific compound employed; the specific composition employed; the age, body weight, general health, sex and diet of the patient; the time of administration, route of administration, and rate of excretion of the specific compound employed; the duration of the treatment; drugs used in combination or coincidental with the specific compound employed, and like factors well known in the medical arts. The term “patient”, as used herein, means an animal, preferably a mammal, and most preferably a human

[00368] The pharmaceutically acceptable compositions of this invention can be administered to humans and other animals orally, rectally, parenterally, intracisternally, intravaginally, intraperitoneally, topically (as by powders, ointments, or drops), bucally, as an oral or nasal spray, or the like, depending on the severity of the disease being treated. In certain embodiments,

the compounds of the invention may be administered orally or parenterally at dosage levels of about 0.01 mg/kg to about 50 mg/kg and preferably from about 1 mg/kg to about 25 mg/kg, of subject body weight per day, one or more times a day, to obtain the desired therapeutic effect.

[00369] Liquid dosage forms for oral administration include, but are not limited to, pharmaceutically acceptable emulsions, microemulsions, solutions, suspensions, syrups and elixirs. In addition to the active compounds, the liquid dosage forms may contain inert diluents commonly used in the art such as, for example, water or other solvents, solubilizing agents and emulsifiers such as ethyl alcohol, isopropyl alcohol, ethyl carbonate, ethyl acetate, benzyl alcohol, benzyl benzoate, propylene glycol, 1,3-butylene glycol, dimethylformamide, oils (in particular, cottonseed, groundnut, corn, germ, olive, castor, and sesame oils), glycerol, tetrahydrofurfuryl alcohol, polyethylene glycols and fatty acid esters of sorbitan, and mixtures thereof. Besides inert diluents, the oral compositions can also include adjuvants such as wetting agents, emulsifying and suspending agents, sweetening, flavoring, and perfuming agents.

[00370] Injectable preparations, for example, sterile injectable aqueous or oleaginous suspensions may be formulated according to the known art using suitable dispersing or wetting agents and suspending agents. The sterile injectable preparation may also be a sterile injectable solution, suspension or emulsion in a nontoxic parenterally acceptable diluent or solvent, for example, as a solution in 1,3-butanediol. Among the acceptable vehicles and solvents that may be employed are water, Ringer's solution, U.S.P. and isotonic sodium chloride solution. In addition, sterile, fixed oils are conventionally employed as a solvent or suspending medium. For this purpose any bland fixed oil can be employed including synthetic mono- or diglycerides. In addition, fatty acids such as oleic acid are used in the preparation of injectables.

[00371] The injectable formulations can be sterilized, for example, by filtration through a bacterial-retaining filter, or by incorporating sterilizing agents in the form of sterile solid compositions which can be dissolved or dispersed in sterile water or other sterile injectable medium prior to use.

[00372] In order to prolong the effect of a compound of the invention, it is often desirable to slow the absorption of the compound from subcutaneous or intramuscular injection. This may be accomplished by the use of a liquid suspension of crystalline or amorphous material with poor water solubility. The rate of absorption of the compound then depends upon its rate of dissolution that, in turn, may depend upon crystal size and crystalline form. Alternatively,

delayed absorption of a parenterally administered compound form is accomplished by dissolving or suspending the compound in an oil vehicle. Injectable depot forms are made by forming microencapsule matrices of the compound in biodegradable polymers such as polylactide-polyglycolide. Depending upon the ratio of compound to polymer and the nature of the particular polymer employed, the rate of compound release can be controlled. Examples of other biodegradable polymers include poly(orthoesters) and poly(anhydrides). Depot injectable formulations are also prepared by entrapping the compound in liposomes or microemulsions that are compatible with body tissues.

[00373] Compositions for rectal or vaginal administration are preferably suppositories which can be prepared by mixing the compounds of this invention with suitable non-irritating excipients or carriers such as cocoa butter, polyethylene glycol or a suppository wax which are solid at ambient temperature but liquid at body temperature and therefore melt in the rectum or vaginal cavity and release the active compound.

[00374] Solid dosage forms for oral administration include capsules, tablets, pills, powders, and granules. In such solid dosage forms, the active compound is mixed with at least one inert, pharmaceutically acceptable excipient or carrier such as sodium citrate or dicalcium phosphate and/or a) fillers or extenders such as starches, lactose, sucrose, glucose, mannitol, and silicic acid, b) binders such as, for example, carboxymethylcellulose, alginates, gelatin, polyvinylpyrrolidinone, sucrose, and acacia, c) humectants such as glycerol, d) disintegrating agents such as agar-agar, calcium carbonate, potato or tapioca starch, alginic acid, certain silicates, and sodium carbonate, e) solution retarding agents such as paraffin, f) absorption accelerators such as quaternary ammonium compounds, g) wetting agents such as, for example, cetyl alcohol and glycerol monostearate, h) absorbents such as kaolin and bentonite clay, and i) lubricants such as talc, calcium stearate, magnesium stearate, solid polyethylene glycols, sodium lauryl sulfate, and mixtures thereof. In the case of capsules, tablets and pills, the dosage form may also comprise buffering agents.

[00375] Solid compositions of a similar type may also be employed as fillers in soft and hard-filled gelatin capsules using such excipients as lactose or milk sugar as well as high molecular weight polyethylene glycols and the like. The solid dosage forms of tablets, dragees, capsules, pills, and granules can be prepared with coatings and shells such as enteric coatings and other coatings well known in the pharmaceutical formulating art. They may optionally contain

opacifying agents and can also be of a composition that they release the active ingredient(s) only, or preferentially, in a certain part of the intestinal tract, optionally, in a delayed manner. Examples of embedding compositions that can be used include polymeric substances and waxes. Solid compositions of a similar type may also be employed as fillers in soft and hard-filled gelatin capsules using such excipients as lactose or milk sugar as well as high molecular weight polethylene glycols and the like.

[00376] The active compounds can also be in microencapsulated form with one or more excipients as noted above. The solid dosage forms of tablets, dragees, capsules, pills, and granules can be prepared with coatings and shells such as enteric coatings, release controlling coatings and other coatings well known in the pharmaceutical formulating art. In such solid dosage forms the active compound may be admixed with at least one inert diluent such as sucrose, lactose or starch. Such dosage forms may also comprise, as is normal practice, additional substances other than inert diluents, e.g., tableting lubricants and other tableting aids such a magnesium stearate and microcrystalline cellulose. In the case of capsules, tablets and pills, the dosage forms may also comprise buffering agents. They may optionally contain opacifying agents and can also be of a composition that they release the active ingredient(s) only, or preferentially, in a certain part of the intestinal tract, optionally, in a delayed manner. Examples of embedding compositions that can be used include polymeric substances and waxes.

[00377] Dosage forms for topical or transdermal administration of a compound of this invention include ointments, pastes, creams, lotions, gels, powders, solutions, sprays, inhalants or patches. The active component is admixed under sterile conditions with a pharmaceutically acceptable carrier and any needed preservatives or buffers as may be required. Ophthalmic formulation, eardrops, and eye drops are also contemplated as being within the scope of this invention. Additionally, the invention contemplates the use of transdermal patches, which have the added advantage of providing controlled delivery of a compound to the body. Such dosage forms are prepared by dissolving or dispensing the compound in the proper medium. Absorption enhancers can also be used to increase the flux of the compound across the skin. The rate can be controlled by either providing a rate controlling membrane or by dispersing the compound in a polymer matrix or gel.

Additional Therapeutic Agents

[00378] It will also be appreciated that the the compounds of formula I or I' of the present invention and the methods, compositions and kits disclosed herein can be employed in combination therapies, that is, the compounds and pharmaceutically acceptable compositions can be administered concurrently with, prior to, or subsequent to, one or more other desired therapeutics or medical procedures. The particular combination of therapies (therapeutics or procedures) to employ in a combination regimen will take into account compatibility of the desired therapeutics and/or procedures and the desired therapeutic effect to be achieved. It will also be appreciated that the therapies employed may achieve a desired effect for the same disorder (for example, an inventive compound may be administered concurrently with another agent used to treat the same disorder), or they may achieve different effects (e.g., control of any adverse effects). As used herein, additional therapeutic agents that are normally administered to treat or prevent a particular disease, or condition, are known as "appropriate for the disease, or condition, being treated." Additional appropriate therapeutic agents or approaches are described generally in The Merck Manual, Nineteenth Edition, Ed. Robert S. Porter and Justin L. Kaplan, Merck Sharp & Dohme Corp., a subsidiary of Merck & Co., Inc., 2011, and the Food and Drug Administration website, www.fda.gov, the entire contents of which are hereby incorporated by reference.

[00379] In one embodiment, the additional therapeutic agents is an immunomodulatory agent, such as an IFN-β 1 molecule including but not limited to an interferon beta 1a (Avonex®, Rebif®) or an interferon beta lb (Betaseron®, Betaferon®, Extavia®). Immunomodulatory agents also include other interferons and fragments, analogues, homologues, derivatives, and natural variants thereof with substantially similar biological activity to interferon beta 1a molecules.

[00380] In another embodiment, the additional therapeutic agent is a polymer of glutamic acid, lysine, alanine and tyrosine such as glatiramer acetate (Copaxone®).

[00381] In another embodiment, the additional therapeutic agent is an antibody or fragment thereof against alpha-4 integrin (e.g., natalizumab (Tysabri®)).

[00382] In another embodiment, the additional therapeutic agent is an anthracenedione molecule such as mitoxantrone (Novantrone®).

[00383] In another embodiment, the additional therapeutic agent is a sphingosine 1-phosphate receptor modulator such as fingolimod (Gilenya®) and those described in WO 2012/109108 the entire contents of which is hereby incorporated by reference.

[00384] In another embodiment, the additional therapeutic agent is a dimethyl fumarate such as an oral dimethyl fumarate (Tecfidera®).

[00385] In another embodiment, the additional therapeutic agent is an antibody to the alpha subunit of the IL-2 receptor of T cells such as daclizumab (Zenapax®).

[00386] In another embodiment, the additional therapeutic agent is an antibody against CD52 such as alemtuzumab (Lemtrada®).

[00387] In another embodiment, the additional therapeutic agent is an inhibitor of a dihydroorotate dehydrogenase such as teriflunomide (Aubagio®).

[00388] In another embodiment, the additional therapeutic agent is an antibody to CD20 such as ocrelizumab, rituximab or ofatumumab.

[00389] In another embodiment, the additional therapeutic agent is a corticosteroid such as, but not limited to methylprednisolone, Depo-Medrol®, Solu-Medrol®, Deltasone®, Delta-Cortef®, Medrol®, Decadron® or Acthar®.

[00390] In another embodiment, the additional therapeutic agent is an anti-VLA4 antibody, such as Natalizumab (Tysabri®) or a related VLA-4 antibodies such as those described in US 5,840,299, US 6,602,503, Sanchez-Madrid et al, (1986) Eur. J. Immunol 16: 1343-1349; Hemler et al, (1987) J Biol. Chem. 2: 11478-11485; Issekutz et al. (1991) J Immunol 147: 109 (TA-2 mab); Pulido et al. (1991) J Biol. Chem. 266: 10241-10245; and U.S. Pat. No. 5,888,507 the entire contents of each patent or publication hereby incorporated by reference in their entirety.

[00391] In another embodiment, the additional therapeutic agent is a LINGO-1 antagonist (e.g., an antibody against LINGO (e.g., LINGO-1, LINGO-2, LINGO-3, LINGO-4) or a Nogo receptor-1 (NgR1) modulator and compositions thereof such as those disclosed in WO2004/085648, WO2006/002437, WO2007/008547, WO2007/025219, WO2007/064882, WO2007/056161, WO2007/133746, WO2007/098283, WO2008/086006, WO2009/061500, WO2010/005570, WO2010/062904, WO 2013/173364, WO2014/058875, each of which is hereby incorporated by reference in its entirety.

[00392] In another embodiment, the additional therapeutic agent is a TAJ modulator, such as those disclosed in WO2006/017673, which is hereby incorporated by reference in its entirety.

[00393] In another embodiment, the additional therapeutic agent is a TrkA antagonist such as those disclosed in WO2008/013782 or a TrkB antagonist such as those disclosed in WO2009/048605, each of which is hereby incorporated by reference in its entirety.

[00394] In another embodiment, the additional therapeutic agent is a sclerostin modulator such as those disclosed in WO2013/063095, which is hereby incorporated by reference in its entirety.

[00395] In another embodiment, the additional therapeutic agent is an autotaxin (ATX) inhibitor or LPA receptor antagonist, such as those described in WO2015048301, WO2015042053, WO2015042052, WO2015008230, WO2015008229, WO2014202458, WO2014139882, WO2014133112, WO2014097151, WO2014110000, WO2014/081756, WO2014/081752, WO2014/048865, WO2014168824 , WO2014143583, WO2014139978, WO2013/186159, WO2012/024620, WO2012/166415, WO2012078593, WO2012078805, WO2012024620,WO2013070879, WO2013/061297, WO2013/054185, WO2014/018881, WO2014/018887, WO2014/018891, WO2014/025708, WO2104/025709, WO2014/152725, WO2012028243, WO2012005227, WO2011/159635, WO2011/159550, WO2011116867, WO2011053948, WO2011041729, WO2011041694, WO2011041462, WO2011041461, WO2011017561, WO2011017350, WO2010115491, WO2011006569, WO20110141761, WO2010112124, WO2010112116, WO2010077883, WO2010077882, WO2010068775, WO2010063352, WO2010051031, WO2010051030, WO2009046841, WO2009046842, WO2009046804, WO2009023854, WO2009/135590, WO2008/014286, WO 2010/141768, US2006/194850, US 2003/114505, US 2004/122236, US 2006/194850, US 6964945, US2005/0256160, US 2006/148830, US 2008/0293764, US2010/0249157, the disclosure of each patent application and patent hereby incorporated by reference in its entirety.

[00396] In another embodiment, the additional therapeutic agent is a Nox4 modulator such as those described in WO2013/037499, which is hereby incorporated by reference in its entirety.

[00397] In another embodiment, the additional therapeutic agent is a remyelinating antibody such as rHIgM22.

[00398] In another embodiment, the additional therapeutic agent is dalfampridine (Ampyra®)

[00399] In another embodiment, the additional therapeutic agent is a death receptor 6 (DR6) antagonist, a p75 antagonist or a combination thereof such as those disclosed in US8894999 and WO2014106104 each of which is incorporated herein by reference in its entirety.

[00400] In another embodiment, the additional therapeutic agent is Cethrin™.

[00401] In another embodiment, the additional therapeutic agent is an activin receptor modulator such as those described in WO2015/001352, which is hereby incorporated by reference in its entirety.

[00402] In another embodiment, the additional therapeutic agent is a GLP-1 like peptide or a derivative of GLP-1 like peptides such as those disclosed in WO2015/000942, WO2014/202727, WO2012/140117, WO2012/062803, WO 2012/062804, WO2011/080102 and WO2009/030771, each of which is incorporated herein by reference in its entirety. In another embodiment, the GLP-1 derivative is Liraglutide or Semaglutide.

[00403] In another embodiment, the additional therapeutic agent is a RXR modulator such as those disclosed in US2015/0038585 and WO2013056232 each of which is incorporated herein by reference in its entirety. In another embodiment, the RXR modulator is HX630.

[00404] In another embodiment, the additional therapeutic agent is an activator of the NRF2/KEAP1/ARE pathway such as those disclosed in WO2014/197818 which is hereby incorporated by reference in its entirety.

[00405] In another embodiment, the additional therapeutic agent is a PPAR agonist such as those disclosed in WO2014/165827 which is hereby incorporated by reference in its entirety.

[00406] In another embodiment, the additional therapeutic agent is an inhibitor of HDAC4 such as those disclosed in WO2013/080120 which is hereby incorporated by reference in its entirety.

[00407] In another embodiment, the additional therapeutic agent is a gamma secretase inhibitor such as DAPT.

[00408] In another embodiment, the additional therapeutic agent is an antipsychotic medication such as quetiapine.

[00409] In another embodiment, the additional therapeutic agent is a thyroid hormone.

[00410] In another embodiment, the additional therapeutic agent is a thyroid translocator protein (TSPO) such as etifoxine.

[00411] In another embodiment, the additional therapeutic agent is insulin-like growth factor 1 (IGF-1).

[00412] In another embodiment, the additional therapeutic agent is an anticholinergic such as benzatropine.

[00413] In another embodiment, the additional therapeutic agent is an antihistamine/anticholinergic such as clemastine or clemastine fumarate.

[00414] In another embodiment, the additional therapeutic agent is one that removes antiaquaporin by plasmapheresis.

[00415] In another embodiment, the additional therapeutic agent is a hyaluronan inhibitor or antagonist such as those described in WO2015023691, which is hereby incorporated by reference in its entirety.

[00416] In another embodiment, the additional therapeutic agent is a hyaluronidase inhibitor such as a PH20 inhibitor or those described in WO2013/102144, WO2011/133862, and WO2010/007729 each of which is hereby incorporated by reference in its entirety.

[00417] In another embodiment, the additional therapeutic agent is a Toll-Like Receptor-2 (TLR-2) inhibitor.

[00418] In another embodiment, the additional therapeutic agent is a Semaphorin 3A antagonist or antibody such as those disclosed in WO2014123186, which is hereby incorporated by reference in its entirety.

[00419] In another embodiment, the additional therapeutic agent is a CXCR2 inhibitor or antagonist.

[00420] In another embodiment, the additional therapeutic agent is a Semaphorin 3F agonist.

[00421] In another embodiment, the additional therapeutic agent is a Wnt polypeptide or Wnt inhibitor such as those disclosed in WO 2013/040309 and WO 2012/097093, each of which is hereby incorporated by reference in its entirety.

[00422] In another embodiment, the additional therapeutic agent is a mitochondrial pore modulator such as Olesoxime.

[00423] In another embodiment, the additional therapeutic agent is a PSA NCAM antagonist, a CXCR2 inhibitor or antagonist, a MRF agonist, a GM-98 agonist, a Tcf4 inhibitor, a retinoid, a neuregulin 1-erbB signaling modulator, a zpf191 activator, an miR219 activator, an miR338 activator or an miR138 activator.

[00424] In certain embodiments, the additional agent is an immunomodulatory agent such as an IFN-β 1 molecule which is administered intravenously, subcutaneously or intramuscularly. In one embodiment, the IFN-β 1 molecule is administered at 20-45 microgram once a week by intramuscular injection. In another embodiment, the IFN-β 1 molecule is administered at 20-30

microgram three times a week by intramuscular injection. In another embodiment, the IFN-β 1 molecule is administered at 40-50 micrograms once a week, by subcutaneous injection.

[00425] In another embodiment, the IFN-β 1 molecule is administered in an amount of between 10 and 50 μg intramuscularly three times a week.

[00426] In another embodiment, the IFN-β 1 molecule is administered in an amount of between 10 and 50 μg intramuscularly every five to ten days.

[00427] In another embodiment, the IFN-β 1 molecule is administered in an amount between 200 and 600 μg every other day by subcutaneous injection. In one embodiment, the IFN-β 1 molecule is an interferon β-lb (Betaseron®, Betaferon®, or Extavia®).

[00428] These combinations are useful for treating or lessening the severity of, in a subject, the diseases described herein including neurodegenerative diseases such as multiple sclerosis. These combinations are also useful in the kits described herein.

[00429] It will also be appreciated that the the compounds of formula I or I' of the present invention and the methods, compositions and kits disclosed herein can be employed in combination therapies to not only treat or lessen the severity of, in a subject, the diseases described herein but may also be used in symptom management. Those additional agents include those useful for treating symptoms such as bladder problems (e.g., Botox®, DDAVP Nasal Spray®, Detrol®, Ditropan®, Ditropan XL®, Enablex®, Flomax®, Hytrin®, Minipress®, Oxytrol®, Pro-Banthine®, Sanctura®, Tofranil®, Vesicare®); infections (Bactrim®, Septra®, Cipro®, Macrodantin®, Hiprex®, Pyridium®); bowel dysfunction (Colace®, Dulcolax®, Enemeez®, Fleet enema, Mineral oil, Metamucil®, Milk of Magnesi®a, glycerin suppositories); depression (Cymbalta®, Effexor®, Paxil®, Prozac®, Wellbutrin®, Zoloft®); dizziness and vertigo (Antivert®); emotional changes (Nuedexta®), Fatigue (Amantadine®, Provigil®, Prozac®), itching (Atarax®); pain (Dilantin®, Elavil®, Klonipin®, Neurontin®, Pamelor®, Aventyl®, Tegetrol®); sexual problems (Cialis®, Levitra®, Papaverine®, MUSE®, Prostin VR®, Viagra®); spasticity (Dantrium®, Gablofen®, Klonipin®, Lioresal®, Valium®, Zanaflex®); tremors (Laniazid®, Nydrazid®, Klonopin®, Rivotril®); and walking or gait difficulties (Ampyra®).

[00430] The amount of additional therapeutic agent present in or with the compositions of this invention will be no more than the amount that would normally be administered in a composition comprising that therapeutic agent as the only active agent. Preferably the amount of additional

therapeutic agent in the presently disclosed compositions will range from about 50% to 100% of the amount normally present in a composition comprising that agent as the only therapeutically active agent.

[00431] In another aspect, the present invention features a kit comprising a compound and/or pharmaceutical composition of formula I or I' of the present invention and instructions for use thereof.

[00432] In another embodiment, the kits of the present invention further comprise one or more additional therapeutic agent(s). In another embodiment, the additional therapeutic agent is selected from an immunomodulatory agent, such as an IFN-β 1 molecule including but not limited to an interferon beta 1a (Avonex®, Rebif®) or an interferon beta lb (Betaseron®, Betaferon®, Extavia®).

[00433] In another embodiment, the additional therapeutic agent is a polymer of glutamic acid, lysine, alanine and tyrosine such as glatiramer acetate (Copaxone®).

[00434] In another embodiment, the additional therapeutic agent is an antibody or fragment thereof against alpha-4 integrin (e.g., natalizumab (Tysabri®)).

[00435] In another embodiment, the additional therapeutic agent is an anthracenedione molecule such as mitoxantrone (Novantrone®).

[00436] In another embodiment, the additional therapeutic agent is a sphingosine 1-phosphate receptor modulator such as fingolimod (Gilenya®) and those described in WO 2012/109108 the entire contents of which is hereby incorporated by reference.

[00437] In another embodiment, the additional therapeutic agent is a dimethyl fumarate such as an oral dimethyl fumarate (Tecfidera®).

[00438] In another embodiment, the additional therapeutic agent is an antibody to the alpha subunit of the IL-2 receptor of T cells such as daclizumab (Zenapax®).

[00439] In another embodiment, the additional therapeutic agent is an antibody against CD52 such as alemtuzumab (Lemtrada®).

[00440] In another embodiment, the additional therapeutic agent is an inhibitor of a dihydroorotate dehydrogenase such as teriflunomide (Aubagio®).

[00441] In another embodiment, the additional therapeutic agent is an antibody to CD20 such as ocrelizumab, rituximab or ofatumumab.

[00442] In another embodiment, the additional therapeutic agent is a corticosteroid such as, but not limited to methylprednisolone, Depo-Medrol®, Solu-Medrol®, Deltasone®, Delta-Cortef®, Medrol®, Decadron® or Acthar®.

[00443] In another embodiment, the additional therapeutic agent is one or more compounds useful for treating symptoms of the disease such as bladder problems (e.g., Botox®, DDAVP Nasal Spray®, Detrol®, Ditropan®, Ditropan XL®, Enablex®, Flomax®, Hytrin®, Minipress®, Oxytrol®, Pro-Banthine®, Sanctura®, Tofranil®, Vesicare®); infections (Bactrim®, Septra®, Cipro®, Macrodantin®, Hiprex®, Pyridium®); bowel dysfunction (Colace®, Dulcolax®, Enemeez®, Fleet enema, Mineral oil, Metamucil®, Milk of Magnesia®, glycerin suppositories); depression (Cymbalta®, Effexor®, Paxil®, Prozac®, Wellbutrin®, Zoloft®); dizziness and vertigo (Antivert®); emotional changes (Nuedexta®), Fatigue (Amantadine®, Provigil®, Prozac®), itching (Atarax®); pain (Dilantin®, Elavil®, Klonipin®, Neurontin®, Pamelor®, Aventyl®, Tegetrol®); sexual problems (Cialis®, Levitra®, Papaverine®, MUSE®, Prostin VR®, Viagra®); spasticity (Dantrium®, Gablofen®, Klonipin®, Lioresal®, Valium®, Zanaflex®); tremors (Laniazid®, Nydrazid®, Klonopin®, Rivotril®); or walking or gait difficulties (Ampyra®).

[00444] In another embodiment, the kits of the present invention are drawn to kits wherein the compounds or the pharmaceutical compositions of the present invention and the one or more additional therapeutic agent(s) are in separate containers.

[00445] In another embodiment, the kits of the present invention are drawn to kits wherein the compounds or the pharmaceutical compositions of the present invention and the one or more additional therapeutic agent(s) are in the same container.

[00446] In another embodiment, the container is a bottle, vial, or blister pack, or combination thereof.

SCHEMES AND EXAMPLES

The compounds of the invention may be readily prepared by known methods and by using the following methods, schemes and examples. Illustrated below in Scheme A through Scheme Q are general methods for preparing the compounds of the present invention. Compounds were named using either IUPAC nomenclature or the nomenclature used in ChemBioDraw Ultra

(Version 12.0.2.1076, CambridgeSoft®). Anywhere in the present application where a name of a compound may not correctly describe the structure of the compound, the structure supersedes the name and governs.

EXAMPLES

General methods. ^{1}H NMR (obtained on a Bruker 400MHz Advance III QNP probe 1H/13C/19F/31P or a Bruker 300MHz Advance I QNP probe 1H/13C/19F/31P) spectra were obtained as solutions in an appropriate deuterated solvent such as dimethyl sulfoxide-d_6 (DMSO-D6 or DMSO-d6). Mass spectra (MS) were obtained using either Method 1 or Method 2 as follows. Method 1: Mass spectra (MS) were obtained using a Waters Acquity UPLC-MS system equipped with a Waters 3100 mass detector. Compound purity and retention times were determined by reversed phase HPLC using an Acquity CSH C18 column (50 × 2.1 mm, 1.7 μm particle) from Waters (pn: 186005296), and a dual gradient run from 5-95% mobile phase B over 0.60 minutes. Mobile phase A = H_2O (0.1 % CF_3CO_2H). Mobile phase B = CH_3CN (0.1 % CF_3CO_2H). Flow rate = 0.6 mL/min, injection volume = 2 μL, and column temperature = 25 °C. Method 2: Mass spectra (MS) were obtained using a Waters Acquity UPLC-MS system equipped with a Waters 3100 mass detector. Compound purity and retention times were determined by reversed phase HPLC using an Acquity CSH Fluoro Phenyl column (50 × 2.1 mm, 1.7 μm particle) from Waters (pn: 186005351), and a dual gradient run from 5-95% mobile phase B over 0.60 minutes. Mobile phase A = H_2O (0.1 % CF_3CO_2H). Mobile phase B = CH_3CN (0.1 % CF_3CO_2H). Flow rate = 0.6 mL/min, injection volume = 2 μL, and column temperature = 25 °C. Normal phase flash chromatography was performed using pre-packed Isco RediSepRf high performance columns. Pyridine, dichloromethane (CH_2Cl_2 or DCM), tetrahydrofuran (THF), dimethylformamide (DMF), acetonitrile (ACN), methanol (MeOH), and 1,4-dioxane were from Baker or Aldrich and in some cases the reagents were Aldrich Sure-Seal bottles kept under dry nitrogen. All reactions were stirred magnetically unless otherwise noted.

[00447] The following definitions describe terms and abbreviations used herein:

DCM	dichloromethane
DMA	diemethylacetamide
EtOAc/EA	ethyl acetate
Hex	hexanes

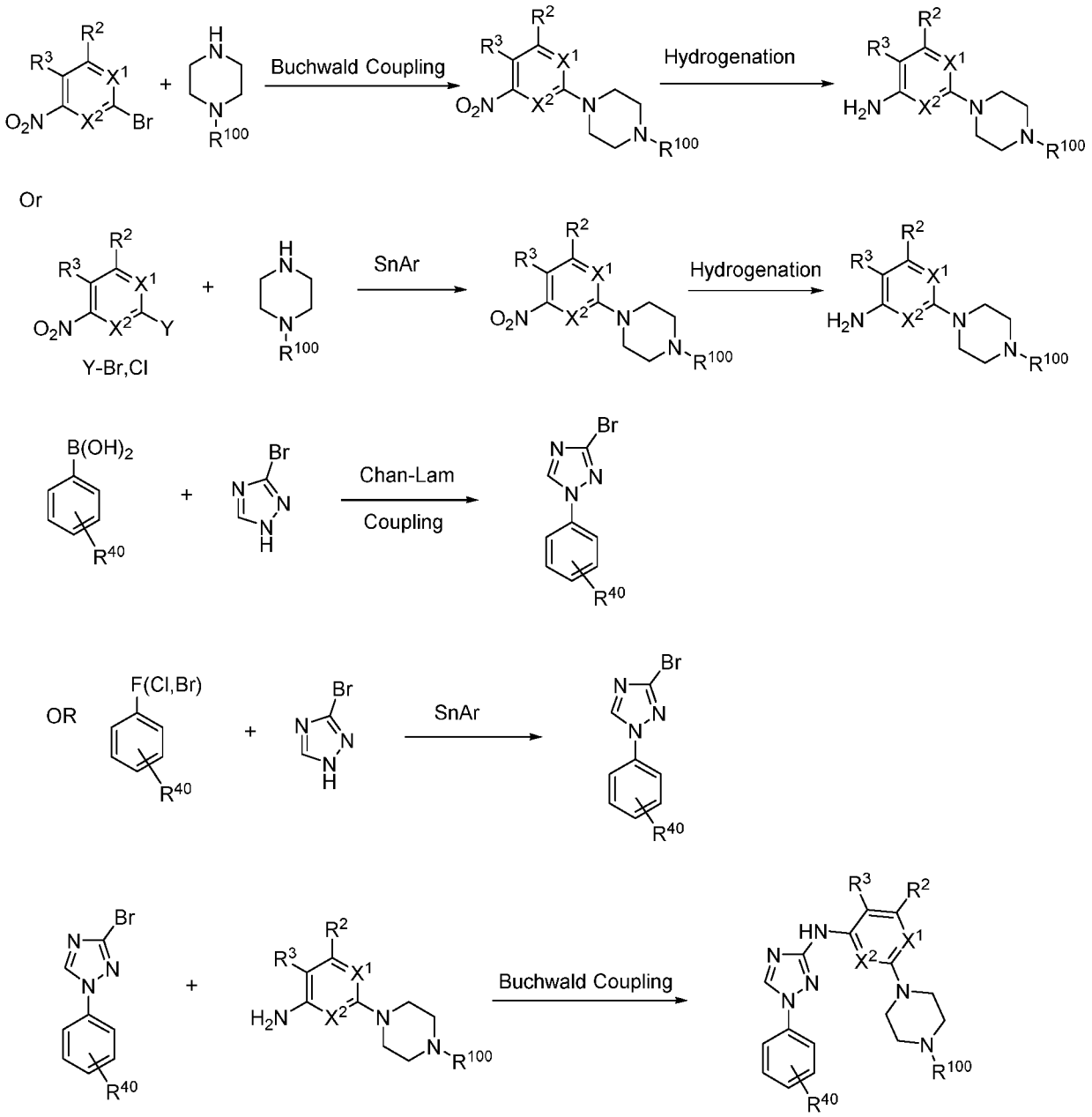
HEP	heptanes
HPLC	high-performance liquid chromatography
LCMS	liquid chromatography-mass spectrometry
ESI-MS	electrospray ionization mass spectrometry
TLC	thin layer chromatography
DMF	N,N-dimethylformamide
DMSO	dimethylsulfoxide
THF	tetrahydrofuran
Et_3N	triethylamine
NMP	N-methylpyrrolidone
HOAc	acetic acid
TFA	trifluoroacetic acid
ACN	acetonitrile
DCM	dichloromethane
DCE	dichloroethane
DMA	dimethylacetamide
N2	nitrogen
R.T./RT/rt	room temperature
AT	ambient temperature
MeOH	methanol
EtOH	ethanol
t-BuOH	*t*-butanol
t-BuONa	sodium t-butoxide
Pd/C	palladium on carbon
SnAr	nucleophilic aromatic substitution mechanism
t-BuXPhos Palladacycle	chloro(2-di-t-butylphosphino-2',4',6'-tri-i-propyl-1,1'-biphenyl) [2-(2-aminoethyl)phenyl]palladium(II)
$Pd_2(dba)_3$	tris(dibenzylideneacetone)dipalladium
ISCO	flash chromatography system
SiO_2	silica gel
MP-TMT	macroporous polystyrene-bound trimecaptotriazine

PL-HCO_3 MP SPE polymer supported bicarbonate resin

RBF round-bottom flask

Cmpd Compound

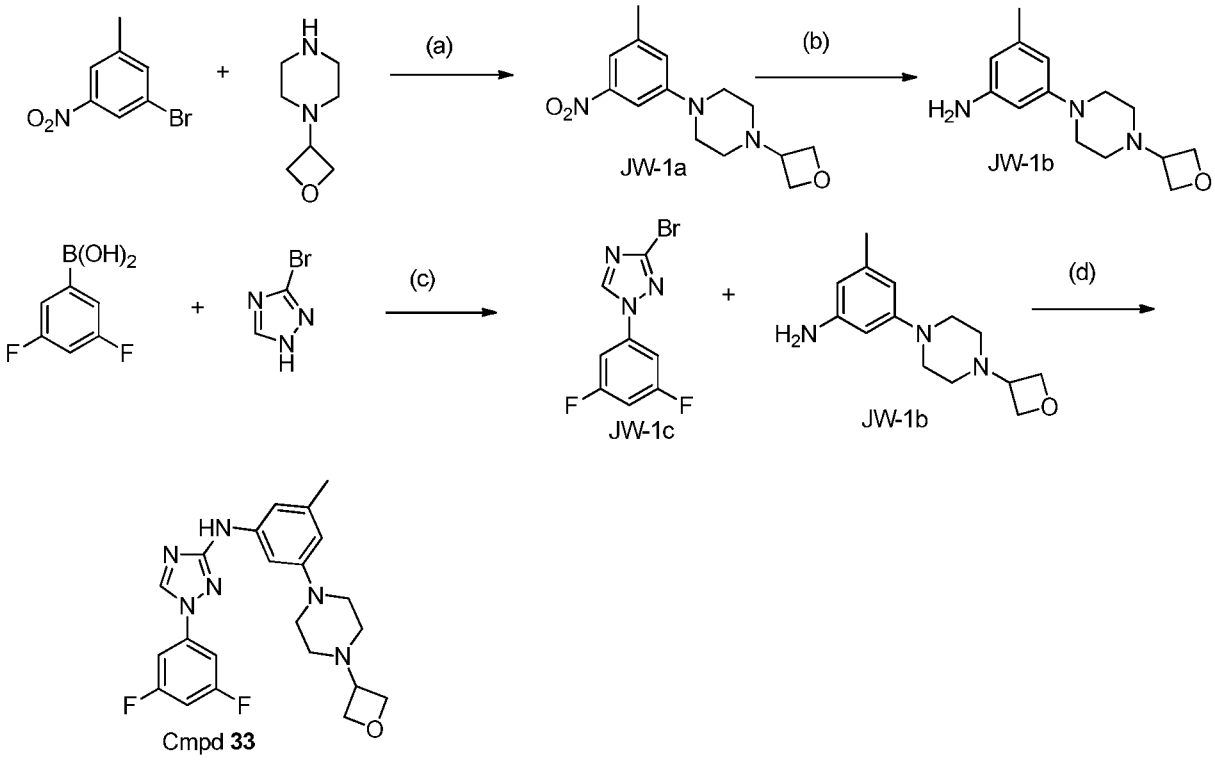
Scheme A: General Route A to Compounds of Formula I or I'



Compounds of the invention may be prepared as generally outlined in Scheme A, which shows representative structures wherein L^1 is a bond, the piperazinyl may represent G^1 or G^2, R^{100} may represent $–L^2–R^6$, $–L^2–R^7$, or optional G^2 substituents, R^{40} may represent optional R^4 substituents, and R^2, R^3, X^1, and X^2 are as defined herein. The methods of Scheme A may also be applied to other variations of L^1 with G^1 to G^5 that bond to the parent molecular moiety through a nitrogen atom.

EXAMPLE 1

Preparation of 1-(3,5-difluorophenyl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine (Compound **33**)



(a) t-BuXPhos Palladacycle, t-BuOH, t-BuONa, 60 ºC; (b) Pd on Carbon, 10% WT Degussa, H_2;
(c) $Cu(OAc)_2$/Pyridine/ CH_2Cl_2/ room temp; (d) t-BuXPhos Palladacycle, t-BuOH

Preparation of 1-(3-methyl-5-nitro-phenyl)-4-(oxetan-3-yl)piperazine **(JW-1a)**

[00448] Sodium 2-methylpropan-2-olate (10.5 g, 109.4 mmol), 1-(oxetan-3-yl)piperazine (6.28 g, 44.2 mmol), t-BuXPhos Palladacycle (93 mg, 0.88 mmol) and 1-bromo-3-methyl-5-nitro-benzene (9.54 g, 44.1 mmol) were mixed in 2-methylpropan-2-ol (50 mL) and the reaction was degassed with N_2 for 10 seconds. The reaction was stirred at 60 degrees for 3 hours and LCMS indicated that the reaction was complete. The reaction was quenched with 1 ml water and the mixture was extracted with DCM (3x3ml). The combined DCM layers were dried over Na_2SO_4, concentrated and purified on silica gel (120 grams column, 10-90% ethyl acetate:hexanes) to afford 5.9g (31%) of desired product **JW-1a**. ^{1}H NMR (300 MHz, CDCl3) δ 7.61 – 7.42 (m, 2H), 7.00 (s, 1H), 4.68 (dt, J = 12.4, 6.4 Hz, 4H), 3.67 – 3.46 (m, 1H), 3.41 – 3.17 (m, 4H), 2.59 – 2.44 (m, 4H), 2.40 (s, 3H) ppm. ESI-MS m/z calc. 277.14264, found 278.45 (M+1)+; Retention time: 0.57 minutes.

Preparation of 3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]aniline **(JW-1b)**

[00449] To a 250 ml RBF was added Pd on carbon 10% WT, Degussa (250 mg, 2.3 mmol) under N2 and EtOH (60 mL) was added into the reaction under N2. 1-(3-Methyl-5-nitro-phenyl)-4-(oxetan-3-yl)piperazine **JW-1a** (6.5 g, 23.4 mmol) was added and the reaction was stirred at R.T. under a hydrogen balloon. The reaction was stirred overnight and LCMS showed that the reaction was complete. The catalyst was filtered off and the filtrated was concentrated to afford 5.34 g (92%) of desired product **JW-1b**. ^{1}H NMR (300 MHz, CDCl3) δ 6.19 (s, 1H), 6.08 (d, J = 1.5 Hz, 2H), 4.80 – 4.48 (m, 4H), 3.73 – 3.39 (m, 3H), 3.31 – 3.08 (m, 4H), 2.66 – 2.38 (m, 4H), 2.22 (s, 3H) ppm. ESI-MS m/z calc. 247.16846, found 248.48 (M+1)+; Retention time: 0.25 minutes.

Preparation of 3-bromo-1-(3,5-difluorophenyl)-1,2,4-triazole **(JW-1c)**

[00450] 3-bromo-1-(3,5-difluorophenyl)-1,2,4-triazole was prepared by either Method 1 or Method 2 as follows.

[00451] Method 1: Diacetoxycopper (2.30 g, 12.7 mmol), 3,5-difluorophenyl-boronic acid (1.60 g, 10.1 mmol), 3-bromo-1H-1,2,4-triazole (1.25 g, 8.4 mmol) and 4A molecular sieve (150mg) were mixed in DCM (50 mL), and pyridine (1.3 mL, 16.90 mmol) was added. The mixture was stirred at RT under air for 3 days. LCMS showed that no starting material remained and desired product was formed. The reaction was filtered through a plug of Celite via suction

and the solid was washed with additional DCM (200ml). The combined organic layer was washed with 0.1 N aqueous HCl three times (50 ml x 3) and brine (200 ml). The organic layer was concentrated and purified on silica gel (120 g column, dry loading method on Celite) using 10-90% EtOAc:Hexanes to afford 1.23 g (50%) of desired product **JW-1c**. ^{1}H NMR (400 MHz, DMSO-d6) δ 9.40 (s, 1H), 7.78 - 7.61 (m, 2H), 7.41 (tt, J = 9.3, 2.3 Hz, 1H) ppm. ESI-MS m/z calc. 258.95566, found 260.05 (M+1)+; Retention time: 0.8 minutes.

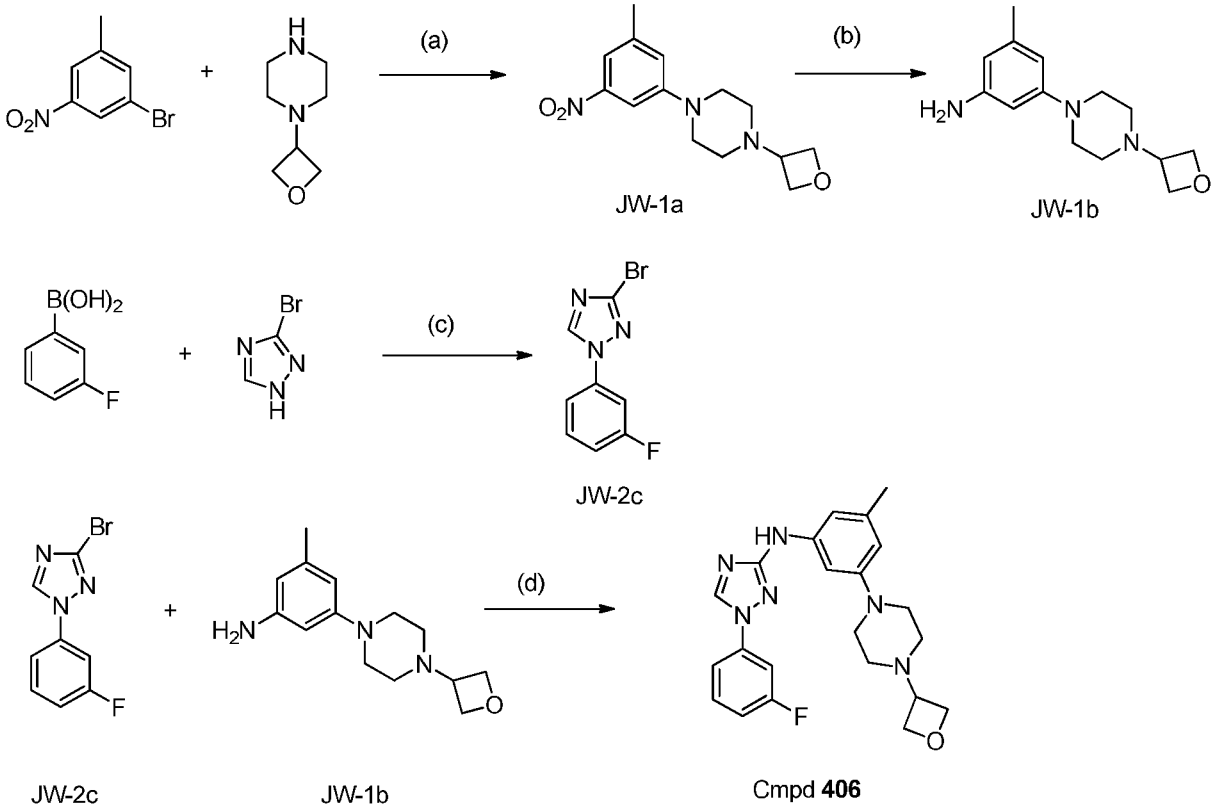
[00452] Method 2: A DMSO (100 mL) mixture of 3-bromo-1H-1,2,4-triazole (2.95 g, 20 mmol), 1,3,5-trifluorobenzene (10.57 g, 80.0 mmol) and K_2CO_3 (6.63 g, 48.0 mmol) was stirred at 109° C overnight. Both TLC and LCMS indicated the major peak to be the desired product. To the reaction mixture was added brine and EtOAc, the organic phase was dried over $MgSO_4$, filtered, concentrated in vacuo and purified on an ISCO system using 80g silica gel column eluting with 75% heptanes and 25% EtOAc to give (1.3 g, 25%) of the desired product, 3-bromo-1-(3,5-difluorophenyl)-1,2,4-triazole (1.3 g, 4.99 mmol, 25%). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.46 (s, 1H), 7.28 (td, J = 4.1, 2.2 Hz, 2H), 6.90 (tt, J = 8.6, 2.3 Hz, 1H) ppm. ESI-MS *m/z* calc. 258.95566, found 262.01 $(M+1)^+$; Retention time: 0.79 minutes.

Preparation of 1-(3,5-difluorophenyl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine (Compound **33**)

[00453] Sodium t-butoxide (669 mg, 6.96 mmol), 3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]aniline **JW-1b** (852 mg, 3.44 mmol), 3-bromo-1-(3,5-difluorophenyl)-1,2,4-triazole **JW-1c** (902 mg, 3.46 mmol) and t-BuXPhos Palladacycle (83 mg, 0.12 mmol) were mixed in t-BuOH (12 mL) and the reaction was degassed with N_2 for 30 seconds. The reaction was stirred and heated at 60 degrees for 3 hours and the LCMS indicated that the reaction was complete. The reaction was quenched with 1 ml water and brine was added into the solution. The reaction was extracted with DCM (3 x 30ml) and the combined organic layer was washed with water and brine. The organic layer was dried over Na_2SO_4, concentrated *in vacuo* and the crude was purified on silica gel (12 gram column, 10-100% EtOAc:Hexanes) to afford 768 mg (51%) of desired cmpd **33**. ^{1}H NMR (300 MHz, $CDCl_3$) δ 8.32 (s, 1H), 7.28 - 7.21 (m, 2H), 7.12 (t, J = 1.9 Hz, 1H), 6.88 - 6.68 (m, 3H), 6.46 (d, J = 14.4 Hz, 1H), 4.81 - 4.70 (m, 4H), 3.67 - 3.48 (m, 1H), 3.33 (dd, J = 13.0, 8.2 Hz, 4H), 2.61 - 2.51 (m, 4H), 2.35 (s, 3H) ppm. ESI-MS m/z calc. 426.19797, found 427.36 (M+1)+; Retention time: 0.65 minutes.

EXAMPLE 2

Preparation of 1-(3-fluorophenyl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine (Compound **406**)



(a) t-BuXPhos Palladacycle, t-BuOH, t-BuONa, 60 °C; (b) Pd on Carbon, 10% WT., Degussa H2;
(c) $Cu(OAc)_2$/Pyridine/DCM/R.T.; (d) t-BuXPhos Palladacycle, t-BuOH

Preparation of 3-bromo-1-(3-fluorophenyl)-1,2,4-triazole **(JW-2c)**

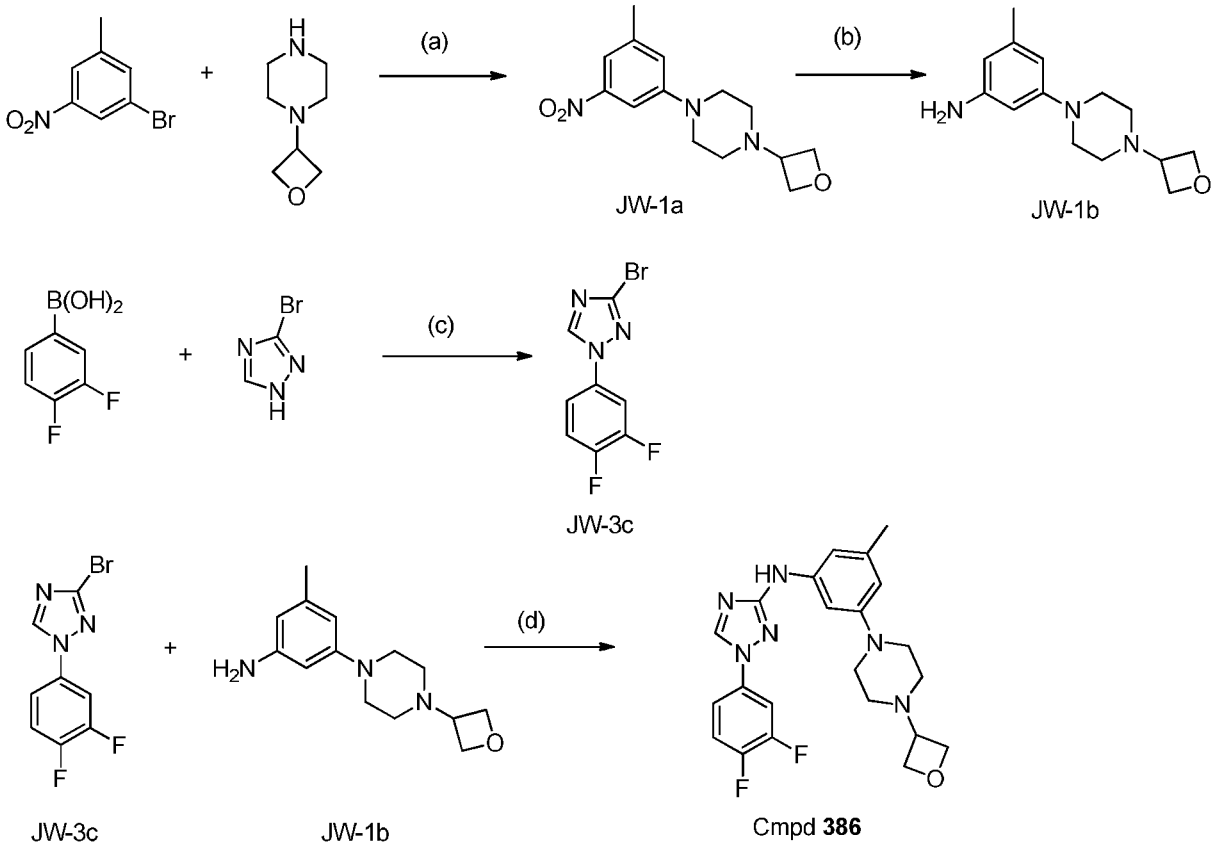
[00454] 3-bromo-1H-1,2,4-triazole (9.6 g, 64.9 mmol), pyridine (10.5 mL, 129.8 mmol), copper (II) acetate (17.7 g, 97.3 mmol) and (3-fluorophenyl) boronic acid (11.4 g, 81.1 mmol) were mixed in DCM (200 mL) and the reaction was stirred at room temperature for 3 days. The solid was filtered off and the filtrate was washed with water several times. The organic layer was dried, concentrated and purified on silica gel to afford 6.3 g of desired product **JW-2c** in 38% yield. ^{1}H NMR (400 MHz, CDCl3) δ 8.45 (s, 1H), 7.54 - 7.47 (m, 1H), 7.45 (t, J = 7.5 Hz, 2H), 7.20 - 7.04 (m, 1H) ppm. ESI-MS m/z calc. 240.96509, found 242.27 (M+1)+; Retention time: 0.75 minutes.

Preparation of (1-(3-fluorophenyl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine) (Compound **406**)

[00455] Sodium t-butoxide (95 mg, 0.99 mmol), t-BuXPhos Palladacycle (13mg, 0.019 mmol), 3-bromo-1-(3-fluorophenyl)-1,2,4-triazole **JW-2c** (120 mg, 0.49 mmol) and 3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]aniline **JW-1b** (122mg, 0.49 mmol) were mixed in t-BuOH (2 mL) and the reaction was degassed for 20 seconds. The reaction was stirred at 60 degrees for 1 hr. The reaction was cooled to room temperature and diluted with 2ml water. The reaction was extracted with DCM and purified on normal phase (4 gram column, Hex: EtOAc, 10-100%) to afford 83.2 mg (37%) of desired product. ^{1}H NMR (300 MHz, DMSO-d6) δ 9.25 (s, 1H), 9.11 (s, 1H), 7.79 - 7.66 (m, 2H), 7.62 - 7.52 (m, 1H), 7.24 - 7.04 (m, 2H), 6.88 (s, 1H), 6.31 (s, 1H), 4.57 (t, J = 6.5 Hz, 2H), 3.45 (p, J = 6.3 Hz, 1H), 3.27 - 3.12 (m, 4H), 2.47 - 2.38 (m, 4H), 2.23 (s, 3H) ppm. ESI-MS m/z calc. 408.2074, found 409.45 (M+1)+; Retention time: 0.65 minutes.

EXAMPLE 3

Preparation of 1-(3,4-difluorophenyl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine (Compound **386**)



(a) t-BuXPhos Palladacycle, t-BuOH, t-BuONa, 60 ºC; (b)Pd/C, H2;

(c) Cu(OAc)2/Pyridine/DCM/R.T.; (d)t-BuXPhos Palladacycle, t-BuOH

Preparation of 3-bromo-1-(3,4-difluorophenyl)-1,2,4-triazole **(JW-3c)**

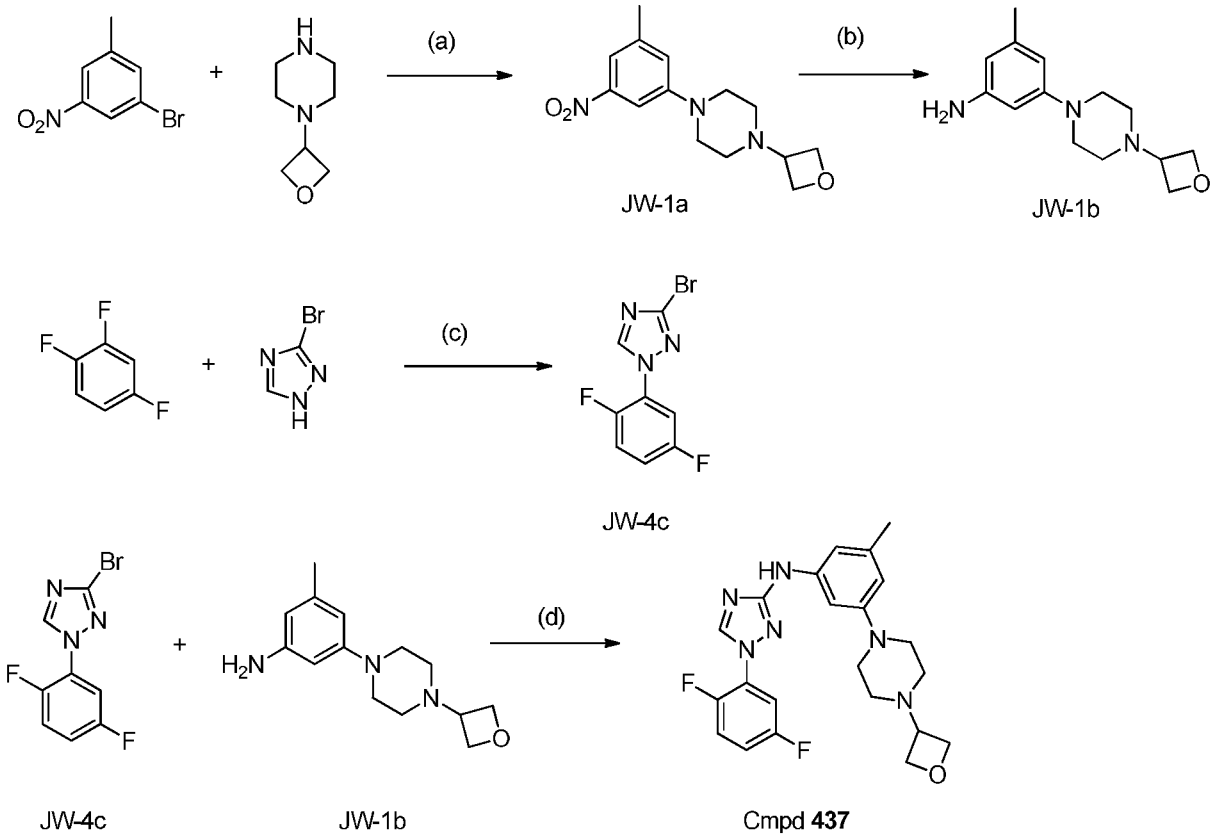
[00456] Diacetoxycopper (18.4 g, 101.4 mmol), bromo-triazole (10g, 67.6 mmol), and 4A molecular sieves (250 mg, 0.33 mmol) were mixed in DCM, to which 4-difluorophenyl)boronic acid (14.9g, 94.6 mmol), pyridine (10.9 mL, 135.2 mmol) was added. The mixture was stirred at RT under air for 3 days. LCMS showed that no starting material remaining and desired product was formed. The reaction was filtered and the solid was washed with additional DCM (200 ml). The combined organic layer was concentrated with silica gel and dry-loaded to purify on silica gel (240 grams column, 10-90% ethyl acetate:hexanes) to afford 10.5 g (60%) of desired product **JW3-c**. ^{1}H NMR (400 MHz, DMSO-D6) δ 9.30 (s, 1H), 8.08 - 7.96 (m, 1H), 7.77 - 7.62 (m, 2H) ppm. ESI-MS m/z calc. 258.95566, found 260.32 (M+1)+; Retention time: 0.96 minutes.

Preparation of (1-(3,4-difluorophenyl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine) (Compound **386**)

[00457] Sodium t-butoxide (69 mg, 0.72 mmol), t-BuXphos Palladacycle (12 mg, 0.02 mmol), 3-bromo-1-(3,4-difluorophenyl)-1,2,4-triazole **JW-3c** (120 mg, 0.46 mmol) and 3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]aniline **JW-1b** (114 mg, 0.46 mmol) were mixed in t-BuOH (2 mL) and the reaction was degassed for 20 seconds. The reaction was stirred at 60 degrees for 1 hour. The reaction was cooled to room temperature and diluted with 2 ml water. The reaction was extracted with DCM (10 ml) and purified on normal phase (4 grams column, Hex: EtOAc, 10-100%) to afford 73 mg (35%) of desired product cmpd **386**. ^{1}H NMR (300 MHz, DMSO-d6) δ 9.24 (s, 1H), 9.05 (s, 1H), 8.18 - 7.81 (m, 1H), 7.81 - 7.53 (m, 2H), 7.13 (s, 1H), 6.88 (s, 1H), 6.31 (s, 1H), 4.57 (t, J = 6.5 Hz, 2H), 4.53 - 4.39 (m, 2H), 3.45 (p, J = 6.2 Hz, 1H), 3.24 - 3.10 (m, 4H), 2.46 - 2.37 (m, 4H), 2.23 (s, 3H) ppm. ESI-MS m/z calc. 426.19797, found 427.41 (M+1)+; Retention time: 0.66 minutes

EXAMPLE 4

Preparation of 1-(2,5-difluorophenyl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine (Compound **437**)



(a) t-BuXPhos Palladacycle, t-BuOH, t-BuONa, 60 °C; (b) Pd on carbon, 10% WT, Degussa, H_2; (c) K_2CO_3/DMF/100 °C; (d)t-BuXPhos Palladacycle, t-BuOH/ t-BuONa.

Preparation of 3-bromo-1-(2,5-difluorophenyl)-1,2,4-triazole **(JW-4c)**

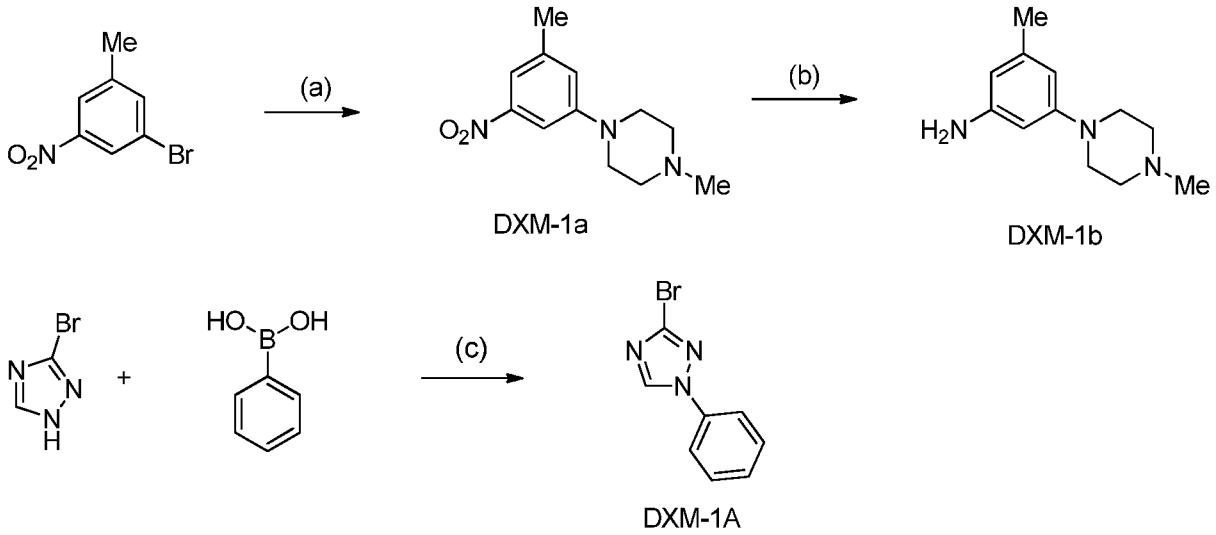
[00458] Combined 3-bromo-1H-1,2,4-triazole (29.6 g, 200 mmol), 1,2,4-trifluorobenzene (79.3 g, 62.70 mL, 600.0 mmol) and potassium carbonate (27.6 g, 200.0 mmol) in 500mL of DMF and heated to 100°-110° for 22 hours. The mixture was cooled and DMF removed under vacuum to dryness. 250 mL of water was added and the organics extracted with EtOAc. The organic layer was dried over sodium sulfate, filtered and evaporated. The crude mixture was purified on silica gel (220 grams column, 10-50% Ethyl acetate:Hexanes) to afford 13g (25%) of product **JW-4c** as off white solid. ^{1}H NMR (300 MHz, DMSO-d6) δ 9.10 (d, J = 2.0 Hz, 1H), 7.77 (ddd, J = 8.9, 5.9, 3.2 Hz, 1H), 7.65 (ddd, J = 10.4, 9.3, 4.8 Hz, 1H), 7.52 - 7.41 (m, 1H) ppm. ESI-MS m/z calc. 258.95566, found 260.01 (M+1)+; Retention time: 0.79 minutes.

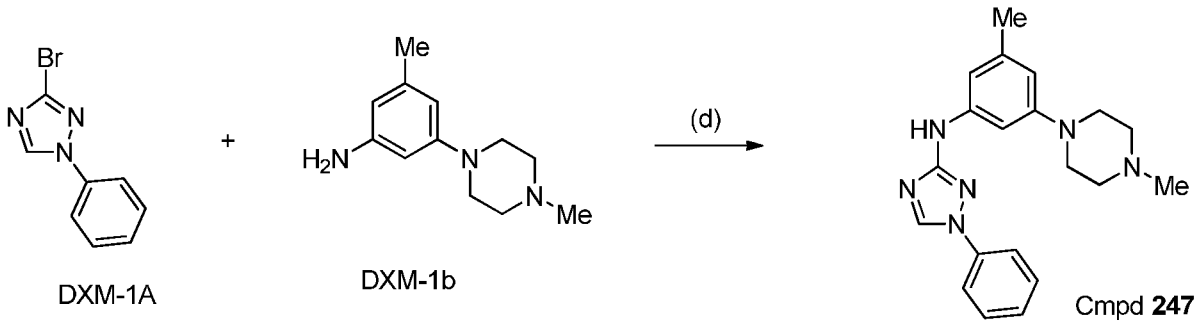
Preparation of 1-(2,5-difluorophenyl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine (Compound **437**)

[00459] Sodium t-butoxide (86 mg, 0.90 mmol), t-BuXphos Palladacycle (12 mg, 0.02 mmol), 3-bromo-1-(2,5-difluorophenyl)-1,2,4-triazole **JW-4c** (164 mg, 0.60 mmol) and 3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]aniline **(JW-1b)** (154 mg, 0.62 mmol) were mixed in t-BuOH (2.0 mL) and the reaction was degassed with N2 for 30 seconds. The reaction was heated at 60 degrees for 3 hours and the LCMS indicated that the reaction was complete. The reaction was cooled to room temperature and water was added to quench the reaction. Brine was added, the reaction was extracted with DCM and the organic layer was dried and concentrated *in vacuo*. The crude product was purified on reverse phase (12 grams, 10-90% water:acetonitrile) and the desired fractions were collected, neutralized with aq. NaHCO3 and extracted with EtOAc to afford 85 mg (32%) of free base desired product cmpd **437**. ^{1}H NMR (300 MHz, DMSO-d6) δ 9.30 (s, 1H), 8.80 (d, J = 2.4 Hz, 1H), 7.69 (ddd, J = 9.2, 6.0, 3.2 Hz, 1H), 7.67 - 7.48 (m, 1H), 7.40 - 7.23 (m, 1H), 7.14 (s, 1H), 6.86 (s, 1H), 6.31 (s, 1H), 4.57 (t, J = 6.5 Hz, 2H), 4.47 (t, J = 6.0 Hz, 2H), 3.58 - 3.40 (m, 1H), 3.23 - 3.00 (m, 4H), 2.45 - 2.31 (m, 4H), 2.22 (s, 3H) ppm. ESI-MS m/z calc. 426.19797, found 427.45 (M+1)+; Retention time: 0.64 minutes.

EXAMPLE 5

Preparation of N-[3-methyl-5-(4-methylpiperazin-1-yl)phenyl]-1-phenyl-1,2,4-triazol-3-amine (Compound **247**)





(a) t-BuONa, 1-Me-piperazine, t-BuXPhosPd, 40°C, t-BuOH; (b) 10% Pd/C, H_2, 50psi, RT, MeOH; (c) pyridine, Cu(II) acetate, RT, 4 days
(d) t-BuONa, t-BuXPhosPd, 40°C, t-BuOH.

Preparation of 3-bromo-1-phenyl-1*H*-1,2,4-triazole **(DXM-1A)**

[00460] To a suspension of 3-bromo-1H-1,2,4-triazole (10g, 67.6mM) and phenylboronic acid (16.5g, 135.2mM) in 500 mL of DCM, was added the following: pyridine; (10.9mL, 10.7g, 135.2mM), copper (II) acetate; (18.4g, 101.5mM) and powdered 4A molecular sieves (45g). The resulting blue colored suspension was stirred at room temperature for 10 days open to the air. Additional DCM (500mL) was added to the reaction and the mixture filtered through a pad of diatomaceous earth, washing the cake with DCM, 10% MeOH/DCM, and finally DCM. The filtrates were collected and concentrated under reduced pressure to provide a viscous residue, which was partitioned between ethyl acetate and 1N HCl. The organic phase was washed with water (2x), brine (1x) then dried over anhydrous sodium sulphate. Suction filtered the organic layer to remove particulates and evaporated under reduced pressure to give the crude product which was purified on CombiFlash (240g column) SiO_2 eluting with 25% ethyl acetate /heptanes. Combined clean fractions and reduced the volume of the fractions under reduced pressure until crystals formed. Isolated crystals via suction filtration, washed with additional heptanes and air dried to yield 3-bromo-1-phenyl-1*H*-1,2,4-triazole as a white crystalline solid (5.1g, 34% yield). ^{1}H NMR (400 MHz, DMSO-d6) δ 9.32(s, 1H), 7.92 - 7.79 (m, 2H), 7.58 (dd, J=11.3, 4.5Hz, 2H), 7.51-7.41 (m, 1H) ppm. ESI-MS m/z calc. 222.9745, found 224.0 (M+1)+; Retention time: 0.75 minutes.

Preparation of 1-methyl-3-nitro-5-(4-N-methylpiperazin-1-yl)benzene **(DXM-1a)**

[00461] 3-Bromo-5-nitro-toluene, (20.0g, 93mM) and 1-methylpiperazine, (11.5mL, 10.2g, 102mM) were placed into a 500 mL round bottom flask and dissolved in 250 mL of dry *tert*-butanol and purged with N2 for 10 minutes. The solution was warmed with a heat gun several times to prevent solidification during the nitrogen purge. During the N2 purge, added chloro(2-di-t-butylphosphino-2',4',6'-tri-i-propyl-1,1'-biphenyl) [2-(2-aminoethyl)phenyl]palladium(II), min. 98% [t-BuXPhos Palladacycle] (1.5g, 2.32mM) followed by sodium *tert*-butoxide; (13.4g, 139.0mM) and the reaction was allowed to stir at 40°C under nitrogen for one hour. Upon addition of the base, the reaction turned dark and the solution became homogeneous, with subsequent precipitation of a white solid. The solvent was partially removed under reduced pressure and the residue partitioned between ethyl acetate and water; the organic phase washed with brine, dried over anhydrous sodium sulphate and concentrated to dryness under reduced pressure. Material was purified on SiO2 with a 0-100% gradient of ethyl acetate to 10% methanol/ethyl acetate as eluent. Material was recrystallized in methyl *t*-butyl ether to give a medium yellow powder. The mother liquor was evaporated under pressure and re-purified on SiO2 with a 0-100% gradient of dichloromethane to 10% methanol/dichloromethane as the eluent. This material was combined with the first crop and recrystallized from boiling methyl *t*-butyl ether giving 12g (52%) of **DXM-1a** as a medium yellow powder. ^{1}H NMR (400 MHz, CDCl3) δ 7.53 (d, J = 1.8 Hz, 1H), 7.48 (s, 1H), 7.00 (s, 1H), 3.38 - 3.19 (m, 4H), 2.66 - 2.49 (m, 4H), 2.39 (s, 3H), 2.36 (d, J = 2.0 Hz, 3H) ppm. ESI-MS m/z calc. 235.13, found 236.0 (M+1)+; Retention time: 0.55 minutes.

Preparation of 3-methyl-5-(4-N-methylpiperazin-1-yl)aniline **(DXM-1b)**

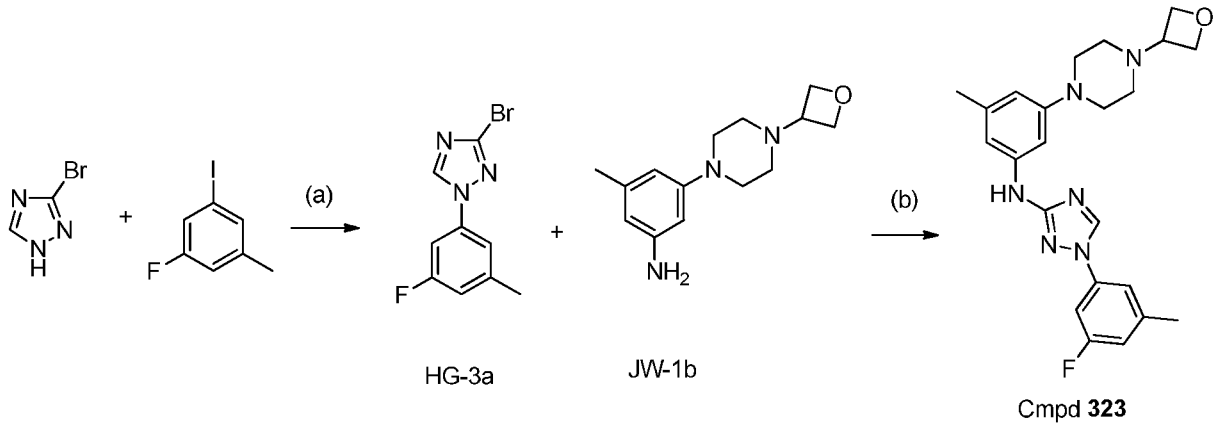
[00462] 1-Methyl-4-(3-methyl-5-nitro-phenyl) piperazine (**DXM-1a**, 25g, 106mM) was dissolved / suspended in 500mL of methanol and placed under carbon dioxide before adding 6g of 10% palladium on carbon (Degussa type, 50% water) to the vessel. Reaction was placed under a hydrogen atmosphere at 50psi for 14 hours. Note: the initial dark yellow color changes to a light tan solution. The reaction mixture was pulled through a pad of diatomaceous earth, washed with methanol and the solvent was removed under reduced pressure to afford 22 g (70%) of **DXM-1b** as a tan oil. ^{1}H NMR (400 MHz, CDCl3) δ 7.48 - 7.17 (m, 1H), 6.20 (s, 1H), 6.14 - 5.97 (m, 2H), 3.27 - 3.07 (m, 4H), 2.69 - 2.52 (m, 4H), 2.36 (s, 3H), 2.22 (s, 3H) ppm. ESI-MS m/z calc. 205.1579, found 206.0 (M+1)+; Retention time: 0.26 minutes.

Preparation of N-[3-methyl-5-(4-methylpiperazin-1-yl)phenyl]-1-N-phenyl-1,2,4-triazol-3-amine (Compound **247**)

[00463] 3-bromo-1-N-phenyl-1,2,4-triazole (**DXM-1A**) (18g, 80.4mM, and 3-methyl-5-(4-methylpiperazin-1-yl)aniline; (18.2g, 88.4mM) were dissolved into dry *tert*-butanol (500 mL) and purged with N2 for several minutes. Near the end of the purge, chloro(2-di-t-butylphosphino-2',4',6'-tri-i-propyl-1,1'-biphenyl) [2-(2-aminoethyl)phenyl]palladium(II), min. 98% [t-BuXPhos Palladacycle], (1.5g, 2.01mM) and sodium *tert*-butoxide; (12g, 121mM) were added sequentially. The reaction was placed under N_2, stirred and heated at 40°C for 60min. Note: a gradual colour change from initial light tan solution to pale yellow suspension was observed and the reaction becomes quite viscous. The reaction was deemed complete by HPLC. Approximately 200 mL of solvent was removed under reduced pressure and the mixture was poured into 2L of water with stirring. The precipitate was collected via suction filtration and washed with water containing a small amount of sodium carbonate. The wet cake was transferred to a round bottom with methanol and solvents were evaporated under reduced pressure. Dissolved this crude material into dichloromethane and added brine and some saturated sodium carbonate solution (pH 10) and split the layers. The organic phase was dried with anhydrous sodium sulphate and the solvent was removed under reduced pressure to give a light tan solid which was recrystallized from boiling CH3CN (100 mL) and let stand overnight under a nitrogen atmosphere. Isolated crystals via suction filtration and washed with cold CH3CN. A second crop was obtained and kept separate. Main material was recrystallized again from 100 mL of boiling CH3CN, isolated via suction filtration and washed with cold CH3CN. Material was dissolved into DCM and pulled through a 100uM filter then treated with 2M hydrogen chloride in Et2O (32 mL, 1.1 equiv). The solvents were partially removed under reduced pressure, diluted with hexanes and the resulting precipitate was isolated via suction filtration. Washed with more hexanes and dried under high vacuum to constant weight to yield 20.7 g (64%) of cmpd **247** as the HCl salt and as a white powder. ^{1}H NMR (400 MHz, CDCl3) δ 10.81 (s, 1H), 9.31 (s, 1H), 9.08 (s, 1H), 7.84 (dd, J = 8.6, 1.0 Hz, 2H), 7.55 (dd, J = 8.4, 7.6 Hz, 2H), 7.35 (t, J = 7.4 Hz, 1H), 7.20 (s, 1H), 6.95 (s, 1H), 6.37 (s, 1H), 3.73 (d, J = 11.3 Hz, 2H), 3.50 (d, J = 10.8 Hz, 2H), 3.29 - 3.00 (m, 4H), 2.81 (d, J = 4.7 Hz, 3H), 2.24 (s, 3H) ppm. ESI-MS m/z calc. 348.20624, found 349.0 (M+1)+; Retention time: 0.59 minutes.

EXAMPLE 6

Preparation of 1-(3-fluoro-5-methyl-phenyl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine (Compound **323**)



(a) CuI/Cs2CO3/DMSO (b) t-BuXPHOS Palladacycle/t-BuOK/t-BuOH

Preparation of 3-bromo-1-(3-fluoro-5-methylphenyl)-1*H*-1,2,4-triazole (**HG-3a**)

[00464] To a 100ml flask was added 3-bromo-1H-1,2,4-triazole (739.8 mg, 5 mmol), CuI (95.2 mg, 0.50 mmol) and Cs_2CO_3 (1.629 g, 5.0 mmol) and the flask was evacuated then backfilled with N_2 before adding DMSO (5 mL) and 1-fluoro-3-iodo-5-methyl-benzene (590.1 mg, 2.50 mmol). The reaction mixture was heated at 100°C for 20h at which time LCMS indicated the major peak was desired product. To the reaction mixture was added EtOAc, the mixture was filtered through celite and to the filtrate was added brine. The organic phase was dried over MgSO4, filtered, evaporated to dryness and purified on an Isco 40g silica gel column eluting with heptanes and ethyl acetate to afford 3-bromo-1-(3-fluoro-5-methyl-phenyl)-1,2,4-triazole **HG-3a** (170 mg, 26.6%). ^{1}H NMR (300 MHz, CDCl3) δ 8.43 (s, 1H), 7.29 (d, J = 2.7 Hz, 1H), 7.23 (dt, J = 9.0, 2.0 Hz, 1H), 6.97 (d, J = 9.1 Hz, 1H), 2.46 (s, 3H)ppm. ESI-MS *m/z* calc. 254.98074, found 257.97 $(M+1)^+$; Retention time: 0.81 minutes.

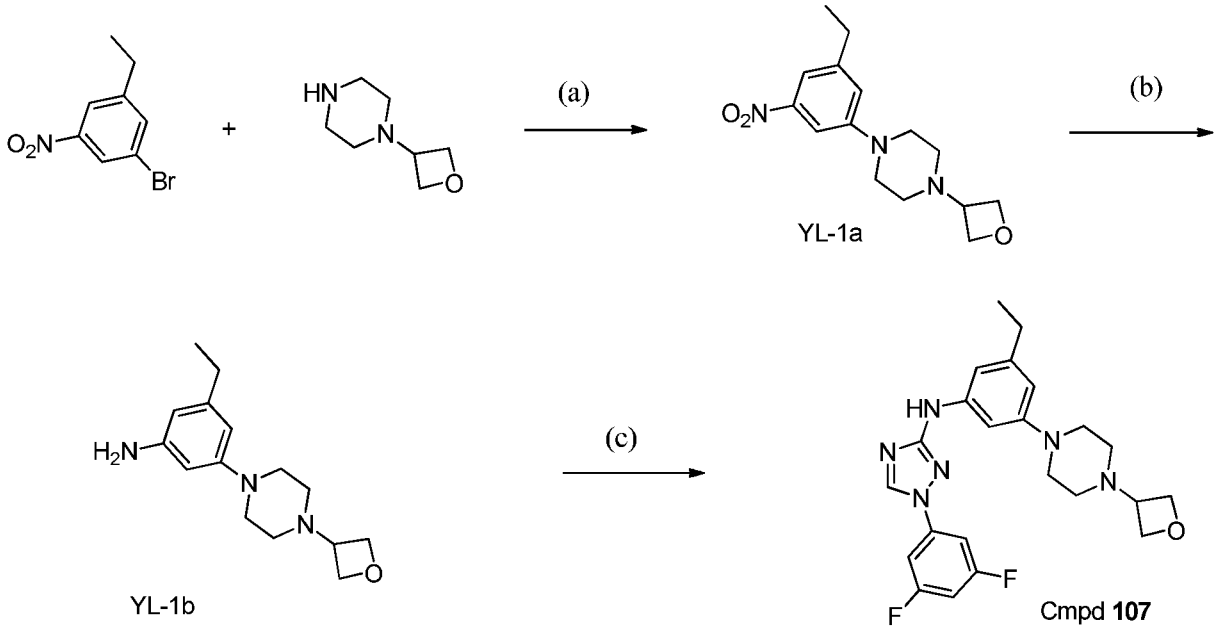
Preparation of 1-(3-fluoro-5-methylphenyl)-*N*-(3-methyl-5-(4-(oxetan-3-yl)piperazin-1-yl)phenyl)-1*H*-1,2,4-triazol-3-amine (Compound **323**)

[00465] To a t-BuOH (1.69 mL) solution of 3-bromo-1-(3-fluoro-5-methyl-phenyl)-1,2,4-triazole **HG-3a** (110 mg, 0.43 mmol) and 3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]aniline **JW-1b** (117 mg, 0.473 mmol) was added t-BuXPhos Palladacycle (14 mg, 0.022 mmol) and t-BuOK

(145 mg, 1.29 mmol), the reaction mixture stirred at 85°C for 1h before LCMS indicated the major peak was desired product. To the reaction mixture was added EtOAc and brine, the organic phase was dried over $MgSO_4$, filtered, concentrated down and purified by an Isco 150g Gold C18 column eluting with H2O/CH3CN/TFA. The product fractions were extracted with EtOAc, the organic phase dried over $MgSO_4$, filtered and concentrated to dryness to afford 1-(3-fluoro-5-methylphenyl)-*N*-(3-methyl-5-(4-(oxetan-3-yl)piperazin-1-yl)phenyl)-1*H*-1,2,4-triazol-3-amine, cmpd **323** (89 mg 48% yield). ^{1}H NMR (300 MHz, CDCl3) δ 8.30 (s, 1H), 7.24 (s, 1H), 7.15 (s, 1H), 6.88 (d, J = 8.6 Hz, 1H), 6.79 (s, 1H), 6.60 (s, 1H), 6.42 (s, 1H), 4.80 - 4.62 (m, 4H), 3.67 - 3.47 (m, 1H), 3.39 - 3.22 (m, 4H), 2.61 - 2.49 (m, 4H), 2.45 (s, 3H), 2.35 (s, 3H) ppm. ESI-MS *m/z* calc. 422.22305, found 423.3 $(M+1)^+$; Retention time: 0.62 minutes.

EXAMPLE 7

Preparation of 1-(3,5-difluorophenyl)-N-[3-ethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine (Compound **107**)



Reagents and conditions: (a) $Pd_2(dba)_3$, X-PHOS, dioxane, 105°C; (b) H_2, Pd/C, EtOAc; c) 1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine, t-BuXPhos Palladacycle, NaOtBu, dioxane, 120°C.

Preparation of 1-(3-ethyl-5-nitro-phenyl)-4-(oxetan-3-yl)piperazine (**YL-1a**)

To a 250 mL round bottom flask with stirrer and reflux condenser was added 1-bromo-3-ethyl-5-nitro-benzene (10 g, 42.2 mmol), 1-(oxetan-3-yl)piperazine (Pharmablock, 6.96 g, 48.5 mmol) and cesium carbonate (27.47 g, 84.3 mmol) in 1,4-dioxane (116 mL). The reaction mixture was purged with N_2 for 5 min. To the mixture was added $Pd_2(dba)_3$ (772 mg, 0.84 mmol) and t-BuXPhos Palladacycle (804 mg, 1.69 mmol) and the resultant mixture was again purged with nitrogen for 5 minutes. The mixture was warmed to 105°C and refluxed at this temperature for 18 h. The reaction mixture was cooled to ambient temperature, diluted with ethyl acetate:DCM (1:1, 500 mL), filtered through a florisil-bed and the bed washed with ethyl acetate:DCM (1:1, 4 x 500 ml). The combined filtrates were concentrated under reduced pressure to dryness. ISCO purification (80g silica; 20% to 50% to 90% of EtOAc in hex) gave 1-(3-ethyl-5-nitro-phenyl)-4-(oxetan-3-yl)piperazine **YL-1a** (5.76 g, 47%). ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.60 - 7.49 (m, 2H), 7.04 (s, 1H), 4.70 (dt, J = 12.3, 6.4 Hz, 4H), 3.67 - 3.51 (m, 1H), 3.42 - 3.22 (m, 4H), 2.71 (q, J = 7.6 Hz, 2H), 2.60 - 2.43 (m, 4H), 1.28 (t, J = 7.6 Hz, 3H) ppm. ESI-MS *m/z* calc. 291.16, found 292.13 (M+1)+; Retention time: 0.63 minutes.

Preparation of 3-ethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]aniline (**YL-1b**)

[00466] To Pd on C, wet, Degussa (631 mg, 0.59 mmol) under N_2 was added a solution of 1-(3-ethyl-5-nitro-phenyl)-4-(oxetan-3-yl)piperazine **YL-1a** (5.76 g, 19.8 mmol) in EtOAc (80 mL) and MeOH (20 mL) under N_2. The mixture was shaken under H_2 (50 psi) for 2 hr on a Parr apparatus. The reaction mixture was filtered through celite and evaporated to dryness to give 3-ethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]aniline **YL-1b** (4.7 g, 91%). ^{1}H NMR (300 MHz, $CDCl_3$) δ 6.25 (s, 1H), 6.11 (dd, J = 3.9, 1.7 Hz, 2H), 4.83 - 4.57 (m, 4H), 3.58 (dd, J = 12.9, 6.3 Hz, 3H), 3.32 - 3.11 (m, 4H), 2.52 (dt, J = 10.0, 6.3 Hz, 6H), 1.22 (t, J = 7.6 Hz, 3H) ppm. ESI-MS m/z calc. 261.18, found 262.48 (M+1)+; Retention time: 0.52 minutes.

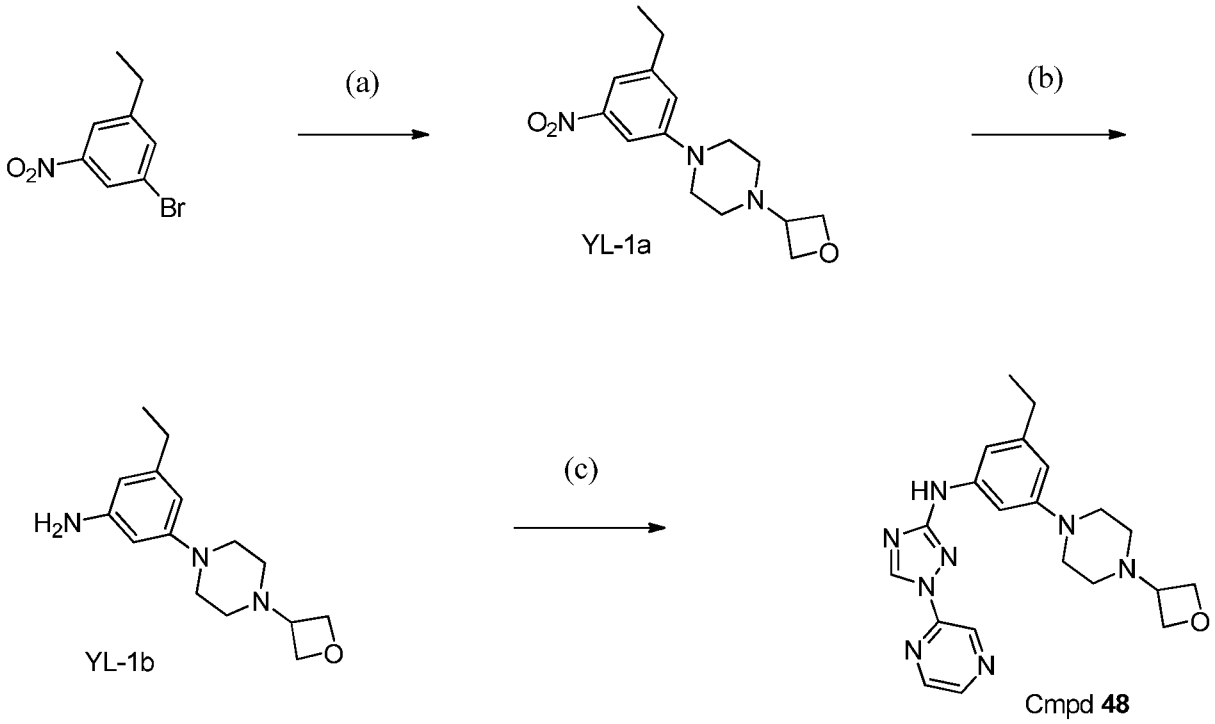
Preparation of 1-(3,5-difluorophenyl)-N-[3-ethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine (Compound **107**)

[00467] A mixture of 3-bromo-1-(3,5-difluorophenyl)-1,2,4-triazole **JW-1c** (5.55 g, 21.4 mmol), 3-ethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]aniline **YL-1b** (4.65 g, 17.79 mmol) and sodium t-butoxide (2.22 g, 23.13 mmol) in t-butyl alcohol (100 mL) and dioxane (15 mL) was purged with N2 for 30 min. [2-(2-Aminoethyl)phenyl]-chloro-palladium;ditert-butyl-[2-(2,4,6-

triisopropylphenyl)phenyl]phosphane (366 mg, 0.53 mmol) was added and the resultant mixture was heated at 55 C° for 8h. LCMS showed the desired product with 10% of starting materials remaining. Additional [2-(2-aminoethyl)phenyl]-chloro-palladium;ditert-butyl-[2-(2,4,6-triisopropylphenyl)phenyl]phosphane (122 mg, 0.18 mmol) was added and the reaction mixture was heated at 70 °C for another 2h. The reaction mixture was cooled to RT and diluted with water (300 mL). The slurry was stirred for 2h, filtered, the solid collected, washed with water (250 mL) and triturated with ether, then MeOH and dried *in vacuo* to afford 6g of product. The product was dissolved in MeOH/DCM (1:9) and filtered through Florisil (50g) columns. The filtrate was evaporated *in vacuo* to give 5.2 g of desired product. The desired product was dissolved in MeOH/DCM (1:9; 100 mL) then macroporous polystyrene-bound trimecaptotriazine (MP-TMT) (0.64 mmol/g; 5.5g, 5 equivalents, Biotage #801472) was added and the suspension rotated at 45~50°C for 4h. After filtration, the solvent was evaporated to dryness to afford 1-(3,5-difluorophenyl)-N-[3-ethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine, cmpd **107** (4.7 g, 59%). ^{1}H NMR (300 MHz, $CDCl_3$) δ 8.31 (s, 1H), 7.25 (d, J = 5.9 Hz, 2H), 7.14 (s, 1H), 6.88 - 6.74 (m, 2H), 6.69 (s, 1H), 6.46 (s, 1H), 4.89 - 4.51 (m, 4H), 3.59 (p, J = 6.4 Hz, 1H), 3.42 - 3.22 (m, 4H), 2.65 (q, J = 7.6 Hz, 2H), 2.59 - 2.41 (m, 4H), 1.28 (t, J = 7.6 Hz, 3H) ppm. ESI-MS m/z calc. 440.21, found 441.49 (M+1)+; Retention time: 0.69 minutes.

EXAMPLE 8

Preparation of N-[3-ethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-pyrazin-2-yl-1,2,4-triazol-3-amine (Compound **48**)



Reagents and conditions: (a) $Pd_2(dba)_3$, X-PHOS, dioxane, 105°C; (b) H_2, Pd/C, EtOAc; (c) 2-(3-bromo-1,2,4-triazol-1-yl)pyrazine, t-BuXPhos Palladacycle, NaOtBu, dioxane, 120°C.

Preparation of 2-(3-bromo-1,2,4-triazol-1-yl)pyrazine

[00468] A mixture of K_2CO_3 (29.19 g, 211.2 mmol), 3-bromo-1H-1,2,4-triazole (25 g, 169.0 mmol) and 2-chloropyrazine (19.36 g, 169.0 mmol) in NMP (130 mL) was heated at 125 °C for 6 hrs. The reaction was quenched with water (300 mL) and stirred for 1h. The solids were collected, washed with water, ether and dried to give 2-(3-bromo-1,2,4-triazol-1-yl)pyrazine (32 g, 83.8%) . ^{1}H NMR (300 MHz, CD_3OD+$CDCl_3$) δ 9.40 - 9.05 (m, 2H), 8.70 (d, J = 2.5 Hz, 1H), 8.56 (dd, J = 2.5, 1.5 Hz, 1H) ppm. ESI-MS m/z calc. 224.97, found 226.29 (M+1)+; Retention time: 0.75 minutes

Preparation of 1-(3-ethyl-5-nitro-phenyl)-4-(oxetan-3-yl)piperazine (**YL-1a**)

[00469] To a 250 mL RB flask with stirrer and reflux condenser was added 1-bromo-3-ethyl-5-nitro-benzene (10 g, 42.16 mmol), 1-(oxetan-3-yl)piperazine (6.96 g, 48.48 mmol) and cesium carbonate (27.47 g, 84.32 mmol) in 1,4-dioxane (116 mL). The reaction mixture was purged with N_2 for 5 min. To above mixture was added $Pd_2(dba)_3$ (772 mg, 0.84 mmol) and X-PHOS (804 mg, 1.69 mmol) and the resultant mixture was degassed by bubbling in a stream of nitrogen

for 5 minutes. The resulting reaction mixture was heated to 105 °C and refluxed at this temperature for 18 h. The reaction mixture was cooled to ambient temperature, diluted with ethyl acetate:DCM (1:1, 500 mL), filtered through a florisil-bed and the bed washed with ethyl acetate:DCM (1:1, 4 x 500 ml). The combined filtrates were concentrated under reduced pressure to dryness and then purified on an ISCO column (80g silica) eluting with 20 % to 50 % to 90% of EtOAc in hex) to give 1-(3-ethyl-5-nitro-phenyl)-4-(oxetan-3-yl)piperazine, **YL-1a** (5.76 g, 47%). ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.60 - 7.49 (m, 2H), 7.04 (s, 1H), 4.70 (dt, J = 12.3, 6.4 Hz, 4H), 3.67 - 3.51 (m, 1H), 3.42 - 3.22 (m, 4H), 2.71 (q, J = 7.6 Hz, 2H), 2.60 - 2.43 (m, 4H), 1.28 (t, J = 7.6 Hz, 3H) ppm. ESI-MS *m/z* calc. 291.16, found 292.13 (M+1)+; Retention time: 0.63 minutes.

Preparation of 3-ethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]aniline (**YL-1b**)

[00470] To Pd on C, wet, Degussa (631.2 mg, 0.59 mmol) under N_2 was added a solution of 1-(3-ethyl-5-nitro-phenyl)-4-(oxetan-3-yl)piperazine (5.76 g, 19.77 mmol) in EtOAc (80 mL) and MeOH (20 mL) under N_2. The mixture was shaken on a Parr apparatus under H_2 (50 psi) for 2 h. The reaction mixture was filtered through celite and evaporated *in vacuo* to give 3-ethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]aniline **YL-1b** (4.7 g, 91.0%). ^{1}H NMR (300 MHz, $CDCl_3$) δ 6.25 (s, 1H), 6.11 (dd, J = 3.9, 1.7 Hz, 2H), 4.83 - 4.57 (m, 4H), 3.58 (dd, J = 12.9, 6.3 Hz, 3H), 3.32 - 3.11 (m, 4H), 2.52 (dt, J = 10.0, 6.3 Hz, 6H), 1.22 (t, J = 7.6 Hz, 3H) ppm. ESI-MS m/z calc. 261.18, found 262.48 (M+1)+; Retention time: 0.52 minutes.

Preparation of N-[3-ethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-pyrazin-2-yl-1,2,4-triazol-3-amine (Compound **48**)

[00471] A mixture of 2-(3-bromo-1,2,4-triazol-1-yl)pyrazine (1.30 g, 5.74 mmol), 3-ethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]aniline **YL-1b** (1.25 g, 4.78 mmol) and sodium t-butoxide (598 mg, 6.22 mmol) in butan-1-ol (30 mL) and dioxane (10 mL) was purged with N_2 for 30min. *t*-BuXPhos Palladacycle (131 mg, 0.19 mmol) was added and the resultant mixture was heated at 55°C for 8h, then at 70°C for another 2h. The reaction mixture was cooled to RT and diluted with water (300 mL). The slurry was stirred for 2h. The solids were collected, washed with water (250 mL) and triturated with ether, then MeOH and dried *in vacuo* to afford 3g of pure product. The above product in MeOH/DCM (1:9) was filtered through a Florisil (20g) column and the combined solvents were evaporated *in vacuo* to give 2 g of desired product. The above

product was dissolved in MeOH/DCM (1:9; 100 mL) and treated with 5 equivalents of MP-TMT (0.64 mmol/g) and rotated at 45~50 °C for 4h. After filtration, the excess solvent was pumped down to afford N-[3-ethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-pyrazin-2-yl-1,2,4-triazol-3-amine, cmpd **48** (2.12 g, 52%). ^{1}H NMR (300 MHz, $CDCl_3$) δ 9.18 (d, J = 1.3 Hz, 1H), 8.93 (s, 1H), 8.57 (d, J = 2.5 Hz, 1H), 8.41 (dd, J = 2.5, 1.5 Hz, 1H), 7.19 (t, J = 2.0 Hz, 1H), 6.83 (d, J = 10.4 Hz, 2H), 6.48 (s, 1H), 4.82 - 4.63 (m, 4H), 3.68 - 3.53 (m, 1H), 3.40 - 3.25 (m, 4H), 2.65 (q, J = 7.6 Hz, 2H), 2.61 - 2.42 (m, 4H), 1.29 (t, J = 7.6 Hz, 3H) ppm. ESI-MS m/z calc. 406.22, found 407.47 (M+1)+; Retention time: 0.67 minutes.

[00472] Using the general synthetic scheme outlined in Scheme A and the experimental procedures listed above in Examples 1-8, the following compounds were prepared:

Cmpd No.	IUPAC name
38	N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N1-[1-(oxetan-3-yl)-4-piperidyl]benzene-1,3-diamine
334	5-methyl-N1-[1-(oxetan-3-yl)-4-piperidyl]-N3-(1-phenyl-1,2,4-triazol-3-yl)benzene-1,3-diamine
278	N3-[1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N1-[1-(oxetan-3-yl)-4-piperidyl]benzene-1,3-diamine
192	N3-[1-(3-fluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N1-[1-(oxetan-3-yl)-4-piperidyl]benzene-1,3-diamine
472	N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N1-[1-(oxetan-3-yl)pyrrolidin-3-yl]benzene-1,3-diamine
309	5-methyl-N1-[1-(oxetan-3-yl)pyrrolidin-3-yl]-N3-(1-phenyl-1,2,4-triazol-3-yl)benzene-1,3-diamine
188	N3-[1-(3-fluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N1-[1-(oxetan-3-yl)pyrrolidin-3-yl]benzene-1,3-diamine
113	N3-[1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N1-[1-(oxetan-3-yl)pyrrolidin-3-yl]benzene-1,3-diamine
421	1-(3,5-difluorophenyl)-N-[3-methyl-5-[4-(2,2,2-trifluoroethyl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
231	1-(3,4-difluorophenyl)-N-[3-methyl-5-[4-(2,2,2-trifluoroethyl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
482	N-[3-(4-cyclopropylpiperazin-1-yl)-5-methyl-phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
17	N-[3-tert-butyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
97	N-[3-tert-butyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(3-fluorophenyl)-1,2,4-triazol-3-amine
376	N-[3-tert-butyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-phenyl-1,2,4-triazol-3-amine

Cmpd No.	IUPAC name
69	1-(3,4-difluorophenyl)-N-[3-ethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
14	N-[3-ethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(4-fluorophenyl)-1,2,4-triazol-3-amine
102	1-(4-fluorophenyl)-N-[3-methoxy-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
258	1-(3-fluorophenyl)-N-[3-methoxy-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
183	1-(3,4-difluorophenyl)-N-[3-methoxy-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
37	1-(3,5-difluorophenyl)-N-[3-methyl-5-(4-methylpiperazin-1-yl)phenyl]-1,2,4-triazol-3-amine
54	N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(4-methyl-2-pyridyl)-1,2,4-triazol-3-amine
453	N-[3-[4-(3,3-difluorocyclobutyl)piperazin-1-yl]-5-methyl-phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
237	N-[2,3-dimethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-phenyl-1,2,4-triazol-3-amine
425	1-(3,5-difluorophenyl)-N-[2,3-dimethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
216	1-(3,5-difluorophenyl)-N-[3-methyl-5-[4-(oxetan-3-yl)-1-piperidyl]phenyl]-1,2,4-triazol-3-amine
484	N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(2,3,5-trifluorophenyl)-1,2,4-triazol-3-amine
66	2,5-difluoro-4-[3-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]anilino]-1,2,4-triazol-1-yl]benzonitrile
413	N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(2,4,5-trifluorophenyl)-1,2,4-triazol-3-amine
140	2-fluoro-4-[3-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]anilino]-1,2,4-triazol-1-yl]benzonitrile
86	1-(3-methoxyphenyl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
94	1-(3-methoxyphenyl)-N-[3-methyl-5-(4-methylpiperazin-1-yl)phenyl]-1,2,4-triazol-3-amine
56	1-(3-fluoro-5-methoxy-phenyl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
395	1-(3-fluoro-5-methoxy-phenyl)-N-[3-methyl-5-(4-methylpiperazin-1-yl)phenyl]-1,2,4-triazol-3-amine
397	1-(3-chlorophenyl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
141	1-(3-chlorophenyl)-N-[3-methyl-5-(4-methylpiperazin-1-yl)phenyl]-1,2,4-triazol-3-amine
187	1-[3-[[ethyl(methyl)amino]methyl]-5-fluoro-phenyl]-N-[3-

Cmpd No.	IUPAC name
	methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
390	1-[3-[[ethyl(methyl)amino]methyl]-5-fluoro-phenyl]-N-[3-methyl-5-(4-methylpiperazin-1-yl)phenyl]-1,2,4-triazol-3-amine
255	1-(3-ethyl-5-fluoro-phenyl)-N-[3-methyl-5-(4-methylpiperazin-1-yl)phenyl]-1,2,4-triazol-3-amine
206	1-(3-ethyl-5-fluoro-phenyl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
415	1-(3-fluoro-5-isopropoxy-phenyl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
223	1-(2-fluorophenyl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
373	1-[3-fluoro-5-[2-methoxyethyl(methyl)amino]phenyl]-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
103	1-[3-(2-ethylpyrrolidin-1-yl)-5-fluoro-phenyl]-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
41	1-[3-[2-(ethoxymethyl)pyrrolidin-1-yl]-5-fluoro-phenyl]-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
480	[1-[3-fluoro-5-[3-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]anilino]-1,2,4-triazol-1-yl]phenyl]pyrrolidin-3-yl]methanol
467	1-[3-fluoro-5-[(3R)-3-fluoropyrrolidin-1-yl]phenyl]-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
318	2-[(3R)-1-[3-fluoro-5-[3-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]anilino]-1,2,4-triazol-1-yl]phenyl]pyrrolidin-3-yl]propan-2-ol
491	1-[3-fluoro-5-[(2R)-2-(methoxymethyl)pyrrolidin-1-yl]phenyl]-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
287	N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-[3-(trifluoromethyl)phenyl]-1,2,4-triazol-3-amine
218	N-[3-ethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-[3-(trifluoromethyl)phenyl]-1,2,4-triazol-3-amine
252	N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-[3-(trifluoromethoxy)phenyl]-1,2,4-triazol-3-amine
432	N-[3-ethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(3-methoxyphenyl)-1,2,4-triazol-3-amine
70	N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(p-tolyl)-1,2,4-triazol-3-amine
31	N-[3-ethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(p-

Cmpd No.	IUPAC name
	tolyl)-1,2,4-triazol-3-amine
476	1-(2-fluoro-5-methyl-phenyl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
338	N-[3-ethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(2-fluoro-5-methyl-phenyl)-1,2,4-triazol-3-amine
213	N-[3-fluoro-5-(4-methylpiperazin-1-yl)phenyl]-1-phenyl-1,2,4-triazol-3-amine
98	N-[3-fluoro-5-(4-methyl-1,4-diazepan-1-yl)phenyl]-1-(3-fluorophenyl)-1,2,4-triazol-3-amine
203	N-[3-fluoro-5-(4-methylpiperazin-1-yl)phenyl]-1-(3-fluorophenyl)-1,2,4-triazol-3-amine
109	N-[3-[3-(dimethylamino)pyrrolidin-1-yl]-5-fluoro-phenyl]-1-(3-fluorophenyl)-1,2,4-triazol-3-amine
166	N-[3-fluoro-5-(1,4-oxazepan-4-yl)phenyl]-1-(3-fluorophenyl)-1,2,4-triazol-3-amine
351	N1-(azetidin-3-yl)-5-fluoro-N3-[1-(3-fluorophenyl)-1,2,4-triazol-3-yl]benzene-1,3-diamine
177	N1-(azetidin-3-yl)-N3-[1-(2,4-difluorophenyl)-1,2,4-triazol-3-yl]-5-fluoro-benzene-1,3-diamine
343	1-(2,4-difluorophenyl)-N-[3-fluoro-5-(1,4-oxazepan-4-yl)phenyl]-1,2,4-triazol-3-amine
28	1-(2,4-difluorophenyl)-N-[3-fluoro-5-(4-methyl-1,4-diazepan-1-yl)phenyl]-1,2,4-triazol-3-amine
450	1-(2,4-difluorophenyl)-N-[3-fluoro-5-(4-methylpiperazin-1-yl)phenyl]-1,2,4-triazol-3-amine
347	1-(2,4-difluorophenyl)-N-[3-[3-(dimethylamino)pyrrolidin-1-yl]-5-fluoro-phenyl]-1,2,4-triazol-3-amine
283	N-[3-(3-aminoazetidin-1-yl)-5-fluoro-phenyl]-1-(2,4-difluorophenyl)-1,2,4-triazol-3-amine
149	N-[3-(4-cyclopropylpiperazin-1-yl)-5-methyl-phenyl]-1-phenyl-1,2,4-triazol-3-amine
306	N-[3-(2,6-dimethylmorpholin-4-yl)-5-methyl-phenyl]-1-phenyl-1,2,4-triazol-3-amine
179	N-[3-methyl-5-(4-methyl-1,4-diazepan-1-yl)phenyl]-1-phenyl-1,2,4-triazol-3-amine
337	N-[3-(4-cyclopropyl-1,4-diazepan-1-yl)-5-methyl-phenyl]-1-phenyl-1,2,4-triazol-3-amine
280	1-[4-[3-methyl-5-[(1-phenyl-1,2,4-triazol-3-yl)amino]phenyl]-1,4-diazepan-1-yl]ethanone
76	1-methyl-4-[3-methyl-5-[(1-phenyl-1,2,4-triazol-3-yl)amino]phenyl]piperazin-2-one
157	N-[3-[(8aR)-3,4,6,7,8,8a-hexahydro-1H-pyrrolo[1,2-a]pyrazin-2-yl]-5-methyl-phenyl]-1-phenyl-1,2,4-triazol-3-amine

Cmpd No.	IUPAC name
128	N-[3-[(8aR)-3,4,6,7,8,8a-hexahydro-1H-pyrrolo[1,2-a]pyrazin-2-yl]-5-methyl-phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
152	N-[3-[(8aR)-4-isobutyl-3,4,6,7,8,8a-hexahydro-1H-pyrrolo[1,2-a]pyrazin-2-yl]-5-methyl-phenyl]-1-phenyl-1,2,4-triazol-3-amine
29	N-[3-[(8aR)-4-isobutyl-3,4,6,7,8,8a-hexahydro-1H-pyrrolo[1,2-a]pyrazin-2-yl]-5-methyl-phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
481	N-[3-[4-(methoxymethyl)-1-piperidyl]-5-methyl-phenyl]-1-phenyl-1,2,4-triazol-3-amine
162	1-(3,5-difluorophenyl)-N-[3-[4-(methoxymethyl)-1-piperidyl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
200	7-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-5,6,8,8a-tetrahydro-1H-oxazolo[3,4-a]pyrazin-3-one
227	7-[3-methyl-5-[(1-phenyl-1,2,4-triazol-3-yl)amino]phenyl]-5,6,8,8a-tetrahydro-1H-oxazolo[3,4-a]pyrazin-3-one
59	[4-[3-methyl-5-[(1-phenyl-1,2,4-triazol-3-yl)amino]phenyl]piperazin-2-yl]methanol
81	4-[3-[3-methyl-5-(4-methylpiperazin-1-yl)anilino]-1,2,4-triazol-1-yl]benzonitrile
499	3-[3-[3-methyl-5-(4-methylpiperazin-1-yl)anilino]-1,2,4-triazol-1-yl]benzonitrile
428	1-(2-chlorophenyl)-N-[3-methyl-5-(4-methylpiperazin-1-yl)phenyl]-1,2,4-triazol-3-amine
317	1-(3,4-difluorophenyl)-N-[3-methyl-5-(4-methylpiperazin-1-yl)phenyl]-1,2,4-triazol-3-amine
299	1-(3,4-difluorophenyl)-N-[3-[4-(methoxymethyl)-1-piperidyl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
412	1-(3,4-difluorophenyl)-N-[3-(4-methylpiperazin-1-yl)-5-(trifluoromethyl)phenyl]-1,2,4-triazol-3-amine
182	N1-[1-(3-methoxypropyl)-4-piperidyl]-5-methyl-N3-(1-phenyl-1,2,4-triazol-3-yl)benzene-1,3-diamine
142	1-[3-methyl-5-[(1-phenyl-1,2,4-triazol-3-yl)amino]phenyl]piperidine-4-carbonitrile
146	1-[3-methyl-5-[(1-phenyl-1,2,4-triazol-3-yl)amino]phenyl]piperidine-3-carbonitrile
115	1-[3-[3-methyl-5-[(1-phenyl-1,2,4-triazol-3-yl)amino]anilino]-1-piperidyl]ethanone
479	N-[3-methyl-5-[(1S,4S)-5-methyl-2,5-diazabicyclo[2.2.1]heptan-2-yl]phenyl]-1-phenyl-1,2,4-triazol-3-amine

Cmpd No.	IUPAC name
5	N-[3-chloro-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
310	1-(3,5-difluorophenyl)-N-[3-methoxy-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
169	1-(3,4-difluorophenyl)-N-[3-methyl-5-[4-(oxetan-3-yl)-1-piperidyl]phenyl]-1,2,4-triazol-3-amine
383	1-(2,5-difluorophenyl)-N-[3-methyl-5-[4-(oxetan-3-yl)-1-piperidyl]phenyl]-1,2,4-triazol-3-amine
207	N-[3-methyl-5-[1-(oxetan-3-yl)-3-piperidyl]phenyl]-1-(2-pyridyl)-1,2,4-triazol-3-amine
411	1-(3-fluorophenyl)-N-[3-methyl-5-[1-(oxetan-3-yl)-3-piperidyl]phenyl]-1,2,4-triazol-3-amine
439	N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(3-methylsulfanylphenyl)-1,2,4-triazol-3-amine
463	N-[3-ethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(3-methylsulfanylphenyl)-1,2,4-triazol-3-amine
478	N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-[4-(trifluoromethoxy)phenyl]-1,2,4-triazol-3-amine
303	N-[3-ethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-[4-(trifluoromethoxy)phenyl]-1,2,4-triazol-3-amine
191	[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-[4-(trifluoromethyl)phenyl]-1,2,4-triazol-3-amine
322	N-[3-ethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-[4-(trifluoromethyl)phenyl]-1,2,4-triazol-3-amine
522	1-(3-fluorophenyl)-N-[3-methyl-5-[4-(oxetan-3-yl)-1-piperidyl]phenyl]-1,2,4-triazol-3-amine
523	1-(3-fluorophenyl)-N-[3-isopropyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
574	N-[3,5-bis[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
559	1-(3,4-difluorophenyl)-N-[3-[4-(oxetan-3-yl)piperazin-1-yl]-5-propyl-phenyl]-1,2,4-triazol-3-amine
558	1-(2,5-difluorophenyl)-N-(3-methyl-5-piperazin-1-yl-phenyl)-1,2,4-triazol-3-amine
573	N-[3,5-bis(4-tert-butylpiperazin-1-yl)phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
657	N-[3,5-bis(4-methylpiperazin-1-yl)phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
709	N-[3-(4-tert-butylpiperazin-1-yl)-5-methyl-phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
723	N-[3-chloro-5-(3-morpholinoazetidin-1-yl)phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
753	N-[3-chloro-5-(3-morpholinoazetidin-1-yl)phenyl]-1-pyrazin-

Cmpd No.	IUPAC name
	2-yl-1,2,4-triazol-3-amine
854	N-[3-methyl-5-(4-morpholino-1-piperidyl)phenyl]-1-[3-(trifluoromethyl)phenyl]-1,2,4-triazol-3-amine
651	N-[3-methyl-5-(3-morpholinoazetidin-1-yl)phenyl]-1-[3-(trifluoromethyl)phenyl]-1,2,4-triazol-3-amine
833	1-[3-(difluoromethyl)phenyl]-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
736	1-[3-(difluoromethyl)phenyl]-N-[3-ethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
595	1-[3-(difluoromethyl)phenyl]-N-[3-methyl-5-(3-morpholinoazetidin-1-yl)phenyl]-1,2,4-triazol-3-amine
725	1-[3-(difluoromethyl)phenyl]-N-[3-methyl-5-(4-morpholino-1-piperidyl)phenyl]-1,2,4-triazol-3-amine
693	1-[3-(difluoromethyl)phenyl]-N-[3-methoxy-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
662	N-[3-methoxy-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-[3-(trifluoromethyl)phenyl]-1,2,4-triazol-3-amine
609	N-[3-methyl-5-[4-(oxetan-3-yl)-1,4-diazepan-1-yl]phenyl]-1-(2-pyridyl)-1,2,4-triazol-3-amine
776	1-(2-fluoro-4-pyridyl)-N-[3-methyl-5-[4-(oxetan-3-yl)-1,4-diazepan-1-yl]phenyl]-1,2,4-triazol-3-amine
818	N-[3-methyl-5-[4-(oxetan-3-yl)-1,4-diazepan-1-yl]phenyl]-1-pyrazin-2-yl-1,2,4-triazol-3-amine
637	1-(3,5-difluorophenyl)-N-[3-methyl-5-[4-(oxetan-3-yl)-1,4-diazepan-1-yl]phenyl]-1,2,4-triazol-3-amine
697	1-(3,5-difluorophenyl)-N-[2,5-dimethyl-3-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
739	1-(3,5-difluorophenyl)-N-[2,3-dimethyl-5-(3-morpholinoazetidin-1-yl)phenyl]-1,2,4-triazol-3-amine
785	N-[3-chloro-5-(3-morpholinoazetidin-1-yl)phenyl]-1-(2-pyridyl)-1,2,4-triazol-3-amine
863	N-[3-chloro-5-(3-morpholinoazetidin-1-yl)phenyl]-1-pyrimidin-4-yl-1,2,4-triazol-3-amine
827	N-[3-chloro-5-(3-morpholinoazetidin-1-yl)phenyl]-1-(3-fluorophenyl)-1,2,4-triazol-3-amine
819	N-[3-chloro-5-(3-morpholinoazetidin-1-yl)phenyl]-1-(2-fluoro-4-pyridyl)-1,2,4-triazol-3-amine
784	N-[3-chloro-5-(3-morpholinoazetidin-1-yl)phenyl]-1-(3,4-difluorophenyl)-1,2,4-triazol-3-amine
587	1-(3,5-difluorophenyl)-N-[2-fluoro-3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
808	1-(3,5-difluorophenyl)-N-[3-methyl-5-[3-(1,4-oxazepan-4-yl)azetidin-1-yl]phenyl]-1,2,4-triazol-3-amine

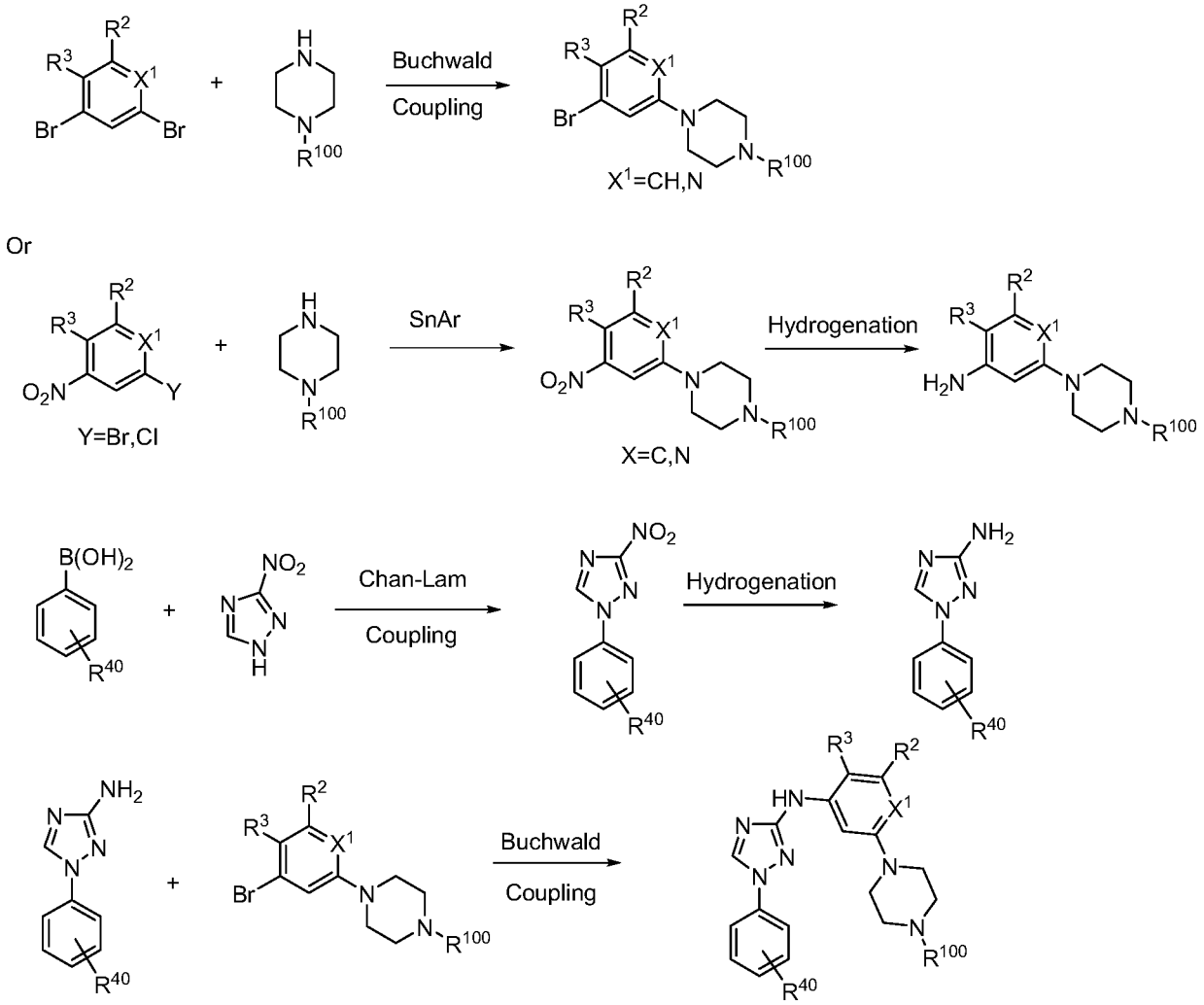
Cmpd No.	IUPAC name
659	1-(3,4-difluorophenyl)-N-[3-methyl-5-[3-(1,4-oxazepan-4-yl)azetidin-1-yl]phenyl]-1,2,4-triazol-3-amine
825	N-[3-methyl-5-[3-(1,4-oxazepan-4-yl)azetidin-1-yl]phenyl]-1-pyrazin-2-yl-1,2,4-triazol-3-amine
701	1-(3,5-difluorophenyl)-N-[3-(3-morpholinoazetidin-1-yl)-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
642	N-[3-fluoro-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(2-pyridyl)-1,2,4-triazol-3-amine
594	N-[3-fluoro-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(3-fluorophenyl)-1,2,4-triazol-3-amine
783	N-[3-fluoro-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(2-fluoro-4-pyridyl)-1,2,4-triazol-3-amine
803	1-(3,4-difluorophenyl)-N-[3-fluoro-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
868	N-[3,5-bis(3-morpholinoazetidin-1-yl)phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
759	3-[3-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]anilino]-1,2,4-triazol-1-yl]benzonitrile
734	3-[3-[3-ethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]anilino]-1,2,4-triazol-1-yl]benzonitrile
767	1-(3-chloro-4-methyl-phenyl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
836	1-(3-fluoro-4-methyl-phenyl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
715	1-(3-chloro-4-methyl-phenyl)-N-[3-ethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
761	N-[3-ethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(3-fluoro-4-methyl-phenyl)-1,2,4-triazol-3-amine
762	4-[3-[3-ethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]anilino]-1,2,4-triazol-1-yl]benzonitrile
687	4-[3-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]anilino]-1,2,4-triazol-1-yl]benzonitrile
829	N-[3-ethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(4-fluoro-3-methyl-phenyl)-1,2,4-triazol-3-amine
780	1-(4-fluoro-3-methyl-phenyl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
597	1-(3-chloro-4-fluoro-phenyl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
721	1-(3-fluorophenyl)-N-[3-methyl-5-(3-morpholinoazetidin-1-yl)phenyl]-1,2,4-triazol-3-amine
606	1-(4-fluorophenyl)-N-[3-methyl-5-(3-morpholinoazetidin-1-yl)phenyl]-1,2,4-triazol-3-amine
794	1-[3-(difluoromethyl)phenyl]-N-[3-fluoro-5-[4-(oxetan-3-

Cmpd No.	IUPAC name
	yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
647	1-(4-fluoro-3-methyl-phenyl)-N-[3-fluoro-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
779	1-(3-fluoro-4-methyl-phenyl)-N-[3-fluoro-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
622	1-(4-fluorophenyl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
641	1-(3,5-difluorophenyl)-N-[3-isopropoxy-2-methyl-5-(3-morpholinoazetidin-1-yl)phenyl]-1,2,4-triazol-3-amine
591	1-(3,5-difluorophenyl)-N-[3-isopropoxy-2-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
757	1-[3-fluoro-5-(trifluoromethyl)phenyl]-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
754	1-[3-fluoro-5-(trifluoromethyl)phenyl]-N-[3-methoxy-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
624	1-(3-fluoro-4-methoxy-phenyl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
781	1-(3-fluoro-4-methoxy-phenyl)-N-[3-fluoro-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
646	1-(3,5-difluoro-4-methoxy-phenyl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
710	1-(4-methoxyphenyl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
782	1-(3,5-difluoro-4-methoxy-phenyl)-N-[3-methyl-5-(3-morpholinoazetidin-1-yl)phenyl]-1,2,4-triazol-3-amine
841	1-(4-methoxyphenyl)-N-[3-methyl-5-(3-morpholinoazetidin-1-yl)phenyl]-1,2,4-triazol-3-amine
735	1-(3-fluoro-4-methoxy-phenyl)-N-[3-methyl-5-(3-morpholinoazetidin-1-yl)phenyl]-1,2,4-triazol-3-amine
1080	5-deuterio-1-(3,5-difluorophenyl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
966	N-[3-(2-isopropyl-2,6-diazaspiro[3.3]heptan-6-yl)-5-methyl-phenyl]-1-(3-pyridyl)-1,2,4-triazol-3-amine
1071	1-(3-fluorophenyl)-N-[3-(2-isopropyl-2,6-diazaspiro[3.3]heptan-6-yl)-5-methyl-phenyl]-1,2,4-triazol-3-amine
977	1-(3,4-difluorophenyl)-N-[3-(2-isopropyl-2,6-diazaspiro[3.3]heptan-6-yl)-5-methyl-phenyl]-1,2,4-triazol-3-amine
1034	N-[3-(2-isopropyl-2,6-diazaspiro[3.3]heptan-6-yl)-5-methyl-phenyl]-1-phenyl-1,2,4-triazol-3-amine
967	N-[3-(2-isopropyl-2,6-diazaspiro[3.3]heptan-6-yl)-5-methyl-phenyl]-1-pyrazin-2-yl-1,2,4-triazol-3-amine

Cmpd No.	IUPAC name
965	2-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-4,5-dimethyl-phenoxy]-1-pyrrolidin-1-yl-ethanone
909	1-(3,5-difluorophenyl)-N-[2,3-dimethyl-5-(oxetan-3-ylmethoxy)phenyl]-1,2,4-triazol-3-amine
971	1-[3-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-4,5-dimethyl-phenoxy]azetidin-1-yl]ethanone
1108	N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(2,3,4,5,6-pentadeuteriophenyl)-1,2,4-triazol-3-amine

.

Scheme B: General Route B for Preparation of Compounds of Formula I or I'

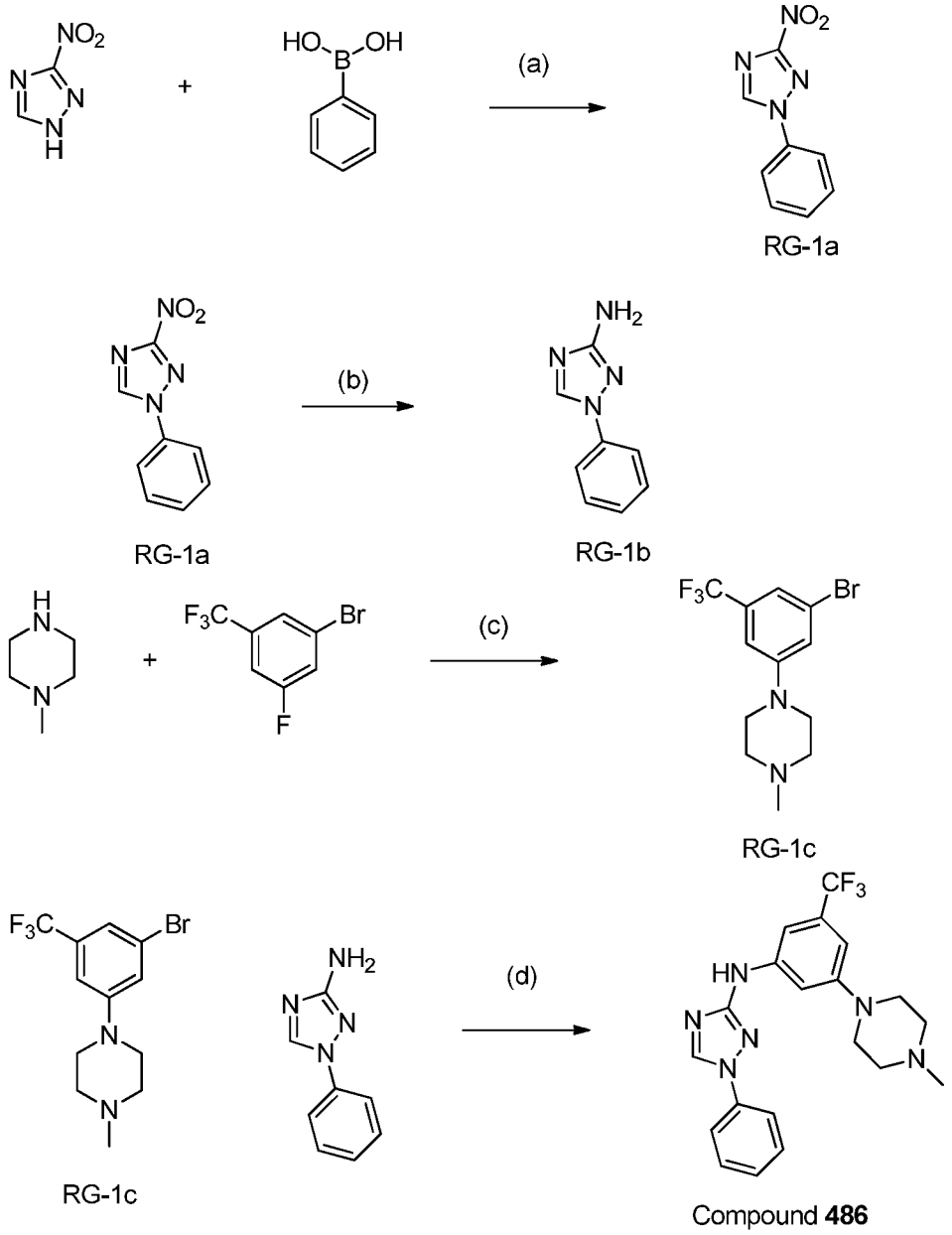


Compounds of the invention may be prepared as generally outlined in Scheme B, where R^2, R^3, R^{40}, R^{100}, and X^1 are as described for Scheme A. The methods of Scheme B may also be applied

to other variations of L^1 with G^1 to G^5 that bond to the parent molecular moiety through a nitrogen atom.

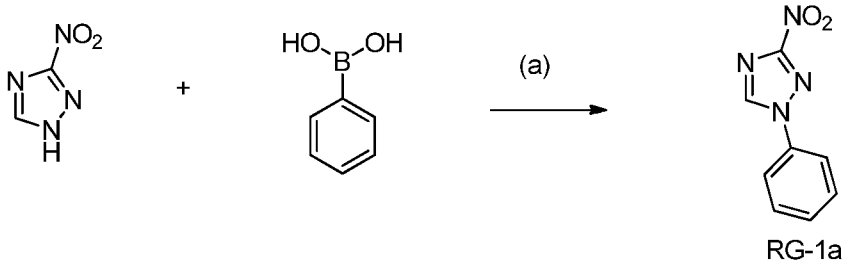
EXAMPLE 9A

Preparation of N-[3-(4-methylpiperazin-1-yl)-5-(trifluoromethyl)phenyl]-1-phenyl-1,2,4-triazol-3-amine (Compound **486**)



(a) DCM, Pyridine, Copper(II) Acetate; (b) 10%Palladium on carbon(wet), Methanol; (c) NMP, 100°C, 12-24 hours; (d) t-BuXPhos Palladacycle, Sodium t-butoxide, 1,4-dioxane, 90°C, 12-24 hours

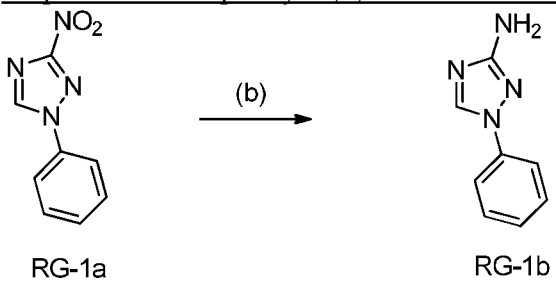
Preparation of 3-nitro-1-phenyl-1,2,4-triazole (**RG-1a**):



a) DCM, Pyridine, Copper(II) Acetate

[00473] 3-nitro-1H-1,2,4-triazole (20g, 175mmol) and phenylboronic acid (43g, 350mmol) were suspended in 2.4 L of DCM and pyridine (28.4mL, 27.8g, 351mmol) was added followed by copper (II) acetate (32g, 175 mmol). The blue coloured suspension was stirred at room temperature for 10 days open to the air. The reaction was pulled through a pad of diatomaceous earth and the filter cake washed with DCM, MeOH, and finally DCM. The filtrates were combined and concentrated to a viscous residue which was partitioned between EtOAc and 1N HCl. The organic phase was washed with water and brine, dried over Na2SO4 and the solvent removed under reduced pressure. The crude material was purified on 800 grams of SiO2 eluting with 0-25% Ethyl Acetate in DCM. The combined pure fractions were concentrated to dryness to yield 3-nitro-1-phenyl-1,2,4-triazole, **RG-1a** (16g, 24%). ^{1}H NMR (300 MHz, Acetone-d6) δ 9.31 (s, 1H), 7.96 (d, J = 7.9 Hz, 2H), 7.67 (dd, J = 10.3, 5.0 Hz, 2H), 7.61 - 7.46 (m, 1H) ppm. ESI-MS m/z calc. 190.05, found 191.0 (M+1)+; Retention time: 0.73 minutes.

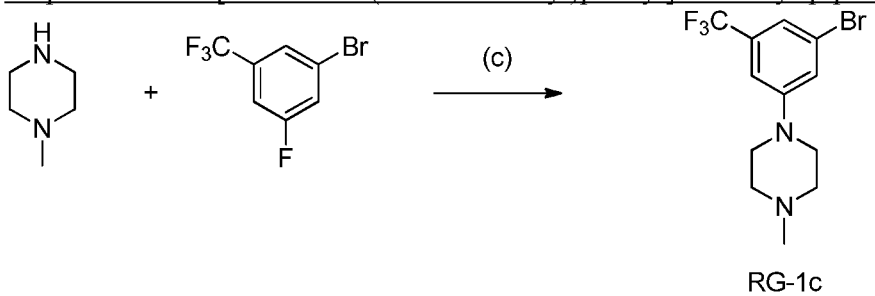
Preparation of 1-phenyl-1,2,4-triazol-3-amine (**RG-1b**)



b) 10%Palladium on carbon(wet), Methanol, H_2

[00474] 3-nitro-1-phenyl-1,2,4-triazole (16g, 84.14mmoles) was dissolved into 250 mL of methanol and placed under an atmosphere of CO2 before adding 10%Palladium on carbon (wet) Degussa type. The reaction was placed under 50 psi of H2 for 3.0 hours in a Parr apparatus. The reaction was pulled through a pad of diatomaceous earth and washed with more MeOH. The solvent was removed under reduced pressure and yielded 1-phenyl-1,2,4-triazol-3-amine, **RG-1b** (13.3grams, 89%). ^{1}H NMR (300 MHz, Acetone-d6) δ 8.58 (s, 1H), 7.78 - 7.71 (m, 2H), 7.52 - 7.41 (m, 2H), 7.30 (dt, J = 9.0, 4.3 Hz, 1H), 5.10 (s, 2H) ppm. ESI-MS m/z calc. 160.07489, found 160.95 (M+1)+; Retention time: 0.55 minutes.

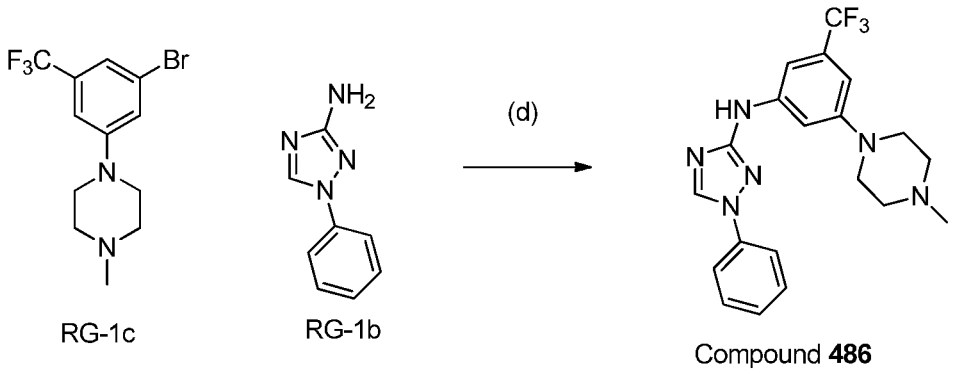
Preparation of 1-[3-bromo-5-(trifluoromethyl)phenyl]-4-methyl-piperazine (**RG-1c**)



c) NMP, 100°C, 12-24 hours

[00475] 1-Bromo-3-fluoro-5-(trifluoromethyl)benzene (1.52 g, 6.255 mmol) was dissolved in NMP (2.0 mL). Methylpiperazine (1.88 g, 2.08 mL, 18.8 mmol) was added and the vial was sealed. The reaction mixture was stirred overnight at 100°C then concentrated to an oil. The oil was diluted with DCM (20mL), washed with 50% saturated sodium bicarbonate, passed through a phase separator, and the organic layer concentrated to dryness to yield 1-[3-bromo-5-(trifluoromethyl)phenyl]-4-methyl-piperazine **RG-1c** (1.731 g, 83%). ^{1}H NMR (300 MHz, DMSO-d6) δ 7.36 (s, 1H), 7.18 (s, 2H), 3.30 - 3.16 (m, 4H), 2.46 - 2.33 (m, 4H), 2.21 (s, 3H) ppm. ESI-MS m/z calc. 322.02924, found 323.03 (M+1)+; Retention time: 0.62 minutes.

Preparation of N-[3-(4-methylpiperazin-1-yl)-5-(trifluoromethyl)phenyl]-1-phenyl-1,2,4-triazol-3-amine (Compound **486**)

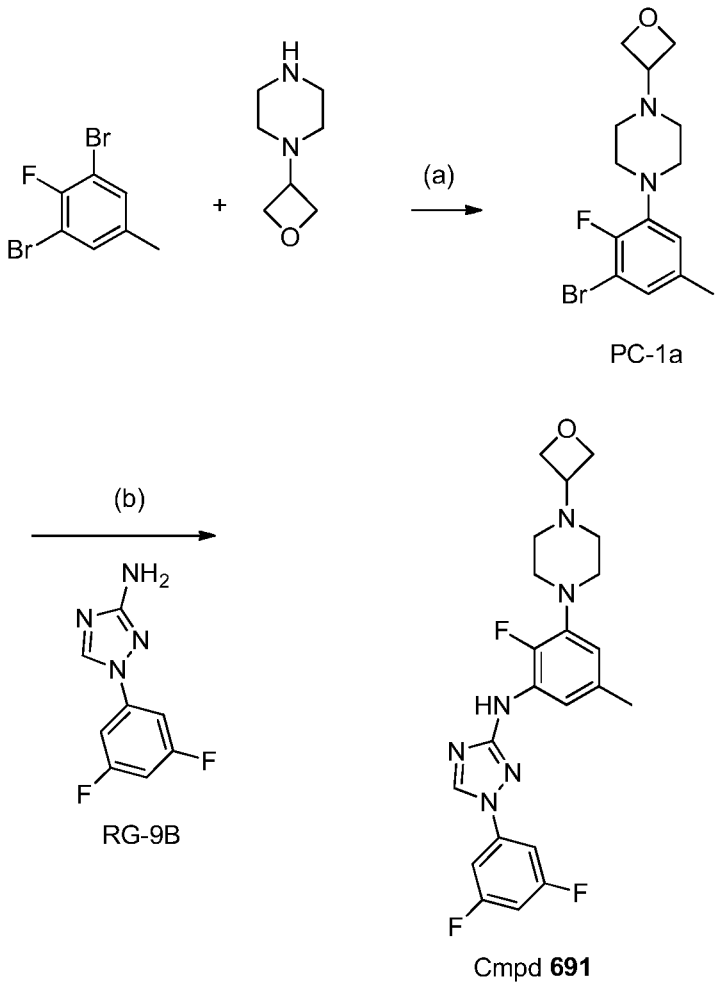


d) t-BuXPhos Palladacycle, Sodium t-butoxide, 1,4-dioxane, 90°C, 12-24 hours

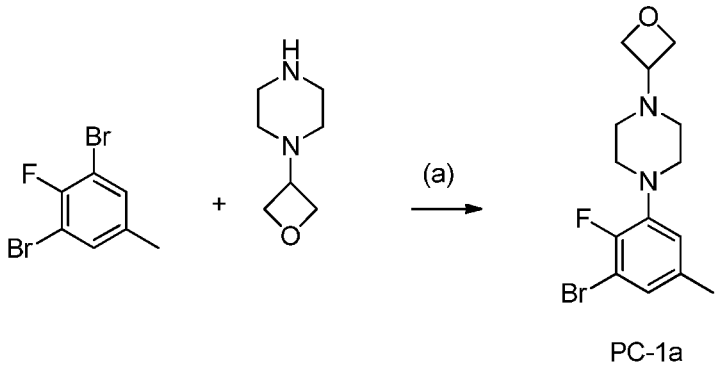
[00476] 1-Phenyl-1,2,4-triazol-3-amine, **RG-1b** (64 mg, 0.4 mmol), sodium tert-butoxide (57.6 mg, 0.6 mmol), t-BuXPhos Palladacycle (29.5 mg, 0.04 mmol), and 1-[3-bromo-5-(trifluoromethyl)phenyl]-4-methyl-piperazine **RG-1c** (129 mg, 0.4 mmol) were weighed into a 20ml vial. Vacuum was applied to the vial and then flushed with nitrogen three times. Dioxane (2 mL) was added and the mixture stirred in a sealed vial at 90°C overnight. The crude reaction mixture was diluted with dichloromethane (20mL), then washed with 50% saturated sodium bicarbonate. The organic layer was passed through a phase separator and concentrated to dryness. The residue was diluted with DMSO (2mL) and purified by reverse phase HPLC using a gradient of acetonitrile in water (10-99%) and TFA as a modifier to yield the product as the TFA salt. The pooled desired pure fractions were concentrated to dryness. The combined fractions with diluted DCM and washed with saturated sodium bicarbonate. The organics were passed through a phase separator, acidified with 2M HCl in diethyl ether, and concentrated to dryness to yield the HCl salt of N-[3-(4-methylpiperazin-1-yl)-5-(trifluoromethyl)phenyl]-1-phenyl-1,2,4-triazol-3-amine, cmpd **486** (99 mg, 56%). ^{1}H NMR (300 MHz, DMSO-d6) δ 9.13 (s, 1H), 7.89 - 7.79 (d, 2H), 7.56 (m, 4H), 7.38 (t, J = 7.4 Hz, 1H), 6.84 (s, 1H), 3.88 (d, J = 9.7 Hz, 2H), 3.51 (m, 2H), 3.19 (m, 4H), 2.85 (s, 3H) ppm. ESI-MS m/z calc. 402.17798, found 403.28 (M+1)+; Retention time: 3.08 minutes.

Example 9B

Preparation of 1-(3,5-Difluorophenyl)-*N*-(2-fluoro-5-methyl-3-(4-(oxetan-3-yl)piperazin-1-yl)phenyl)-1*H*-1,2,4-triazol-3-amine (Compound **691**)



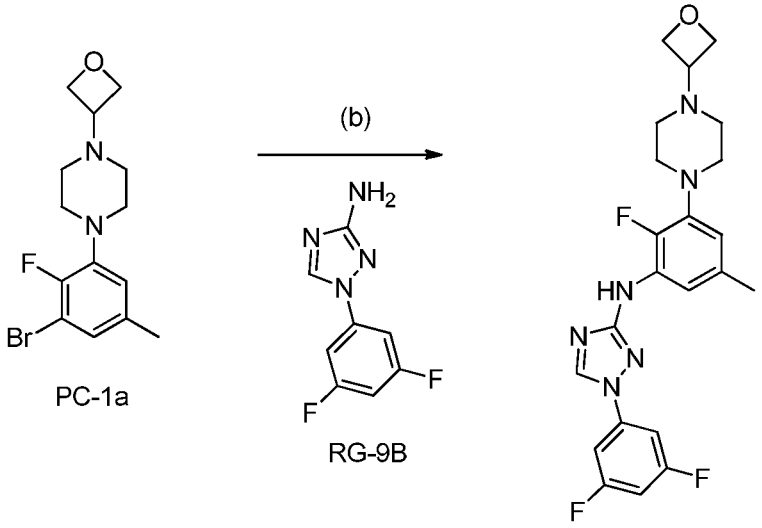
[00477] Preparation of 1-(3-bromo-2-fluoro-5-methylphenyl)-4-(oxetan-3-yl)piperazine (**PC-1a**)



[00478] A 50 ml round-bottomed two-necked flask was charged with: 1,3-dibromo-2-fluoro-5-methyl-benzene (1.81 g, 6.76 mmol), 1-(oxetan-3-yl)piperazine (970 mg, 6.75 mmol), BINAP (168 mg, 0.270 mmol), cesium carbonate (4.40 g, 13.5 mmol), $Pd_2(dba)_3$ (124 mg, 0.135 mmol)

and 1,4-dioxane (11 mL) under nitrogen and then heated at reflux overnight. The reaction mixture was filtered through Celite with the aid of EtOAc and then concentrated. Purification by column chromatography (80 g column; 40 -100% EtOAc in heptane) gave product **PC-1a** (1.2 g, 54%) as an off-white solid. ^{1}H NMR (400 MHz, DMSO-d6) δ 7.06 (dd, J = 5.8, 1.3 Hz, 1H), 6.84 (dd, J = 7.8, 1.6 Hz, 1H), 4.56 (t, J = 6.6 Hz, 2H), 4.46 (t, J = 6.1 Hz, 2H), 3.52 - 3.40 (m, 1H), 3.11 - 2.93 (m, 4H), 2.47 - 2.35 (m, 4H), 2.25 (s, 3H) ppm. ESI-MS *m/z* calc. 328.05865, (M+1) found 329.11.

[00479] Preparation of 1-(3,5-Difluorophenyl)-*N*-(2-fluoro-5-methyl-3-(4-(oxetan-3-yl)piperazin-1-yl)phenyl)-1*H*-1,2,4-triazol-3-amine (Compound **691**)



[00480] A 20 mL scintillation vial was charged with 1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine **RG-9B** (281 mg, 1.43 mmol), 1-(3-bromo-2-fluoro-5-methyl-phenyl)-4-(oxetan-3-yl)piperazine **PC-1a** (471 mg, 1.43 mmol), Xphos palladacycle (Strem 46-0268) (53 mg, 0.0717 mmol), sodium tert-butoxide (275 mg, 2.86 mmol) and tBuOH (12 mL) under nitrogen and stirred on a heating block set to 100 °C for 2 h. Brine and EtOAc were added and the layers separated. The organics were concentrated, the crude residue was purified by column chromatography (80 g column; 50-100% EtOAc in heptane) and the relevant fractions concentrated. The impure material was sonicated in the presence of EtOAc and filtered off. The solid was collected and sonicated in the presence of MeOH to give product (185 mg, 29%) as a white solid after filtration. ^{1}H NMR (400 MHz, DMSO-d6) δ 9.16 (s, 1H), 8.81 (d, J = 1.7 Hz, 1H), 7.65 - 7.55 (m, 2H), 7.50 (d, J = 5.8 Hz, 1H), 7.25 (tt, J = 9.3, 2.3 Hz, 1H), 6.44 (d, J = 5.9

Hz, 1H), 4.56 (t, J = 6.5 Hz, 2H), 4.47 (t, J = 6.1 Hz, 2H), 3.52 - 3.44 (m, 1H), 3.06 - 2.97 (m, 4H), 2.43 (s, 4H), 2.27 (s, 3H) ppm. ESI-MS *m/z* calc. 444.18854, found 445.33 (M+1).

[00481] Using the general synthetic scheme outlined in Scheme B and the experimental procedures listed above in Example 9A or 9B, the following compounds were prepared:

Cmpd No.	IUPAC Name
269	1-(4-fluorophenyl)-N-(3-methyl-5-pyrrolidin-1-yl-phenyl)-1,2,4-triazol-3-amine
272	1-(3-fluorophenyl)-N-(3-methyl-5-pyrrolidin-1-yl-phenyl)-1,2,4-triazol-3-amine
167	1-(4-fluorophenyl)-N-(3-fluoro-5-pyrrolidin-1-yl-phenyl)-1,2,4-triazol-3-amine
158	N-(3-fluoro-5-morpholino-phenyl)-1-(3-fluorophenyl)-1,2,4-triazol-3-amine
435	1-(3-fluorophenyl)-N-(3-fluoro-5-pyrrolidin-1-yl-phenyl)-1,2,4-triazol-3-amine
394	N-(3-fluoro-5-morpholino-phenyl)-1-(4-fluorophenyl)-1,2,4-triazol-3-amine
441	N-(3-fluoro-5-pyrrolidin-1-yl-phenyl)-1-[4-(trifluoromethyl)phenyl]-1,2,4-triazol-3-amine
356	N-(3-methyl-5-pyrrolidin-1-yl-phenyl)-1-[4-(trifluoromethyl)phenyl]-1,2,4-triazol-3-amine
241	N-(3-fluoro-5-pyrrolidin-1-yl-phenyl)-1-[3-(trifluoromethyl)phenyl]-1,2,4-triazol-3-amine
181	N-(3-fluoro-5-morpholino-phenyl)-1-[3-(trifluoromethyl)phenyl]-1,2,4-triazol-3-amine
497	1-(2,4-difluorophenyl)-N-(3-fluoro-5-pyrrolidin-1-yl-phenyl)-1,2,4-triazol-3-amine
321	1-(2,4-difluorophenyl)-N-(3-fluoro-5-morpholino-phenyl)-1,2,4-triazol-3-amine
190	N-(3-methyl-5-pyrrolidin-1-yl-phenyl)-1-[3-(trifluoromethyl)phenyl]-1,2,4-triazol-3-amine
99	1-(3,4-difluorophenyl)-N-(3-fluoro-5-pyrrolidin-1-yl-phenyl)-1,2,4-triazol-3-amine
440	1-(3,4-difluorophenyl)-N-(3-fluoro-5-morpholino-phenyl)-1,2,4-triazol-3-amine
49	N-(3-fluoro-5-morpholino-phenyl)-1-(2-fluorophenyl)-1,2,4-triazol-3-amine
83	1-(2-fluorophenyl)-N-(3-fluoro-5-pyrrolidin-1-yl-phenyl)-1,2,4-triazol-3-amine
43	1-(3,4-difluorophenyl)-N-(3-methyl-5-morpholino-phenyl)-1,2,4-triazol-3-amine
27	1-(3-fluorophenyl)-N-(3-methyl-5-morpholino-phenyl)-

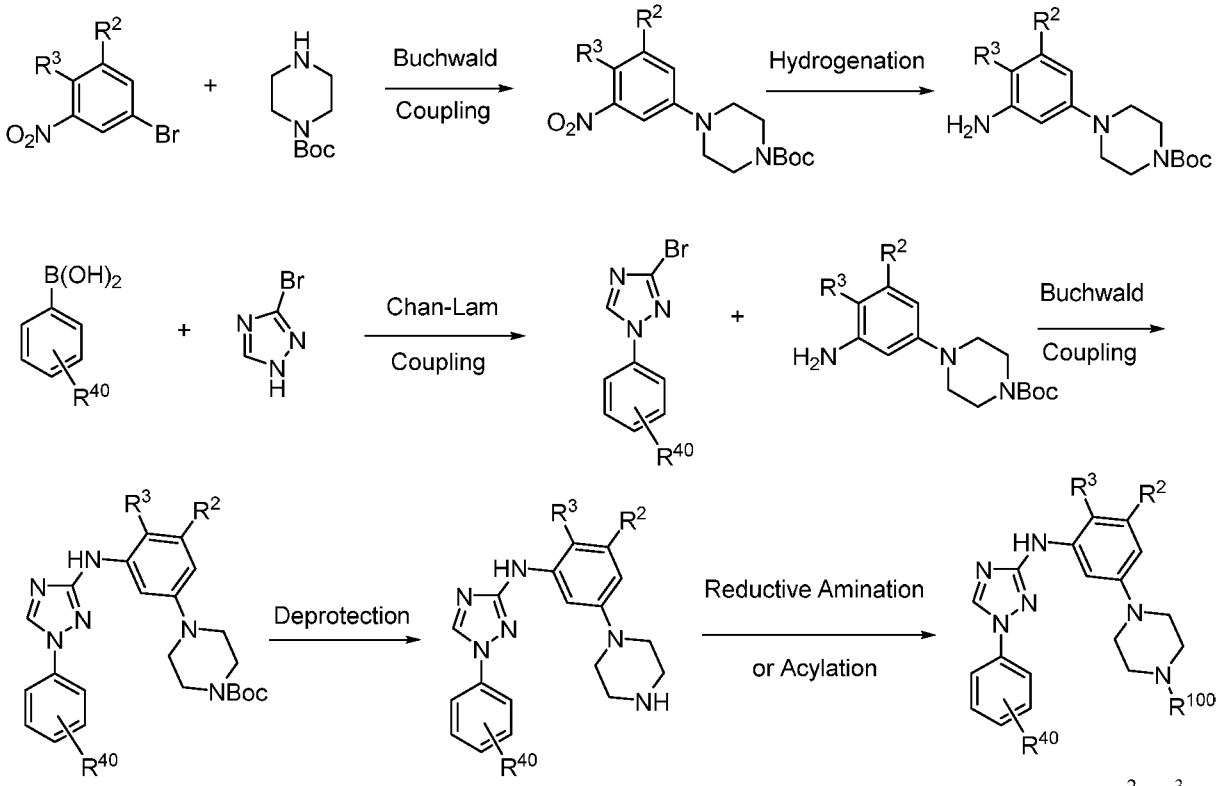
Cmpd No.	IUPAC Name
	1,2,4-triazol-3-amine
374	1-(3,5-difluorophenyl)-N-(3-methyl-5-morpholino-phenyl)-1,2,4-triazol-3-amine
186	4-[3-(3-methyl-5-pyrrolidin-1-yl-anilino)-1,2,4-triazol-1-yl]benzonitrile
372	N-(3-methyl-5-pyrrolidin-1-yl-phenyl)-1-(p-tolyl)-1,2,4-triazol-3-amine
281	3-[3-(3-methyl-5-pyrrolidin-1-yl-anilino)-1,2,4-triazol-1-yl]benzonitrile
212	2-[3-(3-methyl-5-pyrrolidin-1-yl-anilino)-1,2,4-triazol-1-yl]benzonitrile
335	N-(3-chloro-5-morpholino-phenyl)-1-phenyl-1,2,4-triazol-3-amine
426	N-(3-chloro-5-morpholino-phenyl)-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
168	N-(3-bromo-5-morpholino-phenyl)-1-phenyl-1,2,4-triazol-3-amine
346	N-(3-bromo-5-morpholino-phenyl)-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
392	N-[3-(difluoromethyl)-5-morpholino-phenyl]-1-phenyl-1,2,4-triazol-3-amine
246	N-[3-chloro-5-[4-(methoxymethyl)-1-piperidyl]phenyl]-1-phenyl-1,2,4-triazol-3-amine
85	N-[3-(difluoromethyl)-5-morpholino-phenyl]-1-(2-fluoro-4-pyridyl)-1,2,4-triazol-3-amine
431	N-[3-methyl-5-[4-[(3-methyloxetan-3-yl)methyl]piperazin-1-yl]phenyl]-1-phenyl-1,2,4-triazol-3-amine
330	N-[3-ethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-phenyl-1,2,4-triazol-3-amine
468	N-[3-ethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(3-fluorophenyl)-1,2,4-triazol-3-amine
344	1-(3,5-difluorophenyl)-N-[3-methylsulfonyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
16	N-[3-ethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(2-fluoro-4-pyridyl)-1,2,4-triazol-3-amine
361	1-(3-fluorophenyl)-N-[3-methylsulfonyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
417	1-(2,5-difluorophenyl)-N-[3-ethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
110	N-(3-methyl-5-pyrrolidin-1-yl-phenyl)-1-phenyl-1,2,4-triazol-3-amine
380	N-(3-chloro-5-pyrrolidin-1-yl-phenyl)-1-phenyl-1,2,4-triazol-3-amine

Cmpd No.	IUPAC Name
45	N-(3-methyl-5-morpholino-phenyl)-1-phenyl-1,2,4-triazol-3-amine
336	N-(3-fluoro-5-pyrrolidin-1-yl-phenyl)-1-phenyl-1,2,4-triazol-3-amine
175	1-(3-fluorophenyl)-N-[3-[4-(oxetan-3-yl)piperazin-1-yl]-5-(trifluoromethyl)phenyl]-1,2,4-triazol-3-amine
130	1-(3,5-difluorophenyl)-N-[3-[4-(oxetan-3-yl)piperazin-1-yl]-5-(trifluoromethyl)phenyl]-1,2,4-triazol-3-amine
1	N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-2-methyl-6-[4-(oxetan-3-yl)piperazin-1-yl]pyridin-4-amine
295	5-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]oxazolidin-2-one
501	N-[1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]-4-methyl-6-(3-morpholinoazetidin-1-yl)pyridin-2-amine
502	4-methyl-6-(3-morpholinoazetidin-1-yl)-N-(1-phenyl-1,2,4-triazol-3-yl)pyridin-2-amine
512	4-(difluoromethyl)-N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-[4-(oxetan-3-yl)piperazin-1-yl]pyridin-2-amine
517	3-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]oxazolidin-2-one
524	4-(difluoromethyl)-N-[1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]-6-[4-(oxetan-3-yl)piperazin-1-yl]pyridin-2-amine
525	4-(difluoromethyl)-N-[1-(3-fluorophenyl)-1,2,4-triazol-3-yl]-6-[4-(oxetan-3-yl)piperazin-1-yl]pyridin-2-amine
526	4-(difluoromethyl)-6-[4-(oxetan-3-yl)piperazin-1-yl]-N-(1-phenyl-1,2,4-triazol-3-yl)pyridin-2-amine
843	6-(2,3,3a,4,6,6a-hexahydrofuro[2,3-c]pyrrol-5-yl)-N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-4-methyl-pyridin-2-amine
581	1-(3,5-difluorophenyl)-N-[3-methyl-5-[4-(oxetan-3-yl)morpholin-2-yl]phenyl]-1,2,4-triazol-3-amine
751	1-(3,5-difluorophenyl)-N-[3-methyl-5-[(2R)-4-(oxetan-3-yl)morpholin-2-yl]phenyl]-1,2,4-triazol-3-amine
623	1-(3,5-difluorophenyl)-N-[3-methyl-5-[(2S)-4-(oxetan-3-yl)morpholin-2-yl]phenyl]-1,2,4-triazol-3-amine
577	1-(3,4-difluorophenyl)-N-[3-ethyl-5-[4-(3-methyloxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
820	1-(3,5-difluorophenyl)-N-[2,5-dimethyl-3-(3-morpholinoazetidin-1-yl)phenyl]-1,2,4-triazol-3-amine
691	1-(3,5-difluorophenyl)-N-[2-fluoro-5-methyl-3-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
835	3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-morpholino-benzonitrile
678	3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-[4-

Cmpd No.	IUPAC Name
	(oxetan-3-yl)piperazin-1-yl]benzonitrile
656	3-[[1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-[4-(oxetan-3-yl)piperazin-1-yl]benzonitrile
668	3-[[1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-morpholino-benzonitrile
737	3-[[1-(3-fluorophenyl)-1,2,4-triazol-3-yl]amino]-5-morpholino-benzonitrile
793	3-[[1-(3-fluorophenyl)-1,2,4-triazol-3-yl]amino]-5-[4-(oxetan-3-yl)piperazin-1-yl]benzonitrile
608	3-morpholino-5-[(1-phenyl-1,2,4-triazol-3-yl)amino]benzonitrile
804	N-[3-(difluoromethyl)-5-(3-morpholinoazetidin-1-yl)phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
592	3-[4-(oxetan-3-yl)piperazin-1-yl]-5-[(1-phenyl-1,2,4-triazol-3-yl)amino]benzonitrile
681	5-methyl-N1,N3-bis(1-phenyl-1,2,4-triazol-3-yl)benzene-1,3-diamine
813	N-[3-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenoxy]cyclobutyl]acetamide
752	1-(3,5-difluorophenyl)-N-[3-methyl-5-(3-morpholinocyclobutoxy)phenyl]-1,2,4-triazol-3-amine
663	1-(3,5-difluorophenyl)-N-[3-methyl-5-[6-methyl-4-(oxetan-3-yl)morpholin-2-yl]phenyl]-1,2,4-triazol-3-amine
629	1-(3,5-difluorophenyl)-N-[3-methyl-5-[5-methyl-4-(oxetan-3-yl)morpholin-2-yl]phenyl]-1,2,4-triazol-3-amine
708	1-(3,5-difluorophenyl)-N-[3-methyl-5-[2-methyl-4-(oxetan-3-yl)morpholin-2-yl]phenyl]-1,2,4-triazol-3-amine
750	1-(3,5-difluorophenyl)-N-[3-methyl-5-[(2R)-2-methyl-4-(oxetan-3-yl)morpholin-2-yl]phenyl]-1,2,4-triazol-3-amine
667	1-(3,5-difluorophenyl)-N-[3-methyl-5-[(2S)-2-methyl-4-(oxetan-3-yl)morpholin-2-yl]phenyl]-1,2,4-triazol-3-amine
1058	N-[3-methyl-5-[(1-phenyl-1,2,4-triazol-3-yl)amino]phenyl]cyclopropanecarboxamide
910	1-cyclobutyl-3-[3-methyl-5-[(1-phenyl-1,2,4-triazol-3-yl)amino]phenyl]urea
908	N-[2-fluoro-5-methyl-3-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(2-pyridyl)-1,2,4-triazol-3-amine
1085	N-[2-fluoro-5-methyl-3-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-phenyl-1,2,4-triazol-3-amine
923	[3-acetoxy-2-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-2-fluoro-5-methyl-phenyl]piperazin-1-yl]propyl] acetate
989	2-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-2-

Cmpd No.	IUPAC Name
	fluoro-5-methyl-phenyl]piperazin-1-yl]propane-1,3-diol
999	N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-4-methyl-6-tetrahydropyran-3-yloxy-pyridin-2-amine
980	6-(cyclopropylmethoxy)-N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-4-methyl-pyridin-2-amine
1069	N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-4-methyl-6-(oxetan-3-ylmethoxy)pyridin-2-amine
998	1-(3,4-difluorophenyl)-N-[2-fluoro-5-methyl-3-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
1022	N-[2-fluoro-5-methyl-3-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(3-pyridyl)-1,2,4-triazol-3-amine
1100	cyclopropyl-[2,2,3,3,5,5,6,6-octadeuterio-4-[3-[[1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-(trifluoromethyl)phenyl]piperazin-1-yl]methanone
1101	N-[3-(2,2,3,3,4,4,5,5,6,6-decadeuterio-1-piperidyl)-5-(trifluoromethyl)phenyl]-1-(3-fluorophenyl)-1,2,4-triazol-3-amine
1102	N-[3-(2,2,3,3,4,4,5,5,6,6-decadeuterio-1-piperidyl)-5-(difluoromethyl)phenyl]-1-(3-fluorophenyl)-1,2,4-triazol-3-amine
1103	cyclopropyl-[2,2,3,3,5,5,6,6-octadeuterio-4-[3-[[1-(3-fluorophenyl)-1,2,4-triazol-3-yl]amino]-5-(trifluoromethyl)phenyl]piperazin-1-yl]methanone
1104	cyclopropyl-[2,2,3,3,5,5,6,6-octadeuterio-4-[3-(difluoromethyl)-5-[[1-(3-fluorophenyl)-1,2,4-triazol-3-yl]amino]phenyl]piperazin-1-yl]methanone
1105	N-[3-(2,2,3,3,4,4,5,5,6,6-decadeuterio-1-piperidyl)-5-(trifluoromethyl)phenyl]-1-pyrazin-2-yl-1,2,4-triazol-3-amine
1106	N-[3-(2,2,3,3,4,4,5,5,6,6-decadeuterio-1-piperidyl)-5-(difluoromethyl)phenyl]-1-pyrazin-2-yl-1,2,4-triazol-3-amine
1107	cyclopropyl-[2,2,3,3,5,5,6,6-octadeuterio-4-[3-(difluoromethyl)-5-[(1-pyrazin-2-yl-1,2,4-triazol-3-yl)amino]phenyl]piperazin-1-yl]methanone

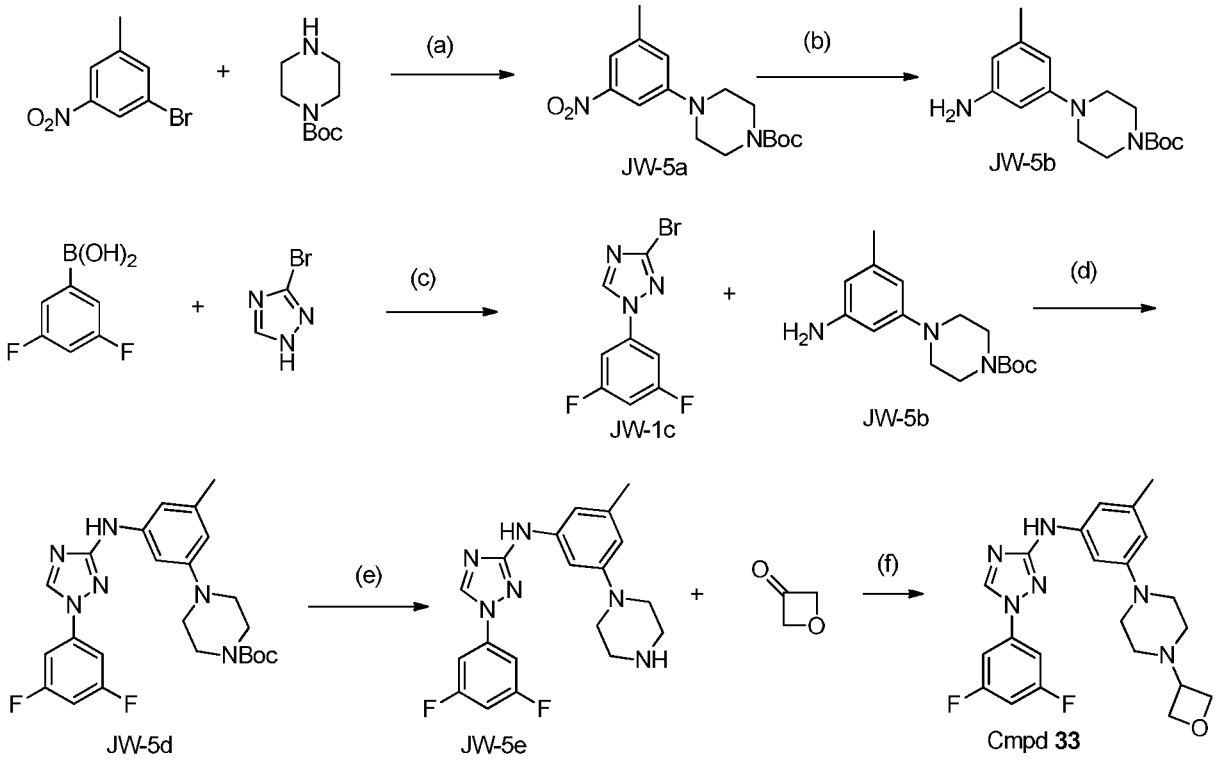
Scheme C: General Route C for Preparation of Compounds of Formula I or I'



Compounds of the invention may be prepared as generally outlined in Scheme C, where R^2, R^3, R^{40}, and R^{100} are as described for Scheme A. The methods of Scheme C may also be applied to other variations of L^1 with G^1 to G^5 that bond to the parent molecular moiety through a nitrogen atom and the G^1 to G^5 group contains an available nitrogen for reductive amination or acylation.

EXAMPLE 10

Preparation of 1-(3,5-difluorophenyl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine (Compound **33**)



(a) t-BuXPhos Palladacycle, t-BuOH, t-BuONa, 60 °C; (b) Pd on Carbon, 10% WT., Degussa,, H_2 ; (c) $Cu(OAc)_2$/Pyridine/DCM/R.T.; (d) t-BuXPhos Palladacycle/t-BuOH/t-BuONa; (e)TFA/DCM/R.T.; (f) $Na(OAc)_3BH$.

Preparation of tert-butyl 4-(3-methyl-5-nitro-phenyl)piperazine-1-carboxylate **(JW-5a)**

[00482] To a 250 ml RBF was added 1-bromo-3-methyl-5-nitro-benzene (7.6 g, 35.2 mmol) and tert-butyl piperazine-1-carboxylate (6.68 g, 35.8 mmol) into 2-methylpropan-2-ol (50mL). To this mixture was added sodium 2-methylpropan-2-olate (8.4 g, 87.4 mmol) and chloro[2-(di-tert-butylphosphino)-2′,4′,6′-triisopropyl-1,1′-biphenyl][2-(2-aminoethyl)phenyl)]palladium(II) (231 mg, 2.171 mmol). The mixture was degassed under nitrogen for 1 minute. The reaction was stirred and heated at 75 °C overnight. The reaction was then diluted with EtOAc (100ml) and 10 ml of water was added slowly. This mixture was washed with water (100ml) and brine (200ml). The organic layer was dried over Na_2SO_4 and concentrated *in vacuo*. The crude material was purified on a short plug of silica gel (50 g) using 1:1 EtOAc: Hexanes to afford 6.1 g (48%) of desired product **JW-5a** as an off-white solid. ^1H NMR (400 MHz, $CDCl_3$) δ 7.55 (d,

J = 2.5 Hz, 2H), 7.02 (s, 1H), 3.68 - 3.55 (m, 4H), 3.31 - 3.14 (m, 4H), 2.42 (s, 3H), 1.51 (s, 9H) ppm. ESI-MS m/z calc. 321.16885, found 322.1 (M+1)+; Retention time: 0.84 minutes.

Preparation of tert-butyl 4-(3-amino-5-methyl-phenyl)piperazine-1-carboxylate (**JW-5b**)

[00483] Catalyst palladium on carbon (10% WT, Degussa,120 mg, 1.1 mmol) was added to a 250 ml RBF under N2 and EtOH (50 mL) was added. *tert*-Butyl 4-(3-methyl-5-nitro-phenyl)piperazine-1-carboxylate **JW-5a** (2.9 g, 9.1 mmol) was added and the reaction was stirred under H2 overnight. The catalyst was filtered and the solvent was removed *in vacuo* to give 2.45 g of desired product **JW-5b**. ^{1}H NMR (400 MHz, CDCl3) δ 6.19 (s, 1H), 6.13 - 6.03 (m, 2H), 3.55 (dd, J = 10.7, 5.6 Hz, 6H), 3.16 - 3.02 (m, 4H), 2.22 (s,3H), 1.48 (s, 9H) ppm. ESI-MS m/z calc. 291.19467, found 292.45 (M+1)+; Retention time: 0.6 minutes.

Preparation of *tert*-butyl 4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperazine-1-carboxylate (**JW-5d**)

[00484] 3-bromo-1-(3,5-difluorophenyl)-1,2,4-triazole **JW-1c** (850 mg, 3.3 mmol), sodium 2-methylpropan-2-olate (630 mg, 6.6 mmol) and chloro[2-(di-tert-butylphosphino)-2′,4′,6′-triisopropyl-1,1′-biphenyl][2-(2-aminoethyl)phenyl)]palladium(II) (52 mg, 0.49 mmol) and tert-butyl 4-(3-amino-5-methyl-phenyl)piperazine-1-carboxylate **JW-5b** (1.2 g, 3.5 mmol) were mixed in 2-methylpropan-2-ol (10ml) and the reaction was degassed under nitrogen for 20 seconds. The reaction was capped in a reaction tube (5 ml) and the reaction heated at 75 degrees overnight. The reaction was cooled to room temperature and to the mixture was added 1 ml of MeOH. The solvent was removed *in vacuo* and the crude was adsorbed onto 5 grams of silica gel and purified on silica gel (12 gram column, Hexanes:EtOAc 30-100%) to afford 732 mg (50%) of desired product **JW-5d**. ^{1}H NMR (300 MHz, Acetone-d6) δ 8.92 (s, 1H), 8.34 (s, 1H), 7.57 (dd, J = 8.7, 2.3 Hz, 2H), 7.33 (s, 1H), 7.09 - 6.88 (m, 2H), 6.43 (s, 1H), 3.63 - 3.49 (m, 4H), 3.25 - 3.07 (m, 4H), 2.29 (s, 3H), 1.47 (s, 9H) ppm. ESI-MS m/z calc. 470.22418, found 471.0 (M+1)+; Retention time: 0.81 minutes.

Preparation of 1-(3,5-difluorophenyl)-N-(3-methyl-5-piperazin-1-yl-phenyl)-1,2,4-triazol-3-amine (**JW-5e**)

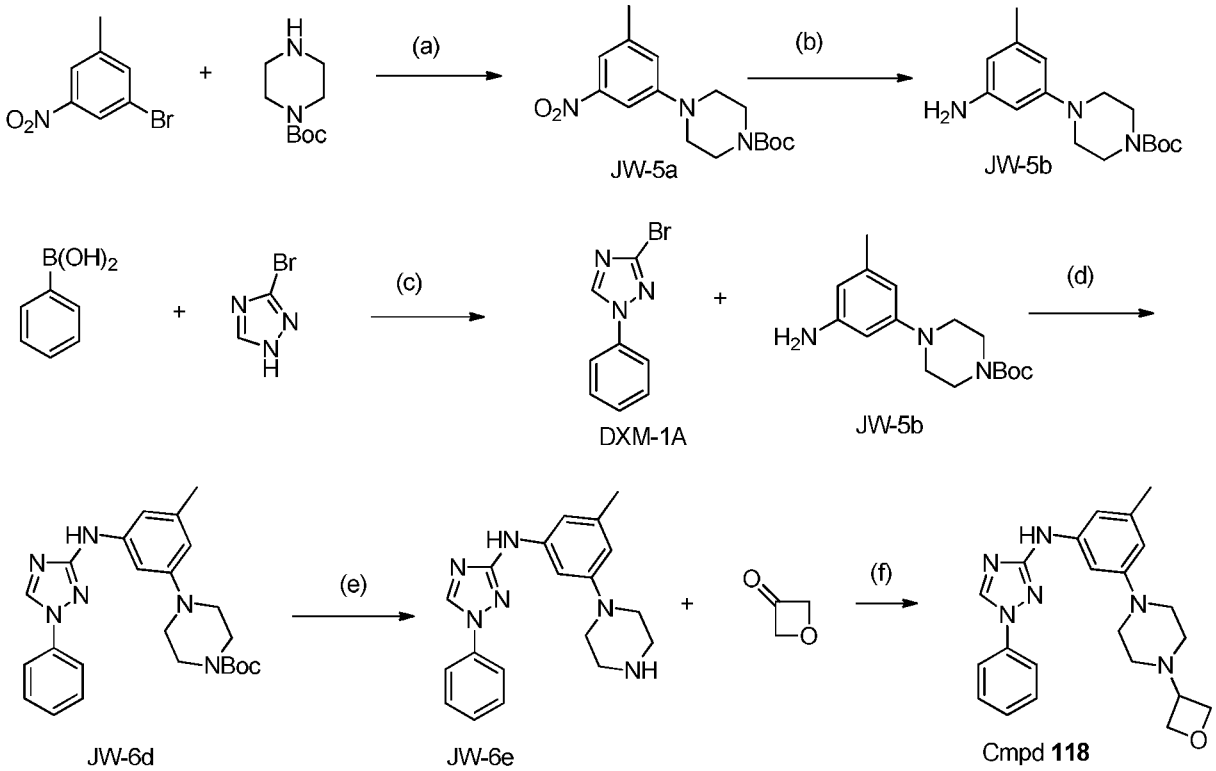
[00485] *tert*-Butyl 4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperazine-1-carboxylate **JW-5d** (600 mg, 1.1 mmol) was dissolved in a mixture of DCM (6 mL) and TFA (3.0 ml). The reaction was stirred at room temperature for 2 hours and the LCMS indicated that the reaction was complete. The solvent was removed and the crude was dissolved in DCM (10mL) and the solution was washed with NaHCO3 (sat. aq., 20 ml) and brine (20ml). The combined aqueous phase was extracted with DCM (5ml x5) and the combined organic layers were dried over Na2SO4 and concentrated *in vacuo* to afford 321 mg of desired product **JW-5e**. ^{1}H NMR (400 MHz, DMSO-d6) δ 9.45 (s, 1H), 9.26 (s, 2H), 9.19 (s, 1H), 7.63 (dd, J = 8.5, 2.1 Hz, 2H), 7.26 (d, J = 2.3 Hz, 1H), 7.19 (s, 1H), 6.97 (s, 1H), 6.40 (s, 1H), 3.46 - 3.31 (m, 4H), 3.24 (s, 4H), 2.25 (s, 3H) ppm. ESI-MS m/z calc. 370.17175, found 371.0 (M+1)+; Retention time: 0.64 minutes.

Preparation of 1-(3,5-difluorophenyl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine (Compound **33**)

[00486] 1-(3,5-Difluorophenyl)-N-(3-methyl-5-piperazin-1-yl-phenyl)-1,2,4-triazol-3-amine (52 mg, 0.14 mmol) was dissolved in DCE (2 mL). Oxetan-3-one (25 mg, 0.35mmol) and $Na(OAc)_3BH$ (123 mg, 0.58 mmol) were added to the reaction. The reaction was stirred at room temperature overnight. 1N NaOH (aq., 1mL) was added and the organic layer was separated and the aqueous layer was extracted with DCM (2ml). The combined organic layer was dried over Na2SO4 and concentrated *in vacuo*. The crude material was purified on silica gel using DCM:MeOH (0-4%) to afford desired product cmpd **33**. ^{1}H NMR (300 MHz, CDCl3) δ 8.32 (s, 1H), 7.28 - 7.21 (m, 2H), 7.12 (t, J = 1.9 Hz, 1H), 6.88 - 6.68 (m, 3H), 6.46 (d, J = 14.4 Hz, 1H), 4.81 - 4.70 (m, 4H), 3.67 - 3.48 (m, 1H), 3.33 (dd, J = 13.0, 8.2 Hz, 4H), 2.61 - 2.51 (m, 4H), 2.35 (s, 3H) ppm. ESI-MS m/z calc. 426.19797, found 427.36 (M+1)+; Retention time: 0.65 minutes.

EXAMPLE 11

Preparation of N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-phenyl-1,2,4-triazol-3-amine (Compound **118**)



(a) t-BuXPhos Palladacycle, t-BuOH, t-BuONa, 60 °C; (b) Pd/C, H2; (c) Cu(OAc)2/Pyridine/DCM/R.T.; (d) t-BuXPhos Palladacycle/t-BuOH/t-BuONa; (e)TFA/DCM/R.T.; (f)Na(OAc)$_3$BH.

Preparation of *tert*-butyl 4-[3-methyl-5-[(1-phenyl-1,2,4-triazol-3-yl)amino]phenyl]piperazine-1-carboxylate (**JW-6d**)

[00487] *tert*-Butyl 4-(3-amino-5-methyl-phenyl)piperazine-1-carboxylate **JW-5b** (1.9 g, 6.5 mmol), 3-bromo-1-phenyl-1,2,4-triazole (**DXM-1A**) (1.0 g, 4.49 mmol) were added to t-BuOH (15.0 mL). t-BuXPhos Palladacycle (82 mg, 0.12 mmol) and sodium t-butoxide (631 mg, 6.6 mmol) were added to the reaction mixture. The reaction was degassed with nitrogen for 1 min and heated at 60 °C overnight. The reaction was diluted with EtOAc (100 mL) and washed with water (100 mL) and brine (100 mL). The solvent was removed under reduced pressure and purified on silica gel (40 g column, 10-90%Hex: EtOAc) to afford 1.21g (55%) of desired product **JW-6d**. ^{1}H NMR (400 MHz, DMSO-d6) δ 9.22 (s, 1H), 9.05 (s, 1H), 7.83 (dd, J = 8.6, 1.0 Hz, 2H), 7.62 - 7.45 (m, 2H), 7.35 (t, J = 7.4 Hz, 1H), 7.16 (s, 1H), 6.93 (s, 1H), 6.31 (s, 1H),

3.60 - 3.37 (m, 4H), 3.20 - 2.93 (m, 4H), 2.23 (s, 3H), 1.43 (s, 9H) ppm. ESI-MS m/z calc. 434.243, found 435.0 (M+1)+; Retention time: 0.78 minutes.

Preparation of N-(3-methyl-5-piperazin-1-yl-phenyl)-1-phenyl-1,2,4-triazol-3-amine(**JW-6e**)

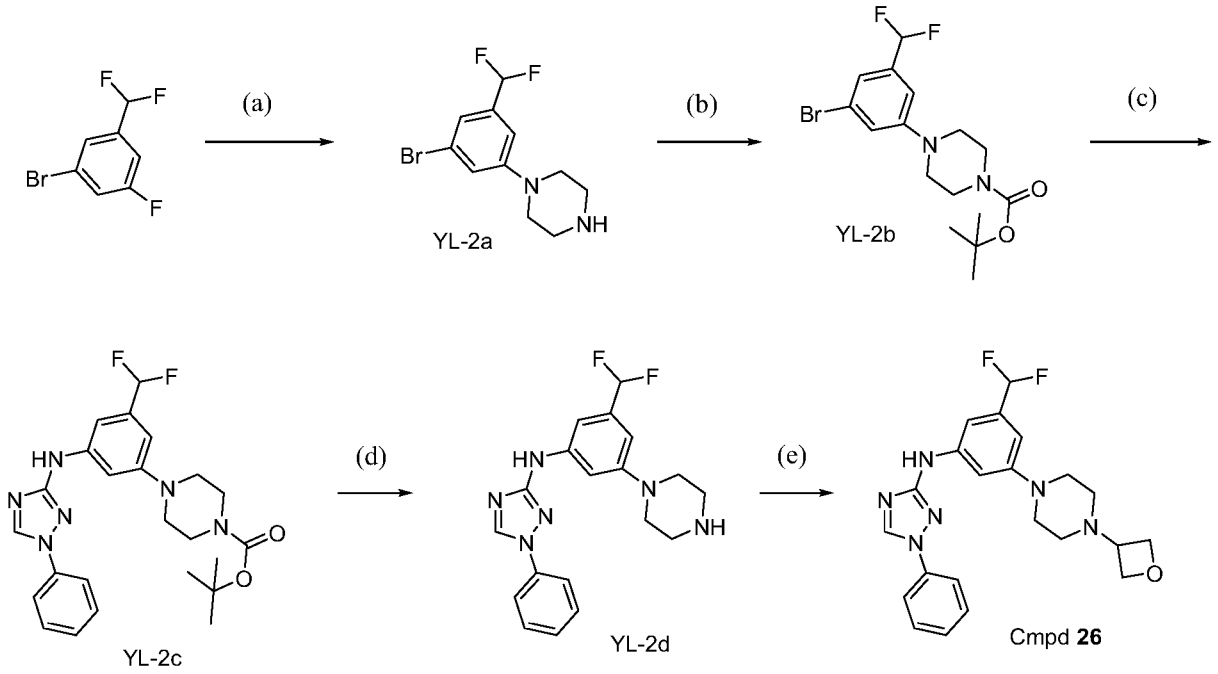
[00488] *tert*-Butyl 4-[3-methyl-5-[(1-phenyl-1,2,4-triazol-3-yl)amino]phenyl]piperazine-1-carboxylate **JW-6d** (1.88 g, 4.3 mmol) was dissolved in DCM (20 mL) and HCl (12 mL of a 2M soln in diethyl ether, 24.0 mmol) was added into the reaction. The reaction was stirred at 50 degrees overnight and a solid was generated. The solid was collected by filtration and washed with diethyl ether (20 mL) and dried *in vacuo* to afford 1.582 g (92%) of desired product **JW-6e**. ^{1}H NMR (400 MHz, DMSO-d6) δ 9.31 (s, 1H), 9.08 (s, 1H), 7.84 (d, J = 7.6 Hz, 1H), 7.55 (t, J = 8.0 Hz, 1H), 7.35 (t, J = 7.4 Hz, 1H), 7.23 (s, 1H), 6.98 (s, 1H), 6.39 (s, 1H), 3.48 - 3.30 (m, 4H), 3.25 (s, 4H), 2.25 (s, 3H) ppm. ESI-MS m/z calc. 334.19058, found 335.47 (M+1)+; Retention time: 0.64 minutes.

Preparation of N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-phenyl-1,2,4-triazol-3-amine (Compound **118**)

[00489] N-(3-Methyl-5-piperazin-1-yl-phenyl)-1-phenyl-1,2,4-triazol-3-amine **JW-6e** (1.42 g, 4.2 mmol) and oxetan-3-one (612 mg, 8.5 mmol) were stirred in DCE (25 mL) at room temperature for 15 mins and $Na(OAc)_3BH$ (2.7 g, 12.7 mmol) was added into the reaction. The reaction was stirred at room temperature for 5 hours and the LCMS indicated that the reaction was completed. 1N NaOH aq. solution (10 ml) was added into the reaction and the reaction was washed with water and extracted with DCM (100ml x3). The organic layers were combined and dried over Na2SO4 and purified on CombiFlash (40 g column, 10-90 % EtOAc: Hexane) to afford 1.02 g (61%) of desired product, cmpd **118**. ^{1}H NMR (300 MHz, DMSO-d6) δ 9.18 (s, 1H), 9.05 (s, 1H), 7.89 - 7.75 (m, 2H), 7.55 (t, J = 8.0 Hz, 2H), 7.34 (t, J = 7.4 Hz, 1H), 7.16 (s, 1H), 6.88 (s, 1H), 6.29 (s, 1H), 4.57 (t, J = 6.5 Hz, 2H), 4.49 (t, J = 6.0 Hz, 2H), 3.56 - 3.38 (m, 1H), 3.22 - 3.09 (m, 3H), 2.47 - 2.37 (m, 4H), 2.22 (s, 3H) ppm. ESI-MS m/z calc. 390.2168, found 391.5 (M+1)+; Retention time: 0.62 minutes.

EXAMPLE 12

Preparation of N-[3-(difluoromethyl)-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-phenyl-1,2,4-triazol-3-amine (Compound **26**)



Reagents and conditions: (a) 1-cyclopropylpiperazine, 200°C; (b) $(tBuO)_2CO$, DCM; (c) 1-phenyl-1,2,4-triazol-3-amine, t-BuXPhos Palladacycle, NaOtBu, dioxane, 120°C; (d) TFA, DCM; (e) oxetan-3-one, $NaBH(OAc)_3$, HOAc, DCM.

Preparation of 1-bromo[3-(difluoromethyl)phenyl]piperazine (**YL-2a**)

[00490] A mixture of 1-bromo-3-(difluoromethyl)-5-fluoro-benzene (1g, 4.44 mmol) and 1-cyclopropylpiperazine (2.80 g, 22.22 mmol) was microwaved at 200 °C for 1 h. Pumped down solvent and purified the crude mixture by ISCO purification (40g silica; 0 % to 5% to 30% of MeOH in DCM) to provide 1-[3-bromo-5-(difluoromethyl)phenyl]piperazine (920 mg, 89%). ^1H NMR (300 MHz, CD_3OD) δ 7.20 (s, 1H), 7.09 (s, 1H), 7.05 (d, J = 1.0 Hz, 1H), 6.66 (t, J = 56.1 Hz, 1H), 3.20 (dd, J = 6.2, 4.0 Hz, 4H), 2.98 (dd, J = 6.2, 4.1 Hz, 4H) ppm. ESI-MS m/z calc. 290.02, found 291.19 (M+1)+; Retention time: 0.63 minutes.

Preparation of *t*-Butyl 4-[3-bromo-5-(difluoromethyl)phenyl]piperazine-1-carboxylate (**YL-2b**)

[00491] A mixture of 1-[3-bromo-5-(difluoromethyl)phenyl]piperazine (920 mg, 3.16 mmol) and $(tBuO)_2CO$ (1.03 g, 4.74 mmol) in dichloromethane (15 mL) was stirred at RT for 30 min . The reaction was quenched with MeOH (300 uL), diluted with DCM (15 mL) and washed with water (2X3 mL). The organic layer was filtered through florisil (5g) and pumped down to dryness. The crude material was purified by ISCO purification (12g silica; 10 % to 100% of EtOAc in hex) to give t-butyl 4-[3-bromo-5-(difluoromethyl)phenyl]piperazine-1-carboxylate **YL-2b** (830 mg, 67%). ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.11 (d, J = 0.8 Hz, 2H), 6.94 (s, 1H), 6.55 (t, J = 56.3 Hz, 1H), 3.70 - 3.50 (m, 4H), 3.28 - 3.12 (m, 4H), 1.50 (s, 9H) ppm. ESI-MS m/z calc. 390.08, found 391.18 (M+1)+; Retention time: 1.01 minutes.

Preparation of t-butyl 4-[3-(difluoromethyl)-5-[(1-phenyl-1,2,4-triazol-3-yl)amino]phenyl]piperazine-1-carboxylate (**YL-2c**)

[00492] *t*-Butyl 4-[3-bromo-5-(difluoromethyl)phenyl]piperazine-1-carboxylate **YL-2b** (160 mg, 0.41 mmol), 1-phenyl-1,2,4-triazol-3-amine **RG-1b** (79 mg, 0.49 mmol) and sodium t-butoxide (79 mg, 0.82 mmol) were suspended in dioxane (6 mL) and purged with N_2 for several minutes before addition of t-BuXPhos Palladacycle (13 mg, 0.02 mmol). The vial was capped and microwaved at 120°C for 35 minutes. The reaction was quenched with MeOH (0.5 mL), 1N HCl (800 uL) and diluted with DCM. After filtration through Florisil (5 g), the excess solvent was pumped down *in vacuo*. ISCO purification (12g silica; 0 % to 10% of MeOH in DCM) gave t-butyl 4-[3-(difluoromethyl)-5-[(1-phenyl-1,2,4-triazol-3-yl)amino]phenyl]piperazine-1-carboxylate **YL-2c** (100 mg, 52%). ^{1}H NMR (300 MHz, $CDCl_3$) δ 8.37 (s, 1H), 7.72 - 7.66 (m, 2H), 7.53 (ddd, J = 8.3, 5.3, 1.8 Hz, 2H), 7.43 - 7.35 (m, 2H), 7.30 (s, 1H), 7.15 (s, 1H), 6.80 - 6.41 (m, 2H), 3.68 - 3.56 (m, 4H), 3.30 - 3.19 (m, 4H), 1.52 (s, 9H) ppm. ESI-MS m/z calc. 470.22, found 471.28 (M+1)+; Retention time: 0.93 minutes.

Preparation of N-[3-(difluoromethyl)-5-piperazin-1-yl-phenyl]-1-phenyl-1,2,4-triazol-3-amine (**YL-2d**)

[00493] A mixture of *tert*-butyl 4-[3-(difluoromethyl)-5-[(1-phenyl-1,2,4-triazol-3-yl)amino]phenyl]piperazine-1-carboxylate **YL-2c** (100 mg, 0.21 mmol) and TFA (818 µL, 10.6 mmol) in DCM was stirred at RT for 1h. LCMS showed the desired product. Pumped down the solvent to dryness. The crude product was dissolved in DCM and filtered through PL-HCO_3 MP

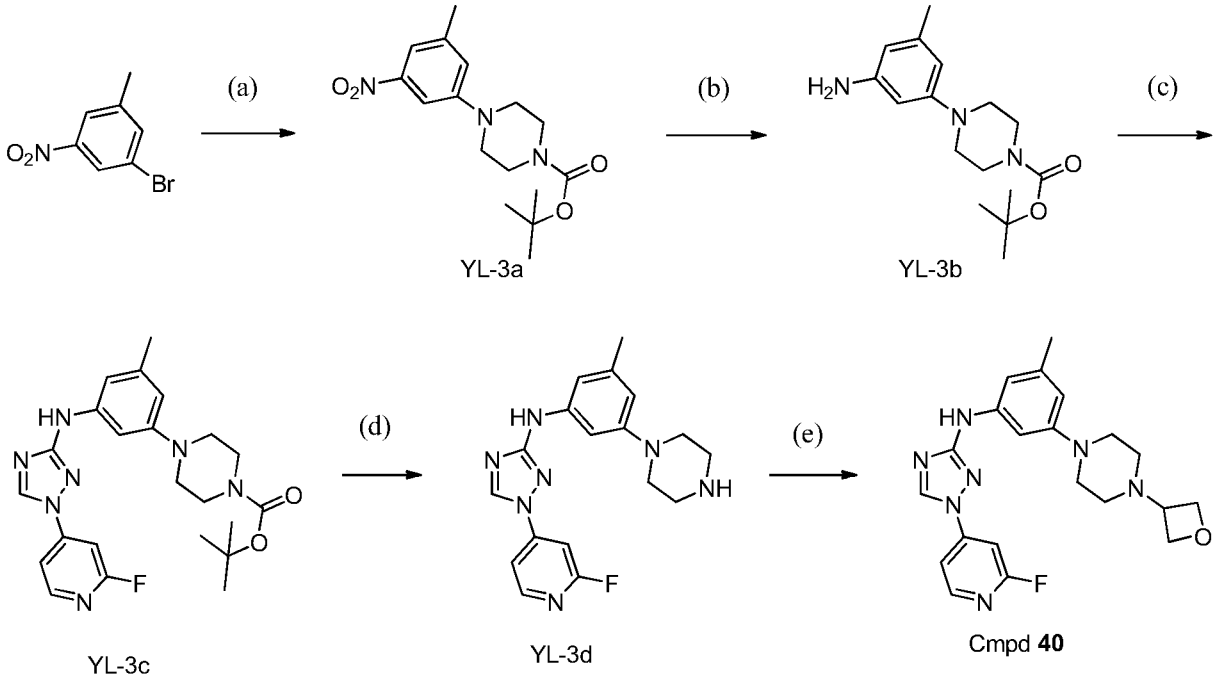
SPE (500 mg). The filtrate was evaporated *in vacuo* to give N-[3-(difluoromethyl)-5-piperazin-1-yl-phenyl]-1-phenyl-1,2,4-triazol-3-amine **YL-2d** (64 mg, 77%). ^{1}H NMR (300 MHz, CD_3OD) δ 8.80 (s, 1H), 7.85 - 7.75 (m, 2H), 7.51 (dd, J = 16.5, 8.1 Hz, 3H), 7.36 (dd, J = 13.5, 6.0 Hz, 2H), 6.68 (dd, J = 64.3, 48.6 Hz, 2H), 3.39 (dd, J = 6.5, 3.7 Hz, 4H), 3.26 (dd, J = 6.5, 3.6 Hz, 4H) ppm. ESI-MS m/z calc. 370.17, found 371.29 (M+1)+; Retention time: 0.64 minutes.

Preparation of N-[3-(difluoromethyl)-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-phenyl-1,2,4-triazol-3-amine (Compound **26**)

[00494] To a solution of N-[3-(difluoromethyl)-5-piperazin-1-yl-phenyl]-1-phenyl-1,2,4-triazol-3-amine **YL-2d** (120 mg, 0.32 mmol), oxetan-3-one (234 mg, 3.24 mmol) and acetic acid (117 mg, 1.94 mmol) in dichloromethane (6.0 mL) was added $NaBH(OAc)_3$ (412 mg, 1.94 mmol) and the mixture was stirred for 18h. The reaction was diluted with DCM and slowly quenched with MeOH and saturated $NaHCO_3$. After separation, the organic layer was washed with water, saturated NaCl and dried then evaporated in vacuo. The crude material was subjected to ISCO purification (12g silica; 0 % to 5 % to 10% of MeOH in DCM) to give N-[3-(difluoromethyl)-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-phenyl-1,2,4-triazol-3-amine, cmpd **26** (107 mg, 74%). ^{1}H NMR (300 MHz, $CDCl_3$) δ 8.35 (s, 1H), 7.73 - 7.65 (m, 2H), 7.53 (ddd, J = 8.3, 5.3, 1.8 Hz, 2H), 7.38 (ddd, J = 8.7, 4.6, 1.2 Hz, 2H), 7.17 (s, 1H), 6.86 (s, 1H), 6.63 (dd, J = 67.7, 45.7 Hz, 2H), 4.72 (p, J = 6.4 Hz, 4H), 3.59 (p, J = 6.4 Hz, 1H), 3.41 - 3.24 (m, 4H), 2.61 - 2.48 (m, 4H) ppm. ESI-MS m/z calc. 426.20, found 427.41 (M+1)+; Retention time: 0.65 minutes.

EXAMPLE 13

Preparation of 1-(2-fluoro-4-pyridyl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine (Compound **40**)



Reagents and conditions: (a) t-butyl piperazine-1-carboxylate, t-BuXPhos Palladacycle, NaOtBu, tBuOH, 40°C; (b) H_2, Pd/C, EtOAc; (c) 4-(3-bromo-1,2,4-triazol-1-yl)-2-fluoro-pyridine, t-BuXPhos Palladacycle, NaOtBu, dioxane, 120°C; (d) TFA, DCM; (e) oxetan-3-one, $NaBH(OAc)_3$, HOAc, DCM.

Preparation of t-butyl 4-(3-methyl-5-nitro-phenyl)piperazine-1-carboxylate (**YL-3a**)

[00495] A mixture of 1-bromo-3-methyl-5-nitro-benzene (50 g, 231 mmol), sodium t-butoxide (33.36 g, 347 mmol) and tert-butyl piperazine-1-carboxylate (47.40 g, 255 mmol) in dry t-BuOH (500 mL) was purged with N_2 for 40min. After the purge, t-BuXPhos Palladacycle (7.54 g, 11.57 mmol) was added and the mixture was heated at 40 °C for 60 min. The reaction was then quenched with MeOH and solvents removed under reduced pressure. The residue was partitioned between EtOAc and water, the organic phase washed with more water, then brine, dried (Na_2SO_4) and removed solvent under reduced pressure. The crude product was purified on SiO2 column (330g) eluting with a DCM/EtOAc (0-50%) gradient to afford t-butyl 4-(3-methyl-5-nitro-phenyl)piperazine-1-carboxylate **YL-3a** (40 g, 54%). ^{1}H NMR (400 MHz, DMSO-d6) δ 7.49 (s, 1H), 7.45 (s, 1H), 7.25 (s, 1H), 3.54 - 3.37 (m, 4H), 3.28 - 3.17 (m, 4H), 2.37 (s, 3H), 1.42 (s, 9H) ppm.

Preparation of t-butyl 4-(3-amino-5-methyl-phenyl)piperazine-1-carboxylate (**YL-3b**)

[00496] To 10% Pd on C, wet, Degussa (139 mg, 0.13 mmol) under N_2 was added a solution of t-butyl 4-(3-methyl-5-nitro-phenyl)piperazine-1-carboxylate **YL-3a** (1.4 g, 4.36 mmol) in EtOAc (20 mL) and MeOH (5 mL) and the mixture was shaken under H_2 (50 psi) for 2 hr. The reaction mixture was filtered through Celite and the filtrate pumped down to give *t*-butyl 4-(3-amino-5-methyl-phenyl)piperazine-1-carboxylate **YL-3b** (1.25 g, 99%). ^{1}H NMR (300 MHz, $CDCl_3$) δ 6.21 (s, 1H), 6.13 - 6.07 (m, 2H), 3.62 - 3.50 (m, 4H), 3.18 - 3.03 (m, 4H), 2.24 (s, 3H), 1.50 (s, 9H) ppm. ESI-MS *m/z* calc. 291.19, found 292.12 $(M+1)^+$; Retention time: 0.64 minutes.

Preparation of t-butyl 4-[3-[[1-(2-fluoro-4-pyridyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperazine-1-carboxylate (**YL-3c**)

[00497] *t*-Butyl 4-(3-amino-5-methyl-phenyl)piperazine-1-carboxylate **YL-3b** (180 mg, 0.62 mmol) , 4-(3-bromo-1,2,4-triazol-1-yl)-2-fluoro-pyridine (180 mg, 0.74 mmol) and sodium t-butoxide (119 mg, 1.24 mmol) were suspended in dioxane (4.8 mL) and purged with N_2 for several minutes before addition of the t-BuXPhos Palladacycle (20 mg, 0.03 mmol). The vial was capped and microwaved at 120°C for 35 minutes. LC/MS showed desired product so the reaction was quenched with MeOH (0.5 mL), 1N HCl (800 uL) and diluted with DCM. After filtration through Florisil (5 g), the excess solvent was removed under reduced pressure then the crude product subjected to ISCO purification (12g silica; 10 % to 50 % to 100% of EtOAc in hex) to give t-butyl 4-[3-[[1-(2-fluoro-4-pyridyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperazine-1-carboxylate **YL-3c** (73 mg, 26%). ^{1}H NMR (300 MHz, CD_3OD+$CDCl_3$) δ 8.84 (s, 1H), 8.24 (d, J = 5.7 Hz, 1H), 7.63 (d, J = 5.7 Hz, 1H), 7.41 (s, 1H), 7.13 (s, 1H), 6.91 (s, 1H), 6.41 (s, 1H), 3.67 - 3.49 (m, 4H), 3.26 - 3.05 (m, 4H), 2.31 (s, 3H), 1.46 (s, 9H) ppm. ESI-MS *m/z* calc. 453.23, found 454.21 $(M+1)^+$; Retention time: 0.81 minutes.

Preparation of 1-(2-fluoro-4-pyridyl)-N-(3-methyl-5-piperazin-1-yl-phenyl)-1,2,4-triazol-3-amine (**YL-3d**)

[00498] To a solution of t-butyl 4-[3-[[1-(2-fluoro-4-pyridyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperazine-1-carboxylate **YL-3c** (73 mg, 0.16 mmol) in DCM (3 mL) was added TFA (620 µL, 8.05 mmol) and the reaction mixture stirred for 2hr. Pumped down solvent to 1-

(2-fluoro-4-pyridyl)-N-(3-methyl-5-piperazin-1-yl-phenyl)-1,2,4-triazol-3-amine **YL-3d** (50 mg, 88%). ^{1}H NMR (300 MHz, $CDCl_3$) δ 8.45 (s, 1H), 8.30 (d, J = 5.2 Hz, 1H), 7.45 (d, J = 4.6 Hz, 1H), 7.06 (s, 1H), 6.79 (s, 1H), 6.69 (s, 1H), 6.44 (s, 1H), 3.19 (s, 4H), 3.06 (s, 4H), 2.34 (s, 3H) ppm. ESI- MS *m/z* calc. 353.18, found 354.41 $(M+1)^+$; Retention time: 0.62 minutes.

Preparation of 1-(2-fluoro-4-pyridyl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine (Compound **40**)

[00499] To a solution of 1-(2-fluoro-4-pyridyl)-N-(3-methyl-5-piperazin-1-yl-phenyl)-1,2,4-triazol-3-amine **YL-3d** (50 mg, 0.14 mmol), oxetan-3-one (102 mg, 1.42 mmol) and acetic acid (48 µL, 0.85 mmol) in dichloromethane (2.5 mL) was added $NaBH(OAc)_3$ (180 mg, 0.85 mmol) carefully and the mixture was stirred for 2h. LCMS showed desired product so the reaction was diluted with DCM and slowly quenched with MeOH and sat. $NaHCO_3$ (3mL). After separation, the organic layer was washed with water, sat NaCl and dried. The organics were concentrated to dryness and the crude material was subjected to ISCO purification (12g silica; 0 % to 5 % to 10% of MeOH in DCM) to give 1-(2-fluoro-4-pyridyl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine, cmpd **40** (50 mg, 82 %). ^{1}H NMR (300 MHz, $CDCl_3$) δ 8.46 (d, J = 6.7 Hz, 1H), 8.32 (d, J = 5.6 Hz, 1H), 7.52 - 7.44 (m, 1H), 7.27 (d, J = 1.5 Hz, 1H), 7.08 (s, 1H), 6.82 (s, 1H), 6.71 (s, 1H), 6.46 (s, 1H), 4.80 - 4.65 (m, 4H), 3.68 - 3.54 (m, 1H), 3.38 - 3.25 (m, 4H), 2.63 - 2.50 (m, 4H), 2.37 (s, 3H) ppm. ESI-MS m/z calc. 409.20, found 410.28 (M+1)+; Retention time: 0.59 minutes.

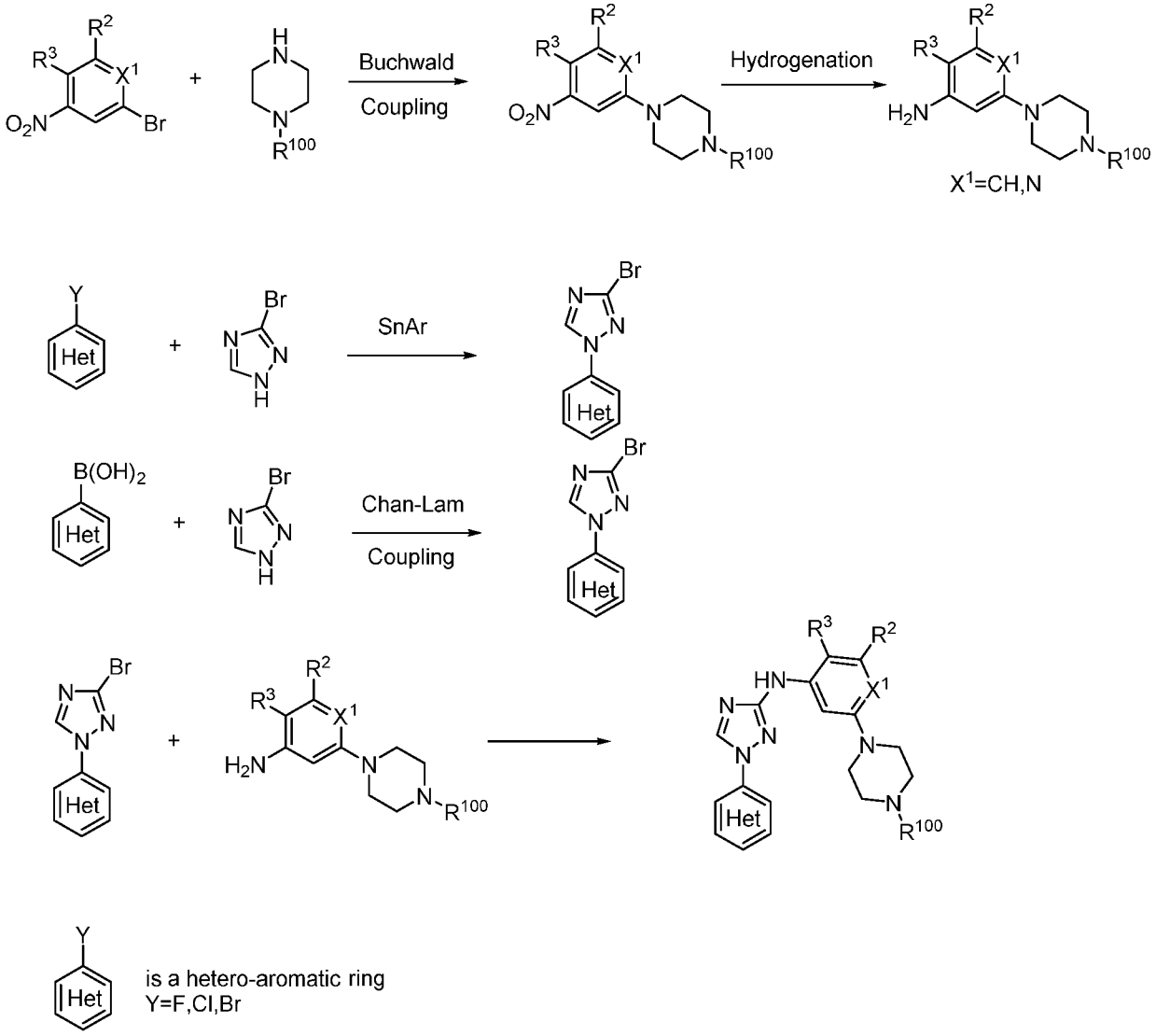
[00500] Using the general synthetic scheme outlined in Scheme C and the experimental procedures listed above in Examples 10-13, the following compounds were prepared:

Cmpd No.	IUPAC Name
458	cyclopropyl-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperazin-1-yl]methanone
196	ethyl 4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperazine-1-carboxylate
350	2,2,2-trifluoroethyl 4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperazine-1-carboxylate
137	1-(3,5-difluorophenyl)-N-[3-methyl-5-(4-tetrahydrofuran-3-ylpiperazin-1-yl)phenyl]-1,2,4-triazol-3-amine
199	1-(3,5-difluorophenyl)-N-[3-methyl-5-(4-tetrahydropyran-3-ylpiperazin-1-yl)phenyl]-1,2,4-triazol-3-amine
243	1-(3,5-difluorophenyl)-N-[3-methyl-5-(4-tetrahydropyran-4-

Cmpd No.	IUPAC Name
	ylpiperazin-1-yl)phenyl]-1,2,4-triazol-3-amine
352	1-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperazin-1-yl]-2,2,2-trifluoro-ethanone
290	N-[3-(4-cyclobutylpiperazin-1-yl)-5-methyl-phenyl]-1-phenyl-1,2,4-triazol-3-amine
434	N-[3-methyl-5-(4-tetrahydrofuran-3-ylpiperazin-1-yl)phenyl]-1-phenyl-1,2,4-triazol-3-amine
127	N-[3-methyl-5-[4-(2-methyltetrahydrofuran-3-yl)piperazin-1-yl]phenyl]-1-phenyl-1,2,4-triazol-3-amine
172	N-[3-methyl-5-(4-tetrahydropyran-3-ylpiperazin-1-yl)phenyl]-1-phenyl-1,2,4-triazol-3-amine
87	N-[3-(4-cyclopentylpiperazin-1-yl)-5-methyl-phenyl]-1-phenyl-1,2,4-triazol-3-amine
370	1-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperazin-1-yl]ethanone
422	methyl 4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperazine-1-carboxylate
233	1-[2-(methoxymethyl)phenyl]-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
414	N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(o-tolyl)-1,2,4-triazol-3-amine
95	1-(3,5-difluorophenyl)-N-[3-methyl-5-[4-(thietan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
228	1-(3,5-difluorophenyl)-N-[3-[4-(1,1-dioxothietan-3-yl)piperazin-1-yl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
159	N-(3-methyl-5-piperazin-1-yl-phenyl)-1-phenyl-1,2,4-triazol-3-amine
77	1-(3,5-difluorophenyl)-N-(3-methyl-5-piperazin-1-yl-phenyl)-1,2,4-triazol-3-amine
259	N-[3-methyl-5-(3-methylpiperazin-1-yl)phenyl]-1-phenyl-1,2,4-triazol-3-amine
362	1-(3,5-difluorophenyl)-N-[3-methyl-5-(3-methylpiperazin-1-yl)phenyl]-1,2,4-triazol-3-amine
21	N-[3-(2,5-dimethylpiperazin-1-yl)-5-methyl-phenyl]-1-phenyl-1,2,4-triazol-3-amine
133	N-[3-(3,4-dimethylpiperazin-1-yl)-5-methyl-phenyl]-1-phenyl-1,2,4-triazol-3-amine
119	1-(3,5-difluorophenyl)-N-[3-(3,4-dimethylpiperazin-1-yl)-5-methyl-phenyl]-1,2,4-triazol-3-amine
419	N-[3-methyl-5-(2,4,5-trimethylpiperazin-1-yl)phenyl]-1-phenyl-1,2,4-triazol-3-amine
292	N-[3-[(1S,4S)-2-cyclopropyl-2,5-diazabicyclo[2.2.1]heptan-5-yl]-5-methyl-phenyl]-1-phenyl-1,2,4-triazol-3-amine

Cmpd No.	IUPAC Name
78	N-[3-[(1S,4S)-2-cyclopropyl-2,5-diazabicyclo[2.2.1]heptan-5-yl]-5-methyl-phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
219	N-[3-methyl-5-[(1S,4S)-2-(oxetan-3-yl)-2,5-diazabicyclo[2.2.1]heptan-5-yl]phenyl]-1-phenyl-1,2,4-triazol-3-amine
34	1-(3,5-difluorophenyl)-N-[3-methyl-5-[(1S,4S)-2-(oxetan-3-yl)-2,5-diazabicyclo[2.2.1]heptan-5-yl]phenyl]-1,2,4-triazol-3-amine
459	1-(3,5-difluorophenyl)-N-[3-methyl-5-[(1R,4R)-5-methyl-2,5-diazabicyclo[2.2.1]heptan-2-yl]phenyl]-1,2,4-triazol-3-amine
185	1-(3,5-difluorophenyl)-N-[3-methyl-5-[(1R,4R)-2-(oxetan-3-yl)-2,5-diazabicyclo[2.2.1]heptan-5-yl]phenyl]-1,2,4-triazol-3-amine
93	1-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperazin-2-one
67	1-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-4-(oxetan-3-yl)piperazin-2-one
392	N-[3-(difluoromethyl)-5-morpholino-phenyl]-1-phenyl-1,2,4-triazol-3-amine
85	N-[3-(difluoromethyl)-5-morpholino-phenyl]-1-(2-fluoro-4-pyridyl)-1,2,4-triazol-3-amine
125	2-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperazin-1-yl]acetic acid
438	4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperidin-3-ol
282	(3R,4R)-4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-1-(oxetan-3-yl)piperidin-3-ol; (3S,4S)-4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-1-(oxetan-3-yl)piperidin-3-ol
72	ethyl 2-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperazin-1-yl]acetate
509	2-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperazin-1-yl]-2-methyl-propanoic acid
530	1-(3,5-difluorophenyl)-N-[3-methyl-5-[4-[(3S)-tetrahydrofuran-3-yl]piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
531	1-(3,5-difluorophenyl)-N-[3-methyl-5-[4-[(3R)-tetrahydrofuran-3-yl]piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
898	N-[3-[4-(3-deuteriooxetan-3-yl)piperazin-1-yl]-5-methyl-phenyl]-1-phenyl-1,2,4-triazol-3-amine
997	1-(3,5-difluorophenyl)-N-[3-methyl-5-[2,2,3,3,5,5,6,6-octadeuterio-4-(3-deuteriooxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine

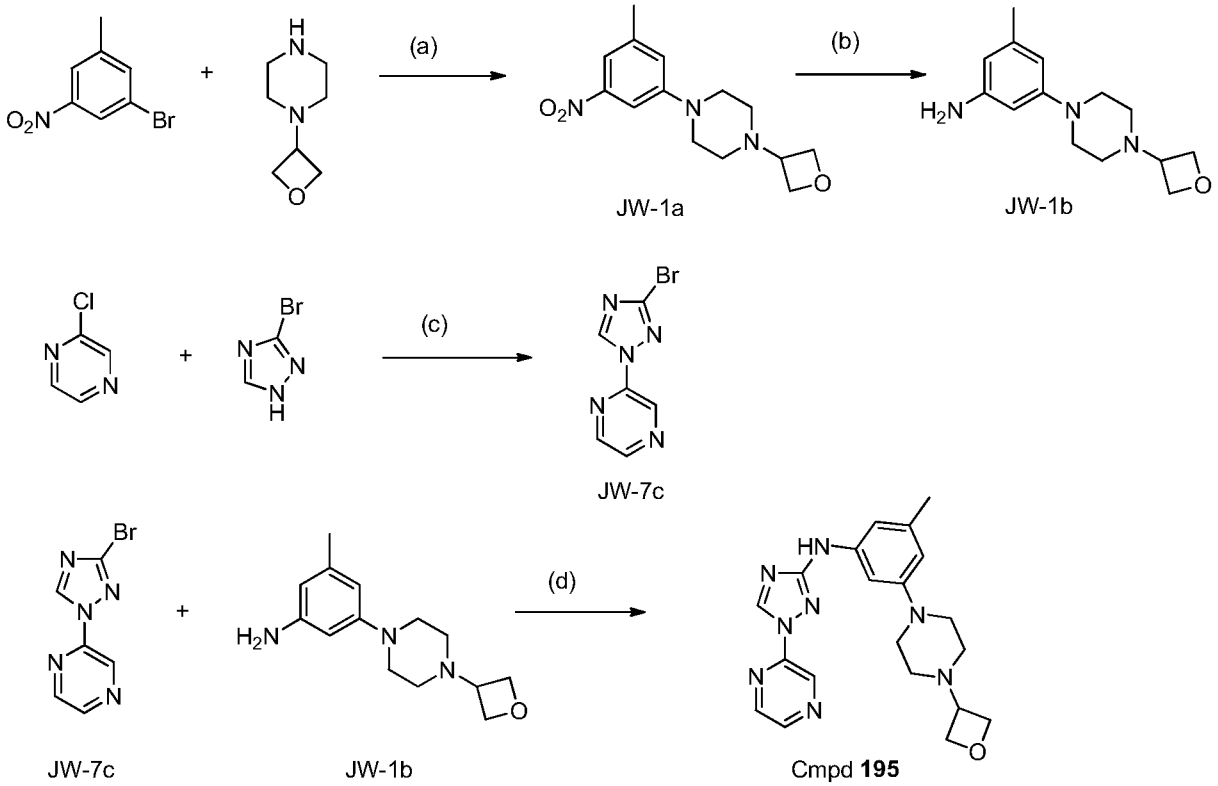
Scheme D: General Route D for Preparation of Compounds of Formula I or I'



Compounds of the invention may be prepared as generally outlined in Scheme D, where R^2, R^3, R^{100}, and X^1 are as described for Scheme A. The methods of Scheme D may also be applied to other variations of L^1 with G^1 to G^5 that bond to the parent molecular moiety through a nitrogen atom.

EXAMPLE 14

Preparation of (N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-pyrazin-2-yl-1,2,4-triazol-3-amine) (Compound **195**)



(a) t-BuXPhos Palladacycle, t-BuOH/t-BuONa, 60 °C; (b) Pd/C, H_2; (c) K_2CO_3/NMP; (d) t-BuXPhos Palladacycle/t-BuOH/t-BuONa

Preparation of 2-(3-bromo-1,2,4-triazol-1-yl)pyrazine (**JW-7c**)

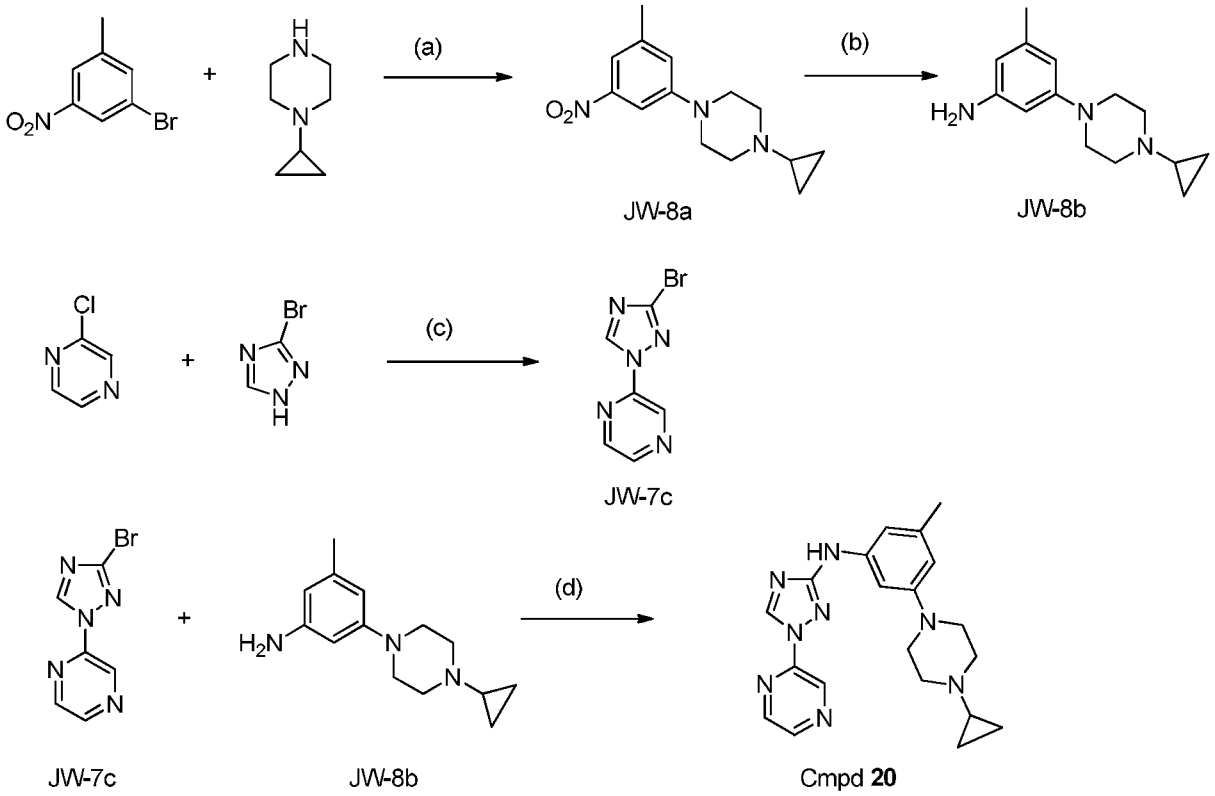
[00501] K_2CO_3 (19.1 g, 138.4 mmol), 3-bromo-4H-1,2,4-triazole (17.06 g, 115.3 mmol) and 2-chloropyrazine (13.2 g, 115.3 mmol) were mixed in NMP (100 mL) and the reaction was heated at 120 °C for 6hrs. LCMS showed that the reaction was almost completed. The solid was filtered off when the reaction was still hot and the filtrate was left standing overnight. A solid precipitated out during this course and the solid was filtered and washed with cold diethyl ether to afford 13.4 g (46%) of desired product **JW-7c**. ^{1}H NMR (300 MHz, DMSO-d6) δ 9.47 (s, 1H), 9.15 (d, J = 1.2 Hz, 1H), 8.81 (t, J = 3.9 Hz, 1H), 8.66 (dd, J = 2.5, 1.4 Hz, 1H) ppm. ESI-MS m/z calc. 224.96501, found 226.25 (M+1)+; Retention time: 0.66 minutes.

Preparation of N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-pyrazin-2-yl-1,2,4-triazol-3-amine (Compound **195**)

[00502] 2-(3-Bromo-1,2,4-triazol-1-yl)pyrazine **JW-7c** (120 mg, 0.53 mmol), sodium 2-methylpropan-2-olate(98 mg, 1.02 mmol), chloro[2-(di-tert-butylphosphino)-2′,4′,6′-triisopropyl-1,1′-biphenyl][2-(2-aminoethyl)phenyl)]palladium(II) (31 mg, 0.29 mmol) and 3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]aniline **JW-1b** (131 mg) were mixed in 2-methylpropan-2-ol (1.8 mL) and the reaction was degassed with nitrogen for 30 seconds then heated at 60 ^{o}C for 2 hours. The reaction was cooled to R.T. and water (1 mL) was added. The reaction was extracted with DCM and organic layer was dried and concentrated *in vacuo*. The crude was purified on silica gel (12 gram column, using 1-4% DCM: MeOH) to afford 148 mg (58%) of desired product cmpd **195**. ^{1}H NMR (300 MHz, DMSO-d6) δ 9.44 (s, 1H), 9.20 (s, 1H), 9.05 (d, J = 1.4 Hz, 1H), 8.66 (d, J = 2.5 Hz, 1H), 8.58 (dd, J = 2.6, 1.4 Hz, 1H), 7.16 (s, 1H), 6.93 (s, 1H), 6.34 (s, 1H), 4.57 (t, J = 6.5 Hz, 2H), 4.52 - 4.41 (m, 2H), 3.46 (dt, J = 11.1, 5.6 Hz, 1H), 3.16 (dd, J = 5.1, 3.7 Hz, 4H), 2.47 - 2.38 (m, 4H), 2.26 (d, J = 8.1 Hz, 3H) ppm. ESI-MS m/z calc. 392.2073, found 393.48 (M+1)+; Retention time: 0.59 minutes.

EXAMPLE 15

Preparation of N-[3-(4-cyclopropylpiperazin-1-yl)-5-methyl-phenyl]-1-pyrazin-2-yl-1,2,4-triazol-3-amine (Compound **20**)



(a)t-BuXPhos Palladacycle, t-BuOH/t-BuONa, 60 ºC ; (b)Pd on Carbon, 10%WT Degussa H_2; (c) K_2CO_3/NMP; (d) t-BuXPhos Palladacycle/t-BuOH/t-BuONa

Preparation of 1-cyclopropyl-4-(3-methyl-5-nitro-phenyl)piperazine (**JW-8a**)

[00503] 3-Bromo-5-nitro-toluene, (7.78g, 36.0 mmol) and 1-cyclopropylpiperazine (5.0g, 39.6 mmol) were dissolved in 100 mL of dry t-BuOH and purged with N2 for 10 minutes. During the purge added t-BuXphos Palladacycle (620mg, 0.90mmol) followed by sodium t-butoxide, (5.20g, 54.0 mmol) and reaction was allowed to stir at 30°C under N2 for two hours. Solvent was removed under reduced pressure and the residue partitioned between EtOAc and water, then the organic phase washed with brine, dried (Na_2SO_4) and solvent removed under reduced pressure. The crude material was Isco purified on SiO2 with DCM changing to isocratic 10%/EtOAc/DCM as eluent to afford 6.75 g (64%) of **JW-8a** as a dark yellow, waxy solid. ^{1}H NMR (400 MHz, Acetone-d6) δ 7.51 (t, J = 2.1 Hz, 1H), 7.43 (d, J = 0.6 Hz, 1H), 7.21 (s, 1H), 3.35 - 3.18 (m, 4H), 2.83 - 2.67 (m, 4H), 2.40 (s, 3H), 1.75 - 1.59 (m, 1H), 0.57 - 0.41 (m, 2H), 0.38 (dt, J = 3.8, 2.5 Hz, 2H) ppm. ESI-MS m/z calc. 261.14774, found 262.0 (M+1)+; Retention time: 0.58 minutes.

Preparation of 3-(4-cyclopropylpiperazin-1-yl)-5-methyl-aniline (**JW-8b**)

[00504] 1-cyclopropyl-4-(3-methyl-5-nitro-phenyl)piperazine **JW-8a** (6.75g, 25.4 mmol) was dissolved in 200 mL of MeOH and placed under a CO_2 atmosphere before adding 10% Pd/C Degussa type 50% water (700mg; 0.65mmol). Reaction placed under 50 psi H_2 for 24 hours. Reaction was pulled through a pad of diatomaceous earth and washed the cake with more MeOH. Solvent was removed under reduced pressure to afford 6.1 g (90%) of **JW-8b** as a tan, partially solidified oil. ^{1}H NMR (400 MHz, Acetone-d6) δ 6.07 (t, J = 2.0 Hz, 1H), 6.05 (d, J = 0.5 Hz, 1H), 6.01 - 5.93 (m, 1H), 3.02 (dd, J = 5.9, 4.2 Hz, 4H), 2.74 - 2.60 (m, 4H), 2.12 (d, J = 0.4 Hz, 3H), 1.70 - 1.54 (m, 1H), 0.50 - 0.37 (m, 2H), 0.38 - 0.26 (m, 2H) ppm. ESI-MS m/z calc. 231.17355, found 232.0 (M+1)+; Retention time: 0.27 minutes

Preparation of N-[3-(4-cyclopropylpiperazin-1-yl)-5-methyl-phenyl]-1-pyrazin-2-yl-1,2,4-triazol-3-amine (Compound **20**)

3-(4-Cyclopropylpiperazin-1-yl)-5-methyl-aniline **JW-8b** (122.7 mg, 0.53 mmol), sodium t-butoxide (154.3 mg, 1.606 mmol), *t*-BuXPhos Palladacycle (15 mg, 0.02 mmol) and 2-(3-bromo-1,2,4-triazol-1-yl)pyrazine **JW-7c** (119 mg, 0.53 mmol) were mixed in t-BuOH (1.5 mL) and the reaction was degassed with nitrogen for 20 seconds, then heated at 60 degrees for 2 hours. The reaction was cooled to R.T. and water was added. The reaction was extracted with DCM and organic layer dried and concentrated in vacuo. The crude was purified on silica gel eluting with 1-4% DCM: MeOH to afford 91 mg (37%) of desired product cmpd **20**. ^{1}H NMR (300 MHz, DMSO-d6) δ 9.42 (s, 1H), 9.19 (s, 1H), 9.05 (d, J = 1.2 Hz, 1H), 8.66 (d, J = 2.4 Hz, 1H), 8.58 (dd, J = 2.6, 1.4 Hz, 1H), 7.15 (s, 1H), 6.92 (s, 1H), 6.33 (s, 1H), 3.16 - 3.03 (m, 4H), 2.79 - 2.66 (m, 4H), 2.24 (s, 3H), 1.75 - 1.56 (m, 1H), 0.52 - 0.41 (m, 2H), 0.37 - 0.30 (m, 2H) ppm. ESI-MS m/z calc. 376.2124, found 377.46 (M+1)+; Retention time: 0.61 minutes.

[00505] Using the general synthetic scheme outlined in Scheme D and the experimental procedures listed above in Examples 14 and 15, the following compounds were prepared:

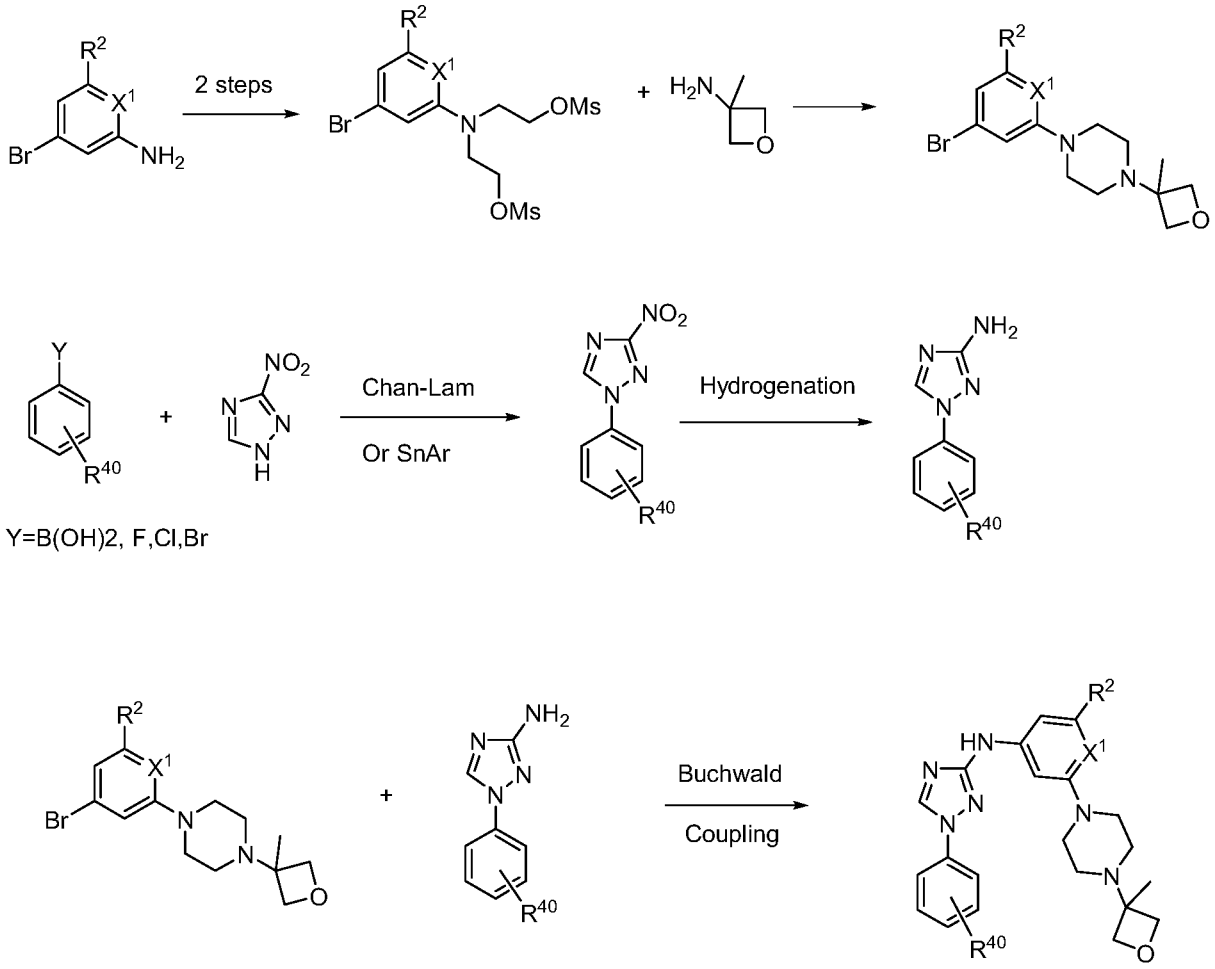
Cmpd No.	IUPAC Name
381	N-[3-methyl-5-(4-methylpiperazin-1-yl)phenyl]-1-pyrimidin-5-yl-1,2,4-triazol-3-amine
399	N-[3-methyl-5-(4-methylpiperazin-1-yl)phenyl]-1-pyridazin-4-yl-1,2,4-triazol-3-amine
275	N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(3-

Cmpd No.	IUPAC Name
	pyridyl)-1,2,4-triazol-3-amine
104	N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(2-pyridyl)-1,2,4-triazol-3-amine
224	N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(4-pyridyl)-1,2,4-triazol-3-amine
68	N-[3-methyl-5-(4-methylpiperazin-1-yl)phenyl]-1-pyrazin-2-yl-1,2,4-triazol-3-amine
342	5-methyl-N1-[1-(oxetan-3-yl)-4-piperidyl]-N3-[1-(3-pyridyl)-1,2,4-triazol-3-yl]benzene-1,3-diamine
249	N3-[1-(2-fluoro-4-pyridyl)-1,2,4-triazol-3-yl]-5-methyl-N1-[1-(oxetan-3-yl)pyrrolidin-3-yl]benzene-1,3-diamine
329	1-(4,6-difluoro-2-pyridyl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
174	N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-pyrimidin-4-yl-1,2,4-triazol-3-amine
176	N-[3-(4-cyclopropylpiperazin-1-yl)-5-methyl-phenyl]-1-pyrimidin-4-yl-1,2,4-triazol-3-amine
288	N-[3-tert-butyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-pyrazin-2-yl-1,2,4-triazol-3-amine
271	N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(6-methylpyrazin-2-yl)-1,2,4-triazol-3-amine
401	N-[3-ethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(6-methylpyrazin-2-yl)-1,2,4-triazol-3-amine
420	N-[3-(4-cyclopropylpiperazin-1-yl)-5-methyl-phenyl]-1-(6-methylpyrazin-2-yl)-1,2,4-triazol-3-amine
154	1-(6-fluoro-2-pyridyl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
75	N-[3-[4-(3,3-difluorocyclobutyl)piperazin-1-yl]-5-methyl-phenyl]-1-pyrazin-2-yl-1,2,4-triazol-3-amine
124	N-(3-ethyl-5-piperazin-1-yl-phenyl)-1-pyrazin-2-yl-1,2,4-triazol-3-amine
368	tert-butyl 4-[3-ethyl-5-[(1-pyrazin-2-yl-1,2,4-triazol-3-yl)amino]phenyl]piperazine-1-carboxylate
470	N-[2,3-dimethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-pyrazin-2-yl-1,2,4-triazol-3-amine
471	N-[2-methoxy-3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-pyrazin-2-yl-1,2,4-triazol-3-amine
451	N-(2-fluoro-3-methyl-5-morpholino-phenyl)-1-(3-pyridyl)-1,2,4-triazol-3-amine
307	N-(2-fluoro-3-methyl-5-morpholino-phenyl)-1-(2-pyridyl)-1,2,4-triazol-3-amine
387	1-(5-fluoro-3-pyridyl)-N-[3-methyl-5-(4-methylpiperazin-1-yl)phenyl]-1,2,4-triazol-3-amine

Cmpd No.	IUPAC Name
262	1-(5-chloro-3-pyridyl)-N-[3-methyl-5-(4-methylpiperazin-1-yl)phenyl]-1,2,4-triazol-3-amine
217	1-(5-chloro-3-pyridyl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
232	1-(2,6-difluoro-4-pyridyl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
111	N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(6-methylpyrimidin-4-yl)-1,2,4-triazol-3-amine
254	1-(6-methoxypyrimidin-4-yl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
312	1-(2,6-dimethylpyrimidin-4-yl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
418	N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(2-methylpyrimidin-4-yl)-1,2,4-triazol-3-amine
204	1-(2-ethoxypyrimidin-4-yl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
30	1-(2-methoxypyrimidin-4-yl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
364	1-[6-(methoxymethyl)pyrimidin-4-yl]-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
314	N-[3-ethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(2-methoxypyrimidin-4-yl)-1,2,4-triazol-3-amine
58	N-[3-ethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(6-methylpyrimidin-4-yl)-1,2,4-triazol-3-amine
91	N-[3-ethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(2-methylpyrimidin-4-yl)-1,2,4-triazol-3-amine
64	N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(2-methylsulfanylpyrimidin-4-yl)-1,2,4-triazol-3-amine
132	N-[3-ethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(2-methylsulfanylpyrimidin-4-yl)-1,2,4-triazol-3-amine
291	1-(5-fluoropyrimidin-4-yl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
50	N-[3-ethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(5-fluoropyrimidin-4-yl)-1,2,4-triazol-3-amine
495	1-[2-(azepan-1-yl)-4-pyridyl]-N-[3-methyl-5-(4-methylpiperazin-1-yl)phenyl]-1,2,4-triazol-3-amine
138	N-[3-methyl-5-(4-methylpiperazin-1-yl)phenyl]-1-(2-pyridyl)-1,2,4-triazol-3-amine
297	N-[3-methyl-5-(4-methylpiperazin-1-yl)phenyl]-1-(3-pyridyl)-1,2,4-triazol-3-amine
211	N-[3-[4-(methoxymethyl)-1-piperidyl]-5-methyl-phenyl]-1-(2-pyridyl)-1,2,4-triazol-3-amine
122	1-(2-fluoro-4-pyridyl)-N-[3-[4-(methoxymethyl)-1-piperidyl]-5-

Cmpd No.	IUPAC Name
	methyl-phenyl]-1,2,4-triazol-3-amine
464	N-[3-[4-(methoxymethyl)-1-piperidyl]-5-methyl-phenyl]-1-pyrimidin-4-yl-1,2,4-triazol-3-amine
385	N-[3-[4-(methoxymethyl)-1-piperidyl]-5-methyl-phenyl]-1-(4-pyridyl)-1,2,4-triazol-3-amine
226	1-(2-fluoro-4-pyridyl)-N-[3-(4-methylpiperazin-1-yl)-5-(trifluoromethyl)phenyl]-1,2,4-triazol-3-amine
96	N-[3-(4-methylpiperazin-1-yl)-5-(trifluoromethyl)phenyl]-1-pyrimidin-4-yl-1,2,4-triazol-3-amine
13	N-[3-(4-methylpiperazin-1-yl)-5-(trifluoromethyl)phenyl]-1-(4-pyridyl)-1,2,4-triazol-3-amine
48	N-[3-ethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-pyrazin-2-yl-1,2,4-triazol-3-amine
300	1-[3-[3-methyl-5-[(1-pyrazin-2-yl-1,2,4-triazol-3-yl)amino]phenyl]-1-piperidyl]ethanone
236	N-[3-[4-(oxetan-3-yl)piperazin-1-yl]-5-propyl-phenyl]-1-pyrazin-2-yl-1,2,4-triazol-3-amine
511	N-[3-isopropyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-pyrimidin-4-yl-1,2,4-triazol-3-amine
521	N-[3-methyl-5-[4-(oxetan-3-yl)-1-piperidyl]phenyl]-1-pyrazin-2-yl-1,2,4-triazol-3-amine
535	N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-pyrimidin-5-yl-1,2,4-triazol-3-amine
536	N-[3-isopropyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-pyrazin-2-yl-1,2,4-triazol-3-amine
550	N-[3-tert-butyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-pyrimidin-5-yl-1,2,4-triazol-3-amine
549	N-[3-ethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-pyrimidin-5-yl-1,2,4-triazol-3-amine
539	N-[3-cyclopropyl-5-(3-morpholinoazetidin-1-yl)phenyl]-1-pyrazin-2-yl-1,2,4-triazol-3-amine
544	N-[3-ethyl-5-(3-morpholinoazetidin-1-yl)phenyl]-1-pyrimidin-5-yl-1,2,4-triazol-3-amine
598	N-[3-fluoro-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-pyrazin-2-yl-1,2,4-triazol-3-amine
811	N-[3-isopropoxy-2-methyl-5-(3-morpholinoazetidin-1-yl)phenyl]-1-(2-pyridyl)-1,2,4-triazol-3-amine
862	N-[3-isopropoxy-2-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(2-pyridyl)-1,2,4-triazol-3-amine

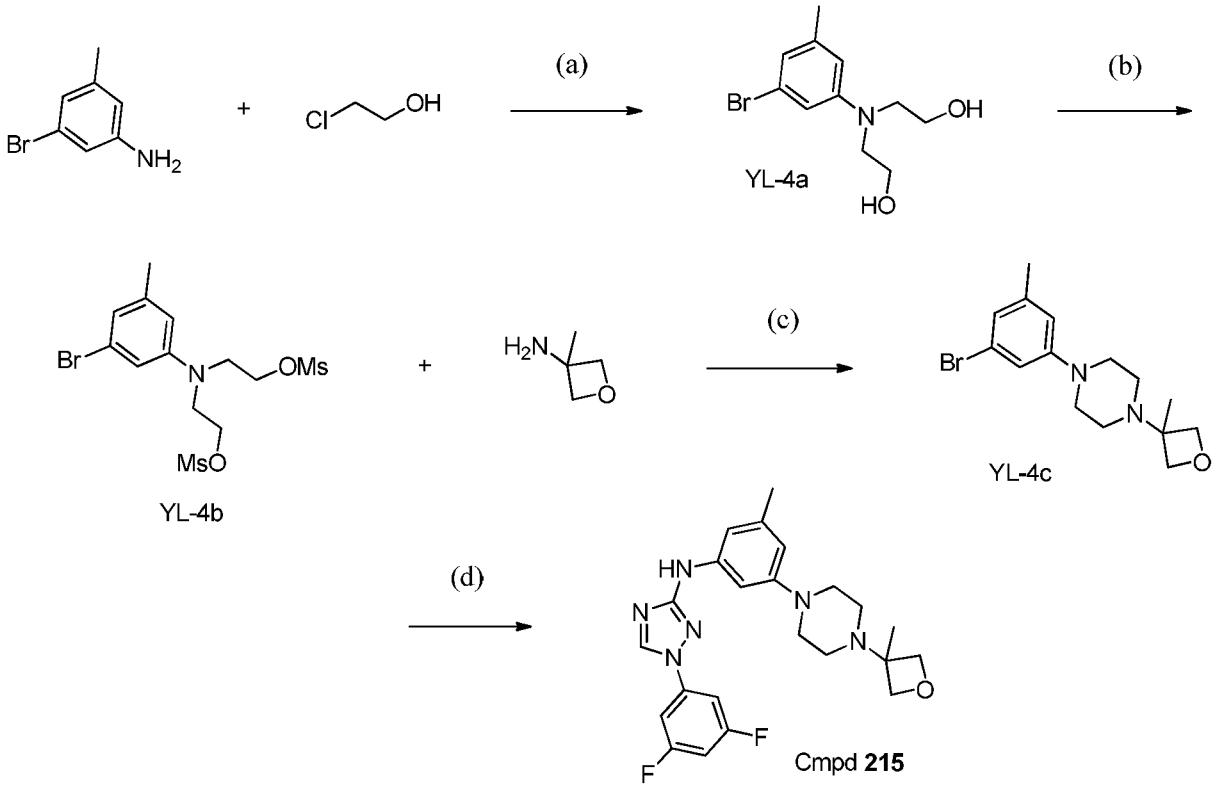
Scheme E: General Route E for Preparation of Compounds of Formula I or I'



Compounds of the invention may be prepared as generally outlined in Scheme E, where R^2, R^{40}, and X^1 are as described for Scheme A. The methods of Scheme E may also be applied to other variations of L^1 with G^1 to G^2 that bond to $–L^2–R^6$, $–L^2–R^7$, or optional G^2 substituent through a nitrogen atom in G^1 or G^2.

EXAMPLE 16

Preparation of 1-(3,5-difluorophenyl)-N-[3-methyl-5-[4-(3-methyloxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine (Compound **215**)



Reagents and conditions: (a) 2-chloroethanol, KI, $CaCO_3$, MeOH, water, 100°C; (b) methanesulfonyl chloride, Et_3N, EtOAc; (c) K_2CO_3, dioxane, 160°C; (d) 1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine, t-BuXPhos Palladacycle , NaOtBu, dioxane, 120°C.

Preparation of 2-[3-bromo-N-(2-hydroxyethyl)-5-methyl-anilino]ethanol (**YL-4a**)

[00506] A mixture of 3-bromo-5-methyl-aniline (1.86 g, 10 mmol), 2-chloroethanol (3.22 g, 40.00 mmol), KI (166 mg, 1.00 mmol) and $CaCO_3$ (2.00 g, 20.00 mmol) in water (6 mL) and EtOH (6 mL) was heated at 100°C for 36h, then at 120°C for 20h. The reaction mixture was cooled to RT, diluted with EtOAc, washed with H_2O, sat. NaCl and dried then evaporated under reduced pressure. The crude material was subjected to ISCO purification (40g silica; 0 % to 10% of MeOH in DCM) to give 2-[3-bromo-N-(2-hydroxyethyl)-5-methyl-anilino]ethanol **YL-4a** (1.4 g, 51%). ^{1}H NMR (300 MHz, $CDCl_3$) δ 6.71 (d, J = 22.0 Hz, 2H), 6.47 (s, 1H), 3.87 (t, J = 4.9 Hz, 4H), 3.61 - 3.53 (m, 4H), 3.41 (s, 2H), 2.29 (s, 3H) ppm. ESI-MS m/z calc. 273.04, found 274.31 (M+1)+; Retention time: 0.68 minutes.

Preparation of 2-[3-bromo-5-methyl-N-(2-methylsulfonyloxyethyl)anilino]ethyl methanesulfonate (**YL-4b**)

[00507] To 2-[3-bromo-N-(2-hydroxyethyl)-5-methyl-anilino]ethanol **YL-4a** (720 mg, 2.63 mmol) in EtOAc (15 mL) was added methanesulfonyl chloride (902 mg, 7.88 mmol) and Et_3N (930 mg, 9.19 mmol). The reaction mixture was stirred at RT for 1 h. The reaction mixture was diluted with EtOAc, washed with water, sat. $NaHCO_3$, sat. NaCl and dried then evaporated under reduced pressure. The crude material was subjected to ISCO purification (40g silica; 10 % to 100% of EtOAc in hex) to give 2-[3-bromo-5-methyl-N-(2-methylsulfonyloxyethyl)anilino]ethyl methanesulfonate **YL-4b** (950 mg, 84%). ^{1}H NMR (300 MHz, $CDCl_3$) δ 6.79 (s, 1H), 6.67 (d, J = 1.8 Hz, 1H), 6.47 (s, 1H), 4.37 (t, J = 5.8 Hz, 4H), 3.76 (t, J = 5.8 Hz, 4H), 3.02 (s, 6H), 2.30 (s, 3H) ppm. ESI- MS m/z calc. 428.99, found 430.24 (M+1)+; Retention time: 0.85 minutes.

Preparation of 1-(3-bromo-5-methyl-phenyl)-4-(3-methyloxetan-3-yl)piperazine (**YL-4c**)

[00508] A mixture of 2-[3-bromo-5-methyl-N-(2-methylsulfonyloxyethyl)anilino]ethyl methanesulfonate **YL-4b** (220 mg, 0.51 mmol), 3-methyloxetan-3-amine (54 mg, 0.62 mmol) and K_2CO_3 (212 mg, 1.53 mmol) in dioxane (15 mL) was microwaved at 160°C for 16 h. ISCO purification of the crude material (12g silica; 10 % to 50% to 100% of EtOAc in hex) gave 1-(3-bromo-5-methyl-phenyl)-4-(3-methyloxetan-3-yl)piperazine **YL-4c** (85 mg, 51%). ^{1}H NMR (300 MHz, $CDCl_3$) δ 6.86 (d, J = 1.8 Hz, 1H), 6.83 (s, 1H), 6.65 (s, 1H), 4.64 (d, J = 5.4 Hz, 2H), 4.29 (d, J = 5.8 Hz, 2H), 3.29 - 3.13 (m, 4H), 2.61 - 2.44 (m, 4H), 2.35 - 2.22 (m, 3H), 1.42 (s, 3H) ppm. ESI-MS m/z calc. 324.08, found 325.39 (M+1)+; Retention time: 0.64 minutes.

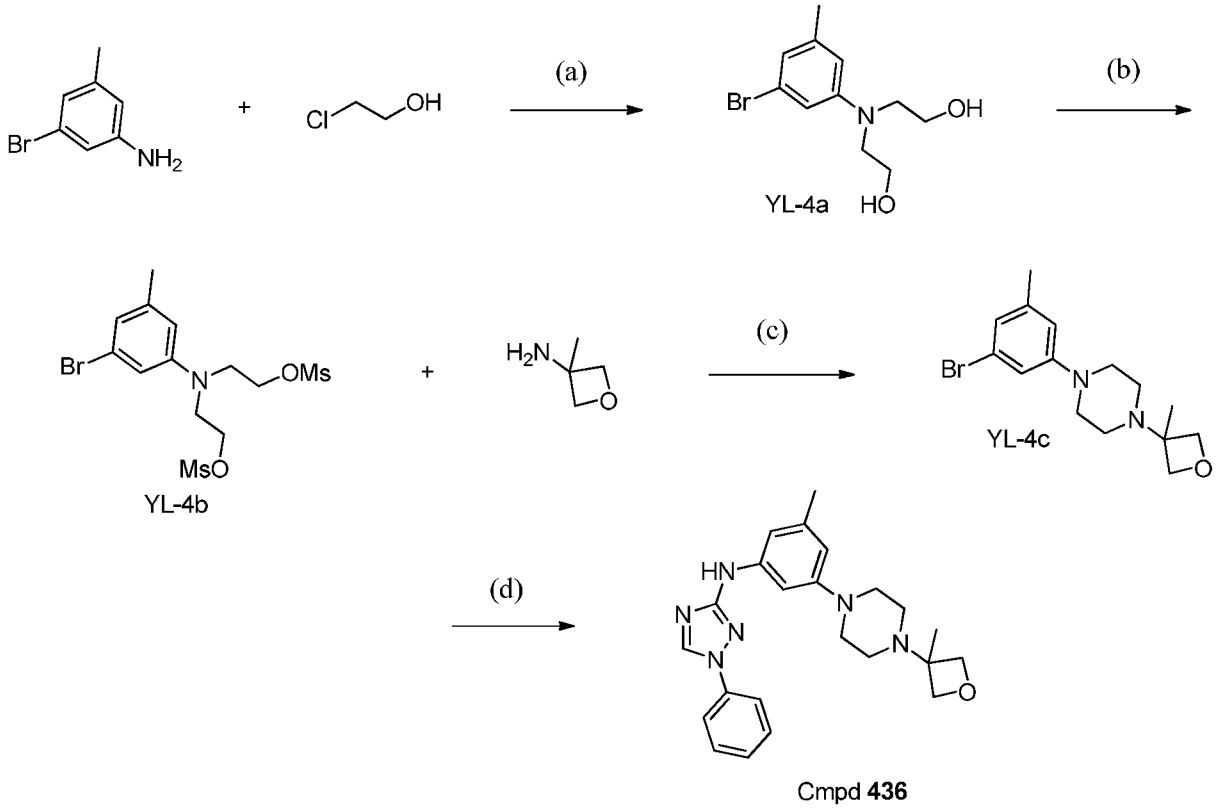
Preparation of 1-(3,5-difluorophenyl)-N-[3-methyl-5-[4-(3-methyloxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine (Compound **215**)

[00509] 1-(3-Bromo-5-methyl-phenyl)-4-(3-methyloxetan-3-yl)piperazine (440 mg, 1.35 mmol) , 1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine (319 mg, 1.62 mmol) and sodium t-butoxide (325 mg, 3.38 mmol) were suspended in dioxane (20 mL) and purged with N2 for several minutes before addition of t-BuXPhos Palladacycle (88 mg, 0.14 mmol). The mixture was microwaved at 120 °C for 40 minutes. LC/MS showed desired product. The reaction was quenched with MeOH (2 mL) and diluted with DCM, then solvent was removed by evaporation under reduced pressure. The solids were triturated with water, then were collected and dissolved in 20% MeOH in DCM. After filtration through Florisil (10g), the excess solvent was pumped down. The solids were triturated with EtOAC, ether and dried. The above product was

dissolved in MeOH/DCM (1:9; 100 mL) 3 equivalents of MP-TMT (F15118/Biotage/0.64 mmol/g; 3g) were added and rotated at 45~50 °C for 4h. After filtration, the excess solvent was pumped down to afford 1-(3,5-difluorophenyl)-N-[3-methyl-5-[4-(3-methyloxetan-3-yl)piperazin-1- yl]phenyl]-1,2,4-triazol-3-amine, cmpd **215** (1750 mg, 72%). ^{1}H NMR (300 MHz, CD_3OD) δ 8.60 (s, 1H), 7.33 (d, J = 6.6 Hz, 2H), 7.15 (s, 1H), 6.79 (d, J = 14.4 Hz, 2H), 6.37 (s, 1H), 4.63 (d, J = 5.1 Hz, 2H), 4.26 (d, J = 5.3 Hz, 2H), 3.24 (s, 4H), 2.53 (s, 4H), 2.28 (s, 3H), 1.40 (s, 3H) ppm. ESI- MS m/z calc. 440.21, found 441.45 (M+1)+; Retention time: 0.69 minutes.

EXAMPLE 17

[00510] Preparation of N-[3-methyl-5-[4-(3-methyloxetan-3-yl)piperazin-1-yl]phenyl]-1-phenyl-1,2,4-triazol-3-amine (Compound **436**)



Reagents and conditions: (a) 2-chloroethanol, KI, $CaCO_3$, MeOH, water, 100°C; (b) methanesulfonyl chloride, Et_3N, EtOAc; (c) K_2CO_3, dioxane, 160°C; (d) 1-phenyl-1,2,4-triazol-3-amine, t-BuXPhos Palladacycle, NaOtBu, dioxane, 120°C.

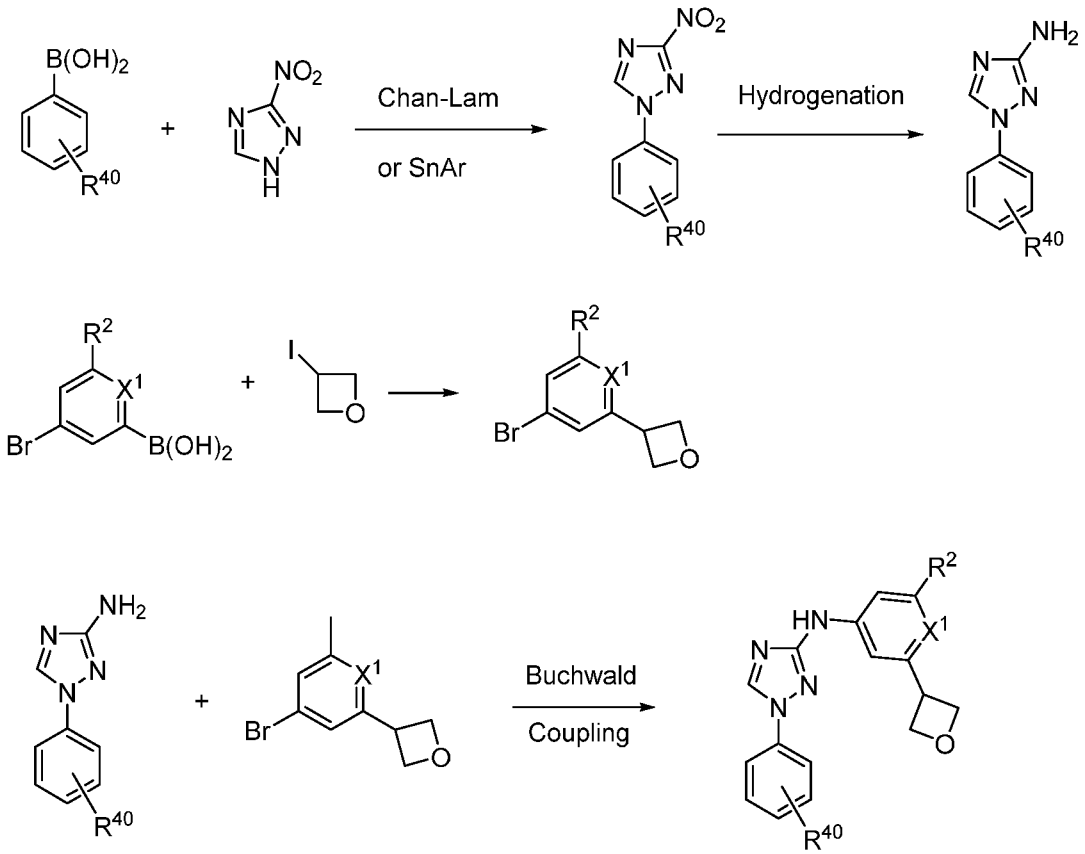
Preparation of N-[3-methyl-5-[4-(3-methyloxetan-3-yl)piperazin-1-yl]phenyl]-1-phenyl-1,2,4-triazol-3-amine (Compound **436**)

[00511] 1-(3-bromo-5-methyl-phenyl)-4-(3-methyloxetan-3-yl)piperazine **YL-4c** (180 mg, 0.55 mmol), 1-phenyl-1,2,4-triazol-3-amine (106 mg, 0.66 mmol) and sodium t-butoxide (133 mg, 1.38 mmol) were suspended in dioxane (10 mL) and purged with N_2 for several minutes before addition of the t-BuXPhos Palladacycle (36 mg, 0.055 mmol). The mixture was microwaved at 120 °C for 40 minutes. LC/MS showed desired product. The reaction was quenched with MeOH (2 mL), then diluted with DCM and filtered (Florisil/5g). The filtrate was evaporated *in vacuo* then subjected to ISCO purification (12g silica; 10 % to 50 % to 100 % of EtOAc in hex) to give N-[3-methyl-5-[4-(3-methyloxetan-3-yl)piperazin-1-yl]phenyl]-1-phenyl-1,2,4-triazol-3-amine, cmpd **436** (153 mg, 65%). ^{1}H NMR (300 MHz, CDCl3) δ 8.32 (s, 1H), 7.74 - 7.65 (m, 2H), 7.56 - 7.46 (m, 2H), 7.41 - 7.32 (m, 1H), 7.12 (d, J = 1.9 Hz, 1H), 6.83 (s, 1H), 6.65 (s, 1H), 6.41 (s, 1H), 4.67 (d, J = 5.5 Hz, 2H), 4.30 (d, J = 5.8 Hz, 2H), 3.37 - 3.22 (m, 4H), 2.64 - 2.48 (m, 4H), 2.35 (s, 3H), 1.44 (s, 3H) ppm. ESI-MS m/z calc. 404.23, found 405.45 (M+1)+; Retention time: 0.66 minutes.

[00512] Using the general synthetic scheme outlined in Scheme E and the experimental procedures listed above in Examples 16 and 17, the following compounds were prepared:

Cmpd No.	IUPAC Name
375	1-(3-fluorophenyl)-N-[3-methyl-5-[4-(3-methyloxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
469	1-(2,5-difluorophenyl)-N-[3-methyl-5-[4-(3-methyloxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
264	1-(3,5-difluorophenyl)-N-[3-ethyl-5-[4-(3-methyloxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
489	N-[3-ethyl-5-[4-(3-methyloxetan-3-yl)piperazin-1-yl]phenyl]-1-pyrazin-2-yl-1,2,4-triazol-3-amine
505	[3-acetoxy-2-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperazin-1-yl]-2-methyl-propyl] acetate
534	[2-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperazin-1-yl]-3-hydroxy-2-methyl-propyl] acetate
215	1-(3,5-difluorophenyl)-N-[3-methyl-5-[4-(3-methyloxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine

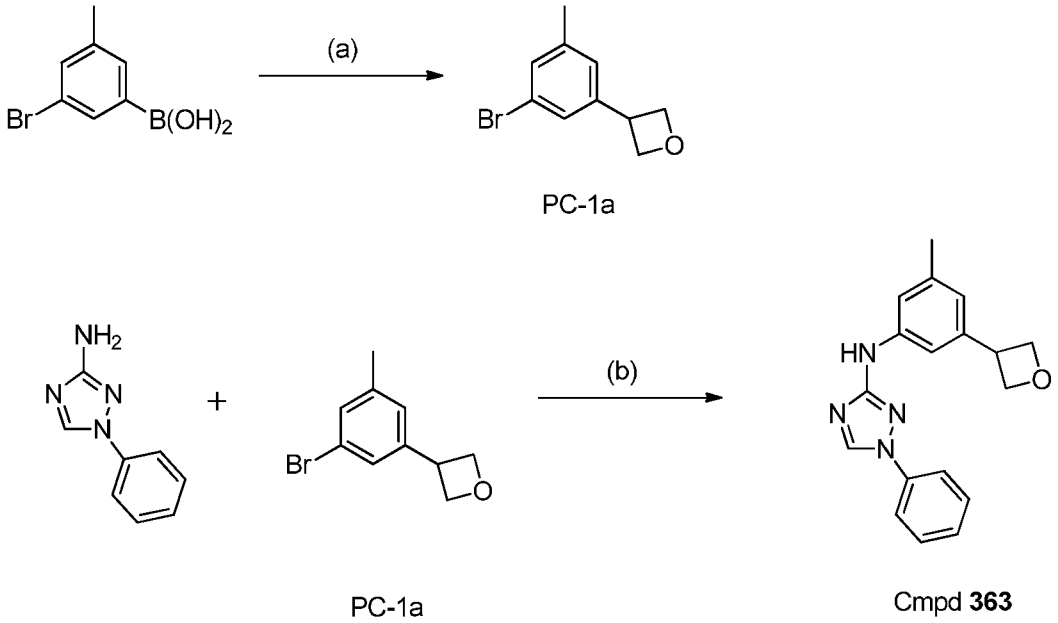
Scheme F: General Route F for Preparation of Compounds of Formula I or I'



Compounds of the invention may be prepared as generally outlined in Scheme F, where R^2, R^{40}, and X^1 are as described for Scheme A. The methods of Scheme F may also be applied to other variations of G^1 to G^5 that bond to the parent molecular moiety through a carbon atom.

EXAMPLE 18

Preparation of N-[3-methyl-5-(oxetan-3-yl)phenyl]-1-phenyl-1,2,4-triazol-3-amine (Compound **363**)



(a) 3-Iodooxetane, NiI_2, (1R,2R)-2-aminocyclohexan-1-ol hydrochloride, NaHMDS, i-PrOH, 80°C, microwave; (b) X-phos palladacycle, NaOt-Bu, dioxane, 70 °C.

Preparation of (3-(3-bromo-5-methyl-phenyl)oxetane) **PC-1a**

[00513] A microwave 20 ml vial was charged with (3-bromo-5-methyl-phenyl)boronic acid (2.34 g, 10.9 mmol), (1R,2R)-2-aminocyclohexan-1-ol hydrochloride (82 mg, 0.544 mmol), NiI_2 (170 mg, 0.544 mmol) and (bis(trimethylsilyl)amino)sodium (1.99 g, 10.9 mmol). The mixture was capped and then placed under a nitrogen atmosphere. iPrOH (8.5 mL) and 3-iodooxetane (1 g, 5.44 mmol) were added and the mixture microwaved for 30 min at 120 °C. The mixture was filtered through Florisil with the aid of EtOAc and concentrated to dryness. Purification by column chromatography (80 g column; 25-100% EtOAc in hexane over 8 min) gave 3-(3-bromo-5-methyl-phenyl)oxetane **PC-1a** (420 mg, 34%) as a colorless oil. ^{1}H NMR (400 MHz, CDCl3) δ 7.34 (s, 1H), 7.25 (s, 1H), 7.14 (s, 1H), 5.06 (dd, J = 8.3, 6.1 Hz, 2H), 4.73 (t, J = 6.3 Hz, 2H), 4.19 - 4.11 (m, 1H), 2.35 (s, 3H) ppm.

Preparation of (N-[3-methyl-5-(oxetan-3-yl)phenyl]-1-phenyl-1,2,4-triazol-3-amine) (Compound **363**)

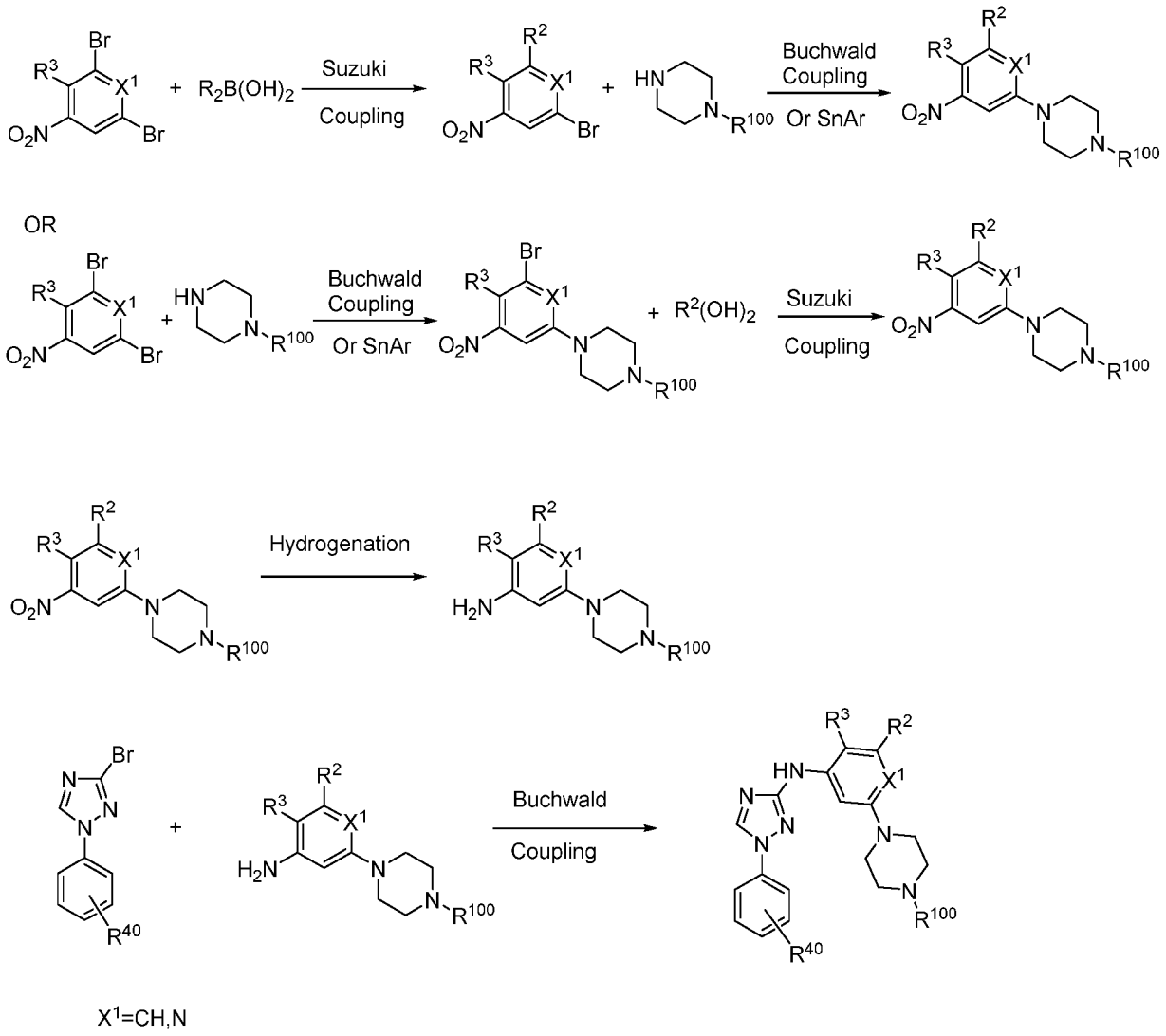
[00514] A Schlenk tube was charged with 3-(3-bromo-5-methyl-phenyl)oxetane **PC-1a** (143 mg, 0.630 mmol), 1-phenyl-1,2,4-triazol-3-amine **RG-1b** (101 mg, 0.567 mmol), X-phos palladacycle (Strem 46-0268, 19 mg, 0.025 mmol) and sodium tert-butoxide (121 mg, 1.26 mmol) then dioxane (2 mL) and vacuum/nitrogen cycled two times. The tube was immersed in a bead bath set to 70 ºC for 3 h at which point DCM and water were added. The layers were separated through a phase separator and the organics were concentrated to dryness. After purification by column chromatography (C18 AQ 40g column; aq. TFA/MeCN) the pure fractions were passed through a SPE bicarbonate cartridge (Agilent Stratospheres 5 g/60 mL) and concentrated to dryness. Trituration with MeOH gave N-[3-methyl-5-(oxetan-3-yl)phenyl]-1-phenyl-1,2,4-triazol-3-amine, cmpd **363** (60 mg, 31%) as a white solid. ^{1}H NMR (300 MHz, DMSO-d6) δ 9.41 (s, 1H), 9.08 (s, 1H), 7.85 (dd, J = 8.6, 1.1 Hz, 2H), 7.56 (dd, J = 10.7, 5.3 Hz, 3H), 7.40 - 7.29 (m, 2H), 6.71 (s, 1H), 4.95 (dd, J = 8.4, 5.8 Hz, 2H), 4.62 (dd, J = 6.7, 5.8 Hz, 2H), 4.23 - 4.11 (m, 1H), 2.28 (d, J = 6.4 Hz, 3H) ppm. ESI-MS *m/z* calc. 306.1481, found 307.18 $(M+1)^+$; Retention time: 0.77 minutes.

[00515] Using the general synthetic scheme outlined in Scheme F and the experimental procedures listed above in Example 18, the following compounds were prepared:

Cmpd No.	IUPAC Name
229	1-(3,5-difluorophenyl)-N-[3-methyl-5-[1-(oxetan-3-yl)azetidin-3-yl]phenyl]-1,2,4-triazol-3-amine
65	N-[3-methyl-5-[1-(oxetan-3-yl)azetidin-3-yl]phenyl]-1-phenyl-1,2,4-triazol-3-amine
36	1-(3-fluorophenyl)-N-[3-methyl-5-[1-(oxetan-3-yl)azetidin-3-yl]phenyl]-1,2,4-triazol-3-amine
301	1-(3,4-difluorophenyl)-N-[3-methyl-5-[1-(oxetan-3-yl)azetidin-3-yl]phenyl]-1,2,4-triazol-3-amine
313	1-(3,5-difluorophenyl)-N-[3-(oxetan-3-yl)-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
147	1-(3-fluorophenyl)-N-[3-methyl-5-(oxetan-3-yl)phenyl]-1,2,4-triazol-3-amine
404	N-[3-methyl-5-(oxetan-3-yl)phenyl]-1-(2-pyridyl)-1,2,4-triazol-3-amine
270	N-[3-methyl-5-(oxetan-3-yl)phenyl]-1-(3-pyridyl)-1,2,4-triazol-3-amine
131	1-(3,5-difluorophenyl)-N-[3-methyl-5-(oxetan-3-yl)phenyl]-1,2,4-triazol-3-amine
409	1-(4-fluorophenyl)-N-[3-methyl-5-(oxetan-3-yl)phenyl]-1,2,4-triazol-3-amine

Cmpd No.	IUPAC Name
447	1-(5-fluoro-3-pyridyl)-N-[3-methyl-5-(oxetan-3-yl)phenyl]-1,2,4-triazol-3-amine

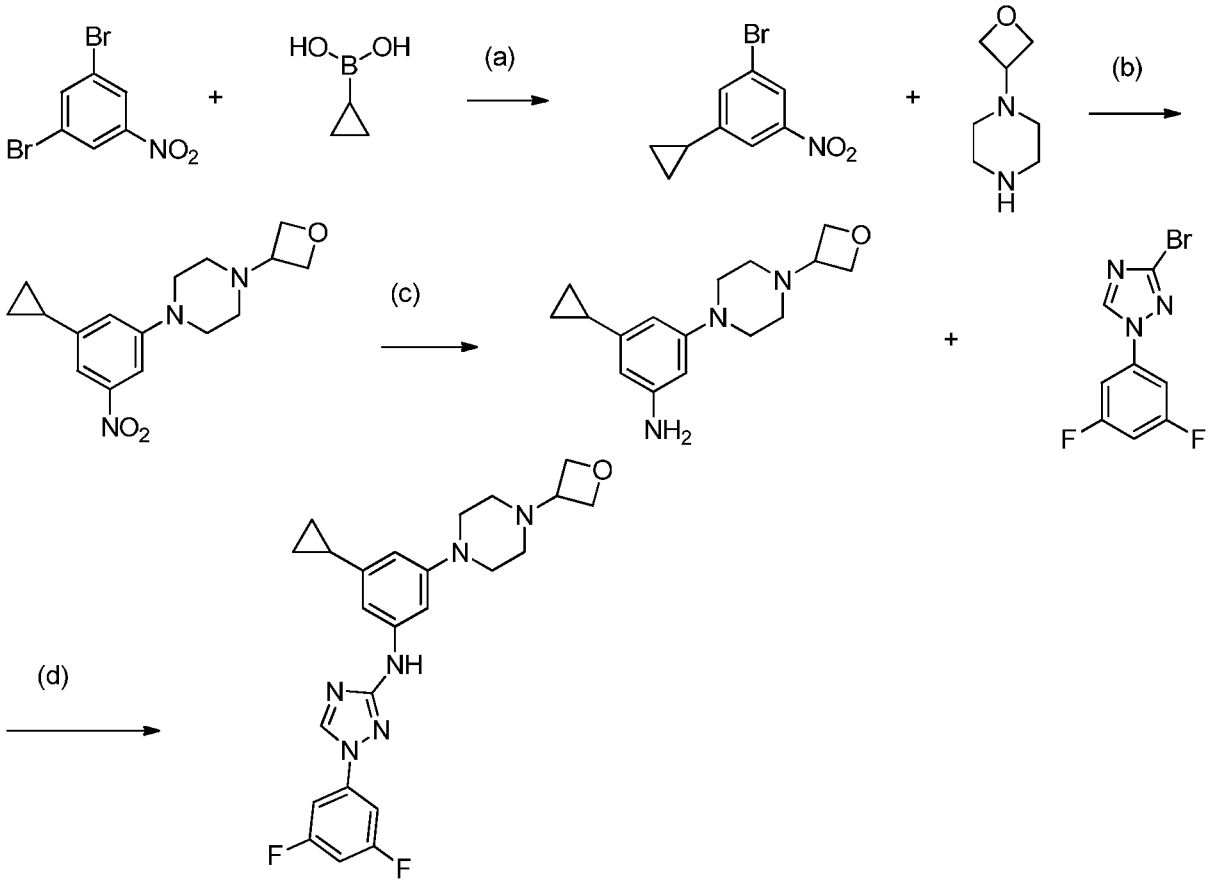
Scheme G: General Route G for Preparation of Compounds of Formula I or I'



Compounds of the invention may be prepared as generally outlined in Scheme G, where R^2, R^3, R^{40}, R^{100}, and X^1 are as described for Scheme A. The methods of Scheme G may also be applied to other variations of L^1 with G^1 to G^5 that bond to the parent molecular moiety through a nitrogen atom.

EXAMPLE 19

Preparation of *N*-(3-cyclopropyl-5-(4-(oxetan-3-yl)piperazin-1-yl)phenyl)-1-(3,5-difluorophenyl)-1*H*-1,2,4-triazol-3-amine (Compound **389**)



Cmpd **389**

(a) Dichloro[1,1'-bis(diphenylphosphino)ferrocene]palladium(II) dichloromethane adduct, K_3PO_4, dioxane, 90 °C; (b) t-BuXPhos Palladacycle, t-BuOK, t-BuOH, 80 °C (c) Fe, NH_4Cl(2M) THF, EtOH, H_2O, reflux (d) t-BuXPhos Palladacycle, t-BuOK, t-BuOH, 80 °C

Preparation of 1-bromo-3-cyclopropyl-5-nitrobenzene(**HG-2a**)

[00516] A dioxane (313 mL) mixture of 1,3-dibromo-5-nitro-benzene (8.8 g, 31.3 mmol) , cyclopropylboronic acid (2.96 g, 34.5 mmol), dichloro[1,1'-bis(diphenylphosphino)ferrocene]palladium(II) dichloromethane (2.292 g, 3.13 mmol) and

K_3PO_4 (33.24 g, 156.6 mmol) was stirred at 90 °C for 4h. LCMS indicated a new peak. To the reaction mixture was added EA and brine, the organic phase was dried over $MgSO_4$, filtered, concentrated down and purified on an Isco 220g column with heptanes and EA, the product and side product were eluted with 3%EA and 97% heptanes. NMR indicated the desired product and side product in a 2.5 to 1 ratio. The side product was carried on and removed in the next step. (3.52 g, 10.18 mmol, 33%) ^{1}H NMR (300 MHz, $CDCl_3$) δ 8.15 (t, J = 1.9 Hz, 1H), 7.85 (t, J = 1.8 Hz, 1H), 7.54 (t, J = 1.6 Hz, 1H), 2.07 - 1.89 (m, 2H), 1.18 - 1.10 (m, 2H), 0.85 - 0.79 (m, 2H) ppm. Note: NMR spectrum is a mixture of **1**-bromo-3-cyclopropyl-5-nitrobenzene and 1,3-dicyclopropyl-5-nitro-benzene.

Preparation of 1-(3-cyclopropyl-5-nitrophenyl)-4-(oxetan-3-yl)piperazine (**HG-2b**)

[00517] To a t-BuOH (57.68 mL) solution of 1-bromo-3-cyclopropyl-5-nitro-benzene **HG-2a** (5 g, 14.5 mmol) and 1-(oxetan-3-yl)piperazine (2.467 g, 17.4 mmol) was added t-BuXPhos Palladacycle (471mg, 0.723 mmol) and t-BuOK (4.868 g, 43.4 mmol) and the reaction mixture was stirred at 80°C for 1h. LCMS indicated the major peak was desired product. Work up: To the reaction mixture was added EA and brine, organic phase was dried over $MgSO_4$, filtered, concentrate d to dryness and purified by Isco 80g silica gel column eluting with heptanes and EA. The product was eluted with 100%EA to give 1-(3-cyclopropyl-5-nitrophenyl)-4-(oxetan-3-yl)piperazine **HG-2b** (2.4 g, 55%) ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.52 (t, J = 2.2 Hz, 1H), 7.36 (t, J = 1.6 Hz, 1H), 6.98 (dd, J = 11.3, 9.5 Hz, 1H), 4.70 (dt, J = 12.3, 6.4 Hz, 4H), 3.58 (p, J = 6.4 Hz, 1H), 3.38 - 3.27 (m, 4H), 2.60 - 2.45 (m, 4H), 2.04 - 1.88 (m, 1H), 1.11 - 0.97 (m, 2H),0.80 - 0.71 (m, 2H) ppm. ESI-MS *m/z* calc. 303.1583, found 304.16 $(M+1)^+$; Retention time: 0.58 minutes.

Preparation of 3-cyclopropyl-5-(4-(oxetan-3-yl)piperazin-1-yl)aniline(**HG-2c**)

[00518] To a THF (23 mL) and EtOH (23 mL) solution of 1-(3-cyclopropyl-5-nitro-phenyl)-4-(oxetan-3-yl)piperazine **HG-2b** (2.3 g, 7.582 mmol) was added NH_4Cl (37.91 mL of 2 M, 75.82 mmol) and Fe (1.27 g, 22.8 mmol) and the reaction mixture was refluxed for 1h. LCMS indicated the reaction was completed. Work up: The reaction mixture was cooled to RT and filtered through celite. To the filtrate was added EA and brine, the organic phase was dried over $MgSO_4$, filtered, and concentrated to dryness. The crude product was purified by Isco 150g Gold

amine column eluting with heptanes and EA. The product was eluted with 50% heptanes and 50%EA to give 3-cyclopropyl-5-(4-(oxetan-3-yl)piperazin-1-yl)aniline **HG-2c** (1.6 g ,77 %). ^{1}H NMR (400 MHz, $CDCl_3$) δ 6.22 - 6.13 (m, 1H), 6.08 (t, J = 2.1 Hz, 1H), 5.96 (t, J = 1.6 Hz, 1H), 4.78 - 4.61 (m, 4H), 3.56 (s, 2H), 3.27 - 3.12 (m, 4H), 2.50 (dd, J = 15.6, 10.6 Hz, 4H), 1.79 (tt, J = 8.4, 5.1 Hz, 1H), 0.95 - 0.82 (m, 2H), 0.74 - 0.58 (m, 2H) ppm. ESI-MS *m/z* calc. 273.1841, found 274.18 $(M+1)^+$; Retention time: 0.2 minutes

Preparation of *N*-(3-cyclopropyl-5-(4-(oxetan-3-yl)piperazin-1-yl)phenyl)-1-(3,5-difluorophenyl)-1*H*-1,2,4-triazol-3-amine (Compound **389**)

[00519] To a t-BuOH (1.6 mL) solution of 3-bromo-1-(3,5-difluorophenyl)-1,2,4-triazole (104 mg, 0.4 mmol) and 3-cyclopropyl-5-[4-(oxetan-3-yl)piperazin-1-yl]aniline **HG-2c** (115 mg, 0.42 mmol) was added t-BuXPhos Palladacycle (13 mg, 0.02 mmol) and t-BuOK (135 mg, 1.2 mmol) and the reaction mixture was stirred at 85 ^oC for 1h. LCMS indicated the major peak was desired product. Work up: To the reaction mixture was added EA and brine, the organic phase was concentrated down and purified by Isco Gold 150g C18 column eluting with H2O/CH3CN/TFA. The product fractions were extracted with EA, the organic phase was dried over $MgSO_4$, filtered and concentrated down to afford *N*-(3-cyclopropyl-5-(4-(oxetan-3-yl)piperazin-1-yl)phenyl)-1-(3,5-difluorophenyl)-1*H*-1,2,4-triazol-3-amine, cmpd **389** (69 mg, 37% yield). ^{1}H NMR (400 MHz, CDCl3) δ 8.30 (s, 1H), 7.24 (dd, J = 7.7, 2.0 Hz, 2H), 7.07 (t, J = 2.0 Hz, 1H), 6.85 - 6.76 (m, 1H), 6.71 (s, 1H), 6.62 (s, 1H), 6.36 (s, 1H), 4.71 (p, J = 6.3 Hz, 4H), 3.64 - 3.53 (m, 1H), 3.37 - 3.25 (m, 4H), 2.58 - 2.48 (m, 4H), 1.90 (ddd, J = 13.4, 8.4, 5.0 Hz, 1H), 1.01 - 0.89 (m, 2H), 0.81 - 0.69 (m, 2H) ppm. ESI-MS *m/z* calc. 452.21362, found 453.28 $(M+1)^+$; Retention time: 0.63 minutes.

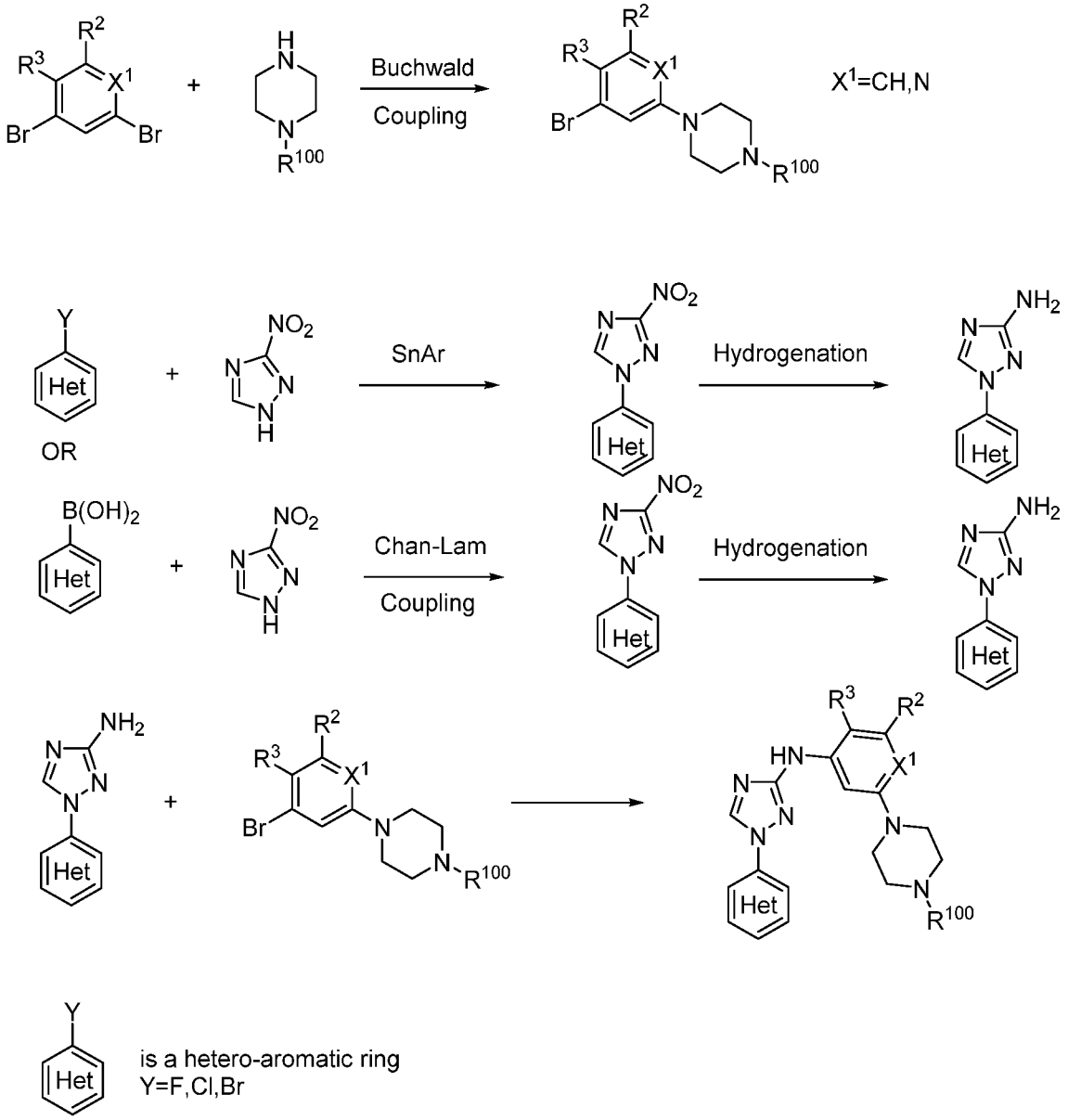
[00520] Using the general synthetic scheme outlined in Scheme G and the experimental procedures listed above in Example 19, the following compounds were prepared:

Cmpd No.	IUPAC Name
359	1-(3,5-difluorophenyl)-N-[3-isopropyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
222	2-cyclopropyl-6-morpholino-N-(1-phenyl-1,2,4-triazol-3-yl)pyridin-4-amine
405	2-cyclopropyl-N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-morpholino-pyridin-4-amine

Cmpd No.	IUPAC Name
305	N-(3-cyclopropyl-5-morpholino-phenyl)-1-phenyl-1,2,4-triazol-3-amine
339	N-[3-cyclopropyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(5-fluoro-3-pyridyl)-1,2,4-triazol-3-amine
55	1-(3-chlorophenyl)-N-[3-cyclopropyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
494	N-[3-cyclopropyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-[3-fluoro-5-(3-methoxyazetidin-1-yl)phenyl]-1,2,4-triazol-3-amine
134	1-(5-chloro-3-pyridyl)-N-[3-cyclopropyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
184	N-[3-cyclopropyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(2-fluoro-4-pyridyl)-1,2,4-triazol-3-amine
47	N-[3-cyclopropyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-phenyl-1,2,4-triazol-3-amine
32	1-(3-chloro-5-fluoro-phenyl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
220	1-(3-chloro-5-fluoro-phenyl)-N-[3-methyl-5-(4-methylpiperazin-1-yl)phenyl]-1,2,4-triazol-3-amine
424	1-(3-fluoro-5-methyl-phenyl)-N-[3-methyl-5-(4-methylpiperazin-1-yl)phenyl]-1,2,4-triazol-3-amine
400	1-(3-chloro-5-fluoro-phenyl)-N-[3-cyclopropyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
73	N-[3-cyclopropyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(3-fluoro-5-methyl-phenyl)-1,2,4-triazol-3-amine
388	N-[3-cyclopropyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(3-fluoro-5-methoxy-phenyl)-1,2,4-triazol-3-amine
205	1-(3-fluoro-5-isopropoxy-phenyl)-N-[3-methyl-5-(4-methylpiperazin-1-yl)phenyl]-1,2,4-triazol-3-amine
462	N-[3-cyclopropyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(3-fluorophenyl)-1,2,4-triazol-3-amine
285	N-[3-cyclopropyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(3-fluoro-5-isopropoxy-phenyl)-1,2,4-triazol-3-amine
121	N-[3-cyclopropyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(2,6-difluoro-4-pyridyl)-1,2,4-triazol-3-amine
302	N-[3-cyclopropyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(6-methylpyrimidin-4-yl)-1,2,4-triazol-3-amine
377	N-[3-cyclopropyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(6-methoxypyrimidin-4-yl)-1,2,4-triazol-3-amine
129	N-[3-cyclopropyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(2,6-dimethylpyrimidin-4-yl)-1,2,4-triazol-3-amine
454	N-[3-cyclopropyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(2-methylpyrimidin-4-yl)-1,2,4-triazol-3-amine
286	N-[3-cyclopropyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(2-

Cmpd No.	IUPAC Name
	ethoxypyrimidin-4-yl)-1,2,4-triazol-3-amine
277	N-[3-cyclopropyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(2-methoxypyrimidin-4-yl)-1,2,4-triazol-3-amine
353	N-[3-cyclopropyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-[6-(methoxymethyl)pyrimidin-4-yl]-1,2,4-triazol-3-amine
225	N-[3-cyclopropyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(2-fluorophenyl)-1,2,4-triazol-3-amine
378	2-cyclopropyl-N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-(1-piperidyl)pyridin-4-amine
170	2-cyclopropyl-N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-pyrrolidin-1-yl-pyridin-4-amine
327	2-cyclopropyl-N-[1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]-6-(1-piperidyl)pyridin-4-amine
88	2-cyclopropyl-N-[1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]-6-morpholino-pyridin-4-amine
202	2-cyclopropyl-N-[1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]-6-(4-methyl-1-piperidyl)pyridin-4-amine
244	2-cyclopropyl-N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-(4-methyl-1-piperidyl)pyridin-4-amine
22	2-cyclopropyl-N-[1-(3,4-dimethoxyphenyl)-1,2,4-triazol-3-yl]-6-(4-methyl-1-piperidyl)pyridin-4-amine
354	2-cyclopropyl-N-[1-(3,4-dimethoxyphenyl)-1,2,4-triazol-3-yl]-6-morpholino-pyridin-4-amine
498	2-cyclopropyl-N-[1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]-6-[(3R)-3-fluoropyrrolidin-1-yl]pyridin-4-amine
492	2-cyclopropyl-N-[1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]-6-[(3S)-3-fluoropyrrolidin-1-yl]pyridin-4-amine
332	2-cyclopropyl-N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-[(3S)-3-fluoropyrrolidin-1-yl]pyridin-4-amine
460	2-cyclopropyl-6-[(3S)-3-fluoropyrrolidin-1-yl]-N-(1-phenyl-1,2,4-triazol-3-yl)pyridin-4-amine
44	2-cyclopropyl-6-(4-methyl-1-piperidyl)-N-(1-phenyl-1,2,4-triazol-3-yl)pyridin-4-amine
245	2-cyclopropyl-N-[1-(3,5-dimethoxyphenyl)-1,2,4-triazol-3-yl]-6-[(3R)-3-fluoropyrrolidin-1-yl]pyridin-4-amine
210	2-cyclopropyl-N-[1-(3,5-dimethoxyphenyl)-1,2,4-triazol-3-yl]-6-morpholino-pyridin-4-amine
296	2-cyclopropyl-6-[(3R)-3-fluoropyrrolidin-1-yl]-N-(1-phenyl-1,2,4-triazol-3-yl)pyridin-4-amine
9	2-cyclopropyl-6-(1,4-dioxa-8-azaspiro[4.5]decan-8-yl)-N-(1-phenyl-1,2,4-triazol-3-yl)pyridin-4-amine
105	2-cyclopropyl-N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-[(3R)-3-fluoropyrrolidin-1-yl]pyridin-4-amine

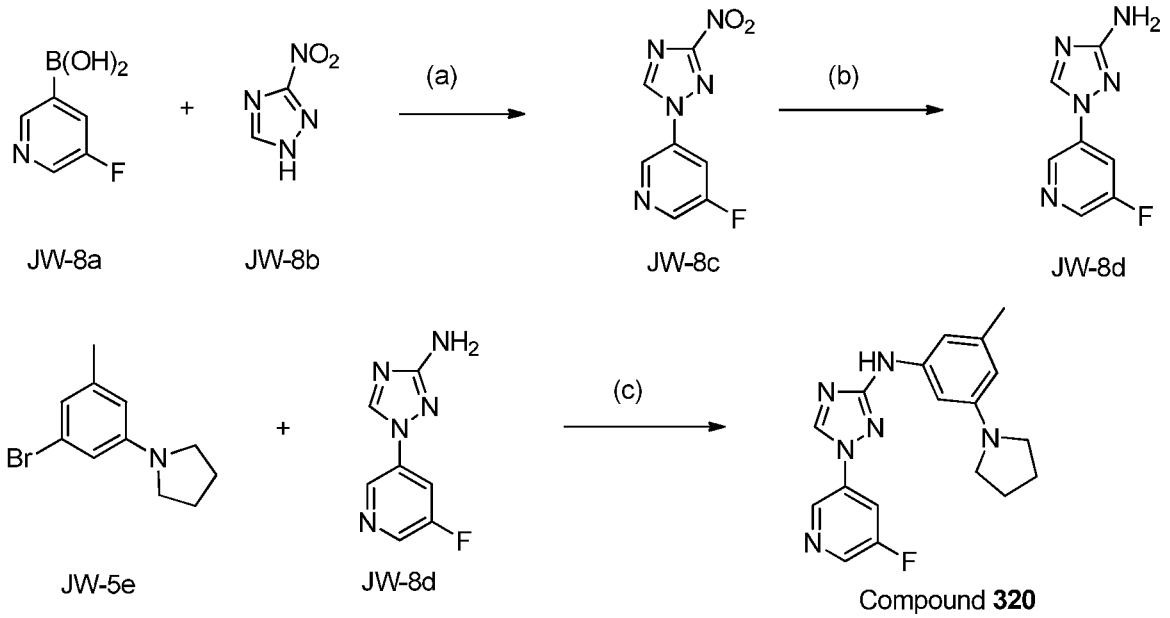
Scheme H: General Route H for Preparation of Compounds of Formula I or I'



Compounds of the invention may be prepared as generally outlined in Scheme H, where R^2, R^3, R^{100}, and X^1 are as described for Scheme A. The methods of Scheme H may also be applied to other variations of L^1 with G^1 to G^5 that bond to the parent molecular moiety through a nitrogen atom.

EXAMPLE 20

Preparation of (1-(5-fluoro-3-pyridyl)-N-(3-methyl-5-pyrrolidin-1-yl-phenyl)-1,2,4-triazol-3-amine) (Compound **320**)



(a)$Cu(OAc)_2$/Pyridine/DCM/R.T. (b)H2/Pd on Carbon
(c)t-Bu-XPhos Palladacycle/t-BuOH/t-BuONa/Microwave/120 ^{0}C/15mins

Preparation of 3-fluoro-5-(3-nitro-1,2,4-triazol-1-yl)pyridine (**JW-8c**)

[00521] 3-nitro-4H-1,2,4-triazole (**JW-8b**, 4.8 g, 42.1 mmol), (5-fluoro-3-pyridyl)boronic acid (5.93 g, 42.1 mmol), diacetoxycopper (**JW-8a**, 11.5 g, 63.1 mmol) and pyridine (6.66 g, 6.8 mL, 84.2 mmol) were mixed in DCM (200 mL) and the reaction was stirred at room temperature for 7 days. The solvent was evaporated and the material was re-dissolved in MeOH and DCM, then adsorbed onto 15 grams of silica gel. The material was dry-loaded and purified on silica gel (eluting with 10-70% EtoAc: Hexanes) to afford 1.2 g desired product **JW-8c**. ^{1}H NMR (400 MHz, DMSO-d6) δ 9.68 (d, J = 3.3 Hz, 1H), 9.08 (dd, J = 1.2, 0.5 Hz, 1H), 8.93 - 8.76 (m, 1H), 8.54 - 8.39 (m, 1H) ppm. ESI-MS m/z calc. 209.0349, found 210.41 (M+1)+; Retention time: 0.7 minutes.

Preparation of 1-(5-fluoro-3-pyridyl)-1,2,4-triazol-3-amine (**JW-8d**)

[00522] 3-fluoro-5-(3-nitro-1,2,4-triazol-1-yl)pyridine (**JW-5c**,170mg, 0.82mM) was dissolved into 10 mL of MeOH and placed under an atmosphere of CO_2. 85mg of 10% Pd/C Degussa type 50% water catalyst was added into the reaction. The reaction was stirred at room temperature under a hydrogen atmosphere with an attached hydrogen-filled balloon overnight. The catalyst was removed by filtration and the filtrate was concentrated to afford 144 mg of desired product as a white solid (**JW-8d**) in 89% yield. ^{1}H NMR (400 MHz, DMSO-d6) δ 8.95 (s, 1H), 8.88 (s, 1H), 8.45 (d, J = 2.5 Hz, 1H), 8.06 (dt, J = 10.2, 2.3 Hz, 1H) ppm. ESI-MS m/z calc. 179.06073, found 180.0 (M+1)+; Retention time: 0.51 minutes.

Preparation of 1-(5-fluoro-3-pyridyl)-N-(3-methyl-5-pyrrolidin-1-yl-phenyl)-1,2,4-triazol-3-amine (Compound **320**)

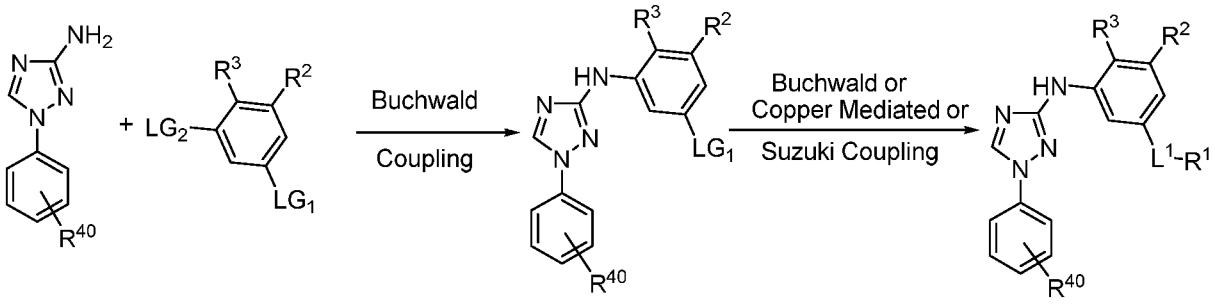
[00523] 1-(3-bromo-5-methyl-phenyl)pyrrolidine (**JW-5e**, 80 mg, 0.33mmol), 1-(5-fluoro-3-pyridyl)-1,2,4-triazol-3-amine (**JW-8d**, 60 mg, 0.33 mmol), sodium 2-methylpropan-2-olate (32 mg, 0.33 mmol) and t-BuXPhos Palladacycle (24 mg, 0.03 mmol) were dissolved in dioxane (2 mL) and the reaction was degassed with nitrogen for 10 seconds. The reaction was capped in a microwave tube and heated at 128 degrees in a microwave for 20 minutes. The reaction was quenched with 1ml MeOH. The reaction was diluted with water and extracted with DCM. The organic phase was concentrated and the crude sample was purified on reverse phase. The fraction was free based with aq. $NaHCO_3$ and extracted with DCM. The organic layer was dried and concentrated. This material was dissolved in DCM and treated with HCl in ether to obtain 76 mg of white solid as the HCl salt of desired product cmpd **320** in 58% yield. ^{1}H NMR (400 MHz, DMSO-d6) δ 9.75 (s, 1H), 9.30 - 9.24 (m, 1H), 9.11 (s, 1H), 8.45 (d, J = 10.5 Hz, 1H), 7.76 (s, 1H), 7.10 (s, 1H), 6.64 (d, J = 72.9 Hz, 1H), 3.52 (s, 3H), 2.46 (s, 1H), 2.30 (s, 2H), 2.09 (d, J = 13.7 Hz, 4H) ppm. ESI-MS m/z calc. 338.16553, found 339.47 (M+1)+; Retention time: 0.71 minutes.

[00524] Using the general synthetic scheme outlined in Scheme H and and the experimental procedures listed above in Example 20, the following compounds were prepared:

Cmpd No.	IUPAC Name
403	1-(2-fluoro-4-pyridyl)-N-(3-methyl-5-morpholino-phenyl)-1,2,4-triazol-3-amine
155	4-[3-(3-methyl-5-morpholino-anilino)-1,2,4-triazol-1-yl]pyridin-2-ol

Cmpd No.	IUPAC Name
427	1-(5-fluoro-3-pyridyl)-N-(3-methyl-5-morpholino-phenyl)-1,2,4-triazol-3-amine
320	1-(5-fluoro-3-pyridyl)-N-(3-methyl-5-pyrrolidin-1-yl-phenyl)-1,2,4-triazol-3-amine
371	N-(3-fluoro-5-morpholino-phenyl)-1-(5-fluoro-3-pyridyl)-1,2,4-triazol-3-amine
84	N-(3-methyl-5-morpholino-phenyl)-1-(4-pyridyl)-1,2,4-triazol-3-amine
42	1-(2-chloro-4-pyridyl)-N-(3-methyl-5-pyrrolidin-1-yl-phenyl)-1,2,4-triazol-3-amine
488	1-(6-chloro-2-pyridyl)-N-(3-methyl-5-pyrrolidin-1-yl-phenyl)-1,2,4-triazol-3-amine
503	4-methyl-6-(3-morpholinoazetidin-1-yl)-N-[1-(2-pyridyl)-1,2,4-triazol-3-yl]pyridin-2-amine
504	N-[1-(2-fluoro-4-pyridyl)-1,2,4-triazol-3-yl]-4-methyl-6-(3-morpholinoazetidin-1-yl)pyridin-2-amine
507	N-[3-[4-(oxetan-3-yl)-1-piperidyl]-5-(trifluoromethyl)phenyl]-1-pyrazin-2-yl-1,2,4-triazol-3-amine
513	N-[3-(6-oxa-3-azabicyclo[3.1.1]heptan-3-yl)-5-(trifluoromethyl)phenyl]-1-pyrazin-2-yl-1,2,4-triazol-3-amine
514	N-[3-[4-(oxetan-3-yl)piperazin-1-yl]-5-(trifluoromethyl)phenyl]-1-pyrazin-2-yl-1,2,4-triazol-3-amine
529	N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-4-methyl-6-piperazin-1-yl-pyridin-2-amine
532	4-(difluoromethyl)-6-[4-(oxetan-3-yl)piperazin-1-yl]-N-[1-(2-pyridyl)-1,2,4-triazol-3-yl]pyridin-2-amine
533	tert-butyl 4-[6-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-4-methyl-2-pyridyl]piperazine-1-carboxylate
684	6-[4-(oxetan-3-yl)piperazin-1-yl]-N-[1-(3-pyridyl)-1,2,4-triazol-3-yl]-4-(trifluoromethyl)pyridin-2-amine
763	3-morpholino-5-[[1-(3-pyridyl)-1,2,4-triazol-3-yl]amino]benzonitrile
666	3-morpholino-5-[(1-pyrazin-2-yl-1,2,4-triazol-3-yl)amino]benzonitrile
842	3-[4-(oxetan-3-yl)piperazin-1-yl]-5-[[1-(3-pyridyl)-1,2,4-triazol-3-yl]amino]benzonitrile

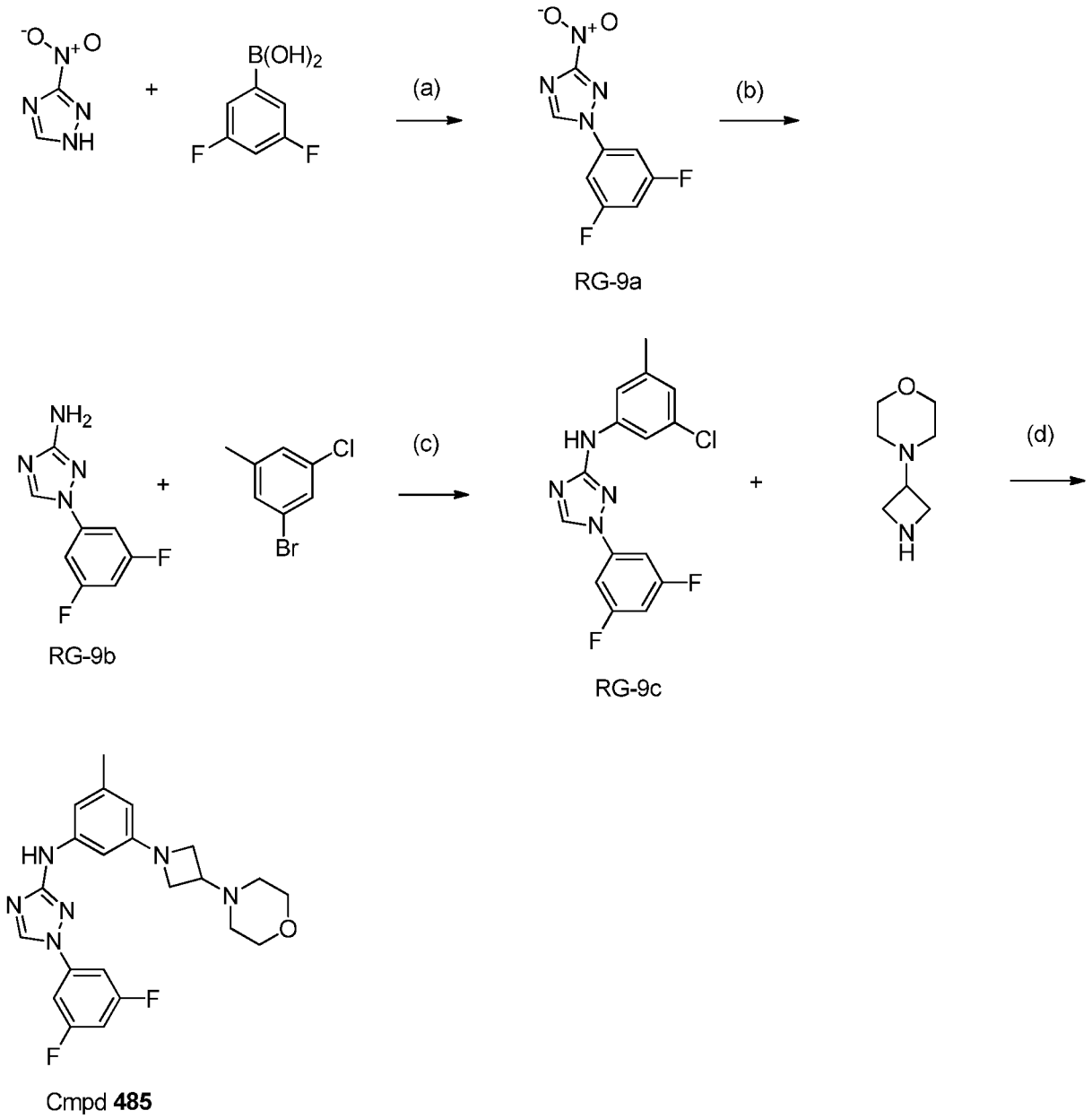
Scheme I: General Route I for Preparation of Compounds of Formula I or I'



Compounds of the invention may be prepared as generally outlined in Scheme I, where LG_1 and LG_2 are leaving groups such as Br or Cl, R^2, R^3, and R^{40} are as described for Scheme A, and –L^1–R^1 is bonded to the parent molecular moiety through a nitrogen or carbon atom.

EXAMPLE 20A

Preparation of 1-(3,5-difluorophenyl)-N-[3-methyl-5-(3-morpholinoazetidin-1-yl)phenyl]-1,2,4-triazol-3-amine (Compound **485**)



a) Cu(OAc)2, Pyridine, 4Å sieves, DCM, R.T.; (b) Pd/C, H2 ; (c) t-BuXPhos Palladacycle/t-BuOH, 1,4-dioxane, t-BuONa; (d) t-BuXPhos Palladacycle, t-BuOH, 1,4-dioxane, t-BuONa.

Preparation of 1-(3,5-difluorophenyl)-3-nitro-1*H*-1,2,4-triazole (**RG-9a**)

[00525] 3-Nitro-1H-1,2,4-triazole (5.10 g, 44.71 mmol), diacetoxycopper (12.18 g, 67.06 mmol), (3,5-difluorophenyl)boronic acid (10.59 g, 67.06 mmol), 4Å sieves (717.3 mg, 44.71 mmol) were mixed in DCE (200 mL) and pyridine (7.07 g, 7.23 mL, 89.42 mmol) was added.

The reaction was stirred at room temperature for 2 days. The solvent was removed under reduced pressure and the crude material was purified on silica gel (10-60% Hex: EtOAc) to afford 1-(3,5-difluorophenyl)-3-nitro-1*H*-1,2,4-triazole **RG-9a** (5.2 g, 20.2 mmol, 45%) 1H NMR (400 MHz, DMSO-d6) δ 9.65 (s, 1H), 7.89 - 7.71 (m, 2H), 7.53 (tt, J = 9.3, 2.3 Hz, 1H) ppm. ESI-MS m/z calc. 226.03023, found 227.03 (M+1)+;227.03 (M-1)+; Retention time: 2.72 minutes.

Preparation of 1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine (RG-9b)

[00526] 1-(3,5-difluorophenyl)-3-nitro-1,2,4-triazole **RG-9a** (16.6g, 73.4mM) was dissolved / suspended in 250 mL of MeOH and placed under a CO2 atmosphere before adding 10% Pd/C Degussa type 50% H2O; (2.5g, 0.03 mM). Reaction was placed under an atmosphere of H_2 (50psi) for 2.0 hours with agitation, then suctioned filtered the reaction through a pad of diatomaceous earth and washed with MeOH. Important note: much of the product resides on the pad due to it's insolubility. Washed everything back into the Parr bottle and diluted with 250ml 3:7 MeOH/THF with fresh catalyst and replaced under 50psi H2 for another 1.0 hours. note: no appreciable amounts of H2 taken up upon retreatment - hydroxyl amine is still present. Material was pulled through a pad of diatomaceous earth and washed with 7:3 THF/MeOH, until only the carbon remained and the solvents were removed under reduced pressure. Crude material was stirred in THF for one hour at ambient temperature, and isolated a light gray powder after suction filtration and washing with Et2O - filtrates retained. Note: most of the colour remains in filtrates and the precipitate has minimal amounts of the hydroxyl amine. Precipitate (8g) was suspended in 16 mL of TFE and 25 mL of THF and heated to reflux, stirred until cool and isolated precipitate via suction filtration. Filtrates from the previous trituration were evaporated to dryness in vacuo and re-suspended in 25 mL of THF, heated to reflux and likewise stirred until cool. The precipitate from this THF trituration was added to the TFE/THF filtrates retained from the 1st batch, boiled, cooled, and isolated via suction filtration and combined with the 1st material. Yielded 1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine **RG-9b** (10g, 45.9mmol, 63%). 1H NMR (400 MHz, Acetone-d6) δ 8.73 (s, 1H), 7.63 - 7.34 (m, 2H), 6.95 (tt, J = 9.1, 2.3 Hz, 1H), 5.30(brd s, 2H) ppm. ESI-MS m/z calc. 196.05605, found 197.0 (M+1)+; Retention time: 0.66 minutes.

Preparation of N-(3-chloro-5-methyl-phenyl)-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine (**RG-9c**)

1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine **RG-9b** (1.8 g, 9.176 mmol), 1-bromo-3-chloro-5-methyl-benzene (3.76 g, 18.30 mmol), sodium t-butoxide (1.9 g, 19.77 mmol) and t-BuXphos Palladacyle (365 mg, 0.495 mmol) were dissolved into dry t-BuOH (21 mL) and dry dioxane (7 mL) and purged with N2 for ~10 minutes. Stirred mixture at 90°C for 1h. LC/MS showed no remaining starting material so poured the reaction mixture into 250 mls of water and filtered. Washed the filter cake with additional water, then with methanol. Dried the filter cake in the high vac at 50°C overnight. Yielded N-(3-chloro-5-methyl-phenyl)-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine **RG-9c** (2.4 g, 7.260 mmol, 79 %) 1H NMR (300 MHz, DMSO-d6) δ 9.78 (s, 1H), 9.20 (s, 1H), 7.63 (dd, J = 8.6, 2.2 Hz, 2H), 7.55 (t, J = 1.8 Hz, 1H), 7.34 (s, 1H), 7.28 (m, 1H), 6.76 (s, 1H), 2.29 (s, 3H) ppm. ESI-MS m/z calc. 320.06403, found 321.07 (M+1)+; Retention time: 1.03 minutes.

Preparation of 1-(3,5-difluorophenyl)-N-[3-methyl-5-(3-morpholinoazetidin-1-yl)phenyl]-1,2,4-triazol-3-amine (Compound **485**)

Sodium t-butoxide (230 mg, 2.393 mmol), N-(3-chloro-5-methyl-phenyl)-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine **RG-9c** (200 mg, 0.5833 mmol), 4-(azetidin-3-yl)morpholine (125 mg, 0.8791 mmol), and t-BuXphos palladacycle (21mg, 0.031mmol) was added to a one dram vial. Diluted the solids with t-BuOH (3 mL) and dioxane (1 mL). Added a stir bar, flushed the reaction with nitrogen for 5 minutes, and sealed the vials. Heated to 50°C. LCMS showed after 30 minutes, very little desired product. Continued to stir for 6h. Little change. Added additional t-Bu Xphos palladacycle (21mg, 0.031mmol) from a different bottle of catalyst and heated for another 30 minutes. The reaction is now complete. Diluted with DCM (10mls) and washed organics with 50% saturated sodium bicarbonate. Combined organics from a previous run to purify in one batch. Passed the organics through a phase separator and concentrated to dryness. Diluted with 2mls of DMSO and purified on a 275gram C-18 AQ reverse phase column with a TFA modifier(0-100%ACN in water). Pooled desired pure tubes and diluted with DCM (10mls) and washed with 50% saturated sodium bicarbonate. Passed the organics through a phase separator containing a plug of florisil, and concentrated to dryness to yield the desired product 1-(3,5-difluorophenyl)-N-[3-methyl-5-(3-morpholinoazetidin-1-

yl)phenyl]-1,2,4-triazol-3-amine **485** (174 mg, 0.396 mmol, 68%) 1H NMR (300 MHz, DMSO-d6) δ 9.33 (s, 1H), 9.15 (s, 1H), 7.60 (d, J = 6.3 Hz, 2H), 7.24 (t, J = 9.2 Hz, 1H), 6.72 (d, J = 14.7 Hz, 2H), 5.82 (s, 1H), 3.89 (t, J = 7.2 Hz, 2H), 3.58 (m, 6H), 3.25 (m, 1H), 2.34 (m, 4H), 2.19 (s, 3H) ppm. ESI-MS m/z calc. 426.19797, found 427.25 (M+1)+; Retention time: 0.66 minutes.

[00527] Using the general synthetic scheme outlined in Scheme I and the experimental procedures listed above in Example 20A, the following compounds were prepared:

Cmpd No.	IUPAC Name
2	1-(3,5-difluorophenyl)-N-[3-(3-methoxyazetidin-1-yl)-5-methyl-phenyl]-1,2,4-triazol-3-amine
293	1-(3,5-difluorophenyl)-N-[3-(3-methoxy-1-piperidyl)-5-methyl-phenyl]-1,2,4-triazol-3-amine
116	1-(3,5-difluorophenyl)-N-[3-[3-(methoxymethyl)azetidin-1-yl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
279	1-(3,5-difluorophenyl)-N-[3-methyl-5-(2-oxa-7-azaspiro[3.5]nonan-7-yl)phenyl]-1,2,4-triazol-3-amine
11	N-[3-methyl-5-(2-oxa-7-azaspiro[3.5]nonan-7-yl)phenyl]-1-phenyl-1,2,4-triazol-3-amine
326	N-[3-(4-isopropylpiperazin-1-yl)-5-methyl-phenyl]-1-phenyl-1,2,4-triazol-3-amine
253	N-[3-[4-(2-methoxyethyl)piperazin-1-yl]-5-methyl-phenyl]-1-phenyl-1,2,4-triazol-3-amine
274	N-[3-(4-ethylpiperazin-1-yl)-5-methyl-phenyl]-1-phenyl-1,2,4-triazol-3-amine
214	1-cyclopropyl-4-[3-methyl-5-[(1-phenyl-1,2,4-triazol-3-yl)amino]phenyl]piperazin-2-one
6	3-methyl-1-[3-methyl-5-[(1-phenyl-1,2,4-triazol-3-yl)amino]phenyl]pyrrolidin-3-ol
369	N-[3-[(3aR,6aR)-1-methyl-2,3,3a,4,6,6a-hexahydropyrrolo[2,3-c]pyrrol-5-yl]-5-methyl-phenyl]-1-phenyl-1,2,4-triazol-3-amine
423	N-[3-methyl-5-[(3R,5S)-3,4,5-trimethylpiperazin-1-yl]phenyl]-1-phenyl-1,2,4-triazol-3-amine
455	N-[3-[4-(2-fluorophenyl)piperazin-1-yl]-5-methyl-phenyl]-1-phenyl-1,2,4-triazol-3-amine
289	N-[3-methyl-5-(4-tetrahydropyran-4-ylpiperazin-1-yl)phenyl]-1-phenyl-1,2,4-triazol-3-amine
461	2-methyl-1-[4-[3-methyl-5-[(1-phenyl-1,2,4-triazol-3-yl)amino]phenyl]piperazin-1-yl]propan-2-ol
398	N-[3-methyl-5-[4-(2,2,2-trifluoroethyl)piperazin-1-yl]phenyl]-1-phenyl-1,2,4-triazol-3-amine
465	N-[3-(2,5-dihydrofuran-3-yl)-5-morpholino-phenyl]-1-phenyl-

Cmpd No.	IUPAC Name
	1,2,4-triazol-3-amine
298	1-(3,5-difluorophenyl)-N-[3-(2,5-dihydrofuran-3-yl)-5-morpholino-phenyl]-1,2,4-triazol-3-amine
165	N-(3-morpholino-5-tetrahydrofuran-3-yl-phenyl)-1-phenyl-1,2,4-triazol-3-amine
456	1-(3,5-difluorophenyl)-N-(3-morpholino-5-tetrahydrofuran-3-yl-phenyl)-1,2,4-triazol-3-amine
89	N-[3-(1,1-dioxo-1,4-thiazinan-4-yl)-5-methyl-phenyl]-1-phenyl-1,2,4-triazol-3-amine
7	N-[3-methyl-5-(6-oxa-2-azaspiro[3.3]heptan-2-yl)phenyl]-1-phenyl-1,2,4-triazol-3-amine
148	N-[3-[4-(2-methoxyethyl)-1-piperidyl]-5-methyl-phenyl]-1-phenyl-1,2,4-triazol-3-amine
40	1-[4-[3-methyl-5-[(1-phenyl-1,2,4-triazol-3-yl)amino]anilino]-1-piperidyl]ethanone
112	1-(3,5-difluorophenyl)-N-[3-fluoro-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
485	1-(3,5-difluorophenyl)-N-[3-methyl-5-(3-morpholinoazetidin-1-yl)phenyl]-1,2,4-triazol-3-amine
506	1-(3,5-difluorophenyl)-N-[3-methyl-5-[3-(oxetan-3-yl)azetidin-1-yl]phenyl]-1,2,4-triazol-3-amine
508	1-(3,5-difluorophenyl)-N-[3-methyl-5-(3-oxa-6-azaspiro[3.3]heptan-6-yl)phenyl]-1,2,4-triazol-3-amine
510	1-(3,5-difluorophenyl)-N-[3-methyl-5-(3-pyrrolidin-1-ylazetidin-1-yl)phenyl]-1,2,4-triazol-3-amine
518	1-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-3-isopropyl-azetidin-3-ol
519	2-[1-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]azetidin-3-yl]propan-2-ol
520	N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-N1-(3-methoxycyclobutyl)-5-methyl-benzene-1,3-diamine
527	1-(3,5-difluorophenyl)-N-[3-methyl-5-(6-oxa-3-azabicyclo[3.1.1]heptan-3-yl)phenyl]-1,2,4-triazol-3-amine
528	N-[3-(3,3a,4,6,7,7a-hexahydro-2H-furo[3,2-c]pyridin-5-yl)-5-methyl-phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
197	N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-N1,5-dimethyl-N1-(oxetan-3-yl)benzene-1,3-diamine
240	N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N1-(oxetan-3-yl)benzene-1,3-diamine
325	1-(3,5-difluorophenyl)-N-[3-[2-(methoxymethyl)morpholin-4-yl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
349	1-(3,5-difluorophenyl)-N-[3-methyl-5-(9-methyl-2-oxa-6,9-diazaspiro[3.5]nonan-6-yl)phenyl]-1,2,4-triazol-3-amine

Cmpd No.	IUPAC Name
551	1-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-3-methyl-azetidin-3-ol
561	2-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-1,3,4,7,8,8a-hexahydropyrrolo[1,2-a]pyrazin-6-one
553	1-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-3-ethyl-azetidin-3-ol
161	1-[1-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-4-piperidyl]pyrrolidin-2-one
8	N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N1-tetrahydrofuran-3-yl-benzene-1,3-diamine
542	1-[1-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]azetidin-3-yl]pyrrolidin-2-one
566	N-[3-(3,4,6,7,9,9a-hexahydro-1H-pyrazino[2,1-c][1,4]oxazin-8-yl)-5-methyl-phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
164	1-(3,5-difluorophenyl)-N-[3-(3-methoxypyrrolidin-1-yl)-5-methyl-phenyl]-1,2,4-triazol-3-amine
541	1-(3,5-difluorophenyl)-N-[3-methyl-5-(2-oxa-8-azaspiro[3.5]nonan-8-yl)phenyl]-1,2,4-triazol-3-amine
552	1-(3,5-difluorophenyl)-N-[3-methyl-5-[3-(trifluoromethyl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
355	1-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]azetidin-3-ol
543	1-(3,5-difluorophenyl)-N-[3-methyl-5-(9-oxa-6-azaspiro[3.5]nonan-6-yl)phenyl]-1,2,4-triazol-3-amine
855	N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-[4-(2-methoxyethyl)-1-piperidyl]-4-methyl-pyridin-2-amine
683	1-(3,5-difluorophenyl)-N-[3-methyl-5-(3-methyl-3-morpholino-azetidin-1-yl)phenyl]-1,2,4-triazol-3-amine
602	1-(3,5-difluorophenyl)-N-[3-[3-(2,2-dimethylmorpholin-4-yl)azetidin-1-yl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
857	1-(3,5-difluorophenyl)-N-[3-[3-[(2R,6R)-2,6-dimethylmorpholin-4-yl]azetidin-1-yl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
617	1-(3,5-difluorophenyl)-N-[3-[3-(4-fluoro-1-piperidyl)azetidin-1-yl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
731	N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-4-methyl-6-(4-methylpiperazin-1-yl)pyridin-2-amine
702	6-(4-tert-butylpiperazin-1-yl)-N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-4-methyl-pyridin-2-amine
631	N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-4-methyl-6-(1,2,3,6-tetrahydropyridin-4-yl)pyridin-2-amine
744	N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-4-methyl-6-(4-piperidyl)pyridin-2-amine

Cmpd No.	IUPAC Name
707	6-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-4-[4-(oxetan-3-yl)piperazin-1-yl]pyridine-2-carbonitrile
774	N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-(3,6-dihydro-2H-pyran-4-yl)-4-methyl-pyridin-2-amine
801	N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-4-methyl-6-[1-(oxetan-3-yl)-4-piperidyl]pyridin-2-amine
724	1-(3,5-difluorophenyl)-N-[3-(2,5-dioxa-8-azaspiro[3.5]nonan-8-yl)-5-methyl-phenyl]-1,2,4-triazol-3-amine
831	N-[3-[(8aS)-7,7-difluoro-1,3,4,6,8,8a-hexahydropyrrolo[1,2-a]pyrazin-2-yl]-5-methyl-phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
634	1-(3,5-difluorophenyl)-N-[3-methyl-5-[3-(1-piperidyl)azetidin-1-yl]phenyl]-1,2,4-triazol-3-amine
690	[1-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]azetidin-3-yl]-morpholino-methanone
742	1-(3,5-difluorophenyl)-N-[3-methyl-5-[3-(6-oxa-3-azabicyclo[3.1.1]heptan-3-yl)azetidin-1-yl]phenyl]-1,2,4-triazol-3-amine
730	1-(3,5-difluorophenyl)-N-[3-methyl-5-[3-[(2S)-2-methylmorpholin-4-yl]azetidin-1-yl]phenyl]-1,2,4-triazol-3-amine
618	1-(3,5-difluorophenyl)-N-[3-[3-[(2R,6S)-2,6-dimethylmorpholin-4-yl]azetidin-1-yl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
696	1-(3,5-difluorophenyl)-N-[3-methyl-5-[3-[(2R)-2-methylmorpholin-4-yl]azetidin-1-yl]phenyl]-1,2,4-triazol-3-amine
800	1-(3,5-difluorophenyl)-N-[3-methyl-5-[3-[(3S)-3-methylmorpholin-4-yl]azetidin-1-yl]phenyl]-1,2,4-triazol-3-amine
670	1-(3,5-difluorophenyl)-N-[3-methyl-5-[3-[(3R)-3-methylmorpholin-4-yl]azetidin-1-yl]phenyl]-1,2,4-triazol-3-amine
711	1-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-N,N-dimethyl-azetidine-3-carboxamide
773	[1-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]azetidin-3-yl]-pyrrolidin-1-yl-methanone
817	1-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-N,N-diethyl-azetidine-3-carboxamide
824	1-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-N-(2-methoxyethyl)-N-methyl-azetidine-3-carboxamide
584	1-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-N-[(1S)-2-methoxy-1-methyl-ethyl]azetidine-3-carboxamide

Cmpd No.	IUPAC Name
722	1-(3,5-difluorophenyl)-N-[3-methyl-5-(3-oxa-8-azabicyclo[3.2.1]octan-8-yl)phenyl]-1,2,4-triazol-3-amine
727	1-(3,5-difluorophenyl)-N-[3-methyl-5-(2-oxa-5-azabicyclo[2.2.1]heptan-5-yl)phenyl]-1,2,4-triazol-3-amine
694	1-(3,5-difluorophenyl)-N-[3-methyl-5-(3-oxa-6-azabicyclo[3.1.1]heptan-6-yl)phenyl]-1,2,4-triazol-3-amine
692	1-(3,5-difluorophenyl)-N-[3-methyl-5-(8-oxa-3-azabicyclo[3.2.1]octan-3-yl)phenyl]-1,2,4-triazol-3-amine
746	1-(3,5-difluorophenyl)-N-[3-methyl-5-[3-(3-oxa-8-azabicyclo[3.2.1]octan-8-yl)azetidin-1-yl]phenyl]-1,2,4-triazol-3-amine
661	1-(3,5-difluorophenyl)-N-[3-[3-(1,1-dioxo-1,4-thiazinan-4-yl)azetidin-1-yl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
717	1-(3,5-difluorophenyl)-N-[3-methyl-5-[3-(8-oxa-3-azabicyclo[3.2.1]octan-3-yl)azetidin-1-yl]phenyl]-1,2,4-triazol-3-amine
586	1-(3,5-difluorophenyl)-N-[3-methyl-5-[3-(4-oxa-7-azaspiro[2.5]octan-7-yl)azetidin-1-yl]phenyl]-1,2,4-triazol-3-amine
788	1-(3,5-difluorophenyl)-N-[3-methyl-5-[3-(2-oxa-5-azabicyclo[2.2.1]heptan-5-yl)azetidin-1-yl]phenyl]-1,2,4-triazol-3-amine
720	1-(3,4-difluorophenyl)-N-[3-methyl-5-(3-morpholinoazetidin-1-yl)phenyl]-1,2,4-triazol-3-amine
866	1-(3,5-difluorophenyl)-N-[3-methyl-5-[3-(morpholinomethyl)azetidin-1-yl]phenyl]-1,2,4-triazol-3-amine
652	1-(3,5-difluorophenyl)-N-[3-methyl-5-[3-(5-oxa-2-azabicyclo[4.1.0]heptan-2-yl)azetidin-1-yl]phenyl]-1,2,4-triazol-3-amine
852	2-[3-fluoro-5-[3-[3-(3-fluoroazetidin-1-yl)-5-methyl-anilino]-1,2,4-triazol-1-yl]anilino]ethanol
766	N-[3-(3-fluoroazetidin-1-yl)-5-methyl-phenyl]-1-[3-fluoro-5-(2-methoxyethylamino)phenyl]-1,2,4-triazol-3-amine
791	2-[[1-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]azetidin-3-yl]amino]ethanol
816	1-(3,5-difluorophenyl)-N-[3-[3-(2-methoxyethylamino)azetidin-1-yl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
704	N-[3-methyl-5-(3-morpholinoazetidin-1-yl)phenyl]-1-phenyl-1,2,4-triazol-3-amine
828	5-methyl-N3-(1-methylpyrazol-3-yl)-N1-(1-phenyl-1,2,4-triazol-3-yl)benzene-1,3-diamine
604	N1-(1-ethyl-1,2,4-triazol-3-yl)-5-methyl-N3-(1-phenyl-1,2,4-triazol-3-yl)benzene-1,3-diamine

Cmpd No.	IUPAC Name
830	1-(3,5-difluorophenyl)-N-[3-isopropoxy-5-(3-morpholinoazetidin-1-yl)phenyl]-1,2,4-triazol-3-amine
653	1-(3,5-difluorophenyl)-N-[3-isopropoxy-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
797	N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N1-(3-morpholinocyclobutyl)benzene-1,3-diamine
799	N3-[1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N1-(3-morpholinocyclobutyl)benzene-1,3-diamine
822	1-(3,5-difluorophenyl)-N-[3-methyl-5-[(1S,4S)-2-oxa-5-azabicyclo[2.2.1]heptan-5-yl]phenyl]-1,2,4-triazol-3-amine
628	1-(3,5-difluorophenyl)-N-[3-methyl-5-[(1R,4R)-2-oxa-5-azabicyclo[2.2.1]heptan-5-yl]phenyl]-1,2,4-triazol-3-amine
669	N3-(1-isopropylpyrazol-3-yl)-5-methyl-N1-(1-phenyl-1,2,4-triazol-3-yl)benzene-1,3-diamine
802	N-[3-ethyl-5-[4-(3-methyloxetan-3-yl)piperazin-1-yl]phenyl]-1-(4-fluorophenyl)-1,2,4-triazol-3-amine
649	N1-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N3-(3-morpholinocyclobutyl)benzene-1,3-diamine
861	N1-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N3-(3-morpholinocyclobutyl)benzene-1,3-diamine
792	N1-[1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N3-(3-morpholinocyclobutyl)benzene-1,3-diamine
826	N1-[1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N3-(3-morpholinocyclobutyl)benzene-1,3-diamine
643	5-methyl-N3-(1-phenyl-1,2,4-triazol-3-yl)-N1-thiazol-2-yl-benzene-1,3-diamine
588	5-methyl-N1-(5-methylthiazol-2-yl)-N3-(1-phenyl-1,2,4-triazol-3-yl)benzene-1,3-diamine
676	5-methyl-N3-(1-methyl-1,2,4-triazol-3-yl)-N1-(1-phenyl-1,2,4-triazol-3-yl)benzene-1,3-diamine
838	5-methyl-N1-oxazol-2-yl-N3-(1-phenyl-1,2,4-triazol-3-yl)benzene-1,3-diamine
790	1-(3,5-difluorophenyl)-N-[2-fluoro-5-methyl-3-(3-morpholinoazetidin-1-yl)phenyl]-1,2,4-triazol-3-amine
743	1-(3,5-difluorophenyl)-N-[2-fluoro-5-methyl-3-(2-oxa-6-azaspiro[3.3]heptan-6-yl)phenyl]-1,2,4-triazol-3-amine
810	N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-2-fluoro-5-methyl-N1-tetrahydropyran-4-yl-benzene-1,3-diamine
765	N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-2-fluoro-5-methyl-N1-tetrahydrofuran-3-yl-benzene-1,3-diamine
699	5-methyl-N3-(5-methyl-1H-pyrazol-3-yl)-N1-(1-phenyl-1,2,4-triazol-3-yl)benzene-1,3-diamine
593	1-(3,5-difluorophenyl)-N-[3-methyl-5-[4-(2-

Cmpd No.	IUPAC Name
	morpholinoethyl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
850	1-(3,5-difluorophenyl)-N-[3-[4-(3-methoxypropyl)piperazin-1-yl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
771	1-(3,5-difluorophenyl)-N-[3-methyl-5-(3,3,4-trimethylpiperazin-1-yl)phenyl]-1,2,4-triazol-3-amine
738	N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-N1,5-dimethyl-N1-(2-morpholinoethyl)benzene-1,3-diamine
814	1-(3,5-difluorophenyl)-N-[3-methyl-5-(2-oxa-8-azaspiro[4.5]decan-8-yl)phenyl]-1,2,4-triazol-3-amine
582	[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperazin-1-yl]-morpholino-methanone
858	N1-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N3-(2-morpholinoethyl)benzene-1,3-diamine
589	[1-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-4-piperidyl]-morpholino-methanone
747	1-(3,5-difluorophenyl)-N-[3-[4-[3-(dimethylamino)propyl]piperazin-1-yl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
772	1-(3,5-difluorophenyl)-N-[3-methyl-5-[4-(4-methylpiperazin-1-yl)-1-piperidyl]phenyl]-1,2,4-triazol-3-amine
809	1-(3,5-difluorophenyl)-N-[3-[4-[2-(dimethylamino)ethyl]piperazin-1-yl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
745	N-[3-[4-(3,3-difluoroazetidin-1-yl)-1-piperidyl]-5-methyl-phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
948	1-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-N,N-dimethyl-piperidin-4-amine
1054	1-[1-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-4-piperidyl]piperidin-3-ol
1035	1-(3,5-difluorophenyl)-N-[3-methyl-5-(4-oxa-7-azaspiro[2.5]octan-7-yl)phenyl]-1,2,4-triazol-3-amine
1026	2-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-1-methyl-piperazin-2-yl]ethanol
875	2-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperazin-1-yl]cyclopentanol
1049	5-methyl-N1-(5-methyloxazol-2-yl)-N3-(1-phenyl-1,2,4-triazol-3-yl)benzene-1,3-diamine
1045	N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N1-[1-(tetrahydrofuran-3-ylmethyl)-4-piperidyl]benzene-1,3-diamine
917	N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-N1-[2-(2-ethylmorpholin-4-yl)ethyl]-5-methyl-benzene-1,3-diamine
951	N1-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N3-[(1-morpholinocyclopropyl)methyl]benzene-1,3-diamine

Cmpd No.	IUPAC Name
896	1-(3,5-difluorophenyl)-N-[3-[4-(3-methoxypropyl)-1,4-diazepan-1-yl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
911	1-(3,5-difluorophenyl)-N-[3-methyl-5-(3-morpholino-1-piperidyl)phenyl]-1,2,4-triazol-3-amine
895	N1-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N3-[(2-morpholinocyclopentyl)methyl]benzene-1,3-diamine
1023	1-(3,5-difluorophenyl)-N-[3-methyl-5-[4-(2-methylmorpholin-4-yl)-1-piperidyl]phenyl]-1,2,4-triazol-3-amine
1003	N1-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-N3-[2-(2,5-dimethylmorpholin-4-yl)ethyl]-5-methyl-benzene-1,3-diamine
968	N3-[(4-cyclopropylmorpholin-2-yl)methyl]-N1-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-benzene-1,3-diamine
905	1-cyclopentyl-4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperazin-2-one
1027	1-(3,5-difluorophenyl)-N-[3-methyl-5-[2-(pyrrolidin-1-ylmethyl)morpholin-4-yl]phenyl]-1,2,4-triazol-3-amine
1017	N-[3-[2-(diethylaminomethyl)morpholin-4-yl]-5-methyl-phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
1047	1-(3,5-difluorophenyl)-N-[3-methyl-5-[4-[(1-methyl-3-piperidyl)methyl]piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
945	1-(3,5-difluorophenyl)-N-[3-methyl-5-[4-(3-pyrrolidin-1-ylpropyl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
944	1-(3,5-difluorophenyl)-N-[3-methyl-5-[4-(2-pyrrolidin-1-ylethyl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
1025	1-(3,5-difluorophenyl)-N-[3-[4-(4-ethylpiperazin-1-yl)-1-piperidyl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
996	1-(3,5-difluorophenyl)-N-[3-(5-ethyl-2,5-diazabicyclo[2.2.1]heptan-2-yl)-5-methyl-phenyl]-1,2,4-triazol-3-amine
1020	N1-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N3-[(3-pyrrolidin-1-yloxetan-3-yl)methyl]benzene-1,3-diamine
897	N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-N1-(1-ethyl-3-piperidyl)-5-methyl-benzene-1,3-diamine
976	1-(3,5-difluorophenyl)-N-[3-(4-ethoxy-1-piperidyl)-5-methyl-phenyl]-1,2,4-triazol-3-amine
925	1-(3,5-difluorophenyl)-N-[3-methyl-5-[3-methyl-4-(1-methyl-4-piperidyl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
1038	1-(3,5-difluorophenyl)-N-[3-methyl-5-(4-pyrrolidin-1-yl-1-piperidyl)phenyl]-1,2,4-triazol-3-amine
1030	1-(3,5-difluorophenyl)-N-[3-[2-(2-methoxyethyl)morpholin-4-yl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
889	N-[3-(1,4-diazabicyclo[3.2.1]octan-4-yl)-5-methyl-phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine

Cmpd No.	IUPAC Name
1019	1-(3,5-difluorophenyl)-N-[3-(4-isopropoxy-1-piperidyl)-5-methyl-phenyl]-1,2,4-triazol-3-amine
1001	1-(3,5-difluorophenyl)-N-[3-[4-(4-methoxybutyl)piperazin-1-yl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
987	N-[3-[4-[2-(diethylamino)ethyl]piperazin-1-yl]-5-methyl-phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
1068	1-(3,5-difluorophenyl)-N-[3-[4-(4-methoxy-1-piperidyl)-1-piperidyl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
1006	1-(3,5-difluorophenyl)-N-[3-(4-methoxy-1-piperidyl)-5-methyl-phenyl]-1,2,4-triazol-3-amine
927	1-(3,5-difluorophenyl)-N-[3-[2-(isopropoxymethyl)morpholin-4-yl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
973	1-(3,5-difluorophenyl)-N-[3-[4-(2-ethoxyethyl)piperazin-1-yl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
956	1-(3,5-difluorophenyl)-N-[3-methyl-5-[4-(1-methyl-4-piperidyl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
949	1-(3,5-difluorophenyl)-N-[3-[4-(1,4-dioxan-2-ylmethyl)piperazin-1-yl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
1018	1-(3,5-difluorophenyl)-N-[3-[2-[(dimethylamino)methyl]morpholin-4-yl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
871	1-(3,5-difluorophenyl)-N-[3-methyl-5-(3-methyl-4-morpholino-pyrrolidin-1-yl)phenyl]-1,2,4-triazol-3-amine
903	N1-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-N3-[(4-isobutylmorpholin-2-yl)methyl]-5-methyl-benzene-1,3-diamine
1070	N1-(1-cyclobutyl-4-piperidyl)-N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-benzene-1,3-diamine
931	N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N1-(1-methyl-2-morpholino-ethyl)benzene-1,3-diamine
928	N1-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N3-[2-(2-methylmorpholin-4-yl)ethyl]benzene-1,3-diamine
1064	N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N1-(1-tetrahydrofuran-3-yl-4-piperidyl)benzene-1,3-diamine
890	1-(3,5-difluorophenyl)-N-[3-methyl-5-(3-tetrahydrofuran-3-ylazetidin-1-yl)phenyl]-1,2,4-triazol-3-amine
974	N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-N1-[2-(5-ethyl-2-methyl-morpholin-4-yl)ethyl]-5-methyl-benzene-1,3-diamine
1013	N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N1-(1-tetrahydropyran-4-yl-4-piperidyl)benzene-1,3-diamine
872	N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-N1-[2-(3-ethylmorpholin-4-yl)ethyl]-5-methyl-benzene-1,3-diamine
991	[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperazin-1-yl]-tetrahydropyran-4-yl-methanone

Cmpd No.	IUPAC Name
870	1-(3,5-difluorophenyl)-N-[2-fluoro-3-methyl-5-(3-morpholinoazetidin-1-yl)phenyl]-1,2,4-triazol-3-amine
984	1-(3,5-difluorophenyl)-N-[3-methyl-5-[4-(morpholinomethyl)-1-piperidyl]phenyl]-1,2,4-triazol-3-amine
1036	2-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperazin-1-yl]ethanol
1024	1-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-3-methyl-piperazin-1-yl]-2-methyl-propan-2-ol
912	N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N1-(2-morpholinocyclopentyl)benzene-1,3-diamine
1033	2-[2-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperazin-1-yl]ethoxy]ethanol
879	1-[1-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-4-piperidyl]piperidin-4-ol
1079	[1-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-3-fluoro-azetidin-3-yl]methanol
1078	1-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperazin-1-yl]-3-(dimethylamino)propan-2-ol
990	3-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperazin-1-yl]propan-1-ol
964	3-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperazin-1-yl]propan-1-ol
881	N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N1-[1-(oxetan-3-yl)azetidin-3-yl]benzene-1,3-diamine
985	N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N1-(2-morpholinobutyl)benzene-1,3-diamine
901	1-(3,5-difluorophenyl)-N-[3-methyl-5-(1-oxa-7-azaspiro[3.4]octan-7-yl)phenyl]-1,2,4-triazol-3-amine
1005	1-(3,5-difluorophenyl)-N-[3-[4-(2-isopropoxyethyl)piperazin-1-yl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
1067	4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-1-(2-methoxyethyl)piperazin-2-one
878	2-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperazin-1-yl]cyclohexanol
953	N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-4-fluoro-5-methyl-N1-tetrahydrofuran-3-yl-benzene-1,3-diamine
1062	N1-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N3-[(4-methylmorpholin-3-yl)methyl]benzene-1,3-diamine
958	2-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]morpholin-2-yl]ethanol
1016	N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-4-fluoro-5-methyl-N1-(3-methyloxetan-3-yl)benzene-1,3-diamine
1021	N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-4-fluoro-5-methyl-

Cmpd No.	IUPAC Name
	N1-tetrahydropyran-4-yl-benzene-1,3-diamine
988	1-(3,5-difluorophenyl)-N-[3-methyl-5-[4-(3-methylmorpholin-4-yl)-1-piperidyl]phenyl]-1,2,4-triazol-3-amine
877	3-[1-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-4-piperidyl]propan-1-ol
986	1-(3,5-difluorophenyl)-N-[3-methyl-5-[(3S)-3-pyrrolidin-1-ylpyrrolidin-1-yl]phenyl]-1,2,4-triazol-3-amine
995	1-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperazin-1-yl]propan-2-ol
1040	1-[1-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]pyrrolidin-3-yl]pyrrolidin-3-ol
1011	1-(3,5-difluorophenyl)-N-[3-[4-(2-methoxyethyl)-3-methyl-piperazin-1-yl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
880	N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N1-[1-(2-morpholinoethyl)pyrrolidin-3-yl]benzene-1,3-diamine
1082	N1-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N3-[2-(3-methylmorpholin-4-yl)ethyl]benzene-1,3-diamine
874	2-[1-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-4-piperidyl]ethanol
1050	N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-N1-[1-(2-methoxyethyl)-3-piperidyl]-5-methyl-benzene-1,3-diamine
1012	1-(3,5-difluorophenyl)-N-[3-methyl-5-[2-(morpholinomethyl)pyrrolidin-1-yl]phenyl]-1,2,4-triazol-3-amine
930	N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-N1-[1-(2-methoxyethyl)-4-piperidyl]-5-methyl-benzene-1,3-diamine
1010	1-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-4-pyrrolidin-1-yl-pyrrolidin-3-ol
1014	1-(3,5-difluorophenyl)-N-[3-methyl-5-(4-tetrahydrofuran-3-yloxy-1-piperidyl)phenyl]-1,2,4-triazol-3-amine
983	1-(3,5-difluorophenyl)-N-[3-methyl-5-[3-(morpholinomethyl)pyrrolidin-1-yl]phenyl]-1,2,4-triazol-3-amine
894	N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-N1-[1-(2-methoxyethyl)pyrrolidin-3-yl]-5-methyl-benzene-1,3-diamine
939	N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N1-(2-morpholinocyclohexyl)benzene-1,3-diamine
972	1-(3,5-difluorophenyl)-N-[3-methyl-5-(2-tetrahydrofuran-2-ylmorpholin-4-yl)phenyl]-1,2,4-triazol-3-amine
1063	1-(3,5-difluorophenyl)-N-[3-[4-(2-ethoxyethyl)-3-methyl-piperazin-1-yl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
936	1-(3,5-difluorophenyl)-N-[3-methyl-5-[4-(tetrahydrofuran-3-ylmethyl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
888	1-(3,5-difluorophenyl)-N-[3-methyl-5-(2-tetrahydrofuran-2-ylmorpholin-4-yl)phenyl]-1,2,4-triazol-3-amine

Cmpd No.	IUPAC Name
1077	[1-[[1-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]pyrrolidin-2-yl]methyl]pyrrolidin-2-yl]methanol
933	1-(3,5-difluorophenyl)-N-[3-[4-[2-(dimethylamino)ethoxy]-1-piperidyl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
904	2-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperazin-1-yl]butan-1-ol
893	N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-N1-[(4-ethylmorpholin-2-yl)methyl]-5-methyl-benzene-1,3-diamine
892	1-(3,5-difluorophenyl)-N-[3-methyl-5-[4-(1-methyl-3-piperidyl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
950	1-(3,5-difluorophenyl)-N-[3-[4-(2-fluoroethoxy)-1-piperidyl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
906	1-(3,5-difluorophenyl)-N-[3-(3,7-dioxa-10-azaspiro[5.6]dodecan-10-yl)-5-methyl-phenyl]-1,2,4-triazol-3-amine
954	1-(3,5-difluorophenyl)-N-[3-methyl-5-[2-[(4-methylpiperazin-1-yl)methyl]morpholin-4-yl]phenyl]-1,2,4-triazol-3-amine
962	1-(3,5-difluorophenyl)-N-[3-[4-(2-ethoxyethoxy)-1-piperidyl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
1057	1-(3,5-difluorophenyl)-N-[3-methyl-5-(8-oxa-4-azabicyclo[4.2.0]octan-4-yl)phenyl]-1,2,4-triazol-3-amine
1031	1-[3-[[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-anilino]methyl]azetidin-1-yl]ethanone
946	2-[3-[[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-anilino]methyl]azetidin-1-yl]propane-1,3-diol
1087	N-[3-[2-(cyclopropylmethoxymethyl)morpholin-4-yl]-5-methyl-phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
902	2-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-2-methyl-piperazin-1-yl]ethanol
960	N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N1-[1-(morpholinomethyl)propyl]benzene-1,3-diamine
924	1-(3,5-difluorophenyl)-N-[3-methyl-5-(7-oxa-1-azaspiro[3.5]nonan-1-yl)phenyl]-1,2,4-triazol-3-amine
1060	1-(3,5-difluorophenyl)-N-[3-methyl-5-(3-pyrrolidin-1-ylpyrrolidin-1-yl)phenyl]-1,2,4-triazol-3-amine
919	1-(3,5-difluorophenyl)-N-[3-methyl-5-[(2S)-2-(morpholinomethyl)pyrrolidin-1-yl]phenyl]-1,2,4-triazol-3-amine
1056	1-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-2-ethyl-piperazin-1-yl]propan-2-ol
981	N-[3-(3,4,4a,5,7,7a-hexahydro-2H-furo[3,4-b]pyridin-1-yl)-5-methyl-phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
1074	1-(3,5-difluorophenyl)-N-[3-methyl-5-[4-(2-pyrrolidin-1-ylethoxy)-1-piperidyl]phenyl]-1,2,4-triazol-3-amine
891	N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N1-[1-

Cmpd No.	IUPAC Name
	(tetrahydrofuran-2-ylmethyl)-4-piperidyl]benzene-1,3-diamine
1083	1-(3,5-difluorophenyl)-N-[3-methyl-5-(3-tetrahydrofuran-3-ylpyrrolidin-1-yl)phenyl]-1,2,4-triazol-3-amine
975	1-(3,5-difluorophenyl)-N-[3-methyl-5-[3-(4-methylpiperazin-1-yl)azetidin-1-yl]phenyl]-1,2,4-triazol-3-amine
957	1-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperazin-1-yl]butan-2-ol
915	N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-2-fluoro-5-methyl-N1-(oxetan-3-yl)benzene-1,3-diamine
1043	2-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-2-fluoro-5-methyl-phenyl]piperazin-1-yl]ethanol
969	N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-2-fluoro-N1,5-dimethyl-N1-(oxetan-3-yl)benzene-1,3-diamine
1015	2-[[1-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-4-piperidyl]-methyl-amino]ethanol
900	1-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperazin-1-yl]-3-ethoxy-propan-2-ol
941	1-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-2-methyl-piperazin-1-yl]-2-methyl-propan-2-ol
1073	[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]morpholin-2-yl]methanol
873	N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-N1-[1-(2-fluoroethyl)-3-piperidyl]-5-methyl-benzene-1,3-diamine
885	1-(3,5-difluorophenyl)-N-[3-methyl-5-[2,2,3,3,5,5,6,6-octadeuterio-4-(1,4-dioxan-2-ylmethyl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
935	1-(3,5-difluorophenyl)-N-[3-methyl-5-[2,2,3,3,5,5,6,6-octadeuterio-4-(2,2-difluoroethyl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
922	2-[2,2,3,3,5,5,6,6-octadeuterio-4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperazin-1-yl]ethanol
961	cyclopropyl-[2,2,3,3,5,5,6,6-octadeuterio-4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperazin-1-yl]methanone
1044	1-[2,2,3,3,5,5,6,6-octadeuterio-4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperazin-1-yl]ethanone
959	2,2,2-trideuterio-1-[2,2,3,3,5,5,6,6-octadeuterio-4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperazin-1-yl]ethanone
926	1-(3,5-difluorophenyl)-N-[3-methyl-5-(2,2,3,3,5,5,6,6-octadeuterio-4-tetrahydropyran-3-yl-piperazin-1-yl)phenyl]-1,2,4-triazol-3-amine

Cmpd No.	IUPAC Name
1042	1-(3,5-difluorophenyl)-N-[3-methyl-5-(2,2,3,3,5,5,6,6-octadeuterio-4-tetrahydrofuran-3-yl-piperazin-1-yl)phenyl]-1,2,4-triazol-3-amine
994	N-[3-(4-cyclobutyl-2,2,3,3,5,5,6,6-octadeuterio-piperazin-1-yl)-5-methyl-phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
947	1-(3,5-difluorophenyl)-N-[3-methyl-5-(2,2,3,3,5,5,6,6-octadeuterio-4-ethyl-piperazin-1-yl)phenyl]-1,2,4-triazol-3-amine
884	N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N1-(3-pyrrolidin-1-yltetrahydropyran-4-yl)benzene-1,3-diamine
914	1-(3,5-difluorophenyl)-N-[3-methyl-5-[4-(tetrahydrofuran-2-ylmethyl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
1046	N1-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N3-[(1-tetrahydropyran-4-yl-4-piperidyl)methyl]benzene-1,3-diamine
920	1-(3,5-difluorophenyl)-N-[3-methyl-5-(2-methyl-4-morpholino-pyrrolidin-1-yl)phenyl]-1,2,4-triazol-3-amine
1007	N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-2-fluoro-5-methyl-N1-(2-morpholinocyclopentyl)benzene-1,3-diamine
1072	1-(3,5-difluorophenyl)-N-[2-fluoro-3-(2-isopropyl-2,6-diazaspiro[3.3]heptan-6-yl)-5-methyl-phenyl]-1,2,4-triazol-3-amine
979	1-(3,5-difluorophenyl)-N-[3-methyl-5-[2,2,3,3,5,5,6,6-octadeuterio-4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
916	1-(3,5-difluorophenyl)-N-[3-methyl-5-(2,2,3,3,5,5,6,6-octadeuteriopiperazin-1-yl)phenyl]-1,2,4-triazol-3-amine
963	N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-N1-[2-(3-ethylmorpholin-4-yl)ethyl]-2-fluoro-5-methyl-benzene-1,3-diamine
943	N1-(1-cyclobutyl-4-piperidyl)-N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-2-fluoro-5-methyl-benzene-1,3-diamine
1086	N-[3-methyl-5-[4-[1,2,2,2-tetradeuterio-1-(trideuteriomethyl)ethyl]piperazin-1-yl]phenyl]-1-phenyl-1,2,4-triazol-3-amine
929	N-[3-[4-(1-deuterio-1-methyl-ethyl)piperazin-1-yl]-5-methyl-phenyl]-1-phenyl-1,2,4-triazol-3-amine
932	N1-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-N3-(1,4-dioxan-2-ylmethyl)-5-methyl-benzene-1,3-diamine
934	4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-anilino]-1-(2-methoxyethyl)pyrrolidin-2-one
937	N1-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-N3-[[1-(methoxymethyl)cyclopropyl]methyl]-5-methyl-benzene-1,3-diamine

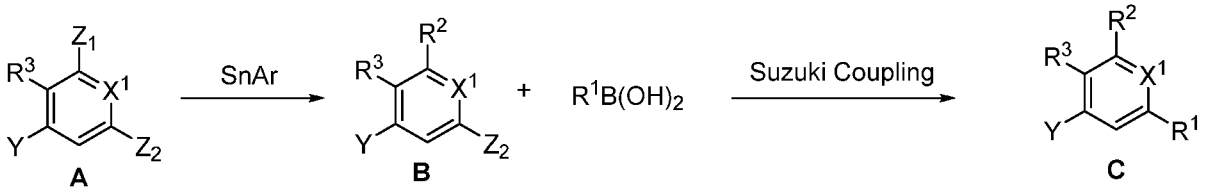
Cmpd No.	IUPAC Name
882	N1-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-N3-[2-(2,6-dimethylmorpholin-4-yl)propyl]-5-methyl-benzene-1,3-diamine
970	N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-N1-(2,2-dimethyltetrahydropyran-4-yl)-5-methyl-benzene-1,3-diamine
992	N1-[1-(2,2-difluoroethyl)-4-piperidyl]-N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-benzene-1,3-diamine
938	1-[[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-anilino]methyl]-N,N-dimethyl-cyclopentanecarboxamide
899	N1-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N3-(3,3,3-trifluoro-2-morpholino-propyl)benzene-1,3-diamine
1008	N3-[2-(cyclobutoxy)ethyl]-N1-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-benzene-1,3-diamine
1051	1-(3,5-difluorophenyl)-N-[3-methyl-5-[2-(methylsulfonylmethyl)pyrrolidin-1-yl]phenyl]-1,2,4-triazol-3-amine
1048	N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-N1-(2,6-dioxaspiro[4.5]decan-9-yl)-5-methyl-benzene-1,3-diamine
942	N3-(cyclopropylmethyl)-N1-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-benzene-1,3-diamine
918	N1-(2-cyclopropyltetrahydropyran-4-yl)-N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-benzene-1,3-diamine
1075	1-[3-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-anilino]-3-methyl-azetidin-1-yl]-2-methoxy-ethanone
1084	tert-butyl 3-[[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-anilino]methyl]azetidine-1-carboxylate
1037	1-(3,5-difluorophenyl)-N-[3-methyl-5-(3-methylsulfonylazetidin-1-yl)phenyl]-1,2,4-triazol-3-amine
1059	N1-(1-cyclopropylethyl)-N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-benzene-1,3-diamine
993	2-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-anilino]-1-pyrrolidin-1-yl-ethanone
940	N1-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N3-[2-(1-oxo-1,4-thiazinan-4-yl)ethyl]benzene-1,3-diamine
1004	N1-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N3-[(3-methyl-4,5-dihydroisoxazol-5-yl)methyl]benzene-1,3-diamine
1076	1-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-3-methyl-azetidine-3-carbonitrile
1029	N1-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-N3-[(1-methoxycyclobutyl)methyl]-5-methyl-benzene-1,3-diamine
921	2-[1-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]azetidin-3-yl]acetonitrile
887	1-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-anilino]-N,N-dimethyl-cyclobutanecarboxamide

Cmpd No.	IUPAC Name
1065	N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-N1-(2-methoxycyclopentyl)-5-methyl-benzene-1,3-diamine
1032	N-[3-(2,2,3,3,4,4,5,5,6,6-decadeuterio-1-piperidyl)-5-(trifluoromethyl)phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
876	N-[3-(2,2,3,3,4,4,5,5,6,6-decadeuterio-1-piperidyl)-5-(difluoromethyl)phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
883	cyclopropyl-[2,2,3,3,5,5,6,6-octadeuterio-4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-(trifluoromethyl)phenyl]piperazin-1-yl]methanone
1041	cyclopropyl-[2,2,3,3,5,5,6,6-octadeuterio-4-[3-(difluoromethyl)-5-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]phenyl]piperazin-1-yl]methanone
1066	1-(3,4-difluorophenyl)-N-[3-methyl-5-(2,2,3,3,5,5,6,6-octadeuteriomorpholin-4-yl)phenyl]-1,2,4-triazol-3-amine
982	1-(3,5-difluorophenyl)-N-[3-methyl-5-(2,2,3,3,5,5,6,6-octadeuteriomorpholin-4-yl)phenyl]-1,2,4-triazol-3-amine
1039	N-[3-[4-(3-deuteriotetrahydrofuran-3-yl)piperazin-1-yl]-5-methyl-phenyl]-1-phenyl-1,2,4-triazol-3-amine
1091	5-deuterio-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-[3-(trifluoromethyl)phenyl]-1,2,4-triazol-3-amine
1092	2-[1-[3-[[5-deuterio-1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]azetidin-3-yl]propan-2-ol
1095	N-[3-(2,2,3,3,4,4,5,5,6,6-decadeuterio-1-piperidyl)-5-(trifluoromethyl)phenyl]-1-(3,4-difluorophenyl)-1,2,4-triazol-3-amine
1096	cyclopropyl-[2,2,3,3,5,5,6,6-octadeuterio-4-[3-(difluoromethyl)-5-[[1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]amino]phenyl]piperazin-1-yl]methanone
1097	1-(3,5-difluorophenyl)-N-[3-methyl-5-[3-(2,2,3,3,5,5,6,6-octadeuteriomorpholin-4-yl)azetidin-1-yl]phenyl]-1,2,4-triazol-3-amine
1098	1-(3,4-difluorophenyl)-N-[3-methyl-5-[3-(2,2,3,3,5,5,6,6-octadeuteriomorpholin-4-yl)azetidin-1-yl]phenyl]-1,2,4-triazol-3-amine
1099	N-[3-(2,2,3,3,4,4,5,5,6,6-decadeuterio-1-piperidyl)-5-(difluoromethyl)phenyl]-1-(3,4-difluorophenyl)-1,2,4-triazol-3-amine
1109	N3-benzyl-N1-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-2-fluoro-5-methyl-benzene-1,3-diamine
1112	[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-2-fluoro-5-methyl-phenyl]piperazin-1-yl]-tetrahydropyran-4-yl-methanone

Cmpd No.	IUPAC Name
1113	1-(3,5-difluorophenyl)-N-[2-fluoro-5-methyl-3-[3-methyl-4-(1-methyl-4-piperidyl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
1114	N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-N1-[2-(2-ethylmorpholin-4-yl)ethyl]-2-fluoro-5-methyl-benzene-1,3-diamine
1115	N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-2-fluoro-5-methyl-N1-[1-(tetrahydrofuran-3-ylmethyl)-4-piperidyl]benzene-1,3-diamine
1116	N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-2-fluoro-5-methyl-N1-[1-(tetrahydrofuran-2-ylmethyl)-4-piperidyl]benzene-1,3-diamine
1117	1-(3,5-difluorophenyl)-N-[2-fluoro-5-methyl-3-[3-(4-methylpiperazin-1-yl)azetidin-1-yl]phenyl]-1,2,4-triazol-3-amine
1118	N3-[(4-cyclopropylmorpholin-2-yl)methyl]-N1-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-2-fluoro-5-methyl-benzene-1,3-diamine
1119	N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-2-fluoro-5-methyl-N1-(1-methyl-2-morpholino-ethyl)benzene-1,3-diamine
1120	1-(3,5-difluorophenyl)-N-[2-fluoro-3-[2-(isopropoxymethyl)morpholin-4-yl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
1121	N1-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-2-fluoro-5-methyl-N3-[(1-morpholinocyclopropyl)methyl]benzene-1,3-diamine
1122	1-(3,5-difluorophenyl)-N-[2-fluoro-5-methyl-3-(3-tetrahydrofuran-3-ylazetidin-1-yl)phenyl]-1,2,4-triazol-3-amine
1123	1-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-2-fluoro-5-methyl-phenyl]-2-methyl-piperazin-1-yl]-2-methyl-propan-2-ol

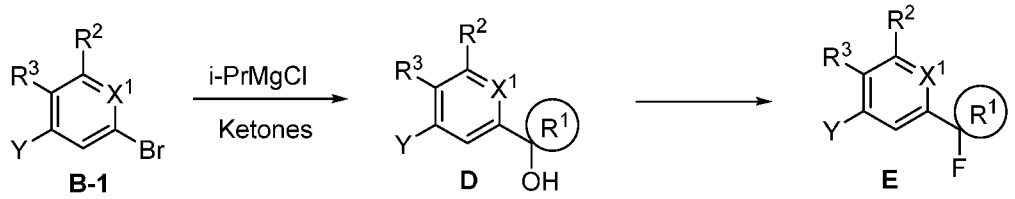
.

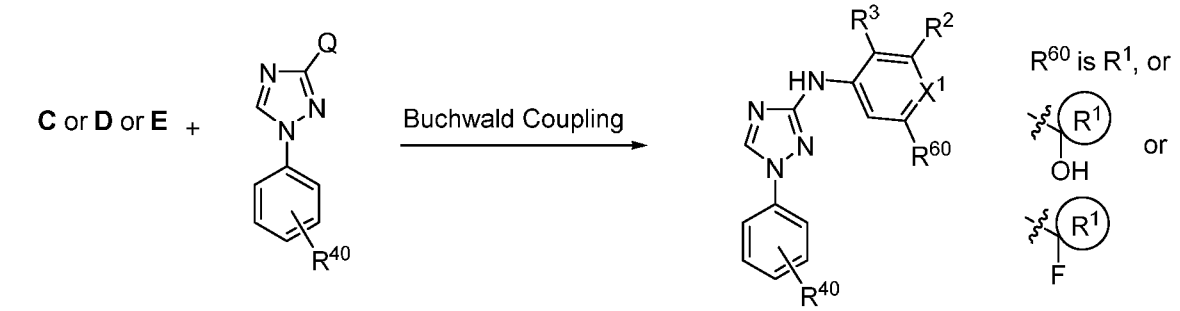
Scheme J: General Route J for Preparation of Compounds of Formula I or I'

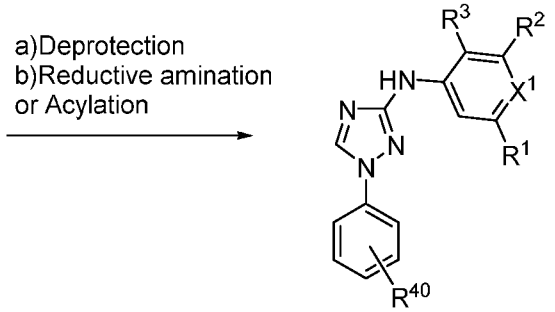


Either starting from **A** or **B**

OR

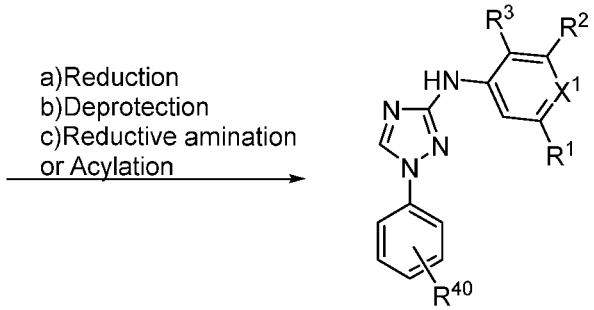






X^1=CH,N
Y=NH_2,Cl,Br
Z_1,Z_2=Cl,Br
Q=NH_2,Br

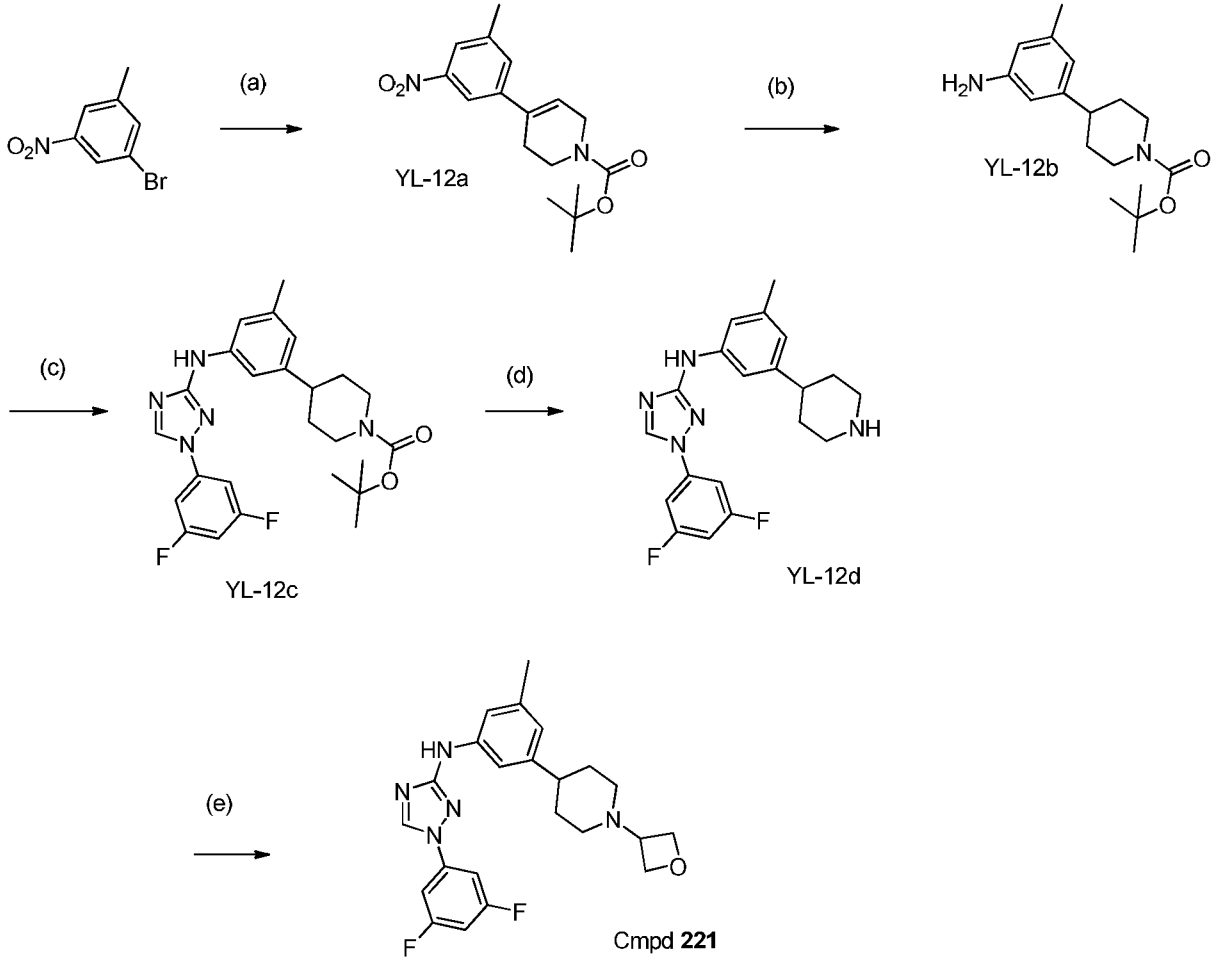
Or



Compounds of the invention may be prepared as generally outlined in Scheme J, where R^2, R^3, R^{40}, and X^1 are as described for Scheme A. The group R^1 represents an R^1 group, or protected derivative thereof, attached to the parent molecule by a carbon atom. The methods of Scheme J are useful for preparing compounds where L^1 is a bond and R^1 is bonded to the parent molecular moiety by a carbon atom in R^1, including those having a hydroxyl or halo at the point of attachment on R^1 (e.g., **D** or **E** in Scheme J). Functional groups present in R^1 (e.g., amine) may be subject to further manipulation such as the steps of deprotection, reductive amination, and/or acylation to arrive at further compounds of the invention.

EXAMPLE 21

Preparation of 1-(3,5-difluorophenyl)-N-[3-methyl-5-[1-(oxetan-3-yl)-4-piperidyl]phenyl]-1,2,4-triazol-3-amine (Compound **221**)



Reagents and conditions: (a) t-butyl 4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-3,6-dihydro-2H-pyridine-1-carboxylate, Pd(dppf)Cl_2.DCM, 130 °C; (b) H_2, Pd/C, EtOAc; (c) 1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine, t-BuXPhos Palladacycle, NaOtBu, dioxane, 45°C; (d) TFA, DCM; (e) oxetan-3-one, NaBH$(OAc)_3$, HOAc, DCM.

Preparation of t-butyl 4-(3-methyl-5-nitro-phenyl)-3,6-dihydro-2H-pyridine-1-carboxylate (**YL-12a**)

[00528] A mixture of 1-bromo-3-methyl-5-nitro-benzene; (3.18g, 14.7mM) and t-butyl 4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-3,6-dihydro-2H-pyridine-1-carboxylate, (5g, 16.17mM) in dry dioxane (80 mL) was purged with N_2 for several minutes. Na_2CO_3 (20 mL; 2M) and Pd(dppf)Cl_2.DCM (1.08g, 1.47mM) was added and the reaction was heated at 70 °C for 3 hours. Pumped down solvent and the residue was partitioned between EtOAc and water. Organic phase was washed with water, brine, dried (Na_2SO_4) and solvent was removed under reduced pressure. Crude product was purified on SiO_2 with DCM => EtOAc 0 -50% to give t-butyl 4-(3-methyl-5-nitro-phenyl)-3,6-dihydro-2H-pyridine-1-carboxylate, **YL-12a** (2.7 g, 46.7%) ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.02 (s, 1H), 7.92 (s, 1H), 7.49 (s, 1H), 6.16 (s, 1H), 4.11 (t, J = 7.1 Hz, 3H), 3.66 (t, J = 5.6 Hz, 2H), 2.54 (s, 2H), 2.46 (s, 3H), 1.50 (s, 9H) ppm. ESI-MS m/z calc. 318.16, found 320.0 (M+1)+; Retention time: 0.93 minutes.

Preparation of *t*-butyl 4-(3-amino-5-methyl-phenyl)piperidine-1-carboxylate (**YL-12b**)

[00529] To *t*-butyl 4-(3-methyl-5-nitro-phenyl)-3,6-dihydro-2H-pyridine-1-carboxylate (2.25g, 7.07mM) in MeOH (100 mL) under N_2, was added Pd on C, wet, Degussa (500 mg) and the reaction mixture was shaken under H_2 (50psi) for 2h. After filtration, the solvent was removed under reduced pressure to give t-butyl 4-(3-amino-5-methyl-phenyl)piperidine-1-carboxylate **YL-12b** (1.93 g, 84.7%). ^{1}H NMR (400 MHz, $CDCl_3$) δ 6.43 (s, 1H), 6.37 (s, 1H), 6.34 (s, 1H), 4.22 (s, 2H), 3.58 (s, 2H), 2.76 (s, 2H), 2.58 - 2.39 (m, 1H), 2.24 (s, 3H), 1.77 (d, J = 12.8 Hz, 2H), 1.67 - 1.50 (m, 2H), 1.48 (s, 9H) ppm. ESI-MS m/z calc. 290.20, found 291.0 (M+1)+; Retention time: 0.64 minutes.

Preparation of t-butyl 4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperidine-1-carboxylate (**YL-12c**)

[00530] A mixture of 3-bromo-1-(3,5-difluorophenyl)-1,2,4-triazole (407 mg, 1.56 mmol) and *t*-butyl 4-(3-amino-5-methyl-phenyl)piperidine-1-carboxylate (500 mg, 1.72 mmol) in 10 mL of

dry t-BuOH was purged with N_2 for several minutes. t-BuXPhos Palladacycle (100 mg, 0.16 mM) and sodium t-butoxide (225 mg, 2.35 mM) were added and the reaction mixture was heated at 30 °C under N_2 for 1h. Reaction was quenched with MeOH and the solvent was pumped down. The residue was partitioned between EtOAc and water. The organic phase was washed with water, brine and dried (Na_2SO_4). Pumped down solvent. Crude materials were suspended in Et_2O and heated to reflux and cooled to RT. The solid was isolated, washed with Et_2O, hexanes and dried to give t-butyl 4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperidine-1-carboxylate **YL-12c** (476 mg, 52.5%). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.34 (s, 1H), 7.24 (d, J = 2.0 Hz, 1H), 7.21 (s, 1H), 7.17 (s, 1H), 6.83 - 6.76 (m, 1H), 6.67 (s, 1H), 4.25 (d, J = 12.2 Hz, 2H), 2.81 (t, J = 11.9 Hz, 2H), 2.72 - 2.50 (m, 1H), 2.36 (s, 2H), 1.85 (d, J = 12.9 Hz, 2H), 1.66 (ddd, J = 21.1, 15.7, 11.5 Hz, 4H), 1.49 (s, 9H) ppm. ESI-MS m/z calc. 469.23, found 470.0 (M+1)+; Retention time: 1.01 minutes.

Preparation of 1-(3,5-difluorophenyl-[3-methyl-5-(4-piperidyl)phenyl]-1,2,4-triazol-3-amine **(YL-12d)**

[00531] To a solution of tert-butyl 4-[3-[[1-(3,5-difluoro phenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperidine-1-carboxylate (476 m g, 1.1 mmol) in DCM (10 mL) was added TFA (2 mL). The resultant mixture was stirred under N_2 for 2h. Pumped down solvent. The residue was partitioned between EtOAc and sat'd Na_2CO_3. The organic layer was washed with brine and dried with Na_2SO_4. Pumped down solvent. Crude product were then triturated with hexanes/DCM (3:1) to give 1-(3,5-difluorophenyl-[3-methyl-5-(4-piperidyl)phenyl]-1,2,4-triazol-3-amine **YL-12d** (300 mg, 60%). ^{1}H NMR (400 MHz, Acetone-d6) δ 8.92 (s, 1H), 8.37 (s, 1H), 7.63 - 7.53 (m, 2H), 7.45 (s, 1H), 7.41 (s, 1H), 7.00 (tt, J = 9.1, 2.3 Hz, 1H), 6.65 (s, 1H), 3.11 (d, J = 11.9 Hz, 2H), 2.78 - 2.63 (m, 8H), 2.57 (tt, J = 11.9, 3.7 Hz, 1H), 2.32 (s, 3H), 1.82 - 1.73 (m, 2H), 1.63 (qd, J = 12.4, 4.0 Hz, 2H) ppm. ESI-MS m/z calc. 369.18, found 370.0 (M+1)+; Retention time: 0.62 minutes

Preparation of 1-(3,5-difluorophenyl)-N-[3-methyl-5-[1-(oxetan-3-yl)-4-piperidyl]phenyl]-1,2,4-triazol-3-amine (Compound **221**)

[00532] To a solution of 1-(3,5-difluorophenyl)-N-[3-methyl-5-(4-piperidyl)phenyl]-1,2,4-triazol-3-amine (129 mg, 0.31 mmol), oxetan-3-one **YL-12d** (226 mg, 3.14 mmol) and acetic acid (107 µL, 1.89 mmol) in dichloromethane (5.5 mL) was added $NaBH(OAc)_3$ (400 mg, 1.89

mmol). The mixture was stirred for 4h. Reaction was diluted with DCM and quenched with MeOH and sat. $NaHCO_3$ (3mL). After separation, the organic layer was washed with water, sat NaCl and dried. Pumped down solvent. ISCO purifications (12g silica; 0% to 5% to 10% of MeOH in DCM) gave 1-(3,5-difluorophenyl)-N-[3-methyl-5-[1-(oxetan-3-yl)-4-piperidyl]phenyl]-1,2,4-triazol-3-amine, cmpd **221** (123 mg, 87%) ^{1}H NMR (300 MHz, DMSO-d6) δ 9.41 (s, 1H), 9.16 (s, 1H), 7.62 (dd, J = 8.7, 2.2 Hz, 2H), 7.35 (s, 1H), 7.30 - 7.18 (m, 2H), 6.59 (s, 1H), 4.55 (t, J = 6.5 Hz, 2H), 4.45 (t, J = 6.1 Hz, 2H), 3.48 - 3.35 (m, 1H), 2.79 (d, J = 11.1 Hz, 2H), 2.47 - 2.34 (m, 1H), 2.27 (s, 3H), 1.93 - 1.55 (m, 6H) ppm. ESI-MS m/z calc. 425.20, found 426.46 (M+1)+; Retention time: 0.67 minutes.

[00533] Using the general synthetic scheme outlined in Scheme J and the experimental procedures in Example 21 the following compounds were prepared:

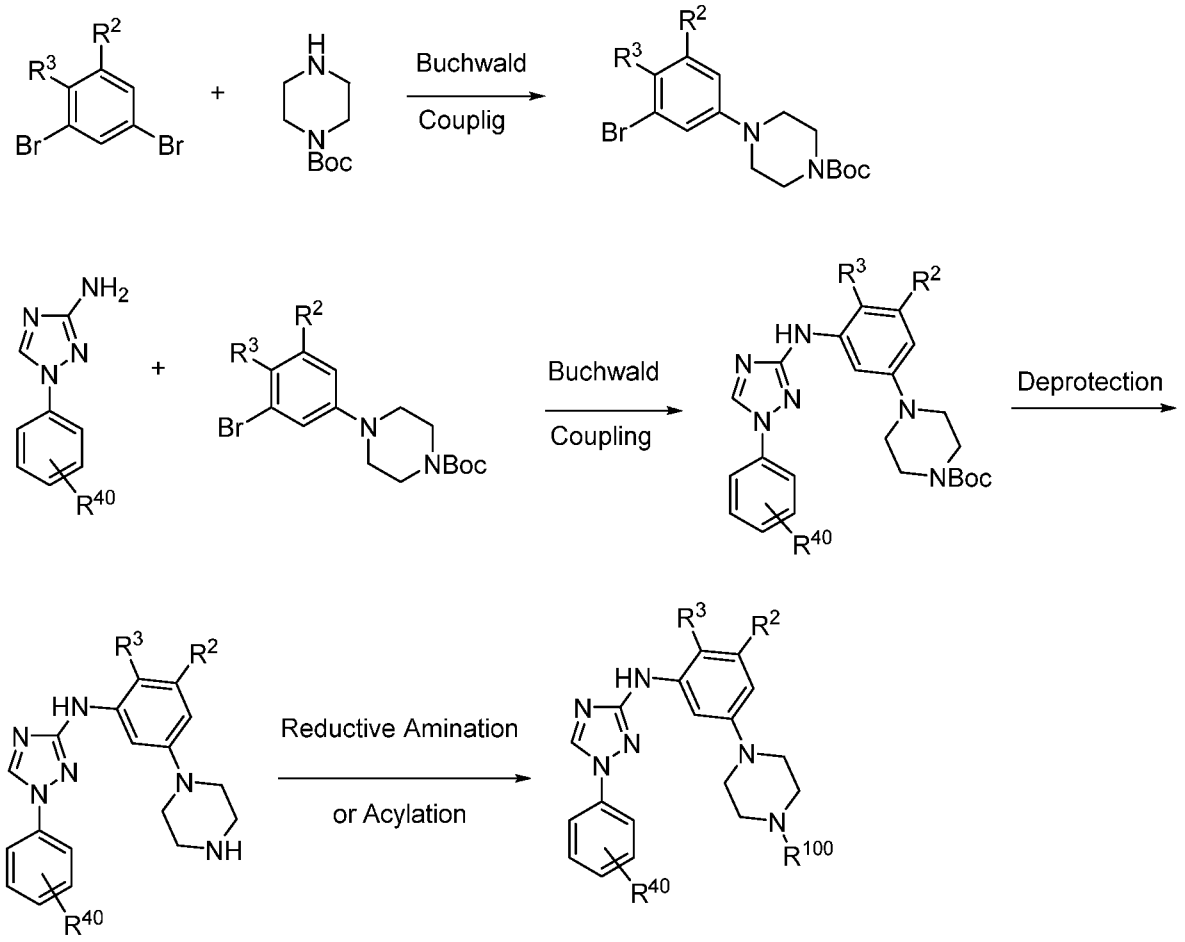
Cmpd No.	IUPAC Name
61	1-(3,5-difluorophenyl)-N-[3-methyl-5-[1-(oxetan-3-yl)-3,6-dihydro-2H-pyridin-4-yl]phenyl]-1,2,4-triazol-3-amine
315	N-[3,5-bis(3,6-dihydro-2H-pyran-4-yl)phenyl]-1-phenyl-1,2,4-triazol-3-amine
250	N-[3,5-di(tetrahydropyran-4-yl)phenyl]-1-(3-pyridyl)-1,2,4-triazol-3-amine
10	N-[3,5-di(tetrahydropyran-4-yl)phenyl]-1-phenyl-1,2,4-triazol-3-amine
234	N-[3,5-bis(2,5-dihydrofuran-3-yl)phenyl]-1-phenyl-1,2,4-triazol-3-amine
71	N-[3-methyl-5-[1-(oxetan-3-yl)-4-piperidyl]phenyl]-1-phenyl-1,2,4-triazol-3-amine
221	1-(3,5-difluorophenyl)-N-[3-methyl-5-[1-(oxetan-3-yl)-4-piperidyl]phenyl]-1,2,4-triazol-3-amine
294	N-[3-methyl-5-[1-(3-methyloxetan-3-yl)-4-piperidyl]phenyl]-1-phenyl-1,2,4-triazol-3-amine
51	N-[3-[1-[3-(benzenesulfonylmethyl)oxetan-3-yl]-4-piperidyl]-5-methyl-phenyl]-1-phenyl-1,2,4-triazol-3-amine
483	N-[3-methyl-5-[1-[(3-methyloxetan-3-yl)methyl]-4-piperidyl]phenyl]-1-phenyl-1,2,4-triazol-3-amine
39	N-(3-methyl-5-pyrrolidin-3-yl-phenyl)-1-phenyl-1,2,4-triazol-3-amine
443	1-[3-[3-methyl-5-[(1-phenyl-1,2,4-triazol-3-yl)amino]phenyl]pyrrolidin-1-yl]ethanone
273	N-[3-[1-(2,2-difluoroethyl)pyrrolidin-3-yl]-5-methyl-phenyl]-1-phenyl-1,2,4-triazol-3-amine
452	N-(3-fluoro-5-pyrrolidin-3-yl-phenyl)-1-phenyl-1,2,4-triazol-3-

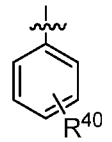
Cmpd No.	IUPAC Name
	amine
308	N-[3-methyl-5-(4-piperidyl)phenyl]-1-phenyl-1,2,4-triazol-3-amine
108	1-(3,5-difluorophenyl)-N-[3-methyl-5-(4-piperidyl)phenyl]-1,2,4-triazol-3-amine
333	N-[3-methyl-5-(1-methyl-4-piperidyl)phenyl]-1-phenyl-1,2,4-triazol-3-amine
151	1-(3,5-difluorophenyl)-N-[3-methyl-5-(1-methyl-4-piperidyl)phenyl]-1,2,4-triazol-3-amine
316	N-[3-methyl-5-(3-piperidyl)phenyl]-1-phenyl-1,2,4-triazol-3-amine
429	1-(3,5-difluorophenyl)-N-[3-methyl-5-(3-piperidyl)phenyl]-1,2,4-triazol-3-amine
60	N-[3-methyl-5-(1-methyl-3-piperidyl)phenyl]-1-phenyl-1,2,4-triazol-3-amine
328	1-(3,5-difluorophenyl)-N-[3-methyl-5-(1-methyl-3-piperidyl)phenyl]-1,2,4-triazol-3-amine
117	1-[3-[3-methyl-5-[(1-phenyl-1,2,4-triazol-3-yl)amino]phenyl]-1-piperidyl]ethanone
266	1-[3-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-1-piperidyl]ethanone
194	1-[4-[3-methyl-5-[(1-phenyl-1,2,4-triazol-3-yl)amino]phenyl]-1-piperidyl]ethanone
90	1-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-1-piperidyl]ethanone
340	N-[3-(1-cyclopropyl-3-piperidyl)-5-methyl-phenyl]-1-phenyl-1,2,4-triazol-3-amine
120	N-[3-(1-cyclopropyl-4-piperidyl)-5-methyl-phenyl]-1-phenyl-1,2,4-triazol-3-amine
466	N-[3-(1-cyclopropyl-3-piperidyl)-5-methyl-phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
261	N-[3-(1-cyclopropyl-4-piperidyl)-5-methyl-phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
143	methyl 3-[3-methyl-5-[(1-phenyl-1,2,4-triazol-3-yl)amino]phenyl]piperidine-1-carboxylate
12	methyl 4-[3-methyl-5-[(1-phenyl-1,2,4-triazol-3-yl)amino]phenyl]piperidine-1-carboxylate
25	1-(3,5-difluorophenyl)-N-[3-methyl-5-[1-(oxetan-3-yl)pyrrolidin-3-yl]phenyl]-1,2,4-triazol-3-amine
473	1-(3,5-difluorophenyl)-N-[3-methyl-5-[(3R)-1-(oxetan-3-yl)pyrrolidin-3-yl]phenyl]-1,2,4-triazol-3-amine
92	1-(3,5-difluorophenyl)-N-[3-methyl-5-[(3S)-1-(oxetan-3-yl)pyrrolidin-3-yl]phenyl]-1,2,4-triazol-3-amine

Cmpd No.	IUPAC Name
230	N-[3-fluoro-5-[1-(oxetan-3-yl)pyrrolidin-3-yl]phenyl]-1-(3-fluorophenyl)-1,2,4-triazol-3-amine
268	1-(3,5-difluorophenyl)-N-[3-fluoro-5-[1-(oxetan-3-yl)pyrrolidin-3-yl]phenyl]-1,2,4-triazol-3-amine
382	1-(3-fluorophenyl)-N-[3-methyl-5-[1-(oxetan-3-yl)pyrrolidin-3-yl]phenyl]-1,2,4-triazol-3-amine
260	N-[3-methyl-5-[1-(oxetan-3-yl)pyrrolidin-3-yl]phenyl]-1-phenyl-1,2,4-triazol-3-amine
416	3-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-1-(oxetan-3-yl)pyrrolidin-3-ol
160	N-[3-methyl-5-[1-(oxetan-3-yl)-2,5-dihydropyrrol-3-yl]phenyl]-1-phenyl-1,2,4-triazol-3-amine
18	N-[3-[3-fluoro-1-(oxetan-3-yl)pyrrolidin-3-yl]-5-methyl-phenyl]-1-phenyl-1,2,4-triazol-3-amine
193	1-(3,5-difluorophenyl)-N-[3-[3-fluoro-1-(oxetan-3-yl)pyrrolidin-3-yl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
242	3-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-1-methyl-pyrrolidin-3-ol
23	1-(3,5-difluorophenyl)-N-[3-(3-fluoro-1-methyl-pyrrolidin-3-yl)-5-methyl-phenyl]-1,2,4-triazol-3-amine
101	(3S)-3-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-1-(oxetan-3-yl)pyrrolidin-3-ol
487	(3R)-3-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-1-(oxetan-3-yl)pyrrolidin-3-ol
248	N-[3-methyl-5-[1-(oxetan-3-yl)-3-piperidyl]phenyl]-1-phenyl-1,2,4-triazol-3-amine
408	1-(3,5-difluorophenyl)-N-[3-methyl-5-[1-(oxetan-3-yl)-3-piperidyl]phenyl]-1,2,4-triazol-3-amine
180	N-[3-methyl-5-[1-(oxetan-3-yl)-3-piperidyl]phenyl]-1-pyrimidin-4-yl-1,2,4-triazol-3-amine
74	N-[3-methyl-5-[1-(oxetan-3-yl)-3-piperidyl]phenyl]-1-pyrazin-2-yl-1,2,4-triazol-3-amine
449	1-[3-[3-methyl-5-[(1-pyrimidin-4-yl-1,2,4-triazol-3-yl)amino]phenyl]-1-piperidyl]ethanone
515	1-(3,5-difluorophenyl)-N-[3-[4-fluoro-1-(oxetan-3-yl)-4-piperidyl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
516	4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-1-(oxetan-3-yl)piperidin-4-ol
613	5-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-3,5-dimethyl-oxazolidin-2-one
846	3,5-dimethyl-5-[3-methyl-5-[(1-pyrazin-2-yl-1,2,4-triazol-3-yl)amino]phenyl]oxazolidin-2-one
658	5-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-

Cmpd No.	IUPAC Name
	phenyl]-5-methyl-oxazolidin-2-one
741	5-methyl-5-[3-methyl-5-[(1-pyrazin-2-yl-1,2,4-triazol-3-yl)amino]phenyl]oxazolidin-2-one
611	(5S)-5-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-3,5-dimethyl-oxazolidin-2-one
796	(5R)-5-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-3,5-dimethyl-oxazolidin-2-one
603	(5S)-5-methyl-5-[3-methyl-5-[(1-pyrazin-2-yl-1,2,4-triazol-3-yl)amino]phenyl]oxazolidin-2-one
682	(5R)-5-methyl-5-[3-methyl-5-[(1-pyrazin-2-yl-1,2,4-triazol-3-yl)amino]phenyl]oxazolidin-2-one
679	(5S)-5-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-5-methyl-oxazolidin-2-one
655	(5R)-5-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-5-methyl-oxazolidin-2-one
755	1-[6-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-4-methyl-2-pyridyl]piperidin-3-ol

Scheme K: General Route K for Preparation of Compounds of Formula I or I'

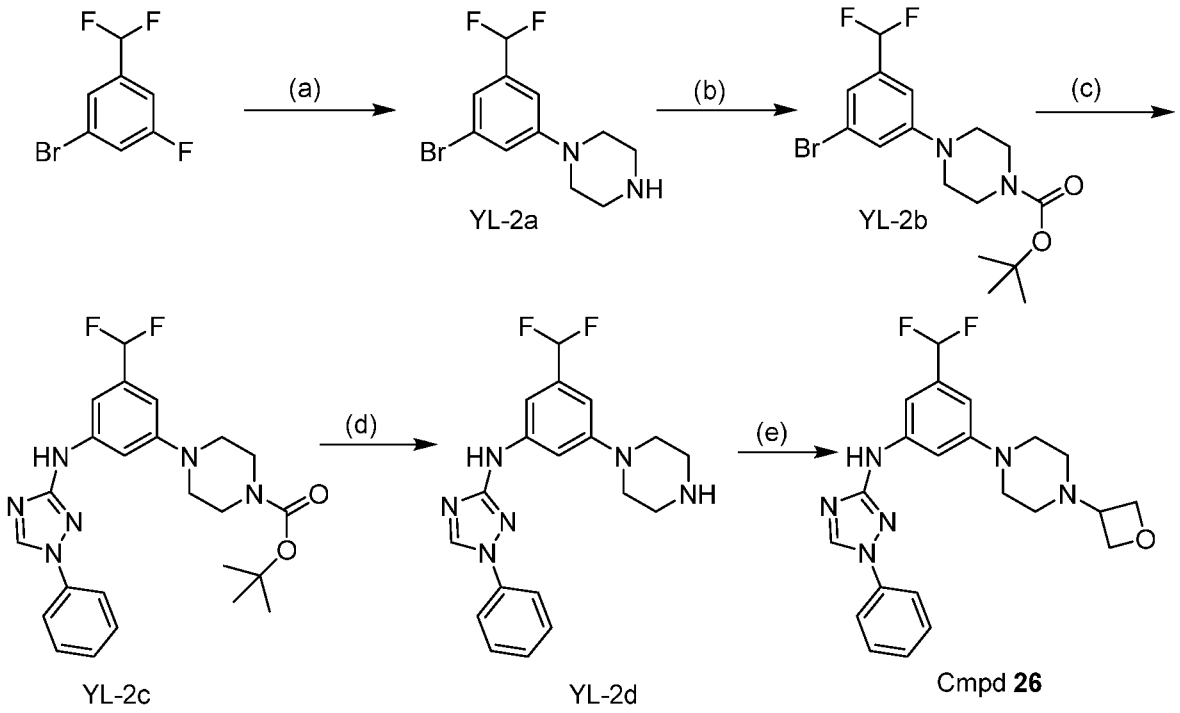



is substituted phenyl or heteroaryl

Compounds of the invention may be prepared as generally outlined in Scheme K, where R^2, R^3, R^{40}, and R^{100} are as described for Scheme A. The methods of Scheme K are useful for preparing compounds where R^{100} is attached by reduction amination or acylation of an amine group. The methods of Scheme K may also be applied to other variations of L^1 with G^1 to G^5 that bond to the parent molecular moiety through a nitrogen atom.

EXAMPLE 22

Preparation of N-[3-(difluoromethyl)-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-phenyl-1,2,4-triazol-3-amine (Compound **26**)

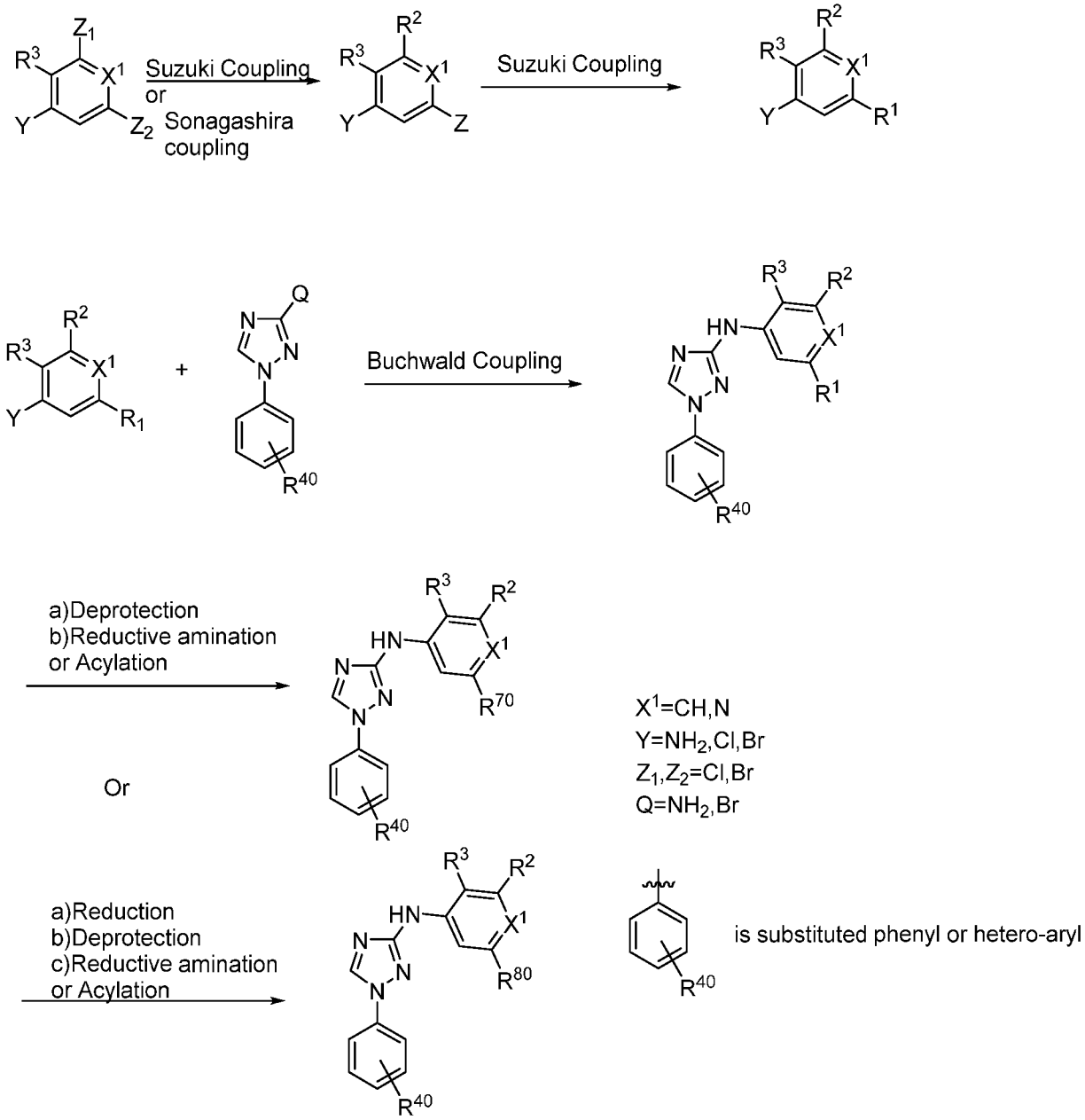


Reagents and conditions: (a) 1-cyclopropylpiperazine, 200°C; (b) $(tBuO)_2CO$, DCM; (c) 1-phenyl-1,2,4-triazol-3-amine, t-BuXPhos Palladacycle, NaOtBu, dioxane, 120°C; (d) TFA, DCM; (e) oxetan-3-one, $NaBHOAc_3$, HOAc, DCM.

[00534] Using the general synthetic scheme outlined in Scheme K and the experimental procedures listed above in Example 22, the following compounds were prepared:

Cmpd No.	IUPAC Name
144	1-[4-[3-(difluoromethyl)-5-[(1-phenyl-1,2,4-triazol-3-yl)amino]phenyl]piperazin-1-yl]ethanone
62	N-[3-(difluoromethyl)-5-piperazin-1-yl-phenyl]-1-phenyl-1,2,4-triazol-3-amine
446	1-(2-chlorophenyl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine

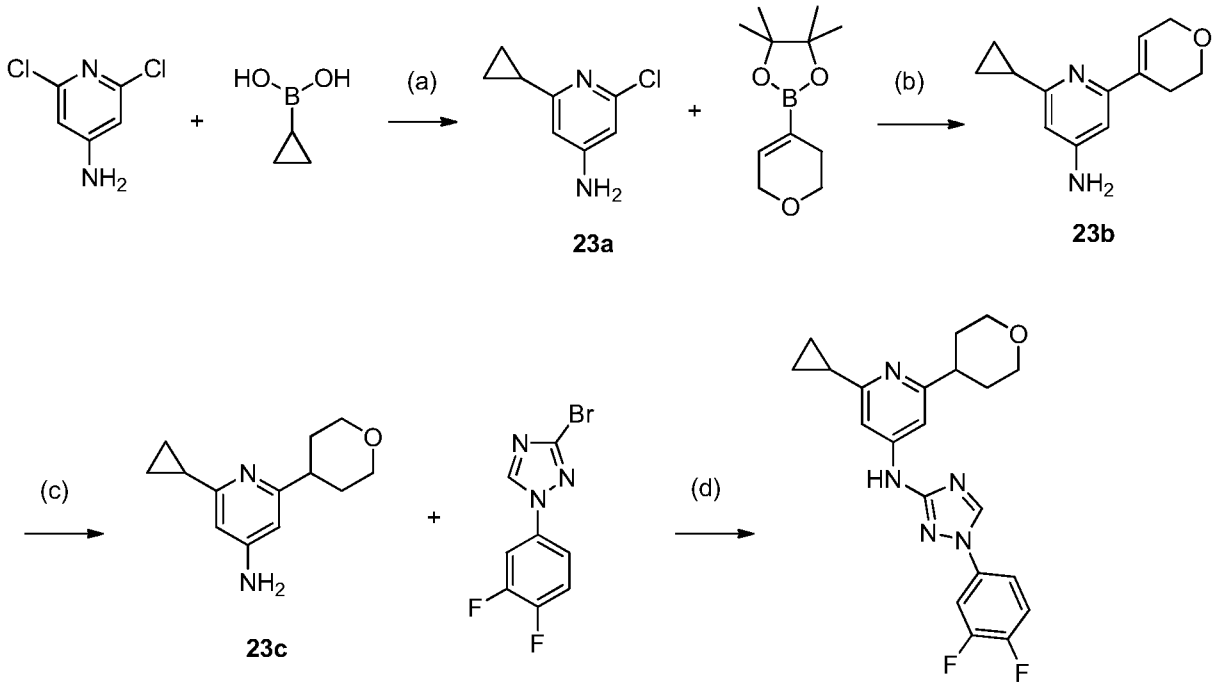
Scheme L: General Route L for Preparation of Compounds of Formula I or I'



Compounds of the invention may be prepared as generally outlined in Scheme L, where R^1, R^2, R^3, R^{40}, and X^1 are as described in Scheme A. R^{70} and R^{80} represent various substituted R^1 groups arrived at by the indicated synthetic manipulations.

EXAMPLE 23

Preparation of 2-cyclopropyl-*N*-(1-(3,4-difluorophenyl)-1*H*-1,2,4-triazol-3-yl)-6-(tetrahydro-2*H*-pyran-4-yl)pyridin-4-amine (Compound **150**)



(a) tricyclohexylphosphane/Pd(OAc)2/K3PO4/toluene/H2O; (b) 4-di-tert-butylphosphanyl-N,N-dimethylaniline; (c) Pd/C/H2/THF/HOAc; (d) t-BuXPHOS Palladacycle/t-BuOK/t-BuOH

Preparation of 2-cyclopropyl-*N*-(1-(3,4-difluorophenyl)-1*H*-1,2,4-triazol-3-yl)-6-(tetrahydro-2*H*-pyran-4-yl)pyridin-4-amine (Compound **150**)

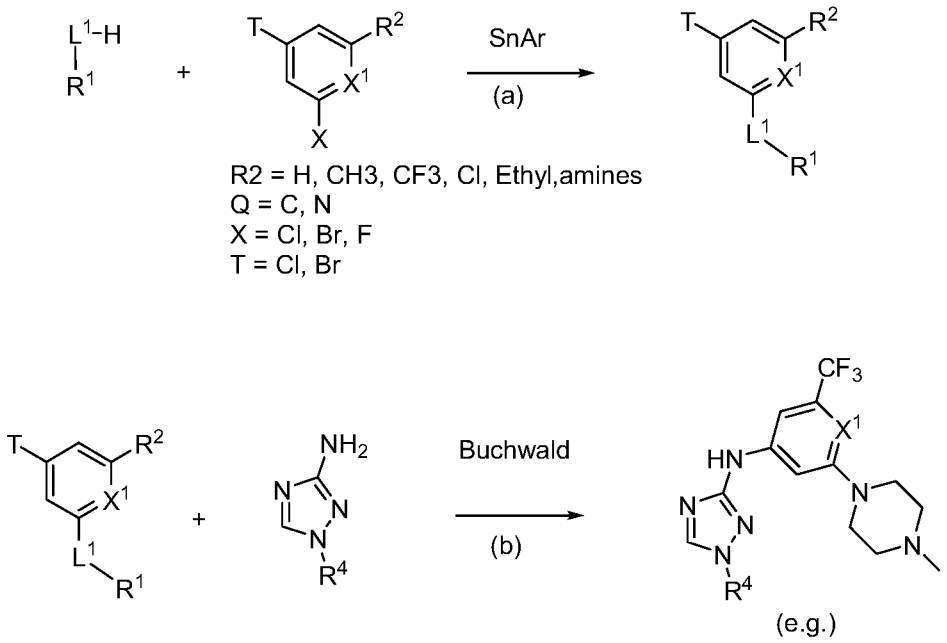
[00535] A t-BuOH (5 mL) mixture of 2-cyclopropyl-6-tetrahydropyran-4-yl-pyridin-4-amine **23b**, (130 mg, 0.56 mmol), 3-bromo-1-(3,5-difluorophenyl)-1,2,4-triazole (287 mg, 1.11 mmol), t-BuXPhos Palladacycle (72 mg, 0.111 mmol) and t-BuOK (93 mg, 0.83 mmol) was stirred at 45 ^{o}C for 1h. LCMS indicated the reaction was 90% completed so the reaction mixture was stirred at 45 ^{o}C for one more hour. LCMS was the same as before. Work up: the reaction mixture was filtered through Celite, to the filtrate was added EA and brine, the organic phase was dried over $MgSO_4$, filtered, concentrated down and purified by Isco (40g Gold) silica gel column with HEP and EA, the product was eluted with 30% HEP and 70% EA and give 76 mg (32%) of 2-cyclopropyl-*N*-(1-(3,4-difluorophenyl)-1*H*-1,2,4-triazol-3-yl)-6-(tetrahydro-2*H*-pyran-4-yl)pyridin-4-amine, cmpd **150**. ^{1}H NMR (300 MHz, CDCl3) δ 8.36 (s, 1H), 7.26 (d, J = 2.2 Hz, 2H), 7.17 (d, J = 2.0 Hz, 1H), 7.05 (d, J = 1.9 Hz, 1H), 6.95 - 6.78 (m, 2H), 4.11 (d, J = 10.9 Hz, 2H), 3.58 (td, J = 11.3, 3.5 Hz, 2H), 2.97 - 2.79 (m, 1H), 2.03 (td, J = 8.0, 4.0 Hz, 1H), 1.96 -

1.80 (m, 4H), 1.11 - 1.02 (m, 2H), 0.97 (ddd, J = 10.3, 6.4, 3.9 Hz, 2H) ppm. ESI-MS *m/z* calc. 397.17142, found 398.21 $(M+1)^+$; Retention time: 0.61 minutes.

[00536] Using the general synthetic scheme outlined in Scheme L and the experimental procedures listed above in Example 23, the following compounds were prepared:

Cmpd No.	IUPAC Name
150	2-cyclopropyl-N-[1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]-6-tetrahydropyran-4-yl-pyridin-4-amine
407	2-cyclopropyl-N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-tetrahydropyran-4-yl-pyridin-4-amine
3	2-cyclopropyl-N-[1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]-6-(3,6-dihydro-2H-pyran-4-yl)pyridin-4-amine
35	2-cyclopropyl-N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-(3,6-dihydro-2H-pyran-4-yl)pyridin-4-amine
798	N-[3-(2-cyclopropylethynyl)-5-methyl-phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
769	N-[3-(2-cyclopropylethynyl)-5-methyl-phenyl]-1-(3-fluorophenyl)-1,2,4-triazol-3-amine

Scheme M: General Route M for Preparation of Compounds of Formula I or I'



Compounds of the invention may be prepared as generally outlined in Scheme M, where R^2, R^4, and X^1 are as described herein, and $HL^1–R^1$ is an amine such that $–L^1–R^1$, as defined herein, is

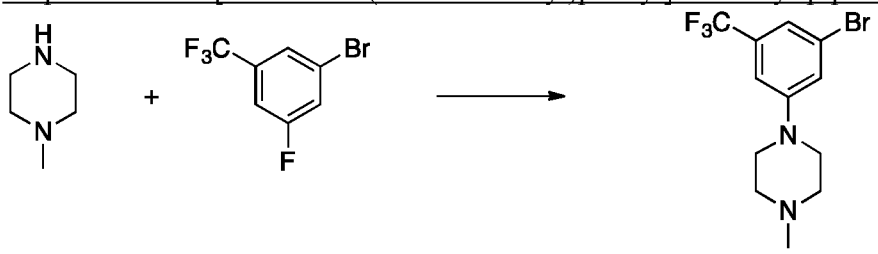
bonded to the parent molecular moiety through a nitrogen atom. In the indicated example, an N-methyl piperazine moiety serves as L^1–R^1.

(a) NMP, 100°C, 12-24 hours; (b) t-BuXPhos Palladacycle, Sodium t-butoxide, 1,4-dioxane, 90°C, 12-24 hours

EXAMPLE 23A

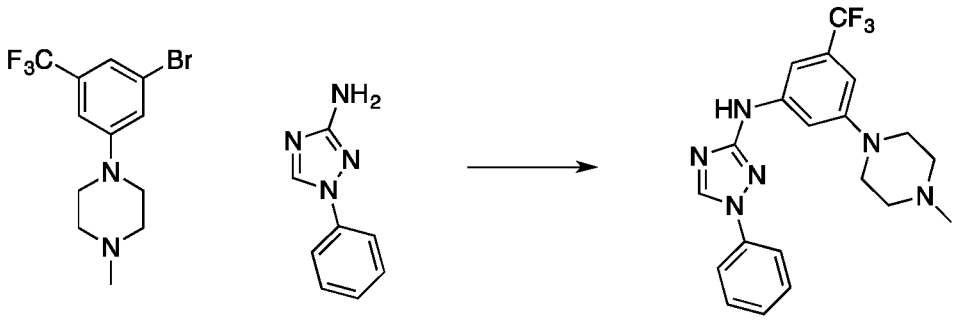
Preparation of N-[3-(4-methylpiperazin-1-yl)-5-(trifluoromethyl)phenyl]-1-phenyl-1,2,4-triazol-3-amine (Compound **486**)

Preparation of 1-[3-bromo-5-(trifluoromethyl)phenyl]-4-methyl-piperazine



[00537] 1-Bromo-3-fluoro-5-(trifluoromethyl)benzene (1.52 g, 6.26 mmol) was dissolved in NMP (2.0 mL). Methylpiperazine (1.88 g, 2.08 mL, 18.8 mmol) was added and the vial was sealed. Stirred the reaction mixture overnight at 100°C. The reaction mixture was concentrated to an oil. The oil was diluted with DCM (20ml), the organic phase washed with 50% saturated sodium bicarbonate, passed through a phase separator, and concentrated to dryness to yield 1-[3-bromo-5-(trifluoromethyl)phenyl]-4-methyl-piperazine (1.73 g, 83 %). ^{1}H NMR (300 MHz, DMSO-d6) δ 7.36 (s, 1H), 7.18 (s, 2H), 3.30 - 3.16 (m, 4H), 2.46 - 2.33 (m, 4H), 2.21 (s, 3H) ppm. ESI-MS m/z calc. 322.02924, found 323.03 (M+1)+; Retention time: 0.62 minutes.

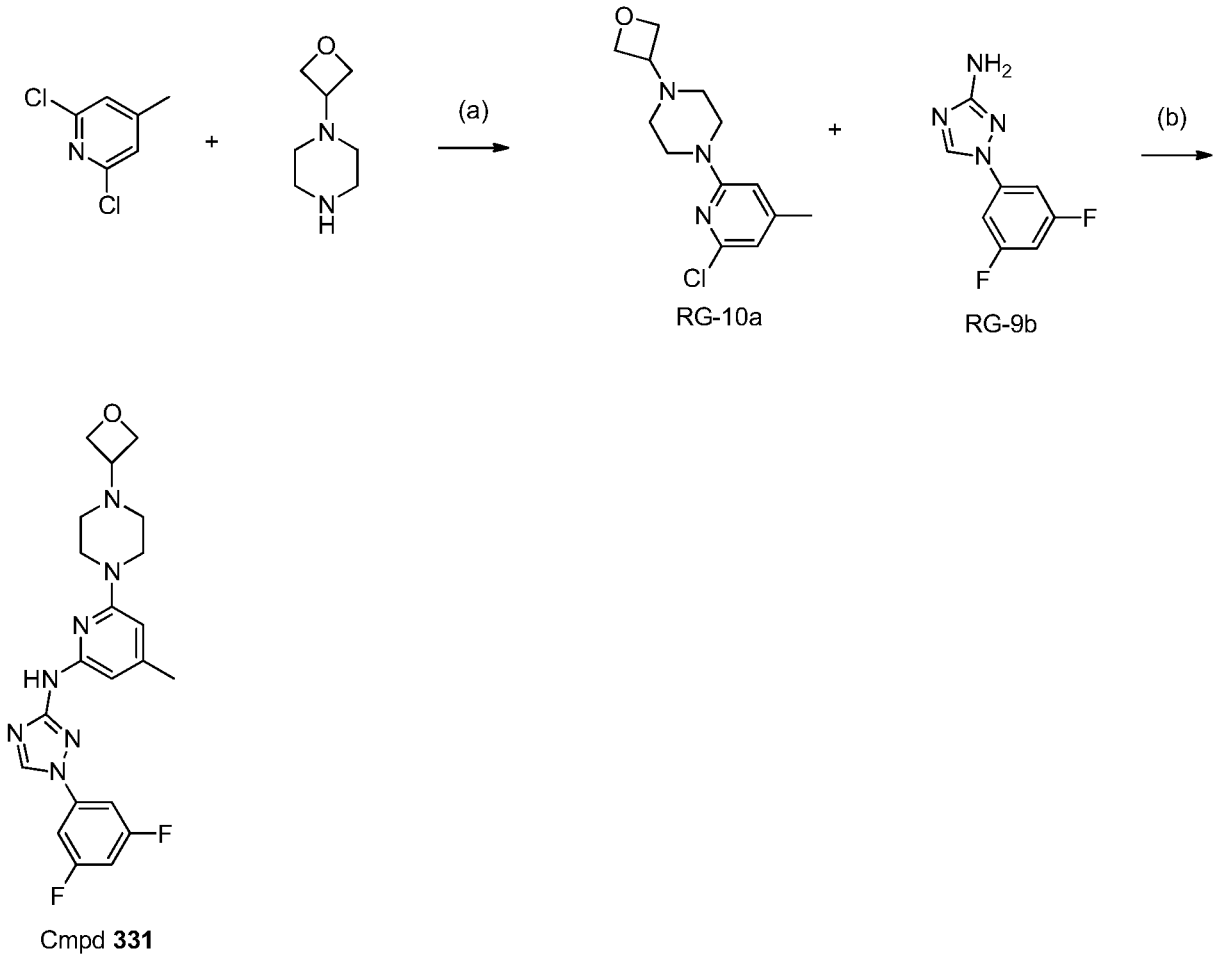
Preparation of N-[3-(4-methylpiperazin-1-yl)-5-(trifluoromethyl)phenyl]-1-phenyl-1,2,4-triazol-3-amine (Compound **486**)



[00538] 1-Phenyl-1,2,4-triazol-3-amine (64 mg, 0.4 mmol), sodium tert-butoxide (57.60 mg, 0.5994 mmol), t-BuXPhos Palladacycle (30 mg, 0.4 mmol), and 1-[3-bromo-5-(trifluoromethyl)phenyl]-4-methyl-piperazine (129 mg, 0.4 mmol) were weighed into a 20ml vial. Vacuum was applied to the vial and flushed with nitrogen three times. Dioxane (2mL) was added and stirred the mixture in a sealed vial at 90°C overnight. The crude reaction mixture was diluted with dichloromethane (20ml), and washed with 50% saturated sodium bicarbonate. The organic layer was passed through a phase separator and concentrated to dryness. The residue was diluted with DMSO (2ml) and purified by reverse phase HPLC using a gradient of acetonitrile in water (10-99%) and TFA as a modifier to yield the product as the TFA salt. The pooled desired pure fractions were concentrated to dryness. Diluted combined fractions with DCM and washed with saturated sodium bicarbonate. The organics were passed through a phase separator, acidified with 2M HCl in diethyl ether, and concentrated to dryness to yield the HCl salt of N-[3-(4-methylpiperazin-1-yl)-5-(trifluoromethyl)phenyl]-1-phenyl-1,2,4-triazol-3-amine, cmpd **486** (99 mg, 565). ^{1}H NMR (300 MHz, DMSO-d6) δ 9.13 (s, 1H), 7.89 - 7.79 (d, 2H), 7.56 (m, 4H), 7.38 (t, J = 7.4 Hz, 1H), 6.84 (s, 1H), 3.88 (d, J = 9.7 Hz, 2H), 3.51 (m, 2H), 3.19 (m, 4H), 2.85 (s, 3H) ppm. ESI-MS m/z calc. 402.17798, found 403.28 (M+1)+; Retention time: 3.08 minutes.

EXAMPLE 23B

Preparation of N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-4-methyl-6-[4-(oxetan-3-yl)piperazin-1-yl]pyridin-2-amine (Compound **331**)



a) DIEA, NMP, 100°C, 20h; (b) t-BuXPhos Palladacycle, t-BuOH, 1,4-dioxane, t-BuONa, 90°C, 1h.

Preparation of 1-(6-chloro-4-methyl-2-pyridyl)-4-(oxetan-3-yl)piperazine (**RG-10a**)

[00539] 2,6-dichloro-4-methyl-pyridine (653 mg, 4.030 mmol), DIEA (625.0 mg, 842 µL, 4.84 mmol), and 1-(oxetan-3-yl)piperazine (704 mg, 4.95 mmol) were combined in a 20ml vial. Added a stir bar and NMP (4.0 mL) before sealing the vial and heating overnight at 100°C. After 20h, the reaction was complete. Diluted with DMSO (5mls), and injected on a C-18 Aq 275g column and eluted with 0-41%ACN in water with a TFA modifier over 18 minutes. Pooled desired product fractions and concentrated to dryness, then diluted with DCM and minimal

methanol to dissolve. Washed with 50% saturated sodium bicarbonate. Passed through a phase separator and concentrated to dryness to yield 1-(6-chloro-4-methyl-2-pyridyl)-4-(oxetan-3-yl)piperazine **RG-10a** (661 mg, 2.46 mmol, 61%). 1H NMR (300 MHz, DMSO-d6) δ 6.63 (s, 1H), 6.54 (s, 1H), 4.56 (t, J = 6.5 Hz, 2H), 4.46 (t, J = 6.1 Hz, 2H), 3.54 - 3.45 (m, 4H), 3.41 (m, 1H), 2.38 - 2.26 (m, 4H), 2.21 (s, 3H) ppm. ESI-MS m/z calc. 267.11383, found 268.14 (M+1)+; Retention time: 0.59 minutes.

Preparation of N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-4-methyl-6-[4-(oxetan-3-yl)piperazin-1-yl]pyridin-2-amine **(Compound 331)**

[00540] 1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine (123 mg, 0.6270 mmol), t-BuXPhos palladacycle (25 mg, 0.03384 mmol), sodium t-butoxide (100 mg, 1.041 mmol) and 1-(6-chloro-4-methyl-2-pyridyl)-4-(oxetan-3-yl)piperazine **RG-10a** (171 mg, 0.6351 mmol) were dissolved into dry t-BuOH (1.75 mL) and dry dioxane (600 μL). Purged with N_2 for ~10 minutes. Stirred at 50°C for 1h. LC/MS showed no remaining starting material so concentrated to dryness and diluted with 20ml of DCM. Washed with 10ml of 50% sat. sodium bicarbonate, passed through a phase separator, and concentrated to dryness. Diluted with DCM (10ml, poor solubility) and passed through a plug of florisil then concentrated to dryness. Diluted with 8mls of DMSO and injected on the C18 50g Aq column eluting with 0-60%ACN in water over 17 minutes with a TFA modifier. Combined desired fractions, concentrated to dryness and diluted with DCM. Washed with 50% sat. sodium bicarbonate, passed through a phase separator, and concentrated to dryness. Diluted with 5mls of DCM and injected on a 40g Si gold column eluting with 0-100% (ethyl acetate (10%methanol)) in heptane over 22minutes. Combined desired fractions and concentrated to dryness to give N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-4-methyl-6-[4-(oxetan-3-yl)piperazin-1-yl]pyridin-2-amine **331** (125 mg, 0.289 mmol, 46%) 1H NMR (300 MHz, DMSO-d6) δ 9.40 (s, 1H), 9.18 (s, 1H), 7.64 (dd, J = 8.6, 2.2 Hz, 2H), 7.32 - 7.20 (m, 1H), 6.97 (s, 1H), 6.17 (s, 1H), 4.57 (t, J = 6.5 Hz, 2H), 4.48 (t, J = 6.1 Hz, 2H), 3.52 (m, 4H), 3.47 - 3.37 (m, 1H), 2.33 (m, 4H), 2.23 (s, 3H) ppm. ESI-MS m/z calc. 427.1932, found 428.29 (M+1)+; Retention time: 0.62 minutes.

[00541] Using the general synthetic scheme outlined in Scheme M and the experimental procedures for Example 23A and 23B, the following compounds were prepared:

Cmpd No.	IUPAC Name
393	N-[1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]-2,6-dimorpholino-pyridin-4-amine
319	2,6-dimorpholino-N-(1-phenyl-1,2,4-triazol-3-yl)pyridin-4-amine
265	N-[1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]-2-methyl-6-morpholino-pyridin-4-amine
153	N-[3-(4-cyclopropylpiperazin-1-yl)-5-(difluoromethyl)phenyl]-1-(2-fluoro-4-pyridyl)-1,2,4-triazol-3-amine
348	N-[3-(4-cyclopropylpiperazin-1-yl)-5-(difluoromethyl)phenyl]-1-phenyl-1,2,4-triazol-3-amine
209	N-[3-(difluoromethyl)-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(3-pyridyl)-1,2,4-triazol-3-amine
82	N-[3-(difluoromethyl)-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
189	N-[3-(difluoromethyl)-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(2-fluoro-4-pyridyl)-1,2,4-triazol-3-amine
163	4-[3-[3-(difluoromethyl)-5-[4-(oxetan-3-yl)piperazin-1-yl]anilino]-1,2,4-triazol-1-yl]-1H-pyridin-2-one
475	1-(3,5-difluorophenyl)-N-[3-morpholino-5-(trifluoromethyl)phenyl]-1,2,4-triazol-3-amine
63	1-(3,5-difluorophenyl)-N-[3-(4-methylpiperazin-1-yl)-5-(trifluoromethyl)phenyl]-1,2,4-triazol-3-amine
366	N-[3-morpholino-5-(trifluoromethyl)phenyl]-1-phenyl-1,2,4-triazol-3-amine
257	1-(3-fluorophenyl)-N-[3-(4-methylpiperazin-1-yl)-5-(trifluoromethyl)phenyl]-1,2,4-triazol-3-amine
4	1-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-(trifluoromethyl)phenyl]piperazin-2-yl]cyclobutanol
123	2-[(2S)-4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-(trifluoromethyl)phenyl]piperazin-2-yl]propan-2-ol
331	N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-4-methyl-6-[4-(oxetan-3-yl)piperazin-1-yl]pyridin-2-amine
547	6-[4-(oxetan-3-yl)piperazin-1-yl]-N-(1-phenyl-1,2,4-triazol-3-yl)-4-(trifluoromethyl)pyridin-2-amine
545	N-[1-(3-fluorophenyl)-1,2,4-triazol-3-yl]-6-[4-(oxetan-3-yl)piperazin-1-yl]-4-(trifluoromethyl)pyridin-2-amine
546	N-[1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]-6-[4-(oxetan-3-yl)piperazin-1-yl]-4-(trifluoromethyl)pyridin-2-amine
540	N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-[4-(oxetan-3-yl)piperazin-1-yl]-4-(trifluoromethyl)pyridin-2-amine
560	N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-4-methyl-6-morpholino-pyridin-2-amine
554	4-[6-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-4-(trifluoromethyl)-2-pyridyl]-N-ethyl-piperazine-1-carboxamide

Cmpd No.	IUPAC Name
562	N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-4-methyl-6-(4-tetrahydrofuran-3-ylpiperazin-1-yl)pyridin-2-amine
564	N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-(4-tetrahydrofuran-3-ylpiperazin-1-yl)-4-(trifluoromethyl)pyridin-2-amine
555	1-[4-[6-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-4-(trifluoromethyl)-2-pyridyl]piperazin-1-yl]ethanone
569	1-[6-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-4-methyl-2-pyridyl]-4-methyl-piperidin-4-ol
571	N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-4-methyl-6-(3-oxa-6-azaspiro[3.3]heptan-6-yl)pyridin-2-amine
570	N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-4-methyl-6-(7-oxa-2-azaspiro[3.4]octan-2-yl)pyridin-2-amine
537	N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-4-methyl-6-(3-morpholinoazetidin-1-yl)pyridin-2-amine
556	N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-piperazin-1-yl-4-(trifluoromethyl)pyridin-2-amine
567	N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-4-[4-(oxetan-3-yl)piperazin-1-yl]-6-(trifluoromethyl)pyridin-2-amine
568	N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-(3-fluoro-1-piperidyl)-4-methyl-pyridin-2-amine
572	2-[1-[6-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-4-methyl-2-pyridyl]azetidin-3-yl]propan-2-ol
565	ethyl 1-[6-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-4-methyl-2-pyridyl]piperidine-4-carboxylate
563	N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-4-methyl-6-[3-(oxetan-3-yl)azetidin-1-yl]pyridin-2-amine
548	6-[4-(oxetan-3-yl)piperazin-1-yl]-N-[1-(2-pyridyl)-1,2,4-triazol-3-yl]-4-(trifluoromethyl)pyridin-2-amine
538	N-[1-(3-fluorophenyl)-1,2,4-triazol-3-yl]-4-methyl-6-(3-morpholinoazetidin-1-yl)pyridin-2-amine
557	tert-butyl 4-[6-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-4-(trifluoromethyl)-2-pyridyl]piperazine-1-carboxylate
821	1-[6-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-4-methyl-2-pyridyl]azetidine-3-carbonitrile
619	N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-[4-(methoxymethyl)-1-piperidyl]-4-methyl-pyridin-2-amine
654	N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-(4-ethylpiperazin-1-yl)-4-(trifluoromethyl)pyridin-2-amine
760	N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-2-[4-(oxetan-3-yl)piperazin-1-yl]-6-(trifluoromethyl)pyridin-4-amine
732	4-(difluoromethyl)-N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-(3-morpholinoazetidin-1-yl)pyridin-2-amine

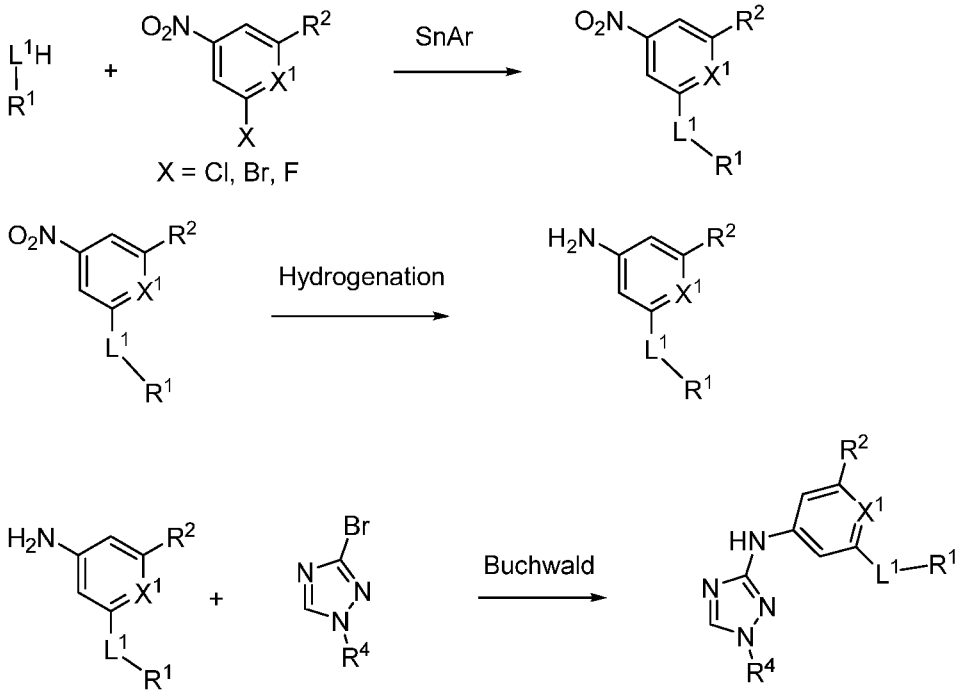
Cmpd No.	IUPAC Name
847	N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-fluoro-4-[4-(oxetan-3-yl)piperazin-1-yl]pyridin-2-amine
815	[1-[6-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-4-methyl-2-pyridyl]-3-piperidyl]methanol
755	1-[6-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-4-methyl-2-pyridyl]piperidin-3-ol
764	N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-(3-methoxy-1-piperidyl)-4-methyl-pyridin-2-amine
616	N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-methyl-4-(3-morpholinoazetidin-1-yl)pyridin-2-amine
786	N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-[3-(methoxymethyl)-1-piperidyl]-4-methyl-pyridin-2-amine
787	N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-[4-[(3S)-tetrahydrofuran-3-yl]piperazin-1-yl]-4-(trifluoromethyl)pyridin-2-amine
672	N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-[4-[(3R)-tetrahydrofuran-3-yl]piperazin-1-yl]-4-(trifluoromethyl)pyridin-2-amine
777	4-(difluoromethyl)-N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-(2-oxa-8-azaspiro[3.5]nonan-8-yl)pyridin-2-amine
740	N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-4-methyl-6-[4-[(3S)-tetrahydrofuran-3-yl]piperazin-1-yl]pyridin-2-amine
673	N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-4-methyl-6-[4-[(3R)-tetrahydrofuran-3-yl]piperazin-1-yl]pyridin-2-amine
601	N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-(3-morpholinoazetidin-1-yl)-4-(trifluoromethyl)pyridin-2-amine
729	4-(difluoromethyl)-N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-[4-(methoxymethyl)-1-piperidyl]pyridin-2-amine
839	N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-[4-(methoxymethyl)-1-piperidyl]-4-(trifluoromethyl)pyridin-2-amine
674	N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-morpholino-4-(trifluoromethyl)pyridin-2-amine
733	6-chloro-N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-4-[4-(oxetan-3-yl)piperazin-1-yl]pyridin-2-amine
650	N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-(4-methylpiperazin-1-yl)-4-[4-(oxetan-3-yl)piperazin-1-yl]pyridin-2-amine
726	N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-4,6-bis[4-(oxetan-3-yl)piperazin-1-yl]pyridin-2-amine
712	6-[4-(oxetan-3-yl)piperazin-1-yl]-N-(1-pyrazin-2-yl-1,2,4-triazol-3-yl)-4-(trifluoromethyl)pyridin-2-amine
770	N-[1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]-6-morpholino-4-

Cmpd No.	IUPAC Name
	(trifluoromethyl)pyridin-2-amine
680	4-(difluoromethyl)-6-[4-(oxetan-3-yl)piperazin-1-yl]-N-[1-[3-(trifluoromethyl)phenyl]-1,2,4-triazol-3-yl]pyridin-2-amine
719	4-(difluoromethyl)-6-(3-morpholinoazetidin-1-yl)-N-[1-[3-(trifluoromethyl)phenyl]-1,2,4-triazol-3-yl]pyridin-2-amine
578	4-methyl-6-[4-(oxetan-3-yl)piperazin-1-yl]-N-[1-[3-(trifluoromethyl)phenyl]-1,2,4-triazol-3-yl]pyridin-2-amine
576	4-(difluoromethyl)-6-[4-(oxetan-3-yl)piperazin-1-yl]-N-(1-pyrazin-2-yl-1,2,4-triazol-3-yl)pyridin-2-amine
867	4-(difluoromethyl)-6-morpholino-N-[1-[3-(trifluoromethyl)phenyl]-1,2,4-triazol-3-yl]pyridin-2-amine
837	4-methyl-6-morpholino-N-[1-[3-(trifluoromethyl)phenyl]-1,2,4-triazol-3-yl]pyridin-2-amine
853	2-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-6-[4-(oxetan-3-yl)piperazin-1-yl]pyridine-4-carbonitrile
607	2-[[1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]amino]-6-[4-(oxetan-3-yl)piperazin-1-yl]pyridine-4-carbonitrile
848	N-[1-[3-(difluoromethyl)phenyl]-1,2,4-triazol-3-yl]-4-methyl-6-[4-(oxetan-3-yl)piperazin-1-yl]pyridin-2-amine
768	N-[1-[3-(difluoromethyl)phenyl]-1,2,4-triazol-3-yl]-4-methyl-6-(3-morpholinoazetidin-1-yl)pyridin-2-amine
664	2-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-N-methyl-6-[4-(oxetan-3-yl)piperazin-1-yl]pyridine-4-carboxamide
580	N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-4-methyl-6-[4-(3-methyloxetan-3-yl)piperazin-1-yl]pyridin-2-amine
756	4-(difluoromethyl)-N-[1-[3-(difluoromethyl)phenyl]-1,2,4-triazol-3-yl]-6-(3-morpholinoazetidin-1-yl)pyridin-2-amine
718	4-(difluoromethyl)-N-[1-[3-(difluoromethyl)phenyl]-1,2,4-triazol-3-yl]-6-[4-(oxetan-3-yl)piperazin-1-yl]pyridin-2-amine
636	N-[1-[3-(difluoromethyl)phenyl]-1,2,4-triazol-3-yl]-6-(3-morpholinoazetidin-1-yl)-4-(trifluoromethyl)pyridin-2-amine
716	4-(difluoromethyl)-N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-[4-(3-methyloxetan-3-yl)piperazin-1-yl]pyridin-2-amine
689	N6-[1-[3-(difluoromethyl)phenyl]-1,2,4-triazol-3-yl]-4-methyl-N2-tetrahydrofuran-3-yl-pyridine-2,6-diamine
600	4-methyl-N2-tetrahydrofuran-3-yl-N6-[1-[3-(trifluoromethyl)phenyl]-1,2,4-triazol-3-yl]pyridine-2,6-diamine
614	N6-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-4-methyl-N2-tetrahydrofuran-3-yl-pyridine-2,6-diamine
807	N-[1-[3-(difluoromethyl)phenyl]-1,2,4-triazol-3-yl]-6-[4-(methoxymethyl)-1-piperidyl]-4-(trifluoromethyl)pyridin-2-amine
645	4-(difluoromethyl)-N-[1-[3-(difluoromethyl)phenyl]-1,2,4-

Cmpd No.	IUPAC Name
	triazol-3-yl]-6-[4-(methoxymethyl)-1-piperidyl]pyridin-2-amine
795	4-(difluoromethyl)-6-[4-(methoxymethyl)-1-piperidyl]-N-[1-[3-(trifluoromethyl)phenyl]-1,2,4-triazol-3-yl]pyridin-2-amine
849	4-(difluoromethyl)-N-[1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]-6-[4-(3-methyloxetan-3-yl)piperazin-1-yl]pyridin-2-amine
639	N-[1-[3-(difluoromethyl)phenyl]-1,2,4-triazol-3-yl]-4-methoxy-6-[4-(oxetan-3-yl)piperazin-1-yl]pyridin-2-amine
610	4-methoxy-6-[4-(oxetan-3-yl)piperazin-1-yl]-N-[1-[3-(trifluoromethyl)phenyl]-1,2,4-triazol-3-yl]pyridin-2-amine
599	N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-4-methoxy-6-[4-(oxetan-3-yl)piperazin-1-yl]pyridin-2-amine
612	N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-fluoro-4-(3-morpholinoazetidin-1-yl)pyridin-2-amine
660	6-chloro-N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-4-(3-morpholinoazetidin-1-yl)pyridin-2-amine
625	4-(methoxymethyl)-6-[4-(oxetan-3-yl)piperazin-1-yl]-N-[1-[3-(trifluoromethyl)phenyl]-1,2,4-triazol-3-yl]pyridin-2-amine
823	4-(difluoromethyl)-N-[1-(3-fluorophenyl)-1,2,4-triazol-3-yl]-6-[4-(3-methyloxetan-3-yl)piperazin-1-yl]pyridin-2-amine
789	2-[[1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]amino]-N-methyl-6-[4-(oxetan-3-yl)piperazin-1-yl]pyridine-4-carboxamide
758	N-[1-(4-chloro-3-fluoro-phenyl)-1,2,4-triazol-3-yl]-4-methoxy-6-[4-(oxetan-3-yl)piperazin-1-yl]pyridin-2-amine
621	N-[1-(4-chloro-3-fluoro-phenyl)-1,2,4-triazol-3-yl]-4-(difluoromethyl)-6-[4-(oxetan-3-yl)piperazin-1-yl]pyridin-2-amine
749	N-[1-(4-chloro-3-fluoro-phenyl)-1,2,4-triazol-3-yl]-4-methyl-6-[4-(oxetan-3-yl)piperazin-1-yl]pyridin-2-amine
728	N-[1-(4-fluorophenyl)-1,2,4-triazol-3-yl]-6-[4-(oxetan-3-yl)piperazin-1-yl]-4-(trifluoromethyl)pyridin-2-amine
675	methyl 2-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-6-morpholino-pyridine-4-carboxylate
859	N-[1-(3-chloro-4-fluoro-phenyl)-1,2,4-triazol-3-yl]-4-(difluoromethyl)-6-[4-(oxetan-3-yl)piperazin-1-yl]pyridin-2-amine
579	4-(1,1-difluoroethyl)-N-[1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]-6-[4-(oxetan-3-yl)piperazin-1-yl]pyridin-2-amine
806	4-(difluoromethyl)-N-[1-(4-fluorophenyl)-1,2,4-triazol-3-yl]-6-[4-(oxetan-3-yl)piperazin-1-yl]pyridin-2-amine
685	4-(1,1-difluoroethyl)-N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-[4-(oxetan-3-yl)piperazin-1-yl]pyridin-2-amine
860	4-(1,1-difluoroethyl)-N-[1-(3-fluorophenyl)-1,2,4-triazol-3-yl]-6-[4-(oxetan-3-yl)piperazin-1-yl]pyridin-2-amine

Cmpd No.	IUPAC Name
713	[2-[[1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]amino]-6-[4-(oxetan-3-yl)piperazin-1-yl]-4-pyridyl]methanol

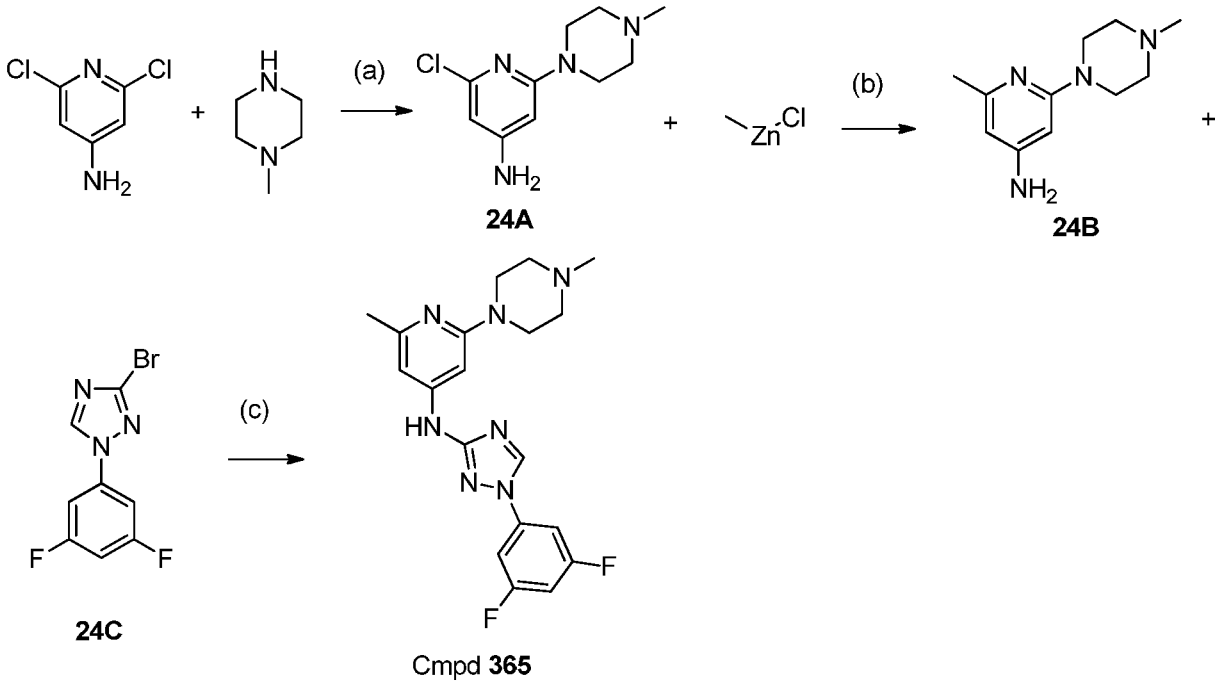
Scheme N: General Route N for Preparation of Compounds of Formula I or I'



Compounds of the invention may be prepared as generally outlined in Scheme N, where R^2, R^4, and X^1 are as described herein, and $HL^1–R^1$ is an amine such that $–L^1–R^1$, as defined herein, is bonded to the parent molecular moiety through a nitrogen atom.

EXAMPLE 24

Preparation of N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-2-methyl-6-(4-methylpiperazin-1-yl)pyridin-4-amine (Compound **365**)



(a) DIEA/dioxane; (b) palladium;triphenylphosphane/THF; (c) t-BuXPHOS Palladacycle/t-BuOK/t-BuOH

Preparation of 2-chloro-6-(4-methylpiperazin-1-yl)pyridin-4-amine (**24A**)

[00542] A mixture of dioxane (100 mL), 2,6-dichloropyridin-4-amine (4.89 g, 30 mmol), 1-methylpiperazine (15 g, 150 mmol) and DIEA (11.6 g, 15.7 mL, 90mmol) was stirred at 130 ^{o}C in a Qian cap pressure bottle for 4 days. LCMS indicated that the reaction was 80% complete so the reaction was worked up. Work up: the reaction mixture was cooled to RT, EtOAc was added and brine, the organic phase was dried over $MgSO_4$, filtered, concentrated down and the crude product was purified by Isco (275g Gold amine column) eluting with EA and heptanes. The product was eluted with 70%EA and 30%heptanes to give 2-chloro-6-(4-methylpiperazin-1-yl)pyridin-4-amine, **24A** (2.42 g, 35%). ^{1}H NMR (400 MHz, CDCl3) δ 6.02 (d, J = 1.6 Hz, 1H), 5.72 (d, J = 1.6 Hz, 1H), 4.05 (s, 2H), 3.54 - 3.44 (m, 4H), 2.54 - 2.45 (m, 4H), 2.34 (s, 3H) ppm. ESI-MS *m/z* calc. 226.09853, found 227.14 $(M+1)^{+}$; Retention time: 0.45 minutes.

Preparation of 2-methyl-6-(4-methylpiperazin-1-yl)pyridin-4-amine (**24B**)

[00543] To a degassed THF (30 mL) solution of 2-chloro-6-(4-methylpiperazin-1-yl)pyridin-4-amine(**1**) (1.16 g, 5 mmol) was added palladiumtriphenylphosphane (231 mg, 0.20 mmol) and

chloro(methyl)zinc (15 mL of 2 M soln, 30mmol) and the reaction mixture was refluxed in a pressure bottle for 2 days. LCMS indicated the reaction was completed. Work up: the reaction mixture was cooled to RT, filtered through Celite and concentrated down. To the crude mixture was added 200ml water, the mixture was stirred for 3h until all catalyst had precipitated out. Catalyst was filtered off, the aq phase was extracted with EA (70ml x 2), the organic phase was dried over $MgSO_4$, filtered and concentrated down and used as it is. It gave crude 2-methyl-6-(4-methylpiperazin-1-yl)pyridin-4-amine (**24B**) (500 mg, 35 %. ^{1}H NMR (400 MHz, CD3OD) δ 6.00 - 5.91 (m, 1H), 5.80 (dd, J = 7.6, 1.5 Hz, 1H), 3.42 - 3.31 (m, 4H), 2.58 - 2.48 (m, 4H), 2.31 (d, J = 3.8 Hz, 3H), 2.20 (s, 3H) ppm. ESI-MS *m/z* calc. 206.15315, found 207.15 $(M+1)^+$; Retention time: 0.27 minutes.

Preparation of *N*-(1-(3,5-difluorophenyl)-1*H*-1,2,4-triazol-3-yl)-2-methyl-6-(4-methylpiperazin-1-yl)pyridin-4-amine (Compound **365**)

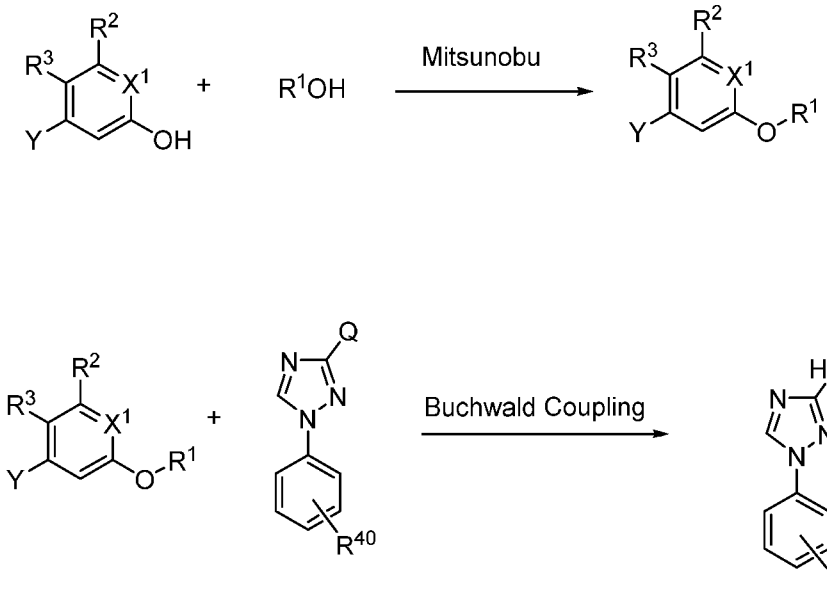
[00544] To a t-BuOH (5 mL) mixture of 2-methyl-6-(4-methylpiperazin-1-yl)pyridin-4-amine **24B** (100 mg, 0.485 mmol), 3-bromo-1-(3,5-difluorophenyl)-1,2,4-triazole **24C** (189 mg, 0.727 mmol) and t-BuXPhos Palladacycle (63 mg, 0.097 mmol) was added t-BuOK (163 mg, 1.45 mmol) at 45° C, and the reaction mixture was stirred at 45 ^{o} C for 1h. LCMS indicated desired product only. Work up: to the reaction mixture was added EA and brine, the organic phase was dried with $MgSO_4$, filtered, concentrated down and purified by Isco 40g Gold silica gel column with DCM and MeOH. The product was eluted with 15% MeOH and 85% DCM and gave *N*-(1-(3,5-difluorophenyl)-1*H*-1,2,4-triazol-3-yl)-2-methyl-6-(4-methylpiperazin-1-yl)pyridin-4-amine, cmpd **365** (94 mg, 47%). ^{1}H NMR (400 MHz, DMSO-d6) δ 9.78 (s, 1H), 9.21 (s, 1H), 7.70 - 7.53 (m, 2H), 7.28 (tt, J = 9.3, 2.3 Hz, 1H), 6.94 (s, 1H), 6.72 (s, 1H), 3.50 - 3.37 (m, 4H), 2.44 - 2.33 (m, 4H), 2.25 (s, 3H), 2.22 (s, 3H) ppm. ESI-MS *m/z* calc. 385.18265, found 386.19 $(M+1)^+$; Retention time: 0.52 minutes.

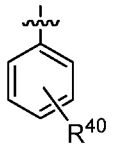
[00545] Using the general synthetic scheme outlined in Scheme N and the experimental procedures listed above in Example 24, the following compounds were prepared:

Cmpd No.	IUPAC Name
367	2-chloro-6-(4-methylpiperazin-1-yl)-N-(1-phenyl-1,2,4-triazol-3-yl)pyridin-4-amine
477	2-chloro-N-[1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]-6-(4-

Cmpd No.	IUPAC Name
	methylpiperazin-1-yl)pyridin-4-amine
135	2-chloro-6-morpholino-N-(1-phenyl-1,2,4-triazol-3-yl)pyridin-4-amine
100	2-chloro-N-[1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]-6-morpholino-pyridin-4-amine
251	N-(3-morpholino-5-tetrahydropyran-4-yl-phenyl)-1-phenyl-1,2,4-triazol-3-amine
57	(2R)-3-methyl-2-[4-[6-methyl-4-[(1-phenyl-1,2,4-triazol-3-yl)amino]-2-pyridyl]piperazin-2-yl]butan-2-ol
345	2-chloro-N-[1-(3,4-dimethoxyphenyl)-1,2,4-triazol-3-yl]-6-morpholino-pyridin-4-amine
106	2-chloro-N-[1-(3-methoxyphenyl)-1,2,4-triazol-3-yl]-6-(1-piperidyl)pyridin-4-amine
145	2-chloro-N-[1-(3-methoxyphenyl)-1,2,4-triazol-3-yl]-6-morpholino-pyridin-4-amine
365	N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-2-methyl-6-(4-methylpiperazin-1-yl)pyridin-4-amine
263	N-[1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]-2-methyl-6-(4-methylpiperazin-1-yl)pyridin-4-amine
156	2-methyl-6-(4-methylpiperazin-1-yl)-N-(1-phenyl-1,2,4-triazol-3-yl)pyridin-4-amine
430	2-(4-fluoro-1-piperidyl)-6-methyl-N-(1-phenyl-1,2,4-triazol-3-yl)pyridin-4-amine
457	N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-2-(4-fluoro-1-piperidyl)-6-methyl-pyridin-4-amine

Scheme O: General Route O for Preparation of Compounds of Formula I or I'



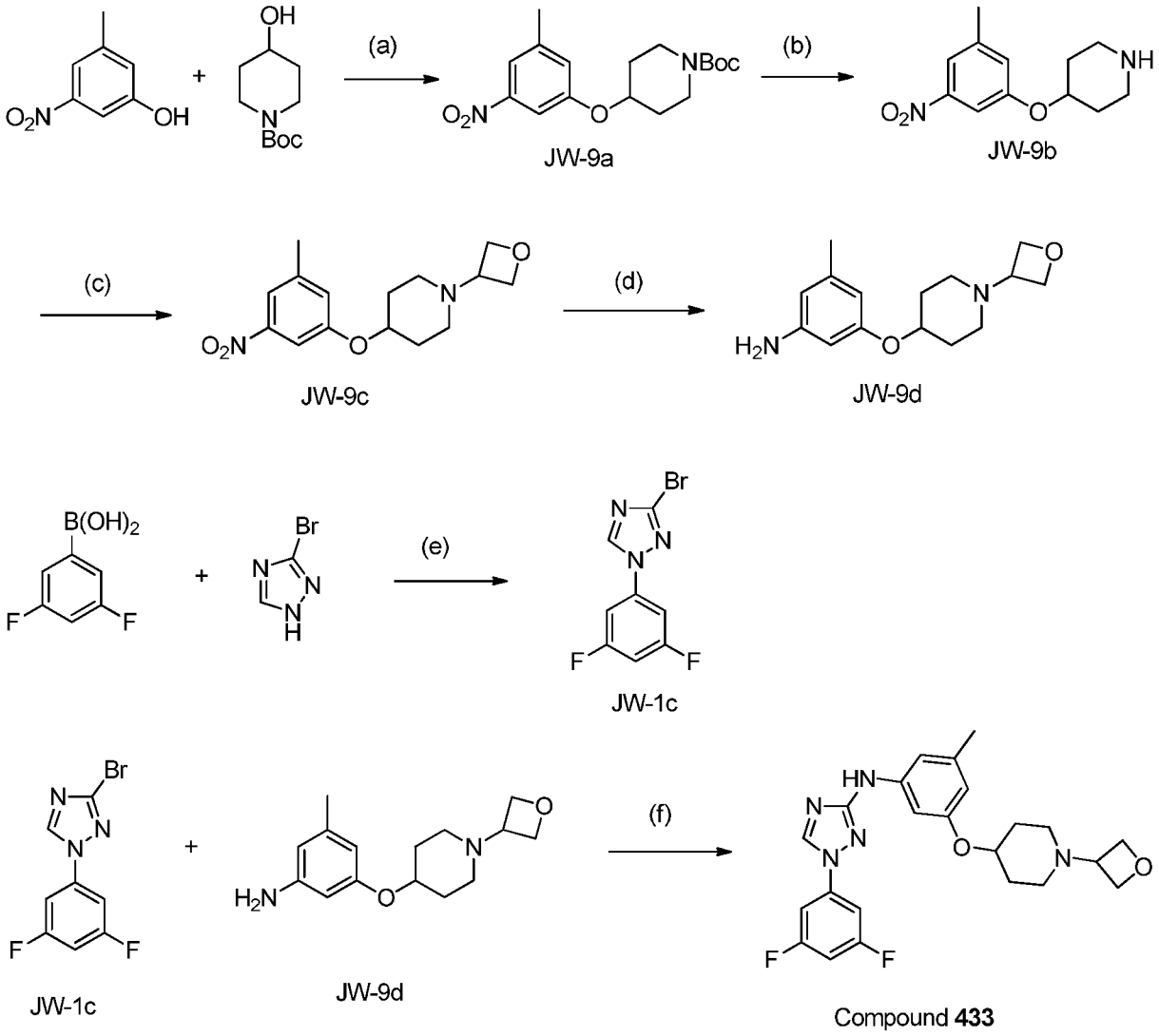


is substituted phenyl or hetero-aryl

Compounds of the invention may be prepared as generally outlined in Scheme O, where R^1, R^2, R^3, R^{40}, and X^1 are as described herein. The methods of Scheme O are useful for the preparation of compounds where L^1 is an oxygen atom. R^1 groups, or protected derivatives thereof, may be subjected to further synthetic manipulation (e.g., deprotection, reductive amination, acylation, etc.) to arrive at additional compounds of the invention.

EXAMPLE 25

Preparation of 1-(3,5-difluorophenyl)-N-[3-methyl-5-[[1-(oxetan-3-yl)-4-piperidyl]oxy]phenyl]-1,2,4-triazol-3-amine (Compound **433**)



(a)DIAD/PPh3.THF.R.T.; (b)TFA/DCM/R.T.; (c)Na(OAc)$_3$BH/oxetan-3-one; (d)H2/Pd on Carbon, 10% weight; (e)Cu(OAc)$_2$/Pyridine/DCM/R.T.; (f) t-BuXPhos Palladacycle/t-BuOH/t-BuONa

Preparation of (*tert*-butyl 4-(3-methyl-5-nitro-phenoxy)piperidine-1-carboxylate (**JW-9a**)

[00546] 3-methyl-5-nitro-phenol (4.0 g, 26.1 mmol), tert-butyl 4-hydroxypiperidine-1-carboxylate (5.3 g, 26.1 mmol) and triphenylphosphane (6.9 g, 6.1 mL, 26 mmol) were mixed in THF (40 mL) and isopropyl (NE)-N-isopropoxycarbonyliminocarbamate (5.3 g, 26 mmol) was added into the reaction. The mixture was stirred at RT overnight, then diluted with water and extracted with DCM (100 ml x2). The combined organic layer was dried and concentrated. The

crude product was purified on silica gel eluting with EtOAc: Hexanes (10-30 %) to afford 5.98 g (68%) of **JW-9a**, (tert-butyl 4-(3-methyl-5-nitro-phenoxy)piperidine-1-carboxylate.

Preparation of 4-(3-methyl-5-nitro-phenoxy)piperidine (**JW-9b**)

[00547] *tert*-Butyl 4-(3-methyl-5-nitro-phenoxy)piperidine-1-carboxylate (**JW-9a**) (5.1 g, 15.2 mmol) was dissolved in DCM (20 mL) and TFA (10 mL) was added into the solution. The reaction was stirred at room temperature for 30 minutes and LCMS showed that the reaction was complete. The solvent was removed and the crude was dissolved in DCM (50 ml) and washed with $NaHCO_3$ (aq.) and brine. The organic layer was dried and concentrated to afford 2.65 g (53%) of **JW-9b**, 4-(3-methyl-5-nitro-phenoxy)piperidine in 53% yield. ESI-MS *m/z* calc. 236.12, found 237.45 $(M+1)^+$; Retention time: 0.60 minutes.

Preparation of 4-(3-methyl-5-nitro-phenoxy)-1-(oxetan-3-yl)piperidine (**JW-9c**)

[00548] 4-(3-methyl-5-nitro-phenoxy)piperidine (**JW-9b**) (2.5 g, 10.6 mmol) and oxetan-3-one (1.52 g, 21.1 mmol) were mixed in DCE (25 mL) and the reaction was stirred at room temperaure for 20 minutes. Sodium triacetoxyborohydride (4.5 g, 21.2 mmol) was added into the reaction and the reaction was stirred at room temperature overnight. LCMS showed that the reaction was complete. The reaction was quenched with 1N NaOH (aq.) and extracted with DCM. The organic layer was dried and concentrated. The crude was purified on silica gel using 10-90% EtOAc: Hexanes to afford 1.82 g (405) of **JW-9c**, 4-(3-methyl-5-nitro-phenoxy)-1-(oxetan-3-yl)piperidine. ESI-MS *m/z* calc. 292.14, found 293.39 $(M+1)^+$; Retention time: 0.60 minutes.

Preparation of 3-methyl-5-[[1-(oxetan-3-yl)-4-piperidyl]oxy]aniline (**JW-9d**)

[00549] To a 250 ml RBF was added Pd on carbon (10 % by weight, 62mg) under N2 and EtOH (20 mL) was added. 4-(3-Methyl-5-nitro-phenoxy)-1-(oxetan-3-yl)piperidine (**JW-9c**) (1.72 g, 5.88 mmol) was added into the reaction and the reaction was stirred at room temperature under H2 with an attached balloon overnight. LCMS showed that the reaction was complete. The catalyst was filtered off and the filtrate was concentrated to afford 1.28 g (83%) of **JW-9d**, of 3-methyl-5-[[1-(oxetan-3-yl)-4-piperidyl]oxy]aniline. ESI-MS *m/z* calc. 262.17, found 263.47 $(M+1)^+$; Retention time: 0.26 minutes.

Preparation of 3-bromo-1-(3,5-difluorophenyl)-1,2,4-triazole **(JW-1c)**

[00550] Diacetoxycopper (2.30 g, 12.7 mmol), 3,5-difluorophenyl-boronic acid (1.60 g, 10.1 mmol), 3-bromo-1H-1,2,4-triazole (1.25 g, 8.4 mmol) and 4A molecular sieves (150mg) were mixed in DCM (50 mL) and pyridine (1.3 mL, 16.9 mmol) was added. The mixture was stirred at RT under air for 3 days. LCMS showed that no starting material remaining and desired product was formed. The reaction was filtered through a plug of Celite via suction and the solid cake was washed with an additional 200ml DCM. The combined organic layer was washed with 0.1 N aqueous HCl (50 ml x 3) and brine (200 ml). The organic layer was concentrated and crude product purified on silica gel (120 g column, dry loading method on celite) using 10-90% EtOAc:Hexanes to afford 1.23 g (50%) of desired product **JW-1c**, 3-bromo-1-(3,5-difluorophenyl)-1,2,4-triazole. ^{1}H NMR (400 MHz, DMSO-d6) δ 9.40 (s, 1H), 7.78 - 7.61 (m, 2H), 7.41 (tt, J = 9.3, 2.3 Hz, 1H) ppm. ESI-MS m/z calc. 258.96, found 260.05 (M+1)+; Retention time: 0.8 minutes.

Preparation of 1-(3,5-difluorophenyl)-N-[3-methyl-5-[[1-(oxetan-3-yl)-4-piperidyl]oxy]phenyl]-1,2,4-triazol-3-amine (Compound **433**)

[00551] Sodium t-butoxide (148.6 mg, 1.546 mmol), t-BuXPhos Palladacycle (21 mg, 0.03mmol), 3-methyl-5-[[1-(oxetan-3-yl)-4-piperidyl]oxy]aniline (**JW-9d**) (200 mg, 0.77 mmol) and 3-bromo-1-(3,5-difluorophenyl)-1,2,4-triazole (**JW-1c**) (199 mg, 0.76 mmol) were mixed in t-BuOH (2.5 mL) and the reaction was degassed with N_2 for 30 seconds. The reaction was heated at 60 degrees for 3 hours and LCMS indicated that the reaction was complete. The reaction was cooled to room temperature and water was added to quench the reaction. Brine was added, the mixture was extracted with DCM and the organic layer was dried and concentrated. The crude was purified on reverse phase using 10-90% acetonitrile:water (0.1% TFA) and the desired fraction was converted into free base to afford 15.3 mg (11%) of cmpd **433**, 1-(3,5-difluorophenyl)-N-[3-methyl-5-[[1-(oxetan-3-yl)-4-piperidyl]oxy]phenyl]-1,2,4-triazol-3-amine. 1H NMR (300 MHz, DMSO-d6) δ 9.48 (s, 1H), 9.16 (s, 1H), 7.60 (d, J = 6.4 Hz, 2H), 7.42 - 7.20 (m, 2H), 6.83 (s, 1H), 6.28 (s, 1H), 4.53 (t, J = 6.5 Hz, 2H), 4.43 (t, J = 6.1 Hz, 2H), 4.32 (s, 1H), 3.41 (dd, J = 12.6, 6.3 Hz, 1H), 2.58 (s, 2H), 2.22 (s, 3H), 2.15 - 1.94 (m, 4H), 1.77 - 1.55 (m, 2H) ppm. ESI-MS m/z calc. 441.19763, found 442.44 (M+1)+; Retention time: 0.65 minutes.

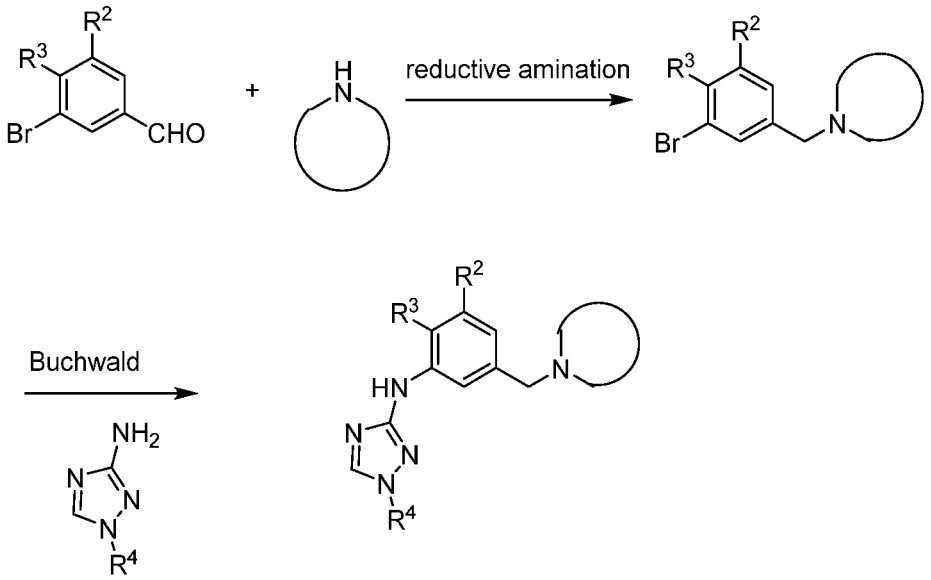
[00552] Using the general synthetic scheme outlined in Scheme O and the experimental procedures in Example 25, the following compounds were prepared:

Cmpd No.	IUPAC Name
304	1-(3,5-difluorophenyl)-N-[3-methyl-5-[(3S)-1-(oxetan-3-yl)pyrrolidin-3-yl]oxy-phenyl]-1,2,4-triazol-3-amine
433	1-(3,5-difluorophenyl)-N-[3-methyl-5-[[1-(oxetan-3-yl)-4-piperidyl]oxy]phenyl]-1,2,4-triazol-3-amine
384	1-(3,4-difluorophenyl)-N-[3-methyl-5-[[1-(oxetan-3-yl)-4-piperidyl]oxy]phenyl]-1,2,4-triazol-3-amine
410	N-[3-methyl-5-[[1-(oxetan-3-yl)-4-piperidyl]oxy]phenyl]-1-phenyl-1,2,4-triazol-3-amine
201	1-(4-fluorophenyl)-N-[3-methyl-5-[[1-(oxetan-3-yl)-4-piperidyl]oxy]phenyl]-1,2,4-triazol-3-amine
52	1-(3,4-difluorophenyl)-N-[3-methyl-5-[(3S)-1-(oxetan-3-yl)pyrrolidin-3-yl]oxy-phenyl]-1,2,4-triazol-3-amine
239	N-[3-methyl-5-[(3S)-1-(oxetan-3-yl)pyrrolidin-3-yl]oxy-phenyl]-1-phenyl-1,2,4-triazol-3-amine
178	1-(3,5-difluorophenyl)-N-[3-methyl-5-[(3R)-1-(oxetan-3-yl)pyrrolidin-3-yl]oxy-phenyl]-1,2,4-triazol-3-amine
391	N-[3-methyl-5-[(3R)-1-(oxetan-3-yl)pyrrolidin-3-yl]oxy-phenyl]-1-phenyl-1,2,4-triazol-3-amine
46	1-(3,4-difluorophenyl)-N-[3-methyl-5-[(3R)-1-(oxetan-3-yl)pyrrolidin-3-yl]oxy-phenyl]-1,2,4-triazol-3-amine
703	1-(3,5-difluorophenyl)-N-(3-methyl-5-tetrahydrofuran-3-yloxy-phenyl)-1,2,4-triazol-3-amine
778	1-(3,4-difluorophenyl)-N-(3-methyl-5-tetrahydrofuran-3-yloxy-phenyl)-1,2,4-triazol-3-amine
805	1-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenoxy]-1-piperidyl]ethanone
626	1-[4-[3-[[1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenoxy]-1-piperidyl]ethanone
620	1-(3,5-difluorophenyl)-N-[3-methyl-5-[[1-(oxetan-3-yl)-3-piperidyl]oxy]phenyl]-1,2,4-triazol-3-amine
590	1-(3,4-difluorophenyl)-N-[3-methyl-5-[[1-(oxetan-3-yl)-3-piperidyl]oxy]phenyl]-1,2,4-triazol-3-amine
700	1-(3,5-difluorophenyl)-N-[3-methyl-5-[[(3S)-1-(oxetan-3-yl)-3-piperidyl]oxy]phenyl]-1,2,4-triazol-3-amine
748	1-(3,5-difluorophenyl)-N-[3-methyl-5-[[(3R)-1-(oxetan-3-yl)-3-piperidyl]oxy]phenyl]-1,2,4-triazol-3-amine
632	1-(3,4-difluorophenyl)-N-[3-methyl-5-[[(3S)-1-(oxetan-3-yl)-3-piperidyl]oxy]phenyl]-1,2,4-triazol-3-amine
665	1-(3,4-difluorophenyl)-N-[3-methyl-5-[[(3R)-1-(oxetan-3-yl)-3-piperidyl]oxy]phenyl]-1,2,4-triazol-3-amine

Cmpd No.	IUPAC Name
677	1-(3,5-difluorophenyl)-N-[3-methyl-5-[(3-methyloxetan-3-yl)methoxy]phenyl]-1,2,4-triazol-3-amine
615	1-(3,4-difluorophenyl)-N-[3-methyl-5-[(3-methyloxetan-3-yl)methoxy]phenyl]-1,2,4-triazol-3-amine
671	N-[3-methyl-5-[(3-methyloxetan-3-yl)methoxy]phenyl]-1-[3-(trifluoromethyl)phenyl]-1,2,4-triazol-3-amine
812	1-(3,5-difluorophenyl)-N-[3-methyl-5-(2-morpholinoethoxy)phenyl]-1,2,4-triazol-3-amine
845	1-(3,4-difluorophenyl)-N-[3-methyl-5-(2-morpholinoethoxy)phenyl]-1,2,4-triazol-3-amine
575	N-[3-methyl-5-(2-morpholinoethoxy)phenyl]-1-[3-(trifluoromethyl)phenyl]-1,2,4-triazol-3-amine
635	1-(3,5-difluorophenyl)-N-(3-methyl-5-tetrahydropyran-3-yloxy-phenyl)-1,2,4-triazol-3-amine
638	1-(3,4-difluorophenyl)-N-(3-methyl-5-tetrahydropyran-3-yloxy-phenyl)-1,2,4-triazol-3-amine
706	N-[3-[(3,3-difluorocyclobutyl)methoxy]-5-methyl-phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
640	N-[3-[(3,3-difluorocyclobutyl)methoxy]-5-methyl-phenyl]-1-(3,4-difluorophenyl)-1,2,4-triazol-3-amine
832	1-(3,5-difluorophenyl)-N-[3-methyl-5-(2-pyrazol-1-ylethoxy)phenyl]-1,2,4-triazol-3-amine
698	1-(3,4-difluorophenyl)-N-[3-methyl-5-(2-pyrazol-1-ylethoxy)phenyl]-1,2,4-triazol-3-amine
644	N-[3-methyl-5-(2-pyrazol-1-ylethoxy)phenyl]-1-[3-(trifluoromethyl)phenyl]-1,2,4-triazol-3-amine
605	1-(3,5-difluorophenyl)-N-[3-methyl-5-[(1-methylpyrazol-3-yl)methoxy]phenyl]-1,2,4-triazol-3-amine
714	1-(3,4-difluorophenyl)-N-[3-methyl-5-[(1-methylpyrazol-3-yl)methoxy]phenyl]-1,2,4-triazol-3-amine
648	1-(3,5-difluorophenyl)-N-[3-methyl-5-(tetrahydrofuran-3-ylmethoxy)phenyl]-1,2,4-triazol-3-amine
865	1-(3,4-difluorophenyl)-N-[3-methyl-5-(tetrahydrofuran-3-ylmethoxy)phenyl]-1,2,4-triazol-3-amine
627	1-(3,4-difluorophenyl)-N-[3-methyl-5-(tetrahydropyran-4-ylmethoxy)phenyl]-1,2,4-triazol-3-amine
978	1-(3,4-difluorophenyl)-N-(2-fluoro-5-methyl-3-tetrahydrofuran-3-yloxy-phenyl)-1,2,4-triazol-3-amine
913	N-(2-fluoro-5-methyl-3-tetrahydrofuran-3-yloxy-phenyl)-1-(3-fluorophenyl)-1,2,4-triazol-3-amine
907	N-(2-fluoro-5-methyl-3-tetrahydrofuran-3-yloxy-phenyl)-1-phenyl-1,2,4-triazol-3-amine
952	N-(2-fluoro-5-methyl-3-tetrahydrofuran-3-yloxy-phenyl)-1-

Cmpd No.	IUPAC Name
	pyrazin-2-yl-1,2,4-triazol-3-amine
1053	1-(3,5-difluorophenyl)-N-(2-fluoro-5-methyl-3-tetrahydrofuran-3-yloxy-phenyl)-1,2,4-triazol-3-amine
1028	1-(3,5-difluorophenyl)-N-(2-fluoro-5-methyl-3-tetrahydrofuran-3-yloxy-phenyl)-1,2,4-triazol-3-amine
886	N-(2-fluoro-5-methyl-3-tetrahydrofuran-3-yloxy-phenyl)-1-(3-fluorophenyl)-1,2,4-triazol-3-amine
1061	N-(2-fluoro-5-methyl-3-tetrahydrofuran-3-yloxy-phenyl)-1-(3-fluorophenyl)-1,2,4-triazol-3-amine
1009	1-(3,4-difluorophenyl)-N-(2-fluoro-5-methyl-3-tetrahydrofuran-3-yloxy-phenyl)-1,2,4-triazol-3-amine
1055	1-(3,4-difluorophenyl)-N-(2-fluoro-5-methyl-3-tetrahydrofuran-3-yloxy-phenyl)-1,2,4-triazol-3-amine
1002	N-(2-fluoro-5-methyl-3-tetrahydrofuran-3-yloxy-phenyl)-1-phenyl-1,2,4-triazol-3-amine
1052	N-(2-fluoro-5-methyl-3-tetrahydrofuran-3-yloxy-phenyl)-1-phenyl-1,2,4-triazol-3-amine
1094	2-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-4,5-dimethyl-phenoxy]-1-morpholino-ethanone

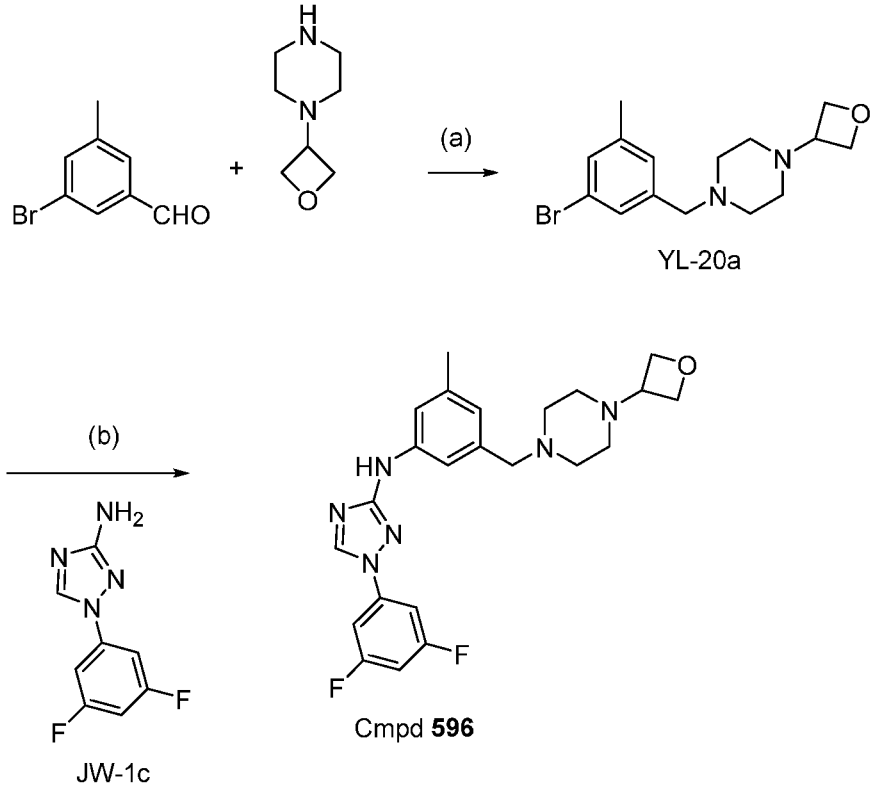
Scheme P: General Route P for Preparation of Compounds of Formula I or I'



[00553] Compounds of the invention may be prepared as generally outlined in Scheme P, where R^2 and R^4 are as described herein.

EXAMPLE 26

Preparation of 1-(3,5-difluorophenyl)-N-[3-methyl-5-[[4-(oxetan-3-yl)piperazin-1-yl]methyl]phenyl]-1,2,4-triazol-3-amine (Compound **596**)



Reagents and conditions: (a) $NaBH(OAc)_3$, HOAc, DCM; (b) 1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine, t-BuXPhos Palladacycle, NaOtBu, dioxane, 120°C.

[00554] Preparation of 1-(3-bromo-5-methylbenzyl)-4-(oxetan-3-yl)piperazine (**YL-20a**)

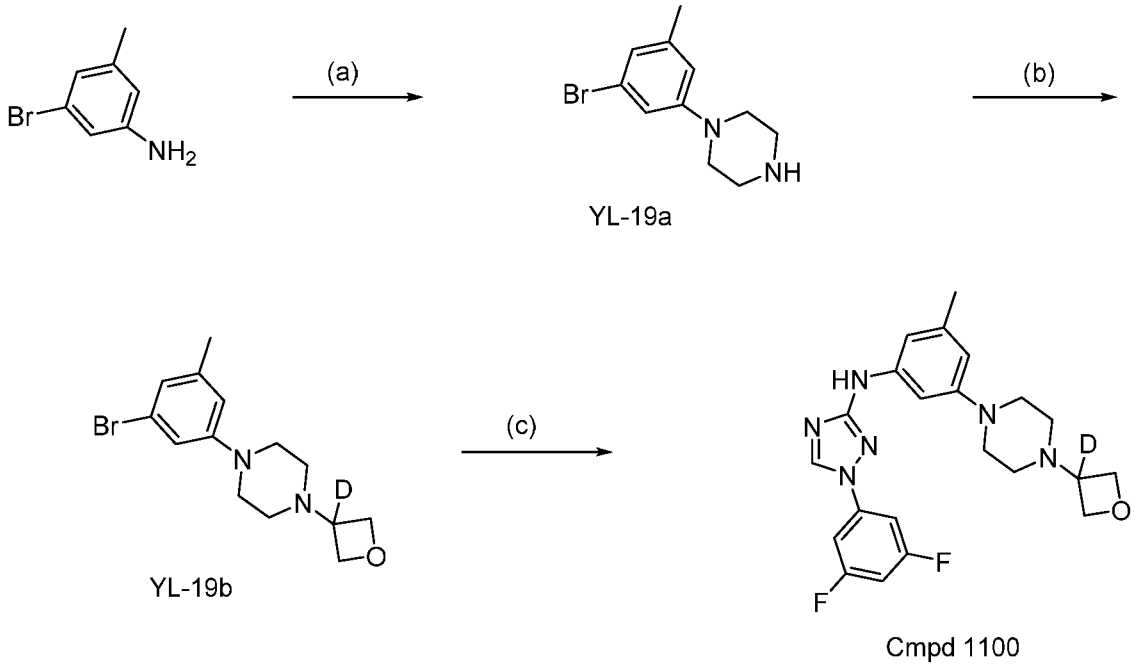
To a solution of 3-bromo-5-methyl-benzaldehyde (7.50 g, 37.68 mmol), 1-(oxetan-3-yl)piperazine (4 g, 28.13 mmol) and acetic acid (3 mL, 52.75mmol) in dichloromethane (150 mL) was added $NaBH(OAc)_3$ (11.98 g, 56.52 mmol) carefully. The mixture was stirred for 4h. LCMS showed desired product. The reaction was diluted with DCM and slowly quenched with MeOH and sat. $NaHCO_3$ (50 mL). After separation, the organic layer was washed with water, sat NaCl and dried over sodium sulfate, filtered and concentrated to give 1-[(3-bromo-5-methyl-phenyl)methyl]-4-(oxetan-3-yl)piperazine **YL-20a** (7.3 g, 59.6%) ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.29 (s, 1H), 7.23 (s, 1H), 7.05 (s, 1H), 4.82 - 4.50 (m, 4H), 3.61 - 3.48 (m, 1H), 3.47 (d, J = 5.7 Hz, 2H), 2.51 (m, 4H), 2.39 (m, 4H), 2.33 (s, 3H) ppm. ESI- MS m/z calc 324.08, found 325.48 $(M+1)^+$; Retention time: 0.66 minutes.

[00555] Preparation of 1-(3,5-difluorophenyl)-N-[3-methyl-5-[[4-(oxetan-3-yl)piperazin-1-yl]methyl]phenyl]-1,2,4-triazol-3-amine (Compound **596**)

[00556] 1-[(3-bromo-5-methyl-phenyl)methyl]-4-(oxetan-3-yl)piperazine **YL-20a** (290 mg, 0.89 mmol), 1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine (**JW-1c**) (216 mg, 0.99 mmol), and sodium t-butoxide (174 mg, 1.81 mmol) were suspended in dioxane (13 mL) and purged with N_2 for several minutes before addition of t-BuXPhos Palladacycle (52 mg, 0.076 mmol). The mixture was microwaved at 125°C for 45 minutes. The reaction was quenched with MeOH (2 mL) and diluted with DCM. After filtration (Florisil/10g), the excess solvent was pumped down. ISCO purification (40 g silica; 0 % to 10 % of MeOH in DCM) followed by DCM/MeOH trituation gave cmpd **596** 1-(3,5-difluorophenyl)-N-[3-methyl-5- [[4-(oxetan-3-yl)piperazin-1-yl]methyl]phenyl]-1,2,4-triazol-3-amine (180 mg, 43.5%). ^{1}H NMR (300 MHz, $CDCl_3$) δ 8.33 (s, 1H), 7.39 (s, 1H), 7.30 (d, J = 2.2 Hz, 1H), 7.27 (s, 1H), 7.23 (s, 1H), 6.89 - 6.75 (m, 2H), 6.70 (s, 1H), 4.75 - 4.53 (m, 4H), 3.62 - 3.44 (m, 3H), 2.59 (m, 4H), 2.40 (m, 7H) ppm. ESI-MS m/z calc. 440.21, found 441.67 $(M+1)^+$; Retention time: 0.68 minutes.

EXAMPLE 27

[00557] Preparation of N-[3-[4-(3-deuteriooxetan-3-yl)piperazin-1-yl]-5-methyl-phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine (Compound **1100**)



Reagents and conditions: (a) 2-chloro-N-(2-chloroethyl)ethanamine (Hydrochloric Acid (1)), 160°C; (b) oxetan-3-one, NaBD(OAc)3, DOAc, DCM; (c) 1-phenyl-1,2,4-triazol-3-amine, t-BuXPhos Palladacycle, NaOtBu, dioxane, 120°C.

[00558] Preparation of 1-(3-bromo-5-methyl-phenyl)piperazine (**YL-19a**)

[00559] A mixture of 3-bromo-5-methyl-aniline (5 g, 26.87 mmol) and 2-chloro-N-(2-chloroethyl)ethanamine (Hydrochloric Acid (1)) (5.28 g, 29.56 mmol) in butan-1-ol (100 mL) was refluxed at 125 °C for 16h. After filtration, the solids were collected, washed with n-butanol and dried. The solid was dissolved in EtOAc (200 mL) and treated with sat. Na_2CO_3 (40 mL). The organic layer was collected, then excess solvent was removed in vacuo. ISCO purification (40 g silica; 0 % to 10% to 30% of MeOH in DCM) gave 1-(3-bromo-5-methyl-phenyl)piperazine **YL-19a** (2.7 g, 39.4%). ^{1}H NMR (300 MHz, $CDCl_3$) δ 6.86 (d, J = 1.9 Hz, 1H), 6.83 (s, 1H), 6.66 (s, 1H), 3.50 (s, 1H), 3.14 (dd, J = 6.3, 3.6 Hz, 4H), 3.02 (dd, J = 6.2, 3.6 Hz, 4H), 2.29 (d, J = 0.4 Hz, 3H) ppm. ESI-MS m/z calc. 254.04, found 255.32 (M+1)+; Retention time: 0.64 minutes.

[00560] Preparation of 1-(3-bromo-5-methyl-phenyl)-4-(3-deuteriooxetan-3-yl)piperazine (**YL-19b**)

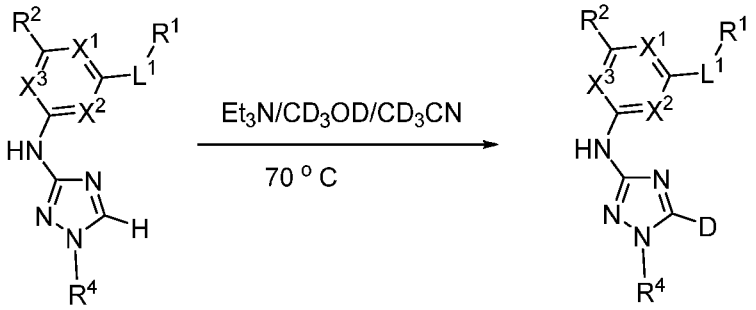
[00561] To a solution of 1-(3-bromo-5-methyl-phenyl)piperazine, **YL-19a** (640 mg, 2.38 mmol), oxetan-3-one (1.37 g, 19.02 mmol) and acetic acid (676 µL, 11.89 mmol) in dichloromethane (21 mL) was added $NaBD(OAc)_3$ (2.52 g, 11.89 mmol) carefully. CD_3OD (2 mL) was added to the above mixture. The reaction mixture was stirred at RT for 18 h. Reaction was diluted with DCM and slowly quenched with MeOH and sat. $NaHCO_3$ (50 mL). After separation, the organic layer was washed with water, sat NaCl and dried. The excess solvent was removed in vacuo and the crude product purified by ISCO purification (12g silica; 0 % to 5% to 10% of MeOH in DCM) to give 1-(3-bromo-5-methyl-phenyl)-4-(3-deuteriooxetan-3-yl)piperazine **YL-19b** (300 mg, 58.4%). ^{1}H NMR (300 MHz, $CDCl_3$) δ 6.90 - 6.79 (m, 2H), 6.65 (s, 1H), 4.69 (q, J = 6.3 Hz, 4H), 3.29 - 3.16 (m, 4H), 2.57 - 2.44 (m, 4H), 2.30 (s, 3H) ppm. ESI-MS m/z calc. 311.07, found 312.38 (M+1)+; Retention time: 0.67 minutes.

[00562] Preparation of N-[3-[4-(3-deuteriooxetan-3-yl)piperazin-1-yl]-5-methyl-phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine (Compound **1100**)

[00563] A mixture of 1-(3-bromo-5-methyl-phenyl)-4-(3-deuteriooxetan-3-yl)piperazine **YL-19b** (150 mg, 0.48mmol), 1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine (113 mg, 0.58 mmol) and

sodium t-butoxide (115 mg, 1.20 mmol) in dioxane (6.0 mL) was purged with N_2 for several minutes. t-BuXPhos Palladacycle (31 mg, 0.048 mmol) was added. The mixture was microwaved at 120°C for 35 minutes. The reaction was quenched with MeOH (2 mL) and diluted with DCM. After filtration (Florisil/5 g), the excess solvent was pumped down. ISCO purification (12g silica; 0% to 5% to 10% of MeOH in DCM) followed by ether trituration gave N-[3-[4-(3-deuteriooxetan-3-yl)piperazin-1-yl]-5-methyl-phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine, **Cmpd 1110** (120 mg, 55.5%). ^{1}H NMR (300 MHz, $CDCl_3$) δ 8.31 (s, 1H), 7.25 (dd, J = 7.8, 2.0 Hz, 2H), 7.12 (s, 1H), 6.87 - 6.73 (m, 2H), 6.66 (s, 1H), 6.43 (s, 1H), 4.80 - 4.61 (m, 4H), 3.40 - 3.21 (m, 4H), 2.64 - 2.48 (m, 4H), 2.35 (s, 3H) ppm. ESI-MS m/z calc. 427.20, found 428.49 (M+1)+; Retention time: 0.7 minutes.

Scheme Q: General Route Q for Preparation of Compounds of Formula I or I'



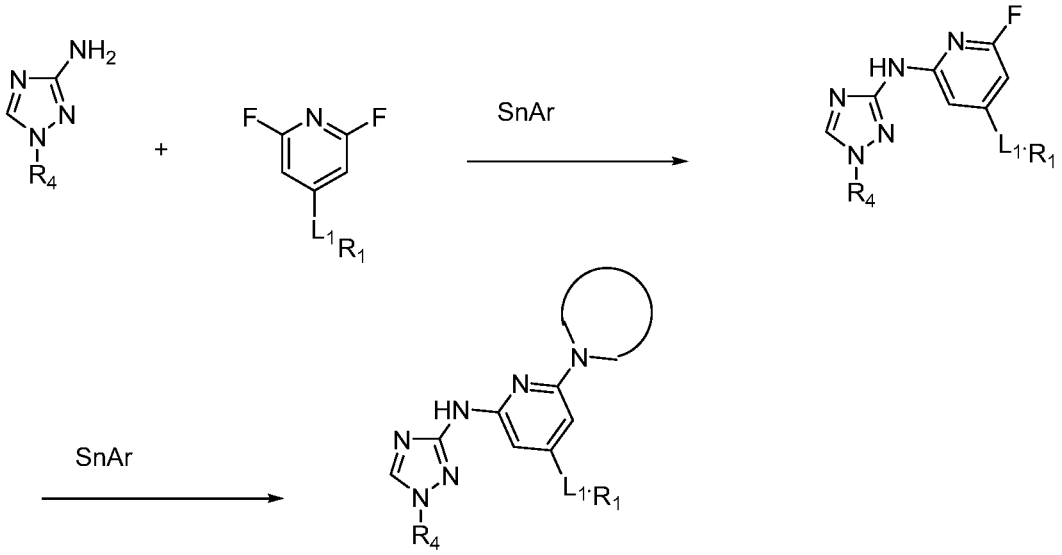
[00564] Deuterium compounds of the present invention may also be prepared as generally outlined in Scheme Q above, where X^1, X^2, X^3, L^1, R^1 R^2, and R^4 are as described herein.

[00565] Using the general synthetic scheme outlined in Scheme Q the following compounds were prepared:

Cmpd No.	IUPAC Name
1088	N-[5-deuterio-1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]-4-(difluoromethyl)-6-[4-(oxetan-3-yl)piperazin-1-yl]pyridin-2-amine
1089	N-[5-deuterio-1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]-6-[4-(oxetan-3-yl)piperazin-1-yl]-4-(trifluoromethyl)pyridin-2-amine
1090	N-[5-deuterio-1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-4-(difluoromethyl)-6-[4-(oxetan-3-yl)piperazin-1-yl]pyridin-2-amine
1093	2-cyclopropyl-N-[5-deuterio-1-(3,5-difluorophenyl)-1,2,4-triazol-

Cmpd No.	IUPAC Name
	3-yl]-6-morpholino-pyridin-4-amine

Scheme R: General Route R for Preparation of Compounds of Formula I or I'



[00566] Compounds of the invention may be prepared as generally outlined in Scheme R, where L^1, R^1, R^2 and R^4 are as described herein.

[00567] **Table 3**. Analytical Data

Cmpd No.	**LC/MS (M+H)**	**LC/MS Ret. Time (min)**	**^{1}H-NMR**
1	428.19	0.51	1H NMR (400 MHz, DMSO-d6) δ 9.80 (s, 1H), 9.21 (s, 1H), 7.63 (dd, J = 8.3, 2.0 Hz, 2H), 7.29 (dd, J = 10.3, 8.1 Hz, 1H), 6.92 (s, 1H), 6.74 (s, 1H), 4.57 (t, J = 6.5 Hz, 2H), 4.48 (t, J = 6.0 Hz, 2H), 3.44 (dd, J = 11.3, 5.5 Hz, 5H), 2.40 - 2.31 (m, 4H), 2.26 (s, 3H) ppm.
2	372.19	0.76	1H NMR (400 MHz, CDCl3) δ 8.31 (s, 1H), 7.26 (dd, J = 7.7, 2.0 Hz, 2H), 6.83 - 6.59 (m, 4H), 5.97 (d, J = 8.7 Hz, 1H), 4.37 (dt, J = 10.7, 5.2 Hz, 1H), 4.17 - 4.11 (m, 2H), 3.75 (dt, J = 28.4, 14.3 Hz, 2H), 3.39 - 3.35 (m, 3H), 2.32 (d,

Cmpd No.	LC/MS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			J = 6.4 Hz, 3H) ppm.
3	396.18	0.62	1H NMR (300 MHz, DMSO-d6) δ 9.97 (s, 1H), 9.15 (s, 1H), 8.01 (d, J = 11.3 Hz, 1H), 7.80 - 7.62 (m, 2H), 7.42 (d, J = 4.1 Hz, 2H), 6.65 (s, 1H), 4.26 (s, 2H), 3.81 (t, J = 5.4 Hz, 2H), 2.47 - 2.37 (m, 2H), 2.05 (d, J = 16.4 Hz, 1H), 0.96 - 0.80 (m, 4H) ppm.
4	495.18	0.65	1H NMR (400 MHz, DMSO-d6) δ 9.21 (s, 1H), 7.61 (dd, J = 8.2, 2.0 Hz, 2H), 7.56 (s, 1H), 7.46 (s, 1H), 7.29 (td, J = 9.2, 2.2 Hz, 1H), 6.87 (s, 1H), 3.90 (t, J = 12.9 Hz, 2H), 3.58 - 3.53 (m, 1H), 3.33 - 3.16 (m, 2H), 3.11 - 2.94 (m, 2H), 2.48 - 2.33 (m, 2H), 2.08 (m, 2H), 1.73 (m, 1H), 1.66 - 1.54 (m, 1H) ppm.
5	447.19	0.64	1H NMR (300 MHz, DMSO-d6) δ 9.64 (s, 1H), 9.18 (s, 1H), 7.59 (dd, J = 8.5, 2.1 Hz, 2H), 7.32 - 7.22 (m, 1H), 7.16 (d, J = 21.6 Hz, 2H), 6.52 (s, 1H), 4.57 (t, J = 6.5 Hz, 2H), 4.48 (t, J = 6.1 Hz, 2H), 3.45 (dt, J = 12.7, 6.2 Hz, 2H), 3.19 (dd, J = 10.5, 5.4 Hz, 4H), 2.45 - 2.36 (m, 4H) ppm.
6	350.36	0.68	1H NMR (300 MHz, DMSO-d6) δ 9.13 - 9.03 (m, 1H), 7.87 (d, J = 8.0 Hz, 2H), 7.54 (t, J = 8.0 Hz, 2H), 7.34 (t, J = 7.4 Hz, 1H), 7.13 (s, 1H), 6.84 (d, J = 18.2 Hz, 1H), 6.15 (s, 1H), 3.46 (dd, J = 18.0, 9.8 Hz, 2H), 3.35 - 3.17 (m, 2H), 2.31 - 2.17 (m, 3H), 1.95 (dd, J = 18.0, 9.6 Hz, 2H), 1.44 - 1.32 (m, 3H) ppm.
7	348	0.27	1H NMR (400 MHz, CDCl3) δ 8.33 (s, 1H), 7.78 - 7.61 (m, 2H), 7.53 (t, J = 8.0 Hz, 2H), 7.37 (t, J = 7.4 Hz, 1H), 6.75 (s, 1H), 6.65 (d, J = 5.8 Hz, 2H), 5.94 (s, 1H), 4.87 (s, 4H), 4.07 (s, 4H), 2.32 (s, 3H) ppm.
8	372.51	0.7	1H NMR (300 MHz, DMSO-d6) δ 9.23 (s, 1H), 9.15 (s, 1H), 7.70 - 7.60 (m, 2H), 7.24 (t, J = 9.3 Hz, 1H), 6.95 (s, 1H), 6.51 (s, 1H), 5.95 (s, 1H), 5.78 - 5.66 (m, 1H), 3.97 - 3.86 (m, 2H), 3.82 (t, J = 7.7 Hz, 1H), 3.73 (m, 1H), 3.55 (m, 1H), 2.22 (m, 1H), 2.15 (s, 3H), 1.81 (m, 1H) ppm.
9	419.16	0.61	1H NMR (400 MHz, CDCl3) δ 8.33 (s, 1H), 7.67 (d, J = 8.0 Hz, 2H), 7.51 (t, J = 7.9 Hz, 2H), 7.38 (d, J = 7.2 Hz, 1H), 6.87 (s, 1H), 6.76 (s,

Cmpd No.	LC/MS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			1H), 6.61 (s, 1H), 3.99 (d, J = 7.6 Hz, 4H), 3.69 (s, 4H), 1.89 (s, 1H), 1.82 - 1.69 (m, 4H), 1.02 (s, 2H), 0.85 (s, 2H) ppm.
10	405.4	0.86	1H NMR (300 MHz, CDCl3) δ 8.34 (s, 1H), 7.75 - 7.66 (m, 2H), 7.59 - 7.49 (m, 2H), 7.42 - 7.34 (m, 1H), 7.31 (d, J = 1.5 Hz, 2H), 6.74 (s, 1H), 6.69 (s, 1H), 4.19 - 4.05 (m, 4H), 3.57 (td, J = 11.4, 3.1 Hz, 4H), 2.79 (ddd, J = 15.7, 10.4, 4.9 Hz, 2H), 1.98 - 1.78 (m, 8H) ppm.
11	376.38	0.62	1H NMR (300 MHz, CDCl3) δ 8.24 (s, 1H), 7.66 - 7.55 (m, 2H), 7.49 - 7.36 (m, 2H), 7.31 - 7.24 (m, 1H), 7.12 - 6.99 (m, 2H), 6.71 (s, 1H), 6.32 (s, 1H), 4.41 (s, 4H), 3.08 (dd, J = 6.6, 4.6 Hz, 4H), 2.24 (s, 3H), 1.94 (dd, J = 6.6, 4.6 Hz, 4H) ppm.
12	392	0.88	1H NMR (400 MHz, CDCl3) δ 8.31 (s, 1H), 7.77 - 7.60 (m, 2H), 7.50 (t, J = 8.0 Hz, 2H), 7.35 (t, J = 7.4 Hz, 1H), 7.21 (s, 2H), 6.68 (s, 1H), 6.63 (s, 1H), 4.29 (s, 2H), 3.72 (s, 3H), 2.87 (s, 2H), 2.74 - 2.55 (m, 1H), 2.35 (s, 3H), 1.87 (d, J = 12.8 Hz, 2H), 1.66 (d, J = 14.7 Hz, 3H) ppm.
13	404	0.52	1H NMR (300 MHz, Acetone-d6) δ 9.10 (s, 1H), 8.85 (s, 1H), 8.71 (dd, J = 4.7, 1.6 Hz, 2H), 7.84 (dd, J = 4.7, 1.6 Hz, 2H), 7.66 (s, 1H), 7.54 (s, 1H), 6.82 (s, 1H), 3.41 - 3.23 (m, 4H), 2.64 - 2.49 (m, 4H), 2.29 (s, 3H) ppm.
14	423.24	0.65	1H NMR (300 MHz, DMSO-d6) δ 9.21 (s, 1H), 9.02 (s, 1H), 7.93 - 7.75 (m, 2H), 7.43 (dd, J = 12.1, 5.5 Hz, 2H), 7.15 (s, 1H), 6.93 (s, 1H), 6.33 (s, 1H), 4.71 - 4.52 (m, 2H), 4.49 (t, J = 6.0 Hz, 2H), 3.54 - 3.38 (m, 1H), 3.15 (s, 4H), 2.53 (d, J = 9.7 Hz, 2H), 2.35 (d, J = 41.2 Hz, 4H), 1.26 - 1.11 (m, 3H) ppm.
15	423	0.67	1H NMR (400 MHz, CDCl3) δ 8.31 (s, 1H), 7.44 (dd, J = 12.5, 6.1 Hz, 3H), 7.02 (ddd, J = 8.2, 5.1, 2.2 Hz, 2H), 6.76 - 6.60 (m, 2H), 5.97 (s, 1H), 4.00 (t, J = 6.9 Hz, 2H), 3.75 (dd, J = 10.1, 5.1 Hz, 6H), 3.43 - 3.22 (m, 1H), 2.59 (q, J = 7.6 Hz, 2H), 2.45 (s, 4H), 1.24 (t, J = 7.6 Hz, 4H) ppm.
16	424.48	0.65	1H NMR (300 MHz, CDCl3) δ 8.47 (s, 1H),

Cmpd No.	LC/MS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			8.32 (d, J = 5.6 Hz, 1H), 7.47 (d, J = 5.6 Hz, 1H), 7.27 (s, 1H), 7.10 (s, 1H), 6.86 (s, 1H), 6.71 (s, 1H), 6.49 (s, 1H), 4.77 - 4.65 (m, 4H), 3.67 - 3.55 (m, 1H), 2.66 (q, J = 7.6 Hz, 2H), 2.60 - 2.49 (m, 4H), 1.29 (t, J = 7.6 Hz, 3H) ppm.
17	469.44	0.72	1H NMR (300 MHz, DMSO-d6) δ 9.34 (s, 1H), 9.17 (s, 1H), 7.69 - 7.51 (m, 2H), 7.38 - 7.16 (m, 2H), 7.07 (s, 1H), 6.53 (d, J = 10.5 Hz, 1H), 4.58 (t, J = 6.5 Hz, 2H), 4.48 (t, J = 6.0 Hz, 2H), 3.67 - 3.39 (m, 1H), 3.24 - 3.08 (m, 4H), 2.39 (dd, J = 26.2, 21.4 Hz, 4H), 1.28 (s, 9H) ppm.
18	394.23	0.59	1H NMR (300 MHz, DMSO-d6) δ 9.48 (s, 1H), 9.09 (s, 1H), 7.85 (dd, J = 8.6, 1.0 Hz, 2H), 7.68 (s, 1H), 7.56 (t, J = 8.0 Hz, 2H), 7.35 (dd, J = 9.0, 5.7 Hz, 2H), 6.75 (s, 1H), 4.61 (td, J = 6.5, 1.6 Hz, 2H), 4.52 (dd, J = 10.4, 5.9 Hz, 2H), 3.83 - 3.72 (m, 1H), 3.19 - 2.86 (m, 3H), 2.81 - 2.71 (m, 1H), 2.40 - 2.22 (m, 5H) ppm.
19	408.25	0.68	1H NMR (300 MHz, DMSO-d6) δ 9.41 (s, 1H), 9.17 (s, 1H), 7.65 (dd, J = 8.6, 2.1 Hz, 2H), 7.30 - 7.20 (m, 2H), 7.10 (m, 1H), 6.92 - 6.86 (m, 2H), 6.47 (s, 1H), 4.37 (s, 2H), 4.09 (t, J = 5.3 Hz, 2H), 3.71 (t, J = 5.3 Hz, 2H), 2.26 (s, 3H) ppm.
20	377.46	0.61	1H NMR (300 MHz, DMSO-d6) δ 9.42 (s, 1H), 9.19 (s, 1H), 9.05 (d, J = 1.2 Hz, 1H), 8.66 (d, J = 2.4 Hz, 1H), 8.58 (dd, J = 2.6, 1.4 Hz, 1H), 7.15 (s, 1H), 6.92 (s, 1H), 6.33 (s, 1H), 3.16 - 3.03 (m, 4H), 2.79 - 2.66 (m, 4H), 2.24 (s, 3H), 1.75 - 1.56 (m, 1H), 0.52 - 0.41 (m, 2H), 0.37 - 0.30 (m, 2H) ppm.
21	363	0.58	1H NMR (400 MHz, CDCl3) δ 8.31 (s, 1H), 7.68 (d, J = 7.7 Hz, 2H), 7.49 (t, J = 7.9 Hz, 2H), 7.35 (d, J = 7.4 Hz, 1H), 7.19 (s, 1H), 7.06 (s, 1H), 6.68 (s, 1H), 6.56 (s, 1H), 3.24 - 2.96 (m, 4H), 2.72 (dd, J = 12.0, 9.4 Hz, 1H), 2.53 (dd, J = 11.4, 9.6 Hz, 1H), 2.34 (s, 3H), 1.95 (s, 2H), 1.10 (d, J = 6.3 Hz, 3H), 1.00 (d, J = 6.1 Hz, 3H) ppm.
22	435.3	0.65	1H NMR (400 MHz, DMSO-d6) δ 9.56 (s, 1H), 9.03 (s, 1H), 7.42 (d, J = 2.5 Hz, 1H), 7.34 (dd, J = 8.6, 2.5 Hz, 1H), 7.11 (d, J = 8.8 Hz, 1H), 7.02

Cmpd No.	LC/MS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			(s, 1H), 6.65 (d, J = 1.3 Hz, 1H), 4.18 (d, J = 12.5 Hz, 2H), 3.85 (s, 3H), 3.79 (s, 3H), 2.70 (t, J = 11.4 Hz, 2H), 1.79 (td, J = 8.0, 4.0 Hz, 2H), 1.63 (d, J = 13.0 Hz, 2H), 1.55 (m, 1H), 1.23 (dd, J = 18.5, 10.4 Hz, 1H), 1.09 (dd, J = 22.1, 10.1 Hz, 1H), 0.91 (d, J = 6.4 Hz, 3H), 0.80 (ddt, J = 12.4, 9.6, 3.3 Hz, 4H) ppm.
23	388.26	0.62	1H NMR (300 MHz, DMSO-d6) δ 9.60 (s, 1H), 9.19 (s, 1H), 7.74 (s, 1H), 7.63 (m, 2H), 7.32 - 7.19 (m, 2H), 6.75 (s, 1H), 3.08 - 2.79 (m, 3H), 2.67 (dd, J = 14.3, 7.1 Hz, 1H), 2.36 (s, 3H), 2.40-2.32 (m, 1H), 2.30 (s, 3H), 2.28-2.22 (m, 1H) ppm.
24	410.25	0.55	1H NMR (400 MHz, CDCl3) δ 8.84 (d, J = 1.6 Hz, 1H), 8.48 (d, J = 2.5 Hz, 1H), 8.39 (s, 1H), 7.80 (dt, J = 9.0, 2.3 Hz, 1H), 7.09 (s, 1H), 6.80 (s, 1H), 6.66 (s, 1H), 6.44 (s, 1H), 4.71 (p, J = 6.3 Hz, 4H), 3.67 - 3.52 (m, 1H), 3.37 - 3.21 (m, 4H), 2.61 - 2.46 (m, 4H), 2.36 (s, 3H) ppm.
25	412.3	0.61	1H NMR (400 MHz, DMSO-d6) δ 9.42 (s, 1H), 9.16 (s, 1H), 7.62 (dd, J = 8.6, 2.1 Hz, 2H), 7.52 (s, 1H), 7.29 - 7.19 (m, 1H), 7.18 (s, 1H), 6.62 (s, 1H), 4.58 (td, J = 6.5, 1.9 Hz, 2H), 4.50 (td, J = 6.0, 1.2 Hz, 2H), 3.69 - 3.60 (m, 1H), 3.29 - 3.21 (m, 1H), 2.97 (t, J = 8.4 Hz, 1H), 2.73 (dd, J = 14.9, 7.8 Hz, 1H), 2.59 (td, J = 8.7, 5.6 Hz, 1H), 2.44 - 2.38 (m, 1H), 2.26 (s, 3H), 1.79 (dt, J = 13.8, 8.3 Hz, 1H) ppm.
26	427.41	0.65	1H NMR (300 MHz, CDCl3) δ 8.35 (s, 1H), 7.73 - 7.65 (m, 2H), 7.53 (ddd, J = 8.3, 5.3, 1.8 Hz, 2H), 7.38 (ddd, J = 8.7, 4.6, 1.2 Hz, 2H), 7.17 (s, 1H), 6.86 (s, 1H), 6.63 (dd, J = 67.7, 45.7 Hz, 2H), 4.72 (p, J = 6.4 Hz, 4H), 3.59 (p, J = 6.4 Hz, 1H), 3.41 - 3.24 (m, 4H), 2.61 - 2.48 (m, 4H) ppm.
27	354.03	0.68	1H NMR (400 MHz, DMSO-d6) δ 9.38 (s, 1H), 9.04 (s, 1H), 7.89 (s, 2H), 7.40 (t, J = 8.8 Hz, 3H), 6.99 (d, J = 39.5 Hz, 1H), 6.54 (s, 1H), 3.84 (s, 4H), 3.22 (s, 4H), 2.26 (s, 3H) ppm.
28	403	0.66	1H NMR (400 MHz, DMSO-d6) δ 10.69 (s, 1H), 9.56 (s, 1H), 8.77 (d, J = 2.2 Hz, 1H), 7.88 (dd, J = 14.9, 9.0 Hz, 1H), 7.65 (t, J = 8.8 Hz, 1H),

Cmpd No.	LC/MS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			7.35 (t, J = 7.5 Hz, 1H), 6.83 (d, J = 16.8 Hz, 2H), 6.15 (d, J = 12.6 Hz, 1H), 3.86 - 3.60 (m, 2H), 3.44 (s, 4H), 3.31 - 2.97 (m, 2H), 2.80 (d, J = 4.8 Hz, 3H), 2.29 (s, 1H), 2.19 (s, 1H), 2.19 (s, 1H) ppm.
29	467	0.7	1H NMR (400 MHz, DMSO-d6) δ 9.32 (s, 1H), 9.16 (s, 1H), 7.60 (dd, J = 8.5, 2.1 Hz, 2H), 7.29 (s, 1H), 7.24 (ddd, J = 9.2, 5.8, 2.2 Hz, 1H), 6.64 (s, 1H), 6.23 (s, 1H), 3.93 (d, J = 8.7 Hz, 1H), 3.53 (dd, J = 11.5, 2.9 Hz, 1H), 3.38 (dt, J = 9.8, 4.9 Hz, 1H), 3.00 (dd, J = 17.7, 9.5 Hz, 2H), 2.71 (t, J = 10.9 Hz, 1H), 2.30 - 2.13 (m, 4H), 2.08 - 1.87 (m, 2H), 1.76 (dtd, J = 22.3, 17.5, 10.4 Hz, 4H), 1.60 - 1.29 (m, 2H), 1.09 (dd, J = 8.4, 5.7 Hz, 2H), 0.83 (dd, J = 6.5, 4.7 Hz, 6H) ppm.
30	423.26	0.54	1H NMR (400 MHz, CDCl3) δ 9.00 (s, 1H), 8.64 (d, J = 5.4 Hz, 1H), 7.35 (t, J = 12.8 Hz, 1H), 7.04 (d, J = 22.0 Hz, 1H), 6.83 (s, 2H), 6.45 (s, 1H), 4.72 (dq, J = 12.6, 6.4Hz, 4H), 4.11(d, J = 5.8Hz, 3H), 3.60(p, J = 6.4Hz, 1H), 3.36 - 3.24 (m, 4H), 2.59 - 2.49 (m, 4H), 2.36 (s, 3H) ppm.
31	419.23	0.63	1H NMR (400 MHz, CDCl3) δ 8.27 (s, 1H), 7.56 (d, J = 8.4 Hz, 2H), 7.32 - 7.28 (m, 2H), 7.17 (s, 1H), 6.83 (s, 1H), 6.60 (s, 1H), 6.43 (s, 1H), 4.72 (p, J = 6.3 Hz, 3H), 3.64 - 3.54 (m, 1H), 3.37 - 3.26 (m, 3H), 2.63 (q, J = 7.6 Hz, 2H), 2.57 - 2.50 (m, 3H), 2.43 (s, 3H), 1.27 (t, J = 7.6 Hz, 3H) ppm.
32	443.24	0.63	1H NMR (300 MHz, CDCl3) δ 8.32 (s, 1H), 7.59 - 7.47 (m, 1H), 7.36 (dt, J = 9.3, 2.1 Hz,1H), 7.16 (s, 1H), 7.13 - 7.02 (m, 1H), 6.77 (s, 1H), 6.63 (s, 1H), 6.43 (s, 1H), 4.80 - 4.64(m, 4H), 3.68 - 3.51 (m, 1H), 3.38 - 3.24 (m, 4H), 2.62 - 2.49 (m, 4H), 2.35 (s, 3H) ppm.
33	427.36	0.65	1H NMR (300 MHz, CDCl3) δ 8.32 (s, 1H), 7.28 - 7.21 (m, 2H), 7.12 (t, J = 1.9 Hz, 1H), 6.88 - 6.68 (m, 3H), 6.46 (d, J = 14.4 Hz, 1H), 4.81 - 4.70 (m, 4H), 3.67 - 3.48 (m, 1H), 3.33 (dd, J = 13.0, 8.2 Hz, 4H), 2.61 - 2.51 (m, 4H), 2.35 (s, 3H) ppm.
34	439	0.68	1H NMR (400 MHz, CDCl3) δ 8.29 (s, 1H),

Cmpd No.	LC/MS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			7.26 (d, J = 2.1 Hz, 2H), 7.22 (d, J = 7.8 Hz, 2H), 6.80 (s, 1H), 6.78 - 6.73 (m, 1H), 6.59 (s, 1H), 6.54 (s, 1H), 6.02 (s, 1H), 4.69 (t, J = 6.7 Hz, 1H), 4.63 (t, J = 6.5 Hz, 1H), 4.57 (s, 1H), 4.50 (d, J = 5.8 Hz, 1H), 4.32 (s, 1H), 4.05 - 3.95 (m, 1H), 3.60 (s, 1H), 3.45 (d, J = 9.2 Hz, 1H), 3.12 (d, J = 9.1 Hz, 1H), 3.06 (s, 1H), 2.95 (d, J = 9.2 Hz, 1H), 2.30 (s, 2H), 1.97 (d, J = 8.6 Hz, 1H) ppm.
35	396.23	0.62	1H NMR (300 MHz, DMSO-d6) δ 10.01 (s, 1H), 9.23 (s, 1H), 7.66 (d, J = 7.9 Hz, 2H), 7.43 (s, 1H), 7.41 (s, 1H), 7.27 (t, J = 9.3 Hz, 1H), 6.65 (s, 1H), 4.25 (s, 2H), 3.81 (t, J = 5.0 Hz, 2H), 2.46 (s, 2H), 2.03 (s, 1H), 1.00 - 0.76 (m, 4H) ppm.
36	380.25	0.73	1H NMR (300 MHz, DMSO-d6) δ 9.42 (s, 1H), 9.13 (s, 1H), 7.73 (dd, J = 10.1, 1.9 Hz, 2H), 7.59 (dd, J = 12.6, 6.0 Hz, 2H), 7.25 - 7.14 (m, 3H), 6.64 (s, 1H), 4.59 (t, J = 6.6 Hz, 2H), 4.45 - 4.40 (m, 2H), 3.81 - 3.53 (m, 4H), 3.20 (t, J = 6.0 Hz, 2H), 2.27 (s, 3H) ppm.
37	385.05	0.77	1H NMR (400 MHz, DMSO-d6) δ 10.77 (s, 1H), 9.40 (s, 1H), 9.17 (s, 1H), 7.68 - 7.52 (m, 2H), 7.25 (t, J = 9.2 Hz, 1H), 7.16 (s, 1H), 3.53 - 3.39 (m, 2H), 6.95 (s, 1H), 6.39 (s, 1H), 3.74 (d, J = 11.5 Hz, 2H), 3.13 (p, J = 11.5 Hz, 4H), 2.82 (d, J = 4.6 Hz, 3H), 2.25 (s, 3H) ppm.
38	441.45	0.59	1H NMR (400 MHz, DMSO-d6) δ 9.17 (s, 1H), 9.13 (s, 1H), 7.62 (dd, J = 8.5, 2.2 Hz, 2H), 7.29 - 7.16 (m, 1H), 7.05 (s, 1H), 6.38 (s, 1H), 5.96 (s, 1H), 5.33 (d, J = 7.7 Hz, 1H), 4.52 (t, J = 6.5 Hz, 2H), 4.43 (t, J = 6.1 Hz, 2H), 3.51 - 3.34 (m, 1H), 3.20 (s, 1H), 2.70 (t, J = 12.7 Hz, 2H), 2.12 (s, 3H), 1.98 (d, J = 10.9 Hz, 2H), 1.89 (t, J = 11.3 Hz, 2H), 1.41 (dd, J = 20.3, 11.2 Hz, 2H) ppm.
39	320	0.73	1H NMR (400 MHz, DMSO-d6) δ 9.43 (s, 1H), 9.10 (s, 1H), 7.85 (d, J = 7.7 Hz, 1H), 7.56 (t, J = 8.0 Hz, 1H), 7.43 (s, 1H), 7.40 - 7.26 (m, 1H), 6.67 (s, 1H), 3.58 (d, J = 8.1 Hz, 1H), 3.50 - 3.30 (m, 1H), 3.24 (s, 1H), 3.03 (d, J = 11.4 Hz, 1H), 2.31 (d, J = 22.3 Hz, 1H), 2.29 (s,3H), 2.02 -

Cmpd No.	LC/MS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			1.78 (m, 1H) ppm.
40	410.28	0.59	1H NMR (300 MHz, CDCl3) δ 8.46 (d, J = 6.7 Hz, 1H), 8.32 (d, J = 5.6 Hz, 1H), 7.52 - 7.44 (m, 1H), 7.27 (d, J = 1.5 Hz, 1H), 7.08 (s, 1H), 6.82 (s, 1H), 6.71 (s, 1H), 6.46 (s, 1H), 4.80 - 4.65 (m, 4H), 3.68 - 3.54 (m, 1H), 3.38 - 3.25 (m, 4H), 2.63 - 2.50 (m, 4H), 2.37 (s, 3H) ppm.
41	536.32	0.67	1H NMR (300 MHz, CDCl3) δ 8.28 (s, 1H), 7.23 (s, 1H), 6.75 (d, J = 12.9 Hz, 2H), 6.66 (dd, J = 7.2, 2.0 Hz, 2H), 6.40 (s, 1H), 6.33 (d, J = 12.0 Hz, 1H), 4.79 - 4.64 (m, 4H), 3.92 (s, 1H), 3.65 - 3.41 (m, 6H), 3.31 (dd, J = 9.6, 5.7 Hz, 5H), 3.21 (d, J = 7.1 Hz, 1H), 2.58 - 2.49 (m, 4H), 2.34 (s, 3H), 2.16 - 1.97 (m, 5H), 1.22 (t, J = 7.0 Hz, 3H) ppm.
42	354.79	0.69	1H NMR (300 MHz, CDCl3) δ 8.69 (s, 1H), 8.52 (d, J = 5.6 Hz, 1H), 7.84 - 7.70 (m, 1H), 7.65 (dd, J = 5.6, 1.9 Hz, 1H), 7.34 (s, 1H), 7.06 (s, 1H), 6.52 (s, 1H), 3.61 (t, J = 6.7 Hz, 2H), 3.06 (s, 1H), 3.00 (d, J = 2.9 Hz, 1H), 2.40 (s, 3H), 2.28 - 2.11 (m, 4H) ppm.
43	372.08	2.38	1H NMR (400 MHz, DMSO-d6) δ 9.44 (s, 1H), 9.08 (s, 1H), 8.16 - 7.90 (m, 1H), 7.85 - 7.58 (m, 1H), 7.40 (s, 1H), 7.04 (s, 1H), 6.56 (s, 1H), 3.85 (s, 1H), 3.23 (s, 1H), 2.27 (s, 1H) ppm.
44	375.25	0.67	1H NMR (300 MHz, DMSO-d6) δ 9.56 (s, 1H), 9.10 (s, 1H), 7.83 (dd, J = 8.6, 1.1 Hz, 2H), 7.56 (t, J = 8.0 Hz, 2H), 7.36 (t, J = 7.4 Hz, 1H), 6.91 (s, 1H), 6.77 (d, J = 1.4 Hz, 1H), 4.16 (d, J = 13.1 Hz, 2H), 2.72 (t, J = 11.7 Hz, 2H), 1.82 (td, J = 7.9, 3.9 Hz, 1H), 1.64 (d, J = 12.5 Hz, 2H), 1.56 (s, 1H), 1.19 - 0.97 (m, 2H), 0.91 (d, J = 6.4 Hz, 3H), 0.87 - 0.69 (m, 4H) ppm.
45	336	2.28	1H NMR (400 MHz, CDCl3) δ 8.31 (s, 1H), 7.78 - 7.61 (m, 2H), 7.49 (t, J = 8.0 Hz, 2H), 7.35 (t, J = 7.4 Hz, 1H), 7.14 (s, 1H), 6.81 (s, 1H), 6.66 (s, 1H), 6.39 (s, 1H), 3.89 (d, J = 4.1 Hz, 4H), 3.30 - 3.13 (m, 4H), 2.33 (s, 3H) ppm.
46	428.44	0.65	1H NMR (300 MHz, DMSO-d6) δ 9.41 (s, 1H), 9.06 (s, 1H), 8.12 - 7.89 (m, 1H), 7.81 - 7.52 (m, 2H), 7.11 (s, 1H), 6.95 (s, 1H), 6.24 (s, 1H), 4.87 (s, 1H), 4.59 (t, J = 5.9 Hz, 2H), 4.48 (dd, J =

Cmpd No.	LC/MS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			9.6, 5.6 Hz, 2H), 3.73 (s, 1H), 2.94 (s, 1H), 2.73 (s, 2H), 2.53 (s, 1H), 2.41 - 2.13 (m, 4H), 1.90 (s, 1H) ppm.
47	417.32	0.61	1H NMR (400 MHz, CDCl3) δ 8.22 (s, 1H), 7.59 (d, J = 7.8 Hz, 2H), 7.41 (t, J = 7.9 Hz, 2H), 7.26 (t, J = 7.4 Hz, 1H), 7.00 (t, J = 2.0 Hz, 1H), 6.65 (s, 1H), 6.55 (s, 1H), 6.25 (s, 1H), 4.68 - 4.54 (m, 4H), 3.49 (p, J = 6.4 Hz, 1H), 3.25 - 3.13 (m, 4H), 2.52 - 2.38 (m, 4H), 1.85 - 1.71 (m, 1H), 0.90 - 0.79 (m, 2H), 0.70 - 0.59 (m, 2H) ppm.
48	407.53	0.6	1H NMR (300 MHz, CDCl3) δ 9.09 (d, J = 1.3 Hz, 1H), 8.83 (s, 1H), 8.47 (d, J = 2.5 Hz, 1H), 8.31 (dd, J = 2.5, 1.5 Hz, 1H), 7.10 (t, J = 2.0 Hz, 1H), 6.75 (d, J = 5.0 Hz, 2H), 6.39 (s, 1H), 4.63 (dd, J = 6.4, 2.2 Hz, 4H), 3.59 - 3.43 (m, 1H), 3.31 - 3.18 (m, 4H), 2.56 (q, J = 7.6 Hz, 2H), 2.48 (dd, J = 14.3, 9.3 Hz, 4H), 1.20 (t, J = 7.6 Hz, 3H) ppm.
49	358.08	2.93	1H NMR (400 MHz, DMSO-d6) δ 9.64 (s, 1H), 8.81 (s, 1H), 7.86 (d, J = 6.9 Hz, 1H), 7.63 - 7.27 (m, 4H), 7.02 (d, J = 12.4 Hz, 2H), 3.75 (s, 4H), 3.12 (s, 4H) ppm.
50	425.2	0.54	1H NMR (400 MHz, CDCl3) δ 9.02 (s, 1H), 8.86 (d, J = 2.1 Hz, 1H), 8.77 (d, J = 3.7 Hz, 1H), 7.37 (t, J = 2.1 Hz, 1H), 6.84 (s, 1H), 6.74 (s, 1H), 6.46 (s, 1H), 4.73 (p, J = 6.4 Hz, 4H), 3.60 (p, J = 6.4 Hz, 1H), 3.40 - 3.24 (m, 4H), 2.63 (q, J = 7.6 Hz, 2H), 2.58 - 2.46 (m, 4H), 1.27 (t, J = 7.6 Hz, 3H) ppm.
51	544.41	0.73	1H NMR (300 MHz, CDCl3) δ 8.34 (s, 1H), 8.06 - 7.98 (m, 2H), 7.75 - 7.59 (m, 5H), 7.57 - 7.48 (m, 2H), 7.40 - 7.33 (m, 1H), 7.24 (d, J = 5.9 Hz, 2H), 6.67 (s, 2H), 4.84 (dd, J = 71.0, 21.8 Hz, 4H), 4.25 - 4.05 (m, 1H), 3.73 (s, 2H), 2.95 (s, 2H), 2.54 (s, 2H), 2.38 (s, 3H), 1.88 (s, 2H), 1.63 (d, J = 19.5 Hz, 2H) ppm.
52	428.4	0.65	1H NMR (300 MHz, DMSO-d6) δ 9.41 (s, 1H), 9.06 (s, 1H), 8.11 - 7.84 (m, 1H), 7.78 - 7.55 (m, 2H), 7.11 (s, 1H), 6.94 (s, 1H), 6.23 (s, 1H), 4.85 (s, 1H), 4.58 (dd, J = 6.5, 5.1 Hz, 2H), 4.47 (dd, J = 10.6, 5.7 Hz, 2H), 3.66 (s, 1H), 3.31 (s, 1H),

Cmpd No.	LC/MS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			2.89 (s, 1H), 2.69 (s, 2H), 2.38 - 2.17 (m, 4H), 1.86 (s, 1H) ppm.
53	415.28	0.66	1H NMR (300 MHz, DMSO-d6) δ 9.35 (s, 1H), 9.16 (s, 1H), 7.61 (dd, J = 8.6, 2.1 Hz, 2H), 7.24 (m, 2H), 6.81 (s, 1H), 6.30 (s, 1H), 4.57 (m, 1H), 4.11 (dd, J = 10.5, 5.2 Hz, 1H), 3.67 (d, J = 10.6 Hz, 2H), 3.48 (d, J = 10.5 Hz, 1H), 3.41 - 3.35 (m, 1H), 3.17 (d, J = 5.3 Hz, 1H), 2.76 (m, 2H), 2.24 (m, 6H) ppm.
54	406.53	0.67	1H NMR (300 MHz, CDCl3) δ 8.93 (s, 1H), 8.28 (d, J = 5.0 Hz, 1H), 7.64 (s, 1H), 7.14 (s, 1H), 7.07 (d, J = 5.0 Hz, 1H), 6.85 (s, 1H), 6.78 (s, 1H), 6.43 (s, 1H), 4.84 - 4.60 (m, 4H), 3.72 - 3.51 (m, 1H), 3.42 - 3.26 (m, 4H), 2.64 - 2.50 (m, 4H), 2.47 (s, 3H), 2.36 (s, 3H) ppm.
55	451.25	0.64	1H NMR (400 MHz, CDCl3) δ 8.32 (s, 1H), 7.74 (d, J = 1.9 Hz, 1H), 7.60 - 7.50 (m, 1H), 7.43 (t, J = 8.1 Hz, 1H), 7.38 - 7.30 (m, 1H), 7.14 (s, 1H), 6.70 (s, 1H), 6.61 (s, 1H), 6.35 (s, 1H), 4.71 (p, J = 6.3 Hz, 4H), 3.65 - 3.53 (m, 1H), 3.38 - 3.24 (m, 4H), 2.60 - 2.48 (m, 4H), 1.90 (ddd, J = 13.5, 8.5, 5.1 Hz, 1H), 1.01 - 0.88 (m, 2H), 0.82 - 0.64 (m, 2H) ppm.
56	439.28	0.61	1H NMR (400 MHz, DMSO-d6) δ 9.25 (s, 1H), 9.11 (s, 1H), 7.36 - 7.12 (m, 3H), 6.81 (dt, J = 11.2, 2.3 Hz, 2H), 6.31 (s, 1H), 4.57 (t, J = 6.5 Hz, 2H), 4.48 (t, J = 6.1 Hz, 2H), 3.86 (s, 3H), 3.53 - 3.38 (m, 1H), 3.25 - 3.05 (m, 4H), 2.46 - 2.34 (m, 3H), 2.22 (s, 3H) ppm.
57	422.41	2.48	1H NMR (400 MHz, DMSO-d6) δ 9.25 (s, 1H), 7.88 (d, J = 7.7 Hz, 2H), 7.63 - 7.55 (m, 2H), 7.46 (t, J = 7.4 Hz, 2H), 6.89 (s, 1H), 4.36 (t, J = 18.6 Hz, 1H), 4.14 (d, J = 13.0 Hz, 1H), 3.52 - 3.25 (m, 5H), 2.52 (s, 3H), 1.91 (dd, J = 13.5, 6.7 Hz, 1H), 1.78 (s, 1H), 1.20 (s, 1H), 1.12 (s, 2H), 0.88 (d, J = 6.5 Hz, 3H), 0.84 (d, J = 6.8 Hz, 1H), 0.77 (d, J = 6.8 Hz, 2H) ppm. mixture of diastereomers
58	421.28	0.54	1H NMR (400 MHz, CDCl3) δ 9.01 (s, 1H), 8.90 (d, J = 0.9 Hz, 1H), 7.59 (d, J = 9.2 Hz, 1H), 7.07 (t, J = 2.0 Hz, 1H), 6.91 (s, 1H), 6.89 (s, 1H), 4.72 (dt, J = 16.1, 6.4 Hz, 4H), 3.60 (p, J

Cmpd No.	LC/MS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			= 6.4 Hz, 1H), 3.37 - 3.28 (m, 4H), 2.66 (dd, J = 13.3, 5.6 Hz, 2H), 2.64 (s, 3H), 2.59 - 2.50 (m, 4H), 1.29 (t, J = 7.6 Hz, 3H) ppm.
59	365	0.58	
60	348	0.61	1H NMR (400 MHz, Acetone-d6) δ 8.79 (s, 1H), 8.25 (s, 1H), 7.93 - 7.82 (m, 2H), 7.59 - 7.49 (m, 3H), 7.40 (s, 1H), 7.38 - 7.30 (m, 1H), 6.64 (s, 1H), 2.95 - 2.65 (m, 5H), 2.31 (s, 3H), 2.23 (s, 3H), 1.97 (t, J = 10.9 Hz, 1H), 1.89 (td, J = 11.4, 3.1 Hz, 2H), 1.79 - 1.61 (m, 2H), 1.44 (ddd, J = 24.6, 12.3, 4.4 Hz, 1H) ppm.
61	424.19	0.76	1H NMR (300 MHz, CDCl3) δ 8.33 (s, 1H), 7.44 (s, 1H), 7.32 - 7.13 (m, 3H), 6.90 (d, J = 20.4 Hz, 2H), 6.80 (ddd, J = 8.7, 6.6, 2.2 Hz, 1H), 6.20 (s, 1H), 4.75 (d, J = 6.5 Hz, 3H), 3.84 - 3.32 (m, 1H), 3.13 (dd, J = 12.6, 6.8 Hz, 2H), 2.63 (d, J = 2.8 Hz, 4H), 2.39 (s, 3H) ppm.
62	371.29	0.64	1H NMR (300 MHz, CD3OD) δ 8.80 (s, 1H), 7.85 - 7.75 (m, 2H), 7.51 (dd, J = 16.5, 8.1 Hz, 3H), 7.36 (dd, J = 13.5, 6.0 Hz, 2H), 6.68 (dd, J = 64.3, 48.6 Hz, 2H), 3.39 (dd, J = 6.5, 3.7 Hz, 4H), 3.26 (dd, J = 6.5, 3.6 Hz, 4H) ppm.
63	439.34	3.24	1H NMR (300 MHz, DMSO-d6) δ 9.22 (s, 1H), 7.66 - 7.55 (m, 2H), 7.53 (s, 1H), 7.49 (s, 1H), 7.28 (td, J = 9.2, 2.2 Hz, 1H), 6.87 (s, 1H), 3.90 (m, 2H), 3.53 (m, 2H), 3.21 (m, 4H), 2.85 (s, 3H) ppm.
64	439.15	0.58	1H NMR (400 MHz, CDCl3) δ 9.00 (s, 1H), 8.61 (dd, J = 20.2, 5.4 Hz, 1H), 7.37 (d, J = 5.4 Hz, 1H), 7.06 (s, 1H), 6.84 (s, 2H), 6.45 (s, 1H), 4.72 (dq, J = 12.6, 6.4 Hz, 4H), 3.59 (p, J = 6.4 Hz, 1H), 3.36 - 3.24 (m, 4H), 2.62 (s, 3H), 2.54 (dd, J = 11.2, 6.3 Hz, 4H), 2.36 (s, 3H) ppm.
65	362.24	0.57	1H NMR (300 MHz, DMSO-d6) δ 9.35 (s, 1H), 9.07 (s, 1H), 7.89 - 7.82 (m, 2H), 7.62 (s, 1H), 7.55 (t, J = 7.9 Hz, 2H), 7.35 (t, J = 7.4 Hz, 1H), 7.23 (s, 1H), 6.63 (s, 1H), 4.59 (t, J = 6.6 Hz, 2H), 4.46 - 4.40 (m, 2H), 3.82 - 3.71 (m, 1H), 3.70 - 3.52 (m, 3H), 3.24 - 3.14 (m, 2H), 2.27 (s, 3H) ppm.
66	452.29	0.66	1H NMR (300 MHz, DMSO-d6) δ 9.51 (s, 1H), 8.96 (d, J = 2.3 Hz, 1H), 8.33 (dd, J = 11.3, 5.7

Cmpd No.	LC/MS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			Hz, 1H), 7.96 (dd, J = 10.0, 6.1 Hz, 1H), 7.14 (s, 1H), 6.88 (s, 1H), 6.34 (s, 1H), 4.57 (t, J = 6.5 Hz, 2H), 4.48 (t, J = 6.1 Hz, 2H), 3.50 - 3.39 (m, 1H), 3.21 - 3.10 (m, 4H), 2.45 - 2.36 (m, 4H), 2.23 (s, 3H) ppm.
67	441	0.64	1H NMR (400 MHz, DMSO-d6) δ 9.62 (s, 1H), 9.19 (s, 1H), 7.63 (dd, J = 8.4, 2.1 Hz, 2H), 7.37 (d, J = 12.2 Hz, 2H), 7.27 (dd, J = 10.3, 8.1 Hz, 1H), 6.66 (s, 1H), 4.60 (t, J = 6.6 Hz, 2H), 4.51 (t, J = 6.1 Hz, 2H), 3.73 - 3.52 (m, 3H), 3.12 (s, 2H), 2.79 - 2.65 (m, 2H), 2.30 (s, 3H) ppm.
68	351.44	0.6	1H NMR (300 MHz, DMSO-d6) δ 9.43 (s, 1H), 9.20 (s, 1H), 9.05 (d, J = 1.3 Hz, 1H), 8.66 (d, J = 2.5 Hz, 1H), 8.58 (dd, J = 2.6, 1.4 Hz, 1H), 7.16 (s, 1H), 6.92 (s, 1H), 6.33 (s, 1H), 3.20 - 3.00 (m, 4H), 2.46 (d, J = 4.8 Hz, 3H), 2.32 - 2.18 (m, 6H) ppm.
69	441.24	0.65	1H NMR (300 MHz, DMSO-d6) δ 9.29 (d, J = 13.3 Hz, 1H), 9.06 (s, 1H), 7.95 (dd, J = 12.9, 7.8 Hz, 1H), 7.68 (dd, J = 8.7, 5.1 Hz, 2H), 7.13 (s, 1H), 6.93 (s, 1H), 6.34 (s, 1H), 4.58 (t, J = 6.5 Hz, 2H), 4.48 (t, J = 6.1 Hz, 2H), 3.61 - 3.41 (m, 1H), 3.15 (s, 4H), 2.54 (d, J = 8.0 Hz, 2H), 2.34 (d, J = 43.4 Hz, 4H), 1.32 - 1.12 (m, 3H) ppm.
70	405.23	0.61	1H NMR (400 MHz, CDCl3) δ 8.27 (s, 1H), 7.56 (d, J = 8.4 Hz, 2H), 7.32 - 7.28 (m, 2H), 7.15 (s, 1H), 6.80 (s, 1H), 6.67 (s, 1H), 6.40 (s, 1H), 4.72 (p, J = 6.4 Hz, 4H), 3.58 (p, J = 6.5 Hz, 1H), 3.35 - 3.23 (m, 4H), 2.58 - 2.49 (m, 4H), 2.42 (s, 3H), 2.35 (d, J = 7.5 Hz, 3H) ppm.
71	390.46	0.65	1H NMR (300 MHz, CDCl3) δ 8.33 (s, 1H), 7.76 - 7.66 (m, 2H), 7.57 - 7.47 (m, 2H), 7.42 - 7.32 (m, 1H), 7.27 (s, 1H), 7.22 (s, 1H), 6.67 (d, J = 18.2 Hz, 2H), 4.70 (d, J = 6.6 Hz, 4H), 3.54 (p, J = 6.6 Hz, 1H), 2.90 (d, J = 9.9 Hz, 2H), 2.62 - 2.43 (m, 1H), 2.37 (s, 3H), 2.06 - 1.76 (m, 6H) ppm.
72	457.18	0.82	1H NMR (400 MHz, DMSO-d6) δ 9.45 (s, 1H), 9.19 (s, 1H), 7.63 (d, J = 6.4 Hz, 2H), 7.26 (t, J = 9.5 Hz, 1H), 7.18 (s, 1H), 6.93 (s, 1H), 6.40 (s, 1H), 4.34 (s, 2H), 4.26 (dd, J = 14.3, 7.2 Hz, 2H), 3.75 (s, 2H), 3.61 (s, 2H), 3.31 (s, 2H), 3.17

Cmpd No.	LC/MS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			(s, 2H), 2.25 (s, 3H), 1.26 (dd, J = 13.9, 6.8 Hz, 3H) ppm.
73	449.35	0.85	H NMR (300 MHz, CDCl3) δ 8.30 (s, 1H), 7.24 (d, J = 9.4 Hz, 1H), 7.10 (t, J = 2.0 Hz, 1H), 6.87 (d, J = 9.2 Hz, 1H), 6.72 (s, 1H), 6.61 (s, 1H), 6.35 (s, 1H), 4.79 - 4.60 (m, 4H), 3.59 (p, J = 6.3 Hz, 1H), 3.39 - 3.24 (m, 4H), 2.61 - 2.49 (m, 4H), 2.45 (s, 3H), 1.96 - 1.78 (m, 1H), 1.05 - 0.89 (m, 2H), 0.75 (dt, J = 6.7, 4.6 Hz, 2H) ppm.
74	392	0.6	1H NMR (400 MHz, CDCl3) δ 9.20 (d, J = 1.2 Hz, 1H), 8.91 (s, 1H), 8.57 (d, J = 2.5 Hz, 1H), 8.49 - 8.30 (m, 1H), 7.31 (s, 1H), 7.22 (s, 1H), 6.82 (s, 1H), 6.70 (s, 1H), 4.76 - 4.56 (m, 4H), 3.59 - 3.45 (m, 1H), 2.94 - 2.76 (m, 3H), 2.37 (s, 3H), 2.01 (d, J = 12.5 Hz, 1H), 1.85 (dt, J = 38.3, 11.5 Hz, 3H), 1.55 (dd, J = 28.9, 16.5 Hz, 2H) ppm.
75	427.2	0.62	1H NMR (300 MHz, CDCl3) δ 9.19 (d, J = 1.3 Hz, 1H), 8.92 (s, 1H), 8.57 (d, J = 2.5 Hz, 1H), 8.41 (dd, J = 2.5, 1.5 Hz, 1H), 7.19 (s, 1H), 6.77 (d, J = 8.0 Hz, 2H), 6.45 (s, 1H), 3.37 - 3.20 (m, 4H), 2.88 - 2.64 (m, 3H), 2.63 - 2.41 (m, 6H), 2.36 (s, 3H) ppm.
76	363	0.75	1H NMR (400 MHz, DMSO-d6) δ 9.28 (s, 1H), 9.08 (s, 1H), 7.85 (d, J = 7.6 Hz, 2H), 7.54 (t, J = 8.0 Hz, 2H), 7.35 (t, J = 7.4 Hz, 1H), 7.19 (s, 1H), 6.90 (s, 1H), 6.34 (s, 1H), 3.75 (s, 2H), 3.60 - 3.35 (m, 3H), 2.90 (s, 3H), 2.24 (s, 3H) ppm.
77	371	0.64	1H NMR (400 MHz, DMSO-d6) δ 9.45 (s, 1H), 9.26 (s, 2H), 9.19 (s, 1H), 7.63 (dd, J = 8.5, 2.1 Hz, 2H), 7.26 (d, J = 2.3 Hz, 1H), 7.19 (s, 1H), 6.97 (s, 1H), 6.40 (s, 1H), 3.46 - 3.31 (m, 4H), 3.24 (s, 4H), 2.25 (s, 3H) ppm.
78	423	0.64	1H NMR (400 MHz, CDCl3) δ 8.28 (s, 1H), 7.23 (d, J = 5.9 Hz, 2H), 6.80 (d, J = 7.6 Hz, 1H), 6.76 (t, J = 8.7 Hz, 1H), 6.63 (s, 1H), 6.54 (s, 1H), 6.06 (s, 1H), 4.25 (s, 1H), 3.65 (s, 1H), 3.48 (q, J = 8.8 Hz, 2H), 3.13 (d, J = 9.4 Hz, 1H), 2.90 (d, J = 9.7 Hz, 1H), 2.31 (s, 3H), 1.94 (t, J = 10.3 Hz, 3H), 1.27 (s, 1H), 0.55 - 0.30 (m, 4H) ppm.
79	445.41	0.64	1H NMR (300 MHz, CDCl3) δ 8.31 (s, 1H),

Cmpd No.	LC/MS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			7.27 (d, J = 2.3 Hz, 1H), 7.24 (d, J = 2.1 Hz, 1H), 7.10 (s, 1H), 6.88 - 6.73 (m, 2H), 6.65 (s, 1H), 6.43 (s, 1H), 3.77 (dd, J = 9.4, 8.4 Hz, 4H), 3.35 - 3.23 (m, 4H), 3.05 - 2.88 (m, 4H), 2.84 (dd, J = 12.3, 6.3 Hz, 1H), 2.35 (s, 3H) ppm.
80	340	0.36	1H NMR (400 MHz, DMSO-d6) δ 9.56 (s, 1H), 9.09 (s, 1H), 7.83 (d, J = 7.7 Hz, 2H), 7.55 (t, J = 7.9 Hz, 2H), 7.36 (t, J = 7.4 Hz, 1H), 7.03 (s, 1H), 7.00 (s, 2H), 6.29 (d, J = 12.4 Hz, 1H), 3.90 - 3.60 (m, 4H), 3.20 - 2.96 (m, 4H) ppm.
81	374	0.58	1H NMR (300 MHz, Acetone-d6) δ 8.76 (s, 1H), 8.15 - 7.87 (m, 3H), 7.64 (s, 1H), 7.23 (s, 1H), 7.00 (s, 1H), 6.53 (s, 1H), 3.42 - 3.20 (m, 3H), 2.75 - 2.55 (m, 3H), 2.42 (s, 3H), 2.40 (s, 3H) ppm.
82	463.36	0.69	1H NMR (300 MHz, CD3OD+CDCl3) δ 8.72 (s, 1H), 7.46 (s, 1H), 7.40 (dd, J = 8.1, 2.2 Hz, 2H), 7.24 (s, 1H), 6.78 (ddt, J = 110.8, 76.2, 29.5 Hz, 3H), 4.75 (dt, J = 12.5, 6.5 Hz, 4H), 3.65 (s, 1H), 3.36 (s, 4H), 2.61 (s, 4H) ppm.
83	342.09	3.33	1H NMR (400 MHz, DMSO-d6) δ 9.49 (s, 1H), 8.78 (d, J = 2.4 Hz, 1H), 7.84 (td, J = 7.8, 2.1 Hz, 1H), 7.63 - 7.35 (m, 3H), 6.76 (d, J = 11.8 Hz, 1H), 6.67 (s, 1H), 5.86 (d, J = 12.1 Hz, 1H), 3.21 (t, J = 6.4 Hz, 4H), 1.95 (t, J = 6.5 Hz, 4H) ppm.
84	337.11	0.51	1H NMR (400 MHz, CD3OD) δ 9.38 (s, 1H), 8.90 (d, J = 6.9 Hz, 2H), 8.63 (d, J = 6.0 Hz, 2H), 8.15 (d, J = 26.7 Hz, 1H), 7.36 (d, J = 22.6 Hz, 1H), 7.12 (d, J = 24.4 Hz, 1H), 4.19 (s, 4H), 3.73 (s, 4H), 2.45 (s, 3H) ppm.
85	391.27	0.78	1H NMR (300 MHz, DMSO-d6) δ 9.82 (s, 1H), 9.38 (s, 1H), 8.39 (d, J = 5.6 Hz, 1H), 7.85 - 7.76 (m, 1H), 7.61 (s, 1H), 7.37 (d, J = 4.7 Hz, 2H), 6.94 (t, J = 56.1 Hz, 1H), 6.70 (s, 1H), 3.85 - 3.71 (m, 4H), 3.21 - 3.09 (m, 4H) ppm.
86	421.28	0.59	1H NMR (400 MHz, CDCl3) δ 8.31 (s, 1H), 7.39 (t, J = 8.1 Hz, 1H), 7.28 (d, J = 1.7 Hz, 1H), 7.23 (dd, J = 8.0, 0.8 Hz, 1H), 7.18 (s, 1H), 6.95 - 6.84 (m, 1H), 6.78 (s, 1H), 6.70 (s, 1H), 6.40 (s, 1H), 4.71 (p, J = 6.1 Hz, 4H), 3.89 (s, 3H), 3.58 (p, J = 6.3 Hz, 1H), 3.36 - 3.26 (m, 4H),

Cmpd No.	LC/MS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			2.58 - 2.47 (m, 4H), 2.34 (s, 3H) ppm.
87	403.42	0.66	1H NMR (300 MHz, DMSO-d6) δ 9.17 (s, 1H), 9.04 (s, 1H), 7.82 (dd, J = 8.6, 1.0 Hz, 2H), 7.55 (dd, J = 10.7, 5.2 Hz, 2H), 7.34 (t, J = 7.4 Hz, 1H), 7.13 (s, 1H), 6.88 (s, 1H), 6.27 (s, 1H), 3.20 - 3.01 (m, 4H), 2.54 (dd, J = 9.5, 4.7 Hz, 4H), 2.44 (d, J = 8.1 Hz, 1H), 2.22 (s, 3H), 1.90 - 1.75 (m, 2H), 1.72 - 1.45 (m, 4H), 1.36 (dt, J = 16.2, 7.3 Hz, 2H) ppm.
88	399.29	0.62	1H NMR (400 MHz, DMSO-d6) δ 9.70 (s, 1H), 9.14 (d, J = 22.9 Hz, 1H), 7.98 (ddd, J = 11.7, 7.0, 2.3 Hz, 1H), 7.73 - 7.58 (m, 2H), 6.87 (t, J = 9.6 Hz, 1H), 6.82 (s, 1H), 3.75 - 3.63 (m, 4H), 3.39 - 3.32 (m, 4H), 1.87 (ddd, J = 12.8, 8.0, 4.8 Hz, 1H), 0.92 - 0.82 (m, 2H), 0.82 - 0.74 (m, 2H) ppm.
89	384.33	3.63	1H NMR (300 MHz, CDCl3) δ 8.76 (s, 1H), 8.27 (s, 1H), 7.77 (d, J = 7.9 Hz, 2H), 7.60 (dd, J = 13.0, 5.7 Hz, 3H), 7.48 (t, J = 7.4 Hz, 1H), 7.00 (s, 1H), 6.66 (s, 1H), 4.03 - 3.92 (m, 4H), 3.41 (s, 4H), 2.39 (s, 3H) ppm.
90	412	0.81	1H NMR (300 MHz, Acetone-d6) δ 8.89 (s, 1H), 8.33 (s, 1H), 7.65 - 7.48 (m, 2H), 7.42 (d, J = 16.4 Hz, 2H), 6.94 (tt, J = 9.0, 2.3 Hz, 1H), 6.66 (s, 1H), 4.71 (d, J = 13.2 Hz, 1H), 4.12 - 3.96 (m, 1H), 3.22 (td, J = 13.6, 2.7 Hz, 1H), 2.77 (ddd, J = 12.2, 8.4, 3.5 Hz, 1H), 2.63 (dd, J = 12.8, 9.9 Hz, 1H), 2.33 (s, 3H), 2.10 (s, 3H), 2.00 - 1.82 (m, 2H), 1.81 - 1.49 (m, 2H) ppm.
91	421.28	0.53	1H NMR (400 MHz, CDCl3) δ 9.04 (s, 1H), 8.75 (d, J = 5.5 Hz, 1H), 7.53 (t, J = 5.4 Hz, 1H), 7.09 (t, J = 2.0 Hz, 1H), 6.88 (s, 1H), 6.74 (s, 1H), 6.49 (s, 1H), 4.73 (dq, J = 12.6, 6.4 Hz, 4H), 3.69 - 3.53 (m, 1H), 3.37 - 3.24 (m, 3H), 2.76 (s, 3H), 2.72 - 2.58 (m, 2H), 2.59 - 2.48 (m, 3H), 1.29 (dd, J = 9.5, 5.7 Hz, 3H) ppm.
92			1H NMR (400 MHz, DMSO-d6) δ 9.42 (s, 1H), 9.16 (s, 1H), 7.62 (dd, J = 8.6, 2.1 Hz, 2H), 7.52 (s, 1H), 7.29 - 7.19 (m, 1H), 7.18 (s, 1H), 6.62 (s, 1H), 4.58 (td, J = 6.5, 1.9 Hz, 2H), 4.50 (td, J = 6.0, 1.2 Hz, 2H), 3.69 - 3.60 (m, 1H), 3.29 - 3.21 (m, 1H), 2.97 (t, J = 8.4 Hz, 1H), 2.73 (dd, J

Cmpd No.	LC/MS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			= 14.9, 7.8 Hz, 1H), 2.59 (td, J = 8.7, 5.6 Hz, 1H), 2.44 - 2.38 (m, 1H), 2.26 (s, 3H), 1.79 (dt, J = 13.8, 8.3 Hz, 1H) ppm.
93	385	0.6	1H NMR (400 MHz, DMSO-d6) δ 9.60 (d, J = 7.5 Hz, 1H), 9.18 (s, 1H), 7.63 (d, J = 6.4 Hz, 2H), 7.36 (t, J = 10.2 Hz, 2H), 7.26 (t, J = 9.3 Hz, 1H), 6.63 (s, 1H), 3.98 (s, 2H), 3.56 (dd, J = 15.0, 4.8 Hz, 4H), 2.29 (s, 3H) ppm.
94	379.24	0.59	1H NMR (400 MHz, CDCl3) δ 8.31 (s, 1H), 7.38 (t, J = 8.2 Hz, 1H), 7.29 (t, J = 2.2 Hz, 1H), 7.26 - 7.13 (m, 2H), 6.88 (ddd, J = 16.8, 8.4, 7.7 Hz, 1H), 6.78 (d, J = 10.8 Hz, 2H), 6.40 (s, 1H), 3.89 (s, 3H), 3.35 - 3.26 (m, 4H), 2.68 - 2.58 (m, 4H), 2.40 (s, 3H), 2.35 (d, J = 12.3 Hz, 3H) ppm.
95	443.11	0.63	1H NMR (400 MHz, CDCl3) δ 8.31 (s, 1H), 7.25 (dd, J = 7.8, 2.1 Hz, 2H), 7.10 (s, 1H), 6.83 - 6.73 (m, 2H), 6.66 (s, 1H), 6.42 (s, 1H), 3.84 - 3.71 (m, 1H), 3.49 (t, J = 8.9 Hz, 2H), 3.33 - 3.21 (m, 4H), 3.07 (dd, J = 9.0, 7.7 Hz, 2H), 2.54 (dd, J = 14.9, 10.0 Hz, 4H), 2.35 (s, 3H) ppm.
96	405	0.59	1H NMR (300 MHz, Acetone-d6) δ 9.17 (s, 1H), 9.05 (d, J = 1.1 Hz, 1H), 8.97 (d, J = 5.5 Hz, 2H), 7.80 (dd, J = 5.5, 1.2 Hz, 1H), 7.64 (d, J = 2.0 Hz, 1H), 7.54 (s, 1H), 6.84 (s, 1H), 3.41 - 3.21 (m, 4H) note: water peak under the CH2s, 2.61 - 2.49 (m, 4H), 2.29 (s, 3H) ppm.
97	451.44	0.71	1H NMR (300 MHz, DMSO-d6) δ 9.26 (s, 1H), 9.13 (s, 1H), 7.71 (dd, J = 5.0, 2.8 Hz, 1H), 7.68 (s, 1H), 7.60 (td, J = 8.4, 6.5 Hz, 1H), 7.26 (s, 1H), 7.23 - 7.13 (m, 1H), 7.10 (s, 1H), 6.50 (s, 1H), 4.58 (t, J = 6.5 Hz, 2H), 4.49 (t, J = 6.0 Hz, 2H), 3.56 - 3.38 (m, 1H), 3.25 - 3.09 (m, 4H), 2.47 - 2.30 (m, 4H), 1.26 (d, J = 9.4 Hz, 9H) ppm.
98	385	0.65	1H NMR (400 MHz, DMSO-d6) δ 9.49 (s, 1H), 9.15 (s, 1H), 7.70 (dd, J = 8.3, 5.6 Hz, 2H), 7.60 (dd, J = 14.7, 8.3 Hz, 1H), 7.20 (t, J = 8.2 Hz, 1H), 6.98 (s, 1H), 6.66 (d, J = 11.4 Hz, 1H), 6.03 (d, J = 13.1 Hz, 1H), 3.51 (d, J = 4.2 Hz, 2H), 3.43 (t, J = 6.1 Hz, 2H), 3.34 (s, 3H), 2.64 (d, J = 4.6 Hz, 2H), 2.48 - 2.40 (m, 2H), 2.27 (s, 3H), 1.92 (d, J = 4.9 Hz, 2H) ppm.

Cmpd No.	LC/MS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
99	360.09	3.41	1H NMR (400 MHz, DMSO-d6) δ 9.50 (s, 1H), 9.09 (s, 1H), 8.07 - 7.92 (m, 1H), 7.76 - 7.57 (m, 2H), 6.76 (d, J = 11.8 Hz, 1H), 6.69 (s, 1H), 5.88 (d, J = 12.3 Hz, 1H), 3.22 (t, J = 6.3 Hz, 4H), 1.96 (t, J = 6.5 Hz, 4H) ppm.
100	393.12	1.08	1H NMR (300 MHz, CD3OD) δ 9.83 (s, 1H), 8.80 (ddd, J = 11.4, 6.9, 2.7 Hz, 1H), 8.64 (ddt, J = 8.2, 4.2, 2.1 Hz, 1H), 8.46 (dt, J = 10.1, 8.7 Hz, 1H), 7.93 (dd, J = 12.8, 1.5 Hz, 2H), 4.88 - 4.71 (m, 4H), 4.54 - 4.37 (m, 4H) ppm.
101			1H NMR (300 MHz, DMSO-d6) δ 9.46 (s, 1H), 9.17 (s, 1H), 7.74 (s, 1H), 7.69 - 7.58 (m, 2H), 7.25 (m, 2H), 6.85 (s, 1H), 5.18 (s, 1H), 4.57 (dd, J = 9.9, 4.3 Hz, 2H), 4.51 (dd, J = 13.1, 6.0 Hz, 2H), 3.82 - 3.71 (m, 1H), 2.92 - 2.70 (m, 4H), 2.29 (s, 3H), 2.21 - 1.97 (m, 2H) ppm.
102	425.22	0.63	1H NMR (300 MHz, DMSO-d6) δ 9.27 (s, 1H), 9.03 (s, 1H), 7.96 - 7.70 (m, 2H), 7.42 (dd, J = 12.1, 5.5 Hz, 2H), 6.94 - 6.75 (m, 2H), 6.04 (s, 1H), 4.57 (t, J = 6.5 Hz, 2H), 4.48 (t, J = 6.0 Hz, 2H), 3.71 (s, 3H), 3.44 (dt, J = 15.2, 7.7 Hz, 1H), 3.25 - 3.07 (m, 4H), 2.37 (t, J = 22.6 Hz, 4H) ppm.
103	506.25	0.69	1H NMR (300 MHz, CDCl3) δ 8.26 (s, 1H), 7.20 (s, 1H), 6.77 (s, 1H), 6.70 - 6.54 (m, 3H), 6.40 (s, 1H), 6.20 (d, J = 12.1 Hz, 1H), 4.79 - 4.65 (m, 44H), 3.60 (dd, J = 13.0, 6.6 Hz, 2H), 3.52 - 3.40 (m, 1H), 3.36 - 3.29 (m, 4H), 3.28 - 3.14 (m, 1H), 2.53 (s, 4H), 2.36 (d, J = 11.7 Hz, 3H), 2.06 - 1.99 (m, 1H), 1.82 - 1.48 (m, 5H), 1.32 - 1.21 (m, 3H) ppm.
104	392.4	0.61	1H NMR (300 MHz, DMSO-d6) δ 9.31 (s, 1H), 9.12 (s, 1H), 8.49 (ddd, J = 4.8, 1.8, 0.8 Hz, 1H), 8.08 (ddd, J = 8.2, 7.5, 1.8 Hz, 1H), 7.74 (d, J = 8.2 Hz, 1H), 7.40 (ddd, J = 7.5, 4.9, 1.0 Hz, 1H), 7.15 (s, 1H), 6.92 (s, 1H), 6.32 (s, 1H), 4.56 (q, J = 6.6 Hz, 3H), 4.54 - 4.36 (m, 3H), 3.55 - 3.38 (m, 1H), 3.16 (dd, J = 7.4, 3.7 Hz, 4H), 2.46 - 2.40 (m, 4H), 2.24 (s, 3H) ppm.
105	401.27	0.64	1H NMR (400 MHz, CDCl3) δ 8.34 - 8.25 (m, 1H), 7.26 - 7.12 (m, 3H), 6.83 - 6.72 (m, 1H), 6.54 - 6.45 (m, 2H), 5.45 - 5.20 (m, 1H), 3.83

Cmpd No.	LC/MS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			(dd, J = 26.4, 13.0 Hz, 1H), 3.72 - 3.48 (m, 3H), 2.34 (dd, J = 22.0, 10.3 Hz, 1H), 2.22 - 1.98 (m, 1H), 1.92 - 1.80 (m, 1H), 1.03 (s, 2H), 0.84 (d, J = 3.0 Hz, 2H) ppm.
106	385.15	0.86	1H NMR (400 MHz, CDCl3) δ 8.34 (d, J = 12.0 Hz, 1H), 7.41 (t, J = 8.2 Hz, 1H), 7.22 (dd, J = 7.7, 1.6 Hz, 1H), 7.00 (s, 1H), 6.94 (d, J = 1.5 Hz, 1H), 6.94 - 6.91 (m, 1H), 6.68 (d, J = 1.5 Hz, 1H), 3.90 (s, 3H), 3.57 (s, 4H), 1.67 (s, 6H) ppm.
107	441.4	0.65	1H NMR (300 MHz, CDCl3) δ 8.32 (s, 1H), 7.25 (dd, J = 7.9, 2.2 Hz, 2H), 7.14 (t, J = 2.1 Hz, 1H), 6.80 (ddd, J = 10.9, 3.3, 1.9 Hz, 3H), 6.46 (s, 1H), 4.79 - 4.65 (m, 4H), 3.59 (p, J = 6.4 Hz, 1H), 2.64 (q, J = 7.6 Hz, 2H), 2.58 - 2.45 (m, 4H), 1.28 (t, J = 7.6 Hz, 3H) ppm.
108	370	0.62	1H NMR (400 MHz, Acetone-d6) δ 8.92 (s, 1H), 8.37 (s, 1H), 7.63 - 7.53 (m, 2H), 7.45 (s, 1H), 7.41 (s, 1H), 7.00 (tt, J = 9.1, 2.3 Hz, 1H), 6.65 (s, 1H), 3.11 (d, J = 11.9 Hz, 2H), 2.78 - 2.63 (m, 8H), 2.57 (tt, J = 11.9, 3.7 Hz, 1H), 2.32 (s, 3H), 1.82 - 1.73 (m, 2H), 1.63 (qd, J = 12.4, 4.0 Hz, 2H) ppm.
109	385	0.66	1H NMR (400 MHz, DMSO-d6) δ 9.52 (s, 1H), 9.15 (s, 1H), 7.80 - 7.66 (m, 2H), 7.65 - 7.52 (m, 1H), 7.19 (t, J = 7.4 Hz, 1H), 6.82 (s, 1H), 6.68 (d, J = 11.8 Hz, 1H), 5.88 (d, J = 12.1 Hz, 1H), 3.46 (t, J = 8.1 Hz, 1H), 3.35 (d, J = 11.0 Hz, 4H), 3.30 - 3.15 (m, 1H), 3.05 (t, J = 8.5 Hz, 1H), 2.83 - 2.68 (m, 1H), 2.22 (s, 6H), 1.91 - 1.71 (m, 1H) ppm.
110	320	2.3	1H NMR (400 MHz, CDCl3) δ 8.31 (s, 1H), 7.79 - 7.60 (m, 2H), 7.60 - 7.38 (m, 2H), 7.40 - 7.28 (m, 1H), 6.79 (s, 1H), 6.62 (s, 2H), 6.06 (s, 1H), 3.34 (m, 4H), 2.32 (s, 3H), 2.03 (m, 4H) ppm.
111	407.33	0.56	1H NMR (400 MHz, CDCl3) δ 9.02 (s, 1H), 8.90 (d, J = 0.8 Hz, 1H), 7.59 (s, 1H), 7.03 (d, J = 11.4 Hz, 1H), 6.90 (s, 1H), 6.88 (s, 1H), 6.45 (s, 1H), 4.72 (dt, J = 16.0, 6.4 Hz, 4H), 3.59 (p, J = 6.4 Hz, 1H), 3.36 - 3.25 (m, 4H), 2.64 (s, 3H), 2.59 - 2.49 (m, 4H), 2.37 (s, 3H) ppm.
112	431.25	0.63	1H NMR (300 MHz, DMSO-d6) δ 9.63 (s, 1H),

Cmpd No.	LC/MS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			9.18 (s, 1H), 7.67 - 7.55 (m, 2H), 7.31 - 7.20 (m, 1H), 7.02 - 6.92 (m, 2H), 6.31 (d, J = 12.7 Hz, 1H), 4.57 (t, J = 6.5 Hz, 2H), 4.48 (t, J = 6.0 Hz, 2H), 3.51 - 3.39 (m, 1H), 3.23 - 3.14 (m, 4H), 2.44 - 2.34 (m, 4H) ppm.
113	427.45	0.65	1H NMR (300 MHz, DMSO-d6) δ 9.13 (s, 1H), 9.05 (s, 1H), 8.09 - 7.95 (m, 1H), 7.70 (ddt, J = 19.2, 17.6, 5.8 Hz, 2H), 6.89 (s, 1H), 6.52 (s, 1H), 5.92 (s, 1H), 5.62 (d, J = 6.5 Hz, 1H), 4.56 (td, J = 6.5, 3.6 Hz, 2H), 4.45 (dd, J = 12.6, 6.1 Hz, 2H), 3.85 (s, 1H), 3.67 - 3.50 (m, 1H), 2.78 (dt, J = 17.5, 8.8 Hz, 1H), 2.60 (dd, J = 13.9, 8.1 Hz, 1H), 2.47 - 2.34 (m, 2H), 2.33 - 2.17 (m, 1H), 2.14 (s, 3H), 1.66 (td, J = 12.8, 7.4 Hz, 1H) ppm.
114	453.28	0.66	1H NMR (300 MHz, DMSO-d6) δ 9.29 (s, 1H), 9.14 (s, 1H), 7.59 (m, 2H), 7.23 (m, 2H), 6.80 (s, 1H), 6.31 (s, 1H), 3.93 (m, 1H), 3.63 (d, J = 11.8 Hz, 1H), 3.52 (d, J = 12.0 Hz, 1H), 3.40 (t, J = 7.0 Hz, 2H), 2.83 - 2.72 (m, 1H), 2.63 (m, 1H), 2.26 - 2.18 (m, 5H), 1.93 (m, 2H), 1.71 (m, 4H) ppm.
115	391	0.62	
116	386.19	0.72	
117	376	0.8	1H NMR (400 MHz, Acetone-d6) δ 8.81 (d, J = 4.5 Hz, 1H), 8.35 (d, J = 3.8 Hz, 1H), 7.95 - 7.84 (m, 2H), 7.62 - 7.51 (m, 3H), 7.44 (s, 1H), 7.36 (td, J = 7.4, 3.1 Hz, 1H), 6.68 (d, J = 15.5 Hz, 1H), 4.78 - 4.50 (m, 1H), 4.01 - 3.86 (m, 1H), 3.19 - 3.05 (m, 1H), 2.70 (ddd, J = 11.6, 7.9, 4.0 Hz, 1H), 2.54 (dd, J = 14.8, 8.0 Hz, 2H), 2.32 (s, 3H), 1.91 - 1.71 (m, 3H), 1.71 - 1.42 (m, 2H) ppm.
118	391.36	0.63	1H NMR (300 MHz, DMSO-d6) δ 9.19 (s, 1H), 9.05 (s, 1H), 7.82 (dd, J = 8.6, 1.0 Hz, 2H), 7.55 (dd, J = 10.8, 5.2 Hz, 2H), 7.34 (t, J = 7.4 Hz, 1H), 7.16 (s, 1H), 6.88 (s, 1H), 6.29 (s, 1H), 4.57 (t, J = 6.5 Hz, 2H), 4.49 (t, J = 6.1 Hz, 2H), 3.45 (p, J = 6.2 Hz, 1H), 3.23 - 3.03 (m, 4H), 2.45 - 2.35 (m, 4H), 2.22 (s, 3H) ppm.
119	399	0.63	1H NMR (400 MHz, CDCl3) δ 8.29 (s, 1H), 7.25 (d, J = 4.5 Hz, 2H), 7.23 (d, J = 2.1 Hz,

Cmpd No.	LC/MS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			1H), 7.19 (s, 1H), 6.77 (tt, J = 8.7, 2.2 Hz, 1H), 6.69 (s, 1H), 6.62 (s, 1H), 6.40 (s, 1H), 3.66 - 3.52 (m, 2H), 3.48 (dt, J = 11.9, 5.4 Hz, 1H), 3.14 - 2.91 (m, 2H), 2.68 (s, 1H), 2.51 (d, J = 11.5 Hz, 1H), 2.40 (s, 2H), 2.33 (s, 2H), 1.21 (dd, J = 9.1, 4.8 Hz, 3H) ppm.
120	374	0.64	1H NMR (300 MHz, CDCl3) δ 8.30 (s, 1H), 7.79 - 7.60 (m, 2H), 7.58 - 7.43 (m, 2H), 7.42 - 7.28 (m, 1H), 7.17 (s, 1H), 6.68 (s, 1H), 6.62 (s, 1H), 3.17 (d, J = 11.5 Hz, 2H), 2.50 (tt, J = 11.7, 3.8 Hz, 1H), 2.40 - 2.22 (m, 5H), 2.04 (s, 1H), 1.94 - 1.55 (m, 6H), 0.47 (d, J = 6.8 Hz, 4H) ppm.
121	454.32	0.61	1H NMR (400 MHz, CDCl3) δ 8.44 (s, 1H), 7.12 (d, J = 12.2 Hz, 2H), 7.11 (s, 2H), 7.00 (t, J = 1.8 Hz, 1H), 6.84 (s, 1H), 6.70 (d, J = 20.8 Hz, 1H), 6.39 (s, 1H), 4.80 - 4.60 (m, 4H), 3.69 - 3.47 (m, 1H), 3.29 (dd, J = 14.1, 9.1 Hz, 4H), 2.53 (dd, J = 12.9, 8.1 Hz, 4H), 1.96 - 1.84 (m, 1H), 1.07 - 0.89 (m, 2H), 0.84 - 0.65 (m, 2H) ppm.
122	397	0.59	1H NMR (300 MHz, Acetone-d6) δ 9.08 (s, 1H), 8.44 (s, 1H), 8.33 (d, J = 5.6 Hz, 1H), 7.88 - 7.76 (m, 1H), 7.56 - 7.49 (m, 1H), 7.31 (t, J = 2.0 Hz, 1H), 6.97 (s, 1H), 6.41 (s, 1H), 3.78 (d, J = 12.4 Hz, 2H), 3.29 (s, 3H), 3.25 (d, J = 6.1 Hz, 2H), 2.83 (d, J = 9.2 Hz, 4H), 2.74 (td, J = 12.3, 2.4 Hz, 2H), 2.28 (s, 3H), 1.89 - 1.65 (m, 3H - methine proton contained within the multiplet), 1.49 - 1.25 (m, 2H) ppm.
123	483.2	0.67	1H NMR (400 MHz, DMSO-d6) δ 9.22 (s, 1H), 7.62 (m, 3H), 7.43 (s, 1H), 7.28 (tt, J = 9.3, 2.3 Hz, 1H), 6.85 (s, 1H), 3.95 - 3.84 (m, 2H), 3.34 (d, J = 11.8 Hz, 1H), 3.24 (dd, J = 11.4, 2.7 Hz, 1H), 3.21 - 3.04 (m, 2H), 2.99 - 2.88 (m, 1H), 1.31 (s, 3H), 1.28 (s, 3H) ppm.
124	351.16	0.6	1H NMR (300 MHz, CD3OD) δ 9.17 - 9.02 (m, 2H), 8.58 (d, J = 2.6 Hz, 1H), 8.51 (dd, J = 2.6, 1.4 Hz, 1H), 7.32 (t, J = 2.1 Hz, 1H), 7.00 (s, 1H), 6.50 (s, 1H), 3.43 (dd, J = 12.3, 6.2 Hz, 8H), 2.63 (q, J = 7.6 Hz, 2H), 1.26 (t, J = 7.6 Hz, 3H) ppm.

Cmpd No.	LC/MS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
125	429.14	0.78	1H NMR (400 MHz, DMSO-d6) δ 9.44 (s, 2H), 9.19 (s, 1H), 7.63 (d, J = 6.9 Hz, 3H), 7.26 (t, J = 8.9 Hz, 1H), 7.18 (s, 1H), 6.95 (s, 1H), 6.40 (s, 1H), 4.24 (s, 2H), 3.76 - 3.57 (m, 4H), 3.48 (dd, J = 11.8, 4.4 Hz, 4H), 2.25 (s, 3H) ppm.
127	419.44	0.63	1H NMR (300 MHz, DMSO-d6) δ 9.17 (s, 1H), 9.05 (s, 1H), 7.91 - 7.76 (m, 2H), 7.55 (t, J = 7.9 Hz, 2H), 7.34 (t, J = 7.4 Hz, 1H), 7.14 (d, J = 7.1 Hz, 1H), 6.88 (d, J = 5.7 Hz, 1H), 6.28 (s, 1H), 4.18 - 3.55 (m, 2H), 3.11 (d, J = 3.4 Hz, 4H), 2.75 (dd, J = 15.6, 6.5 Hz, 1H), 2.63 - 2.51 (m, 4H), 2.37 (q, J = 7.1 Hz, 1H), 2.25 (d, J = 15.2 Hz, 3H), 1.97 (ddt, J = 31.7, 21.1, 12.4 Hz, 2H), 1.17 - 0.94 (m, 3H) ppm.
128	411	0.63	1H NMR (400 MHz, DMSO-d6) δ 9.34 (s, 1H), 9.16 (s, 1H), 7.60 (dd, J = 8.5, 2.0 Hz, 2H), 7.31 (s, 1H), 7.28 - 7.12 (m, 1H), 6.75 (s, 1H), 6.33 (s, 1H), 3.77 (d, J = 9.6 Hz, 1H), 3.61 (d, J = 11.6 Hz, 1H), 3.10 - 2.97 (m, 2H), 2.75 (td, J = 11.6, 3.1 Hz, 1H), 2.43 (t, J = 10.6 Hz, 1H), 2.29 - 2.16 (m, 3H), 2.14 - 1.98 (m, 2H), 1.93 - 1.76 (m, 1H), 1.77 - 1.60 (m, 2H), 1.39 (tt, J = 17.7, 8.7 Hz, 1H) ppm.
129	447.34	0.6	1H NMR (400 MHz, CDCl3) δ 9.01 (s, 1H), 7.38 (s, 1H), 7.01 (t, J = 2.0 Hz, 1H), 6.89 (s, 1H), 6.80 (s, 1H), 6.38 (s, 1H), 4.71 (dt, J = 16.0, 6.4 Hz, 4H), 3.59 (p, J = 6.4 Hz, 1H), 3.37 - 3.25 (m, 4H), 2.71 (s, 3H), 2.59 (s, 3H), 2.56 - 2.47 (m, 4H), 1.97 - 1.84 (m, 1H), 1.05 - 0.92 (m, 2H), 0.82 - 0.68 (m, 2H) ppm.
130	481.27	0.64	1H NMR (300 MHz, DMSO-d6) δ 9.82 (s, 1H), 9.22 (s, 1H), 7.60 (dd, J = 8.5, 2.2 Hz, 2H), 7.50 (s, 1H), 7.42 (s, 1H), 7.27 (ddd, J = 11.5, 6.8, 2.2 Hz, 1H), 6.75 (s, 1H), 4.58 (t, J = 6.5 Hz, 2H), 4.48 (t, J = 6.1 Hz, 2H), 3.52 - 3.41 (m, 1H), 3.29 - 3.21 (m, 4H), 2.46 - 2.38 (m, 4H) ppm.
131	343.15	0.85	1H NMR (300 MHz, DMSO-d6) δ 9.51 (s, 1H), 9.17 (s, 1H), 7.69 - 7.55 (m, 3H), 7.24 (ddd, J = 9.4, 8.3, 3.6 Hz, 2H), 6.73 (s, 1H), 4.96 (dd, J = 8.3, 5.7 Hz, 2H), 4.65 - 4.57 (m, 2H), 4.25 - 4.11 (m, 1H), 2.30 (s, 3H) ppm.

Cmpd No.	LC/MS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
132	453.15	0.6	1H NMR (400 MHz, CDCl3) δ 9.00 (d, J = 4.0 Hz, 1H), 8.64 (t, J = 4.7 Hz, 1H), 7.36 (d, J = 5.4 Hz, 1H), 7.07 (t, J = 2.0 Hz, 1H), 6.88 (s, 1H), 6.83 (s, 1H), 6.49 (s, 1H), 4.72 (dq, J = 12.6, 6.4 Hz, 4H), 3.60 (p, J = 6.4 Hz, 1H), 3.37 - 3.25 (m, 4H), 2.66 (dd, J = 12.9, 5.2 Hz, 2H), 2.63 (s, 3H), 2.60 - 2.47 (m, 4H), 1.29 (t, J = 7.6 Hz, 3H) ppm.
133	363	0.59	1H NMR (400 MHz, CDCl3) δ 8.30 (s, 1H), 7.67 (d, J = 7.7 Hz, 2H), 7.48 (t, J = 7.9 Hz, 2H), 7.33 (t, J = 7.4 Hz, 1H), 7.20 (s, 1H), 6.74 (s, 1H), 6.60 (s, 1H), 6.38 (s, 1H), 3.69 - 3.51 (m, 2H), 3.14 - 2.90 (m, 2H), 2.68 (d, J = 18.9 Hz, 1H), 2.60 - 2.26 (m, 7H), 1.21 (d, J = 5.6 Hz, 3H) ppm.
134	452.29	0.59	1H NMR (400 MHz, CDCl3) δ 8.89 (d, J = 2.2 Hz, 1H), 8.56 (d, J = 2.0 Hz, 1H), 8.38 (s, 1H), 8.04 (d, J = 2.2 Hz, 1H), 7.09 (s, 1H), 6.70 (s, 1H), 6.67 (s, 1H), 6.37 (s, 1H), 4.71 (p, J = 6.2 Hz, 4H), 3.73 - 3.48 (m, 1H), 3.40 - 3.16 (m, 4H), 2.64 - 2.45 (m, 4H), 2.01 - 1.75 (m, 1H), 1.04 - 0.87 (m, 2H), 0.85 - 0.63 (m, 2H) ppm.
135	357.11	1.06	1H NMR (300 MHz, CD3OD) δ 8.83 (s, 1H), 7.90 - 7.74 (m, 2H), 7.54 (dd, J = 8.7, 7.2 Hz, 2H), 7.47 - 7.32 (m, 1H), 6.96 (s, 2H), 3.91 - 3.71 (m, 4H), 3.57 - 3.43 (m, 4H) ppm.
136	407.52	0.58	1H NMR (300 MHz, CDCl3) δ 9.19 (d, J = 1.4 Hz, 1H), 8.93 (s, 1H), 8.57 (d, J = 2.5 Hz, 1H), 8.40 (dd, J = 2.5, 1.5 Hz, 1H), 7.18 (s, 1H), 6.82 (d, J = 14.8 Hz, 2H), 6.44 (s, 1H), 4.68 (d, J = 5.6 Hz, 2H), 4.30 (d, J = 5.7 Hz, 2H), 3.37 - 3.25 (m, 4H), 2.64 - 2.52 (m, 4H), 2.36 (s, 3H), 1.44 (s, 3H) ppm.
137	441.36	0.64	1H NMR (300 MHz, DMSO-d6) δ 11.13 (s, 1H), 9.43 (s, 1H), 9.18 (s, 1H), 7.71 - 7.55 (m, 2H), 7.27 (ddd, J = 9.3, 5.7, 2.3 Hz, 1H), 7.11 (s, 1H), 6.99 (s, 1H), 6.39 (s, 1H), 4.15 (dd, J = 10.2, 4.2 Hz, 1H), 4.10 - 3.90 (m, 2H), 3.89 - 3.61 (m, 4H), 3.51 (dd, J = 22.4, 10.8 Hz, 2H), 3.34 - 3.01 (m, 4H), 2.37 - 2.14 (m, 5H) ppm.
138	350	0.56	1H NMR (400 MHz, Acetone-d6) δ 8.95 (s, 1H), 8.46 (ddd, J = 4.8, 1.8, 0.8 Hz, 1H), 8.27 (s, 1H),

Cmpd No.	LC/MS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			8.03 (ddd, J = 8.2, 7.5, 1.8 Hz, 1H), 7.85 (d, J = 8.2 Hz, 1H), 7.36 (ddd, J = 7.4, 4.8, 1.0 Hz, 1H), 7.31 (t, J = 1.9 Hz, 1H), 7.01 (s, 1H), 6.38 (s, 1H), 3.28 - 3.13 (m, 4H), 2.58 - 2.42 (m, 4H), 2.28 (s, 3H), 2.26 (s, 3H) ppm.
139	443	0.63	1H NMR (400 MHz, CDCl3) δ 8.30 (s, 1H), 7.22 (d, J = 5.6 Hz, 2H), 7.16 (s, 1H), 7.12 (s, 1H), 6.88 (s, 1H), 6.78 (t, J = 8.7 Hz, 1H), 6.41 (s, 1H), 5.40 - 5.24 (m, 2H), 4.77 (t, J = 7.2 Hz, 2H), 4.53 - 4.43 (m, 1H), 3.90 (t, J = 11.5 Hz, 2H), 3.54 (d, J = 13.1 Hz, 2H), 3.30 (t, J = 9.7 Hz, 2H), 3.16 (d, J = 10.3 Hz, 2H), 2.34 (s, 3H) ppm.
140	434.28	0.63	1H NMR (400 MHz, DMSO-d6) δ 9.45 (s, 1H), 9.27 (s, 1H), 8.14 (dd, J = 8.5, 7.4 Hz, 1H), 7.99 (dd, J = 11.0, 1.9 Hz, 1H), 7.85 (dd, J = 8.6, 1.9 Hz, 1H), 7.14 (s, 1H), 6.89 (s, 1H), 6.34 (s, 1H), 4.58 (t, J = 6.5 Hz, 2H), 4.49 (t, J = 6.0 Hz, 2H), 3.46 (m, 1H), 3.15 (m, 4H), 2.45 - 2.38 (m, 4H), 2.24 (s, 3H) ppm.
141	383.24	0.62	1H NMR (400 MHz, DMSO-d6) δ 9.24 (s, 1H), 9.12 (s, 1H), 7.93 (t, J = 2.0 Hz, 1H), 7.81 (dd, J = 8.2, 1.2 Hz, 1H), 7.57 (t, J = 8.1 Hz, 1H), 7.40 (dd, J = 8.0, 1.2 Hz, 1H), 7.22 (s, 1H), 6.82 (s, 1H), 6.30 (s, 1H), 3.19 - 3.06 (m, 4H), 2.48 - 2.42 (m, 4H), 2.22 (d, J = 2.5 Hz, 6H) ppm.
142	359	0.62	1H NMR (300 MHz, CDCl3) δ 8.31 (s, 1H), 7.67 (dd, J = 8.6, 1.1 Hz, 2H), 7.49 (t, J = 7.9 Hz, 2H), 7.35 (t, J = 7.4 Hz, 1H), 7.15 (s, 1H), 6.78 (s, 1H), 6.63 (s, 1H), 6.38 (s, 1H), 3.61 - 3.42 (m, 2H), 3.25 - 3.05 (m, 2H), 2.91 - 2.70 (m, 1H), 2.32 (s, 3H), 2.17 - 1.91 (m, 4H) ppm.
143	392	0.9	1H NMR (400 MHz, CDCl3) δ 8.33 (s, 1H), 7.69 (d, J = 8.3 Hz, 2H), 7.51 (t, J = 7.9 Hz, 2H), 7.35 (t, J = 7.4 Hz, 1H), 7.23 (s, 1H), 6.73 (s, 1H), 6.66 (s, 1H), 4.25 (s, 2H), 3.71 (s, 3H), 2.78 (s, 2H), 2.66 (s, 1H), 2.36 (s, 3H), 2.07 (d, J = 11.6 Hz, 1H), 1.79 (d, J = 11.8 Hz, 1H), 1.72 - 1.53 (m, 3H) ppm.
144	413.37	0.77	1H NMR (300 MHz, CDCl3) δ 8.35 (s, 1H), 7.73 - 7.65 (m, 2H), 7.59 - 7.49 (m, 2H), 7.44 - 7.36 (m, 2H), 7.16 (s, 1H), 6.93 (s, 1H), 6.63

Cmpd No.	LC/MS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			(dd, J = 66.1, 47.2 Hz, 2H), 3.88 - 3.78 (m, 2H), 3.70 - 3.64 (m, 2H), 3.35 - 3.25 (m, 4H), 2.18 (s, 3H) ppm.
145	387.14	0.82	1H NMR (400 MHz, CDCl3) δ 8.23 (s, 1H), 7.30 (t, J = 8.1 Hz, 1H), 7.13 (s, 1H), 7.10 (d, J = 8.4 Hz, 1H), 6.83 (dd, J = 20.8, 14.6 Hz, 3H), 6.65 (s, 1H), 3.78 (s, 3H), 3.74 - 3.65 (m, 4H), 3.50 - 3.35 (m, 4H) ppm.
146	359	0.78	1H NMR (300 MHz, CDCl3) δ 8.32 (s, 1H), 7.77 - 7.60 (m, 2H), 7.50 (dd, J = 11.2, 4.6 Hz, 2H), 7.41 - 7.29 (m, 1H), 6.73 (s, 1H), 6.70 (s, 1H), 6.37 (s, 1H), 3.69 (dd, J = 12.4, 3.4 Hz, 1H), 3.45 (dd, J = 9.7, 6.1 Hz, 1H), 3.26 (dd, J = 12.4, 8.7 Hz, 1H), 3.14 - 3.00 (m, 1H), 2.91 (ddd, J = 12.4, 8.6, 3.7 Hz, 1H), 2.32 (s, 3H), 2.15 - 2.01 (m, 1H), 1.96 - 1.68 (m, 3H) ppm.
147	325.19	0.81	1H NMR (300 MHz, DMSO-d6) δ 9.47 (s, 1H), 9.14 (s, 1H), 7.77 - 7.69 (m, 2H), 7.60 (dt, J = 8.4, 5.6 Hz, 2H), 7.31 (s, 1H), 7.19 (td, J = 8.3, 1.5 Hz, 1H), 6.72 (s, 1H), 4.95 (dd, J = 8.4, 5.8 Hz, 2H), 4.62 (dd, J = 6.7, 5.8 Hz, 2H), 4.24 - 4.11 (m, 1H), 2.30 (s, 3H) ppm.
148	392	0.63	1H NMR (300 MHz, CDCl3) δ 8.30 (s, 1H), 7.67 (d, J = 7.5 Hz, 2H), 7.49 (t, J = 7.9 Hz, 2H), 7.33 (t, J = 7.4 Hz, 1H), 7.11 (s, 1H), 6.78 (s, 1H), 6.56 (s, 1H), 6.41 (s, 1H), 3.72 (d, J = 12.6 Hz, 2H), 3.47 (dd, J = 11.0, 4.7 Hz, 2H), 3.35 (s, 3H), 2.75 (t, J = 11.4 Hz, 2H), 2.32 (s, 3H), 1.80 (d, J = 13.0 Hz, 2H), 1.58 (s, 3H), 1.40 (d, J = 7.9 Hz, 2H) ppm.
149	375	0.61	1H NMR (400 MHz, DMSO-d6) δ 9.16 (s, 1H), 9.04 (s, 1H), 7.82 (d, J = 7.8 Hz, 2H), 7.55 (t, J = 7.9 Hz, 2H), 7.34 (t, J = 7.4 Hz, 1H), 7.15 (s, 1H), 6.87 (s, 1H), 6.28 (s, 1H), 3.14 - 3.01 (m, 4H), 2.80 - 2.59 (m, 4H), 2.22 (s, 3H), 1.72 - 1.58 (m, 1H), 0.43 (dd, J = 6.1, 4.0 Hz, 2H), 0.36 (d, J = 3.1 Hz, 2H) ppm.
150	398.26	0.61	1H NMR (300 MHz, CDCl3) δ 8.30 (s, 1H), 7.65 - 7.53 (m, 1H), 7.49 - 7.30 (m, 2H), 7.15 (d, J = 2.0 Hz, 1H), 7.05 (d, J = 2.0 Hz, 1H), 6.84 (s, 1H), 4.10 (d, J = 10.7 Hz, 2H), 3.64 - 3.50 (m, 2H), 2.94 - 2.79 (m, 1H), 2.08 - 1.97 (m, 1H),

Cmpd No.	LC/MS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			1.97 - 1.78 (m, 4H), 1.09 - 1.00 (m, 2H), 0.96 (ddd, J = 18.9, 10.7, 8.2 Hz, 2H) ppm.
151	384	0.63	1H NMR (400 MHz, CDCl3) δ 8.30 (s, 1H), 7.25 - 7.20 (m, 2H), 7.16 (s, 1H), 6.78 (tt, J = 8.7, 2.2 Hz, 1H), 6.70 (s, 1H), 6.66 (s, 1H), 3.05 (d, J = 11.2 Hz, 2H), 2.47 (d, J = 15.7 Hz, 1H), 2.38 (s, 3H), 2.36 (s, 3H), 2.16 (s, 2H), 1.90 (s, 4H) ppm.
152	431	0.66	1H NMR (400 MHz, DMSO-d6) δ 9.18 (s, 1H), 9.06 (s, 1H), 7.83 (d, J = 7.6 Hz, 2H), 7.53 (t, J = 8.0 Hz, 2H), 7.34 (t, J = 7.4 Hz, 1H), 7.24 (s, 1H), 6.71 (s, 1H), 6.21 (s, 1H), 3.96 - 3.85 (m, 1H), 3.51 (dd, J = 11.5, 2.8 Hz, 1H), 3.00 (dd, J = 18.9, 9.7 Hz, 2H), 2.70 (t, J = 10.9 Hz, 1H), 2.34 - 2.14 (m, 4H), 2.10 - 1.88 (m, 2H), 1.87 - 1.59 (m, 4H), 1.46 (ddt, J = 21.4, 14.9, 5.5 Hz, 2H), 1.18 - 1.05 (m, 1H), 0.83 (dd, J = 6.5, 4.2 Hz, 6H) ppm.
153	430.33	0.64	1H NMR (300 MHz, CD3OD+CDCl3) δ 8.59 (s, 1H), 7.91 (d, J = 5.7 Hz, 1H), 7.33 (d, J = 5.7 Hz, 1H), 7.07 (d, J = 14.0 Hz, 2H), 6.91 (s, 1H), 6.28 (dd, J = 67.1, 46.2 Hz, 2H), 2.94 - 2.87 (m, 4H), 2.56 - 2.39 (m, 4H), 1.44 - 1.32 (m, 1H), 0.26 - 0.06 (m, 4H) ppm.
154	410.44	0.65	1H NMR (300 MHz, CDCl3) δ 8.87 (s, 1H), 7.98 (q, J = 7.9 Hz, 1H), 7.68 (dd, J = 7.8, 1.1 Hz, 1H), 7.10 (s, 1H), 6.92 - 6.82 (m, 2H), 6.74 (s, 1H), 6.44 (s, 1H), 4.74 (d, J = 6.4 Hz, 4H), 3.73 - 3.56 (m, 1H), 3.34 (s, 4H), 2.59 (s, 4H), 2.36 (s, 3H) ppm.
155	353.47	0.67	1H NMR (400 MHz, DMSO-d6) δ 9.62 (d, J = 12.8 Hz, 1H), 9.23 (s, 1H), 7.60 (d, J = 7.2 Hz, 1H), 7.39 (s, 1H), 7.26 (s, 1H), 7.13 (s, 1H), 7.09 (s, 1H), 6.87 (dd, J = 7.2, 2.2 Hz, 1H), 6.76 (d, J = 2.1 Hz, 1H), 6.64 (s, 1H), 3.87 (s, 3H), 3.26 (s, 3H) ppm.
156	350.22	0.5	1H NMR (300 MHz, DMSO-d6) δ 9.64 (s, 1H), 9.11 (s, 1H), 7.83 (dd, J = 8.6, 1.1 Hz, 2H), 7.56 (dd, J = 10.7, 5.2 Hz, 2H), 7.37 (t, J = 7.4 Hz, 1H), 6.96 (s, 1H), 6.72 (s, 1H), 3.49 - 3.35 (m, 4H), 2.46 - 2.31 (m, 4H), 2.24 (s, 3H), 2.22 (s, 3H) ppm.

Cmpd No.	LC/MS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
157	375	0.6	1H NMR (400 MHz, DMSO-d6) δ 9.20 (s, 1H), 9.06 (s, 1H), 7.83 (d, J = 7.6 Hz, 2H), 7.54 (t, J = 8.0 Hz, 2H), 7.34 (t, J = 7.4 Hz, 1H), 7.26 (s, 1H), 6.82 (s, 1H), 6.30 (s, 1H), 3.75 (d, J = 9.8 Hz, 1H), 3.60 (d, J = 11.7 Hz, 1H), 3.34 (s, 1H), 3.12 - 2.93 (m, 2H), 2.75 (td, J = 11.6, 3.1 Hz, 1H), 2.42 (t, J = 10.6 Hz, 1H), 2.24 (d, J = 15.1 Hz, 4H), 2.07 (dd, J = 17.1, 8.3 Hz, 2H), 1.96 - 1.78 (m, 1H), 1.78 - 1.60 (m, 2H), 1.39 (tt, J = 17.7, 8.8 Hz, 1H) ppm.
158	358.15	2.9	1H NMR (400 MHz, DMSO-d6) δ 9.58 (d, J = 42.0 Hz, 1H), 9.08 (s, 1H), 7.88 (dd, J = 8.9, 4.6 Hz, 2H), 7.42 (t, J = 8.7 Hz, 2H), 7.06 (d, J = 10.5 Hz, 2H), 6.32 (t, J = 42.3 Hz, 1H), 3.74 (d, J = 29.6 Hz, 4H), 3.15 (s, 4H) ppm.
159	335	0.58	1H NMR (400 MHz, DMSO-d6) δ 9.31 (s, 1H), 9.08 (s, 1H), 7.84 (d, J = 7.6 Hz, 1H), 7.55 (t, J = 8.0 Hz, 1H), 7.35 (t, J = 7.4 Hz, 1H), 7.23 (s, 1H), 6.98 (s, 1H), 6.39 (s, 1H), 3.48 - 3.30 (m, 4H), 3.25 (s, 4H), 2.25 (s, 3H) ppm.
160	374.24	0.59	1H NMR (300 MHz, DMSO-d6) δ 9.41 (s, 1H), 9.09 (s, 1H), 7.88 - 7.81 (m, 2H), 7.66 (s, 1H), 7.55 (t, J = 8.0 Hz, 2H), 7.35 (t, J = 7.4 Hz, 1H), 7.29 (s, 1H), 6.80 (s, 1H), 6.23 (s, 1H), 4.66 (t, J = 6.5 Hz, 2H), 4.56 (t, J = 5.9 Hz, 2H), 4.05 - 3.93 (m, 1H), 3.85 (s, 2H), 3.63 (s, 2H), 2.28 (s, 3H) ppm.
161	453.28	0.65	1H NMR (300 MHz, DMSO-d6) δ 9.34 (s, 1H), 9.16 (s, 1H), 7.66 - 7.52 (m, 2H), 7.31 - 7.17 (m, 2H), 6.81 (s, 1H), 6.33 (s, 1H), 3.91 (m, 1H), 3.76 (d, J = 12.0 Hz, 2H), 3.29 (m, 2H), 2.77 (t, J = 11.5 Hz, 2H), 2.27 - 2.18 (m, 5H), 1.91 (m, 2H), 1.80 - 1.73 (m, 2H), 1.61 (d, J = 9.6 Hz, 2H) ppm.
162	414	0.63	1H NMR (400 MHz, Acetone-d6) δ 8.91 (s, 1H), 8.28 (s, 1H), 7.56 (dd, J = 8.6, 2.2 Hz, 2H), 7.32 (t, J = 1.9 Hz, 1H), 7.00 (ddd, J = 9.1, 5.7, 2.3 Hz, 1H), 6.93 (s, 1H), 6.39 (s, 1H), 3.78 (d, J = 12.4 Hz, 2H), 3.29 (s, 3H), 3.25 (d, J = 6.2 Hz, 2H), 2.77 - 2.67 (m, 2H), 2.27 (s, 3H), 1.80 (d, J = 13.1 Hz, 2H), 1.74 (dd, J = 10.7, 4.4 Hz, 1H), 1.38 (ddd, J = 15.4, 12.4, 3.9 Hz, 2H) ppm.

Cmpd No.	LC/MS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
163	444.42	0.55	1H NMR (300 MHz, DMSO-d6) δ 9.69 (s, 1H), 9.22 (s, 1H), 7.59 (d, J = 7.2 Hz, 1H), 7.37 (s, 1H), 7.30 (s, 1H), 7.09 - 6.66 (m, 5H), 4.58 (t, J = 6.5 Hz, 2H), 4.49 (t, J = 6.1 Hz, 2H), 3.48 (dd, J = 12.5, 6.3 Hz, 1H), 3.25 - 3.17 (m, 4H), 2.46 - 2.38 (m, 4H) ppm.
164	386.28	0.85	1H NMR (300 MHz, DMSO-d6) δ 9.28 (s, 1H), 9.15 (s, 1H), 7.68 - 7.53 (m, 2H), 7.32 - 7.16 (m, 1H), 6.89 (s, 1H), 6.63 (s, 1H), 5.93 (s, 1H), 4.08 (d, J = 2.5 Hz, 1H), 3.44 (dd, J = 10.4, 5.0 Hz, 1H), 3.32 - 3.18 (m, 6H), 2.21 (s, 3H), 2.08 (m, 2H) ppm.
165	392.31	0.67	1H NMR (300 MHz, CDCl3) δ 8.25 (s, 1H), 7.65 - 7.55 (m, 2H), 7.42 (t, J = 7.9 Hz, 2H), 7.29 (d, J = 7.4 Hz, 1H), 7.07 (t, J = 2.1 Hz, 1H), 6.87 (s, 1H), 6.64 (s, 1H), 6.38 (s, 1H), 4.15 - 3.96 (m, 2H), 3.91 - 3.83 (m, 1H), 3.82 - 3.77 (m, 4H), 3.72 (dd, J = 8.4, 7.3 Hz, 1H), 3.32 (dd, J = 15.4, 7.7 Hz, 1H), 3.19 - 3.09 (m, 4H), 2.36 - 2.24 (m, 1H), 1.98 (ddd, J = 15.9, 12.3, 7.9 Hz, 1H) ppm.
166	372	0.87	1H NMR (400 MHz, DMSO-d6) δ 9.50 (s, 1H), 9.14 (s, 1H), 7.81 - 7.65 (m, 2H), 7.65 - 7.49 (m, 1H), 7.20 (t, J = 8.4 Hz, 1H), 6.98 (s, 1H), 6.70 (d, J = 11.4 Hz, 1H), 6.11 (d, J = 12.9 Hz, 1H), 3.74 (t, J = 4.6 Hz, 2H), 3.57 (dd, J = 11.2, 5.7 Hz, 6H), 2.01 - 1.84 (m, 2H) ppm.
167	342.13	3.36	1H NMR (400 MHz, DMSO-d6) δ 9.48 (d, J = 29.2 Hz, 1H), 9.09 (d, J = 45.6 Hz, 1H), 7.71 (t, J = 8.1 Hz, 2H), 7.56 (d, J = 22.2 Hz, 1H), 6.85 - 6.58 (m, 2H), 5.83 (t, J = 29.4 Hz, 1H), 3.10 (d, J = 104.7 Hz, 4H), 1.96 (s, 4H) ppm.
168	400.23	0.89	1H NMR (300 MHz, CD3OD) δ 8.53 (s, 1H), 7.74 (d, J = 1.3 Hz, 2H), 7.55 (s, 1H), 7.50 (d, J = 1.7 Hz, 1H), 7.42 - 7.34 (m, 1H), 7.32 (t, J = 1.8 Hz, 1H), 7.21 (t, J = 2.1 Hz, 1H), 6.71 - 6.62 (m, 1H), 3.97 - 3.82 (m, 4H), 3.29 - 3.13 (m, 4H) ppm.
169	426.51	0.64	H NMR (300 MHz, DMSO-d6) δ 9.24 (s, 1H), 9.06 (s, 1H), 8.03 - 7.82 (m, 1H), 7.78 - 7.54 (m, 2H), 7.15 (s, 1H), 6.82 (s, 1H), 6.29 (s, 1H), 4.62 (dd, J = 7.9, 5.9 Hz, 2H), 4.38 (t, J = 6.1 Hz,

Cmpd No.	LC/MS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			2H), 3.67 (d, J = 12.5 Hz, 2H), 2.85 - 2.56 (m, 3H), 2.21 (s, 3H), 1.88 - 1.53 (m, 3H), 1.14 (dt, J = 12.1, 8.7 Hz, 2H) ppm.
170	383.31	0.65	1H NMR (400 MHz, DMSO-d6) δ 9.64 (s, 1H), 9.19 (s, 1H), 7.26 (tt, J = 9.3, 2.3 Hz, 1H), 6.67 (d, J = 1.5 Hz, 1H), 6.60 (d, J = 1.3 Hz, 1H), 3.33 (dd, J = 11.6, 5.1 Hz, 4H), 1.91 (t, J = 6.6 Hz, 4H), 1.82 (ddd, J = 12.8, 8.2, 4.7 Hz, 1H), 0.92 - 0.83 (m, 2H), 0.77 (ddd, J = 9.5, 6.1, 3.3 Hz, 2H) ppm.
171	384.12	0.79	1H NMR (300 MHz, DMSO-d6) δ 9.29 (s, 1H), 9.14 (s, 1H), 7.60 (dd, J = 8.7, 2.2 Hz, 2H), 7.24 (tt, J = 10.5, 8.2 Hz, 1H), 6.71 (d, J = 10.8 Hz, 2H), 5.81 (s, 1H), 4.72 (s, 4H), 3.94 (s, 4H), 2.19 (s, 3H) ppm.
172	419.4	0.64	1H NMR (300 MHz, DMSO-d6) δ 9.17 (s, 1H), 9.05 (s, 1H), 7.93 - 7.73 (m, 2H), 7.55 (t, J = 8.0 Hz, 2H), 7.34 (t, J = 7.4 Hz, 1H), 7.14 (s, 1H), 6.87 (s, 1H), 6.27 (s, 1H), 3.94 (d, J = 12.8 Hz, 1H), 3.74 (d, J = 11.2 Hz, 1H), 3.20 (t, J = 10.4 Hz, 2H), 3.15 - 2.94 (m, 4H), 2.69 (d, J = 23.0 Hz, 4H), 2.33 (ddd, J = 19.6, 12.8, 6.6 Hz, 1H), 2.22 (s, 3H), 1.97 (d, J = 11.1 Hz, 1H), 1.66 (d, J = 13.1 Hz, 1H), 1.58 - 1.26 (m, 2H) ppm.
173	441	0.68	1H NMR (400 MHz, CDCl3) δ 8.29 (s, 1H), 7.35 - 7.14 (m, 3H), 6.77 (ddd, J = 8.7, 5.5, 2.3 Hz, 1H), 6.71 - 6.51 (m, 3H), 5.98 (s, 1H), 4.01 (t, J = 7.0 Hz, 2H), 3.75 (dd, J = 10.1, 5.2 Hz, 6H), 3.50 - 3.23 (m, 1H), 2.60 (q, J = 7.6 Hz, 2H), 2.46 (s, 4H), 1.25 (t, J = 7.6 Hz, 4H - water peak) ppm.
174	393.48	0.59	1H NMR (300 MHz, DMSO-d6) δ 9.46 (d, J = 13.0 Hz, 1H), 9.29 (s, 1H), 9.11 (t, J = 10.6 Hz, 1H), 8.96 (d, J = 5.6 Hz, 1H), 7.72 (dd, J = 5.6, 1.2 Hz, 1H), 7.13 (s, 1H), 6.93 (s, 1H), 6.35 (s, 1H), 4.58 (t, J = 6.5 Hz, 2H), 4.49 (t, J = 6.0 Hz, 2H), 3.57 - 3.39 (m, 1H), 3.25 - 3.07 (m, 4H), 2.46 - 2.34 (m, 4H), 2.25 (s, 3H) ppm.
175			1H NMR (300 MHz, DMSO-d6) δ 9.76 (s, 1H), 9.18 (s, 1H), 7.75 - 7.56 (m, 3H), 7.51 (s, 1H), 7.43 (s, 1H), 7.21 (t, J = 8.3 Hz, 1H), 6.74 (s, 1H), 4.58 (t, J = 6.5 Hz, 2H), 4.49 (t, J = 6.1 Hz,

Cmpd No.	LC/MS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			2H), 3.52 - 3.41 (m, 1H), 3.29 - 3.20 (m, 4H), 2.47 - 2.38 (m, 4H) ppm.
176	377.5	0.62	1H NMR (300 MHz, DMSO-d6) δ 9.47 (s, 1H), 9.29 (s, 1H), 9.08 (d, J = 0.9 Hz, 1H), 8.97 (d, J = 5.6 Hz, 1H), 7.71 (dd, J = 5.6, 1.1 Hz, 1H), 7.12 (s, 1H), 6.92 (s, 1H), 6.34 (s, 1H), 3.21 - 2.98 (m, 4H), 2.78 - 2.64 (m, 4H), 2.24 (s, 3H), 1.74 - 1.55 (m, 1H), 0.59 - 0.42 (m, 2H), 0.37 (dd, J = 7.9, 4.5 Hz, 2H) ppm.
177	361	0.64	1H NMR (400 MHz, DMSO-d6) δ 9.56 (s, 1H), 9.42 (s, 1H), 9.24 (s, 1H), 8.76 (d, J = 2.2 Hz, 1H), 7.92 (td, J = 8.9, 6.1 Hz, 1H), 7.78 - 7.54 (m, 1H), 7.38 (t, J = 7.9 Hz, 1H), 6.76 (d, J = 11.8 Hz, 1H), 6.64 (s, 1H), 5.86 (d, J = 11.4 Hz, 1H), 4.29 (dd, J = 13.9, 6.7 Hz, 1H), 4.22 (s, 2H), 3.85 (d, J = 7.7 Hz, 2H) ppm.
178	428.44	0.64	1H NMR (300 MHz, DMSO-d6) δ 9.47 (s, 1H), 9.16 (s, 1H), 7.75 - 7.53 (m, 2H), 7.25 (tt, J = 9.2, 2.2 Hz, 1H), 7.13 (s, 1H), 6.93 (s, 1H), 6.24 (s, 1H), 4.84 (t, J = 6.7 Hz, 1H), 4.56 (td, J = 6.5, 1.8 Hz, 2H), 4.46 (q, J = 6.0 Hz, 2H), 3.71 - 3.52 (m, 1H), 2.87 (dd, J = 10.3, 6.1 Hz, 1H), 2.76 - 2.57 (m, 2H), 2.48 - 2.39 (m, 1H), 2.36 - 2.14 (m, 4H), 1.94 - 1.70 (m, 1H) ppm.
179	363	0.6	1H NMR (300 MHz, DMSO-d6) δ 11.05 (s, 1H), 9.25 (s, 1H), 9.09 (s, 1H), 7.84 (d, J = 7.7 Hz, 2H), 7.55 (t, J = 7.9 Hz, 2H), 7.35 (t, J = 7.4 Hz, 1H), 7.14 (s, 1H), 6.77 (s, 1H), 6.18 (s, 1H), 3.76 (d, J = 10.6 Hz, 2H), 3.46 (dd, J = 27.4, 6.9 Hz, 4H), 3.17 (dd, J = 29.4, 20.5 Hz, 2H), 2.80 (d, J = 4.7 Hz, 3H), 2.44 - 2.28 (m, 1H), 2.23 (s, 4H) ppm.
180	392	0.59	1H NMR (400 MHz, CDCl3) δ 9.03 (s, 2H), 8.87 (d, J = 5.5 Hz, 1H), 7.77 (dd, J = 5.5, 1.1 Hz, 1H), 7.32 (s, 1H), 7.16 (s, 1H), 6.79 (s, 1H), 6.70 (s, 1H), 4.79 - 4.53 (m, 4H), 3.60 - 3.42 (m, 1H), 2.88 (d, J = 9.2 Hz, 3H), 2.37 (s, 3H), 2.01 (d, J = 12.6 Hz, 1H), 1.96 - 1.38 (m, 6H) ppm.
181	408.15	3.2	1H NMR (400 MHz, DMSO-d6) δ 9.65 (d, J = 19.1 Hz, 1H), 9.27 (s, 1H), 8.17 (d, J = 7.8 Hz, 2H), 7.79 (dd, J = 22.6, 14.9 Hz, 1H), 7.72 (d, J = 8.2 Hz, 1H), 7.16 (s, 1H), 6.87 (t, J = 24.8 Hz,

Cmpd No.	LC/MS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			1H), 6.27 (t, J = 41.6 Hz, 1H), 3.79 - 3.73 (m, 4H), 3.17 - 3.10 (m, 4H) ppm.
182	421	0.61	1H NMR (400 MHz, CDCl3) δ 8.30 (s, 1H), 7.68 (dd, J = 8.6, 1.1 Hz, 2H), 7.49 (t, J = 8.0 Hz, 2H), 7.34 (t, J = 7.4 Hz, 1H), 6.98 (s, 1H), 6.56 (s, 1H), 6.46 (s, 1H), 6.06 (s, 1H), 3.56 (s, 1H), 3.44 (t, J = 6.4 Hz, 2H), 3.34 (s, 3H), 2.91 (d, J = 11.5 Hz, 2H), 2.49 - 2.39 (m, 2H), 2.26 (s, 3H), 2.12 (d, J = 10.4 Hz, 3H), 1.85 - 1.73 (m, 2H), 1.57 - 1.44 (m, 2H) ppm.
183	443.22	0.64	1H NMR (300 MHz, DMSO-d6) δ 9.33 (s, 1H), 9.07 (s, 1H), 8.02 - 7.81 (m, 1H), 7.80 - 7.50 (m, 2H), 6.82 (s, 2H), 6.05 (s, 1H), 4.57 (t, J = 6.5 Hz, 2H), 4.48 (t, J = 6.0 Hz, 2H), 3.72 (s, 3H), 3.56 - 3.38 (m, 1H), 3.23 - 3.00 (m, 4H), 2.41 (d, J = 4.3 Hz, 4H) ppm.
184	436.27	0.58	1H NMR (400 MHz, CDCl3) δ 8.46 (s, 1H), 8.32 (d, J = 5.6 Hz, 1H), 7.46 (d, J = 5.6 Hz, 1H), 7.26 (s, 1H), 7.03 (t, J = 2.1 Hz, 1H), 6.75 (s, 1H), 6.67 (s, 1H), 6.39 (s, 1H), 4.72 (dq, J = 12.6, 6.4 Hz, 4H), 3.66 - 3.51 (m, 1H), 3.36 - 3.25 (m, 4H), 2.60 - 2.48 (m, 4H), 1.96 - 1.83 (m, 1H), 1.05 - 0.90 (m, 2H), 0.79 - 0.67 (m, 2H) ppm.
185	439	0.68	1H NMR (300 MHz, CDCl3) δ 8.30 (s, 1H), 7.23 (dd, J = 7.9, 2.2 Hz, 2H), 6.80 (s, 1H), 6.76 (dt, J = 8.7, 2.3 Hz, 1H), 6.71 (s, 1H), 6.54 (s, 1H), 6.02 (s, 1H), 4.69 (t, J = 6.7 Hz, 1H), 4.63 (t, J = 6.4 Hz, 1H), 4.56 (t, J = 6.2 Hz, 1H), 4.48 (t, J = 6.0 Hz, 1H), 4.31 (s, 1H), 4.05 - 3.92 (m, 1H), 3.58 (s, 1H), 3.45 (dd, J = 9.2, 2.0 Hz, 1H), 3.08 (dd, J = 19.0, 8.6 Hz, 2H), 2.93 (d, J = 9.3 Hz, 1H), 2.31 (s, 3H), 1.99 (dd, J = 18.2, 9.5 Hz, 2H) ppm.
186	345.41	0.67	1H NMR (300 MHz, CDCl3) δ 8.44 (d, J = 8.2 Hz, 1H), 7.95 - 7.70 (m, 4H), 6.82 - 6.52 (m, 3H), 6.10 (s, 1H), 3.35 (t, J = 5.6 Hz, 4H), 2.35 (s, 3H), 2.16 - 1.86 (m, 4H) ppm.
187	480.33	0.51	1H NMR (400 MHz, CDCl3) δ 8.34 (s, 1H), 7.45 (s, 1H), 7.34 (d, J = 9.5 Hz, 1H), 7.17 (s, 1H), 7.04 (t, J = 8.5 Hz, 1H), 6.78 (s, 1H), 6.60 (s, 1H), 6.41 (s, 1H), 4.71 (p, J = 6.2 Hz, 4H),

Cmpd No.	LC/MS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			3.59 (dt, J = 12.0, 6.0 Hz, 2H), 3.55 (s, 2H), 3.37 - 3.27 (m, 4H), 2.51 (dt, J = 14.4, 6.1 Hz, 5H), 2.35 (s, 3H), 2.2(s, 3H), 1.14 (t, J = 7.1 Hz, 3H) ppm.
188	409.5	0.64	1H NMR (300 MHz, DMSO-d6) δ 9.14 (s, 1H), 9.10 (s, 1H), 7.84 - 7.64 (m, 2H), 7.58 (td, J = 8.4, 6.5 Hz, 1H), 7.18 (td, J = 8.3, 1.6 Hz, 1H), 6.91 (s, 1H), 6.52 (s, 1H), 5.93 (s, 1H), 5.62 (d, J = 6.4 Hz, 1H), 4.56 (td, J = 6.4, 3.9 Hz, 2H), 4.45 (dd, J = 13.4, 6.0 Hz, 2H), 3.86 (s, 1H), 3.66 - 3.48 (m, 1H), 2.78 (dd, J = 17.4, 10.5 Hz, 1H), 2.61 (dd, J = 13.8, 8.2 Hz, 1H), 2.48 - 2.32 (m, 2H), 2.33 - 2.19 (m, 1H), 2.14 (s, 3H), 1.77 - 1.55 (m, 1H) ppm.
189	446.4	0.62	1H NMR (300 MHz, CD3OD+CDCl3) δ 8.95 (s, 1H), 8.30 (d, J = 5.7 Hz, 1H), 7.73 - 7.67 (m, 1H), 7.47 (s, 1H), 7.42 (s, 1H), 7.32 (s, 1H), 6.62 (t, J = 39.5 Hz, 2H), 4.77 (dt, J = 12.5, 6.5 Hz, 4H), 3.65 (dd, J = 14.1, 7.0 Hz, 1H), 3.39 (d, J = 4.0 Hz, 4H), 2.66 (s, 4H) ppm.
190	388.14	2.66	
191	459.13	0.62	1H NMR (300 MHz, CDCl3) δ 8.40 (s, 1H), 7.88 - 7.68 (m, 4H), 7.11 (s, 1H), 6.82 (s, 1H), 6.72 (s, 1H), 6.43 (s, 1H), 4.79 - 4.63 (m, 4H), 3.67 - 3.51 (m, 1H), 3.36 - 3.23 (m, 4H), 2.60 - 2.47 (m, 4H), 2.35 (s, 3H) ppm.
192	423.49	0.59	1H NMR (300 MHz, CDCl3) δ 8.33 (s, 1H), 7.62 - 7.38 (m, 3H), 7.14 - 6.97 (m, 2H), 6.64 (s, 1H), 6.44 (s, 1H), 6.09 (s, 1H), 4.82 - 4.50 (m, 4H), 3.57 (s, 1H), 3.40 (s, 1H), 2.77 (d, J = 11.5 Hz, 2H), 2.37 - 2.22 (m, 3H), 2.19 (d, J = 11.4 Hz, 2H), 2.04 (dd, J = 13.4, 7.9 Hz, 2H), 1.61 - 1.49 (m, 2H) ppm.
193	430.31	0.61	1H NMR (300 MHz, DMSO-d6) δ 9.61 (s, 1H), 9.19 (s, 1H), 7.71 - 7.57 (m, 3H), 7.26 (ddd, J = 9.4, 8.7, 4.0 Hz, 2H), 6.78 (s, 1H), 4.60 (t, J = 6.4 Hz, 2H), 4.52 (q, J = 5.9 Hz, 2H), 3.83 - 3.72 (m, 1H), 3.12 (dd, J = 24.3, 11.3 Hz, 1H), 3.00 - 2.82 (m, 2H), 2.74 (dd, J = 13.5, 8.8 Hz, 1H), 2.42 - 2.23 (m, 5H) ppm.
194	376	0.79	1H NMR (400 MHz, CDCl3) δ 8.32 (s, 1H), 7.68 (dd, J = 8.5, 0.9 Hz, 2H), 7.51 (t, J = 8.0

Cmpd No.	LC/MS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			Hz, 2H), 7.35 (t, J = 7.4 Hz, 1H), 7.25 (s, 1H), 7.21 (s, 1H), 6.71 (s, 1H), 6.63 (s, 1H), 4.79 (d, J = 13.4 Hz, 1H), 3.94 (d, J = 13.6 Hz, 1H), 3.18 (td, J = 13.1, 2.4 Hz, 1H), 2.79 - 2.56 (m, 2H), 2.35 (s, 3H), 2.14 (s, 3H), 1.93 (t, J = 12.8 Hz, 2H), 1.76 - 1.57 (m, 3H) ppm.
195	393.48	0.59	1H NMR (300 MHz, DMSO-d6) δ 9.44 (s, 1H), 9.20 (s, 1H), 9.05 (d, J = 1.4 Hz, 1H), 8.66 (d, J = 2.5 Hz, 1H), 8.58 (dd, J = 2.6, 1.4 Hz, 1H), 7.16 (s, 1H), 6.93 (s, 1H), 6.34 (s, 1H), 4.57 (t, J = 6.5 Hz, 2H), 4.52 - 4.41 (m, 2H), 3.46 (dt, J = 11.1, 5.6 Hz, 1H), 3.16 (dd, J = 5.1, 3.7 Hz, 4H), 2.47 - 2.38 (m, 4H), 2.26 (d, J = 8.1 Hz, 3H) ppm.
196	443.27	0.34	
197	372.18	0.75	1H NMR (300 MHz, DMSO-d6) δ 9.36 (s, 1H), 9.16 (s, 1H), 7.61 (dd, J = 8.6, 2.2 Hz, 2H), 7.32 - 7.20 (m, 1H), 6.86 - 6.75 (m, 2H), 6.08 (s, 1H), 4.78 (m, 2H), 4.61 - 4.49 (m, 3H), 2.80 (s, 3H), 2.22 (s, 3H) ppm.
198	441	0.69	1H NMR (400 MHz, CDCl3) δ 8.24 (s, 1H), 7.57 (ddd, J = 10.9, 6.8, 2.6 Hz, 1H), 7.37 (ddd, J = 6.3, 4.6, 3.2 Hz, 1H), 7.33 - 7.18 (m, 3H), 6.74 - 6.51 (m, 3H), 5.97 (s, 1H), 4.00 (t, J = 7.0 Hz, 2H), 3.87 - 3.65 (m, 5H), 3.35 (dd, J = 12.2, 5.5 Hz, 1H), 2.59 (q, J = 7.6 Hz, 2H), 2.46 (s, 3H), 1.24 (t, J = 7.6 Hz, 3H) ppm.
199	455.35	0.66	1H NMR (300 MHz, DMSO-d6) δ 10.52 (s, 1H), 9.43 (s, 1H), 9.17 (s, 1H), 7.74 - 7.48 (m, 2H), 7.26 (tt, J = 9.3, 2.3 Hz, 1H), 7.04 (d, J = 33.2 Hz, 1H), 6.39 (s, 1H), 4.15 (d, J = 10.8 Hz, 1H), 3.91 - 3.48 (m, 6H), 3.45 - 3.07 (m, 6H), 2.22 (d, J = 20.2 Hz, 4H), 1.83 (dd, J = 18.9, 10.7 Hz, 2H), 1.70 - 1.45 (m, 1H) ppm.
200	427	0.77	1H NMR (400 MHz, DMSO-d6) δ 9.37 (s, 1H), 9.16 (s, 1H), 7.61 (dd, J = 8.5, 2.1 Hz, 2H), 7.23 (dt, J = 9.3, 5.8 Hz, 2H), 6.88 (s, 1H), 6.37 (s, 1H), 4.43 (t, J = 8.1 Hz, 1H), 4.08 - 3.93 (m, 2H), 3.85 - 3.74 (m, 1H), 3.66 (dt, J = 15.8, 7.9 Hz, 2H), 3.45 (dd, J = 7.0, 5.1 Hz, 1H), 3.17 (dd, J = 13.1, 8.3 Hz, 1H), 2.78 - 2.55 (m, 2H), 2.78 - 2.55 (m, 2H), 2.24 (s, 3H) ppm.

Cmpd No.	LC/MS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
201	424.44	0.64	1H NMR (300 MHz, DMSO-d6) δ 9.33 (s, 1H), 9.04 (d, J = 13.4 Hz, 1H), 7.96 - 7.76 (m, 2H), 7.53 - 7.36 (m, 2H), 7.22 (s, 1H), 6.90 (s, 1H), 6.27 (s, 1H), 4.54 (t, J = 6.5 Hz, 2H), 4.43 (t, J = 6.1 Hz, 2H), 4.39 - 4.25 (m, 1H), 3.51 - 3.37 (m, 1H), 2.56 (d, J = 5.8 Hz, 2H), 2.28 - 2.18 (m, 3H), 2.14 - 1.89 (m, 4H), 1.73 - 1.55 (m, 2H) ppm.
202	411.27	0.67	1H NMR (300 MHz, DMSO-d6) δ 9.64 (s, 1H), 9.12 (s, 1H), 8.03 - 7.86 (m, 1H), 7.75 - 7.58 (m, 2H), 6.87 (s, 1H), 6.78 (s, 1H), 4.16 (d, J = 12.6 Hz, 2H), 2.71 (t, J = 11.7 Hz, 2H), 1.83 (d, J = 4.7 Hz, 1H), 1.64 (d, J = 12.7 Hz, 3H), 1.13 (dd, J = 21.0, 9.5 Hz, 2H), 0.91 (d, J = 6.2 Hz, 3H), 0.86 - 0.75 (m, 4H) ppm.
203	371	0.65	1H NMR (400 MHz, DMSO-d6) δ 9.59 (s, 1H), 9.15 (s, 1H), 7.71 (t, J = 8.7 Hz, 2H), 7.66 - 7.52 (m, 1H), 7.21 (t, J = 8.7 Hz, 1H), 7.01 (s, 1H), 6.95 (d, J = 11.3 Hz, 1H), 6.29 (d, J = 12.5 Hz, 1H), 3.16 (d, J = 4.4 Hz, 4H), 2.46(m, 4H) ppm
204	437.35	0.58	1H NMR (400 MHz, CDCl3) δ 8.95 (s, 1H), 8.59 (d, J = 0.6 Hz, 1H), 7.13 - 6.99 (m, 2H), 6.86 (d, J = 7.8 Hz, 2H), 6.44 (s, 1H), 4.82 - 4.61 (m, 4H), 4.51 (q, J = 7.1 Hz, 2H), 3.59 (p, J = 6.4 Hz, 1H), 3.38 - 3.26 (m, 4H), 2.62 - 2.47 (m, 4H), 2.36 (s, 3H), 1.46 (t, J = 7.1 Hz, 3H) ppm.
205	425.36	0.91	1H NMR (300 MHz, CDCl3) δ 8.28 (s, 1H), 7.17 (s, 1H), 7.04 (s, 1H), 6.98 (dt, J = 9.3, 2.1Hz, 1H), 6.76 (s, 1H), 6.62 - 6.50 (m, 2H), 6.42 (s, 1H), 4.60 (dt, J = 12.1, 6.0 Hz, 1H),3.34 - 3.23 (m, 4H), 2.69 - 2.57 (m, 4H), 2.39 (s, 3H), 2.34 (s, 3H), 1.40 (d, J = 6.1 Hz,6H) ppm.
206	437.3	0.64	1H NMR (400 MHz, CDCl3) δ 8.31 (s, 1H), 7.31 (s, 1H), 7.25 (dt, J = 9.4, 2.1 Hz, 1H),7.19 (s, 1H), 6.90 (d, J = 9.3 Hz, 1H), 6.76 (s, 1H), 6.62 (s, 1H), 6.41 (s, 1H), 4.71 (p, J =6.4 Hz, 4H), 3.59 (p, J = 6.4 Hz, 1H), 3.36 - 3.27 (m, 4H), 2.74 (q, J = 7.6 Hz, 2H), 2.57 - 2.48 (m, 4H), 2.34 (s, 3H), 1.35 - 1.25 (m, 3H) ppm.
207	391	0.62	1H NMR (400 MHz, DMSO-d6) δ 9.43 (s, 1H), 9.14 (s, 1H), 8.59 - 8.38 (m, 1H), 8.08 (td, J = 8.0, 1.8 Hz, 1H), 7.77 (d, J = 8.2 Hz, 1H), 7.41

Cmpd No.	LC/MS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			(dd, J = 7.2, 5.4 Hz, 2H), 7.31 (s, 1H), 6.59 (s, 1H), 4.63 - 4.33 (m, 4H), 3.52 - 3.35 (m, 1H), 2.85 - 2.57 (m, 3H), 2.27 (s, 3H), 1.97 - 1.69 (m, 4H), 1.61 (dd, J = 25.1, 12.6 Hz, 1H), 1.42 (tt, J = 12.3, 6.2 Hz, 1H) ppm.
208	444.17	0.81	1H NMR (400 MHz, CD3OD) δ 8.87 (s, 1H), 8.16 (s, 1H), 7.59 - 7.46 (m, 2H), 7.36 (s, 1H), 7.31 (s, 1H), 6.95 (tt, J = 9.0, 2.2 Hz, 1H), 6.69 (s, 1H), 4.76 (dtd, J = 48.0, 10.0, 4.9 Hz, 1H), 4.19 (dt, J = 15.0, 7.3 Hz, 1H), 3.48 (dddd, J = 30.0, 24.1, 15.3, 6.7 Hz, 1H), 3.26 - 2.99 (m, 3H), 2.89 - 2.36 (m, 5H), 2.34 (s, 3H), 2.06 - 1.79 (m, 2H) ppm.
209	428.44	0.54	1H NMR (300 MHz, CDCl3) δ 9.03 (d, J = 2.2 Hz, 1H), 8.64 (dd, J = 4.8, 1.4 Hz, 1H), 8.40 (s, 1H), 8.01 (ddd, J = 8.3, 2.6, 1.5 Hz, 1H), 7.49 (ddd, J = 8.3, 4.8, 0.7 Hz, 1H), 7.34 (s, 1H), 7.15 (s, 1H), 6.91 (s, 1H), 6.64 (dd, J = 69.9, 43.5 Hz, 2H), 4.81 - 4.65 (m, 4H), 3.68 - 3.51 (m, 1H), 3.46 - 3.26 (m, 4H), 2.55 (d, J = 4.3 Hz, 4H) ppm.
210	423.12	0.61	1H NMR (300 MHz, DMSO-d6) δ 9.73 (s, 1H), 9.17 (s, 1H), 7.05 (d, J = 2.2 Hz, 2H), 7.01 (d, J = 1.3 Hz, 1H), 6.76 (d, J = 1.3 Hz, 1H), 6.49 (t, J = 2.2 Hz, 1H), 3.82 (s, 6H), 3.74 - 3.60 (m, 4H), 3.38 - 3.34 (m, 4H), 1.89 - 1.76 (m, 1H), 0.94 - 0.71 (m, 4H) ppm.
211	379	0.58	1H NMR (300 MHz, CDCl3) δ 8.94 (s, 1H), 8.41 (ddd, J = 4.8, 1.7, 0.8 Hz, 1H), 7.94 - 7.83 (m, 1H), 7.80 (d, J = 8.1 Hz, 1H), 7.23 (ddd, J = 7.1, 4.9, 1.3 Hz, 1H), 7.14 (s, 1H), 6.79 (d, J = 7.1 Hz, 2H), 6.43 (s, 1H), 3.76 (d, J = 12.3 Hz, 2H), 3.37 (s, 3H), 3.28 (d, J = 6.4 Hz, 2H), 2.77 (td, J = 12.2, 2.2 Hz, 2H), 2.33 (s, 3H), 1.85 (d, J = 12.7 Hz, 2H), 1.42 (dd, J = 11.7, 3.2 Hz, 2H) ppm.
212	345.16	0.66	1H NMR (300 MHz, CDCl3) δ 8.65 (s, 1H), 8.05 - 7.73 (m, 3H), 7.71 - 7.39 (m, 3H), 7.11 - 6.97 (m, 1H), 6.63 (s, 1H), 3.63 (t, J = 6.4 Hz, 4H), 2.48 - 2.32 (s, 3H), 2.29 - 2.14 (m, 4H) ppm.
213	353	0.62	1H NMR (400 MHz, CDCl3) δ 8.32 (s, 1H),

Cmpd No.	LC/MS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			7.83 - 7.61 (m, 2H), 7.50 (dd, J = 10.7, 5.3 Hz, 2H), 7.45 - 7.30 (m, 1H), 7.06 - 6.86 (m, 1H), 6.81 (s, 1H), 6.73 (s, 1H), 6.25 (dd, J = 12.0, 2.1 Hz, 1H), 3.29 (s, 4H), 2.63 (s, 4H), 2.40 (s, 3H) ppm.
214	389.38	0.79	1H NMR (300 MHz, DMSO-d6) δ 9.24 (s, 1H), 9.07 (s, 1H), 7.84 (dd, J = 8.6, 1.1 Hz, 2H), 7.65 - 7.49 (m, 2H), 7.35 (t, J = 7.4 Hz, 1H), 7.15 (s, 1H), 6.89 (s, 1H), 6.29 (s, 1H), 3.73 (s, 2H), 3.51 - 3.30 (m, 4H), 2.89 - 2.70 (m, 1H), 2.34 - 2.17 (m, 3H), 0.82 - 0.61 (m, 4H) ppm.
215	441.49	0.68	1H NMR (300 MHz, CD3OD+CDCl3) δ 8.63 (s, 1H), 7.46 - 7.31 (m, 2H), 7.18 (d, J = 12.5 Hz, 1H), 6.95 - 6.74 (m, 2H), 6.43 (s, 1H), 4.68 (t, J = 8.0 Hz, 2H), 4.33 (d, J = 5.9 Hz, 2H), 4.01 (d, J = 47.0 Hz, 1H), 3.34 - 3.22 (m, 4H), 2.70 - 2.51 (m, 4H), 2.34 (s, 3H), 1.46 (s, 3H) ppm.
216	426.51	0.65	1H NMR (300 MHz, DMSO-d6) δ 9.28 (d, J = 18.8 Hz, 1H), 9.15 (s, 1H), 7.72 - 7.53 (m, 2H), 7.34 - 7.15 (m, 2H), 6.79 (s, 1H), 6.31 (s, 1H), 4.62 (dd, J = 7.8, 5.9 Hz, 2H), 4.37 (t, J = 6.2 Hz, 2H), 3.69 (d, J = 12.5 Hz, 2H), 2.83 - 2.61 (m, 3H), 2.24 (d, J = 17.0 Hz, 3H), 1.86 - 1.70 (m, 1H), 1.65 (d, J = 12.7 Hz, 2H), 1.15 (dt, J = 11.9, 8.7 Hz, 2H) ppm.
217	426.23	0.57	1H NMR (400 MHz, CDCl3) δ 8.89 (d, J = 2.3 Hz, 1H), 8.56 (d, J = 2.1 Hz, 1H), 8.38 (s, 1H), 8.05 (t, J = 2.2 Hz, 1H), 7.13 (d, J = 1.9 Hz, 1H), 6.78 (s, 1H), 6.70 (s, 1H), 6.43 (s, 1H), 4.71 (p, J = 6.4 Hz, 4H), 3.59 (p, J = 6.4 Hz, 1H), 3.40 - 3.24 (m, 4H), 2.59 - 2.46 (m, 4H), 2.35 (s, 3H) ppm.
218	473.13	0.64	1H NMR (400 MHz, CDCl3) δ 8.40 (s, 1H), 8.05 (s, 1H), 7.84 (d, J = 7.8 Hz, 1H), 7.68 - 7.57 (m, 2H), 7.31 (dd, J = 6.9, 4.8 Hz, 1H), 6.76 (s, 1H), 6.68 (s, 1H), 6.46 (s, 1H), 4.72 (dq, J = 12.5, 6.4 Hz, 4H), 3.66 - 3.52 (m, 1H), 3.38 - 3.29 (m, 3H), 2.64 (q, J = 7.6 Hz, 2H), 2.57 - 2.49 (m, 3H), 1.28 (t, J = 7.6 Hz, 3H) ppm.
219	403	0.6	1H NMR (400 MHz, CDCl3) δ 8.30 (s, 1H), 7.64 (d, J = 8.5 Hz, 2H), 7.50 (t, J = 7.7 Hz, 2H), 7.35 (t, J = 7.0 Hz, 1H), 6.90 (s, 1H), 6.61 (s,

Cmpd No.	LC/MS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			1H), 6.58 (s, 1H), 5.99 (s, 1H), 4.74 (d, J = 6.9 Hz, 2H), 4.66 (s, 2H), 4.41 (s, 1H), 4.12 (dd, J = 14.3, 7.1 Hz, 2H), 3.91 (s, 1H), 3.78 - 3.66 (m, 1H), 3.57 - 3.45 (m, 1H), 3.15 (d, J = 30.9 Hz, 2H), 2.31 (s, 3H), 2.13 (s, 1H) ppm.
220	401.25	0.64	1H NMR (300 MHz, CDCl3) δ 8.32 (s, 1H), 7.57 - 7.48 (m, 1H), 7.36 (dt, J = 9.3, 2.1 Hz,1H), 7.17 (s, 1H), 7.14 - 7.02 (m, 1H), 6.75 (s, 1H), 6.62 (s, 1H), 6.44 (s, 1H), 3.40 - 3.24(m, 4H), 2.66 (s, 4H), 2.42 (s, 3H), 2.35 (s, 3H) ppm.
221	426.46	0.67	1H NMR (300 MHz, DMSO-d6) δ 9.41 (s, 1H), 9.16 (s, 1H), 7.62 (dd, J = 8.7, 2.2 Hz, 2H), 7.35 (s, 1H), 7.30 - 7.18 (m, 2H), 6.59 (s, 1H), 4.55 (t, J = 6.5 Hz, 2H), 4.45 (t, J = 6.1 Hz, 2H), 3.48 - 3.35 (m, 1H), 2.79 (d, J = 11.1 Hz, 2H), 2.47 - 2.34 (m, 1H), 2.27 (s, 3H), 1.93 - 1.55 (m, 6H) ppm.
222	363.19	0.64	1H NMR (300 MHz, CD3OD) δ 8.93 (s, 1H), 7.88 - 7.75 (m, 2H), 7.63 - 7.50 (m, 2H), 7.51 - 7.29 (m, 2H), 6.65 (s, 1H), 3.88 (dd, J = 6.0, 3.7 Hz, 4H), 3.57 (dd, J = 5.9, 3.8 Hz, 4H), 2.16 (tt, J = 8.3, 4.9 Hz, 1H), 1.33 - 1.20 (m, 2H), 0.98 (dt, J = 7.2, 4.8 Hz, 2H) ppm.
223	409.27	0.58	1H NMR (400 MHz, CDCl3) δ 8.48 (d, J = 2.5 Hz, 1H), 8.01 - 7.87 (m, 1H), 7.35 - 7.28 (m, 3H), 7.12 (d, J = 1.9 Hz, 1H), 6.83 (s, 1H), 6.77 (s, 1H), 6.42 (s, 1H), 4.72 (p, J = 6.4 Hz, 4H), 3.58 (p, J = 6.4 Hz, 1H), 3.33 - 3.24 (m, 4H), 2.58 - 2.48 (m, 4H), 2.35 (s, 3H) ppm.
224	392.44	0.53	1H NMR (300 MHz, DMSO-d6) δ 9.37 (s, 1H), 9.29 (s, 1H), 8.69 (dd, J = 4.7, 1.5 Hz, 2H), 7.81 (dd, J = 4.7, 1.6 Hz, 2H), 7.14 (s, 1H), 6.91 (s, 1H), 6.33 (s, 1H), 4.58 (t, J = 6.5 Hz, 2H), 4.49 (t, J = 6.1 Hz, 2H), 3.45 (p, J = 6.3 Hz, 1H), 3.20 - 3.01 (m, 4H), 2.47 - 2.35 (m, 4H), 2.24 (s, 3H) ppm.
225	435.28	0.6	1H NMR (400 MHz, CDCl3) δ 8.48 (d, J = 2.5 Hz, 1H), 7.97 (ddd, J = 7.3, 4.0, 1.4 Hz, 1H), 7.35 - 7.28 (m, 3H), 7.08 (t, J = 2.0 Hz, 1H), 6.89 (d, J = 8.7 Hz, 1H), 6.76 (s, 1H), 6.35 (s, 1H), 4.78 - 4.63 (m, 4H), 3.58 (p, J = 6.4 Hz, 1H), 3.33 - 3.22 (m, 4H), 2.54 (dd, J = 14.0, 9.0

Cmpd No.	LC/MS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			Hz, 4H), 1.96 - 1.82 (m, 1H), 1.00 - 0.91 (m, 2H), 0.79 - 0.70 (m, 2H) ppm.
226			1H NMR (300 MHz, Acetone-d6) δ 9.16 (s, 1H), 8.91 (s, 1H), 8.37 (d, J = 5.6 Hz, 1H), 7.91 - 7.75 (m, 1H), 7.64 (d, J = 2.0 Hz, 1H), 7.52 (d, J = 1.4 Hz, 2H), 6.83 (s, 1H), 3.41 - 3.23 (m, 4H), 2.87 (s, 2H), 2.60 - 2.45 (m, 4H), 2.29 (s, 3H) ppm.
227	391	0.71	1H NMR (400 MHz, DMSO-d6) δ 9.23 (s, 1H), 9.05 (s, 1H), 7.83 (d, J = 7.7 Hz, 2H), 7.55 (t, J = 8.0 Hz, 2H), 7.35 (t, J = 7.4 Hz, 1H), 7.22 (s, 1H), 6.91 (s, 1H), 6.34 (s, 1H), 4.43 (t, J = 8.1 Hz, 1H), 4.11 - 3.91 (m, 2H), 3.84 - 3.71 (m, 1H), 3.71 - 3.50 (m, 2H), 3.16 (td, J = 12.7, 3.6 Hz, 1H), 2.65 (ddd, J = 28.7, 17.4, 7.7 Hz, 2H), 2.23 (s, 3H) ppm.
228	475.02	0.73	1H NMR (300 MHz, CDCl3) δ 8.39 (s, 1H), 7.26 (dd, J = 7.6, 1.8 Hz, 2H), 7.15 (s, 1H),6.87 (s, 1H), 6.81 (tt, J = 8.7, 2.2 Hz, 1H), 6.40 (s, 1H), 5.33 (dd, J = 4.8, 2.8 Hz, 2H), 4.48 - 4.40 (m, 2H), 3.42 - 3.22 (m, 8H), 2.06 (d, J = 3.8 Hz, 3H) ppm.
229	398.26	0.26	1H NMR (400 MHz, DMSO-d6) δ 9.46 (s, 1H), 9.17 (s, 1H), 7.69 - 7.58 (m, 3H), 7.29 - 7.19 (m, 2H), 6.65 (s, 1H), 4.58 (t, J = 6.5 Hz, 2H), 4.45 - 4.38 (m, 2H), 3.81 - 3.73 (m, 1H), 3.67 (t, J = 7.1 Hz, 2H), 3.64 - 3.56 (m, 1H), 3.19 (t, J = 6.6 Hz, 2H), 2.27 (s, 3H) ppm.
230	398.26	0.6	1H NMR (400 MHz, DMSO-d6) δ 9.72 (s, 1H), 9.15 (s, 1H), 7.77 - 7.68 (m, 2H), 7.60 (td, J = 8.4, 6.4 Hz, 1H), 7.41 - 7.31 (m, 2H), 7.21 (td, J = 8.2, 1.6 Hz, 1H), 6.62 (d, J = 9.6 Hz, 1H), 4.59 (td, J = 6.5, 2.0 Hz, 2H), 4.51 (td, J = 6.0, 1.6 Hz, 2H), 3.69 - 3.60 (m, 1H), 2.95 - 2.87 (m, 1H), 2.69 - 2.61 (m, 2H), 2.49 - 2.43 (m, 2H), 2.34 - 2.21 (m, 1H), 1.78 (td, J = 14.9, 7.4 Hz, 1H) ppm.
231	453.42	0.7	1H NMR (300 MHz, DMSO-d6) δ 9.24 (s, 1H), 9.05 (s, 1H), 8.02 - 7.84 (m, 1H), 7.76 - 7.51 (m, 2H), 7.12 (s, 1H), 6.88 (s, 1H), 6.30 (s, 1H), 3.30 - 3.16 (m, 2H), 3.17 - 2.98 (m, 4H), 2.85 - 2.68 (m, 4H), 2.22 (s, 3H) ppm.
232	428.3	0.59	1H NMR (400 MHz, CDCl3) δ 8.45 (s, 1H),

Cmpd No.	LC/MS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			7.13 (s, 2H), 7.04 (d, J = 15.7 Hz, 1H), 6.81 (s, 1H), 6.71 (s, 1H), 6.47 (s, 1H), 4.81 - 4.64 (m, 4H), 3.66 - 3.50 (m, 1H), 3.38 - 3.26 (m, 4H), 2.53 (dd, J = 13.3, 8.4 Hz, 4H), 2.35 (d, J = 10.7 Hz, 3H) ppm.
233	435.51	0.63	1H NMR (300 MHz, CDCl3) δ 8.26 (s, 1H), 7.61 (dt, J = 7.1, 3.2 Hz, 1H), 7.54 (dt, J = 5.7, 3.2 Hz, 1H), 7.50 - 7.42 (m, 2H), 7.07 (s, 1H), 6.82 (s, 1H), 6.77 (s, 1H), 6.39 (s, 1H), 4.80 - 4.61 (m, 4H), 4.49 (s, 2H), 3.57 (p, J = 6.4 Hz, 1H), 3.43 (s, 3H), 3.33 - 3.21 (m, 4H), 2.59 - 2.44 (m, 4H), 2.33 (s, 3H) ppm.
234	373.36	0.84	1H NMR (300 MHz, CDCl3) δ 8.35 (s, 1H), 7.75 - 7.66 (m, 2H), 7.53 (ddd, J = 6.8, 4.9, 2.4 Hz, 3H), 7.46 - 7.35 (m, 2H), 7.21 (d, J = 8.4 Hz, 1H), 6.88 (s, 1H), 6.08 - 6.02 (m, 1H), 6.00 - 5.94 (m, 1H), 4.96 - 4.80 (m, 8H) ppm.
235	462.13	0.86	1H NMR (400 MHz, CD3OD) δ 8.88 (s, 1H), 7.70 - 7.42 (m, 3H), 7.34 (s, 1H), 6.95 (tt, J = 9.0, 2.3 Hz, 1H), 6.73 (s, 1H), 4.68 (ddt, J = 19.4, 12.5, 4.8 Hz, 3H), 4.16 - 3.66 (m, 3H), 3.19 - 2.86 (m, 3H), 2.46 - 2.10 (m, 5H), 1.24 - 1.14 (m, 2H) ppm.
236	421.51	0.58	1H NMR (300 MHz, CDCl3) δ 11.33 (d, J = 12.2 Hz, 1H), 9.26 (d, J = 1.6 Hz, 1H), 8.13 (dd, J = 4.7, 1.7 Hz, 1H), 8.02 (d, J = 12.0 Hz, 1H), 7.88 (d, J = 4.7 Hz, 1H), 6.60 (dd, J = 8.6, 1.9 Hz, 3H), 4.83 - 4.62 (m, 4H), 3.68 - 3.50 (m, 1H), 3.38 - 3.20 (m, 4H), 2.67 - 2.45 (m, 6H), 1.68 (dq, J = 14.7, 7.4 Hz, 3H), 0.99 (t, J = 7.3 Hz, 3H) ppm.
237	405.33	0.62	1H NMR (300 MHz, CDCl3) δ 8.33 (s, 1H), 7.77 - 7.65 (m, 2H), 7.53 (dd, J = 10.7, 5.1 Hz, 2H), 7.42 - 7.29 (m, 2H), 7.03 (d, J = 2.0 Hz, 1H), 6.62 (s, 1H), 4.74 (t, J = 6.4 Hz, 4H), 3.63 (p, J = 6.5 Hz, 1H), 3.03 (t, J = 4.7 Hz, 4H), 2.56 (s, 4H), 2.30 (s, 3H), 2.21 (d, J = 16.5 Hz, 3H) ppm.
238	386.19	0.61	1H NMR (300 MHz, DMSO-d6) δ 9.32 (s, 1H), 9.16 (s, 1H), 7.60 (dd, J = 8.6, 2.1 Hz, 2H), 7.31 - 7.17 (m, 2H), 6.77 (s, 1H), 6.31 (s, 1H), 4.68 (d, J = 4.3 Hz, 1H), 3.63 (m, 1H), 3.53 (d, J =

Cmpd No.	LC/MS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			12.9 Hz, 2H), 2.85 (t, J = 10.1 Hz, 2H), 2.21 (s, 3H), 1.81 (d, J = 11.1 Hz, 2H), 1.47 (m, 2H) ppm.
239	392.44	0.63	1H NMR (300 MHz, DMSO-d6) δ 9.35 (s, 1H), 9.06 (s, 1H), 7.95 - 7.82 (m, 1H), 7.55 (t, J = 8.0 Hz, 2H), 7.36 (t, J = 7.4 Hz, 1H), 7.15 (s, 1H), 6.94 (s, 1H), 6.21 (s, 1H), 4.83 (s, 1H), 4.57 (td, J = 6.5, 1.8 Hz, 2H), 4.47 (dd, J = 10.4, 5.9 Hz, 2H), 3.64 (s, 1H), 2.99 - 2.83 (m, 1H), 2.63 (d, J = 9.9 Hz, 2H), 2.48 - 2.41 (m, 1H), 2.40 - 2.16 (m, 4H), 1.86 (d, J = 5.1 Hz, 1H) ppm.
240	358.13	0.81	1H NMR (300 MHz, DMSO-d6) δ 9.26 (s, 1H), 9.15 (s, 1H), 7.66 (dd, J = 8.7, 2.2 Hz, 2H), 7.32 - 7.15 (m, 1H), 6.83 (s, 1H), 6.57 (s, 1H), 6.28 (d, J = 5.6 Hz, 1H), 5.82 (s, 1H), 4.84 (t, J = 5.9 Hz, 2H), 4.47 (m, 3H), 2.15 (s, 3H) ppm.
241	392.13	3.61	1H NMR (400 MHz, DMSO-d6) δ 9.56 (s, 1H), 9.26 (s, 1H), 8.26 - 8.04 (m, 2H), 7.80 (t, J = 8.0 Hz, 1H), 7.71 (d, J = 7.8 Hz, 1H), 6.88 (s, 1H), 6.67 (d, J = 11.7 Hz, 1H), 5.86 (t, J = 16.9 Hz, 1H), 3.25 (s, 4H), 1.97 (t, J = 6.5 Hz, 4H) ppm.
242	386.24	0.7	1H NMR (400 MHz, DMSO-d6) δ 9.42 (s, 1H), 9.16 (s, 1H), 7.84 (s, 1H), 7.65 (dd, J = 8.5, 2.1 Hz, 2H), 7.28 - 7.18 (m, 1H), 7.12 (s, 1H), 6.86 (s, 1H), 5.15 (s, 1H), 2.89 (d, J = 9.6 Hz, 1H), 2.83-2.65 (m, 3H), 2.34 (s, 3H), 2.28 (s, 3H), 2.20 - 2.10 (m, 1H), 2.07 - 1.99 (m, 1H) ppm.
243	455.4	0.64	1H NMR (300 MHz, DMSO-d6) δ 10.81 (s, 1H), 9.43 (s, 1H), 9.18 (s, 1H), 7.72 - 7.55 (m, 2H), 7.26 (tt, J = 9.3, 2.3 Hz, 1H), 7.12 (s, 1H), 6.99 (s, 1H), 6.40 (s, 1H), 4.00 (dd, J = 11.4, 3.8 Hz, 2H), 3.76 (d, J = 9.6 Hz, 2H), 3.61 (d, J = 8.0 Hz, 2H), 3.46 (s, 1H), 3.31 (t, J = 11.3 Hz, 2H), 3.24 - 3.08 (m, 4H), 2.28 (d, J = 12.6 Hz, 3H), 2.04 (t, J = 14.2 Hz, 2H), 1.92 - 1.62 (m, 2H) ppm.
244	411.27	0.69	1H NMR (300 MHz, DMSO-d6) δ 9.70 (s, 1H), 9.21 (s, 1H), 7.70 - 7.55 (m, 2H), 7.28 (dd, J = 10.5, 8.3 Hz, 1H), 6.90 (s, 1H), 6.76 (s, 1H), 4.17 (d, J = 13.2 Hz, 2H), 2.73 (t, J = 11.4 Hz, 2H), 1.85 (d, J = 4.7 Hz, 1H), 1.64 (d, J = 12.1 Hz, 3H), 1.10 (d, J = 11.1 Hz, 2H), 0.91 (d, J =

Cmpd No.	LC/MS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			6.2 Hz, 3H), 0.88 - 0.69 (m, 4H) ppm.
245	425.27	0.64	1H NMR (300 MHz, DMSO-d6) δ 9.62 (d, J = 24.0 Hz, 1H), 9.16 (s, 1H), 7.06 (d, J = 2.2 Hz, 2H), 6.79 (s, 1H), 6.63 (s, 1H), 6.49 (t, J = 2.2 Hz, 1H), 5.40 (d, J = 53.9 Hz, 1H), 3.83 (s, 6H), 3.73 - 3.46 (m, 3H), 3.43 - 3.34 (m, 1H), 2.23 (s, 2H), 1.80 (s, 1H), 0.88 (d, J = 4.7 Hz, 2H), 0.79 (d, J = 7.7 Hz, 2H) ppm.
246	398.29	0.96	1H NMR (300 MHz, CDCl3) δ 8.25 (s, 1H), 7.66 - 7.55 (m, 2H), 7.43 (ddd, J = 8.3, 5.4, 1.8 Hz, 2H), 7.28 (ddd, J = 7.4, 3.9, 1.2 Hz, 1H), 6.98 (dt, J = 14.2, 1.9 Hz, 2H), 6.78 (s, 1H), 6.45 (t, J = 1.9 Hz, 1H), 3.67 (d, J = 12.4 Hz, 2H), 3.29 (s, 3H), 3.20 (d, J = 6.3 Hz, 2H), 2.71 (td, J = 12.4, 2.4 Hz, 2H), 1.85 - 1.61 (m, 3H), 1.42 - 1.21 (m, 2H) ppm.
247	349	2.12	1H NMR (400 MHz, CDCl3) δ 8.30 (s, 1H), 7.75 - 7.60 (m, 2H), 7.49 (dd, J = 10.7, 5.2 Hz, 2H), 7.34 (d, J = 7.4 Hz, 2H), 7.13 (s, 1H), 6.78 (s, 1H), 6.61 (s, 1H), 6.39 (s, 1H), 3.36 - 3.15 (m, 4H), 2.68 - 2.50 (m, 4H), 2.37 (s, 3H), 2.32 (s, 3H) ppm.
248	390	0.61	1H NMR (400 MHz, CDCl3) δ 8.32 (s, 1H), 7.69 (d, J = 7.8 Hz, 2H), 7.51 (t, J = 7.9 Hz, 2H), 7.36 (d, J = 8.3 Hz, 2H), 7.15 (s, 1H), 6.76 (s, 1H), 6.65 (s, 1H), 4.75 - 4.55 (m, 4H), 3.50 (p, J = 6.4 Hz, 1H), 2.84 (dd, J = 18.4, 7.1 Hz, 3H), 2.35 (s, 3H), 2.00 (d, J = 12.5 Hz, 1H), 1.95 - 1.63 (m, 5H), 1.50 (dd, J = 22.9, 10.4 Hz, 1H) ppm.
249	410.49	0.61	1H NMR (300 MHz, DMSO-d6) δ 9.34 (s, 1H), 9.32 (s, 1H), 8.36 (d, J = 5.7 Hz, 1H), 7.84 (d, J = 5.8 Hz, 1H), 7.63 (s, 1H), 6.93 (s, 1H), 6.53 (s, 1H), 5.95 (s, 1H), 5.68 (d, J = 6.5 Hz, 1H), 4.68 - 4.52 (m, 2H), 4.44 (dt, J = 19.2, 9.6 Hz, 2H), 3.87 (s, 1H), 3.72 - 3.50 (m, 1H), 2.78 (dd, J = 18.4, 10.3 Hz, 1H), 2.62 (d, J = 5.9 Hz, 1H), 2.41 (dd, J = 13.7, 8.3 Hz, 2H), 2.32 - 2.20 (m, 1H), 2.16 (s, 3H), 1.67 (dd, J = 12.0, 5.4 Hz, 1H) ppm.
250	406.39	0.66	1H NMR (300 MHz, CDCl3) δ 9.04 (d, J = 2.2 Hz, 1H), 8.64 (dd, J = 4.8, 1.4 Hz, 1H), 8.40 (s,

Cmpd No.	LC/MS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			1H), 8.03 (ddd, J = 8.3, 2.6, 1.5 Hz, 1H), 7.50 (ddd, J = 8.3, 4.8, 0.7 Hz, 1H), 7.30 (d, J = 1.4 Hz, 2H), 6.80 (s, 1H), 6.76 (s, 1H), 4.12 (dd, J = 10.3, 3.0 Hz, 4H), 3.57 (td, J = 11.3, 3.2 Hz, 4H), 2.79 (ddd, J = 15.6, 10.5, 5.0 Hz, 2H), 1.96 - 1.77 (m, 8H) ppm.
251	406.44	0.69	1H NMR (300 MHz, CDCl3) δ 8.34 (s, 1H), 7.73 - 7.65 (m, 3H), 7.52 (ddd, J = 8.3, 5.3, 1.8 Hz, 3H), 7.42 - 7.33 (m, 1H), 7.19 (t, J = 2.1 Hz, 1H), 6.89 (t, J = 1.5 Hz, 1H), 6.74 (s, 1H), 6.46 (d, J = 1.6 Hz, 1H), 4.11 (dd, J = 10.3, 3.1 Hz, 2H), 3.92 - 3.88 (m, 4H), 3.55 (td, J = 11.4, 2.9 Hz, 3H), 3.26 - 3.22 (m, 4H), 2.81 - 2.68 (m, 1H), 1.91 - 1.80 (m, 4H) ppm.
252	475.11	0.63	1H NMR (400 MHz, CDCl3) δ 8.36 (s, 1H), 7.67 (s, 1H), 7.56 (ddd, J = 23.0, 11.6, 5.0 Hz, 2H), 7.27 (s, 1H), 7.19 (dt, J = 21.6, 7.9 Hz, 1H), 6.73 (d, J = 10.2 Hz, 2H), 6.42 (s, 1H), 4.79 - 4.60 (m, 4H), 3.58 (p, J = 6.4 Hz, 1H), 3.38 - 3.21 (m, 4H), 2.61 - 2.44 (m, 4H), 2.34 (s, 3H) ppm.
253	393.39	0.64	1H NMR (300 MHz, CDCl3) δ 8.35 (s, 1H), 7.67 (dt, J = 12.4, 6.4 Hz, 2H), 7.52 (dd, J = 10.6, 5.1 Hz, 2H), 7.45 - 7.33 (m, 1H), 7.13 (s, 1H), 6.82 (s, 1H), 6.73 (s, 1H), 6.40 (s, 1H), 3.29 (s, 4H), 2.87 (s, 4H), 2.59 - 2.37 (m, 2H), 2.36 (d, J = 8.9 Hz, 3H), 1.24 (s, 5H) ppm.
254	423.31	0.59	1H NMR (400 MHz, CDCl3) δ 8.96 (s, 1H), 8.62 (d, J = 0.6 Hz, 1H), 7.08 (dd, J = 3.5, 1.3 Hz, 2H), 6.92 (s, 1H), 6.84 (s, 1H), 6.44 (s, 1H), 4.72 (p, J = 6.4 Hz, 4H), 4.07 (s, 3H), 3.59 (p, J = 6.4 Hz, 1H), 3.36 - 3.26 (m, 4H), 2.54 (dd, J = 11.2, 6.3 Hz, 4H), 2.36 (s, 3H) ppm.
255	395.26	0.64	1H NMR (400 MHz, CDCl3) δ 8.21 (s, 1H), 7.23 (s, 1H), 7.15 (d, J = 9.4 Hz, 1H), 7.11 (s, 1H), 6.80 (d, J = 9.1 Hz, 1H), 6.62 (d, J = 21.7 Hz, 1H), 6.57 (s, 1H), 6.32 (s, 1H), 3.26 - 3.16 (m, 4H), 2.65 (q, J = 7.6 Hz, 2H), 2.56 - 2.48 (m, 4H), 2.29 (s, 3H), 2.25 (s, 3H), 1.28 - 1.17 (m, 3H) ppm.
257	421.31	3.17	1H NMR (300 MHz, DMSO-d6) δ 9.19 (s, 1H),

Cmpd No.	LC/MS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			7.72 (m, 2H), 7.67 - 7.56 (m, 1H), 7.53 (d, J = 12.3 Hz, 2H), 7.24 (td, J = 11.8, 5.1 Hz, 1H), 6.86 (s, 1H), 3.88 (m, 2H), 3.55 (m, 2H), 3.28 - 3.12 (m, 4H), 2.86 (s, 3H) ppm.
258	425.22	0.63	1H NMR (300 MHz, DMSO-d6) δ 9.35 (d, J = 7.3 Hz, 1H), 9.13 (s, 1H), 7.84 - 7.65 (m, 2H), 7.60 (td, J = 8.3, 6.4 Hz, 1H), 7.19 (td, J = 8.3, 1.4 Hz, 1H), 6.84 (d, J = 2.0 Hz, 2H), 6.05 (d, J = 1.9 Hz, 1H), 4.57 (t, J = 6.5 Hz, 2H), 4.48 (t, J = 6.0 Hz, 2H), 3.72 (s, 3H), 3.55 - 3.40 (m, 1H), 3.24 - 2.97 (m, 4H), 2.37 (dd, J = 24.8, 20.2 Hz, 4H) ppm.
259	349	0.59	1H NMR (400 MHz, CDCl3) δ 8.32 (s, 1H), 7.68 (d, J = 7.6 Hz, 2H), 7.48 (t, J = 7.9 Hz, 2H), 7.34 (t, J = 7.4 Hz, 1H), 7.19 (s, 1H), 6.76 (s, 1H), 6.67 (s, 1H), 6.40 (s, 1H), 3.60 (t, J = 9.6 Hz, 2H), 3.22 - 2.93 (m, 3H), 2.75 (td, J = 11.5, 3.5 Hz, 1H), 2.54 - 2.36 (m, 1H), 2.33 (s, 3H), 1.57 (s, 1H), 1.15 (d, J = 6.3 Hz, 3H) ppm.
260	376.29	0.6	1H NMR (400 MHz, DMSO-d6) δ 9.28 (s, 1H), 9.06 (s, 1H), 7.85 (dd, J = 8.6, 1.0 Hz, 2H), 7.58 - 7.52 (m, 2H), 7.50 (s, 1H), 7.35 (t, J = 7.4 Hz, 1H), 7.21 (s, 1H), 6.60 (s, 1H), 4.59 (t, J = 6.5 Hz, 2H), 4.51 (td, J = 6.0, 2.0 Hz, 2H), 3.65 (dt, J = 12.4, 6.2 Hz, 1H), 3.29 - 3.20 (m, 1H), 2.95 (t, J = 8.4 Hz, 1H), 2.70 (dd, J = 14.8, 8.0 Hz, 1H), 2.61 (td, J = 8.6, 5.4 Hz, 1H), 2.47 - 2.41 (m, 1H), 2.30 - 2.21 (m, 4H), 1.79 (dt, J = 14.4, 8.1 Hz, 1H) ppm.
261	410	0.67	1H NMR (300 MHz, CDCl3) δ 8.29 (s, 1H), 7.30 - 7.22 (m, 3H), 7.21 (s, 1H), 7.16 (s, 1H), 6.78 (tt, J = 8.7, 2.3 Hz, 1H), 6.71 (s, 1H), 6.66 (s, 1H), 3.17 (d, J = 11.5 Hz, 2H), 2.50 (tt, J = 12.0, 4.1 Hz, 1H), 2.35 (s, 3H), 2.28 (dd, J = 11.7, 2.6 Hz, 2H), 1.83 (dd, J = 14.0, 7.0 Hz, 2H), 1.75 (dd, J = 12.5, 3.3 Hz, 1H), 1.69 - 1.57 (m, 1H), 0.47 (d, J = 6.5 Hz, 4H) ppm.
262	384.23	0.57	1H NMR (400 MHz, CDCl3) δ 8.89 (d, J = 2.2 Hz, 1H), 8.56 (d, J = 2.1 Hz, 1H), 8.38 (s, 1H), 8.06 (t, J = 2.2 Hz, 1H), 7.13 (s, 1H), 6.77 (s, 1H), 6.72 (s, 1H), 6.44 (s, 1H), 3.34 - 3.21 (m, 4H), 2.60 (dd, J = 18.9, 13.9 Hz, 4H), 2.38 (s,

Cmpd No.	LC/MS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			3H), 2.35 (s, 3H) ppm.
263	386.15	0.52	1H NMR (400 MHz, DMSO-d6) δ 9.72 (s, 1H), 9.12 (s, 1H), 8.07 - 7.90 (m, 1H), 7.78 - 7.58 (m, 2H), 6.93 (s, 1H), 6.72 (s, 1H), 3.51 - 3.36 (m, 4H), 2.39 (dd, J = 19.5, 14.6 Hz, 4H), 2.25 (s, 3H), 2.22 (s, 3H) ppm.
264	455.15	0.68	1H NMR (300 MHz, CDCl3) δ 8.32 (s, 1H), 7.26 (dd, J = 8.0, 2.2 Hz, 2H), 7.13 (t, J = 2.0 Hz, 1H), 6.83 (d, J = 1.8 Hz, 1H), 6.78 (dt, J = 8.7, 2.3 Hz, 1H), 6.66 (s, 1H), 6.47 (s, 1H), 4.67 (d, J = 5.5 Hz, 2H), 4.30 (d, J = 5.7 Hz, 2H), 3.38 - 3.18 (m, 4H), 2.65 (q, J = 7.6 Hz, 2H), 2.61 - 2.48 (m, 4H), 1.44 (s, 3H), 1.28 (t, J = 7.6 Hz, 4H) ppm.
265	373.14	0.62	1H NMR (300 MHz, CD3OD) δ 8.95 (s, 1H), 7.86 (ddd, J = 11.3, 6.9, 2.6 Hz, 1H), 7.68 (ddt, J = 8.3, 4.2, 2.2 Hz, 1H), 7.61 - 7.34 (m, 2H), 6.90 (s, 1H), 3.87 (dd, J = 6.0, 3.8 Hz, 4H), 3.66 (s, 1H), 3.57 (dd, J = 6.1, 3.7 Hz, 4H), 2.51 (s, 3H) ppm.
266	412	0.84	1H NMR (400 MHz, DMSO-d6) δ 9.47 (s, 1H), 9.17 (s, 1H), 7.63 (d, J = 8.1 Hz, 2H), 7.46 (s, 1H), 7.33 (d, J = 15.0 Hz, 1H), 7.25 (t, J = 8.4 Hz, 1H), 6.63 (d, J = 21.7 Hz, 1H), 4.47 (t, J = 10.7 Hz, 1H), 3.85 (t, J = 11.0 Hz, 1H), 3.05 (dd, J = 23.7, 10.5 Hz, 1H), 2.70 - 2.59 (m, 1H), 2.28 (s, 3H), 2.03 (s, 3H), 1.95 (d, J = 11.3 Hz, 1H), 1.82 - 1.61 (m, 3H), 1.61 - 1.35 (m, 2H) ppm.
267	385.29	0.66	1H NMR (300 MHz, DMSO-d6) δ 9.27 (s, 1H), 9.15 (s, 1H), 7.60 (dd, J = 8.7, 2.2 Hz, 2H), 7.24 (tt, J = 10.4, 8.1 Hz, 1H), 6.90 (m, 1H), 6.60 (m, 1H), 5.90 (m, 1H), 3.43 (dd, J = 9.0, 6.1 Hz, 1H), 3.32 - 3.16 (m, 3H), 3.01 (dd, J = 9.1, 4.7 Hz, 1H), 2.33 (s, 3H), 2.20 (s, 3H), 2.14 - 2.01 (m, 1H), 1.79 (m, 1H) ppm.
268	416.26	0.6	1H NMR (300 MHz, DMSO-d6) δ 9.81 (s, 1H), 9.20 (s, 1H), 7.70 - 7.58 (m, 2H), 7.40 (s, 1H), 7.29 (ddt, J = 18.7, 9.3, 2.2 Hz, 2H), 6.64 (d, J = 9.9 Hz, 1H), 4.58 (td, J = 6.5, 1.9 Hz, 2H), 4.50 (t, J = 5.7 Hz, 2H), 3.69 - 3.58 (m, 1H), 2.97 - 2.88 (m, 1H), 2.69 - 2.61 (m, 2H), 2.44 (dd, J = 8.9, 7.3 Hz, 2H), 2.35 - 2.19 (m, 1H), 1.78 (dt, J

Cmpd No.	LC/MS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			= 15.1, 7.2 Hz, 1H) ppm.
269	338.1	2.33	1H NMR (400 MHz, DMSO-d6) δ 9.10 (s, 1H), 9.00 (s, 1H), 7.94 - 7.76 (m, 2H), 7.47 - 7.33 (m, 2H), 6.84 (s, 1H), 6.66 (s, 1H), 5.91 (s, 1H), 3.41 - 3.27 (m, 4H), 2.20 (s, 3H), 2.08 - 1.85 (m, 4H) ppm.
270	308	0.61	1H NMR (400 MHz, CDCl3) δ 9.02 (d, J = 2.5 Hz, 1H), 8.62 (dd, J = 4.8, 1.3 Hz, 1H), 8.40 (s, 1H), 8.04 (ddd, J = 8.3, 2.6, 1.5 Hz, 1H), 7.56 - 7.42 (m, 2H), 6.88 (d, J = 5.9 Hz, 2H), 5.09 (dd, J = 8.3, 6.0 Hz, 2H), 4.82 (t, J = 6.3 Hz, 2H), 4.30 - 4.12 (m, 1H), 2.40 (s, 3H) ppm.
271	407.25	0.61	1H NMR (300 MHz, DMSO-d6) δ 9.42 (s, 1H), 9.15 (s, 1H), 8.84 (s, 1H), 8.56 (s, 1H), 7.16 (s, 1H), 6.92 (s, 1H), 6.34 (s, 1H), 4.58 (t, J = 6.5 Hz, 2H), 4.49 (t, J = 6.1 Hz, 2H), 3.45 (dt, J = 12.7, 6.5 Hz, 1H), 3.25 - 3.03 (m, 4H), 2.56 (s, 3H), 2.40 (dd, J = 15.5, 10.8 Hz, 4H), 2.26 (d, J = 9.5 Hz, 3H) ppm.
272	338.13	2.38	1H NMR (400 MHz, DMSO-d6) δ 9.34 (d, J = 41.3 Hz, 1H), 9.14 (s, 1H), 7.73 (s, 2H), 7.59 (dt, J = 14.9, 7.4 Hz, 1H), 7.19 (t, J = 7.7 Hz, 1H), 6.85 (s, 1H), 5.98 (s, 1H), 3.36 (s, 3H), 2.24 (s, 3H), 1.95 (d, J = 51.8 Hz, 3H) ppm.
273	384	0.26	
274	363.42	0.62	1H NMR (300 MHz, DMSO-d6) δ 10.75 (s, 1H), 9.33 (s, 1H), 9.09 (s, 1H), 7.98 - 7.75 (m, 2H), 7.65 - 7.45 (m, 2H), 7.46 - 7.33 (m, 1H), 7.21 (s, 1H), 6.99 (d, J = 15.5 Hz, 1H), 6.38 (s, 1H), 3.74 (d, J = 10.6 Hz, 2H), 3.57 (d, J = 8.9 Hz, 2H), 3.14 (dt, J = 19.6, 9.9 Hz, 6H), 2.26 (d, J = 7.7 Hz, 3H), 1.29 (t, J = 7.3 Hz, 3H) ppm.
275	392.44	0.54	1H NMR (300 MHz, DMSO-d6) δ 9.29 (s, 1H), 9.19 - 9.05 (m, 2H), 8.55 (dd, J = 4.7, 1.4 Hz, 1H), 8.20 (ddd, J = 8.3, 2.6, 1.4 Hz, 1H), 7.60 (ddd, J = 8.4, 4.8, 0.6 Hz, 1H), 7.15 (s, 1H), 6.89 (s, 1H), 6.31 (s, 1H), 4.57 (t, J = 6.5 Hz, 2H), 4.49 (t, J = 6.1 Hz, 2H), 3.45 (p, J = 6.3 Hz, 1H), 3.16 (dd, J = 8.5, 5.1 Hz, 4H), 2.45 - 2.32 (m, 4H), 2.23 (s, 3H) ppm.
276	441.17	0.61	1H NMR (400 MHz, CDCl3) δ 8.49 (d, J = 2.6 Hz, 1H), 7.25 (ddd, J = 8.3, 5.3, 2.6 Hz, 1H),

Cmpd No.	LC/MS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			7.20 - 7.12 (m, 1H), 7.11 (t, J = 2.1 Hz, 1H), 6.86 (s, 1H), 6.80 (s, 1H), 6.46 (s, 1H), 4.80 - 4.61 (m, 4H), 3.59 (p, J = 6.4 Hz, 1H), 3.36 - 3.24 (m, 4H), 2.64 (q, J = 7.6 Hz, 2H), 2.58 - 2.47 (m, 4H), 1.28 (t, J = 7.6 Hz, 3H) ppm.
277	449.32	0.56	1H NMR (400 MHz, CDCl3) δ 9.00 (s, 1H), 8.63 (t, J = 6.0 Hz, 1H), 7.35 (d, J = 5.4 Hz, 1H), 7.01 (t, J = 2.0 Hz, 1H), 6.83 (s, 1H), 6.77 (s, 1H), 6.39 (s, 1H), 4.72 (dt, J = 14.5, 6.4 Hz, 4H), 4.10 (d, J = 6.2 Hz, 3H), 3.59 (p, J = 6.4 Hz, 1H), 3.36 - 3.23 (m, 4H), 2.62 - 2.48 (m, 4H), 1.97 - 1.81 (m, 1H), 1.03 - 0.92 (m, 2H), 0.81 - 0.66 (m, 2H) ppm.
278	441.45	0.6	1H NMR (300 MHz, CDCl3) δ 8.30 (d, J = 18.3 Hz, 1H), 7.69 - 7.55 (m, 1H), 7.47 - 7.29 (m, 2H), 6.95 (d, J = 11.2 Hz, 1H), 6.59 (s, 1H), 6.50 (s, 1H), 6.10 (s, 1H), 4.96 (s, 2H), 4.76 (t, J = 7.3 Hz, 2H), 3.96 (s, 2H), 3.68 - 3.45 (m, 2H), 3.24 (s, 2H), 2.57 (d, J = 40.5 Hz, 2H), 2.11 (dd, J = 36.1, 13.1 Hz, 2H) ppm.
279	412.33	0.64	1H NMR (300 MHz, CDCl3) δ 8.22 (d, J = 13.2 Hz, 1H), 7.16 (s, 1H), 7.08 (s, 1H), 6.71 (dd, J = 10.6, 8.6 Hz, 3H), 6.35 (s, 1H), 4.42 (s, 5H), 3.12 (dd, J = 14.7, 9.2 Hz, 4H), 2.21 (d, J = 22.0 Hz, 3H), 2.07 - 1.87 (m, 5H) ppm.
280	391	66	
281	344.8	0.69	1H NMR (300 MHz, CDCl3) δ 8.38 (s, 1H), 8.03 (dt, J = 2.2, 0.9 Hz, 1H), 7.93 (s, 1H), 7.72 - 7.56 (m, 2H), 6.77 (s, 1H), 6.62 (s, 2H), 6.10 (s, 1H), 3.37 (d, J = 6.1 Hz, 4H), 2.35 (s, 3H), 2.05 (t, J = 5.1 Hz, 4H) ppm.
282	442.15	0.73	1H NMR (400 MHz, DMSO-d6) δ 9.42 (s, 1H), 9.17 (s, 1H), 7.77 - 7.53 (m, 2H), 7.34 (s, 1H), 7.30 - 7.19 (m, 2H), 6.59 (s, 1H), 4.55 (dd, J = 12.7, 6.3 Hz, 3H), 4.44 (td, J = 6.0, 2.3 Hz, 2H), 3.65 (d, J = 4.4 Hz, 1H), 3.64 - 3.23 (m, 6H), 2.86 (dd, J = 10.2, 3.5 Hz, 1H), 2.70 (d, J = 10.8 Hz, 1H), 2.27 (s, 3H), 2.21 (d, J = 4.5 Hz, 1H), 1.80 (dd, J = 11.2, 8.8 Hz, 1H), 1.73 - 1.49 (m, 3H) ppm.
283	361	68	1H NMR (400 MHz, DMSO-d6) δ 9.53 (s, 1H), 8.75 (d, J = 2.2 Hz, 1H), 7.87 (td, J = 8.9, 6.0

Cmpd No.	LC/MS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			Hz, 1H), 7.64 (ddd, J = 11.6, 9.0, 2.7 Hz, 1H), 7.33 (t, J = 7.9 Hz, 1H), 6.78 (d, J = 11.9 Hz, 1H), 6.49 (d, J = 7.2 Hz, 1H), 5.80 - 5.63 (m, 1H), 3.99 (dd, J = 14.9, 7.8 Hz, 2H), 3.83 - 3.69 (m, 1H), 3.35 (dd, J = 14.9, 7.8 Hz,2H -partially obscured by MeOH) ppm.
284	441	0.68	1H NMR (400 MHz, CDCl3) δ 8.30 (s, 1H), 7.33 - 7.16 (m, 5H), 6.93 (s, 1H), 6.81 - 6.69 (m, 1H), 6.60 (s, 1H), 6.47 (s, 1H), 6.03 (s, 1H), 3.78 (t, J = 4.7 Hz, 3H), 3.69 - 3.55 (m, 1H), 3.50 (t, J = 8.4 Hz, 1H), 3.37 (dt, J = 16.4, 8.1 Hz, 1H), 3.26 (t, J = 8.5 Hz, 1H), 3.04 - 2.87 (m, 1H), 2.58 (d, J = 20.4 Hz, 4H), 2.32 (s, 2H), 2.30 - 2.17 (m, 1H), 1.95 (dd, J = 19.9, 10.7 Hz, 1H).
285	493.38	0.94	H NMR (300 MHz, CDCl3) δ 8.28 (s, 1H), 7.10 (s, 1H), 7.04 (s, 1H), 7.00 - 6.92 (m, 1H), 6.71 (s, 1H), 6.57 (d, J = 10.7 Hz, 2H), 6.36 (s, 1H), 4.79 - 4.67 (m, 4H), 4.68 - 4.53 (m,1H), 3.68 - 3.50 (m, 1H), 3.41 - 3.23 (m, 4H), 2.61 - 2.44 (m, 4H), 1.97 - 1.82 (m, 1H),1.40 (d, J = 6.0 Hz, 6H), 0.96 (dt, J = 6.2, 4.3 Hz, 2H), 0.84 - 0.67 (m, 2H) ppm.
286	463.32	0.6	1H NMR (400 MHz, CDCl3) δ 8.95 (s, 1H), 8.59 (d, J = 0.8 Hz, 1H), 7.06 (t, J = 2.0 Hz, 1H), 7.03 (d, J = 0.8 Hz, 1H), 6.87 (s, 1H), 6.77 (s, 1H), 6.37 (s, 1H), 4.72 (dq, J = 12.7, 6.4 Hz, 4H), 4.51 (q, J = 7.1 Hz, 2H), 3.66 - 3.48 (m, 1H), 3.36 - 3.25 (m, 4H), 2.61 - 2.46 (m, 4H), 1.98 - 1.84 (m, 1H), 1.46 (t, J = 7.1 Hz, 3H), 1.06 - 0.90 (m, 2H), 0.79 - 0.68 (m, 2H) ppm.
287	459.13	0.62	1H NMR (400 MHz, CDCl3) δ 8.40 (s, 1H), 8.04 (s, 1H), 7.84 (d, J = 7.8 Hz, 1H), 7.69 - 7.53 (m, 2H), 7.31 (s, 1H), 6.70 (s, 2H), 6.43 (s, 1H), 4.72 (dq, J = 12.5, 6.4 Hz, 4H), 3.59 (p, J = 6.4 Hz, 1H), 3.37 - 3.25 (m, 4H), 2.60 - 2.47 (m, 4H), 2.35 (s, 3H) ppm.
288	435.42	0.68	1H NMR (300 MHz, DMSO-d6) δ 9.45 (s, 1H), 9.21 (s, 1H), 9.01 (d, J = 1.2 Hz, 1H), 8.66 (d, J = 2.5 Hz, 1H), 8.58 (dd, J = 2.5, 1.4 Hz, 1H), 7.29 (s, 1H), 7.12 (s, 1H), 6.53 (s, 1H), 4.58 (t, J = 6.4 Hz, 2H), 4.55 - 4.36 (m, 3H), 3.56 - 3.40 (m, 1H), 3.16 (d, J = 4.7 Hz, 4H), 2.42 (t, J = 9.0

Cmpd No.	LC/MS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			Hz, 4H), 1.29 (s, 9H) ppm.
289	419.4	0.63	1H NMR (300 MHz, CDCl3) δ 8.33 (s, 1H), 7.69 (dd, J = 8.5, 1.1 Hz, 2H), 7.52 (dd, J = 10.6, 5.1 Hz, 2H), 7.37 (dt, J = 9.1, 4.2 Hz, 1H), 7.13 (s, 1H), 6.82 (s, 1H), 6.65 (s, 1H), 6.42 (s, 1H), 4.08 (dd, J = 11.0, 4.0 Hz, 2H), 3.43 (td, J = 11.8, 1.8 Hz, 2H), 3.34 - 3.19 (m, 4H), 2.81 - 2.69 (m, 4H), 2.59 - 2.42 (m, 1H), 1.85 (dd, J = 12.4, 1.8 Hz, 2H), 1.65 (qd, J = 12.4, 4.5 Hz, 3H) ppm.
290	389.38	0.65	1H NMR (300 MHz, DMSO-d6) δ 9.17 (s, 1H), 9.04 (s, 1H), 7.82 (dd, J = 8.6, 1.1 Hz, 2H), 7.55 (dd, J = 10.7, 5.2 Hz, 2H), 7.34 (t, J = 7.4 Hz, 1H), 7.14 (s, 1H), 6.88 (s, 1H), 6.28 (s, 1H), 3.20 - 3.02 (m, 4H), 2.74 (p, J = 8.0 Hz, 1H), 2.48 - 2.32 (m, 4H), 2.24 (d, J = 15.9 Hz, 3H), 2.07 - 1.91 (m, 2H), 1.91 - 1.74 (m, 2H), 1.74 - 1.51 (m, 2H) ppm.
291	411.16	0.51	1H NMR (400 MHz, CDCl3) δ 9.02 (s, 1H), 8.87 (d, J = 2.1 Hz, 1H), 8.77 (d, J = 3.7 Hz, 1H), 7.40 (s, 1H), 6.77 (s, 1H), 6.66 (s, 1H), 6.43 (s, 1H), 4.80 - 4.66 (m, 3H), 3.60 (dd, J = 12.6, 6.1 Hz, 1H), 3.39 - 3.25 (m, 3H), 2.60 - 2.46 (m, 3H), 2.34 (s, 3H) ppm.
292	387	0.63	1H NMR (400 MHz, CDCl3) δ 8.30 (s, 1H), 7.67 (d, J = 7.7 Hz, 2H), 7.48 (t, J = 7.9 Hz, 2H), 7.33 (t, J = 7.4 Hz, 1H), 6.84 (s, 1H), 6.60 (s, 1H), 6.57 (s, 1H), 6.04 (s, 1H), 4.25 (s, 1H), 3.64 (s, 1H), 3.56 - 3.41 (m, 2H), 3.12 (d, J = 9.5 Hz, 1H), 2.90 (d, J = 9.6 Hz, 1H), 2.30 (s, 3H), 2.01 - 1.87 (m, 3H), 0.41 (dd, J = 13.4, 6.3 Hz, 4H) ppm.
293	400.24	0.64	1H NMR (400 MHz, CDCl3) δ 8.24 (s, 1H), 7.18 - 7.15 (m, 1H), 7.10 (s, 1H), 6.70 (ddt, J = 8.6, 4.5, 2.2 Hz, 2H), 6.63 (s, 1H), 6.35 (s, 1H), 3.68 (dd, J = 11.8, 3.8 Hz, 1H), 3.41 - 3.35 (m, 4H), 2.84 - 2.68 (m, 2H), 2.25 (s, 3H), 2.05 - 1.96 (m, 1H), 1.81 (ddd, J = 17.2, 8.3, 4.3 Hz, 1H), 1.70 - 1.51 (m, 3H), 1.45 - 1.33 (m, 1H) ppm.
294	404.5	0.66	1H NMR (300 MHz, CDCl3) δ 8.33 (s, 1H), 7.71 (dd, J = 8.6, 1.1 Hz, 2H), 7.57 - 7.48 (m,

Cmpd No.	LC/MS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			2H), 7.38 (dt, J = 8.0, 3.7 Hz, 1H), 7.28 - 7.25 (m, 1H), 7.23 (s, 1H), 6.72 (s, 1H), 6.67 (s, 1H), 4.68 (s, 2H), 4.27 (d, J = 5.7 Hz, 2H), 2.71 (s, 2H), 2.51 (s, 1H), 2.27 (d, J = 63.5 Hz, 5H), 1.91 (s, 4H), 1.47 (s, 3H) ppm.
295	372.1	0.75	1H NMR (300 MHz, DMSO-d6) δ 9.63 (s, 1H), 9.19 (s, 1H), 7.74 - 7.54 (m, 4H), 7.34 (s, 1H), 7.30 - 7.18 (m, 1H), 6.70 (s, 1H), 5.60 - 5.50 (m, 1H), 3.90 (t, J = 8.6 Hz, 1H), 3.28 (m, 1H), 2.31 (s, 3H) ppm.
296	365.17	0.62	1H NMR (400 MHz, CDCl3) δ 8.35 (s, 1H), 7.65 (d, J = 7.7 Hz, 2H), 7.50 (t, J = 7.9 Hz, 2H), 7.35 (dd, J = 18.9, 11.5 Hz, 1H), 6.68 (d, J = 1.5 Hz, 1H), 6.48 (t, J = 3.5 Hz, 1H), 5.35 (d, J = 53.2 Hz, 1H), 3.96 - 3.65 (m, 3H), 3.59 (td, J = 10.2, 6.6 Hz, 1H), 2.48 - 2.26 (m, 2H), 2.08 - 2.03 (m, 1H), 1.02 - 0.95 (m, 2H), 0.91 (ddd, J = 10.3, 6.3, 3.9 Hz, 2H) ppm.
297	350	0.49	1H NMR (400 MHz, Acetone-d6) δ 9.14 (d, J = 2.6 Hz, 1H), 8.90 (s, 1H), 8.56 (dd, J = 4.7, 1.2 Hz, 1H), 8.31 (s, 1H), 8.27 - 8.15 (m, 1H), 7.56 (dd, J = 8.3, 4.7 Hz, 1H), 7.31 (s, 1H), 7.00 (s, 1H), 6.38 (s, 1H), 3.30 - 3.09 (m, 4H), 2.62 - 2.41 (m, 4H), 2.27 (d, J = 5.6 Hz, 6H) ppm.
298	426.28	0.82	1H NMR (300 MHz, DMSO-d6) δ 9.45 (s, 1H), 9.17 (s, 1H), 7.60 (dd, J = 8.7, 2.2 Hz, 2H), 7.31 - 7.15 (m, 3H), 6.60 (s, 1H), 6.44 - 6.34 (m, 1H), 4.89 (d, J = 3.0 Hz, 2H), 4.74 (d, J = 3.5 Hz, 2H), 3.82 - 3.70 (m, 4H), 3.20 - 3.08 (m, 4H) ppm.
299	414	0.65	1H NMR (300 MHz, Acetone-d6) δ 8.82 (s, 1H), 8.25 (s, 1H), 7.87 (ddd, J = 11.8, 7.0, 2.6 Hz, 1H), 7.79 - 7.63 (m, 1H), 7.53 (dt, J = 10.2, 8.8 Hz, 1H), 7.31 (t, J = 2.0 Hz, 1H), 6.95 (s, 1H), 6.38 (s, 1H), 3.77 (d, J = 12.4 Hz, 2H), 3.29 (s, 3H), 3.25 (d, J = 6.1 Hz, 2H), 2.72 (td, J = 12.3, 2.4 Hz, 2H), 2.27 (s, 3H), 1.87 - 1.68 (m, 3H), 1.37 (ddd, J = 14.9, 12.0, 3.8 Hz, 2H) ppm.
300	378	0.77	1H NMR (400 MHz, CDCl3) δ 9.21 (d, J = 11.6 Hz, 1H), 8.92 (d, J = 5.0 Hz, 1H), 8.66 - 8.50 (m, 1H), 8.39 (s, 1H), 7.27 (dd, J = 21.0, 9.5 Hz, 3H), 6.93 (d, J = 21.7 Hz, 1H), 6.71 (d, J = 7.0

Cmpd No.	LC/MS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			Hz, 1H), 4.77 (dd, J = 37.1, 12.4 Hz, 1H), 3.90 (t, J = 12.6 Hz, 1H), 3.12 (dd, J = 26.0, 13.6 Hz, 1H), 2.80 - 2.62 (m, 1H), 2.58 (t, J = 11.9 Hz, 1H), 2.38 (d, J = 9.0 Hz, 3H), 2.14 (d, J = 10.4 Hz, 4H), 2.00 - 1.49 (m, 4H) ppm.
301	398.26	0.6	1H NMR (400 MHz, DMSO-d6) δ 9.39 (s, 1H), 9.07 (s, 1H), 7.97 (ddd, J = 11.8, 7.0, 2.5 Hz, 1H), 7.72 (d, J = 9.1 Hz, 1H), 7.69 - 7.60 (m, 1H), 7.59 (s, 1H), 7.23 (s, 1H), 7.23 (s, 1H), 6.64 (s, 1H), 4.59 (t, J = 6.6 Hz, 2H), 4.45 - 4.40 (m, 2H), 3.81 - 3.73 (m, 1H), 3.68-3.54 (m, 3H), 3.25-3.13 (m, 2H), 2.27 (s, 3H) ppm.
302	433.39	0.58	1H NMR (400 MHz, CDCl3) δ 9.01 (s, 1H), 8.90 (d, J = 0.9 Hz, 1H), 7.57 (s, 1H), 7.05 - 6.94 (m, 2H), 6.81 (s, 1H), 6.38 (s, 1H), 4.71 (dt, J = 16.5, 6.4 Hz, 4H), 3.59 (p, J = 6.4 Hz, 1H), 3.38 - 3.24 (m, 4H), 2.64 (s, 3H), 2.59 - 2.49 (m, 4H), 1.96 - 1.85 (m, 1H), 1.08 - 0.91 (m, 2H), 0.82 - 0.71 (m, 2H) ppm.
303	489.1	0.64	1H NMR (300 MHz, CDCl3) δ 8.31 (s, 1H), 7.77 - 7.66 (m, 2H), 7.43 - 7.32 (m, 2H), 7.11 (t, J = 2.0 Hz, 1H), 6.86 (s, 1H), 6.77 (s, 1H), 6.46 (s, 1H), 4.79 - 4.63 (m, 4H), 3.59 (p, J = 6.4 Hz, 1H), 3.37 - 3.24 (m, 4H), 2.72 - 2.57 (m, 2H), 2.56 - 2.46 (m, 4H), 1.28 (t, J = 7.6 Hz, 3H) ppm.
304	428.44	0.67	1H NMR (300 MHz, DMSO-d6) δ 9.56 (s, 1H), 9.20 (s, 1H), 7.63 (d, J = 6.7 Hz, 2H), 7.27 (td, J = 9.3, 2.2 Hz, 1H), 7.14 (s, 1H), 7.03 (s, 1H), 6.33 (s, 2H), 5.09 (d, J = 19.2 Hz, 1H), 4.67 (d, J = 49.7 Hz, 3H), 4.16 - 3.92 (m, 2H), 3.90 - 3.59 (m, 3H), 3.35 (ddd, J = 46.7, 32.3, 22.1 Hz, 2H), 2.30 (d, J = 14.1 Hz, 4H) ppm.
305	362.38	0.75	1H NMR (300 MHz, CDCl3) δ 8.33 (s, 1H), 7.73 - 7.65 (m, 2H), 7.51 (t, J = 7.9 Hz, 2H), 7.40 - 7.33 (m, 1H), 7.11 (t, J = 2.1 Hz, 1H), 6.73 (dd, J = 9.9, 8.3 Hz, 2H), 6.33 (d, J = 1.5 Hz, 1H), 3.97 - 3.81 (m, 4H), 3.27 - 3.17 (m, 4H), 1.90 (ddd, J = 16.9, 8.5, 5.1 Hz, 1H), 1.01 - 0.90 (m, 2H), 0.75 (dt, J = 6.7, 4.6 Hz, 2H) ppm.
306	364	0.7	1H NMR (400 MHz, DMSO-d6) δ 9.20 (s, 1H), 9.06 (s, 1H), 7.84 (dd, J = 5.4, 3.3 Hz, 2H), 7.61

Cmpd No.	LC/MS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			- 7.46 (m, 2H), 7.33 (dd, J = 19.9, 13.5 Hz, 2H), 6.81 (d, J = 5.3 Hz, 1H), 6.29 (d, J = 16.5 Hz, 1H), 4.07 (dd, J = 6.2, 2.8 Hz, 1H), 3.81 - 3.65 (m, 1H), 3.54 (d, J = 11.8 Hz, 1H), 3.16 (dd, J = 11.9, 2.8 Hz, 1H), 2.85 (dd, J = 11.7, 6.0 Hz, 1H), 2.25 (d, J = 23.1 Hz, 4H), 1.20 (dd, J = 22.3, 6.3 Hz, 6H) ppm.
307	355.17	0.66	1H NMR (400 MHz, DMSO-d6) δ 9.22 (s, 1H), 8.51 (d, J = 3.4 Hz, 1H), 8.40 (d, J = 4.8 Hz, 1H), 8.16 (d, J = 8.2 Hz, 1H), 8.05 (td, J = 7.9, 1.8 Hz, 1H), 7.43 (dd, J = 7.0, 5.2 Hz, 1H), 7.13 (s, 1H), 4.05 (dd, J = 8.2, 3.8 Hz, 4H), 3.52 - 3.44 (m, 4H), 2.29 (d, J = 1.8 Hz, 3H) ppm.
308	334	0.59	1H NMR (400 MHz, Acetone-d6) δ 8.78 (s, 1H), 8.24 (s, 1H), 7.87 (dd, J = 8.6, 1.1 Hz, 2H), 7.54 (dd, J = 8.4, 7.6 Hz, 2H), 7.47 (s, 1H), 7.43 (s, 1H), 7.35 (t, J = 7.4 Hz, 1H), 3.19 (d, J = 12.0 Hz, 2H), 2.77 (dd, J = 12.0, 9.9 Hz, 2H), 2.61 (t, J = 11.9 Hz, 1H), 2.31 (s, 3H), 1.82 (d, J = 12.5 Hz, 2H), 1.70 (ddd, J = 25.1, 12.5, 3.9 Hz, 2H) ppm.
309	391.45	0.63	1H NMR (300 MHz, DMSO-d6) δ 9.06 (d, J = 10.2 Hz, 2H), 7.97 - 7.76 (m, 2H), 7.54 (t, J = 8.0 Hz, 2H), 7.34 (t, J = 7.4 Hz, 1H), 6.90 (s, 1H), 6.55 (s, 1H), 5.92 (s, 1H), 5.59 (d, J = 6.4 Hz, 1H), 4.68 - 4.52 (m, 2H), 4.46 (dd, J = 12.2, 6.2 Hz, 2H), 3.88 (s, 1H), 3.59 (s, 1H), 2.83 (s, 1H), 2.59 (s, 1H), 2.38 (s, 2H), 2.32 - 2.17 (m, 1H), 2.14 (s, 3H), 1.67 (d, J = 6.3 Hz, 1H) ppm.
310	443.32	0.61	1H NMR (400 MHz, DMSO-d6) δ 9.41 (s, 1H), 9.16 (s, 1H), 7.59 (dd, J = 8.5, 2.1 Hz, 2H), 7.26 (dd, J = 10.4, 8.2 Hz, 1H), 6.83 (d, J = 2.0 Hz, 2H), 6.06 (s, 1H), 4.57 (t, J = 6.5 Hz, 2H), 4.48 (t, J = 6.1 Hz, 2H), 3.72 (s, 3H), 3.50 - 3.39 (m, 1H), 3.20 - 3.11 (m, 4H), 2.44 - 2.37 (m, 4H) ppm.
311	459.54	0.64	1H NMR (300 MHz, CDCl3) δ 8.31 (s, 1H), 7.25 (dd, J = 7.7, 2.0 Hz, 2H), 7.07 (s, 1H), 6.79 (dd, J = 9.0, 5.5 Hz, 3H), 6.41 (s, 1H), 3.72 (d, J = 11.1 Hz, 1H), 3.52 (d, J = 10.6 Hz, 1H), 3.26 (t, J = 4.8 Hz, 4H), 3.02 (dd, J = 11.0, 5.0 Hz, 2H), 2.83 - 2.68 (m, 2H), 2.64 (d, J = 3.0 Hz,

Cmpd No.	LC/MS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			2H), 2.35 (s, 3H), 1.14 (s, 3H) ppm.
312	421.28	0.57	1H NMR (400 MHz, CDCl3) δ 9.02 (s, 1H), 7.39 (s, 1H), 7.06 (s, 1H), 6.93 (s, 1H), 6.87 (s, 1H), 6.44 (s, 1H), 4.72 (dt, J = 15.5, 6.4 Hz, 4H), 3.59 (p, J = 6.4 Hz, 1H), 3.37 - 3.25 (m, 4H), 2.71 (s, 3H), 2.59 (s, 3H), 2.57 - 2.48 (m, 4H), 2.36 (s, 3H) ppm.
313	469.34	0.58	19F NMR (282 MHz, DMSO-d6) δ -107.59 (s) ppm.
314	437.3	0.56	1H NMR (400 MHz, CDCl3) δ 9.00 (s, 1H), 8.64 (d, J = 5.4 Hz, 1H), 7.36 (d, J = 5.4 Hz, 1H), 7.08 (t, J = 2.0 Hz, 1H), 6.88 (s, 1H), 6.81 (s, 1H), 6.49 (s, 1H), 4.72 (dq, J = 12.5, 6.4 Hz, 4H), 4.11 (s, 3H), 3.60 (p, J = 6.4 Hz, 1H), 3.38 - 3.23 (m, 4H), 2.65 (q, J = 7.6 Hz, 2H), 2.61 - 2.48 (m, 4H), 1.28 (t, J = 7.4, Hz, 3H) ppm.
315	401.35	0.89	1H NMR (300 MHz, CDCl3) δ 8.35 (s, 1H), 7.74 - 7.66 (m, 2H), 7.58 - 7.48 (m, 4H), 7.42 - 7.34 (m, 1H), 7.06 (t, J = 1.5 Hz, 1H), 6.79 (s, 1H), 6.20 (tt, J = 2.9, 1.5 Hz, 2H), 4.37 (q, J = 2.8 Hz, 4H), 3.98 (t, J = 5.5 Hz, 4H), 2.65 - 2.52 (m, 4H) ppm.
316	334	0.6	1H NMR (300 MHz, Acetone-d6) δ 8.79 (s, 1H), 7.89 (t, J = 1.6 Hz, 1H), 7.88 - 7.83 (m, 1H), 7.61 - 7.50 (m, 2H), 7.48 (s, 1H), 7.41 (s, 1H), 7.39 - 7.31 (m, 1H), 6.62 (s, 1H), 3.05 (dd, J = 20.8, 10.4 Hz, 2H), 2.78 - 2.51 (m, 6H), 2.31 (s, 3H), 1.96 (d, J = 12.5 Hz, 1H), 1.76 - 1.50 (m, 3H) ppm.
317	385	0.61	1H NMR (400 MHz, Acetone-d6) δ 8.82 (s, 1H), 8.27 (s, 1H), 7.87 (ddd, J = 11.7, 7.0, 2.6 Hz, 1H), 7.79 - 7.66 (m, 1H), 7.53 (dt, J = 10.2, 8.8 Hz, 1H), 7.29 (t, J = 1.9 Hz, 1H), 6.98 (s, 1H), 6.38 (s, 1H), 3.24 - 3.12 (m, 4H), 2.58 - 2.41 (m, 4H), 2.27 (d, J = 3.5 Hz, 6H) ppm.
318	536.22	0.62	1H NMR (300 MHz, CDCl3) δ 8.28 (s, 1H), 6.70 (s, 2H), 6.63 (t, J = 4.7 Hz, 2H), 6.41 (s, 1H), 6.20 (d, J = 11.7 Hz, 1H), 4.79 - 4.66 (m, 4H), 3.59 (dt, J = 12.6, 6.3 Hz, 1H), 3.53 - 3.35 (m, 3H), 3.35 - 3.23 (m, 4H), 2.60 - 2.51 (m, 4H), 2.49 - 2.38 (m, 1H), 2.33 (s, 3H), 2.17 - 1.92 (m, 2H), 1.33 (d, J = 8.0 Hz, 6H) ppm.

Cmpd No.	LC/MS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
319	408.2	0.63	1H NMR (300 MHz, CD3OD) δ 8.92 (s, 1H), 7.92 - 7.71 (m, 3H), 7.69 - 7.50 (m, 3H), 7.42 (t, J = 7.5 Hz, 1H), 3.85 (t, J = 4.8 Hz, 8H), 3.43 (t, J = 4.8 Hz, 8H) ppm.
320	339.47	0.71	1H NMR (400 MHz, DMSO-d6) δ 9.75 (s, 1H), 9.30 - 9.24 (m, 1H), 9.11 (s, 1H), 8.45 (d, J = 10.5 Hz, 1H), 7.76 (s, 1H), 7.10 (s, 1H), 6.64 (d, J = 72.9 Hz, 1H), 3.52 (s, 3H), 2.46 (s, 1H), 2.30 (s, 2H), 2.09 (d, J = 13.7 Hz, 4H) ppm.
321	376.08	2.96	1H NMR (400 MHz, DMSO-d6) δ 9.65 (s, 1H), 8.78 (d, J = 2.3 Hz, 1H), 7.90 (td, J = 8.9, 5.9 Hz, 1H), 7.64 (ddd, J = 11.6, 8.9, 2.8 Hz, 1H), 7.33 (t, J = 8.6 Hz, 1H), 7.01 (d, J = 1.9 Hz, 2H), 6.33 (t, J = 19.4 Hz, 1H), 3.91 - 3.71 (m, 4H), 3.15 - 3.07 (m, 4H) ppm.
322	473.13	0.64	1H NMR (300 MHz, CDCl3) δ 8.41 (s, 1H), 7.88 - 7.71 (m, 4H), 7.13 (d, J = 1.9 Hz, 1H), 6.87 (s, 1H), 6.79 (s, 1H), 6.47 (s, 1H), 4.81 - 4.62 (m, 4H), 3.69 - 3.51 (m, 1H), 3.39 - 3.25 (m, 4H), 2.65 (q, J = 7.6 Hz, 2H), 2.57 - 2.48 (m, 4H), 1.28 (t, J = 7.6 Hz, 3H) ppm.
323	423.3	0.62	1H NMR (300 MHz, CDCl3) δ 8.30 (s, 1H), 7.24 (s, 1H), 7.15 (s, 1H), 6.88 (d, J = 8.6 Hz, 1H), 6.79 (s, 1H), 6.60 (s, 1H), 6.42 (s, 1H), 4.80 - 4.62 (m, 4H), 3.67 - 3.47 (m, 1H), 3.39 - 3.22 (m, 4H), 2.61 - 2.49 (m, 4H), 2.45 (s, 3H), 2.35 (s, 3H) ppm.
324	455	0.62	1H NMR (400 MHz, CDCl3) δ 8.30 (s, 1H), 7.33 - 7.20 (m, 4H), 7.12 (s, 1H), 6.78 (tt, J = 8.7, 2.3 Hz, 1H), 6.73 (s, 1H), 6.65 (s, 1H), 6.42 (s, 1H), 3.81 (d, J = 12.5 Hz, 2H), 3.77 - 3.67 (m, 4H), 2.78 (dd, J = 12.2, 10.2 Hz, 2H), 2.67 - 2.55 (m, 4H), 2.37 (t, J = 7.4 Hz, 1H), 2.32 (s, 3H), 1.95 (d, J = 12.6 Hz, 2H), 1.75 - 1.61 (m, 2H) ppm.
325	416.27	0.79	1H NMR (300 MHz, DMSO-d6) δ 9.39 (s, 1H), 9.17 (s, 1H), 7.66 - 7.55 (m, 2H), 7.30 - 7.20 (m, 2H), 6.82 (s, 1H), 6.33 (s, 1H), 3.94 (d, J = 11.5 Hz, 1H), 3.77 - 3.38 (m, 7H), 3.29 (s, 3H), 2.68 (td, J = 11.7, 3.3 Hz, 1H), 2.23 (s, 3H) ppm.
326	377.37	0.63	1H NMR (300 MHz, CDCl3) δ 8.32 (s, 1H), 7.68 (ddd, J = 17.3, 9.2, 7.1 Hz, 2H), 7.59 - 7.46

Cmpd No.	LC/MS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			(m, 2H), 7.43 - 7.32 (m, 1H), 7.12 (d, J = 1.9 Hz, 1H), 6.82 (s, 1H), 6.60 (s, 1H), 6.42 (s, 1H), 3.35 - 3.22 (m, 4H), 2.82 - 2.61 (m, 5H), 2.35 (s, 3H), 1.13 (d, J = 6.5 Hz, 6H) ppm.
327	397.26	0.66	1H NMR (300 MHz, CDCl3) δ 8.29 (s, 1H), 7.58 (ddd, J = 10.8, 6.8, 2.5 Hz, 1H), 7.37 (dddd, J = 20.3, 12.3, 7.4, 2.2 Hz, 2H), 6.92 (s, 1H), 6.76 (d, J = 1.6 Hz, 1H), 6.61 (d, J = 1.6 Hz, 1H), 3.54 (s, 4H), 1.90 (ddd, J = 12.9, 8.1, 4.8 Hz, 1H), 1.65 (s, 6H), 1.09 - 0.99 (m, 2H), 0.86 (ddd, J = 10.1, 6.4, 3.7 Hz, 2H) ppm.
328	384	0.64	1H NMR (300 MHz, Acetone-d6) δ 8.94 (s, 1H), 7.61 (d, J = 2.2 Hz, 1H), 7.57 (d, J = 7.0 Hz, 2H), 7.37 (s, 1H), 7.02 (dd, J = 10.2, 8.0 Hz, 1H), 6.67 (s, 1H), 2.97 - 2.64 (m, 5H), 2.32 (s, 3H), 2.23 (s, 3H), 1.92 (ddd, J = 16.2, 13.9, 6.8 Hz, 4H), 1.72 (ddd, J = 16.6, 12.4, 8.4 Hz, 2H), 1.44 (ddd, J = 24.4, 12.3, 5.0 Hz, 1H) ppm.
329	428.49	0.64	1H NMR (300 MHz, DMSO-d6) δ 9.43 (s, 1H), 9.11 (s, 1H), 7.44 (dd, J = 8.8, 1.8 Hz, 1H), 7.27 (d, J = 8.5 Hz, 1H), 7.09 (s, 1H), 6.94 (s, 1H), 6.34 (s, 1H), 4.57 (t, J = 6.5 Hz, 2H), 4.48 (t, J = 6.1 Hz, 2H), 3.55 - 3.40 (m, 1H), 3.25 - 3.03 (m, 3H), 2.49 - 2.36 (m, 7H), 2.24 (s, 3H) ppm.
330	405.54	0.65	1H NMR (300 MHz, CDCl3) δ 8.33 (s, 1H), 7.74 - 7.66 (m, 2H), 7.55 - 7.47 (m, 2H), 7.39 - 7.32 (m, 1H), 7.16 (t, J = 2.1 Hz, 1H), 6.85 (s, 1H), 6.67 (s, 1H), 6.44 (s, 1H), 4.78 - 4.65 (m, 4H), 3.59 (p, J = 6.4 Hz, 1H), 3.38 - 3.26 (m, 4H), 2.64 (q, J = 7.6 Hz, 2H), 2.58 - 2.41 (m, 4H), 1.64 (s, 6H), 1.28 (t, J = 7.6 Hz, 3H) ppm.
331	428.29	0.62	1H NMR (300 MHz, DMSO-d6) δ 9.40 (s, 1H), 9.18 (s, 1H), 7.64 (dd, J = 8.6, 2.2 Hz, 2H), 7.32 - 7.20 (m, 1H), 6.97 (s, 1H), 6.17 (s, 1H), 4.57 (t, J = 6.5 Hz, 2H), 4.48 (t, J = 6.1 Hz, 2H), 3.52 (m, 4H), 3.47 - 3.37 (m, 1H), 2.33 (m, 4H), 2.23 (s, 3H) ppm.
332	401.18	0.64	1H NMR (300 MHz, DMSO-d6) δ 9.66 (d, J = 18.6 Hz, 1H), 9.20 (s, 1H), 7.69 - 7.55 (m, 2H), 7.26 (dd, J = 10.5, 8.2 Hz, 1H), 6.74 (d, J = 1.6 Hz, 1H), 6.61 (d, J = 1.6 Hz, 1H), 5.38 (dd, J = 49.3, 17.3 Hz, 1H), 3.74 - 3.45 (m, 3H), 3.37 (d,

Cmpd No.	LC/MS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			J = 7.8 Hz, 1H), 2.25 (s, 2H), 1.85 (s, 1H), 0.89 (d, J = 4.7 Hz, 2H), 0.79 (d, J = 8.1 Hz, 2H) ppm.
333	348	0.6	1H NMR (400 MHz, CDCl3) δ 8.30 (s, 1H), 7.68 (dd, J = 8.6, 1.0 Hz, 2H), 7.50 (dd, J = 10.7, 5.2 Hz, 2H), 7.34 (t, J = 7.4 Hz, 1H), 7.24 (s, 1H), 7.19 (s, 1H), 6.68 (s, 1H), 6.62 (s, 1H), 3.05 (d, J = 10.2 Hz, 2H), 2.48 (s, 1H), 2.38 (s, 3H), 2.35 (s, 3H), 2.16 (s, 2H), 1.90 (s, 3H) ppm.
334	405.49	0.58	1H NMR (400 MHz, DMSO-d6) δ 9.02 (s, 2H), 7.91 - 7.76 (m, 2H), 7.52 (t, J = 8.0 Hz, 2H), 7.35 (t, J = 7.4 Hz, 1H), 7.00 (s, 1H), 6.45 (s, 1H), 5.94 (s, 1H), 5.27 (d, J = 7.6 Hz, 1H), 4.54 (t, J = 6.5 Hz, 2H), 4.43 (t, J = 6.1 Hz, 2H), 3.47 - 3.35 (m, 1H), 3.19 (s, 1H), 2.70 (t, J = 11.4 Hz, 2H), 2.13 (s, 3H), 2.03 - 1.77 (m, 4H), 1.41 (dd, J = 20.6, 10.7 Hz, 2H) ppm.
335	356.3	0.89	1H NMR (300 MHz, CDCl3) δ 8.34 (s, 1H), 7.74 - 7.64 (m, 2H), 7.59 - 7.47 (m, 2H), 7.39 (dt, J = 9.2, 4.3 Hz, 1H), 7.10 (d, J = 1.8 Hz, 2H), 6.72 (s, 1H), 6.53 (t, J = 1.9 Hz, 1H), 3.94 - 3.82 (m, 4H), 3.28 - 3.17 (m, 4H) ppm.
336	324	0.51	1H NMR (400 MHz, DMSO-d6) δ 9.44 (s, 1H), 9.08 (s, 1H), 7.83 (d, J = 7.6 Hz, 2H), 7.55 (t, J = 8.0 Hz, 2H), 7.35 (t, J = 7.4 Hz, 1H), 6.77 (d, J = 11.7 Hz, 1H), 6.70 (s, 1H), 5.86 (d, J = 12.3 Hz, 1H), 3.22 (t, J = 6.4 Hz, 4H), 1.96 (t, J = 6.5 Hz, 4H) ppm.
337	389	0.61	1H NMR (400 MHz, DMSO-d6) δ 10.72 (s, 1H), 9.19 (s, 1H), 9.06 (s, 1H), 7.84 (d, J = 7.6 Hz, 2H), 7.55 (t, J = 8.0 Hz, 2H), 7.35 (t, J = 7.4 Hz, 1H), 7.13 (s, 1H), 6.74 (s, 1H), 6.16 (s, 1H), 3.89 - 3.67 (m, 2H), 3.62 - 3.37 (m, 4H), 3.36 - 3.18 (m, 1H), 2.96 (d, J = 4.0 Hz, 1H), 2.23 (s, 3H), 1.29 - 1.05 (m, 2H), 0.83 (t, J = 11.5 Hz, 2H) ppm.
338	437.12	0.62	1H NMR (400 MHz, CDCl3) δ 8.46 (d, J = 2.4 Hz, 1H), 7.78 (dd, J = 7.4, 1.7 Hz, 1H), 7.21 (t, J = 2.0 Hz, 1H), 7.15 (dd, J = 11.6, 8.4 Hz, 1H), 7.11 - 7.06 (m, 1H), 6.83 (s, 1H), 6.77 (s, 1H), 6.45 (s, 1H), 4.71 (dt, J = 14.4, 6.4 Hz, 4H), 3.59 (p, J = 6.4 Hz, 1H), 3.37 - 3.26 (m, 4H), 2.64 (q,

Cmpd No.	LC/MS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			J = 7.6 Hz, 2H), 2.57 - 2.48 (m, 4H), 2.41 (s, 3H), 1.29 (t, J = 10.7, 4.5 Hz, 3H) ppm.
339	436.31	0.57	1H NMR (400 MHz, CDCl3) δ 8.84 (s, 1H), 8.48 (d, J = 2.4 Hz, 1H), 8.39 (s, 1H), 7.78 (d, J = 8.9 Hz, 1H), 7.03 (d, J = 13.9 Hz, 1H), 6.73 (s, 1H), 6.65 (s, 1H), 6.37 (s, 1H), 4.71 (p, J = 6.3 Hz, 4H), 3.65 - 3.51 (m, 1H), 3.39 - 3.22 (m, 4H), 2.61 - 2.47 (m, 4H), 1.90 (dd, J = 8.9, 4.2 Hz, 1H), 0.97 (dd, J = 12.7, 6.4 Hz, 2H), 0.80 - 0.65 (m, 2H) ppm.
340	374	0.64	1H NMR (300 MHz, CDCl3) δ 8.31 (s, 1H), 7.79 - 7.60 (m, 2H), 7.50 (t, J = 7.9 Hz, 2H), 7.34 (t, J = 7.4 Hz, 2H), 7.16 (s, 1H), 6.67 (s, 2H), 3.16 (dd, J = 29.4, 10.9 Hz, 2H), 2.75 (ddd, J = 11.7, 8.2, 3.8 Hz, 1H), 2.35 (s, 3H), 2.31 - 2.07 (m, 2H), 1.95 (d, J = 12.3 Hz, 1H), 1.87 - 1.38 (m, 5H) ppm.
341	407	0.61	1H NMR (400 MHz, CDCl3) δ 9.17 (d, J = 1.3 Hz, 1H), 8.90 (s, 1H), 8.54 (d, J = 2.5 Hz, 1H), 8.38 (dd, J = 2.5, 1.5 Hz, 1H), 6.86 (s, 1H), 6.72 (t, J = 2.0 Hz, 1H), 6.68 (s, 1H), 5.99 (s, 1H), 4.02 (t, J = 7.0 Hz, 2H), 3.85 - 3.67 (m, 6H), 3.36 (dd, J = 11.6, 6.0 Hz, 1H), 2.60 (q, J = 7.6 Hz, 2H), 2.47 (s, 4H), 1.26 (t, J = 7.6 Hz, 4H) ppm.
342	406.53	0.53	1H NMR (300 MHz, CDCl3) δ 8.94 (d, J = 2.2 Hz, 1H), 8.66 - 8.48 (m, 1H), 8.28 (s, 1H), 8.05 - 7.89 (m, 1H), 7.48 - 7.29 (m, 1H), 6.83 (dt, J = 3.8, 2.1 Hz, 1H), 6.55 (s, 1H), 6.45 (s, 1H), 6.04 (d, J = 19.5 Hz, 1H), 4.58 (p, J = 6.4 Hz, 4H), 3.50 - 3.41 (m, 1H), 3.36 - 3.23 (m, 1H), 2.66 (d, J = 11.7 Hz, 2H), 2.20 (s, 3H), 2.09 (dd, J = 14.0, 11.3 Hz, 2H), 2.01 - 1.88 (m, 2H), 1.49 - 1.38 (m, 2H) ppm.
343	390	3.07	1H NMR (400 MHz, DMSO-d6) δ 9.49 (s, 1H), 8.76 (d, J = 2.2 Hz, 1H), 7.87 (dt, J = 8.9, 4.5 Hz, 1H), 7.64 (td, J = 8.9, 4.5 Hz, 1H), 7.35 (d, J = 7.9 Hz, 1H), 6.90 (s, 1H), 6.73 (d, J = 11.3 Hz, 1H), 6.11 (d, J = 13.0 Hz, 1H), 3.72 (t, J = 4.7 Hz, 2H), 3.56 (dd, J = 11.0, 5.4 Hz, 6H), 2.00 - 1.83 (m, 2H) ppm.
344	490.53	0.64	1H NMR (300 MHz, CDCl3) δ 8.42 (s, 1H),

Cmpd No.	LC/MS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			7.60 (t, J = 2.0 Hz, 1H), 7.45 (t, J = 1.6 Hz, 1H), 7.39 (s, 1H), 7.28 - 7.21 (m, 2H), 7.10 - 7.03 (m, 1H), 6.83 (tt, J = 8.6, 2.2 Hz, 1H), 4.72 (dt, J = 12.4, 6.4 Hz, 4H), 3.68 - 3.54 (m, 1H), 3.48 - 3.33 (m, 4H), 3.10 (s, 3H), 2.62 - 2.47 (m, 4H) ppm.
345	417.09	0.76	1H NMR (400 MHz, DMSO-d6) δ 10.07 (s, 1H), 9.15 - 9.01 (m, 1H), 7.42 (d, J = 2.5 Hz, 1H), 7.34 (dd, J = 8.6, 2.5 Hz, 1H), 7.12 (t, J = 6.0 Hz, 2H), 6.85 (s, 1H), 3.85 (s, 3H), 3.81 (s, 3H), 3.72 - 3.67 (m, 4H), 3.43 - 3.36 (m, 4H) ppm.
346	436.18	0.95	1H NMR (300 MHz, CD3OD) δ 8.61 (s, 1H), 7.42 - 7.31 (m, 2H), 7.25 (dd, J = 17.5, 1.8 Hz, 2H), 6.89 - 6.75 (m, 1H), 6.68 (s, 1H), 3.97 - 3.80 (m, 4H), 3.29 - 3.12 (m, 4H) ppm.
347	403	223	1H NMR (400 MHz, DMSO-d6) δ 11.19 (s, 1H), 9.58 (s, 1H), 8.77 (d, J = 2.3 Hz, 1H), 7.90 (td, J = 8.9, 5.9 Hz, 1H), 7.64 (ddd, J = 11.7, 9.0, 2.8 Hz, 1H), 7.34 (t, J = 8.5 Hz, 1H), 6.81 (d, J = 11.8 Hz, 1H), 6.74 (s, 1H), 5.98 (d, J = 11.9 Hz, 1H), 3.98 (d, J = 7.3 Hz, 1H), 3.61 (t, J = 9.0 Hz, 1H), 3.57 - 3.49 (m, 1H), 3.45 (d, J = 5.9 Hz, 1H), 3.25 (dd, J = 16.9, 8.2 Hz, 1H), 2.80 (t, J = 4.3 Hz, 6H), 2.41 (s, 1H), 2.35 - 2.25 (m, 1H) ppm.
348	411.39	0.66	1H NMR (300 MHz, CDCl3) δ 8.36 (s, 1H), 7.75 - 7.66 (m, 2H), 7.58 - 7.47 (m, 2H), 7.38 (ddd, J = 8.7, 4.6, 1.2 Hz, 2H), 7.12 (d, J = 22.4 Hz, 2H), 6.81 - 6.40 (m, 2H), 3.35 - 3.20 (m, 4H), 2.89 - 2.75 (m, 4H), 1.77 - 1.67 (m, 1H), 0.62 - 0.41 (m, 4H) ppm.
349	427.21	0.67	1H NMR (300 MHz, DMSO-d6) δ 9.40 (s, 1H), 9.18 (s, 1H), 7.62 (dd, J = 8.6, 2.1 Hz, 2H), 7.34 (s, 1H), 7.31 - 7.17 (m, 1H), 6.82 (s, 1H), 6.38 (s, 1H), 4.77 (d, J = 6.7 Hz, 2H), 4.21 (d, J = 6.8 Hz, 2H), 3.05 (m, 2H), 2.63 - 2.52 (m, 4H), 2.49 (s, 3H), 2.24 (s, 3H) ppm.
350	497.2	0.44	1H NMR (400 MHz, CD3OD) δ 9.01 (s, 1H), 7.96 - 7.73 (m, 1H), 7.63 (d, J = 6.3 Hz, 2H), 7.35 (s, 1H), 7.00 (t, J = 9.2 Hz, 2H), 4.30 - 4.13 (m, 2H), 4.00 (s, 4H), 3.74 (dd, J = 13.9, 8.8 Hz, 4H), 2.43 (s, 3H), 1.31 (t, J = 7.1 Hz, 4H) ppm.

Cmpd No.	LC/MS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
351	343	0.63	1H NMR (400 MHz, DMSO-d6) δ 9.58 (s, 1H), 9.52 (s, 1H), 9.35 (s, 1H), 9.17 (s, 1H), 7.76 (dd, J = 14.8, 5.0 Hz, 2H), 7.63 (dd, J = 14.5, 8.1 Hz, 1H), 7.27 - 7.13 (m, 1H), 6.79 (d, J = 11.8 Hz, 1H), 6.69 (s, 1H), 5.87 (d, J = 11.4 Hz, 1H), 4.31 (dd, J = 14.1, 6.7 Hz, 1H), 4.23 (d, J = 7.0 Hz, 2H), 3.84 (dd, J = 16.4, 7.2 Hz, 2H) ppm.
352	467.28	0.82	1H NMR (300 MHz, CDCl3) δ 8.34 (s, 1H), 7.25 (dd, J = 7.8, 2.2 Hz, 2H), 7.19 - 6.97 (m, 1H), 6.91 - 6.72 (m, 3H), 6.42 (s, 1H), 4.01 - 3.85 (m, 2H), 3.85 - 3.70 (m, 2H), 3.43 - 3.19 (m, 4H), 2.36 (s, 3H) ppm.
353	463.32	0.55	1H NMR (400 MHz, CDCl3) δ 9.02 (s, 1H), 8.92 (d, J = 1.1 Hz, 1H), 7.90 (d, J = 0.9 Hz, 1H), 7.18 (t, J = 2.1 Hz, 1H), 6.87 (s, 1H), 6.71 (s, 1H), 6.41 (s, 1H), 4.72 (dq, J = 12.6, 6.4 Hz, 4H), 4.63 (s, 2H), 4.14 (q, J = 7.1 Hz, 1H), 3.58 (s, 3H), 3.39 - 3.25 (m, 4H), 2.61 - 2.48 (m, 4H), 1.90 (tt, J = 8.4, 5.1 Hz, 1H), 1.05 - 0.89 (m, 2H), 0.87 - 0.70 (m, 2H) ppm.
354	423.28	0.58	1H NMR (400 MHz, DMSO-d6) δ 9.67 (s, 1H), 9.04 (s, 1H), 7.43 (d, J = 2.4 Hz, 1H), 7.35 (dd, J = 8.6, 2.4 Hz, 1H), 7.10 (d, J = 8.7 Hz, 1H), 7.00 (s, 1H), 6.76 (s, 1H), 3.85 (s, 3H), 3.80 (s, 3H), 3.73 - 3.62 (m, 4H), 3.37 - 3.33 (m, 4H), 1.83 (ddd, J = 13.2, 8.3, 5.1 Hz, 1H), 0.85 (dd, J = 7.2, 4.8 Hz, 2H), 0.82 - 0.73 (m, 2H) ppm.
355	358.22	0.69	1H NMR (300 MHz, DMSO-d6) δ 9.33 (s, 1H), 9.16 (s, 1H), 7.67 - 7.52 (m, 2H), 7.30 - 7.17 (m, 1H), 6.76 (s, 1H), 6.67 (s, 1H), 5.81 (s, 1H), 5.60 (d, J = 6.7 Hz, 1H), 4.56 (dd, J = 11.6, 5.3 Hz, 1H), 4.05 (t, J = 7.0 Hz, 2H), 3.48 (dd, J = 7.5, 5.2 Hz, 2H), 2.19 (s, 3H) ppm.
356	388.17	2.65	
357	392.18	0.85	1H NMR (300 MHz, DMSO-d6) δ 9.91 (s, 1H), 9.26 (s, 1H), 9.03 (d, J = 1.3 Hz, 1H), 8.69 (d, J = 2.5 Hz, 1H), 8.60 (dd, J = 2.5, 1.4 Hz, 1H), 7.52 (s, 1H), 7.48 (s, 1H), 6.77 (s, 1H), 3.82 - 3.72 (m, 4H), 3.23 - 3.15 (m, 4H) ppm.
358	376.38	0.59	1H NMR (300 MHz, CDCl3) δ 8.24 (s, 1H), 7.69 - 7.56 (m, 2H), 7.42 (dd, J = 10.7, 5.1 Hz, 2H), 7.34 - 7.23 (m, 1H), 7.11 (d, J = 8.4 Hz,

Cmpd No.	LC/MS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			1H), 6.64 (d, J = 19.1 Hz, 1H), 6.57 (s, 1H), 6.31 (d, J = 18.5 Hz, 1H), 4.02 - 3.68 (m, 4H), 3.18 - 2.98 (m, 1H), 2.85 - 2.68 (m, 1H), 2.67 - 2.52 (m, 1H), 2.25 (s, 3H), 2.18 - 1.88 (m, 2H), 1.84 - 1.53 (m, 3H) ppm.
359	455.29	0.67	1H NMR (300 MHz, DMSO-d6) δ 9.33 (s, 1H), 9.16 (s, 1H), 7.72 - 7.49 (m, 2H), 7.25 (dd, J = 10.4, 8.2 Hz, 1H), 7.10 (s, 1H), 7.03 (s, 1H), 6.38 (s, 1H), 4.58 (t, J = 6.5 Hz, 2H), 4.48 (t, J = 6.0 Hz, 2H), 3.56 - 3.39 (m, 1H), 3.16 (s, 4H), 2.79 (dt, J = 14.2, 7.0 Hz, 1H), 2.42 (d, J = 4.6 Hz, 4H), 1.21 (t, J = 6.7 Hz, 6H) ppm.
360	399.25	0.79	1H NMR (300 MHz, DMSO-d6) δ 9.34 (s, 1H), 9.15 (s, 1H), 7.62 (dd, J = 8.7, 2.2 Hz, 2H), 7.28 - 7.17 (m, 1H), 7.13 (s, 1H), 6.88 (s, 1H), 6.34 (s, 1H), 3.74 (s, 2H), 3.52 - 3.39 (m, 4H), 2.90 (s, 3H), 2.24 (s, 3H) ppm.
361	473.37	0.66	1H NMR (300 MHz, CDCl3) δ 8.43 (s, 1H), 7.61 (t, J = 2.0 Hz, 1H), 7.57 - 7.34 (m, 5H), 7.14 - 7.00 (m, 2H), 4.72 (dq, J = 12.4, 6.4 Hz, 4H), 3.68 - 3.52 (m, 1H), 3.50 - 3.26 (m, 4H), 3.10 (s, 3H), 2.64 - 2.43 (m, 4H) ppm.
362	385	0.62	1H NMR (300 MHz, Acetone-d6) δ 8.93 (s, 1H), 8.36 (s, 1H), 7.58 (dd, J = 8.7, 2.3 Hz, 2H), 7.40 (s, 1H), 7.02 (ddd, J = 9.1, 5.7, 2.3 Hz, 1H), 6.90 (s, 1H), 6.39 (s, 1H), 3.59 (t, J = 10.4 Hz, 2H), 3.12 - 2.52 (m, 4H - water peak), 2.37 - 2.22 (m, 4H), 1.11 (d, J = 6.3 Hz, 3H) ppm.
363	307.18	0.77	1H NMR (300 MHz, DMSO-d6) δ 9.41 (s, 1H), 9.08 (s, 1H), 7.85 (dd, J = 8.6, 1.1 Hz, 2H), 7.56 (dd, J = 10.7, 5.3 Hz, 3H), 7.40 - 7.29 (m, 2H), 6.71 (s, 1H), 4.95 (dd, J = 8.4, 5.8 Hz, 2H), 4.62 (dd, J = 6.7, 5.8 Hz, 2H), 4.23 - 4.11 (m, 1H), 2.28 (d, J = 6.4 Hz, 3H) ppm.
364	437.3	0.53	1H NMR (400 MHz, CDCl3) δ 9.03 (s, 1H), 8.93 (d, J = 1.1 Hz, 1H), 7.89 (d, J = 0.9 Hz, 1H), 7.33 (s, 1H), 6.79 (s, 1H), 6.71 (s, 1H), 6.45 (s, 1H), 4.72 (p, J = 6.4 Hz, 4H), 4.64 (d, J = 8.4 Hz, 2H), 3.57 (s, 3H), 3.36 (dd, J = 13.1, 8.2 Hz, 4H), 2.61 - 2.49 (m, 4H) ppm.
365	386.19	0.52	1H NMR (400 MHz, DMSO-d6) δ 9.78 (s, 1H), 9.21 (s, 1H), 7.70 - 7.53 (m, 2H), 7.28 (tt, J =

Cmpd No.	LC/MS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			9.3, 2.3 Hz, 1H), 6.94 (s, 1H), 6.72 (s, 1H), 3.50 - 3.37 (m, 4H), 2.44 - 2.33 (m, 4H), 2.25 (s, 3H), 2.22 (s, 3H).
366	390.24	4.33	1H NMR (300 MHz, DMSO-d6) δ 9.13 (s, 1H), 7.88 - 7.79 (m, 2H), 7.56 (m, 4H), 7.38 (t, J = 7.4 Hz, 1H), 6.81 (s, 1H), 3.80 (m, 4H), 3.28 - 3.18 (m, 4H) ppm.
367	370.17	0.76	1H NMR (300 MHz, CD3OD) δ 8.83 (s, 1H), 7.90 - 7.72 (m, 2H), 7.66 - 7.48 (m, 2H), 7.46 - 7.33 (m, 1H), 7.13 - 6.89 (m, 2H), 4.41 (d, J = 11.5 Hz, 2H), 3.73 - 3.48 (m, 2H), 3.21 (q, J = 10.7, 9.0 Hz, 4H), 2.96 (s, 3H) ppm.
368	451.28	0.81	1H NMR (300 MHz, CDCl3) δ 9.19 (d, J = 1.3 Hz, 1H), 8.93 (s, 1H), 8.57 (d, J = 2.5 Hz, 1H), 8.41 (dd, J = 2.5, 1.5 Hz, 1H), 7.11 (s, 1H), 6.92 (s, 1H), 6.80 (s, 1H), 6.48 (s, 1H), 3.70 - 3.52 (m, 4H), 3.32 - 3.15 (m, 4H), 2.66 (q, J = 7.6 Hz, 2H), 1.52 (s, 9H), 1.29 (t, J = 7.6 Hz, 3H) ppm.
369	375.43	0.64	1H NMR (300 MHz, DMSO-d6) δ 10.60 (s, 1H), 9.22 (d, J = 16.0 Hz, 1H), 9.15 - 9.00 (m, 1H), 7.96 - 7.81 (m, 2H), 7.65 - 7.47 (m, 2H), 7.36 (q, J = 7.4 Hz, 1H), 7.04 (s, 1H), 6.82 (d, J = 8.9 Hz, 1H), 6.20 - 6.11 (m, 1H), 4.12 (d, J = 6.1 Hz, 1H), 3.89 (d, J = 11.9 Hz, 1H), 3.67 - 3.49 (m, 1H), 3.43 - 3.08 (m, 5H), 2.85 (dd, J = 18.9, 4.9 Hz, 3H), 2.43 (d, J = 7.1 Hz, 1H), 2.23 (s, 3H), 2.23 (s, 3H), 1.78 (dd, J = 14.9, 7.9 Hz, 1H) ppm.
370	413.25	0.28	1H NMR (400 MHz, CD3OD) δ 8.95 (s, 1H), 8.00 (s, 1H), 7.61 (d, J = 7.9 Hz, 2H), 7.36 (s, 1H), 7.10 - 6.91 (m, 2H), 4.04 (s, 4H), 3.76 (d, J = 24.8 Hz, 4H), 2.22 (s, 3H) ppm.
371	359.41	0.9	1H NMR (400 MHz, DMSO-d6) δ 9.77 (s, 1H), 9.26 (s, 1H), 9.04 (s, 1H), 8.61 (s, 1H), 8.32 (s, 1H), 7.15 (s, 1H), 7.06 (d, J = 11.4 Hz, 1H), 6.45 (d, J = 11.8 Hz, 1H), 3.80 (s, 4H), 3.18 (s, 4H) ppm.
372	334.12	0.68	1H NMR (300 MHz, CDCl3) δ 8.27 (s, 1H), 7.68 - 7.48 (m, 2H), 7.36 - 7.28 (m, 2H), 6.81 (s, 1H), 6.62 (s, 2H), 6.07 (s, 1H), 3.51 - 3.27 (m, 4H), 2.42 (s, 3H), 2.40 - 2.27 (m, 3H), 2.12 - 1.93 (m, 4H) ppm.

Cmpd No.	LC/MS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
373	496.22	0.61	1H NMR (400 MHz, CDCl3) δ 8.27 (s, 1H), 7.17 (s, 1H), 6.83 (s, 1H), 6.78 (s, 2H), 6.67 (dt, J = 9.2, 1.9 Hz, 1H), 6.44 - 6.31 (m, 2H), 4.71 (dt, J = 14.8, 6.4 Hz, 4H), 3.64 - 3.51 (m, 5H), 3.38 (s, 3H), 3.34 - 3.26 (m, 4H), 3.06 (s, 3H), 2.57 - 2.46 (m, 4H), 2.33 (s, 3H) ppm.
374	372.08	2.5	1H NMR (400 MHz, DMSO-d6) δ 9.51 (s, 1H), 9.18 (s, 1H), 7.67 (d, J = 6.9 Hz, 2H), 7.42 (s, 1H), 7.34 - 7.15 (m, 1H), 7.04 (s, 1H), 6.58 (s, 1H), 3.77 (d, J = 61.3 Hz, 4H), 3.20 (d, J = 28.0 Hz, 4H), 2.30 (d, J = 23.2 Hz, 3H) ppm.
375	423.45	0.66	1H NMR (300 MHz, CDCl3) δ 8.33 (s, 1H), 7.54 - 7.40 (m, 3H), 7.12 (s, 1H), 7.10 - 6.99 (m, 1H), 6.81 (s, 1H), 6.65 (s, 1H), 6.42 (s, 1H), 4.67 (d, J = 5.5 Hz, 2H), 4.30 (d, J = 5.7 Hz, 2H), 3.42 - 3.14 (m, 4H), 2.68 - 2.46 (m, 4H), 2.35 (s, 3H), 1.44 (s, 3H) ppm.
376	433.44	0.7	1H NMR (300 MHz, DMSO-d6) δ 9.20 (s, 1H), 9.07 (s, 1H), 7.96 - 7.74 (m, 2H), 7.55 (t, J = 8.0 Hz, 2H), 7.34 (t, J = 7.4 Hz, 1H), 7.24 (s, 1H), 7.13 (s, 1H), 6.48 (s, 1H), 4.57 (q, J = 6.2 Hz, 2H), 4.47 (dt, J = 9.0, 6.1 Hz, 2H), 3.56 - 3.39 (m, 1H), 3.25 - 3.07 (m, 4H), 2.47 - 2.41 (m, 3H), 1.28 (s, 8H) ppm.
377	449.32	0.61	1H NMR (400 MHz, CDCl3) δ 8.95 (s, 1H), 8.62 (d, J = 0.6 Hz, 1H), 7.05 (d, J = 0.6 Hz, 1H), 7.03 (t, J = 2.0 Hz, 1H), 6.85 (d, J = 17.5 Hz, 1H), 6.77 (s, 1H), 6.37 (s, 1H), 4.79 - 4.65 (m, 4H), 4.07 (s, 3H), 3.59 (p, J = 6.4 Hz, 1H), 3.36 - 3.24 (m, 4H), 2.59 - 2.47 (m, 4H), 1.97 - 1.85 (m, 1H), 1.05 - 0.91 (m, 2H), 0.81 - 0.69 (m, 2H) ppm.
378	397.31	0.67	1H NMR (400 MHz, DMSO-d6) δ 9.67 (s, 1H), 9.20 (s, 1H), 7.70 - 7.54 (m, 2H), 7.33 - 7.14 (m, 1H), 6.89 (d, J = 1.4 Hz, 1H), 6.76 (d, J = 1.4 Hz, 1H), 3.49 - 3.36 (m, 4H), 1.85 (ddd, J = 12.9, 8.1, 4.9 Hz, 1H), 1.55 (dd, J = 15.1, 4.4 Hz, 6H), 0.91 - 0.73 (m, 4H) ppm.
379	408.25	0.89	1H NMR (300 MHz, DMSO-d6) δ 9.33 (s, 1H), 9.14 (s, 1H), 7.91 (d, J = 2.3 Hz, 1H), 7.64 - 7.54 (m, 2H), 7.53 (d, J = 1.5 Hz, 1H), 7.22 (tt, J = 10.4, 8.2 Hz, 1H), 6.78 (d, J = 10.2 Hz, 2H),

Cmpd No.	LC/MS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			6.31 - 6.27 (m, 1H), 5.90 (s, 1H), 5.39 (m, 1H), 4.30 (t, J = 7.4 Hz, 2H), 4.11 - 4.02 (m, 2H), 2.22 (s, 3H) ppm.
380	340	3.45	1H NMR (400 MHz, Acetone-d6) δ 8.80 (s, 1H), 8.40 (s, 1H), 7.86 (dd, J = 8.6, 1.0 Hz, 2H), 7.55 (t, J = 8.0 Hz, 2H), 7.37 (d, J = 7.4 Hz, 1H), 7.10 (t, J = 1.8 Hz, 1H), 7.02 (t, J = 2.0 Hz, 1H), 6.13 (t, J = 2.0 Hz, 1H), 3.31 (t, J = 6.6 Hz, 4H).2.02, (t, J=6.6.Hz, 4H - under the acetone peak) ppm.
381	351.35	0.56	1H NMR (300 MHz, DMSO-d6) δ 10.85 (s, 1H), 9.51 (s, 1H), 9.31 (s, 2H), 9.24 (s, 1H), 9.17 (s, 1H), 7.20 (s, 1H), 6.93 (d, J = 17.4 Hz, 1H), 6.40 (s, 1H), 3.75 (d, J = 10.7 Hz, 2H), 3.50 (d, J = 9.7 Hz, 2H), 3.19 - 3.10 (m, 4H), 2.79 (t, J = 13.4 Hz, 3H), 2.27 (d, J = 11.9 Hz, 3H) ppm.
382	394.29	0.6	1H NMR (300 MHz, DMSO-d6) δ 9.35 (s, 1H), 9.12 (s, 1H), 7.73 (s, 1H), 7.70 (d, J = 1.6 Hz, 1H), 7.65 - 7.54 (m, 1H), 7.52 (s, 1H), 7.18 (dd, J = 13.0, 4.5 Hz, 2H), 6.61 (s, 1H), 4.59 (t, J = 6.5 Hz, 2H), 4.51 (td, J = 6.0, 1.4 Hz, 2H), 3.66 (dd, J = 12.3, 6.2 Hz, 1H), 3.29 - 3.19 (m, 1H), 2.96 (t, J = 8.4 Hz, 1H), 2.72 (dd, J = 14.9, 8.2 Hz, 1H), 2.61 (dd, J = 14.3, 8.6 Hz, 1H), 2.47 - 2.38 (m, 1H), 2.32 - 2.17 (m, 4H), 1.79 (dt, J = 14.5, 8.0 Hz, 1H) ppm.
383	426.51	0.64	1H NMR (300 MHz, DMSO-d6) δ 9.30 (s, 1H), 8.81 (d, J = 2.4 Hz, 1H), 7.69 (ddd, J = 9.2, 6.0, 3.2 Hz, 1H), 7.64 - 7.48 (m, 1H), 7.41 - 7.23 (m, 1H), 7.18 (s, 1H), 6.79 (s, 1H), 6.30 (s, 1H), 4.62 (dd, J = 7.8, 5.9 Hz, 2H), 4.37 (t, J = 6.1 Hz, 2H), 3.67 (d, J = 12.3 Hz, 2H), 2.81 - 2.58 (m, 3H), 2.21 (s, 3H), 1.77 (d, J = 10.1 Hz, 1H), 1.65 (d, J = 13.1 Hz, 2H), 1.14 (dt, J = 11.9, 8.6 Hz, 2H) ppm.
384	442.44	0.65	1H NMR (300 MHz, DMSO-d6) δ 9.40 (s, 1H), 9.07 (s, 1H), 7.92 (ddd, J = 15.9, 9.5, 7.4 Hz, 1H), 7.77 - 7.63 (m, 2H), 7.25 (s, 1H), 6.88 (s, 1H), 6.28 (s, 1H), 4.54 (t, J = 6.5 Hz, 2H), 4.43 (t, J = 6.1 Hz, 2H), 4.37 - 4.18 (m, 1H), 3.53 - 3.36 (m, 1H), 2.60 (dd, J = 17.1, 11.7 Hz, 2H), 2.21 (d, J = 9.7 Hz, 3H), 2.15 - 1.90 (m, 4H), 1.78 - 1.54 (m, 2H) ppm.

Cmpd No.	LC/MS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
385	379	0.49	1H NMR (300 MHz, DMSO-d6) δ 9.36 (s, 1H), 9.30 (s, 1H), 8.78 - 8.64 (m, 2H), 7.81 (dd, J = 4.7, 1.6 Hz, 2H), 7.16 (s, 1H), 6.86 (s, 1H), 6.32 (s, 1H), 3.68 (d, J = 12.4 Hz, 2H), 3.34 (s, 1H), 3.25 (s, 3H), 3.19 (dd, J = 11.9, 5.6 Hz, 3H), 2.77 - 2.59 (m, 2H), 2.23 (s, 3H), 1.74 (d, J = 10.1 Hz, 3H), 1.41 - 1.20 (m, 2H) ppm.
386	427.41	0.66	1H NMR (300 MHz, DMSO-d6) δ 9.24 (s, 1H), 9.05 (s, 1H), 8.18 - 7.81 (m, 1H), 7.81 - 7.53 (m, 2H), 7.13 (s, 1H), 6.88 (s, 1H), 6.31 (s, 1H), 4.57 (t, J = 6.5 Hz, 2H), 4.53 - 4.39 (m, 2H), 3.45 (p, J = 6.2 Hz, 1H), 3.24 - 3.10 (m, 4H), 2.46 - 2.37 (m, 4H), 2.23 (s, 3H) ppm.
387	368.21	0.55	1H NMR (400 MHz, CDCl3) δ 8.74 (s, 1H), 8.38 (d, J = 2.5 Hz, 1H), 8.30 (s, 1H), 7.71 (dt, J = 9.1, 2.3 Hz, 1H), 7.00 (s, 1H), 6.71 (s, 1H), 6.57 (s, 1H), 6.35 (s, 1H), 3.30 - 3.16 (m, 3H), 2.64 - 2.47 (m, 3H), 2.31 (s, 2H), 2.26 (s, 3H) ppm.
388	465.38	0.86	1H NMR (300 MHz, CDCl3) δ 8.29 (s, 1H), 7.13 - 7.05 (m, 2H), 7.00 (dt, J = 9.3, 2.1 Hz, 1H), 6.74 (s, 1H), 6.60 (dt, J = 11.3, 2.3 Hz, 2H), 6.37 (s, 1H), 4.83 - 4.62 (m, 4H), 3.89 (s, 3H), 3.68 - 3.48 (m, 1H), 3.40 - 3.21 (m, 4H), 2.61 - 2.43 (m, 4H), 1.89 (ddd, J = 13.4, 8.4, 5.1 Hz, 1H), 1.02 - 0.88 (m, 2H), 0.82 - 0.64 (m, 2H) ppm.
389	453.28	0.63	1H NMR (400 MHz, CDCl3) δ 8.30 (s, 1H), 7.24 (dd, J = 7.7, 2.0 Hz, 2H), 7.07 (t, J = 2.0 Hz, 1H), 6.85 - 6.76 (m, 1H), 6.71 (s, 1H), 6.62 (s, 1H), 6.36 (s, 1H), 4.71 (p, J = 6.3 Hz, 4H), 3.64 - 3.53 (m, 1H), 3.37 - 3.25 (m, 4H), 2.58 - 2.48 (m, 4H), 1.90 (ddd, J = 13.4, 8.4, 5.0 Hz, 1H), 1.01 - 0.89 (m, 2H), 0.81 - 0.69 (m, 2H) ppm.
390	438.38	0.5	1H NMR (400 MHz, CDCl3) δ 8.34 (s, 1H), 7.45 (s, 1H), 7.34 (d, J = 9.4 Hz, 1H), 7.17 (s, 1H), 7.05 (d, J = 9.0 Hz, 1H), 6.77 (s, 1H), 6.61 (s, 1H), 6.42 (s, 1H), 3.54 (s, 2H), 3.37 - 3.22 (m, 4H), 2.67 - 2.56 (m, 4H), 2.50 (q, J = 7.1 Hz, 2H), 2.38 (s, 3H), 2.34 (s, 3H), 2.25 (s, 3H), 1.13 (t, J = 7.0 Hz, 3H) ppm.

Cmpd No.	LC/MS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
391	392.49	0.62	1H NMR (300 MHz, DMSO-d6) δ 9.36 (s, 1H), 9.06 (s, 1H), 7.93 - 7.69 (m, 2H), 7.55 (t, J = 8.0 Hz, 2H), 7.36 (t, J = 7.4 Hz, 1H), 7.15 (s, 1H), 6.94 (s, 1H), 6.22 (s, 1H), 4.87 (s, 1H), 4.59 (t, J = 5.7 Hz, 2H), 4.49 (dd, J = 9.3, 5.9 Hz, 2H), 3.73 (s, 1H), 2.95 (s, 1H), 2.73 (s, 2H), 2.52 (s, 1H), 2.39 - 2.16 (m, 4H), 1.90 (s, 1H) ppm.
392	372.28	0.83	1H NMR (300 MHz, CD3OD+CDCl3) δ 8.51 (s, 1H), 7.77 - 7.69 (m, 2H), 7.57 - 7.49 (m, 2H), 7.44 - 7.33 (m, 2H), 7.27 (s, 1H), 6.63 (dd, J = 64.1, 49.2 Hz, 2H), 3.98 - 3.83 (m, 4H), 3.31 - 3.20 (m, 4H) ppm.
393	444.21	0.64	
394	358.15	2.96	1H NMR (400 MHz, DMSO-d6) δ 9.58 (d, J = 40.8 Hz, 1H), 9.13 (d, J = 19.3 Hz, 1H), 7.71 (t, J = 9.6 Hz, 2H), 7.57 (d, J = 37.5 Hz, 1H), 7.18 (d, J = 24.8 Hz, 1H), 7.00 (s, 2H), 6.30 (t, J = 16.7 Hz, 1H), 3.72 (d, J = 25.2 Hz, 4H), 3.12 (s, 4H) ppm.
395	397.24	0.62	1H NMR (400 MHz, CDCl3) δ 8.29 (s, 1H), 7.17 (s, 1H), 7.07 (s, 1H), 7.01 (dt, J = 9.3, 2.0 Hz, 1H), 6.75 (s, 1H), 6.66 (s, 1H), 6.60 (dt, J = 10.4, 2.2 Hz, 1H), 6.42 (s, 1H), 3.88 (s,3H), 3.36 - 3.22 (m, 4H), 2.67 - 2.55 (m, 4H), 2.38 (s, 3H), 2.34 (s, 3H) ppm.
396	455.53	0.7	1H NMR (300 MHz, CDCl3) δ 8.32 (d, J = 3.8 Hz, 1H), 7.25 (dd, J = 7.9, 2.2 Hz, 2H), 7.15 (t, J = 2.0 Hz, 1H), 6.86 - 6.75 (m, 2H), 6.71 (s, 1H), 6.45 (s, 1H), 4.83 - 4.62 (m, 4H), 3.59 (p, J = 6.4 Hz, 1H), 3.40 - 3.21 (m, 4H), 2.66 - 2.46 (m, 6H), 1.69 (dd, J = 15.1, 7.4 Hz, 2H), 0.99 (t, J = 7.3 Hz, 3H) ppm.
397	425.19	0.62	1H NMR (400 MHz, DMSO-d6) δ 9.25 (s, 1H), 9.12 (s, 1H), 7.93 (t, J = 2.0 Hz, 1H), 7.81 (dd, J = 8.2, 1.3 Hz, 1H), 7.58 (t, J = 8.1 Hz, 1H), 7.40 (dd, J = 8.0, 1.2 Hz, 1H), 7.21 (s, 1H), 6.84 (s, 1H), 6.31 (s, 1H), 4.57 (t, J = 6.5 Hz, 2H), 4.48 (t, J = 6.0 Hz, 2H), 3.46 (dd, J = 12.4, 6.3 Hz, 1H), 3.22 - 3.12 (m, 4H), 2.45 - 2.36 (m, 4H), 2.22 (s, 3H) ppm.
398	417.37	0.68	1H NMR (300 MHz, CDCl3) δ 8.24 (s, 1H), 7.59 (ddd, J = 16.1, 8.6, 6.5 Hz, 2H), 7.48 - 7.34

Cmpd No.	LC/MS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			(m, 2H), 7.34 - 7.23 (m, 1H), 7.04 (s, 1H), 6.73 (s, 1H), 6.54 (s, 1H), 6.31 (s, 1H), 3.26 - 3.11 (m, 4H), 2.97 (q, J = 9.6 Hz, 2H), 2.86 - 2.68 (m, 4H), 2.25 (s, 3H) ppm.
399	351.31	0.56	1H NMR (300 MHz, DMSO-d6) δ 10.97 (s, 1H), 9.87 (dd, J = 2.8, 0.9 Hz, 1H), 9.69 (d, J = 8.1 Hz, 1H), 9.51 (s, 1H), 9.47 - 9.24 (m, 1H), 8.14 (dd, J = 6.0, 2.8 Hz, 1H), 7.19 (s, 1H), 7.00 (s, 1H), 6.45 (s, 1H), 3.76 (d, J = 9.3 Hz, 2H), 3.51 (d, J = 8.1 Hz, 2H), 3.31 - 3.04 (m, 4H), 2.79 (t, J = 13.9 Hz, 3H), 2.27 (s, 3H) ppm.
400	469.34	0.84	1H NMR (300 MHz, CDCl3) δ 8.32 (s, 1H), 7.52 (d, J = 1.0 Hz, 1H), 7.39 - 7.31 (m, 1H), 7.16 - 7.02 (m, 2H), 6.70 (s, 1H), 6.61 (s, 1H), 6.36 (s, 1H), 4.80 - 4.62 (m, 4H), 3.67 - 3.51 (m, 1H), 3.38 - 3.27 (m, 4H), 2.61 - 2.50 (m, 4H), 1.97 - 1.82 (m, 1H), 1.03 - 0.89 (m, 2H), 0.75 (dt, J = 6.6, 4.6 Hz, 2H) ppm.
401	421.26	0.63	1H NMR (300 MHz, DMSO-d6) δ 9.43 (s, 1H), 9.15 (s, 1H), 8.83 (s, 1H), 8.56 (s, 1H), 7.16 (s, 1H), 6.98 (s, 1H), 6.37 (s, 1H), 4.58 (t, J = 6.5 Hz, 2H), 4.49 (t, J = 6.0 Hz, 2H), 3.54 - 3.38 (m, 1H), 3.16 (d, J = 4.7 Hz, 4H), 2.70 - 2.52 (m, 5H), 2.40 (t, J = 13.3 Hz, 4H), 1.19 (t, J = 7.6 Hz, 3H) ppm.
402	391	0.59	1H NMR (400 MHz, CDCl3) δ 8.30 (s, 1H), 7.66 (d, J = 8.2 Hz, 2H), 7.49 (t, J = 7.9 Hz, 2H), 7.35 (t, J = 7.4 Hz, 1H), 7.00 (s, 1H), 6.61 (s, 1H), 6.49 (s, 1H), 6.07 (s, 1H), 4.52 (d, J = 13.6 Hz, 1H), 3.82 (d, J = 13.9 Hz, 1H), 3.63 - 3.50 (m, 2H), 3.31 - 3.12 (m, 1H), 2.96 - 2.76 (m, 1H), 2.27 (s, 3H), 2.19 (d, J = 16.2 Hz, 1H), 2.12 (s, 3H), 1.39 (d, J = 4.9 Hz, 2H) ppm.
403	355.45	0.73	1H NMR (400 MHz, DMSO-d6) δ 9.84 (s, 1H), 9.37 (d, J = 21.6 Hz, 1H), 8.31 (dd, J = 24.3, 5.5 Hz, 1H), 8.00 (d, J = 5.3 Hz, 1H), 7.85 (d, J = 23.0 Hz, 2H), 7.20 (s, 1H), 6.89 (d, J = 29.4 Hz, 1H), 4.03 (s, 4H), 3.37 (d, J = 40.0 Hz, 4H), 2.32 (s, 3H) ppm.
404	308	0.79	1H NMR (400 MHz, CDCl3) δ 8.96 (s, 1H), 8.42 (d, J = 4.2 Hz, 1H), 7.87 (dt, J = 15.3, 4.8 Hz, 2H), 7.53 (s, 1H), 7.24 (d, J = 9.3 Hz, 2H),

Cmpd No.	LC/MS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			6.93 (s, 1H), 6.86 (s, 1H), 5.10 (dd, J = 8.4, 6.0 Hz, 2H), 4.84 (t, J = 6.4 Hz, 2H), 4.36 - 4.12 (m, 1H), 2.40 (s, 3H) ppm.
405	399.15	0.65	1H NMR (300 MHz, CD3OD) δ 9.03 (s, 1H), 7.67 - 7.45 (m, 2H), 7.36 (s, 1H), 7.05 (tt, J = 9.0, 2.3 Hz, 1H), 6.64 (s, 1H), 3.88 (dd, J = 6.0, 3.7 Hz, 4H), 3.59 (dd, J = 6.0, 3.7 Hz, 4H), 2.17 (tt, J = 8.3, 5.0 Hz, 1H), 1.36 - 1.18 (m, 2H), 0.99 (dt, J = 7.2, 4.8 Hz, 2H) ppm.
406	409.45	0.65	1H NMR (300 MHz, DMSO-d6) δ 9.25 (s, 1H), 9.11 (s, 1H), 7.79 - 7.66 (m, 2H), 7.62 - 7.52 (m, 1H), 7.24 - 7.04 (m, 2H), 6.88 (s, 1H), 6.31 (s, 1H), 4.57 (t, J = 6.5 Hz, 2H), 3.45 (p, J = 6.3 Hz, 1H), 3.27 - 3.12 (m, 4H), 2.47 - 2.38 (m, 4H), 2.23 (s, 3H) ppm.
407	398.21	0.61	1H NMR (300 MHz, CDCl3) δ 8.36 (s, 1H), 7.26 (d, J = 2.2 Hz, 2H), 7.17 (d, J = 2.0 Hz, 1H), 7.05 (d, J = 1.9 Hz, 1H), 6.95 - 6.78 (m, 2H), 4.11 (d, J = 10.9 Hz, 2H), 3.58 (td, J = 11.3, 3.5 Hz, 2H), 2.97 - 2.79 (m, 1H), 2.03 (td, J = 8.0, 4.0 Hz, 1H), 1.96 - 1.80 (m, 4H), 1.11 - 1.02 (m, 2H), 0.97 (ddd, J = 10.3, 6.4, 3.9 Hz, 2H) ppm.
408	426	0.64	1H NMR (400 MHz, CDCl3) δ 8.31 (s, 1H), 7.31 (s, 1H), 7.26 (d, J = 5.6 Hz, 3H), 7.14 (s, 1H), 6.87 - 6.74 (m, 2H), 6.68 (s, 1H), 4.76 - 4.58 (m, 4H), 3.50 (p, J = 6.6 Hz, 1H), 2.84 (dd, J = 16.7, 9.1 Hz, 3H), 2.36 (s, 3H), 2.00 (d, J = 12.6 Hz, 1H), 1.95 - 1.68 (m, 4H), 1.58 - 1.43 (m, 1H) ppm.
409	325.19	0.82	1H NMR (300 MHz, DMSO-d6) δ 9.45 (s, 1H), 9.13 (s, 1H), 7.77 - 7.68 (m, 2H), 7.59 (dt, J = 8.4, 5.5 Hz, 2H), 7.31 (s, 1H), 7.19 (td, J = 8.2, 2.0 Hz, 1H), 6.72 (s, 1H), 4.95 (dd, J = 8.3, 5.8 Hz, 2H), 4.62 (dd, J = 6.6, 5.9 Hz, 2H), 4.26 - 4.09 (m, 1H), 2.30 (s, 3H) ppm.
410	406.48	0.63	1H NMR (300 MHz, DMSO-d6) δ 9.34 (s, 1H), 9.06 (s, 1H), 7.82 (d, J = 7.6 Hz, 2H), 7.54 (t, J = 7.9 Hz, 2H), 7.36 (t, J = 7.4 Hz, 1H), 7.28 (s, 1H), 6.88 (s, 1H), 6.27 (s, 1H), 4.54 (t, J = 6.4 Hz, 2H), 4.44 (t, J = 6.1 Hz, 2H), 4.31 (s, 1H), 3.41 (dd, J = 13.4, 6.3 Hz, 1H), 2.57 (s, 2H),

Cmpd No.	LC/MS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			2.25 (d, J = 14.3 Hz, 3H), 2.14 - 1.94 (m, 4H), 1.65 (d, J = 8.8 Hz, 2H) ppm.
411	408	0.66	1H NMR (400 MHz, CDCl3) δ 8.32 (s, 1H), 7.46 (dd, J = 5.8, 4.1 Hz, 3H), 7.33 (s, 1H), 7.14 (s, 1H), 7.05 (ddd, J = 10.4, 7.0, 3.4 Hz, 1H), 6.67 (d, J = 5.5 Hz, 2H), 4.75 - 4.53 (m, 4H), 3.60 - 3.39 (m, 1H), 2.96 - 2.73 (m, 3H), 2.36 (s, 3H), 2.00 (d, J = 12.1 Hz, 1H), 1.94 - 1.60 (m, 5H), 1.50 (dt, J = 12.3, 10.4 Hz, 1H) ppm.
412	439	0.67	1H NMR (300 MHz, Acetone-d6) δ 8.89 (s, 1H), 8.74 (s, 1H), 7.87 (ddd, J = 11.7, 7.0, 2.6 Hz, 1H), 7.79 - 7.70 (m, 1H), 7.63 (d, J = 2.0 Hz, 1H), 7.61 - 7.50 (m, 2H), 6.80 (s, 1H), 3.37 - 3.24 (m, 4H), 2.62 - 2.46 (m, 4H), 2.29 (s, 3H) ppm.
413	445.18	0.65	1H NMR (300 MHz, DMSO-d6) δ 9.30 (s, 1H), 8.77 (d, J = 2.3 Hz, 1H), 7.96 (tt, J = 14.8, 5.6 Hz, 2H), 7.11 (s, 1H), 6.86 (s, 1H), 6.30 (s, 1H), 4.57 (t, J = 6.4 Hz, 2H), 4.47 (t, J = 6.0 Hz, 2H), 3.49 - 3.39 (m, 1H), 3.13 (s, 4H), 2.40 (s, 4H), 2.21 (s, 3H) ppm.
414	405.3	2.82	1H NMR (300 MHz, CDCl3) δ 8.72 (s, 1H), 8.24 (s, 1H), 7.55 - 7.33 (m, 4H), 7.16 (s, 1H), 6.92 (s, 1H), 6.42 (s, 1H), 5.13 - 5.00 (m, 2H), 4.82 (t, J = 7.6 Hz, 2H), 4.27 - 4.12 (m, 1H), 3.56 (d, J = 4.6 Hz, 4H), 3.25 (s, 4H), 2.36 (d, J = 11.2 Hz, 6H) ppm.
415	467.32	0.65	1H NMR (400 MHz, CDCl3) δ 8.27 (s, 1H), 7.17 (s, 1H), 7.03 (s, 1H), 6.98 (dt, J = 9.3, 2.1 Hz, 1H), 6.76 (s, 1H), 6.61 (s, 1H), 6.57 (dt, J = 10.6, 2.2 Hz, 1H), 6.41 (s, 1H), 4.71 (p, J = 6.3 Hz, 4H), 4.59 (dt, J = 12.1, 6.1 Hz, 1H), 3.65 - 3.51 (m, 1H), 3.37 - 3.26 (m, 4H), 2.60 - 2.46 (m, 4H), 2.34 (s, 3H), 1.40 (d, J = 6.1 Hz, 6H) ppm.
416	428.28	0.6	1H NMR (300 MHz, DMSO-d6) δ 9.46 (s, 1H), 9.17 (s, 1H), 7.74 (s, 1H), 7.69 - 7.58 (m, 2H), 7.25 (m, 2H), 6.85 (s, 1H), 5.18 (s, 1H), 4.57 (dd, J = 9.9, 4.3 Hz, 2H), 4.51 (dd, J = 13.1, 6.0 Hz, 2H), 3.82 - 3.71 (m, 1H), 2.92 - 2.70 (m, 4H), 2.29 (s, 3H), 2.21 - 1.97 (m, 2H) ppm.
417	441.24	0.66	1H NMR (300 MHz, CDCl3) δ 8.53 (d, J = 2.4

Cmpd No.	LC/MS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			Hz, 1H), 7.74 (ddd, J = 9.2, 6.1, 3.1 Hz, 1H), 7.28 - 7.20 (m, 1H), 7.17 (t, J = 2.0 Hz, 1H), 6.98 (ddt, J = 9.2, 6.9, 3.4 Hz, 1H), 6.83 (s, 1H), 6.73 (s, 1H), 6.47 (s, 1H), 4.85 - 4.60 (m, 4H), 3.70 - 3.52 (m, 1H), 3.44 - 3.21 (m, 4H), 2.65 (q, J = 7.6 Hz, 2H), 2.60 - 2.38 (m, 4H), 1.28 (t, J = 7.6 Hz, 3H) ppm.
418	407.29	0.61	1H NMR (400 MHz, CDCl3) δ 9.04 (s, 1H), 8.74 (d, J = 5.5 Hz, 1H), 7.52 (d, J = 5.5 Hz, 1H), 7.13 (s, 1H), 7.08 (t, J = 1.9 Hz, 1H), 6.84 (s, 1H), 6.44 (s, 1H), 4.72 (dq, J = 12.6, 6.4 Hz, 4H), 3.59 (p, J = 6.4 Hz, 1H), 3.36 - 3.24 (m, 4H), 2.75 (s, 3H), 2.61 - 2.46 (m, 4H), 2.35 (s, 3H) ppm.
419	377	0.6	1H NMR (400 MHz, CDCl3) δ 8.31 (s, 1H), 7.67 (d, J = 7.8 Hz, 2H), 7.49 (t, J = 7.8 Hz, 2H), 7.33 (t, J = 7.4 Hz, 1H), 7.20 (s, 1H), 7.05 (s, 1H), 6.75 (s, 1H), 6.56 (s, 1H), 3.38 - 3.19 (m, 1H), 3.12 (dd, J = 11.7, 2.6 Hz, 1H), 2.89 (dd, J = 11.9, 3.0 Hz, 1H), 2.79 - 2.60 (m, 1H), 2.36 (d, J = 18.0 Hz, 7H), 2.24 - 2.09 (m, 1H), 1.06 (d, J = 6.2 Hz, 3H), 1.02 (d, J = 6.1 Hz, 3H) ppm.
420	391.23	0.63	1H NMR (300 MHz, DMSO-d6) δ 9.41 (s, 1H), 9.14 (s, 1H), 8.84 (s, 1H), 8.56 (s, 1H), 7.15 (s, 1H), 6.90 (s, 1H), 6.18 (d, J = 83.2 Hz, 1H), 3.19 - 3.01 (m, 4H), 2.78 - 2.61 (m, 4H), 2.56 (s, 3H), 2.23 (s, 3H), 1.75 - 1.56 (m, 1H), 0.56 - 0.40 (m, 2H), 0.39 - 0.27 (m, 2H) ppm.
421	453.42	0.71	1H NMR (300 MHz, DMSO-d6) δ 9.32 (s, 1H), 9.15 (s, 1H), 7.72 - 7.45 (m, 2H), 7.24 (tt, J = 9.3, 2.2 Hz, 1H), 7.21 - 7.12 (m, 1H), 6.86 (s, 1H), 6.32 (s, 1H), 3.24 (dd, J = 20.4, 10.2 Hz, 2H), 3.18 - 3.06 (m, 4H), 2.84 - 2.69 (m, 4H), 2.23 (s, 3H) ppm.
422	429.23	0.32	
423	377.41	0.59	
424	381.26	0.62	1H NMR (300 MHz, CDCl3) δ 8.30 (s, 1H), 7.24 (d, J = 2.0 Hz, 1H), 7.17 (s, 1H), 6.87 (d, J = 9.2 Hz, 1H), 6.77 (s, 1H), 6.60 (s, 1H), 6.42 (s, 1H), 3.39 - 3.25 (m, 4H), 2.65 (s, 4H), 2.44 (d, J = 6.3 Hz, 3H), 2.41 (s, 3H), 2.35 (s, 3H) ppm.
425	441.45	0.68	1H NMR (300 MHz, CDCl3) δ 8.33 (s, 1H),

Cmpd No.	LC/MS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			7.77 (d, J = 2.3 Hz, 1H), 7.24 (dd, J = 8.0, 2.2 Hz, 2H), 6.79 (tt, J = 8.7, 2.3 Hz, 1H), 6.56 (s, 1H), 6.51 (d, J = 2.2 Hz, 1H), 4.83 - 4.64 (m, 4H), 3.61 (p, J = 6.3 Hz, 1H), 3.41 - 3.26 (m, 4H), 2.57 (d, J = 4.3 Hz, 4H), 2.32 (s, 3H), 2.18 (s, 3H) ppm.
426	392.22	0.93	1H NMR (300 MHz, CDCl3) δ 8.33 (s, 1H), 7.25 (dd, J = 7.9, 2.2 Hz, 2H), 7.11 - 7.04 (m, 2H), 6.82 (tt, J = 8.7, 2.3 Hz, 1H), 6.69 (s, 1H), 6.55 (t, J = 1.9 Hz, 1H), 3.97 - 3.81 (m, 4H), 3.23 (dd, J = 5.7, 4.1 Hz, 4H) ppm.
427	355.45	0.68	1H NMR (400 MHz, DMSO-d6) δ 9.58 (s, 1H), 9.22 (s, 1H), 9.05 (s, 1H), 8.58 (d, J = 2.5 Hz, 1H), 8.32 (d, J = 8.7 Hz, 1H), 7.48 (s, 1H), 7.03 (d, J = 29.9 Hz, 1H), 6.54 (d, J = 67.9 Hz, 1H), 3.88 (s, 3H), 3.27 (s, 3H), 2.30 (d, J = 19.3 Hz, 2H) ppm.
428	383	0.6	1H NMR (400 MHz, Acetone-d6) δ 8.53 (s, 1H), 8.22 (s, 1H), 7.76 (dd, J = 7.9, 1.6 Hz, 1H), 7.68 (dd, J = 7.8, 1.5 Hz, 1H), 7.53 (dtd, J = 17.0, 7.5, 1.5 Hz, 2H), 7.26 (s, 1H), 6.97 (s, 1H), 6.34 (s, 1H), 3.24 - 3.07 (m, 4H), 2.91 (s, 2H), 2.60 - 2.36 (m, 4H), 2.24 (s, 6H) ppm.
429	370	0.63	1H NMR (300 MHz, Acetone-d6) δ 8.93 (s, 1H), 7.65 - 7.52 (m, 2H), 7.48 (s, 1H), 7.40 (s, 1H), 7.01 (tt, J = 9.1, 2.3 Hz, 1H), 6.65 (s, 1H), 3.09 (dd, J = 19.9, 10.3 Hz, 2H), 2.82 (s, 2H), 2.73 - 2.55 (m, 3H), 2.32 (s, 3H), 2.01 - 1.93 (m, 1H), 1.79 - 1.53 (m, 3H) ppm.
430	353.09	0.6	1H NMR (400 MHz, CDCl3) δ 8.27 (s, 1H), 7.59 (d, J = 8.3 Hz, 2H), 7.44 (dd, J = 10.8, 5.1 Hz, 2H), 7.35 - 7.24 (m, 1H), 7.09 (s, 1H), 6.88 (s, 1H), 6.46 (s, 1H), 4.77 (dtt, J = 48.8, 6.7, 3.4 Hz, 1H), 3.70 (dd, J = 14.2, 5.8 Hz, 2H), 3.56 - 3.41 (m, 2H), 2.31 (s, 3H), 2.01 - 1.91 (m, 1H), 1.90 - 1.74 (m, 3H) ppm.
431	419.49	0.65	1H NMR (300 MHz, CDCl3) δ 8.33 (s, 1H), 7.69 (dd, J = 8.6, 1.1 Hz, 2H), 7.56 - 7.46 (m, 3H), 7.40 - 7.32 (m, 1H), 7.11 (s, 1H), 6.84 (s, 1H), 6.70 (s, 1H), 6.39 (s, 1H), 4.55 (d, J = 5.7 Hz, 2H), 4.40 (d, J = 5.5 Hz, 2H), 3.26 (s, 4H), 2.60 (d, J = 32.4 Hz, 6H), 2.34 (s, 3H), 1.49 (s,

Cmpd No.	LC/MS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			3H) ppm.
432	435.19	0.6	1H NMR (400 MHz, CDCl3) δ 8.32 (s, 1H), 7.46 - 7.34 (m, 1H), 7.30 (t, J = 2.2 Hz, 1H), 7.23 (ddd, J = 8.0, 2.0, 0.8 Hz, 1H), 7.18 (t, J = 2.0 Hz, 1H), 6.89 (ddd, J = 8.3, 2.5, 0.7 Hz, 1H), 6.85 (s, 1H), 6.79 (s, 1H), 6.44 (s, 1H), 4.71 (dq, J = 12.5, 6.4 Hz, 4H), 3.90 (d, J = 4.4 Hz, 3H), 3.58 (p, J = 6.4 Hz, 1H), 3.40 - 3.25 (m, 4H), 2.71 - 2.56 (m, 2H), 2.56 - 2.45 (m, 4H), 1.27 (t, J = 7.6 Hz, 3H) ppm.
433	442.44	0.65	1H NMR (300 MHz, DMSO-d6) δ 9.48 (s, 1H), 9.16 (s, 1H), 7.60 (d, J = 6.4 Hz, 2H), 7.42 - 7.20 (m, 2H), 6.83 (s, 1H), 6.28 (s, 1H), 4.53 (t, J = 6.5 Hz, 2H), 4.43 (t, J = 6.1 Hz, 2H), 4.32 (s, 1H), 3.41 (dd, J = 12.6, 6.3 Hz, 1H), 2.58 (s, 2H), 2.22 (s, 3H), 2.15 - 1.94 (m, 4H), 1.77 - 1.55 (m, 2H) ppm.
434	405.4	0.63	1H NMR (300 MHz, DMSO-d6) δ 9.18 (s, 1H), 9.04 (s, 1H), 7.82 (dd, J = 8.6, 1.0 Hz, 2H), 7.55 (dd, J = 10.7, 5.2 Hz, 2H), 7.34 (t, J = 7.4 Hz, 1H), 7.14 (s, 1H), 6.88 (s, 1H), 6.28 (s, 1H), 3.91 - 3.73 (m, 2H), 3.66 (dd, J = 15.6, 8.0 Hz, 1H), 3.53 (dd, J = 8.5, 6.6 Hz, 1H), 3.11 (t, J = 4.9 Hz, 4H), 2.92 (p, J = 6.8 Hz, 1H), 2.66 - 2.53 (m, 2H), 2.49 - 2.44 (m, 2H), 2.22 (s, 3H), 2.09 - 1.91 (m, 1H), 1.78 (ddd, J = 15.6, 12.3, 8.2 Hz, 1H) ppm.
435	342.13	3.3	1H NMR (400 MHz, DMSO-d6) δ 9.41 (d, J = 60.2 Hz, 1H), 9.06 (s, 1H), 7.87 (dd, J = 8.9, 4.7 Hz, 3H), 7.42 (t, J = 8.7 Hz, 2H), 6.92 - 6.57 (m, 2H), 5.92 (d, J = 12.4 Hz, 1H), 3.24 (s, 4H), 1.97 (s, 4H) ppm.
436	405.49	0.64	1H NMR (300 MHz, CDCl3) δ 8.24 (s, 1H), 8.24 (s, 1H), 7.63 - 7.56 (m, 2H), 7.47 - 7.39 (m, 2H), 7.28 (ddd, J = 7.4, 3.9, 1.1 Hz, 1H), 7.05 (s, 1H), 6.75 (s, 1H), 6.62 (s, 1H), 6.32 (s, 1H), 4.63 (s, 2H), 4.21 (d, J = 5.9 Hz, 2H), 3.26 (s, 4H), 2.54 (s, 4H), 2.26 (s, 3H), 1.39 (s, 3H) ppm.
437	427.45	0.64	1H NMR (300 MHz, DMSO-d6) δ 9.30 (s, 1H), 8.80 (d, J = 2.4 Hz, 1H), 7.69 (ddd, J = 9.2, 6.0, 3.2 Hz, 1H), 7.67 - 7.48 (m, 1H), 7.40 - 7.23 (m, 1H), 7.14 (s, 1H), 6.86 (s, 1H), 6.31 (s, 1H), 4.57

Cmpd No.	LC/MS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			(t, J = 6.5 Hz, 2H), 4.47 (t, J = 6.0 Hz, 2H), 3.58 - 3.40 (m, 1H), 3.23 - 3.00 (m, 4H), 2.45 - 2.31 (m, 4H), 2.22 (s, 3H) ppm.
438	386.15	0.73	1H NMR (400 MHz, DMSO-d6) δ 9.49 (s, 1H), 9.29 (s, 1H), 9.20 (s, 1H), 7.65 (d, J = 6.9 Hz, 2H), 7.28 (t, J = 23.5 Hz, 3H), 6.56 (s, 1H), 3.91 (s, 1H), 3.57 (s, 1H), 3.38 (q, J = 7.0 Hz, 1H), 3.34 - 3.11 (m, 2H), 2.94 (s, 1H), 2.74 - 2.53 (m, 2H), 2.29 (s, 3H), 1.87 (s, 2H) ppm.
439	437.17	0.61	1H NMR (400 MHz, CDCl3) δ 8.32 (s, 1H), 7.61 (s, 1H), 7.46 - 7.36 (m, 2H), 7.24 - 7.14 (m, 2H), 6.77 (s, 1H), 6.61 (s, 1H), 6.41 (s, 1H), 4.78 - 4.62 (m, 4H), 3.66 - 3.53 (m, 1H), 3.37 - 3.25 (m, 4H), 2.57 (s, 3H), 2.55 - 2.51 (m, 4H), 2.34 (s, 3H) ppm.
440	376.11	3.02	1H NMR (400 MHz, DMSO-d6) δ 9.57 (d, J = 39.5 Hz, 1H), 9.10 (s, 1H), 7.94 (dd, J = 37.4, 25.7 Hz, 1H), 7.84 - 7.55 (m, 2H), 7.11 - 6.86 (m, 2H), 6.28 (t, J = 29.1 Hz, 1H), 3.85 - 3.68 (m, 4H), 3.23 - 3.00 (m, 4H) ppm.
441	392.13	3.58	1H NMR (400 MHz, DMSO-d6) δ 9.58 (s, 1H), 9.26 (s, 1H), 8.06 (d, J = 8.3 Hz, 2H), 7.94 (d, J = 8.4 Hz, 2H), 6.90 - 6.72 (m, 2H), 5.91 (d, J = 11.4 Hz, 1H), 3.24 (s, 4H), 1.97 (s, 4H) ppm.
442	468.17	0.81	1H NMR (400 MHz, DMSO-d6) δ 9.56 (s, 1H), 9.19 (s, 1H), 7.65 (d, J = 6.3 Hz, 2H), 7.42 (s, 1H), 7.28 (d, J = 14.4 Hz, 2H), 6.65 (s, 1H), 3.84 (s, 1H), 3.39 (d, J = 10.0 Hz, 2H), 3.34 - 3.22 (m, 1H), 2.95 (d, J = 20.8 Hz, 3H), 2.85 - 2.73 (m, 2H), 2.66 - 2.39 (m, 2H), 2.29 (s, 3H), 1.97 (s, 2H), 1.85 - 1.63 (m, 2H) ppm.
443	362	0.93	1H NMR (400 MHz, CDCl3) δ 8.34 (s, 1H), 7.68 (d, J = 7.7 Hz, 2H), 7.51 (t, J = 7.9 Hz, 2H), 7.44 - 7.28 (m, 2H), 7.19 (d, J = 16.6 Hz, 1H), 6.75 (s, 1H), 6.67 (s, 1H), 4.04 (dd, J = 11.5, 7.3 Hz, 1H), 3.96 - 3.79 (m, 1H), 3.67 (d, J = 8.1 Hz, 1H), 3.61 - 3.27 (m, 3H), 2.46 - 2.26 (m, 4H) note: contains singlet for methyl\, 2.18 - 2.01 (m, 4H) ppm. note: contains singlet for methyl
444	398.21	0.85	1H NMR (300 MHz, DMSO-d6) δ 9.30 (s, 1H), 9.16 (s, 1H), 7.67 - 7.55 (m, 2H), 7.25 (tt, J = 10.3, 8.1 Hz, 1H), 6.90 (s, 1H), 6.65 (s, 1H),

Cmpd No.	LC/MS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			5.93 (s, 1H), 4.56 (dd, J = 15.6, 6.0 Hz, 4H), 3.50 (s, 2H), 3.25 (t, J = 6.9 Hz, 2H), 2.26 (t, J = 6.8 Hz, 2H), 2.21 (s, 3H) ppm.
445	427.18	0.59	1H NMR (400 MHz, CDCl3) δ 8.48 (d, J = 2.6 Hz, 1H), 7.75 (ddt, J = 8.3, 6.6, 1.7 Hz, 1H), 7.25 (ddd, J = 8.3, 5.2, 2.5 Hz, 1H), 7.16 (ddd, J = 15.6, 8.0, 1.6 Hz, 1H), 7.09 (s, 1H),6.82 (s, 1H), 6.71 (s, 1H), 6.43 (s, 1H), 4.71 (dt, J = 13.0, 6.4 Hz, 4H), 3.66 - 3.49 (m, 1H), 3.36 - 3.24 (m, 4H), 2.59 - 2.46 (m, 4H), 2.35 (s, 3H) ppm.
446	425.38	0.64	1H NMR (300 MHz, CDCl3) δ 8.37 (s, 1H), 7.70 (dd, J = 7.9, 1.7 Hz, 1H), 7.57 (dd, J = 7.9, 1.6 Hz, 1H), 7.41 (dtd, J = 20.3, 7.5, 1.7 Hz, 2H), 7.10 (s, 1H), 6.80 (s, 1H), 6.75 (s, 1H), 6.39 (s, 1H), 4.77 - 4.63 (m, 4H), 3.58 (p, J = 6.4 Hz, 1H), 3.36 - 3.19 (m, 4H), 2.60 - 2.44 (m, 4H), 2.33 (s, 3H) ppm.
447	326	0.74	1H NMR (400 MHz, DMSO-d6) δ 9.58 (s, 1H), 9.22 (s, 1H), 9.03 (s, 1H), 8.59 (d, J = 2.5 Hz, 1H), 8.24 (dt, J = 10.1, 2.3 Hz, 1H), 7.60 (s, 1H), 7.31 (s, 1H), 6.74 (s, 1H), 4.96 (dd, J = 8.3, 5.8 Hz, 2H), 4.74 - 4.53 (m, 2H), 4.29 - 4.07 (m, 1H), 2.30 (s, 3H) ppm.
448	342.19	0.8	1H NMR (300 MHz, DMSO-d6) δ 9.23 (s, 1H), 9.15 (s, 2H), 7.72 - 7.59 (m, 2H), 7.16 (d, J = 2.0 Hz, 1H), 6.51 (d, J = 12.4 Hz, 1H), 6.11 (d, J = 10.8 Hz, 1H), 5.99 (d, J = 0.8 Hz, 1H), 2.16 (s, 3H), 0.74 - 0.59 (m, 2H), 0.44 - 0.35 (m, 2H) ppm.
449	378	0.75	1H NMR (400 MHz, CDCl3) δ 9.12 - 8.95 (m, 2H), 8.93 - 8.81 (m, 1H), 7.79 (dd, J = 37.6, 5.3 Hz, 1H), 7.26 (q, J = 19.8 Hz, 2H), 6.89 (d, J = 18.4 Hz, 1H), 6.71 (d, J = 5.8 Hz, 1H), 4.79 (dd, J = 50.4, 12.6 Hz, 1H), 3.90 (s, 1H), 3.11 (dd, J = 26.1, 14.1 Hz, 1H), 2.68 (t, J = 11.3 Hz, 1H), 2.58 (dd, J = 22.1, 10.0 Hz, 2H), 2.38 (d, J = 8.5 Hz, 3H), 2.14 (d, J = 12.6 Hz, 4H - methine buried under the doublet), 1.87 (t, J = 14.9 Hz, 1H), 1.81 - 1.53 (m, 3H) ppm.
450	389	0.65	1H NMR (400 MHz, DMSO-d6) δ 11.05 (s, 1H), 9.68 (s, 1H), 8.79 (d, J = 2.2 Hz, 1H), 7.89 (td, J = 8.9, 5.9 Hz, 1H), 7.64 (ddd, J = 11.6, 9.0, 2.7

Cmpd No.	LC/MS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			Hz, 1H), 7.41 - 7.27 (m, 2H), 7.11 (d, J + 12.2 Hz, 1H), 6.90 (s, 1H), 6.40 (d, J = 12.2 Hz, 1H), 3.76 (d, J = 10.6 Hz, 2H), 3.48 (d, J = 9.1 Hz, 2H), 3.26 - 3.02 (m, 4H), 2.79 (d, J = 4.7 Hz, 3H) ppm.
451	355.13	0.53	1H NMR (400 MHz, DMSO-d6) δ 9.75 (d, J = 2.3 Hz, 1H), 9.44 (s, 1H), 9.18 (d, J = 8.5 Hz, 1H), 8.77 (dd, J = 5.4, 1.1 Hz, 1H), 8.73 (d, J = 5.1 Hz, 1H), 8.05 (dd, J = 8.6, 5.4 Hz, 1H), 7.33 (d, J = 2.2 Hz, 1H), 4.14 (m, 4H), 3.57 (m, 4H), 2.31 (d, J = 1.7 Hz, 3H) ppm.
452	324	0.73	1H NMR (400 MHz, DMSO-d6) δ 9.83 (s, 1H), 9.66 (d, J = 23.4 Hz, 2H), 9.15 (s, 1H), 7.87 (d, J = 7.8 Hz, 2H), 7.57 (t, J = 7.4 Hz, 2H), 7.51 (d, J = 11.5 Hz, 1H), 7.38 (t, J = 7.4 Hz, 1H), 7.32 (s, 1H), 6.75 (d, J = 9.5 Hz, 1H), 3.60 (s, 1H), 3.42 (s, 2H), 3.20 (d, J = 24.0 Hz, 1H), 3.05 (s, 1H), 2.37 (s, 1H), 2.04 - 1.79 (m, 1H) ppm.
453	461.23	0.7	1H NMR (300 MHz, CDCl3) δ 8.31 (s, 1H), 7.26 (dd, J = 7.8, 2.1 Hz, 2H), 7.11 (s, 1H), 6.88 - 6.74 (m, 2H), 6.68 (s, 1H), 6.43 (s, 1H), 3.37 - 3.20 (m, 4H), 2.84 - 2.65 (m, 3H), 2.62 - 2.44 (m, 6H), 2.35 (s, 3H) ppm.
454	433.3	0.63	1H NMR (400 MHz, CDCl3) δ 9.06 (d, J = 15.6 Hz, 1H), 8.75 (d, J = 5.5 Hz, 1H), 7.52 (t, J= 8.0 Hz, 1H), 7.01 (t, J = 2.1 Hz, 1H), 6.81 (s, 1H), 6.78 (s, 1H), 6.39 (s, 1H), 4.72 (dt, J= 14.5, 6.4 Hz, 4H), 3.59 (p, J = 6.4 Hz, 1H), 3.37 - 3.22 (m, 4H), 2.75 (s, 3H), 2.56 (dd, J= 14.3, 9.3 Hz, 4H), 1.90 (tt, J = 8.4, 5.1 Hz, 1H), 1.03 - 0.90 (m, 2H), 0.84 - 0.70 (m,2H) ppm.
455	429.39	0.72	1H NMR (300 MHz, DMSO-d6) δ 9.22 (s, 1H), 9.06 (s, 1H), 7.83 (dd, J = 8.6, 1.0 Hz, 2H), 7.64 - 7.49 (m, 2H), 7.34 (t, J = 7.4 Hz, 1H), 7.26 - 7.07 (m, 4H), 7.01 (dt, J = 8.0, 5.7 Hz, 1H), 6.92 (s, 1H), 6.36 (s, 1H), 3.28 (d, J = 5.8 Hz, 4H), 3.18 (d, J = 5.3 Hz, 4H), 2.26 (d, J = 7.8 Hz, 3H) ppm.
456	428.35	0.73	1H NMR (300 MHz, CDCl3) δ 8.26 (s, 1H), 7.19 - 7.14 (m, 2H), 7.05 (t, J = 2.1 Hz, 1H), 6.85 (d, J = 4.0 Hz, 1H), 6.77 - 6.65 (m, 2H), 6.40 (s, 1H), 4.11 - 3.98 (m, 2H), 3.91 - 3.78 (m,

Cmpd No.	LC/MS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			5H), 3.73 (dd, J = 8.4, 7.0 Hz, 1H), 3.37 - 3.25 (m, 1H), 3.22 - 3.09 (m, 4H), 2.38 - 2.24 (m, 1H), 1.98 (ddd, J = 15.7, 12.4, 7.8 Hz, 1H) ppm.
457	389.1	0.62	1H NMR (400 MHz, CDCl3) δ 8.22 (s, 1H), 7.13 - 7.05 (m, 2H), 6.79 (s, 2H), 6.69 (tt, J = 8.7, 2.3 Hz, 1H), 6.40 (s, 1H), 4.74 (dtt, J = 48.8, 6.8, 3.5 Hz, 1H), 3.65 (dd, J = 12.7, 7.2 Hz, 2H), 3.55 - 3.39 (m, 2H), 1.89 (d, J = 5.8 Hz, 3H), 1.86 - 1.69 (m, 4H) ppm.
458	439.22	0.31	1H NMR (400 MHz, CD3OD) δ 8.94 (s, 1H), 7.98 (s, 1H), 7.73 - 7.50 (m, 2H), 7.21 (d, J = 111.2 Hz, 1H), 6.99 (tt, J = 9.0, 2.2 Hz, 1H), 4.18 (d, J = 67.2 Hz, 4H), 3.71 (d, J = 44.6 Hz, 4H), 2.42 (s, 3H), 2.06 (ddd, J = 12.7, 7.8, 4.7 Hz, 1H), 1.00 - 0.84 (m, 5H) ppm.
459	397	0.68	1H NMR (300 MHz, CDCl3) δ 8.29 (s, 1H), 7.35 - 7.12 (m, 3H), 6.89 - 6.64 (m, 3H), 6.55 (s, 1H), 6.05 (s, 1H), 4.24 (s, 1H), 3.54 (s, 1H), 3.42 (s, 2H), 3.05 (dd, J = 9.5, 1.8 Hz, 1H), 2.71 (d, J = 9.4 Hz, 1H), 2.41 (s, 3H), 2.31 (s, 3H), 2.01 (s, 1H), 1.93 (d, J = 9.5 Hz, 1H) ppm.
460	365.17	0.62	1H NMR (300 MHz, DMSO-d6) δ 9.59 (s, 1H), 9.10 (s, 1H), 7.92 - 7.78 (m, 2H), 7.56 (t, J = 8.0 Hz, 2H), 7.37 (t, J = 7.4 Hz, 1H), 6.73 (d, J = 1.4 Hz, 1H), 6.66 (s, 1H), 5.41 (d, J = 54.5 Hz, 1H), 3.74 - 3.45 (m, 3H), 3.43 - 3.33 (m, 1H), 2.24 (s, 2H), 1.81 (d, J = 8.1 Hz, 1H), 0.88 (dd, J = 4.9, 2.3 Hz, 2H), 0.79 (d, J = 8.1 Hz, 2H) ppm.
461	407.43	0.63	1H NMR (300 MHz, CDCl3) δ 8.33 (s, 1H), 7.75 - 7.63 (m, 2H), 7.52 (dd, J = 10.6, 5.1 Hz, 2H), 7.37 (t, J = 7.4 Hz, 1H), 7.13 (d, J = 16.5 Hz, 1H), 6.81 (s, 1H), 6.68 (s, 1H), 6.39 (s, 1H), 3.71 (d, J = 12.6 Hz, 2H), 3.40 (s, 8H), 3.04 (d, J = 70.0 Hz, 6H), 2.36 (d, J = 9.2 Hz, 3H) ppm.
462	435.35	0.9	1H NMR (300 MHz, CDCl3) δ 8.33 (s, 1H), 7.53 - 7.39 (m, 3H), 7.15 - 6.97 (m, 2H), 6.73 (s, 1H), 6.65 (s, 1H), 6.36 (s, 1H), 4.79 - 4.65 (m, 4H), 3.66 - 3.50 (m, 1H), 3.39 - 3.21 (m, 4H), 2.60 - 2.45 (m, 4H), 1.95 - 1.81 (m, 1H), 1.03 - 0.86 (m, 2H), 0.82 - 0.68 (m, 2H) ppm.
463	451.08	0.62	1H NMR (400 MHz, CDCl3) δ 8.32 (s, 1H), 7.62 (s, 1H), 7.43 - 7.36 (m, 2H), 7.21 (dt, J =

Cmpd No.	LC/MS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			7.4, 1.9 Hz, 2H), 6.82 (s, 1H), 6.63 (s, 1H), 6.45 (s, 1H), 4.78 - 4.65 (m, 4H), 3.66 - 3.50 (m, 1H), 3.38 - 3.28 (m, 4H), 2.64 (q, J = 7.6 Hz, 2H), 2.57 (s, 3H), 2.56 - 2.51 (m, 4H), 1.32 - 1.21 (m, 3H) ppm.
464	380	0.63	1H NMR (400 MHz, Acetone-d6) δ 9.09 (s, 1H), 9.01 (d, J = 1.1 Hz, 1H), 8.92 (d, J = 5.5 Hz, 1H), 8.44 (s, 1H), 7.81 (dd, J = 5.5, 1.3 Hz, 1H), 7.29 (d, J = 1.9 Hz, 1H), 6.99 (s, 1H), 6.42 (s, 1H), 3.78 (d, J = 12.4 Hz, 2H), 3.30 (s, 3H), 3.25 (d, J = 6.2 Hz, 2H), 2.87 - 2.62 (m, 2H), 2.28 (s, 3H), 1.88 - 1.70 (m, 3H - methine contained in this muliplet), 1.38 (ddd, J = 15.6, 12.4, 4.1 Hz, 2H) ppm.
465	390.37	0.72	1H NMR (300 MHz, CDCl3) δ 8.34 (s, 1H), 7.74 - 7.64 (m, 2H), 7.58 - 7.47 (m, 2H), 7.42 - 7.34 (m, 1H), 7.18 (t, J = 2.0 Hz, 1H), 7.14 - 7.08 (m, 1H), 6.82 (s, 1H), 6.59 - 6.52 (m, 1H), 6.24 (dd, J = 4.0, 2.0 Hz, 1H), 5.05 (td, J = 4.8, 2.2 Hz, 2H), 4.88 (td, J = 4.9, 1.8 Hz, 2H), 3.97 - 3.84 (m, 4H), 3.32 - 3.16 (m, 4H) ppm.
466	410	0.67	1H NMR (300 MHz, CDCl3) δ 8.30 (s, 1H), 7.30 (s, 1H), 7.29 - 7.23 (m, 3H), 7.14 (s, 1H), 6.78 (tt, J = 8.7, 2.3 Hz, 1H), 6.70 (d, J = 1.7 Hz, 2H), 3.26 - 3.15 (m, 1H), 3.11 (d, J = 11.2 Hz, 1H), 2.74 (ddd, J = 11.6, 7.5, 3.5 Hz, 1H), 2.36 (s, 3H), 2.32 - 2.11 (m, 2H), 1.96 (d, J = 12.4 Hz, 1H), 1.88 - 1.57 (m, 3H), 1.51 (td, J = 12.2, 4.0 Hz, 1H), 0.53 - 0.37 (m, 4H) ppm.
467	496.22	0.63	1H NMR (300 MHz, CDCl3) δ 8.29 (s, 1H), 7.23 (s, 1H), 6.78 - 6.65 (m, 3H), 6.61 (s, 1H), 6.39 (s, 1H), 6.23 (d, J = 11.5 Hz, 1H), 5.43 (d, J = 52.9 Hz, 1H), 3.96 - 3.63 (m, 4H), 3.63 - 3.44 (m, 4H), 3.39 (d, J = 10.2 Hz, 1H), 3.06 - 2.70 (m, 4H), 2.48 (d, J = 7.9 Hz, 4H), 2.34 (s, 3H), 2.17 (s, 1H), 0.89 (d, J = 7.8 Hz, 2H) ppm.
468	423.49	0.67	1H NMR (300 MHz, CDCl3) δ 8.33 (s, 1H), 7.57 - 7.40 (m, 3H), 7.16 (t, J = 2.1 Hz, 1H), 7.10 - 6.98 (m, 1H), 6.84 (s, 1H), 6.70 (s, 1H), 6.45 (s, 1H), 4.85 - 4.57 (m, 4H), 3.59 (p, J = 6.4 Hz, 1H), 3.43 - 3.18 (m, 4H), 2.64 (q, J = 7.6 Hz, 2H), 2.60 - 2.40 (m, 4H), 1.28 (t, J = 7.6 Hz, 3H)

Cmpd No.	LC/MS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			ppm.
469	441.24	0.66	1H NMR (300 MHz, CDCl3) δ 8.53 (d, J = 2.4 Hz, 1H), 7.75 (ddd, J = 9.2, 6.1, 3.1 Hz, 1H), 7.27 - 7.19 (m, 1H), 7.14 (s, 1H), 6.99 (ddd, J = 12.4, 7.0, 3.3 Hz, 1H), 6.80 (s, 1H), 6.73 (s, 1H), 6.43 (s, 1H), 4.67 (d, J = 5.6 Hz, 2H), 4.30 (d, J = 5.7 Hz, 2H), 3.46 - 3.15 (m, 4H), 2.67 - 2.47 (m, 4H), 2.36 (s, 3H), 1.44 (s, 3H) ppm.
470	407.47	0.65	1H NMR (300 MHz, CDCl3) δ 9.21 (d, J = 1.4 Hz, 1H), 9.21 (d, J = 1.4 Hz, 1H), 8.93 (s, 1H), 8.57 (d, J = 2.5 Hz, 1H), 8.40 (dd, J = 2.5, 1.5 Hz, 1H), 7.34 (d, J = 2.2 Hz, 1H), 7.03 (d, J = 2.1 Hz, 1H), 6.79 (s, 1H), 4.88 - 4.58 (m, 4H), 3.64 (p, J = 6.5 Hz, 1H), 3.04 (t, J = 4.6 Hz, 4H), 2.32 (s, 3H), 2.20 (s, 3H) ppm.
471	423.19	0.59	1H NMR (300 MHz, CDCl3) δ 9.16 (d, J = 1.3 Hz, 1H), 8.95 (s, 1H), 8.56 (d, J = 2.5 Hz, 1H), 8.41 (dd, J = 2.5, 1.5 Hz, 1H), 7.90 (d, J = 2.8 Hz, 1H), 7.47 (s, 1H), 6.39 (d, J = 2.7 Hz, 1H), 4.81 - 4.63 (m, 4H), 3.78 (s, 3H), 3.59 (p, J = 6.5 Hz, 1H), 3.37 - 3.24 (m, 4H), 2.62 - 2.50 (m, 4H), 2.33 (s, 3H) ppm.
472	427.45	0.66	H NMR (300 MHz, DMSO-d6) δ 9.21 (s, 1H), 9.14 (s, 1H), 7.77 - 7.53 (m, 2H), 7.23 (tt, J = 9.3, 2.2 Hz, 1H), 6.94 (s, 1H), 6.49 (s, 1H), 5.94 (s, 1H), 5.66 (d, J = 6.5 Hz, 1H), 4.56 (td, J = 6.4, 4.0 Hz, 2H), 4.45 (dt, J = 8.6, 5.9 Hz, 2H), 3.88 (d, J = 5.9 Hz, 1H), 3.74 - 3.51 (m, 1H), 2.89 - 2.69 (m, 1H), 2.62 (dd, J = 13.7, 8.2 Hz, 1H), 2.41 (dt, J = 8.9, 5.9 Hz, 2H), 2.31 - 2.19 (m, 1H), 2.15 (s, 3H), 1.67 (td, J = 12.6, 7.2 Hz, 1H) ppm.
473			1H NMR (400 MHz, DMSO-d6) δ 9.42 (s, 1H), 9.16 (s, 1H), 7.62 (dd, J = 8.6, 2.1 Hz, 2H), 7.52 (s, 1H), 7.29 - 7.19 (m, 1H), 7.18 (s, 1H), 6.62 (s, 1H), 4.58 (td, J = 6.5, 1.9 Hz, 2H), 4.50 (td, J = 6.0, 1.2 Hz, 2H), 3.69 - 3.60 (m, 1H), 3.29 - 3.21 (m, 1H), 2.97 (t, J = 8.4 Hz, 1H), 2.73 (dd, J = 14.9, 7.8 Hz, 1H), 2.59 (td, J = 8.7, 5.6 Hz, 1H), 2.44 - 2.38 (m, 1H), 2.26 (s, 3H), 1.79 (dt, J = 13.8, 8.3 Hz, 1H) ppm.

Cmpd No.	LC/MS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
475	426.3	4.59	1H NMR (300 MHz, DMSO-d6) δ 9.21 (s, 1H), 7.56 (m, 4H), 7.26 (t, J = 9.3 Hz, 1H), 6.81 (s, 1H), 3.84 - 3.75 (m, 4H), 3.28 - 3.15 (m, 4H) ppm.
476	423.17	0.6	1H NMR (400 MHz, CDCl3) δ 8.46 (d, J = 2.4 Hz, 1H), 7.77 (dd, J = 7.4, 1.9 Hz, 1H), 7.19 (s, 1H), 7.16 (dd, J = 11.5, 8.4 Hz, 1H), 7.12 - 7.06 (m, 1H), 6.78 (s, 1H), 6.67 (s, 1H), 6.41 (s, 1H), 4.71 (dt, J = 14.3, 6.4 Hz, 4H), 3.65 - 3.52 (m, 1H), 3.38 - 3.26 (m, 4H), 2.59 - 2.49 (m, 4H), 2.41 (s, 3H), 2.35 (s, 3H) ppm.
477	406.18	0.8	1H NMR (300 MHz, CD3OD) δ 8.84 (s, 1H), 7.81 (ddd, J = 11.4, 6.9, 2.6 Hz, 1H), 7.64 (dddd, J = 9.0, 4.1, 2.6, 1.6 Hz, 1H), 7.45 (dt, J = 10.2, 8.7 Hz, 1H), 7.02 (q, J = 1.5 Hz, 2H), 4.43 (d, J = 11.0 Hz, 2H), 3.60 (d, J = 9.1 Hz, 2H), 3.20 (s, 4H), 2.96 (s, 3H) ppm.
478	475.11	0.63	1H NMR (300 MHz, CDCl3) δ 8.31 (s, 1H), 7.76 - 7.67 (m, 2H), 7.37 (d, J = 8.4 Hz, 2H), 7.08 (s, 1H), 6.83 (s, 1H), 6.67 (s, 1H), 6.42 (s, 1H), 4.79 - 4.63 (m, 4H), 3.59 (p, J = 6.4 Hz, 1H), 3.36 - 3.24 (m, 4H), 2.59 - 2.46 (m, 4H), 2.35 (s, 3H) ppm.
479	361	0.61	1H NMR (400 MHz, CDCl3) δ 8.30 (s, 1H), 7.66 (dd, J = 8.6, 1.0 Hz, 2H), 7.49 (t, J = 8.0 Hz, 2H), 7.33 (t, J = 7.4 Hz, 1H), 6.83 (s, 1H exch), 6.58 (d, J = 5.0 Hz, 2H), 6.03 (s, 1H), 4.25 (s, 1H), 3.56 (s, 1H), 3.42 (s, 2H), 3.08 (d, J = 9.4 Hz, 1H), 2.72 (d, J = 9.5 Hz, 1H), 2.42 (s, 3H), 2.30 (s, 3H), 2.04 (d, J = 9.6 Hz, 2H - heavy due to a broad peak underneath), 1.94 (d, J = 9.5 Hz, 1H) ppm.
480	508.23	0.58	1H NMR (300 MHz, CDCl3) δ 8.28 (s, 1H), 7.40 (s, 1H), 6.84 (s, 1H), 6.77 (s, 1H), 6.65 - 6.51 (m, 2H), 6.41 (s, 1H), 6.18 (dt, J = 11.8, 2.1 Hz, 1H), 4.73 (dt, J = 14.6, 6.3 Hz, 4H), 3.79 (dd, J = 10.5, 6.1 Hz, 1H), 3.71 - 3.50 (m, 3H), 3.48 - 3.37 (m, 2H), 3.36 - 3.28 (m, 4H), 3.22 (dd, J = 9.5, 6.6 Hz, 1H), 2.82 (s, 1H), 2.70 - 2.57 (m, 2H), 2.58 - 2.49 (m, 4H), 2.32 (s, 3H), 2.18 (tt, J = 16.0, 6.7 Hz, 1H), 1.86 (ddd, J = 15.8, 12.7, 7.9 Hz, 1H), 1.75 (s, 1H) ppm.

Cmpd No.	LC/MS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
481	378	0.6	1H NMR (400 MHz, Acetone-d6) δ 8.77 (s, 1H), 8.15 (s, 1H), 7.86 (dd, J = 8.6, 1.0 Hz, 2H), 7.54 (dd, J = 8.4, 7.6 Hz, 2H), 7.39 - 7.30 (m, 2H), 6.96 (s, 1H), 6.36 (s, 1H), 3.77 (d, J = 12.4 Hz, 2H), 3.29 (s, 3H), 3.25 (d, J = 6.2 Hz, 2H), 2.72 (td, J = 12.3, 2.4 Hz, 2H), 2.26 (s, 3H), 1.80 (d, J = 12.9 Hz, 2H), 1.76 - 1.67 (m, 1H), 1.37 (qd, J = 12.4, 4.0 Hz, 2H) ppm.
482	411.48	0.67	1H NMR (300 MHz, DMSO-d6) δ 9.29 (s, 1H), 9.14 (s, 1H), 7.71 - 7.50 (m, 2H), 7.23 (tt, J = 9.3, 2.2 Hz, 1H), 7.16 (s, 1H), 6.84 (s, 1H), 6.30 (s, 1H), 3.17 - 2.99 (m, 4H), 2.80 - 2.60 (m, 4H), 2.25 (d, J = 14.5 Hz, 3H), 1.77 - 1.56 (m, 1H), 0.58 - 0.40 (m, 2H), 0.41 - 0.23 (m, 2H) ppm.
483	418.5	0.65	1H NMR (300 MHz, CDCl3) δ 8.33 (s, 1H), 7.74 - 7.67 (m, 2H), 7.56 - 7.47 (m, 2H), 7.41 - 7.33 (m, 1H), 7.24 (s, 2H), 6.68 (d, J = 5.5 Hz, 2H), 4.54 (d, J = 5.6 Hz, 2H), 4.37 (d, J = 5.7 Hz, 2H), 2.75 (d, J = 11.5 Hz, 2H), 2.60 (s, 2H), 2.54 - 2.41 (m, 1H), 2.37 (s, 3H), 2.21 - 2.08 (m, 2H), 1.89 - 1.75 (m, 4H), 1.46 (s, 3H) ppm.
484	445.31	0.66	1H NMR (300 MHz, DMSO-d6) δ 9.38 (s, 1H), 8.87 (d, J = 2.3 Hz, 1H), 7.69 - 7.52 (m, 2H), 7.13 (s, 1H), 6.86 (s, 1H), 6.32 (s, 1H), 4.57 (t, J = 6.5 Hz, 2H), 4.47 (t, J = 6.0 Hz, 2H), 3.50 - 3.39 (m, 1H), 3.19 - 3.09 (m, 4H), 2.45 - 2.35 (m, 4H), 2.22 (s, 3H) ppm.
485	427.16	2.9	1H NMR (300 MHz, DMSO-d6) δ 9.33 (s, 1H), 9.15 (s, 1H), 7.60 (d, J = 6.5 Hz, 2H), 7.24 (t, J = 9.3 Hz, 1H), 6.74 (s, 1H), 6.69 (s, 1H), 5.82 (s, 1H), 3.89 (t, J = 6.9 Hz, 2H), 3.63 - 3.53 (m, 6H), 3.29 - 3.22 (m, 1H), 2.34 (m, 4H), 2.19 (s, 3H) ppm.
486	403.28	3.08	1H NMR (300 MHz, DMSO-d6) δ 9.13 (s, 1H), 7.89 - 7.79 (d, 2H), 7.56 (m, 4H), 7.38 (t, J = 7.4 Hz, 1H), 6.84 (s, 1H), 3.88 (d, J = 9.7 Hz, 2H), 3.51 (m, 2H), 3.19 (m, 4H), 2.85 (s, 3H) ppm.
487			1H NMR (300 MHz, DMSO-d6) δ 9.46 (s, 1H), 9.17 (s, 1H), 7.74 (s, 1H), 7.69 - 7.58 (m, 2H), 7.25 (m, 2H), 6.85 (s, 1H), 5.18 (s, 1H), 4.57 (dd, J = 9.9, 4.3 Hz, 2H), 4.51 (dd, J = 13.1, 6.0 Hz, 2H), 3.82 - 3.71 (m, 1H), 2.92 - 2.70 (m,

Cmpd No.	LC/MS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			4H), 2.29 (s, 3H), 2.21 - 1.97 (m, 2H) ppm.
488	354.83	0.73	1H NMR (300 MHz, CDCl3) δ 8.92 (s, 1H), 7.83 (t, J = 7.8 Hz, 1H), 7.74 (dd, J = 8.0, 0.9 Hz, 1H), 7.25 (dd, J = 7.7, 0.9 Hz, 1H), 6.76 (s, 2H), 6.64 (d, J = 1.6 Hz, 1H), 6.09 (s, 1H), 3.45 - 3.26 (m, 4H), 2.40 - 2.31 (s, 3H), 2.04 (td, J = 6.3, 3.1 Hz, 4H) ppm.
489	421.51	0.61	1H NMR (300 MHz, CDCl3) δ 9.19 (d, J = 1.4 Hz, 1H), 8.92 (s, 1H), 8.57 (d, J = 2.5 Hz, 1H), 8.41 (dd, J = 2.5, 1.5 Hz, 1H), 7.18 (t, J = 2.0 Hz, 1H), 6.84 (s, 1H), 6.76 (s, 1H), 6.48 (s, 1H), 4.68 (d, J = 5.5 Hz, 2H), 4.30 (d, J = 5.7 Hz, 2H), 3.39 - 3.23 (m, 4H), 2.65 (q, J = 7.6 Hz, 2H), 2.61 - 2.46 (m, 4H), 1.45 (s, 3H), 1.29 (t, J = 7.6 Hz, 3H) ppm.
490	400.24	0.8	1H NMR (300 MHz, DMSO-d6) δ 9.20 (s, 1H), 9.15 (s, 1H), 7.72 - 7.60 (m, 2H), 7.28 - 7.17 (m, 1H), 7.04 (s, 1H), 6.46 (s, 1H), 6.04 (s, 1H), 5.31 (t, J = 6.2 Hz, 1H), 3.75 (t, J = 6.4 Hz, 2H), 3.06 (d, J = 6.0 Hz, 2H), 2.14 (s, 3H), 1.95 - 1.83 (m, 3H), 1.65 - 1.56 (m, 1H), 1.20 (s, 3H) ppm.
491	522.23	0.65	1H NMR (300 MHz, CDCl3) δ 8.27 (s, 1H), 7.22 (s, 1H), 6.76 (d, J = 10.3 Hz, 2H), 6.71 - 6.58 (m, 2H), 6.40 (s, 1H), 6.32 (dd, J = 12.0, 2.1 Hz, 1H), 4.77 - 4.60 (m, 4H), 3.92 (s, 1H), 3.59 (dd, J = 12.9, 6.4 Hz, 1H), 3.54 - 3.43 (m, 2H), 3.40 (s, 3H), 3.30 (dd, J = 11.0, 6.3 Hz, 5H), 3.24 - 3.15 (m, 1H), 2.59 - 2.46 (m, 4H), 2.34 (s, 3H), 2.07 (m, 2H) ppm.
492	401.18	0.64	1H NMR (300 MHz, DMSO-d6) δ 9.63 (s, 1H), 9.10 (s, 1H), 8.04 - 7.91 (m, 1H), 7.75 - 7.58 (m, 2H), 6.73 (d, J = 1.6 Hz, 1H), 6.61 (d, J = 1.6 Hz, 1H), 5.41 (d, J = 53.7 Hz, 1H), 3.73 - 3.46 (m, 3H), 3.42 - 3.32 (m, 1H), 2.25 (d, J = 8.9 Hz, 2H), 1.82 (dd, J = 8.7, 4.0 Hz, 1H), 0.96 - 0.83 (m, 2H), 0.78 (dd, J = 8.2, 2.8 Hz, 2H) ppm.
493	372.42	0.66	1H NMR (300 MHz, DMSO-d6) δ 9.32 (s, 1H), 9.15 (s, 1H), 7.59 (dd, J = 8.6, 2.1 Hz, 2H), 7.25 (dd, J = 10.4, 8.1 Hz, 1H), 6.68 (d, J = 8.9 Hz, 2H), 5.78 (s, 1H), 4.76 (t, J = 5.3 Hz, 1H), 3.80 (t, J = 7.4 Hz, 2H), 3.55 (dt, J = 18.8, 6.3 Hz, 4H), 2.75 (d, J = 7.7 Hz, 1H), 2.19 (s, 3H) ppm.

Cmpd No.	LC/MS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
494	520.39	0.64	1H NMR (400 MHz, CDCl3) δ 8.26 (s, 1H), 7.08 (t, J = 1.8 Hz, 1H), 6.73 - 6.67 (m, 3H), 6.57 (s, 1H), 6.37 (s, 1H), 6.08 (dt, J = 10.5, 1.9 Hz, 1H), 4.70 (p, J = 6.2 Hz, 4H), 4.45 - 4.31 (m, 1H), 4.22 - 4.15 (m, 2H), 3.81 (dd, J = 8.0, 4.3 Hz, 2H), 3.64 - 3.51 (m, 1H), 3.37 (s, 3H), 3.34 - 3.25 (m, 4H), 2.57 - 2.48 (m, 4H), 1.94 - 1.82 (m, 1H), 1.01 - 0.87 (m, 2H), 0.81 - 0.68 (m, 2H) ppm.
495	447	0.52	1H NMR (400 MHz, CDCl3) δ 8.36 (s, 1H), 8.18 (d, J = 5.5 Hz, 1H), 7.19 (s, 1H), 6.86 (d, J = 1.6 Hz, 1H), 6.72 (s, 1H), 6.68 (dd, J = 5.6, 1.7 Hz, 1H), 6.65 (s, 1H), 3.80 - 3.60 (m, 4H), 3.33 (s, 4H), 2.66 (s, 4H), 2.42 (s, 3H), 2.31 (s, 3H), 1.84 (s, 4H), 1.59 (dd, J = 6.2, 2.8 Hz, 4H) ppm.
496	400.24	0.69	1H NMR (300 MHz, DMSO-d6) δ 9.21 (s, 1H), 9.14 (s, 1H), 7.65 (dd, J = 8.7, 2.1 Hz, 2H), 7.29 - 7.18 (m, 1H), 6.95 (s, 1H), 6.47 (s, 1H), 5.93 (s, 1H), 5.51 (s, 1H), 3.91 - 3.72 (m, 2H), 3.59 (dd, J = 14.5, 7.9 Hz, 1H), 3.08 (s, 2H), 2.14 (s, 3H), 1.97 (m, 1H), 1.86 - 1.71 (m, 4H), 1.49 - 1.39 (m, 1H) ppm.
497	360.09	3.36	
498	401.18	0.64	1H NMR (400 MHz, DMSO-d6) δ 9.67 (s, 1H), 9.12 (s, 1H), 8.05 - 7.90 (m, 1H), 7.68 (dt, J = 17.4, 5.7 Hz, 2H), 6.73 (d, J = 1.6 Hz, 1H), 6.62 (d, J = 1.5 Hz, 1H), 5.41 (d, J = 54.0 Hz, 1H), 3.72 - 3.44 (m, 3H), 3.37 (dd, J = 16.5, 9.3 Hz, 1H), 2.22 (d, J = 14.5 Hz, 2H), 1.83 (td, J = 8.0, 4.1 Hz, 1H), 0.87 (dd, J = 15.2, 5.6 Hz, 2H), 0.78 (dd, J = 8.1, 3.0 Hz, 2H) ppm.
499	374	0.59	1H NMR (300 MHz, DMSO-d6) δ 9.29 (s, 1H), 9.16 (s, 1H), 8.31 (s, 1H), 8.24 - 8.09 (m, 1H), 7.78 (t, J = 7.2 Hz, 2H), 7.21 (s, 1H), 6.86 (s, 1H), 6.32 (s, 1H), 3.15 (d, J = 4.4 Hz, 3H), 2.56 - 2.40 (m, 4H - CH2s under solvent peak, 2.23 (s, 6H both CH3s co-incident) ppm.
500	427.21	0.81	1H NMR (300 MHz, DMSO-d6) δ 9.31 (s, 1H), 9.16 (s, 1H), 7.60 (d, J = 6.9 Hz, 2H), 7.25 (dd, J = 10.3, 8.0 Hz, 1H), 6.94 (d, J = 10.3 Hz, 1H), 6.67 - 6.61 (m, 1H), 5.97 (s, 1H), 5.18 (m, 1H), 4.67 (m, 1H), 3.51 - 3.37 (m, 2H), 3.28 - 3.12

Cmpd No.	LC/MS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			(m, 3H), 2.90 (s, 2H), 2.75 (s, 1H), 2.21 (s, 3H), 2.12 (s, 1H), 2.02 (s, 2H) ppm.
501	428.49	0.58	1H NMR (300 MHz, CDCl3) δ 8.28 (s, 1H), 7.60 (ddd, J = 10.8, 6.8, 2.5 Hz, 1H), 7.47 - 7.38 (m, 1H), 7.37 - 7.28 (m, 2H), 7.20 (d, J = 4.0 Hz, 2H), 5.80 (s, 1H), 4.06 (t, J = 7.5 Hz, 2H), 3.87 (dd, J = 8.1, 5.4 Hz, 2H), 3.82 - 3.66 (m, 4H), 3.41 - 3.23 (m, 1H), 2.47 (d, J = 4.3 Hz, 4H), 2.33 (s, 3H) ppm.
502	392.49	0.56	1H NMR (300 MHz, CDCl3) δ 8.34 (s, 1H), 7.70 (d, J = 7.7 Hz, 2H), 7.52 (t, J = 7.9 Hz, 2H), 7.37 (t, J = 7.4 Hz, 1H), 7.28 (s, 1H), 7.20 (s, 1H), 5.79 (s, 1H), 4.06 (t, J = 7.5 Hz, 2H), 4.25 - 3.69 (m, 9H), 3.87 (dd, J = 8.0, 5.4 Hz, 2H), 3.83 - 3.66 (m, 4H), 3.39 - 3.24 (m, 1H), 3.47 - 3.24 (m, 1H), 2.47 (d, J = 4.2 Hz, 4H), 2.58 - 2.17 (m, 8H), 2.32 (s, 3H) ppm.
503	393.48	0.54	1H NMR (300 MHz, CDCl3) δ 8.97 (s, 1H), 8.44 (dd, J = 4.1, 1.0 Hz, 1H), 7.97 - 7.81 (m, 2H), 7.28 - 7.22 (m, 3H), 5.81 (s, 1H), 4.06 (t, J = 7.5 Hz, 2H), 3.87 (dd, J = 8.0, 5.4 Hz, 2H), 3.82 - 3.66 (m, 4H), 3.40 - 3.26 (m, 1H), 2.47 (d, J = 4.2 Hz, 4H), 2.34 (s, 3H) ppm.
504	411.52	0.54	1H NMR (300 MHz, CDCl3) δ 8.49 (s, 1H), 8.33 (d, J = 5.6 Hz, 1H), 7.57 - 7.47 (m, 1H), 7.31 (s, 1H), 7.28 - 7.25 (m, 1H), 7.21 (s, 1H), 5.83 (s, 1H), 4.07 (t, J = 7.5 Hz, 2H), 3.87 (dd, J = 8.1, 5.4 Hz, 2H), 3.83 - 3.69 (m, 4H), 3.39 - 3.25 (m, 1H), 2.47 (s, 4H), 2.35 (s, 3H) ppm.
505	543.56	0.68	1H NMR (300 MHz, CDCl3) δ 8.33 (s, 1H), 7.27 (dd, J = 7.7, 2.4 Hz, 2H), 7.23 - 7.12 (m, 2H), 6.80 (tt, J = 8.7, 2.2 Hz, 1H), 6.73 (s, 1H), 6.38 (s, 1H), 4.37 - 3.98 (m, 4H), 3.33 (d, J = 11.9 Hz, 2H), 3.18 - 3.06 (m, 1H), 3.04 - 2.81 (m, 4H), 2.78 - 2.60 (m, 1H), 2.34 (s, 3H), 2.08 (d, J = 10.3 Hz, 6H) ppm.
506	398.21	0.76	1H NMR (300 MHz, DMSO-d6) δ 9.28 (s, 1H), 9.13 (s, 1H), 7.59 (dd, J = 8.7, 2.2 Hz, 2H), 7.23 (m, 1H), 6.70 (d, J = 4.5 Hz, 2H), 5.80 (s, 1H), 4.71 (dd, J = 7.8, 6.0 Hz, 2H), 4.32 (t, J = 6.0 Hz, 2H), 3.92 (t, J = 7.5 Hz, 2H), 3.52 (dd, J = 7.1, 5.4 Hz, 2H), 3.29 - 3.21 (m, 1H), 3.04 (m,

Cmpd No.	LC/MS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			1H), 2.19 (s, 3H) ppm.
507	446.3	0.69	1H NMR (300 MHz, DMSO-d6) δ 9.86 (s, 1H), 9.25 (s, 1H), 9.00 (d, J = 1.4 Hz, 1H), 8.68 (d, J = 2.5 Hz, 1H), 8.60 (dd, J = 2.5, 1.4 Hz, 1H), 7.56 (s, 1H), 7.38 (s, 1H), 6.73 (s, 1H), 4.63 (dd, J = 7.8, 5.9 Hz, 1H), 4.39 (t, J = 6.2 Hz, 1H), 3.79 (d, J = 12.4 Hz, 2H), 2.77 (m, 3H), 1.91 - 1.63 (m, 4H), 1.45 (s, 1H), 1.16 (m, 2H) ppm.
508	384.21	0.81	1H NMR (300 MHz, DMSO-d6) δ 9.29 (s, 1H), 9.14 (s, 1H), 7.67 - 7.52 (m, 2H), 7.23 (tt, J = 9.3, 2.3 Hz, 1H), 6.73 (s, 1H), 6.70 (s, 1H), 5.82 (s, 1H), 4.45 (t, J = 7.5 Hz, 2H), 4.06 (d, J = 9.4 Hz, 2H), 3.81 (d, J = 9.3 Hz, 2H), 2.88 (t, J = 7.5 Hz, 2H), 2.19 (s, 3H) ppm.
509	457.18	0.82	1H NMR (400 MHz, DMSO-d6) δ 9.32 (s, 1H), 9.15 (s, 1H), 7.60 (dd, J = 8.5, 2.1 Hz, 2H), 7.24 (tt, J = 9.3, 2.2 Hz, 1H), 7.13 (s, 1H), 6.87 (s, 1H), 6.31 (s, 1H), 3.17 (d, J = 5.0 Hz, 4H), 2.86 - 2.71 (m, 4H), 2.23 (s, 3H), 1.26 (s, 6H) ppm.
510	411.22	0.67	1H NMR (300 MHz, DMSO-d6) δ 9.27 (s, 1H), 9.14 (s, 1H), 7.59 (m, 2H), 7.28 - 7.17 (m, 1H), 6.73 (s, 1H), 6.68 (s, 1H), 5.80 (s, 1H), 3.89 (t, J = 7.0 Hz, 2H), 3.65 - 3.56 (m, 2H), 3.47 - 3.35 (m, 1H), 2.46 (m, 2H), 2.19 (s, 3H), 1.71 (m, 4H) ppm.
511	421.56	0.62	1H NMR (300 MHz, DMSO-d6) δ 9.48 (s, 1H), 9.30 (d, J = 5.3 Hz, 1H), 9.08 (d, J = 1.0 Hz, 1H), 8.98 (d, J = 5.6 Hz, 1H), 7.68 (dd, J = 5.6, 1.3 Hz, 1H), 7.11 (s, 1H), 7.05 (s, 1H), 6.41 (s, 1H), 4.58 (t, J = 6.5 Hz, 3H), 4.53 - 4.36 (m, 3H), 3.48 (dd, J = 12.4, 6.1 Hz, 1H), 3.20 - 3.12 (m, 4H), 2.81 (dt, J = 13.4, 6.6 Hz, 1H), 2.42 (dd, J = 13.0, 8.4 Hz, 4H), 1.19 (dd, J = 14.7, 7.0 Hz, 6H) ppm.
512	464.44	0.66	1H NMR (300 MHz, CDCl3) δ 8.35 (s, 1H), 7.48 (s, 1H), 7.33 (s, 1H), 7.25 (d, J = 2.2 Hz, 2H), 6.89 - 6.77 (m, 1H), 6.64 - 6.33 (m, 2H), 4.79 - 4.64 (m, 4H), 3.73 - 3.61 (m, 4H), 3.62 - 3.48 (m, 1H), 2.58 - 2.38 (m, 4H) ppm.
513	404.24	0.84	1H NMR (300 MHz, DMSO-d6) δ 9.88 (s, 1H), 9.26 (s, 1H), 9.04 (d, J = 1.3 Hz, 1H), 8.68 (d, J = 2.5 Hz, 1H), 8.59 (dd, J = 2.5, 1.4 Hz, 1H),

Cmpd No.	LC/MS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			7.42 (s, 1H), 7.36 (s, 1H), 6.53 (s, 1H), 4.75 (d, J = 6.3 Hz, 2H), 3.61 (d, J = 11.3 Hz, 2H), 3.49 (d, J = 11.3 Hz, 2H), 3.15 (m, 1H), 1.95 (d, J = 8.7 Hz, 1H) ppm.
514	447.34	0.61	1H NMR (300 MHz, DMSO-d6) δ 9.90 (s, 1H), 9.26 (s, 1H), 9.02 (d, J = 1.3 Hz, 1H), 8.68 (d, J = 2.5 Hz, 1H), 8.60 (dd, J = 2.5, 1.4 Hz, 1H), 7.53 (s, 1H), 7.45 (s, 1H), 6.77 (s, 1H), 4.59 (t, J = 6.5 Hz, 2H), 4.51 (t, J = 5.8 Hz, 2H), 3.51 (m, 1H), 3.30 - 3.15 (m, 4H), 2.49 (m, 4H) ppm.
515	444.17	0.82	1H NMR (400 MHz, DMSO-d6) δ 9.19 (s, 1H), 7.77 - 7.49 (m, 3H), 7.44 (s, 1H), 7.35 - 7.15 (m, 1H), 6.70 (s, 1H), 4.80 (d, J = 6.1 Hz, 4H), 4.56 (s, 1H), 3.49 (d, J = 9.3 Hz, 2H), 3.30 - 3.06 (m, 2H), 2.67 - 2.47 (m, 5H), 2.45 - 2.17 (m, 6H) ppm.
516	442.19	0.78	1H NMR (400 MHz, DMSO-d6) δ 9.43 (s, 1H), 9.18 (s, 1H), 7.75 - 7.57 (m, 3H), 7.24 (dd, J = 12.7, 5.6 Hz, 2H), 6.84 (s, 1H), 4.74 (s, 2H), 4.50 (d, J = 40.8 Hz, 3H), 3.44 (s, 1H), 2.30 (s, 3H), 2.24 (s, 2H), 1.92 (d, J = 12.4 Hz, 3H), 1.62 (d, J = 11.3 Hz, 2H) ppm.
517	372.14	0.78	1H NMR (400 MHz, DMSO-d6) δ 9.58 (s, 1H), 9.18 (s, 1H), 8.21 (s, 1H), 7.70 (d, J = 6.5 Hz, 2H), 7.23 (dd, J = 10.4, 8.1 Hz, 1H), 7.04 (s, 1H), 6.78 (s, 1H), 4.48 - 4.40 (m, 2H), 4.09 - 4.03 (m, 2H), 2.29 (s, 3H) ppm.
518	400.28	0.81	1H NMR (300 MHz, DMSO-d6) δ 9.27 (s, 1H), 9.14 (s, 1H), 7.65 - 7.53 (m, 2H), 7.30 - 7.13 (m, 1H), 6.76 (s, 1H), 6.66 (s, 1H), 5.81 (s, 1H), 5.33 (s, 1H), 3.78 (d, J = 7.6 Hz, 2H), 3.55 (d, J = 7.6 Hz, 2H), 2.19 (s, 3H), 1.84 (m, 1H), 0.91 (d, J = 6.8 Hz, 6H) ppm.
519	400.28	0.69	1H NMR (300 MHz, DMSO-d6) δ 9.25 (s, 1H), 9.14 (s, 1H), 7.60 (dd, J = 8.7, 2.2 Hz, 2H), 7.30 - 7.15 (m, 1H), 6.75 (s, 1H), 6.64 (s, 1H), 5.79 (s, 1H), 4.36 (s, 1H), 3.75 (t, J = 7.5 Hz, 2H), 3.67 (t, J = 6.8 Hz, 2H), 2.80 - 2.64 (m, 1H), 2.19 (s, 3H), 1.06 (s, 6H) ppm.
520	386.23	0.71	1H NMR (300 MHz, DMSO-d6) δ 9.17 (d, J = 2.8 Hz, 1H), 9.13 (d, J = 1.3 Hz, 1H), 7.71 - 7.56 (m, 2H), 7.22 (t, J = 9.3 Hz, 1H), 6.94 (s, 0.5H),

Cmpd No.	LC/MS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			6.85 (s, 0.5H), 6.51 (s, 0.5H), 6.48 (s, 0.5H), 5.90 (s, 0.5H), 5.87 (s, 0.5H), 5.80 - 5.66 (m, 1H), 4.06 - 3.95 (m, 0.5H), 3.91 - 3.79 (m, 0.5H), 3.65 (m, 0.5H), 3.41 (m, 0.5H), 3.15 (d, J = 2.7 Hz, 3H), 2.79 - 2.67 (m, 1H), 2.28 (m, 1H), 2.18 - 2.06 (m, 4H), 1.70 (m, 1H) ppm. mixture of cis and trans
521	392.58	0.58	1H NMR (300 MHz, DMSO-d6) δ 9.38 (s, 1H), 9.18 (s, 1H), 9.02 (dd, J = 7.7, 1.3 Hz, 1H), 8.64 (dd, J = 8.2, 2.0 Hz, 1H), 8.57 (dd, J = 2.5, 1.4 Hz, 1H), 7.18 (s, 1H), 6.87 (s, 1H), 6.32 (s, 1H), 4.61 (dt, J = 12.3, 6.2 Hz, 2H), 4.37 (dd, J = 14.4, 8.2 Hz, 2H), 3.69 (d, J = 12.3 Hz, 2H), 2.81 - 2.63 (m, 3H), 2.23 (s, 3H), 1.78 (dd, J = 21.3, 11.5 Hz, 1H), 1.67 (d, J = 12.8 Hz, 2H), 1.16 (qd, J = 12.4, 3.7 Hz, 2H) ppm.
522	408.6	0.64	1H NMR (300 MHz, DMSO-d6) δ 9.20 (s, 1H), 9.10 (s, 1H), 7.84 - 7.64 (m, 2H), 7.64 - 7.45 (m, 1H), 7.28 - 7.05 (m, 2H), 6.82 (s, 1H), 6.29 (s, 1H), 4.62 (dd, J = 7.9, 5.9 Hz, 2H), 4.46 - 4.25 (m, 2H), 3.68 (d, J = 12.3 Hz, 2H), 2.87 - 2.60 (m, 3H), 2.22 (s, 3H), 1.89 - 1.73 (m, 1H), 1.66 (d, J = 12.3 Hz, 2H), 1.15 (qd, J = 12.1, 3.6 Hz, 2H) ppm.
523	437.58	0.66	
524	464.49	0.66	1H NMR (300 MHz, CDCl3) δ 8.30 (s, 1H), 7.58 (ddd, J = 10.7, 6.8, 2.5 Hz, 1H), 7.48 (s, 1H), 7.45 - 7.39 (m, 1H), 7.34 (dd, J = 9.0, 7.8 Hz, 2H), 6.54 (dd, J = 87.5, 31.4 Hz, 2H), 4.80 - 4.63 (m, 4H), 3.72 - 3.49 (m, 5H), 2.53 - 2.36 (m, 4H) ppm.
525	446.44	0.64	1H NMR (300 MHz, CDCl3) δ 8.37 (s, 1H), 7.56 - 7.43 (m, 4H), 7.40 (s, 1H), 7.08 (tdd, J = 7.4, 4.9, 2.6 Hz, 1H), 6.54 (dd, J = 88.5, 32.4 Hz, 2H), 4.79 - 4.60 (m, 4H), 3.71 - 3.49 (m, 5H), 2.52 - 2.37 (m, 4H) ppm.
526	428.58	0.64	1H NMR (300 MHz, CDCl3) δ 8.36 (s, 1H), 7.74 - 7.65 (m, 2H), 7.53 (dd, J = 11.3, 5.8 Hz, 3H), 7.39 (ddd, J = 9.1, 8.7, 4.9 Hz, 2H), 6.53 (dd, J = 89.5, 33.3 Hz, 2H), 4.80 - 4.62 (m, 4H), 3.70 - 3.50 (m, 5H), 2.51 - 2.39 (m, 4H) ppm.
527	384.25	0.9	1H NMR (300 MHz, DMSO-d6) δ 9.29 (s, 1H),

Cmpd No.	LC/MS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			9.15 (s, 1H), 7.60 (m, 2H), 7.23 (m, 1H), 7.03 (m, 1H), 6.78 (s, 1H), 6.13 (s, 1H), 4.71 (d, J = 6.4 Hz, 2H), 3.54 (d, J = 11.2 Hz, 2H), 3.41 (d, J = 11.0 Hz, 2H), 3.12 (m, 1H), 2.25 (s, 3H), 1.93 (d, J = 8.6 Hz, 1H) ppm.
528	412.35	0.68	1H NMR (300 MHz, DMSO-d6) δ 9.32 (s, 1H), 9.16 (s, 1H), 7.68 - 7.52 (m, 2H), 7.31 (s, 1H), 7.29 - 7.18 (m, 1H), 6.75 (s, 1H), 6.35 (s, 1H), 3.97 (d, J = 11.4 Hz, 1H), 3.83 (m, 3H), 3.10 (td, J = 11.1, 3.9 Hz, 1H), 2.79 - 2.68 (m, 1H), 2.68 - 2.57 (m, 1H), 2.22 (s, 3H), 2.09 - 1.94 (m, 2H), 1.61 (m, 3H) ppm.
529	372.51	0.6	1H NMR (300 MHz, CD3OD+CDCl3) δ 8.62 (s, 1H), 7.39 (dd, J = 8.0, 2.2 Hz, 2H), 7.23 (s, 1H), 6.85 (tt, J = 8.8, 2.3 Hz, 1H), 6.19 (s, 1H), 3.77 - 3.61 (m, 4H), 3.20 - 3.08 (m, 4H), 2.37 (s, 3H) ppm.
530	441.54	0.66	1H NMR (300 MHz, CD3OD +CDCl3) δ 8.39 (s, 1H), 7.08 (dd, J = 8.0, 2.0 Hz, 2H), 6.82 (s, 1H), 6.65 (s, 1H), 6.52 (ddd, J = 8.8, 5.5, 2.2 Hz, 1H), 6.10 (s, 1H), 3.77 (td, J = 8.4, 4.4 Hz, 2H), 3.61 (dd, J = 10.1, 6.4 Hz, 1H), 3.47 (dt, J = 28.4, 14.3 Hz, 2H), 3.17 (s, 4H), 2.87 (d, J = 13.9 Hz, 4H), 2.02 (s, 4H), 1.89 (dd, J = 27.7, 16.6 Hz, 1H) ppm.
531	441.49	0.66	1H NMR (300 MHz, CD3OD +CDCl3) δ 8.70 (s, 1H), 7.41 (dd, J = 7.8, 1.9 Hz, 2H), 7.21 (s, 1H), 6.97 - 6.74 (m, 2H), 6.42 (s, 1H), 3.99 (ddd, J = 15.4, 8.6, 5.7 Hz, 2H), 3.90 - 3.60 (m, 2H), 3.28 (t, J = 5.0 Hz, 4H), 3.16 - 3.00 (m, 1H), 2.86 - 2.56 (m, 4H), 2.33 (s, 3H), 2.25 - 2.08 (m, 1H), 1.99 - 1.88 (m, 1H) ppm.
532	429.48	0.62	1H NMR (300 MHz, CDCl3) δ 9.00 (s, 1H), 8.45 (d, J = 4.3 Hz, 1H), 7.90 (ddd, J = 17.5, 12.1, 4.8 Hz, 3H), 7.57 (s, 1H), 7.40 (s, 1H), 6.61 (t, J = 56.2 Hz, 1H), 6.38 (s, 1H), 4.79 - 4.63 (m, 4H), 3.70 - 3.61 (m, 4H), 3.55 (dd, J = 12.8, 6.5 Hz, 1H), 2.51 - 2.38 (m, 4H) ppm.
533	472.5	0.73	1H NMR (300 MHz, CDCl3) δ 8.34 (s, 1H), 7.29 (d, J = 2.3 Hz, 1H), 7.27 (d, J = 2.2 Hz, 1H), 7.22 (s, 1H), 7.17 (s, 1H), 6.81 (tt, J = 8.7, 2.3 Hz, 1H), 6.14 (s, 1H), 3.53 (t, J = 5.1 Hz,

Cmpd No.	LC/MS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			8H), 2.36 (s, 3H), 1.51 (s, 9H) ppm.
534	501.53	0.66	1H NMR (300 MHz, CDCl3) δ 8.32 (s, 1H), 7.25 (dd, J = 7.9, 2.2 Hz, 2H), 7.10 (d, J = 1.9 Hz, 1H), 6.87 - 6.69 (m, 3H), 6.41 (s, 1H), 4.01 (s, 2H), 3.36 - 3.18 (m, 4H), 2.82 (dd, J = 5.7, 2.9 Hz, 4H), 2.61 (d, J = 13.9 Hz, 1H), 2.42 (d, J = 13.9 Hz, 1H), 2.35 (s, 3H), 2.13 (s, 3H), 1.23 (s, 3H) ppm.
535	393.52	0.56	1H NMR (300 MHz, DMSO-d6) δ 9.37 (s, 1H), 9.28 (s, 2H), 9.20 (s, 1H), 9.16 (s, 1H), 7.14 (s, 1H), 6.89 (s, 1H), 6.32 (s, 1H), 4.57 (t, J = 6.5 Hz, 2H), 4.48 (t, J = 6.1 Hz, 2H), 3.54 - 3.39 (m, 1H), 3.23 - 3.12 (m, 3H), 2.47 - 2.32 (m, 4H), 2.23 (s, 3H) ppm.
536	421.21	0.62	1H NMR (300 MHz, DMSO-d6) δ 9.45 (s, 1H), 9.21 (s, 1H), 9.02 (d, J = 1.2 Hz, 1H), 8.66 (d, J = 2.5 Hz, 1H), 8.58 (dd, J = 2.5, 1.4 Hz, 1H), 7.13 (s, 1H), 7.06 (s, 1H), 6.40 (s, 1H), 4.58 (t, J = 6.5 Hz, 2H), 4.49 (t, J = 6.0 Hz, 2H), 3.50 - 3.41 (m, 1H), 3.16 (d, J = 4.7 Hz, 4H), 2.92 - 2.70 (m, 1H), 2.35 (d, J = 44.0 Hz, 4H), 1.21 (d, J = 6.9 Hz, 6H) ppm.
537	428.18	2.22	1H NMR (300 MHz, CDCl3) δ 8.48 (s, 1H), 7.48 (s, 1H), 7.34 - 7.21 (m, 4H), 6.84 (tt, J = 8.7, 2.2 Hz, 1H), 4.57 (d, J = 6.2 Hz, 4H), 3.97 (t, J = 4.4 Hz, 4H), 3.91 - 3.79 (m, 1H), 3.02 (s, 4H), 2.40 (s, 3H) ppm.
538	410.21	2.13	1H NMR (300 MHz, CDCl3) δ 8.48 (s, 1H), 7.48 (s, 1H), 7.34 - 7.21 (m, 4H), 6.84 (tt, J = 8.7, 2.2 Hz, 1H), 4.57 (d, J = 6.2 Hz, 4H), 3.97 (t, J = 4.4 Hz, 4H), 3.91 - 3.79 (m, 1H), 3.02 (s, 4H), 2.40 (s, 3H) ppm.
539	419	0.61	1H NMR (400 MHz, Acetone-d6) δ 9.11 (d, J = 1.3 Hz, 1H), 8.94 (s, 1H), 8.60 (d, J = 2.5 Hz, 1H), 8.48 (dd, J = 2.5, 1.5 Hz, 1H), 8.30 (s, 1H), 7.93 (s, 2H), 6.90 - 6.63 (m, 2H), 4.00 (t, J = 7.0 Hz, 2H), 3.74 - 3.57 (m, 5H), 3.40 - 3.23 (m, 2H), 1.94 - 1.75 (m, 1H), 0.91 (ddd, J = 8.4, 6.4, 4.2 Hz, 2H), 0.69 (dt, J = 6.5, 4.3 Hz, 2H) ppm.
540	482.54	0.68	1H NMR (300 MHz, CDCl3) δ 8.37 (s, 1H), 7.60 (s, 1H), 7.43 (s, 1H), 7.27 (s, 1H), 7.25 (d, J = 2.2 Hz, 1H), 6.83 (tt, J = 8.7, 2.2 Hz, 1H), 6.45

Cmpd No.	LC/MS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			(s, 1H), 4.71 (p, J = 6.4 Hz, 4H), 3.75 - 3.62 (m, 4H), 3.61 - 3.51 (m, 1H), 2.54 - 2.34 (m, 4H) ppm.
541	412.21	0.64	1H NMR (300 MHz, DMSO-d6) δ 9.34 (s, 1H), 9.16 (s, 1H), 7.62 (dd, J = 8.7, 2.2 Hz, 2H), 7.35 (s, 1H), 7.23 (tt, J = 9.3, 4.6 Hz, 1H), 6.80 (s, 1H), 6.37 (s, 1H), 4.32 (s, 4H), 3.05 - 2.96 (m, 2H), 2.23 (s, 3H), 1.83 - 1.71 (m, 2H), 1.58 (m, 2H) ppm.
542	425.18	0.74	1H NMR (300 MHz, DMSO-d6) δ 9.32 (s, 1H), 9.14 (s, 1H), 7.65 - 7.54 (m, 2H), 7.28 - 7.18 (m, 1H), 6.74 (s, 2H), 5.84 (s, 1H), 4.99 - 4.88 (m, 1H), 4.00 (t, J = 7.7 Hz, 2H), 3.88 - 3.80 (m, 2H), 3.53 (t, J = 6.9 Hz, 2H), 2.27 (t, J = 8.0 Hz, 2H), 2.20 (s, 3H), 2.02 - 1.90 (m, 2H) ppm.
543	412.56	0.9	1H NMR (300 MHz, DMSO-d6) δ 9.36 (s, 1H), 9.16 (s, 1H), 7.61 (dd, J = 8.6, 2.1 Hz, 2H), 7.35 (s, 1H), 7.27 - 7.18 (m, 1H), 6.77 (s, 1H), 6.34 (s, 1H), 3.70 - 3.60 (m, 2H), 3.07 (s, 2H), 3.04 - 2.96 (m, 2H), 2.23 (s, 3H), 1.99 (m, 4H), 1.86 - 1.65 (m, 2H) ppm.
544	407	0.48	1H NMR (400 MHz, CDCl3) δ 9.19 (s, 1H), 9.12 (s, 2H), 8.39 (s, 1H), 6.68 (s, 1H), 6.67 - 6.57 (m, 2H), 5.98 (s, 1H), 4.00 (t, J = 7.0 Hz, 2H), 3.75 (dd, J = 10.5, 5.0 Hz, 6H), 3.40 - 3.26 (m, 1H), 2.59 (q, J = 7.6 Hz, 2H), 2.46 (s, 4H), 1.24 (t, J = 7.6 Hz, 3H) ppm.
545	464.53	0.66	1H NMR (300 MHz, CDCl3) δ 8.38 (s, 1H), 7.64 (s, 1H), 7.57 - 7.43 (m, 3H), 7.39 (s, 1H), 7.15 - 7.03 (m, 1H), 6.44 (s, 1H), 4.71 (p, J = 6.4 Hz, 4H), 3.74 - 3.62 (m, 4H), 3.61 - 3.49 (m, 1H), 2.54 - 2.38 (m, 4H) ppm.
546	482.45	0.68	1H NMR (300 MHz, CDCl3) δ 8.31 (s, 1H), 7.64 - 7.52 (m, 2H), 7.49 - 7.30 (m, 3H), 6.44 (s, 1H), 4.71 (p, J = 6.4 Hz, 4H), 3.75 - 3.60 (m, 4H), 3.60 - 3.50 (m, 1H), 2.55 - 2.36 (m, 4H) ppm.
547	446.53	0.66	1H NMR (300 MHz, CDCl3) δ 8.37 (s, 1H), 7.73 - 7.66 (m, 3H), 7.54 (dd, J = 10.6, 5.1 Hz, 2H), 7.44 - 7.35 (m, 2H), 6.43 (s, 1H), 4.77 - 4.63 (m, 4H), 3.76 - 3.61 (m, 4H), 3.60 - 3.51 (m, 1H), 2.53 - 2.39 (m, 4H) ppm.

Cmpd No.	LC/MS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
548	447.52	0.65	1H NMR (300 MHz, CDCl3) δ 9.00 (s, 1H), 8.45 (dd, J = 4.8, 0.9 Hz, 1H), 7.98 - 7.88 (m, 1H), 7.84 (d, J = 8.1 Hz, 1H), 7.70 (s, 1H), 7.42 (s, 1H), 7.27 (d, J = 1.2 Hz, 1H), 6.44 (s, 1H), 4.79 - 4.64 (m, 4H), 3.74 - 3.62 (m, 4H), 3.52 (s, 1H), 2.54 - 2.39 (m, 4H) ppm.
549	407.56	0.58	1H NMR (300 MHz, DMSO-d6) δ 9.37 (s, 1H), 9.27 (s, 2H), 9.20 (s, 1H), 9.15 (s, 1H), 7.14 (s, 1H), 6.96 (s, 1H), 6.35 (s, 1H), 4.57 (t, J = 6.5 Hz, 2H), 4.48 (t, J = 6.1 Hz, 2H), 3.55 - 3.39 (m, 1H), 3.24 - 3.03 (m, 4H), 2.59 - 2.51 (m, 2H), 2.38 (dd, J = 26.7, 22.1 Hz, 4H), 1.19 (q, J = 7.8 Hz, 3H) ppm.
550	435.55	0.61	1H NMR (300 MHz, DMSO-d6) δ 9.36 (s, 1H), 9.26 (s, 2H), 9.21 (s, 1H), 9.15 (s, 1H), 7.25 (s, 1H), 7.09 (s, 1H), 6.51 (s, 1H), 4.58 (t, J = 6.5 Hz, 2H), 4.49 (t, J = 6.1 Hz, 2H), 3.54 - 3.38 (m, 1H), 3.22 - 3.04 (m, 4H), 2.47 - 2.33 (m, 4H), 1.28 (s, 9H) ppm.
551	372.27	0.72	1H NMR (300 MHz, DMSO-d6) δ 9.29 (s, 1H), 9.14 (s, 1H), 7.67 - 7.52 (m, 2H), 7.23 (tt, J = 9.3, 2.3 Hz, 1H), 6.73 (s, 1H), 6.69 (s, 1H), 5.81 (s, 1H), 5.48 (s, 1H), 3.72 (d, J = 7.2 Hz, 2H), 3.59 (d, J = 7.1 Hz, 2H), 2.19 (s, 3H), 1.46 (s, 3H) ppm.
552	439.56	0.68	1H NMR (300 MHz, DMSO-d6) δ 9.38 (s, 1H), 9.16 (s, 1H), 7.64 - 7.52 (m, 2H), 7.33 (s, 1H), 7.29 - 7.16 (m, 1H), 6.79 (s, 1H), 6.35 (s, 1H), 3.63 (d, J = 11.2 Hz, 1H), 3.57 - 3.42 (m, 2H), 3.02 (m, 1H), 2.94 - 2.66 (m, 4H), 2.23 (s, 3H) ppm.
553	386.59	0.76	1H NMR (300 MHz, DMSO-d6) δ 9.29 (s, 1H), 9.14 (s, 1H), 7.67 - 7.54 (m, 2H), 7.23 (tt, J = 9.3, 2.2 Hz, 1H), 6.75 (s, 1H), 6.68 (s, 1H), 5.82 (s, 1H), 5.40 (s, 1H), 3.74 (d, J = 7.4 Hz, 2H), 3.56 (d, J = 7.4 Hz, 2H), 2.20 (s, 3H), 1.72 (q, J = 7.2 Hz, 2H), 0.93 (t, J = 7.3 Hz, 3H) ppm.
554	497.52	0.89	1H NMR (300 MHz, CDCl3) δ 8.37 (s, 1H), 7.62 (s, 1H), 7.40 (s, 1H), 7.28 (s, 1H), 7.27 - 7.23 (m, 1H), 6.83 (tt, J = 8.7, 2.2 Hz, 1H), 6.43 (s, 1H), 4.44 (s, 1H), 3.73 - 3.61 (m, 4H), 3.59 - 3.50 (m, 4H), 3.41 - 3.27 (m, 2H), 2.98 (d, J =

Cmpd No.	LC/MS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			7.3 Hz, 1H), 1.23 - 1.11 (m, 3H) ppm.
555	468.49	0.88	1H NMR (300 MHz, CDCl3) δ 8.38 (s, 1H), 7.64 (s, 1H), 7.41 (s, 1H), 7.28 (s, 1H), 7.25 (d, J = 2.2 Hz, 1H), 6.84 (ddd, J = 8.7, 5.5, 2.3 Hz, 1H), 6.45 (s, 1H), 3.82 - 3.74 (m, 2H), 3.74 - 3.66 (m, 2H), 3.61 (dd, J = 10.6, 5.3 Hz, 4H), 2.21 (d, J = 19.0 Hz, 3H) ppm.
556	426.55	0.67	1H NMR (300 MHz, CDCl3) δ 8.36 (s, 1H), 7.58 (s, 1H), 7.40 (s, 1H), 7.27 (s, 1H), 7.25 (d, J = 2.1 Hz, 1H), 6.83 (tt, J = 8.7, 2.2 Hz, 1H), 6.45 (s, 1H), 3.64 - 3.54 (m, 4H), 3.08 - 2.92 (m, 4H) ppm.
557	526.23	1.09	1H NMR (300 MHz, CDCl3) δ 8.37 (s, 1H), 7.61 (s, 1H), 7.38 (s, 1H), 7.27 (s, 1H), 7.25 (d, J = 2.2 Hz, 1H), 6.83 (tt, J = 8.7, 2.3 Hz, 1H), 6.45 (s, 1H), 3.70 - 3.45 (m, 8H), 1.52 (s, 9H) ppm.
558	371	0.64	freebase - 1H NMR (400 MHz, DMSO-d6) δ 9.30 (s, 1H), 8.81 (d, J = 2.3 Hz, 1H), 7.69 (ddd, J = 9.2, 6.0, 3.2 Hz, 1H), 7.59 (ddd, J = 11.1, 9.2, 4.8 Hz, 1H), 7.37 - 7.25 (m, 1H), 7.15 (s, 1H), 6.83 (s, 1H), 6.28 (s, 1H), 3.31 (s, 2H), 3.13 - 2.95 (m, 4H), 2.93 - 2.76 (m, 4H), 2.21 (s, 3H) ppm. bis HCl salt - 1H NMR (400 MHz, DMSO-d6) δ 9.64 (s, 1H), 9.51 (s, 1H), 8.84 (d, J = 2.4 Hz, 1H), 7.79 (ddd, J = 9.1, 6.0, 3.2 Hz, 1H), 7.60 (ddd, J = 11.0, 9.3, 4.8 Hz, 1H), 7.43 - 7.19 (m, 1H), 7.04 (s, 1H), 6.49 (s, 1H), 3.59 - 3.38 (m, 4H), 3.29 (s, 4H), 2.26 (s, 3H) ppm.
559	455.32	3.05	1H NMR (300 MHz, CDCl3) δ 8.26 (s, 1H), 7.57 (ddd, J = 10.9, 6.8, 2.5 Hz, 1H), 7.45 - 7.35 (m, 1H), 7.32 (dd, J = 9.3, 8.1 Hz, 1H), 7.13 (t, J = 2.0 Hz, 1H), 6.80 (s, 1H), 6.71 (s, 1H), 6.44 (s, 1H), 4.83 - 4.61 (m, 4H), 3.69 - 3.50 (m, 1H), 3.43 - 3.21 (m, 4H), 2.63 - 2.40 (m, 6H), 1.67 (dt, J = 14.7, 7.4 Hz, 2H), 0.99 (t, J = 7.3 Hz, 3H) ppm.
560	373.5	0.63	1H NMR (300 MHz, CDCl3) δ 8.35 (s, 1H), 7.26 (dd, J = 7.8, 2.2 Hz, 2H), 6.92 - 6.76 (m, 3H), 6.60 (d, J = 1.3 Hz, 1H), 3.95 - 3.76 (m, 4H), 3.63 - 3.46 (m, 4H), 2.43 (s, 3H) ppm.
561	425	0.76	1H NMR (400 MHz, CDCl3) δ 8.31 (s, 1H), 7.25 - 7.19 (m, 3H), 6.79 (tt, J = 8.7, 2.3 Hz,

Cmpd No.	LC/MS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			1H), 6.73 (s, 1H), 6.68 (s, 1H), 6.41 (s, 1H), 4.19 - 4.08 (m, 1H), 3.87 - 3.75 (m, 2H), 3.73 - 3.65 (m, 1H), 3.06 (td, J = 12.7, 3.8 Hz, 1H), 2.76 (td, J = 12.2, 3.5 Hz, 1H), 2.53 (dd, J = 12.4, 11.3 Hz, 1H), 2.46 (dd, J = 9.6, 6.3 Hz, 2H), 2.33 (s, 3H), 2.27 (td, J = 13.1, 7.6 Hz, 1H), 1.80 - 1.65 (m, 1H) ppm.
562	442.62	0.62	1H NMR (300 MHz, CDCl3) δ 8.34 (s, 1H), 7.29 (d, J = 2.3 Hz, 1H), 7.27 (d, J = 2.2 Hz, 1H), 7.21 (s, 2H), 6.81 (tt, J = 8.7, 2.3 Hz, 1H), 6.13 (s, 1H), 4.05 - 3.91 (m, 2H), 3.83 (dd, J = 15.9, 8.2 Hz, 1H), 3.72 (dd, J = 8.5, 7.0 Hz, 1H), 3.56 (t, J = 5.1 Hz, 4H), 3.03 (p, J = 7.1 Hz, 1H), 2.66 (dt, J = 10.4, 5.0 Hz, 2H), 2.60 - 2.47 (m, 2H), 2.36 (s, 3H), 2.11 (ddt, J = 7.6, 4.3, 3.7 Hz, 1H), 1.93 (ddd, J = 15.9, 12.2, 8.2 Hz, 1H) ppm.
563	399.52	0.89	1H NMR (300 MHz, DMSO) δ 9.33 (s, 1H), 9.17 (s, 1H), 7.64 (m, 2H), 7.26 (m, 1H), 7.08 (s, 1H), 5.77 (s, 1H), 4.71 (dd, J = 7.8, 6.1 Hz, 2H), 4.31 (t, J = 6.0 Hz, 2H), 4.02 (t, J = 8.0 Hz, 2H), 3.63 (dd, J = 8.0, 5.3 Hz, 2H), 3.26 (m, 1H), 3.01 (m, 1H), 2.22 (s, 3H) ppm.
564	496.53	0.68	1H NMR (300 MHz, CDCl3) δ 8.37 (s, 1H), 7.59 (s, 1H), 7.42 (s, 1H), 7.27 (s, 1H), 7.25 (d, J = 2.1 Hz, 1H), 6.83 (tt, J = 8.7, 2.2 Hz, 1H), 6.44 (s, 1H), 4.07 - 3.90 (m, 2H), 3.83 (dd, J = 15.9, 8.1 Hz, 1H), 3.72 (dd, J = 8.5, 6.8 Hz, 1H), 3.62 (t, J = 5.1 Hz, 4H), 3.11 - 2.98 (m, 1H), 2.74 - 2.61 (m, 2H), 2.60 - 2.43 (m, 2H), 2.17 - 2.05 (m, 1H), 1.93 (ddd, J = 15.6, 12.3, 8.2 Hz, 1H) ppm.
565	443.25	0.65	1H NMR (400 MHz, CDCl3) δ 8.33 (s, 1H), 7.30 - 7.26 (m, 2H), 7.19 (s, 1H), 7.17 (s, 1H), 6.80 (tt, J = 8.7, 2.3 Hz, 1H), 6.16 (s, 1H), 4.24 (ddd, J = 12.3, 7.9, 4.4 Hz, 2H), 4.21 - 4.15 (m, 2H), 3.00 - 2.88 (m, 2H), 2.53 (tt, J = 11.1, 3.9 Hz, 1H), 2.35 (s, 3H), 2.00 (dd, J = 13.6, 3.3 Hz, 2H), 1.85 - 1.70 (m, 2H), 1.31 - 1.26 (m, 3H) ppm.
566	427	0.65	1H NMR (400 MHz, CDCl3) δ 8.29 (s, 1H), 7.23 (dd, J = 7.9, 2.2 Hz, 2H), 7.17 (s, 1H), 6.77 (tt, J = 8.7, 2.3 Hz, 1H), 6.71 (s, 1H), 6.63 (s,

Cmpd No.	LC/MS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			1H), 6.40 (s, 1H), 3.90 (dd, J = 11.3, 3.2 Hz, 1H), 3.77 (dtd, J = 13.8, 11.3, 2.5 Hz, 2H), 3.66 (d, J = 10.8 Hz, 1H), 3.46 (d, J = 10.3 Hz, 1H), 3.41 - 3.33 (m, 1H), 2.99 (td, J = 11.8, 3.0 Hz, 1H), 2.93 - 2.86 (m, 1H), 2.74 (d, J = 11.4 Hz, 1H), 2.60 - 2.39 (m, 4H), 2.33 (s, 3H) ppm.
567	482.49	0.66	1H NMR (300 MHz, CDCl3) δ 8.39 (s, 1H), 7.95 (s, 1H), 7.72 (d, J = 2.0 Hz, 1H), 7.24 (dd, J = 7.8, 2.2 Hz, 2H), 6.84 (tt, J = 8.7, 2.2 Hz, 1H), 6.75 (d, J = 2.1 Hz, 1H), 4.72 (dt, J = 12.4, 6.4 Hz, 4H), 3.64 - 3.46 (m, 5H), 2.63 - 2.47 (m, 4H) ppm.
568	389.15	0.62	1H NMR (400 MHz, CDCl3) δ 8.34 (s, 1H), 7.30 - 7.27 (m, 3H), 7.24 (s, 1H), 7.18 (s, 1H),6.81 (tt, J = 8.7, 2.3 Hz, 1H), 6.16 (s, 1H), 4.72 (dtt, J = 47.8, 7.3, 3.7 Hz, 1H), 4.03 - 3.88 (m, 1H), 3.67 - 3.51 (m, 2H), 3.45 - 3.35 (m, 1H), 2.35 (s, 3H), 2.12 - 1.97 (m, 1H), 1.97- 1.79 (m, 2H), 1.70 - 1.59 (m, 1H) ppm.
569	401.17	0.59	1H NMR (400 MHz, CDCl3) δ 8.33 (s, 1H), 7.31 - 7.25 (m, 2H), 7.17 (d, J = 8.0 Hz, 2H), 6.81 (tt, J = 8.7, 2.3 Hz, 1H), 6.17 (s, 1H), 3.88 (dt, J = 13.3, 4.3 Hz, 2H), 3.40 (ddd, J = 13.4, 10.0, 3.8 Hz, 2H), 2.35 (s, 3H), 1.78 - 1.62 (m, 4H), 1.31 (d, J = 4.9 Hz, 3H) ppm.
570	399.19	0.6	1H NMR (400 MHz, CDCl3) δ 8.33 (s, 1H), 7.30 - 7.26 (m, 2H), 7.23 (s, 1H), 7.20 (s, 1H), 6.81 (ddt, J = 7.9, 5.6, 2.8 Hz, 1H), 5.82 (s, 1H), 3.98 (s, 3H), 3.94 (s, 2H), 3.90 (dt, J = 7.0, 4.4 Hz, 3H), 2.34 (s, 3H), 2.22 (t, J = 7.0 Hz, 2H) ppm.
571	385.19	0.6	1H NMR (400 MHz, CDCl3) δ 8.33 (s, 1H), 7.27 (d, J = 2.2 Hz, 1H), 7.22 (s, 2H), 7.20 (s, 1H), 6.81 (ddd, J = 8.7, 5.5, 2.3 Hz, 1H), 5.81 (s, 1H), 4.60 (t, J = 7.5 Hz, 2H), 4.18 (dd, J = 10.6, 5.5 Hz, 4H), 2.93 (t, J = 7.5 Hz, 2H), 2.34 (s, 3H) ppm.
572	401.17	0.6	1H NMR (400 MHz, CDCl3) δ 8.33 (s, 1H), 7.29 - 7.25 (m, 3H), 7.18 (d, J = 5.8 Hz, 1H), 6.80 (ddt, J = 7.8, 3.3, 2.3 Hz, 1H), 5.81 (s, 1H), 4.05 - 3.84 (m, 4H), 2.77 (tt, J = 8.4, 6.0 Hz, 1H), 2.33 (s, 3H), 1.27 (s, 6H) ppm.

Cmpd No.	LC/MS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
573	553.38	0.54	1H NMR (400 MHz, DMSO-d6) δ 9.22 (s, 1H), 9.14 (s, 1H), 7.58 (d, J = 6.4 Hz, 2H), 7.23 (t, J = 9.2 Hz, 1H), 6.78 (d, J = 1.6 Hz, 2H), 6.02 (s, 1H), 3.08 (d, 8H), 2.67 - 2.59 (m, 8H), 1.04 (s, 18H) ppm.
574	553.33	0.5	1H NMR (400 MHz, DMSO-d6) δ 9.24 (s, 1H), 9.14 (s, 1H), 7.58 (d, J = 6.5 Hz, 2H), 7.23 (t, J = 9.3 Hz, 1H), 6.80 (d, J = 1.6 Hz, 2H), 6.07 (s, 1H), 4.57 (t, J = 6.5 Hz, 4H), 4.47 (t, J = 6.0 Hz, 4H), 3.49 - 3.40 (m, 2H), 3.19 - 3.09 (m, 8H), 2.45 - 2.36 (m, 8H) ppm.

[00568] **Table 3A**. Analytical Data

Cmpd No. in PRV2	LCMS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
575	448.22	0.63	1H NMR (400 MHz, CDCl3) δ 8.40 (s, 1H), 8.00 (d, J = 2.1 Hz, 1H), 7.89 (dt, J = 7.7, 1.9 Hz, 1H), 7.74 - 7.58 (m, 2H), 7.21 (t, J = 2.2 Hz, 1H), 6.83 (t, J = 1.8 Hz, 1H), 6.73 (s, 1H), 6.41 (t, J = 1.7 Hz, 1H), 4.19 (d, J = 12.2 Hz, 2H), 3.77 (d, J = 5.5 Hz, 4H), 2.87 (s, 2H), 2.65 (s, 4H), 2.36 (s, 3H) ppm.
576	430.65	0.62	1H NMR (300 MHz, MeOD+CDCl3) δ 9.16 (d, J = 13.5 Hz, 1H), 8.99 (d, J = 12.8 Hz, 1H), 8.59 (s, 1H), 8.47 (s, 1H), 7.49 (s, 1H), 6.57 (dd, J = 87.9, 31.7 Hz, 2H), 4.96 - 4.55 (m, 4H), 3.93 - 3.46 (m, 5H), 2.48 (s, 4H) ppm.
577	455.71	0.71	1H NMR (300 MHz, CDCl3) δ 8.26 (s, 1H), 7.58 (ddd, J = 10.9, 6.8, 2.5 Hz, 1H), 7.39 (tdd, J = 4.0, 3.2, 1.4 Hz, 1H), 7.32 (dd, J = 9.3, 8.1 Hz, 1H), 7.09 (t, J = 2.0 Hz, 1H), 6.84 (s, 1H), 6.70 (s, 1H), 6.46 (s, 1H), 4.67 (d, J = 5.5 Hz, 2H), 4.30 (d, J = 5.7 Hz, 2H), 3.40 - 3.16 (m, 4H), 2.64 (q, J = 7.6 Hz, 2H), 2.57 (dd, J = 10.9, 5.9 Hz, 4H), 1.44 (s, 3H), 1.28 (t, J = 7.6 Hz, 3H) ppm.
578	460.29	0.6	1H NMR (400 MHz, CDCl3) δ 8.42 (d, J = 6.6

Cmpd No. in PRV2	LCMS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			Hz, 1H), 7.98 (s, 1H), 7.90 (d, J = 7.7 Hz, 1H), 7.72 - 7.58 (m, 2H), 7.23 (d, J = 4.5 Hz, 2H), 6.14 (s, 1H), 4.81 - 4.62 (m, 4H), 3.62 - 3.57 (m, 4H), 3.55 (dd, J = 12.9, 6.5 Hz, 1H), 2.47 (dd, J = 15.4, 10.4 Hz, 4H), 2.35 (s, 3H) ppm.
579	478.2	0.69	1H NMR (300 MHz, CDCl3) δ 8.31 (s, 1H), 7.57 (ddd, J = 10.7, 6.8, 2.5 Hz, 1H), 7.50 (s, 1H), 7.42 (ddd, J = 6.3, 4.6, 3.2 Hz, 1H), 7.38 - 7.30 (m, 2H), 6.37 (s, 1H), 4.71 (p, J = 6.4 Hz, 4H), 3.73 - 3.60 (m, 4H), 3.54 (dd, J = 12.8, 6.4 Hz, 1H), 2.55 - 2.33 (m, 4H), 1.95 (t, J = 18.3 Hz, 3H) ppm.
580	442.66	0.63	1H NMR (300 MHz, CDCl3) δ 8.33 (s, 1H), 7.29 (d, J = 2.3 Hz, 1H), 7.27 (d, J = 2.2 Hz, 1H), 7.20 (d, J = 4.7 Hz, 2H), 6.81 (tt, J = 8.7, 2.2 Hz, 1H), 6.13 (s, 1H), 4.67 (d, J = 5.5 Hz, 2H), 4.29 (d, J = 5.7 Hz, 2H), 3.78 - 3.41 (m, 4H), 2.63 - 2.42 (m, 4H), 2.35 (s, 3H), 1.40 (s, 3H) ppm.
581	428.24	0.75	1H NMR (300 MHz, MeOD) δ 8.84 (s, 1H), 8.12 (s, 1H), 7.58 - 7.42 (m, 3H), 7.24 (s, 1H), 6.92 (tt, J = 9.0, 2.2 Hz, 1H), 6.75 (s, 1H), 4.76 - 4.61 (m, 4H), 4.54 (dd, J = 10.3, 1.8 Hz, 1H), 4.06 (dd, J = 11.6, 2.1 Hz, 1H), 3.85 (td, J = 11.6, 2.2 Hz, 1H), 3.64 - 3.52 (m, 1H), 2.84 (dd, J = 34.1, 11.5 Hz, 2H), 2.32 (s, 3H), 2.21 (td, J = 11.5, 3.4 Hz, 1H), 2.06 (t, J = 10.9 Hz, 1H) ppm.
582	483.84	2.82	1H NMR (300 MHz, DMSO-D6) δ 9.63 (s, 1H), 9.20 (s, 1H), 7.71 (d, J = 7.3 Hz, 2H), 7.59 (s, 1H), 7.26 (tt, J = 9.3, 2.3 Hz, 1H), 7.06 (s, 1H), 6.69 (s, 1H), 3.59 (t, J = 4.6 Hz, 4H), 3.53 - 3.39 (m, 4H), 3.39 - 3.26 (m, 4H), 3.21 (t, J = 4.7 Hz, 4H), 2.28 (s, 3H) ppm.
583	407.61	0.57	1H NMR (300 MHz, CDCl3) δ 9.25 (d, J = 1.3 Hz, 1H), 8.93 (s, 1H), 8.58 (d, J = 2.5 Hz, 1H), 8.40 (dd, J = 2.5, 1.5 Hz, 1H), 7.36 (d, J = 23.3 Hz, 2H), 6.83 (d, J = 13.5 Hz, 2H), 4.75 - 4.56 (m, 4H), 3.63 - 3.44 (m, 3H), 2.59 (s, 4H), 2.41 (d, J = 8.5 Hz, 7H) ppm.
584	457.38	0.74	1H NMR (300 MHz, DMSO-D6) δ 9.30 (s, 1H), 9.14 (s, 1H), 7.87 (d, J = 8.0 Hz, 1H), 7.63 - 7.54 (m, 2H), 7.22 (tt, J = 9.3, 2.2 Hz, 1H), 6.78 -

Cmpd No. in PRV2	LCMS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			6.66 (m, 2H), 5.83 (s, 1H), 3.94 (t, J = 6.7 Hz, 3H), 3.78 (td, J = 6.6, 2.6 Hz, 2H), 3.47 (m, 5H), 3.29 (m, 2H), 3.23 - 3.14 (m, 2H), 2.20 (s, 3H), 1.04 (m, 3H) ppm.
585	406.66	0.67	1H NMR (300 MHz, CDCl3) δ 9.04 (d, J = 2.3 Hz, 1H), 8.62 (dd, J = 4.8, 1.4 Hz, 1H), 8.38 (s, 1H), 8.01 (ddd, J = 8.3, 2.6, 1.5 Hz, 1H), 7.47 (ddd, J = 8.3, 4.8, 0.6 Hz, 1H), 7.12 (s, 1H), 6.80 (d, J = 5.5 Hz, 2H), 6.42 (s, 1H), 4.67 (d, J = 5.5 Hz, 2H), 4.29 (d, J = 5.7 Hz, 2H), 3.37 - 3.16 (m, 4H), 2.65 - 2.47 (m, 4H), 2.35 (s, 3H), 1.43 (s, 3H) ppm.
586	453.41	0.68	1H NMR (300 MHz, DMSO-D6) δ 9.29 (s, 1H), 9.14 (s, 1H), 7.66 - 7.53 (m, 2H), 7.22 (tt, J = 9.3, 2.3 Hz, 1H), 6.78 (s, 1H), 6.67 (s, 1H), 5.83 (s, 1H), 4.92 (s, 2H), 4.20 (s, 2H), 3.94 (t, J = 6.6 Hz, 2H), 3.59 (m, 3H), 3.54 - 3.44 (m, 2H), 3.22 (s, 2H), 2.60 (m, 2H), 2.19 (s, 3H) ppm.
587	445.3	0.6	1H NMR (400 MHz, DMSO-D6) δ 9.18 (s, 1H), 8.96 (d, J = 1.3 Hz, 1H), 7.68 - 7.53 (m, 3H), 7.26 (tt, J = 9.2, 2.2 Hz, 1H), 6.41 (dd, J = 5.5, 2.8 Hz, 1H), 4.57 (t, J = 6.5 Hz, 2H), 4.47 (t, J = 6.1 Hz, 2H), 3.49 - 3.41 (m, 1H), 3.17 - 3.06 (m, 4H), 2.44 - 2.37 (m, 4H), 2.19 (d, J = 1.8 Hz, 3H) ppm.
588	363.27	0.69	1H NMR (300 MHz, MeOD) δ 8.57 (s, 1H), 7.80 (d, J = 7.7 Hz, 3H), 7.52 (dd, J = 10.6, 5.1 Hz, 2H), 7.43 - 7.32 (m, 1H), 6.93 - 6.84 (m, 2H), 6.73 (s, 1H), 2.41 - 2.26 (m, 6H) ppm.
589	482.87	2.57	1H NMR (300 MHz, DMSO-D6) δ 9.9 (s, 1H), 9.24 (s, 1H), 7.90 (s, 1H), 7.77 (d, J = 7.8 Hz, 2H), 7.41 - 7.20 (m, 2H), 6.98 (s, 1H), 3.72 - 3.35 (m, 10H), 3.15 - 2.98 (m, 1H), 2.34 (s, 3H), 2.02 (d, J = 51.9 Hz, 4H) ppm.
590	442.28	0.61	1H NMR (400 MHz, CDCl3) δ 8.29 (s, 1H), 7.66 (ddd, J = 10.9, 6.8, 2.6 Hz, 1H), 7.47 - 7.40 (m, 1H), 7.35 - 7.27 (m, 2H), 6.86 (s, 1H), 6.75 (d, J = 1.6 Hz, 1H), 6.41 (t, J = 1.7 Hz, 1H), 4.70 - 4.58 (m, 4H), 4.46 (tt, J = 8.9, 4.1 Hz, 1H), 3.55 (p, J = 6.5 Hz, 1H), 3.09 - 2.97 (m, 1H), 2.66 (dt, J = 10.5, 4.0 Hz, 1H), 2.34 (s, 3H), 2.22 (dp, J = 13.4, 4.6 Hz, 1H), 2.04 - 1.85 (m, 3H),

Cmpd No. in PRV2	LCMS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			1.74 (ddt, J = 11.0, 7.0, 3.8 Hz, 1H), 1.53 (tdd, J = 12.1, 9.7, 4.3 Hz, 1H) ppm.
591	485	0.7	1H NMR (400 MHz, CDCl3) δ 8.30 (s, 1H), 7.59 (d, J = 2.3 Hz, 1H), 7.22 (dd, J = 7.9, 2.2 Hz, 2H), 6.87 - 6.72 (m, 1H), 6.55 (s, 1H), 6.24 (d, J = 2.2 Hz, 1H), 4.70 (p, J = 6.4 Hz, 4H), 4.47 (dt, J = 12.1, 6.0 Hz, 1H), 3.68 - 3.50 (m, 1H), 3.35 - 3.20 (m, 4H), 2.65 - 2.46 (m, 4H), 2.13 (s, 3H), 1.34 (d, J = 6.1 Hz, 6H) ppm.
592	402.25	0.64	1H NMR (300 MHz, CDCl3) δ 8.35 (s, 1H), 7.74 - 7.65 (m, 2H), 7.63 - 7.45 (m, 3H), 7.40 (d, J = 1.2 Hz, 1H), 7.32 (t, J = 2.1 Hz, 1H), 6.88 (s, 1H), 6.78 (d, J = 0.9 Hz, 1H), 4.71 (dt, J = 14.5, 6.4 Hz, 4H), 3.64 - 3.52 (m, 1H), 3.37 - 3.25 (m, 4H), 2.61 - 2.44 (m, 4H) ppm.
593	483.91	2.39	1H NMR (300 MHz, DMSO-D6) δ 10.99 (s, 1H), 9.45 (s, 1H), 9.18 (s, 1H), 7.68 - 7.58 (m, 2H), 7.34 - 7.20 (m, 1H), 7.15 (s, 1H), 6.98 (s, 1H), 6.43 (s, 1H), 5.06 (s, 8H), 4.03 (s, 1H), 3.80 (s, 3H), 3.67 (s, 4H), 3.18 (s, 2H), 2.26 (s, 3H) ppm.
594	413	0.66	1H NMR (400 MHz, Acetone-D6) δ 8.90 (s, 1H), 8.61 (s, 1H), 7.74 (dd, J = 8.2, 1.2 Hz, 1H), 7.68 (dt, J = 10.3, 2.3 Hz, 1H), 7.59 (td, J = 8.2, 6.3 Hz, 1H), 7.19 - 7.07 (m, 3H), 6.29 (dt, J = 12.5, 2.2 Hz, 1H), 4.61 (t, J = 6.5 Hz, 2H), 4.54 (t, J = 6.1 Hz, 2H), 3.59 - 3.42 (m, 1H), 3.38 - 3.14 (m, 4H), 2.59 - 2.37 (m, 4H) ppm.
595	441.31	0.6	1H NMR (400 MHz, CDCl3) δ 8.38 (s, 1H), 7.92 (s, 1H), 7.78 (ddd, J = 8.1, 2.1, 1.0 Hz, 1H), 7.66 - 7.53 (m, 1H), 7.47 (d, J = 7.7 Hz, 1H), 6.73 (ddd, J = 56.2, 32.2, 18.0 Hz, 4H), 5.96 (s, 1H), 4.02 (t, J = 7.0 Hz, 2H), 3.77 (dd, J = 10.9, 5.8 Hz, 6H), 3.45 - 3.29 (m, 1H), 2.47 (s, 4H), 2.32 (s, 3H) ppm.
596	441.67	0.68	1H NMR (300 MHz, CDCl3) δ 8.33 (s, 1H), 7.39 (s, 1H), 7.30 (d, J = 2.2 Hz, 1H), 7.27 (s, 1H), 7.23 (s, 1H), 6.89 - 6.75 (m, 2H), 6.70 (s, 1H), 4.75 - 4.53 (m, 4H), 3.62 - 3.44 (m, 3H), 2.59 (s, 4H), 2.40 (d, J = 10.4 Hz, 7H) ppm.
597	443.34	0.63	1H NMR (300 MHz, CDCl3) δ 8.26 (s, 1H), 7.79 (dd, J = 6.3, 2.7 Hz, 1H), 7.62 - 7.48 (m,

Cmpd No. in PRV2	LCMS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			1H), 7.35 - 7.23 (m, 1H), 7.12(m, 1H), 6.79 (s, 1H), 6.68 (s, 1H), 6.42 (s, 1H), 4.72 (p, J = 6.3 Hz, 4H), 3.59 (p, J = 6.4 Hz, 1H), 3.39 - 3.24 (m, 4H), 2.59 - 2.47 (m, 4H), 2.35 (s, 3H) ppm.
598	397	0.59	1H NMR (400 MHz, CDCl3) δ 9.18 (d, J = 1.2 Hz, 1H), 8.92 (s, 1H), 8.57 (d, J = 2.5 Hz, 1H), 8.47 - 8.31 (m, 1H), 7.26 (s, 1H), 6.90 (dd, J = 14.6, 12.5 Hz, 3H), 6.28 (d, J = 11.9 Hz, 1H), 4.70 (dt, J = 15.4, 6.4 Hz, 4H), 3.67 - 3.51 (m, 1H), 3.41 - 3.23 (m, 3H), 2.67 - 2.40 (m, 4H) ppm.
599	444.28	0.56	1H NMR (400 MHz, CDCl3) δ 8.34 (s, 1H), 7.28 - 7.25 (m, 2H), 7.12 (d, J = 1.7 Hz, 1H), 6.80 (dddd, J = 8.6, 6.4, 4.4, 2.3 Hz, 1H), 5.82 (d, J = 1.7 Hz, 1H), 4.80 - 4.59 (m, 4H), 3.92 (s, 3H), 3.65 - 3.49 (m, 5H), 2.51 - 2.40 (m, 4H) ppm.
600	405.26	0.63	1H NMR (400 MHz, CDCl3) δ 8.44 (s, 1H), 7.98 (s, 1H), 7.92 (dd, J = 7.4, 5.5 Hz, 2H), 7.71 - 7.56 (m, 2H), 7.25 (s, 1H), 5.90 (s, 1H), 5.08 (d, J = 7.1 Hz, 1H), 4.36 (dtt, J = 10.5, 7.0, 3.4 Hz, 1H), 4.10 - 3.95 (m, 2H), 3.88 (td, J = 8.4, 5.4 Hz, 1H), 3.75 (dd, J = 9.1, 3.2 Hz, 1H), 2.34 (d, J = 6.1 Hz, 3H), 2.29 (dt, J = 12.8, 4.9 Hz, 1H), 1.91 (dddd, J = 12.7, 7.6, 5.4, 3.6 Hz, 1H) ppm.
601	482.22	0.66	1H NMR (400 MHz, CDCl3) δ 8.37 (s, 1H), 7.61 (s, 1H), 7.42 (s, 1H), 7.27 (dd, J = 7.7, 2.2 Hz, 2H), 6.84 (ddd, J = 8.7, 5.4, 2.2 Hz, 1H), 6.12 (s, 1H), 4.16 - 4.06 (m, 2H), 3.93 (dd, J = 8.4, 5.3 Hz, 2H), 3.82 - 3.73 (m, 4H), 3.36 (dt, J = 12.2, 6.1 Hz, 1H), 2.47 (s, 4H) ppm.
602	455.4	0.69	1H NMR (300 MHz, DMSO-D6) δ 9.29 (s, 1H), 9.14 (s, 1H), 7.65 - 7.53 (m, 2H), 7.23 (ttt, J = 9.3, 5.8, 2.3 Hz, 1H), 6.75 (s, 1H), 6.68 (s, 1H), 5.82 (s, 1H), 3.90 (t, J = 7.0 Hz, 2H), 3.66 - 3.57 (m, 2H), 3.57 - 3.48 (m, 2H), 3.21 (m, 1H), 2.27 (m, 2H), 2.19 (s, 3H), 2.13 (s, 2H), 1.16 (s, 6H) ppm.
603			1H NMR (400 MHz, DMSO-D6) δ 9.72 (s, 1H), 9.23 (s, 1H), 9.14 (d, J = 1.3 Hz, 1H), 8.68 (d, J = 2.5 Hz, 1H), 8.58 (dd, J = 2.5, 1.4 Hz, 1H),

Cmpd No. in PRV2	LCMS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			7.70 (s, 1H), 7.63 (s, 1H), 7.35 (s, 1H), 6.74 (s, 1H), 3.65 (d, J = 8.5 Hz, 1H), 3.51 (d, J = 8.5 Hz, 1H), 2.32 (s, 3H), 1.68 (s, 3H) ppm.
604	361.12	0.72	1H NMR (300 MHz, CDCl3) δ 8.37 (s, 1H), 7.83 (s, 1H), 7.75 - 7.65 (m, 3H), 7.50 (dd, J = 10.7, 5.1 Hz, 2H), 7.34 (t, J = 7.4 Hz, 1H), 7.06 (d, J = 13.6 Hz, 2H), 6.93 (d, J = 8.7 Hz, 2H), 4.09 (t, J = 7.3 Hz, 2H), 2.38 (s, 3H), 1.52 (t, J = 7.3 Hz, 3H) ppm.
605	397.17	0.82	1H NMR (400 MHz, CDCl3) δ 8.33 (s, 1H), 7.37 (d, J = 2.2 Hz, 1H), 7.30 (d, J = 2.2 Hz, 1H), 7.17 (t, J = 2.2 Hz, 1H), 6.89 (d, J = 1.7 Hz, 1H), 6.80 (tt, J = 8.7, 2.3 Hz, 1H), 6.51 (t, J = 1.7 Hz, 1H), 6.39 (d, J = 2.3 Hz, 1H), 5.13 (s, 2H), 3.94 (s, 3H), 2.37 (s, 3H) ppm.
606	409	0.65	1H NMR (300 MHz, CDCl3) δ 8.23 (s, 1H), 7.74 - 7.53 (m, 2H), 7.24 - 7.09 (m, 2H), 6.67 (s, 1H), 6.64 - 6.48 (m, 2H), 5.93 (s, 1H), 3.97 (t, J = 7.0 Hz, 2H), 3.74 (t, J = 4.8 Hz, 6H), 3.45 - 3.25 (m, 1H), 2.46 (d, J = 4.3 Hz, 4H), 2.30 (s, 3H) ppm.
607	439.65	0.65	1H NMR (300 MHz, CDCl3) δ 8.31 (s, 1H), 7.66 - 7.52 (m, 2H), 7.49 - 7.41 (m, 1H), 7.40 - 7.29 (m, 2H), 6.46 (d, J = 0.8 Hz, 1H), 4.70 (dt, J = 13.2, 6.4 Hz, 4H), 3.69 - 3.50 (m, 5H), 2.55 - 2.35 (m, 4H) ppm.
608	347.17	0.84	1H NMR (300 MHz, MeOD) δ 8.58 (s, 1H), 7.74 (d, J = 7.6 Hz, 2H), 7.56 (s, 1H), 7.54 (s, 1H), 7.51 (d, J = 1.9 Hz, 1H), 7.47 (t, J = 2.1 Hz, 1H), 7.39 (t, J = 7.4 Hz, 1H), 6.78 (d, J = 1.2 Hz, 1H), 3.97 - 3.81 (m, 4H), 3.31 - 3.15 (m, 4H) ppm.
609	406	0.63	1H NMR (400 MHz, CDCl3) δ 8.93 (s, 1H), 8.41 (ddd, J = 4.8, 1.8, 0.8 Hz, 1H), 7.93 - 7.81 (m, 1H), 7.77 (d, J = 8.2 Hz, 1H), 7.23 (ddd, J = 7.3, 4.9, 1.1 Hz, 1H), 7.00 (t, J = 1.9 Hz, 1H), 6.71 (s, 1H), 6.56 (s, 1H), 6.16 (s, 1H), 4.65 (t, J = 6.5 Hz, 2H), 4.58 (t, J = 6.2 Hz, 2H), 3.76 - 3.65 (m, 1H), 3.61 (dt, J = 12.9, 5.6 Hz, 4H), 2.70 - 2.55 (m, 2H), 2.53 - 2.35 (m, 2H), 2.31 (s, 3H), 2.14 - 1.96 (m, 2H) ppm.
610	476.32	0.58	1H NMR (400 MHz, CDCl3) δ 8.33 (s, 1H),

Cmpd No. in PRV2	LCMS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			7.90 (s, 1H), 7.84 - 7.74 (m, 1H), 7.61 - 7.49 (m, 2H), 7.08 (d, J = 1.7 Hz, 1H), 5.73 (d, J = 1.7 Hz, 1H), 4.62 (p, J = 6.4 Hz, 4H), 3.83 (s, 3H), 3.54 - 3.37 (m, 5H), 2.37 (dd, J = 14.3, 9.2 Hz, 4H) ppm.
611			1H NMR (400 MHz, DMSO-D6) δ 9.61 (s, 1H), 9.19 (s, 1H), 7.71 - 7.60 (m, 3H), 7.29 - 7.20 (m, 2H), 6.73 (s, 1H), 3.69 (d, J = 8.8 Hz, 1H), 3.60 (d, J = 8.8 Hz, 1H), 2.77 (s, 3H), 2.31 (s, 3H), 1.68 (s, 3H) ppm.
612	432.33	0.57	1H NMR (300 MHz, DMSO-D6) δ 9.93 (s, 1H), 9.21 (s, 1H), 7.69 - 7.53 (m, 2H), 7.35 - 7.21 (m, 1H), 6.92 (s, 1H), 5.59 (d, J = 1.4 Hz, 1H), 4.03 (t, J = 7.6 Hz, 2H), 3.81 (dd, J = 8.2, 5.0 Hz, 2H), 3.61 (s, 4H), 3.33 - 3.26 (m, 1H), 2.37 (s, 4H) ppm.
613	400.19	0.8	1H NMR (300 MHz, DMSO-D6) δ 9.61 (s, 1H), 9.19 (s, 1H), 7.67 (dd, J = 6.7, 4.4 Hz, 3H), 7.25 (dd, J = 10.5, 8.2 Hz, 2H), 6.73 (s, 1H), 3.70 (d, J = 8.8 Hz, 1H), 3.59 (d, J = 8.8 Hz, 1H), 2.77 (s, 3H), 2.31 (s, 3H), 1.68 (s, 3H) ppm.
614	373.22	0.6	1H NMR (400 MHz, CDCl3) δ 8.37 (s, 1H), 7.92 (s, 1H), 7.37 - 7.25 (m, 3H), 6.81 (tt, J = 8.7, 2.3 Hz, 1H), 5.90 (s, 1H), 5.08 (s, 1H), 4.41 - 4.28 (m, 1H), 4.00 (ddd, J = 14.7, 11.1, 6.5 Hz, 2H), 3.88 (td, J = 8.4, 5.4 Hz, 1H), 3.74 (dd, J = 9.1, 3.2 Hz, 1H), 2.34 (s, 3H), 2.33 - 2.20 (m, 1H), 1.91 (dddd, J = 12.7, 7.5, 5.4, 3.6 Hz, 1H) ppm.
615	387.18	0.86	1H NMR (400 MHz, CDCl3) δ 8.14 (s, 1H), 7.45 (ddd, J = 10.8, 6.8, 2.6 Hz, 1H), 7.32 - 7.23 (m, 1H), 7.18 (dd, J = 9.4, 8.2 Hz, 1H), 6.99 (s, 1H), 6.72 (s, 1H), 6.62 (s, 1H), 6.29 (d, J = 2.2 Hz, 1H), 4.52 (d, J = 5.9 Hz, 2H), 4.34 (d, J = 5.9 Hz, 2H), 3.94 (s, 2H), 2.22 (s, 3H), 1.33 (s, 3H) ppm.
616	428.62	0.57	1H NMR (300 MHz, CDCl3) δ 8.35 (s, 1H), 7.27 (d, J = 2.2 Hz, 1H), 7.24 (d, J = 2.2 Hz, 1H), 6.83 (tt, J = 8.8, 2.3 Hz, 2H), 6.54 (s, 1H), 6.49 (s, 1H), 4.15 (t, J = 7.4 Hz, 2H), 3.99 - 3.90 (m, 2H), 3.82 - 3.72 (m, 4H), 3.41 - 3.30 (m, 1H), 2.48 (s, 4H), 2.42 (s, 3H) ppm.

Cmpd No. in PRV2	LCMS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
617	443.42	0.69	1H NMR (300 MHz, DMSO-D6) δ 9.28 (s, 1H), 9.14 (s, 1H), 7.60 (m, 2H), 7.23 (ttt, J = 9.2, 5.8, 2.3 Hz, 1H), 6.74 (s, 1H), 6.69 (s, 1H), 5.82 (s, 1H), 4.78 (m, 0.5H), 4.62 (m, 0.5H), 3.91 (t, J = 6.9 Hz, 2H), 3.58 - 3.48 (m, 2H), 3.28 - 3.18 (m, 1H), 2.45 (m, 2H), 2.26 (m, 2H), 2.19 (s, 3H), 1.81 (m, 4H) ppm.
618	455.4	0.7	1H NMR (300 MHz, DMSO-D6) δ 9.34 (s, 1H), 9.15 (s, 1H), 7.66 - 7.54 (m, 2H), 7.25 (tt, J = 9.2, 2.2 Hz, 1H), 6.76 (s, 1H), 6.68 (s, 1H), 5.81 (s, 1H), 3.89 (t, J = 7.0 Hz, 2H), 3.62 - 3.47 (m, 4H), 3.29 - 3.16 (m, 1H), 2.71 (d, J = 10.6 Hz, 2H), 2.19 (s, 3H), 1.58 (t, J = 10.6 Hz, 2H), 1.06 (d, J = 6.2 Hz, 6H) ppm.
619	415.25	0.63	1H NMR (400 MHz, CDCl3) δ 8.33 (s, 1H), 7.28 (dd, J = 7.4, 2.6 Hz, 2H), 7.24 (s, 1H), 7.15 (s, 1H), 6.80 (tt, J = 8.7, 2.3 Hz, 1H), 6.15 (s, 1H), 4.32 (d, J = 13.0 Hz, 2H), 3.37 (s, 3H), 3.27 (d, J = 6.2 Hz, 2H), 2.81 (td, J = 12.7, 2.3 Hz, 2H), 2.34 (s, 3H), 1.85(ddd, J= 17.3, 9.8, 2.4 Hz, 3H), 1.38 - 1.21 (m, 2H) ppm.
620	442.37	0.62	1H NMR (400 MHz, CDCl3) δ 8.34 (s, 1H), 7.33 - 7.30 (m, 3H), 6.81 (tt, J = 8.7, 2.3 Hz, 1H), 6.75 (dd, J = 4.5, 2.7 Hz, 2H), 6.42 (t, J = 1.7 Hz, 1H), 4.63 (q, J = 6.4 Hz, 4H), 4.47 (tt, J = 8.9, 4.1 Hz, 1H), 3.56 (p, J = 6.5 Hz, 1H), 3.10 - 2.99 (m, 1H), 2.66 (dd, J = 11.1, 4.1 Hz, 1H), 2.34 (s, 3H), 2.22 (td, J = 11.3, 10.1, 5.1 Hz, 1H), 2.06 - 1.86 (m, 3H), 1.79 - 1.66 (m, 1H), 1.54 (tdd, J = 12.1, 9.7, 4.2 Hz, 1H) ppm.
621	480.24	0.65	1H NMR (300 MHz, CDCl3) δ 8.35 (s, 1H), 7.61 - 7.50 (m, 2H), 7.48 (s, 1H), 7.44 (dd, J = 9.0, 2.4 Hz, 1H), 7.35 (s, 1H), 6.60 (t, J = 56.1 Hz, 1H), 6.39 (s, 1H), 4.71 (p, J = 6.4 Hz, 4H), 3.67 - 3.60 (m, 4H), 3.55 (p, J = 6.4 Hz, 1H), 2.45 (t, J = 5.1 Hz, 4H), 2.03 (s, 3H) ppm.
622	408	0.65	1H NMR (300 MHz, CDCl3) δ 8.23 (s, 1H), 7.72 - 7.58 (m, 2H), 7.19 (t, J = 8.6 Hz, 2H), 7.07 (s, 1H), 6.80 (s, 1H), 6.64 (s, 1H), 6.39 (s, 1H), 4.82 - 4.60 (m, 4H), 3.56 (p, J = 6.3 Hz, 1H), 3.37 - 3.16 (m, 4H), 2.62 - 2.40 (m, 4H), 2.33 (s, 3H) ppm.

Cmpd No. in PRV2	LCMS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
623	428.28	0.76	1H NMR (300 MHz, MeOD) δ 8.85 (s, 1H), 7.62 - 7.40 (m, 3H), 7.26 (s, 1H), 6.93 (tt, J = 9.0, 2.2 Hz, 1H), 6.76 (s, 1H), 4.77 - 4.64 (m, 3H), 4.54 (dd, J = 10.3, 2.1 Hz, 1H), 4.04 (dd, J = 11.5, 2.0 Hz, 1H), 3.85 (td, J = 11.5, 2.3 Hz, 1H), 3.55 (dd, J = 12.7, 6.2 Hz, 1H), 2.86 (d, J = 11.4 Hz, 1H), 2.75 (d, J = 11.4 Hz, 1H), 2.33 (s, 3H), 2.22 - 1.93 (m, 2H), 1.20 (dd, J = 23.1, 9.8 Hz, 1H) ppm.
624	439.25	0.6	1H NMR (400 MHz, DMSO-D6) δ 9.17 (s, 1H), 8.97 (s, 1H), 7.74 (dd, J = 12.4, 2.6 Hz, 1H), 7.64 - 7.56 (m, 1H), 7.35 (t, J = 9.1 Hz, 1H), 7.14 (d, J = 2.1 Hz, 1H), 6.86 (s, 1H), 6.29 (s, 1H), 4.57 (t, J = 6.5 Hz, 2H), 4.48 (t, J = 6.0 Hz, 2H), 3.89 (s, 3H), 3.45 (p, J = 6.3 Hz, 1H), 3.14 (t, J = 4.9 Hz, 4H), 2.41 (d, J = 9.8 Hz, 1H), 2.41 (s, 3H), 2.22 (s, 3H) ppm.
625	490.37	0.6	1H NMR (300 MHz, CDCl3) δ 8.32 (s, 1H), 7.91 (s, 1H), 7.80 (dd, J = 5.4, 3.4 Hz, 1H), 7.62 - 7.47 (m, 2H), 7.26 (s, 1H), 6.22 (s, 1H), 4.71 - 4.55 (m, 4H), 4.39 (s, 2H), 3.61 - 3.50 (m, 4H), 3.48 - 3.41 (m, 1H), 3.39 (s, 3H), 2.45 - 2.29 (m, 4H) ppm.
626	428.28	0.78	1H NMR (400 MHz, CDCl3) δ 8.29 (s, 1H), 7.58 (ddd, J = 10.9, 6.8, 2.6 Hz, 1H), 7.44 - 7.37 (m, 1H), 7.32 (dd, J = 9.4, 8.2 Hz, 1H), 7.19 (t, J = 2.2 Hz, 1H), 7.05 (s, 1H), 6.81 (d, J = 1.7 Hz, 1H), 6.40 (t, J = 1.7 Hz, 1H), 4.58 (tt, J = 6.8, 3.5 Hz, 1H), 3.84 (ddd, J = 12.5, 8.1, 3.8 Hz, 1H), 3.74 (ddd, J = 13.6, 8.1, 3.7 Hz, 1H), 3.64 (ddd, J = 13.4, 7.1, 4.0 Hz, 1H), 3.42 (ddd, J = 13.6, 7.2, 3.9 Hz, 1H), 2.34 (s, 3H), 2.15 (s, 3H), 2.05 - 1.80 (m, 4H) ppm.
627	401.3	0.9	1H NMR (400 MHz, CDCl3) δ 8.27 (d, J = 5.2 Hz, 1H), 7.60 (ddd, J = 10.9, 6.8, 2.6 Hz, 1H), 7.47 - 7.39 (m, 1H), 7.36 - 7.31 (m, 1H), 7.15 - 7.02 (m, 1H), 6.83 (d, J = 2.0 Hz, 1H), 6.73 - 6.59 (m, 1H), 6.39 (d, J = 1.9 Hz, 1H), 4.03 (td, J = 11.4, 4.5 Hz, 2H), 3.87 (d, J = 6.4 Hz, 2H), 3.48 (td, J = 11.9, 2.3 Hz, 2H), 2.36 (d, J = 0.6 Hz, 3H), 1.80 (dd, J = 13.0, 3.7 Hz, 2H), 1.49 (qd, J = 12.2, 4.7 Hz, 2H) ppm.

Cmpd No. in PRV2	LCMS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
628	384.3	0.86	1H NMR (300 MHz, DMSO-D6) δ 9.24 (s, 1H), 9.14 (s, 1H), 7.65 - 7.52 (m, 2H), 7.23 (tt, J = 9.3, 2.3 Hz, 1H), 6.90 - 6.82 (m, 1H), 6.69 (s, 1H), 6.02 (s, 1H), 4.61 (s, 1H), 4.43 (s, 1H), 3.81 - 3.68 (m, 2H), 3.50 (dd, J = 9.1, 1.6 Hz, 1H), 2.98 (d, J = 9.2 Hz, 1H), 2.21 (s, 3H), 1.97 - 1.78 (m, 2H) ppm.
629	442.33	0.75	1H NMR (300 MHz, DMSO-D6) δ 9.51 (s, 1H), 9.18 (s, 1H), 8.15 (s, 1H), 7.68 - 7.60 (m, 2H), 7.56 (d, J = 1.8 Hz, 1H), 7.35 - 7.18 (m, 2H), 6.70 (d, J = 1.7 Hz, 1H), 4.67 - 4.50 (m, 3H), 4.50 - 4.34 (m, 2H), 3.75 (dd, J = 11.2, 3.1 Hz, 1H), 3.64 (t, J = 7.1 Hz, 1H), 3.32 (t, J = 10.8 Hz, 1H), 2.73 (d, J = 2.2 Hz, 1H), 2.29 (s, 4H), 1.90 (t, J = 10.8 Hz, 1H), 0.79 (d, J = 6.4 Hz, 3H) ppm.
630	459.26	0.64	1H NMR (300 MHz, CDCl3) δ 8.34 (s, 1H), 8.00 (dd, J = 7.7, 1.8 Hz, 1H), 7.30 (s, 1H), 7.27 (d, J = 2.2 Hz, 1H), 6.97 (d, J = 3.8 Hz, 1H), 6.82 (tt, J = 8.7, 2.3 Hz, 1H), 6.75 (d, J = 4.6 Hz, 1H), 4.74 - 4.56 (m, 4H), 3.62 (d, J = 1.2 Hz, 2H), 3.59 - 3.46 (m, 1H), 2.60 (s, 4H), 2.40 (s, 7H) ppm.
631	369.22	0.62	1H NMR (400 MHz, DMSO-D6) δ 9.69 (s, 1H), 9.21 (s, 1H), 7.67 (dd, J = 8.6, 2.2 Hz, 2H), 7.55 (s, 1H), 7.32 - 7.20 (m, 1H), 6.84 (s, 1H), 6.76 (s, 1H), 3.44-3.40 (m, 1H), 3.37-3.30 (m, 2H), 2.92 (t, J = 5.7 Hz, 1H), 2.45-2.41 (m, 2H), 2.33 (s, 3H) ppm.
632	442.32	0.62	1H NMR (400 MHz, CDCl3) δ 8.29 (s, 1H), 7.65 (ddd, J = 10.9, 6.8, 2.6 Hz, 1H), 7.50 - 7.37 (m, 1H), 7.34 - 7.29 (m, 1H), 7.28 (d, J = 2.0 Hz, 1H), 6.88 (s, 1H), 6.76 (d, J = 1.8 Hz, 1H), 6.41 (t, J = 1.7 Hz, 1H), 4.70 - 4.58 (m, 4H), 4.46 (tt, J = 8.9, 4.1 Hz, 1H), 3.61 - 3.49 (m, 1H), 3.09 - 3.00 (m, 1H), 2.66 (dt, J = 10.8, 4.0 Hz, 1H), 2.34 (s, 3H), 2.21 (dp, J = 12.2, 3.9 Hz, 1H), 2.06 - 1.84 (m, 3H), 1.71 (tdd, J = 14.7, 7.7, 3.9 Hz, 1H), 1.53 (tdd, J = 12.5, 9.5, 4.2 Hz, 1H) ppm.
633	441.67	0.68	1H NMR (300 MHz, CDCl3) δ 8.26 (s, 1H), 7.58 (ddd, J = 10.9, 6.8, 2.5 Hz, 1H), 7.45 - 7.37

Cmpd No. in PRV2	LCMS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			(m, 1H), 7.32 (dd, J = 9.3, 8.1 Hz, 1H), 7.07 (s, 1H), 6.81 (s, 1H), 6.65 (s, 1H), 6.43 (s, 1H), 4.67 (d, J = 5.5 Hz, 2H), 4.30 (d, J = 5.7 Hz, 2H), 3.37 - 3.17 (m, 4H), 2.66 - 2.47 (m, 4H), 2.35 (s, 3H), 1.44 (s, 3H) ppm.
634	425.36	0.69	1H NMR (300 MHz, DMSO-D6) δ 9.33 (s, 1H), 9.15 (s, 1H), 7.66 - 7.54 (m, 2H), 7.30 - 7.18 (m, 1H), 6.75 (s, 1H), 6.68 (s, 1H), 5.82 (s, 1H), 3.90 (t, J = 6.8 Hz, 2H), 3.51 (t, J = 6.3 Hz, 2H), 3.24 - 3.10 (m, 1H), 2.26 (m, 4H), 2.19 (s, 3H), 1.45 (m, 6H) ppm.
635	387.18	0.9	1H NMR (400 MHz, CDCl3) δ 8.19 (s, 1H), 7.15 - 7.12 (m, 2H), 7.09 (t, J = 2.3 Hz, 1H), 6.65 (dddd, J = 8.6, 6.8, 4.8, 2.3 Hz, 3H), 6.28 (t, J = 1.6 Hz, 1H), 4.23 (tt, J = 7.7, 3.8 Hz, 1H), 3.93 (ddd, J = 11.4, 3.8, 1.7 Hz, 1H), 3.68 (dt, J = 11.3, 4.4 Hz, 1H), 3.49 - 3.36 (m, 2H), 2.20 (s, 3H), 2.05 (ddd, J = 9.7, 7.2, 4.6 Hz, 1H), 1.83 - 1.72 (m, 1H), 1.68 (ddd, J = 12.6, 8.6, 3.9 Hz, 1H), 1.56 (dtd, J = 13.6, 9.0, 4.7 Hz, 1H) ppm.
636	496.26	0.64	1H NMR (400 MHz, CDCl3) δ 8.43 (s, 1H), 7.83 (dd, J = 5.4, 4.4 Hz, 2H), 7.70 - 7.57 (m, 2H), 7.53 (d, J = 7.7 Hz, 1H), 7.44 (s, 1H), 6.75 (t, J = 56.2 Hz, 1H), 6.11 (s, 1H), 4.16 - 4.05 (m, 2H), 3.93 (dd, J = 8.4, 5.3 Hz, 2H), 3.84 - 3.70 (m, 4H), 3.43 - 3.29 (m, 1H), 2.47 (s, 4H) ppm.
637	441	0.66	1H NMR (400 MHz, CDCl3) δ 8.29 (s, 1H), 7.23 (dd, J = 7.9, 2.2 Hz, 2H), 7.08 (s, 1H), 6.77 (tt, J = 8.7, 2.3 Hz, 1H), 6.61 (s, 1H), 6.44 (s, 1H), 6.16 (s, 1H), 4.65 (t, J = 6.5 Hz, 2H), 4.58 (t, J = 6.2 Hz, 2H), 3.75 - 3.53 (m, 5H), 2.67 - 2.56 (m, 2H), 2.45 - 2.36 (m, 2H), 2.30 (s, 3H), 2.11 - 1.98 (m, 2H) ppm.
638	387.23	0.88	1H NMR (400 MHz, CDCl3) δ 8.31 (s, 1H), 7.61 (ddd, J = 10.9, 6.8, 2.7 Hz, 1H), 7.42 (dp, J = 8.3, 1.9 Hz, 1H), 7.34 - 7.26 (m, 1H), 7.22 (t, J = 2.2 Hz, 1H), 6.96 (s, 1H), 6.80 (s, 1H), 6.41 (t, J = 1.6 Hz, 1H), 4.36 (tt, J = 7.7, 3.8 Hz, 1H), 4.08 (ddd, J = 11.3, 3.8, 1.6 Hz, 1H), 3.83 (dt, J = 11.3, 4.4 Hz, 1H), 3.63 - 3.49 (m, 2H), 2.34 (s, 3H), 2.19 (dq, J = 11.4, 4.5 Hz, 1H), 1.97 - 1.87 (m, 1H), 1.82 (ddt, J = 12.5, 8.3, 4.3 Hz, 1H),

Cmpd No. in PRV2	LCMS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			1.76 - 1.63 (m, 1H) ppm.
639	458.32	0.55	1H NMR (400 MHz, CDCl3) δ 8.40 (s, 1H), 7.88 (s, 1H), 7.83 - 7.77 (m, 1H), 7.61 (t, J = 7.9 Hz, 1H), 7.50 (d, J = 7.7 Hz, 1H), 7.26 (s, 1H), 7.19 (dd, J = 7.5, 1.7 Hz, 1H), 6.74 (t, J = 56.2 Hz, 1H), 5.81 (d, J = 1.7 Hz, 1H), 4.71 (p, J = 6.4 Hz, 4H), 3.92 (s, 3H), 3.63 - 3.49 (m, 5H), 2.52 - 2.39 (m, 4H) ppm.
640	407.21	0.93	1H NMR (400 MHz, CDCl3) δ 8.28 (s, 1H), 7.60 (ddd, J = 10.9, 6.8, 2.6 Hz, 1H), 7.45 - 7.39 (m, 1H), 7.33 (dd, J = 9.4, 8.2 Hz, 1H), 7.14 (t, J = 2.2 Hz, 1H), 6.84 (t, J = 1.8 Hz, 1H), 6.68 (s, 1H), 6.39 (t, J = 1.7 Hz, 1H), 4.12 - 3.92 (m, 2H), 2.87 - 2.61 (m, 3H), 2.61 - 2.45 (m, 2H), 2.36 (s, 3H) ppm.
641	485	0.71	1H NMR (400 MHz, CDCl3) δ 8.30 (s, 1H), 7.31 - 7.17 (m, 3H), 7.12 (d, J = 2.1 Hz, 1H), 6.76 (tt, J = 8.7, 2.3 Hz, 1H), 6.54 (s, 1H), 5.77 (d, J = 2.1 Hz, 1H), 4.46 (dt, J = 12.1, 6.1 Hz, 1H), 4.00 (t, J = 6.9 Hz, 2H), 3.74 (dd, J = 8.2, 4.1 Hz, 6H), 3.42 - 3.24 (m, 1H), 2.53 - 2.37 (m, 4H), 2.11 (s, 3H), 1.33 (d, J = 6.1 Hz, 6H) ppm.
642	396	0.62	1H NMR (400 MHz, Acetone-D6) δ 8.99 (s, 1H), 8.66 (s, 1H), 8.48 (ddd, J = 4.8, 1.8, 0.8 Hz, 1H), 8.05 (ddd, J = 8.2, 7.5, 1.8 Hz, 1H), 7.86 (dt, J = 8.2, 0.9 Hz, 1H), 7.39 (ddd, J = 7.4, 4.8, 1.0 Hz, 1H), 7.13 (tt, J = 4.1, 2.0 Hz, 2H), 6.30 (dt, J = 12.5, 2.2 Hz, 1H), 4.61 (t, J = 6.5 Hz, 2H), 4.55 (t, J = 6.1 Hz, 2H), 3.59 - 3.42 (m, 1H), 3.39 - 3.15 (m, 4H), 2.58 - 2.38 (m, 4H) ppm.
643	349.26	0.68	1H NMR (300 MHz, CDCl3) δ 8.38 (s, 1H), 7.84 (s, 1H), 7.70 (dd, J = 8.5, 1.1 Hz, 2H), 7.55 - 7.44 (m, 2H), 7.40 - 7.31 (m, 2H), 7.25 (s, 1H), 6.86 (s, 1H), 6.76 (s, 1H), 6.64 (d, J = 3.7 Hz, 1H), 2.38 (s, 3H) ppm.
644	429.27	0.84	1H NMR (400 MHz, CDCl3) δ 7.84 (s, 1H), 7.75 (d, J = 7.6 Hz, 1H), 7.56 - 7.36 (m, 4H), 7.02 (s, 1H), 6.68 (s, 1H), 6.20 (d, J = 1.9 Hz, 1H), 6.13 (t, J = 2.0 Hz, 1H), 4.42 (t, J = 5.2 Hz, 2H), 4.24 (t, J = 5.2 Hz, 2H), 2.19 (s, 3H) ppm.
645	465.3	0.7	1H NMR (400 MHz, CDCl3) δ 8.41 (s, 1H),

Cmpd No. in PRV2	LCMS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			7.90 - 7.78 (m, 2H), 7.64 (dd, J = 8.5, 7.7 Hz, 1H), 7.53 (t, J = 6.4 Hz, 1H), 7.46 (s, 1H), 7.33 (s, 1H), 6.67 (dt, J = 66.6, 56.2 Hz, 3H), 6.40 (s, 1H), 4.37 (d, J = 13.2 Hz, 2H), 3.38 (s, 3H), 3.30 (t, J = 10.6 Hz, 2H), 2.88 (td, J = 12.8, 2.4 Hz, 2H), 1.86 (t, J = 10.9 Hz, 3H), 1.36 - 1.22 (m, 2H) ppm.
646	457.23	2.86	1H NMR (400 MHz, CDCl3) δ 8.13 (s, 1H), 7.17 (d, J = 1.4 Hz, 1H), 7.01 (s, 1H), 6.69 (s, 1H), 6.51 (s, 1H), 6.33 (s, 1H), 4.62 (p, J = 6.4 Hz, 4H), 3.96 (t, J = 1.0 Hz, 3H), 3.50 (p, J = 6.4 Hz, 1H), 3.26 - 3.18 (m, 4H), 2.45 (dd, J = 6.0, 4.0 Hz, 4H), 2.26 (s, 3H) ppm.
647	427.31	0.62	1H NMR (300 MHz, CDCl3) δ 8.25 (s, 1H), 7.58 - 7.50 (m, 1H), 7.45 (dt, J = 7.3, 3.6 Hz, 1H), 7.14 (t, J = 8.8 Hz, 1H), 6.99 (dt, J = 10.7, 2.1 Hz, 1H), 6.78 (dd, J = 5.7, 3.5 Hz, 2H), 6.26 (dt, J = 11.9, 2.2 Hz, 1H), 4.71 (dt, J = 13.1, 6.3 Hz, 4H), 3.58 (p, J = 6.5 Hz, 1H), 3.38 - 3.22 (m, 4H), 2.63 - 2.46 (m, 5H), 2.38 (d, J = 2.0 Hz, 3H) ppm.
648	387.14	0.88	1H NMR (400 MHz, CDCl3) δ 8.21 (s, 1H), 7.12 (d, J = 2.3 Hz, 1H), 7.01 (t, J = 2.2 Hz, 1H), 6.73 - 6.49 (m, 3H), 6.26 (t, J = 1.6 Hz, 1H), 3.90 - 3.73 (m, 4H), 3.71 - 3.62 (m, 1H), 3.60 (dd, J = 8.9, 5.3 Hz, 1H), 2.72 - 2.57 (m, 1H), 2.21 (s, 3H), 2.00 (dtd, J = 12.7, 8.1, 5.6 Hz, 1H), 1.63 (dtd, J = 12.8, 7.5, 5.9 Hz, 1H) ppm.
649	441.35	0.65	1H NMR (300 MHz, DMSO-D6) δ 9.20 (s, 1H), 9.14 (s, 1H), 7.64 (dd, J = 8.6, 2.2 Hz, 2H), 7.32 - 7.18 (m, 1H), 6.84 (t, J = 2.0 Hz, 1H), 6.47 (s, 1H), 5.91 - 5.76 (m, 2H), 3.83 - 3.70 (m, 1H), 3.58 (t, J = 4.6 Hz, 4H), 2.95 - 2.78 (m, 1H), 2.35 - 2.18 (m, 6H), 2.14 (s, 3H), 2.02 - 1.94 (m, 1H) ppm.
650	512.37	0.51	1H NMR (400 MHz, DMSO-D6) δ 9.16 (s, 2H), 7.59 (dd, J = 8.6, 2.2 Hz, 2H), 7.28 - 7.20 (m, 1H), 6.84 (d, J = 1.4 Hz, 1H), 5.77 (s, 1H), 4.57 (t, J = 6.5 Hz, 2H), 4.48 (t, J = 6.1 Hz, 2H), 3.48-3.42 (m, 4H), 3.35 - 3.25 (m, 3H), 2.37 (m, 8H), 2.21 (s, 3H) ppm.
651	459.31	0.71	1H NMR (400 MHz, DMSO-D6) δ 9.32 (s, 1H),

Cmpd No. in PRV2	LCMS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			9.23 (s, 1H), 8.17 (s, 1H), 7.79 (t, J = 7.8 Hz, 1H), 7.69 (d, J = 7.9 Hz, 1H), 6.89 (s, 1H), 6.63 (s, 1H), 5.81 (s, 1H), 3.90 (t, J = 7.0 Hz, 2H), 3.66 - 3.55 (m, 6H), 3.29 - 3.19 (m, 1H), 2.35 (s, 4H), 2.19 (s, 3H) ppm.
652	439.37	0.69	1H NMR (300 MHz, DMSO-D6) δ 9.30 (s, 1H), 9.14 (s, 1H), 7.60 (dd, J = 11.3, 4.8 Hz, 2H), 7.27 - 7.16 (m, 1H), 6.76 (s, 1H), 6.70 (s, 1H), 5.84 (s, 1H), 3.92 (m, 2H), 3.79 - 3.71 (m, 1H), 3.70 - 3.62 (m, 1H), 3.61 - 3.46 (m, 4H), 2.60 (d, J = 11.7 Hz, 2H), 2.38 (dd, J = 13.1, 7.2 Hz, 1H), 2.20 (s, 3H), 0.67 (m, 1H), 0.32 (dd, J = 13.2, 6.2 Hz, 1H) ppm.
653	471	0.69	1H NMR (400 MHz, CDCl3) δ 8.30 (s, 1H), 7.31 - 7.15 (m, 2H), 6.95 (s, 1H), 6.87 - 6.72 (m, 2H), 6.69 (s, 1H), 6.13 (t, J = 1.9 Hz, 1H), 4.69 (p, J = 6.3 Hz, 4H), 4.57 (dt, J = 12.1, 6.0 Hz, 1H), 3.55 (p, J = 6.4 Hz, 1H), 3.35 - 3.20 (m, 4H), 2.55 - 2.41 (m, 4H), 1.38 (d, J = 6.1 Hz, 6H) ppm.
654	454.54	0.7	1H NMR (300 MHz, CDCl3) δ 8.37 (s, 1H), 7.60 (d, J = 14.3 Hz, 1H), 7.47 (s, 1H), 7.28 (d, J = 2.8 Hz, 1H), 7.25 (d, J = 2.2 Hz, 1H), 6.83 (tt, J = 8.7, 2.3 Hz, 1H), 6.45 (s, 1H), 3.78 - 3.46 (m, 4H), 2.69 - 2.55 (m, 4H), 2.50 (q, J = 7.2 Hz, 2H), 1.16 (t, J = 7.2 Hz, 3H) ppm.
655			1H NMR (400 MHz, DMSO-D6) δ 9.59 (s, 1H), 9.18 (s, 1H), 7.71 - 7.61 (m, 3H), 7.60 (s, 1H), 7.30 (s, 1H), 7.24 (ddd, J = 9.3, 5.8, 2.3 Hz, 1H), 6.72 (s, 1H), 3.63 (d, J = 8.5 Hz, 1H), 3.50 (d, J = 8.5 Hz, 1H), 2.31 (s, 2H), 1.67 (s, 2H) ppm.
656	438.61	0.66	1H NMR (300 MHz, CDCl3) δ 8.29 (s, 1H), 7.56 (ddd, J = 10.6, 6.7, 2.5 Hz, 1H), 7.42 (ddd, J = 6.4, 4.6, 3.1 Hz, 1H), 7.39 - 7.36 (m, 1H), 7.35 - 7.31 (m, 1H), 7.29 (s, 1H), 6.86 (s, 1H), 6.79 (dd, J = 2.1, 1.2 Hz, 1H), 4.71 (dt, J = 12.4, 6.4 Hz, 4H), 3.65 - 3.53 (m, 1H), 3.39 - 3.26 (m, 4H), 2.62 - 2.45 (m, 4H) ppm.
657	469.29	0.53	1H NMR (400 MHz, DMSO-D6) δ 9.25 (s, 1H), 9.15 (s, 1H), 7.58 (dd, J = 8.6, 2.2 Hz, 2H), 7.29 - 7.19 (m, 1H), 6.79 (d, J = 1.9 Hz, 2H), 6.06 (s, 1H), 3.18 - 3.04 (m, 8H), 2.47 - 2.40 (m, 8H),

Cmpd No. in PRV2	LCMS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			2.22 (s, 6H) ppm.
658	386.13	0.75	1H NMR (400 MHz, DMSO-D6) δ 9.60 (s, 1H), 9.19 (s, 1H), 7.65 (s, 3H), 7.61 (s, 1H), 7.30 (s, 1H), 7.24 (t, J = 9.2 Hz, 1H), 6.72 (s, 1H), 3.63 (d, J = 8.7 Hz, 1H), 3.50 (d, J = 8.9 Hz, 1H), 2.31 (s, 3H), 1.67 (s, 3H) ppm.
659	441	0.68	1H NMR (400 MHz, CDCl3) δ 8.24 (s, 1H), 7.57 (ddd, J = 10.9, 6.8, 2.6 Hz, 1H), 7.46 - 7.33 (m, 1H), 7.33 - 7.19 (m, 2H), 6.62 (dd, J = 8.6, 6.4 Hz, 3H), 5.95 (s, 1H), 4.01 (t, J = 6.9 Hz, 2H), 3.82 (t, J = 6.2 Hz, 2H), 3.77 - 3.72 (m, 2H), 3.71 - 3.61 (m, 2H), 3.63 - 3.52 (m, 1H), 2.63 (dd, J = 7.9, 3.6 Hz, 4H), 2.30 (s, 3H), 2.00 - 1.82 (m, 2H) ppm.
660	448.27	0.57	1H NMR (300 MHz, DMSO-D6) δ 10.03 (s, 1H), 9.21 (s, 1H), 7.62 (dd, J = 8.5, 2.1 Hz, 2H), 7.34 - 7.22 (m, 1H), 6.98 (d, J = 1.6 Hz, 1H), 6.00 (d, J = 1.6 Hz, 1H), 4.03 (t, J = 7.5 Hz, 2H), 3.81 (dd, J = 8.3, 5.0 Hz, 2H), 3.61 (s, 4H), 2.58-2.54 (m, 1H), 2.37 (s, 4H) ppm.
661	475.43	0.74	1H NMR (300 MHz, DMSO-D6) δ 9.29 (s, 1H), 9.14 (s, 1H), 7.64 - 7.54 (m, 2H), 7.23 (tt, J = 9.3, 2.3 Hz, 1H), 6.72 (s, 2H), 5.82 (s, 1H), 3.94 (m, 2H), 3.56 (m, 3H), 3.19 - 3.06 (m, 4H), 2.83 (m, 4H), 2.20 (s, 3H) ppm.
662	475.24	0.64	1H NMR (400 MHz, CDCl3) δ 8.40 (s, 1H), 8.03 (s, 1H), 7.85 (d, J = 7.7 Hz, 1H), 7.69 - 7.57 (m, 2H), 6.92 (t, J = 2.0 Hz, 1H), 6.77 (s, 1H), 6.71 (t, J = 2.0 Hz, 1H), 6.17 (t, J = 2.1 Hz, 1H), 4.72 (dt, J = 15.5, 6.4 Hz, 4H), 3.86 (s, 3H), 3.59 (p, J = 6.4 Hz, 1H), 3.38 - 3.24 (m, 4H), 2.59 - 2.43 (m, 4H) ppm.
663	442.33	0.75	1H NMR (300 MHz, DMSO-D6) δ 9.51 (s, 1H), 9.18 (s, 1H), 7.73 (d, J = 1.8 Hz, 1H), 7.70 - 7.57 (m, 2H), 7.22 (ddt, J = 18.4, 9.2, 2.0 Hz, 2H), 6.68 (s, 1H), 4.60 - 4.43 (m, 5H), 3.79 (ddd, J = 9.9, 6.1, 2.1 Hz, 1H), 3.41 (m, 1H), 2.85 - 2.69 (m, 2H), 2.50 (p, J = 1.9 Hz, 5H), 2.26 (s, 3H), 1.75 (t, J = 10.7 Hz, 1H), 1.63 (t, J = 10.5 Hz, 1H), 1.18 (d, J = 6.2 Hz, 3H) ppm.
664	471.64	0.61	1H NMR (300 MHz, CDCl3) δ 8.35 (s, 1H), 7.51 (d, J = 0.8 Hz, 1H), 7.33 (s, 1H), 7.27 (s,

Cmpd No. in PRV2	LCMS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			1H), 7.25 (d, J = 2.2 Hz, 1H), 6.83 (tt, J = 8.7, 2.3 Hz, 1H), 6.70 (d, J = 0.9 Hz, 1H), 6.27 (s, 1H), 4.79 - 4.57 (m, 4H), 3.74 - 3.61 (m, 4H), 3.60 - 3.49 (m, 1H), 3.05 (d, J = 4.9 Hz, 3H), 2.54 - 2.31 (m, 4H) ppm.
665	442.32	0.61	1H NMR (400 MHz, CDCl3) δ 8.29 (s, 1H), 7.72 - 7.61 (m, 1H), 7.47 - 7.39 (m, 1H), 7.34 - 7.29 (m, 1H), 7.27 (d, J = 1.9 Hz, 1H), 6.92 (s, 1H), 6.76 (s, 1H), 6.41 (t, J = 1.6 Hz, 1H), 4.70 - 4.57 (m, 4H), 4.46 (tt, J = 8.9, 4.1 Hz, 1H), 3.56 (p, J = 6.5 Hz, 1H), 3.09 - 3.00 (m, 1H), 2.66 (dd, J = 10.9, 4.1 Hz, 1H), 2.34 (s, 3H), 2.21 (dp, J = 12.1, 3.9, 3.4 Hz, 1H), 2.05 - 1.93 (m, 2H), 1.89 (dp, J = 15.6, 4.0 Hz, 1H), 1.72 (dtt, J = 17.4, 6.8, 3.9 Hz, 1H), 1.59 - 1.47 (m, 1H) ppm.
666	349.15	0.62	1H NMR (300 MHz, DMSO-D6) δ 9.94 (s, 1H), 9.26 (s, 1H), 9.09 (s, 1H), 8.69 (d, J = 2.3 Hz, 1H), 8.60 (s, 1H), 7.53 (s, 1H), 7.47 (s, 1H), 6.94 (s, 1H), 3.92 - 3.63 (m, 4H), 3.20 (d, J = 4.6 Hz, 4H) ppm.
667	442.36	0.77	1H NMR (400 MHz, CDCl3) δ 8.37 (s, 1H), 7.62 - 7.53 (m, 2H), 7.26 (dt, J = 6.0, 2.1 Hz, 3H), 6.88 (s, 1H), 6.78 (tt, J = 8.7, 2.3 Hz, 1H), 4.80 - 4.60 (m, 4H), 3.91 - 3.77 (m, 2H), 3.50 (dd, J = 13.4, 6.9 Hz, 1H), 2.91 (d, J = 11.5 Hz, 1H), 2.38 (d, J = 23.7 Hz, 6H), 1.52 (s, 3H) ppm.
668	383.58	0.88	1H NMR (300 MHz, CDCl3) δ 9.77 (s, 1H), 9.11 (s, 1H), 8.07 - 7.91 (m, 1H), 7.77 - 7.61 (m, 2H), 7.50 (s, 1H), 7.42 (s, 1H), 6.91 (s, 1H), 3.87 - 3.69 (m, 4H), 3.23 - 3.09 (m, 4H) ppm.
669	374.25	0.83	1H NMR (300 MHz, CDCl3) δ 8.30 (s, 1H), 7.74 - 7.64 (m, 2H), 7.57 - 7.47 (m, 3H), 7.42 (s, 1H), 7.40 - 7.32 (m, 1H), 7.09 (d, J = 1.9 Hz, 1H), 6.69 (s, 1H), 6.62 (s, 1H), 6.22 (s, 1H), 5.12 (s, 1H), 4.53 - 4.36 (m, 1H), 2.29 (s, 3H), 1.50 (d, J = 6.7 Hz, 6H) ppm.
670	441.35	0.68	1H NMR (300 MHz, DMSO-D6) δ 9.29 (s, 1H), 9.14 (s, 1H), 7.66 - 7.52 (m, 2H), 7.22 (tt, J = 9.2, 2.3 Hz, 1H), 6.81 (s, 1H), 6.67 (s, 1H), 5.84 (s, 1H), 4.00 (m, 1H), 3.90 (m, 1H), 3.66 (d, J = 11.3 Hz, 1H), 3.53 (m, 5H), 3.21 - 3.10 (m, 1H), 2.64 (d, J = 11.4 Hz, 1H), 2.41 (m, 1H), 2.19 (s,

Cmpd No. in PRV2	LCMS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			3H), 2.12 (m, 1H), 0.91 (d, J = 6.4 Hz, 3H) ppm.
671	419.23	0.9	1H NMR (400 MHz, CDCl3) δ 8.41 (s, 1H), 8.02 (d, J = 2.0 Hz, 1H), 7.88 (dt, J = 7.7, 1.9 Hz, 1H), 7.71 - 7.58 (m, 2H), 7.26 (t, J = 2.2 Hz, 1H), 6.83 (t, J = 1.6 Hz, 1H), 6.74 (s, 1H), 6.45 (t, J = 1.6 Hz, 1H), 4.68 (d, J = 5.9 Hz, 2H), 4.49 (d, J = 5.9 Hz, 2H), 4.10 (s, 2H), 2.37 (s, 3H), 1.48 (s, 3H) ppm.
672	496.53	0.71	1H NMR (300 MHz, CDCl3) δ 8.37 (s, 1H), 7.59 (s, 1H), 7.41 (s, 1H), 7.28 - 7.18 (m, 2H), 6.83 (tt, J = 8.7, 2.3 Hz, 1H), 6.44 (s, 1H), 4.05 - 3.90 (m, 2H), 3.83 (dd, J = 15.9, 8.1 Hz, 1H), 3.72 (dd, J = 8.6, 6.8 Hz, 1H), 3.62 (t, J = 5.1 Hz, 4H), 3.11 - 2.98 (m, 1H), 2.66 (dt, J = 10.5, 5.1 Hz, 2H), 2.59 - 2.46 (m, 2H), 2.18 - 2.03 (m, 1H), 1.93 (ddd, J = 15.6, 12.3, 8.2 Hz, 1H) ppm.
673	442.26	0.62	1H NMR (300 MHz, CDCl3) δ 8.33 (s, 1H), 7.30 (s, 1H), 7.27 (d, J = 2.2 Hz, 1H), 7.20 (d, J = 6.5 Hz, 2H), 6.81 (tt, J = 8.7, 2.2 Hz, 1H), 6.13 (s, 1H), 3.98 (ddd, J = 15.2, 8.5, 5.7 Hz, 2H), 3.83 (dd, J = 15.9, 8.1 Hz, 1H), 3.72 (dd, J = 8.5, 6.9 Hz, 1H), 3.56 (t, J = 5.1 Hz, 4H), 3.09 - 2.97 (m, 1H), 2.66 (dt, J = 10.3, 5.1 Hz, 2H), 2.59 - 2.47 (m, 2H), 2.35 (s, 3H), 2.17 - 2.04 (m, 1H), 1.93 (ddd, J = 15.7, 12.2, 8.2 Hz, 1H) ppm.
674	427.54	0.97	1H NMR (300 MHz, CDCl3) δ 8.37 (s, 1H), 7.64 (s, 1H), 7.37 (s, 1H), 7.28 (s, 1H), 7.25 (d, J = 2.2 Hz, 1H), 6.83 (tt, J = 8.7, 2.3 Hz, 1H), 6.44 (s, 1H), 3.90 - 3.78 (m, 4H), 3.63 - 3.50 (m, 4H) ppm.
675	417.3	3.84	1H NMR (300 MHz, CDCl3) δ 8.28 (s, 1H), 7.86 (s, 1H), 7.26 - 7.18 (m, 3H), 6.83 - 6.66 (m, 2H), 3.88 (s, 3H), 3.82 - 3.67 (m, 4H), 3.60 - 3.40 (m, 4H) ppm.
676	347.32	0.7	1H NMR (300 MHz, MeOD+CDCl3) δ 8.47 (s, 1H), 7.91 (s, 1H), 7.81 - 7.72 (m, 2H), 7.66 (t, J = 1.8 Hz, 1H), 7.57 - 7.48 (m, 2H), 7.41 - 7.33 (m, 1H), 6.96 (s, 1H), 6.89 (s, 1H), 3.84 (s, 3H), 2.37 (s, 3H) ppm.
677	387.14	0.88	1H NMR (400 MHz, CDCl3) δ 8.18 (s, 1H), 7.11 (d, J = 2.3 Hz, 1H), 7.03 (s, 1H), 6.74 - 6.63 (m, 2H), 6.59 (s, 1H), 6.30 (t, J = 1.7 Hz, 1H),

Cmpd No. in PRV2	LCMS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			4.52 (d, J = 5.9 Hz, 2H), 4.35 (d, J = 5.9 Hz, 2H), 3.95 (s, 2H), 2.22 (s, 3H), 1.33 (s, 3H) ppm.
678	438.7	0.66	1H NMR (300 MHz, MeOD+CDCl3) δ 8.63 (s, 1H), 7.50 (t, J = 2.2 Hz, 1H), 7.43 - 7.29 (m, 3H), 6.84 (tt, J = 8.8, 2.3 Hz, 1H), 6.80 - 6.73 (m, 1H), 4.73 (dt, J = 12.5, 6.5 Hz, 4H), 3.67 - 3.54 (m, 1H), 3.34 (d, J = 5.3 Hz, 4H), 2.65 - 2.45 (m, 4H) ppm.
679			1H NMR (400 MHz, DMSO-D6) δ 9.59 (s, 1H), 9.18 (s, 1H), 7.71 - 7.62 (m, 3H), 7.60 (s, 1H), 7.28 (d, J = 10.2 Hz, 1H), 7.27 - 7.20 (m, 1H), 6.72 (s, 1H), 3.63 (d, J = 8.8 Hz, 1H), 3.50 (d, J = 8.8 Hz, 1H), 2.31 (s, 3H), 1.67 (s, 3H) ppm.
680	496.22	0.7	1H NMR (400 MHz, CDCl3) δ 8.43 (s, 1H), 7.96 (s, 1H), 7.91 (d, J = 7.7 Hz, 1H), 7.73 -7.59 (m, 2H), 7.51 (s, 1H), 7.35 (s, 1H), 6.60 (t, J = 56.2 Hz, 2H), 6.39 (s, 1H), 4.72 (dt, J = 14.4, 6.4 Hz, 4H), 3.71 - 3.61 (m, 3H), 3.61 - 3.48 (m, 1H), 2.50 - 2.38 (m, 3H) ppm.
681	409.18	0.87	1H NMR (300 MHz, DMSO-D6) δ 9.35 (s, 2H), 9.08 (s, 2H), 7.97 (s, 1H), 7.91 (d, J = 7.6 Hz, 4H), 7.49 (t, J = 7.9 Hz, 4H), 7.31 (t, J = 7.4 Hz, 2H), 6.92 (d, J = 1.4 Hz, 2H), 2.26 (s, 3H) ppm.
682			1H NMR (400 MHz, DMSO-D6) δ 9.72 (s, 1H), 9.23 (s, 1H), 9.14 (d, J = 1.3 Hz, 1H), 8.68 (d, J = 2.5 Hz, 1H), 8.58 (dd, J = 2.5, 1.4 Hz, 1H), 7.70 (s, 1H), 7.63 (s, 1H), 7.35 (s, 1H), 6.74 (s, 1H), 3.65 (d, J = 8.6 Hz, 1H), 3.51 (d, J = 8.7 Hz, 1H), 2.32 (s, 3H), 1.68 (s, 3H) ppm.
683	441.39	0.66	1H NMR (300 MHz, DMSO-D6) δ 9.28 (s, 1H), 9.14 (s, 1H), 7.64 - 7.52 (m, 2H), 7.29 - 7.15 (m, 1H), 6.73 (s, 1H), 6.69 (s, 1H), 5.82 (s, 1H), 3.54 (m, 8H), 2.38 (m, 4H), 2.19 (s, 3H), 1.33 (s, 3H) ppm.
684	447.48	0.57	1H NMR (300 MHz, CDCl3) δ 9.02 (d, J = 2.5 Hz, 1H), 8.65 (dd, J = 4.8, 1.4 Hz, 1H), 8.44 (s, 1H), 8.05 (ddd, J = 8.3, 2.6, 1.5 Hz, 1H), 7.64 (s, 1H), 7.51 (dd, J = 8.3, 4.8 Hz, 1H), 7.43 (s, 1H), 6.45 (s, 1H), 4.71 (p, J = 6.3 Hz, 4H), 3.72 - 3.61 (m, 4H), 3.60 - 3.51 (m, 1H), 2.53 - 2.38 (m, 4H) ppm.
685	478.16	0.69	1H NMR (300 MHz, CDCl3) δ 8.36 (s, 1H),

Cmpd No. in PRV2	LCMS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			7.49 (s, 1H), 7.33 (s, 1H), 7.28 - 7.22 (m, 2H), 6.82 (tt, J = 8.7, 2.2 Hz, 1H), 6.38 (s, 1H), 4.81 - 4.60 (m, 4H), 3.63 (dd, J = 11.4, 6.4 Hz, 4H), 3.55 (dd, J = 12.8, 6.4 Hz, 1H), 2.52 - 2.33 (m, 4H), 1.96 (t, J = 18.3 Hz, 3H) ppm.
686	362.28	3.74	1H NMR (300 MHz, DMSO-D6) δ 9.57 (s, 1H), 9.18 (s, 1H), 7.74 - 7.60 (m, 2H), 7.27 (ddd, J = 9.3, 5.8, 2.2 Hz, 1H), 6.77 - 6.59 (m, 3H), 5.84 - 5.73 (m, 1H), 4.84 (t, J = 6.0 Hz, 2H), 4.56 - 4.37 (m, 3H) ppm.
687	416.33	0.57	1H NMR (300 MHz, DMSO-D6) δ 9.38 (s, 1H), 9.25 (s, 1H), 8.05 (d, J = 10.5 Hz, 4H), 7.16 (s, 1H), 6.89 (s, 1H), 6.32 (s, 1H), 4.53 (dt, J = 25.3, 6.4 Hz, 4H), 3.45 (d, J = 6.5 Hz, 1H), 3.15 (d, J = 5.7 Hz, 4H), 2.41 (s, 4H), 2.23 (s, 3H) ppm.
688	401.08	0.69	
689	387.26	0.6	1H NMR (400 MHz, CDCl3) δ 8.41 (s, 1H), 7.87 (s, 1H), 7.85 - 7.81 (m, 1H), 7.67 (s, 1H), 7.62 (t, J = 7.9 Hz, 1H), 7.52 (t, J = 8.3 Hz, 1H), 7.24 (s, 1H), 6.75 (t, J = 56.2 Hz, 1H), 5.89 (s, 1H), 4.87 (d, J = 7.1 Hz, 1H), 4.37 (dtt, J = 10.6, 7.1, 3.5 Hz, 1H), 4.00 (dt, J = 14.5, 6.5 Hz, 2H), 3.88 (td, J = 8.4, 5.5 Hz, 1H), 3.74 (dd, J = 9.2, 3.3 Hz, 1H), 2.33 (s, 3H), 2.32 - 2.24 (m, 1H), 1.96 - 1.84 (m, 1H) ppm.
690	455.4	0.77	1H NMR (300 MHz, DMSO-D6) δ 9.37 (s, 1H), 9.16 (s, 1H), 7.61 (d, J = 6.4 Hz, 2H), 7.31 - 7.20 (m, 1H), 6.82 (d, J = 11.2 Hz, 1H), 6.67 (s, 1H), 5.83 (s, 1H), 4.01 (t, J = 7.1 Hz, 2H), 3.91 - 3.76 (m, 3H), 3.57 (d, J = 4.3 Hz, 4H), 3.47 (s, 2H), 2.90 (d, J = 14.9 Hz, 2H), 2.20 (s, 3H) ppm.
691	445.3	0.64	1H NMR (400 MHz, DMSO-D6) δ 9.17 (s, 1H), 8.86 (d, J = 1.6 Hz, 1H), 7.61 (dd, J = 8.5, 2.2 Hz, 2H), 7.51 (d, J = 5.6 Hz, 1H), 7.26 (tt, J = 9.2, 2.3 Hz, 1H), 6.44 (d, J = 5.9 Hz, 1H), 4.56 (t, J = 6.5 Hz, 2H), 4.47 (t, J = 6.1 Hz, 2H), 3.51 - 3.40 (m, 1H), 3.02 (s, 4H), 2.39 (s, 4H), 2.27 (s, 3H) ppm.
692	398.21	0.94	1H NMR (300 MHz, DMSO-D6) δ 9.27 (s, 1H), 9.14 (s, 1H), 7.65 - 7.54 (m, 2H), 7.23 (tt, J = 9.3, 2.3 Hz, 1H), 7.07 (s, 1H), 6.84 (s, 1H), 6.23 (s, 1H), 4.42 (m, 2H), 3.33 (d, J = 11.3 Hz, 2H),

Cmpd No. in PRV2	LCMS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			2.83 (dd, J = 11.4, 2.3 Hz, 2H), 2.22 (s, 3H), 1.84 (m, 4H) ppm.
693	457.29	0.58	1H NMR (400 MHz, CDCl3) δ 8.39 (s, 1H), 7.92 (s, 1H), 7.79 (dd, J = 8.1, 1.1 Hz, 1H), 7.61 (t, J = 7.9 Hz, 1H), 7.48 (d, J = 7.7 Hz, 1H), 6.92 - 6.53 (m, 4H), 6.16 (t, J = 2.1 Hz, 1H), 4.72 (dt, J = 14.3, 6.4 Hz, 4H), 3.86 (s, 3H), 3.64 - 3.53 (m, 1H), 3.38 - 3.24 (m, 4H), 2.60 - 2.45 (m, 4H) ppm.
694	384.25	0.75	1H NMR (400 MHz, DMSO-D6) δ 9.30 (s, 1H), 9.15 (s, 1H), 7.61 - 7.51 (m, 2H), 7.23 (tt, J = 9.3, 2.3 Hz, 1H), 6.81 (m, 1H), 6.68 (s, 1H), 5.95 (s, 1H), 4.27 (d, J = 10.4 Hz, 2H), 4.20 (d, J = 6.0 Hz, 2H), 3.59 (d, J = 9.1 Hz, 2H), 2.66 (m, 1H), 2.21 (s, 3H), 1.86 (d, J = 7.9 Hz, 1H) ppm.
695	423.22	0.66	1H NMR (300 MHz, CDCl3) δ 8.33 (s, 1H), 7.53 - 7.40 (m, 3H), 7.12 (s, 1H), 7.06 (ddd, J = 10.3, 5.7, 3.0 Hz, 1H), 6.81 (s, 1H), 6.64 (s, 1H), 6.42 (s, 1H), 4.67 (d, J = 5.5 Hz, 2H), 4.30 (d, J = 5.7 Hz, 2H), 3.41 - 3.17 (m, 4H), 2.64 - 2.46 (m, 4H), 2.35 (s, 3H), 1.44 (s, 3H) ppm.
696	441.35	0.66	1H NMR (300 MHz, DMSO-D6) δ 9.28 (s, 1H), 9.14 (s, 1H), 7.65 - 7.51 (m, 2H), 7.22 (tt, J = 9.3, 2.2 Hz, 1H), 6.74 (s, 1H), 6.69 (s, 1H), 5.82 (s, 1H), 3.89 (t, J = 6.9 Hz, 2H), 3.77 (d, J = 10.0 Hz, 1H), 3.58 (t, J = 6.0 Hz, 2H), 3.48 (m, 2H), 3.28 - 3.20 (m, 1H), 2.72 (d, J = 11.2 Hz, 1H), 2.64 (d, J = 10.4 Hz, 1H), 2.19 (s, 3H), 1.96 (td, J = 10.9, 8.2 Hz, 1H), 1.66 (t, J = 10.4 Hz, 1H), 1.06 (d, J = 6.2 Hz, 3H) ppm.
697	441.34	0.68	1H NMR (400 MHz, DMSO-D6) δ 9.13 (s, 1H), 8.25 (s, 1H), 7.62 - 7.49 (m, 2H), 7.33 (s, 1H), 7.23 (tt, J = 9.3, 2.3 Hz, 1H), 6.56 (s, 1H), 4.56 (t, J = 6.5 Hz, 2H), 4.48 (t, J = 6.1 Hz, 2H), 3.54 - 3.41 (m, 1H), 2.84 (s, 4H), 2.38 (br s, 4H), 2.26 (s, 3H), 2.14 (s, 3H) ppm.
698	397.17	0.81	1H NMR (400 MHz, CDCl3) δ 8.13 (s, 1H), 7.42 (dd, J = 8.9, 2.1 Hz, 3H), 7.26 (d, J = 9.1 Hz, 1H), 7.18 (dd, J = 9.5, 8.2 Hz, 1H), 6.94 (s, 1H), 6.68 (s, 1H), 6.58 (s, 1H), 6.20 (d, J = 2.0 Hz, 1H), 6.13 (t, J = 2.1 Hz, 1H), 4.41 (t, J = 5.3 Hz, 2H), 4.23 (t, J = 5.3 Hz, 2H), 2.18 (s, 3H)

Cmpd No. in PRV2	LCMS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			ppm.
699	346	0.66	1H NMR (300 MHz, DMSO-D6) δ 11.58 (s, 1H), 9.10 (s, 1H), 9.03 (s, 1H), 8.04 (s, 1H), 7.87 (d, J = 7.7 Hz, 2H), 7.54 (t, J = 8.0 Hz, 2H), 7.45 (s, 1H), 7.34 (t, J = 7.4 Hz, 1H), 6.65 (s, 2H), 5.75 (d, J = 1.3 Hz, 1H), 2.18 (s, 6H) ppm.
700	442.28	0.62	1H NMR (400 MHz, CDCl3) δ 8.35 (s, 1H), 7.31 (dd, J = 7.8, 2.3 Hz, 2H), 7.06 (s, 1H), 6.84 - 6.74 (m, 2H), 6.42 (s, 1H), 4.63 (p, J = 6.4 Hz, 5H), 4.46 (tt, J = 8.9, 4.1 Hz, 1H), 3.56 (p, J = 6.5 Hz, 1H), 3.04 (dd, J = 10.8, 3.8 Hz, 1H), 2.66 (dd, J = 10.6, 4.3 Hz, 1H), 2.34 (s, 3H), 2.22 (dp, J = 14.0, 4.7 Hz, 1H), 2.05 - 1.85 (m, 4H), 1.81 - 1.64 (m, 1H), 1.61 - 1.46 (m, 1H) ppm.
701	553.43	0.56	1H NMR (400 MHz, DMSO-D6) δ 9.23 (s, 1H), 9.14 (s, 1H), 7.60-7.56 (m, 2H), 7.23 (m, 1H), 6.61 (s, 1H), 6.39 (s, 1H), 5.56 (s, 1H), 4.57 (t, J = 6.4 Hz, 2H), 4.47 (t, J = 6.0 Hz, 2H), 3.87 (m, 2H), 3.57 (m, 6H), 3.47 - 3.40 (m, 1H), 3.23 (s, 1H), 3.12 (s, 4H), 2.39 (s, 4H), 2.34 (s, 4H) ppm.
702	428.33	0.6	1H NMR (400 MHz, DMSO-D6) δ 9.37 (s, 1H), 9.18 (s, 1H), 7.69 - 7.59 (m, 2H), 7.26 (tt, J = 9.2, 2.3 Hz, 1H), 6.92 (s, 1H), 6.13 (s, 1H), 3.46 (s, 4H), 2.56 (dd, J = 13.0, 8.3 Hz, 4H), 2.22 (s, 3H), 1.04 (s, 9H) ppm.
703	373.23	0.86	1H NMR (300 MHz, CDCl3) δ 8.32 (s, 1H), 7.32 - 7.21 (m, 2H), 7.14 (t, J = 2.3 Hz, 1H), 6.89 - 6.70 (m, 3H), 6.36 (t, J = 1.7 Hz, 1H), 5.06 - 4.93 (m, 1H), 4.10 - 3.87 (m, 4H), 2.35 (s, 3H), 2.32 - 2.17 (m, 2H) ppm.
704	391	0.64	1H NMR (300 MHz, CDCl3) δ 8.31 (s, 1H), 7.74 - 7.60 (m, 2H), 7.49 (t, J = 7.9 Hz, 2H), 7.33 (t, J = 7.4 Hz, 1H), 6.74 (s, 1H), 6.71 - 6.55 (m, 2H), 5.93 (s, 1H), 3.98 (t, J = 7.0 Hz, 2H), 3.75 (t, J = 6.2 Hz, 6H), 3.45 - 3.23 (m, 1H), 2.46 (d, J = 4.2 Hz, 4H), 2.30 (s, 3H) ppm.
705	378.23	4.05	1H NMR (300 MHz, DMSO-D6) δ 9.59 (s, 1H), 9.19 (s, 1H), 7.66 (dd, J = 8.5, 2.1 Hz, 2H), 7.28 (ddd, J = 9.3, 5.8, 2.3 Hz, 1H), 6.91 (d, J = 1.8 Hz, 1H), 6.82 (t, J = 1.8 Hz, 1H), 6.72 (d, J = 5.7 Hz, 1H), 6.00 (t, J = 1.8 Hz, 1H), 4.85 (t, J = 6.1 Hz, 2H), 4.57 - 4.38 (m, 3H) ppm.

Cmpd No. in PRV2	LCMS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
706	407.21	0.95	1H NMR (400 MHz, CDCl3) δ 8.34 (s, 1H), 7.29 (s, 2H), 7.16 (t, J = 2.2 Hz, 1H), 6.87 - 6.78 (m, 2H), 6.71 (s, 1H), 6.41 (t, J = 1.7 Hz, 1H), 4.10 - 4.01 (m, 2H), 2.77 (dddd, J = 13.5, 11.8, 10.0, 8.4 Hz, 3H), 2.61 - 2.45 (m, 2H), 2.36 (s, 3H) ppm.
707	439.27	0.62	1H NMR (400 MHz, DMSO-D6) δ 10.20 (s, 1H), 9.22 (s, 1H), 7.70 - 7.57 (m, 3H), 7.33 - 7.25 (m, 1H), 7.18 (d, J = 2.1 Hz, 1H), 4.57 (t, J = 6.5 Hz, 2H), 4.48 (t, J = 6.1 Hz, 2H), 3.50-3.43 (m, 5H), 2.42-2.38 (m, 4H) ppm.
708	442.33	0.75	1H NMR (300 MHz, DMSO-D6) δ 9.45 (s, 1H), 9.11 (s, 1H), 7.63 - 7.51 (m, 3H), 7.25 - 7.11 (m, 2H), 6.70 (s, 1H), 4.54 - 4.38 (m, 3H), 4.33 (t, J = 6.0 Hz, 1H), 3.60 (dtd, J = 16.5, 8.0, 4.6 Hz, 2H), 3.27 (d, J = 6.4 Hz, 1H), 2.79 (d, J = 11.5 Hz, 1H), 2.24 (s, 4H), 2.19 - 1.98 (m, 2H), 1.28 (s, 3H) ppm.
709	427.29	0.67	1H NMR (400 MHz, DMSO-D6) δ 9.33 (s, 1H), 9.16 (s, 1H), 7.61 (dd, J = 8.6, 2.2 Hz, 2H), 7.25 (ddd, J = 9.3, 5.7, 2.3 Hz, 1H), 7.14 (s, 1H), 6.85 (s, 1H), 6.30 (s, 1H), 3.16 - 3.06 (m, 4H), 2.66 - 2.59 (m, 4H), 2.22 (s, 3H), 1.05 (s, 9H) ppm.
710	421.26	2.7	1H NMR (400 MHz, CDCl3) δ 8.11 (s, 1H), 7.53 - 7.45 (m, 2H), 7.02 (s, 1H), 6.97 - 6.88 (m, 2H), 6.71 (s, 1H), 6.50 (s, 1H), 6.30 (s, 1H), 4.68 - 4.56 (m, 4H), 3.79 (s, 3H), 3.49 (p, J = 6.4 Hz, 1H), 3.24 - 3.17 (m, 4H), 2.47 - 2.40 (m, 4H), 2.25 (s, 3H) ppm.
711	413.34	3.37	1H NMR (300 MHz, DMSO-D6) δ 9.31 (s, 1H), 9.15 (s, 1H), 7.64 - 7.53 (m, 2H), 7.22 (tt, J = 9.2, 2.2 Hz, 1H), 6.79 (s, 1H), 6.70 (s, 1H), 5.84 (s, 1H), 4.02 (m, 2H), 3.82 (m, 3H), 2.90 (s, 3H), 2.84 (s, 3H), 2.20 (s, 3H) ppm.
712	448.56	0.63	1H NMR (300 MHz, CDCl3) δ 9.22 (d, J = 1.4 Hz, 1H), 8.97 (s, 1H), 8.61 (d, J = 2.5 Hz, 1H), 8.42 (dd, J = 2.5, 1.5 Hz, 1H), 7.67 (s, 1H), 7.47 (s, 1H), 6.47 (s, 1H), 4.79 - 4.63 (m, 4H), 3.73 - 3.63 (m, 4H), 3.56 (dt, J = 12.8, 6.4 Hz, 1H), 2.53 - 2.38 (m, 4H) ppm.
713	444.24	0.59	1H NMR (300 MHz, CDCl3) δ 8.28 (s, 1H), 7.58 (ddd, J = 10.8, 6.8, 2.5 Hz, 1H), 7.46 - 7.37

Cmpd No. in PRV2	LCMS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			(m, 1H), 7.33 (dd, J = 10.4, 7.0 Hz, 2H), 7.25 (s, 1H), 6.34 (s, 1H), 4.77 - 4.65 (m, 6H), 3.72 - 3.53 (m, 6H), 2.54 - 2.39 (m, 4H) ppm.
714	397.17	0.8	1H NMR (400 MHz, CDCl3) δ 8.28 (s, 1H), 7.61 (ddd, J = 10.8, 6.8, 2.6 Hz, 1H), 7.48 - 7.41 (m, 1H), 7.37 (d, J = 2.2 Hz, 1H), 7.32 (dd, J = 9.5, 8.3 Hz, 1H), 7.19 (t, J = 2.2 Hz, 1H), 6.87 (d, J = 1.6 Hz, 1H), 6.73 (s, 1H), 6.50 (t, J = 1.8 Hz, 1H), 6.39 (d, J = 2.2 Hz, 1H), 5.12 (s, 2H), 3.94 (s, 3H), 2.36 (s, 3H) ppm.
715	453.33	0.65	1H NMR (300 MHz, CDCl3) δ 8.29 (s, 1H), 7.73 (d, J = 2.2 Hz, 1H), 7.52 - 7.31 (m, 2H), 7.22 (t, J = 2.2 Hz, 1H), 6.79 (s, 1H), 6.71 (s, 1H), 6.45 (s, 1H), 4.80 - 4.66 (m, 4H), 3.59 (p, J = 6.4 Hz, 1H), 3.39 - 3.28 (m, 5H), 2.64 (q, J = 7.6 Hz, 2H), 2.59 - 2.49 (m, 5H), 2.43 (s, 3H), 1.28 (t, J = 7.6 Hz, 4H) ppm.
716	478.62	0.68	1H NMR (300 MHz, CDCl3) δ 8.35 (s, 1H), 7.46 (s, 1H), 7.33 (s, 1H), 7.26 (d, J = 2.2 Hz, 2H), 6.90 - 6.76 (m, 1H), 6.51 (d, J = 56.2 Hz, 1H), 6.39 (s, 1H), 4.67 (d, J = 5.5 Hz, 2H), 4.30 (d, J = 5.7 Hz, 2H), 3.73 - 3.54 (m, 4H), 2.61 - 2.41 (m, 4H), 1.40 (s, 3H) ppm.
717	453.46	0.68	1H NMR (300 MHz, DMSO-D6) δ 9.28 (s, 1H), 9.14 (s, 1H), 7.59 (m, 2H), 7.22 (m, 1H), 6.74 (s, 1H), 6.69 (s, 1H), 5.82 (s, 1H), 4.24 (m, 2H), 3.86 (t, J = 7.0 Hz, 2H), 3.56 - 3.46 (m, 2H), 3.26 (m, 1H), 2.54 (m, 2H), 2.19 (s, 3H), 2.12 (d, J = 9.4 Hz, 2H), 1.86 - 1.77 (m, 2H), 1.75 - 1.65 (m, 2H) ppm.
718	478.26	0.62	1H NMR (400 MHz, CDCl3) δ 8.42 (s, 1H), 7.91 - 7.73 (m, 2H), 7.64 (t, J = 7.9 Hz, 1H), 7.57 - 7.48 (m, 2H), 7.39 (d, J = 14.7 Hz, 1H), 6.91 - 6.43 (m, 2H), 6.39 (s, 1H), 4.71 (dq, J = 12.4, 6.4 Hz, 4H), 3.70 - 3.61 (m, 4H), 3.59 - 3.48 (m, 1H), 2.51 - 2.37 (m, 4H) ppm.
719	496.3	0.65	1H NMR (400 MHz, CDCl3) δ 8.42 (s, 1H), 7.96 (s, 1H), 7.91 (d, J = 7.7 Hz, 1H), 7.73 - 7.60 (m, 2H), 7.52 (s, 1H), 7.37 (s, 1H), 6.59 (t, J = 56.2 Hz, 1H), 6.06 (s, 1H), 4.12 (dd, J = 16.3, 8.5 Hz, 2H), 3.92 (dd, J = 8.3, 5.3 Hz, 2H), 3.83 - 3.72 (m, 4H), 3.35 (dt, J = 12.2, 6.1 Hz, 1H),

Cmpd No. in PRV2	LCMS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			2.47 (s, 4H) ppm.
720	427.34	0.65	1H NMR (300 MHz, DMSO-D6) δ 9.21 (s, 1H), 9.04 (s, 1H), 8.00 - 7.87 (m, 1H), 7.73 - 7.58 (m, 2H), 6.70 (s, 2H), 5.80 (s, 1H), 3.88 (t, J = 7.0 Hz, 2H), 3.64 - 3.52 (m, 6H), 3.28 - 3.20 (m, 1H), 2.35 (m, 4H), 2.19 (s, 3H) ppm.
721	409	0.63	1H NMR (300 MHz, CDCl3) δ 8.31 (s, 1H), 7.45 (dt, J = 10.3, 2.6 Hz, 3H), 7.09 - 6.98 (m, 1H), 6.72 (s, 1H), 6.69 - 6.59 (m, 2H), 5.94 (s,1H), 3.99 (t, J = 7.0 Hz, 2H), 3.75 (dd, J = 8.1, 4.3 Hz, 6H), 3.43 - 3.27 (m, 1H), 2.46 (d, J = 4.2 Hz, 4H), 2.30 (s, 3H) ppm.
722	398.35	0.79	1H NMR (300 MHz, DMSO-D6) δ 9.24 (s, 1H), 9.14 (s, 1H), 7.63 - 7.51 (m, 2H), 7.22 (tt, J = 9.2, 2.3 Hz, 1H), 7.06 (s, 1H), 6.75 (s, 1H), 6.24 (s, 1H), 4.05 (m, 2H), 3.77 (d, J = 10.6 Hz, 2H), 3.45 (d, J = 10.5 Hz, 2H), 2.22 (s, 3H), 1.97 - 1.86 (m, 4H) ppm.
723	447	0.68	1H NMR (400 MHz, CDCl3) δ 8.30 (s, 1H), 7.35 - 7.14 (m, 1H), 6.89 (t, J = 1.9 Hz, 1H), 6.79 (tt, J = 8.7, 2.3 Hz, 1H), 6.65 (s, 1H - NH), 6.58 (t, J = 2.0 Hz, 1H), 6.09 (t, J = 1.9 Hz, 1H), 3.99 (t, J =7.1 Hz, 2H), 3.76 (dd, J = 7.6, 5.3 Hz, 6H), 3.35 (dd, J = 12.7, 6.1 Hz, 1H), 2.45 (m, 4H) ppm.
724	414.29	0.88	1H NMR (300 MHz, DMSO-D6) δ 9.42 (s, 1H), 9.17 (s, 1H), 7.69 - 7.55 (m, 2H), 7.34 - 7.19 (m, 2H), 6.87 (s, 1H), 6.40 (s, 1H), 4.47 (dd, J = 21.6, 6.9 Hz, 4H), 3.78 - 3.68 (m, 2H), 3.08 - 2.99 (m, 2H), 2.25 (s, 3H) ppm.
725	469.3	0.56	1H NMR (400 MHz, CDCl3) δ 8.39 (s, 1H), 7.92 (s, 1H), 7.79 (ddd, J = 8.1, 2.1, 1.0 Hz, 1H), 7.60 (t, J = 7.9 Hz, 1H), 7.48 (d, J = 7.7 Hz, 1H), 7.26 (t, J = 2.0 Hz, 1H), 6.92 - 6.56 (m, 3H), 6.43 (s, 1H), 3.84 (d, J = 12.6 Hz, 2H), 3.80 - 3.72 (m, 4H), 2.80 (td, J = 12.3, 2.2 Hz, 2H), 2.66 - 2.57 (m, 4H), 2.43 - 2.34 (m, 1H), 2.34 (d, J = 4.3 Hz, 3H), 1.97 (d, J = 12.5 Hz, 2H), 1.70 (qd, J = 12.2, 3.9 Hz, 2H) ppm.
726	554.42	0.51	1H NMR (400 MHz, DMSO-D6) δ 9.19 (s, 1H), 9.16 (s, 1H), 7.59 (dd, J = 8.6, 2.2 Hz, 2H), 7.29 - 7.20 (m, 1H), 6.86 (d, J = 1.3 Hz, 1H), 5.78 (s,

Cmpd No. in PRV2	LCMS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			1H), 4.57 (td, J = 6.5, 2.0 Hz, 4H), 4.48 (t, J = 6.0 Hz, 4H), 3.54 - 3.37 (m, 6H), 3.33-3.25 (m, 4H), 2.42 - 2.35 (m, 4H), 2.35-2.28 (m, 4H) ppm.
727	384.21	0.87	1H NMR (300 MHz, DMSO-D6) δ 9.23 (s, 1H), 9.14 (s, 1H), 7.65 - 7.51 (m, 2H), 7.22 (tt, J = 9.3, 2.3 Hz, 1H), 6.87 (s, 1H), 6.69 (s, 1H), 6.02 (s, 1H), 4.61 (m, 1H), 4.43 (m, 1H), 3.80 - 3.69 (m, 2H), 3.55 - 3.45 (m, 1H), 2.99 (d, J = 9.2 Hz, 1H), 1.94 (dd, J = 9.5, 1.7 Hz, 1H), 1.84 (d, J = 9.4 Hz, 1H) ppm.
728	464.16	0.68	1H NMR (300 MHz, CDCl3) δ 8.38 (s, 1H), 7.65 (s, 1H), 7.48 (dt, J = 4.2, 2.2 Hz, 2H), 7.44 (s, 1H), 7.39 (s, 1H), 7.15 - 7.02 (m, 1H), 6.44 (s, 1H), 4.71 (p, J = 6.4 Hz, 4H), 3.74 - 3.60 (m, 4H), 3.56 (dt, J = 12.8, 6.4 Hz, 2H), 2.57 - 2.38 (m, 4H) ppm.
729	451.26	0.74	1H NMR (400 MHz, CDCl3) δ 8.36 (s, 1H), 7.41 (d, J = 7.7 Hz, 2H), 7.28 - 7.25 (m, 2H), 6.82 (tt, J = 8.7, 2.3 Hz, 1H), 6.59 (t, J = 56.2 Hz, 1H), 6.41 (s, 1H), 4.37 (d, J = 13.1 Hz, 2H), 3.38 (s, 3H), 3.28 (d, J = 6.2 Hz, 2H), 2.87 (td, J = 12.9, 2.4 Hz, 2H), 1.95 - 1.79 (m, 3H), 1.28 (qt, J = 14.7, 7.2 Hz, 2H) ppm.
730	441.39	0.68	1H NMR (300 MHz, DMSO-D6) δ 9.35 (s, 1H), 9.16 (s, 1H), 7.66 - 7.55 (m, 2H), 7.31 - 7.17 (m, 1H), 6.82 (s, 1H), 6.66 (s, 1H), 5.84 (s, 1H), 4.00 (t, J = 5.8 Hz, 1H), 3.90 (t, J = 5.9 Hz, 1H), 3.66 (d, J = 10.9 Hz, 1H), 3.60 - 3.44 (m, 5H), 3.16 (dd, J = 10.8, 8.1 Hz, 1H), 2.63 (d, J = 11.5 Hz, 1H), 2.40 (m, 1H), 2.19 (s, 3H), 2.11 (t, J = 8.3 Hz, 1H), 0.91 (d, J = 6.4 Hz, 3H) ppm.
731	386.24	0.58	1H NMR (400 MHz, DMSO-D6) δ 9.36 (s, 1H), 9.16 (s, 1H), 7.62 (dd, J = 8.6, 2.2 Hz, 2H), 7.24 (tt, J = 9.3, 2.3 Hz, 1H), 6.94 (s, 1H), 6.15 (s, 1H), 3.47 (s, 4H), 2.36 (dd, J = 13.0, 8.3 Hz, 4H), 2.21 (s, 3H), 2.20 (s, 3H) ppm.
732	464.53	0.67	1H NMR (300 MHz, MeOD+CDCl3) δ 8.79 (s, 1H), 7.45 (dd, J = 7.0, 5.1 Hz, 3H), 6.87 (t, J = 8.7 Hz, 1H), 6.54 (d, J = 55.9 Hz, 1H), 6.08 (s, 1H), 4.14 (t, J = 7.6 Hz, 2H), 3.93 (dd, J = 8.3, 5.3 Hz, 2H), 3.87 - 3.65 (m, 4H), 3.38 (s, 1H),

Cmpd No. in PRV2	LCMS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			2.51 (s, 4H) ppm.
733	448.31	0.59	1H NMR (400 MHz, DMSO-D6) δ 10.05 (s, 1H), 9.22 (s, 1H), 7.61 (d, J = 6.3 Hz, 3H), 7.46 (d, J = 1.9 Hz, 1H), 7.29 (dd, J = 10.3, 8.1 Hz, 2H), 6.53 (d, J = 1.9 Hz, 1H), 4.57 (t, J = 6.5 Hz, 2H), 4.47 (t, J = 6.0 Hz, 2H), 3.47 - 3.42 (m, 1H), 3.40 (s, 4H), 2.38 (s, 4H) ppm.
734	430.37	0.6	1H NMR (300 MHz, CDCl3) δ 8.37 (s, 1H), 8.03 (d, J = 1.1 Hz, 1H), 7.95 - 7.82 (m, 1H), 7.67 - 7.58 (m, 2H), 7.16 (t, J = 2.0 Hz, 1H), 6.83 (s, 1H), 6.72 (s, 1H), 6.47 (s, 1H), 4.77 - 4.61 (m, 4H), 3.59 (p, J = 6.4 Hz, 1H), 3.39 - 3.24 (m, 4H), 2.65 (q, J = 7.6 Hz, 2H), 2.59 - 2.50 (m, 4H), 1.37 - 1.20 (m, 3H) ppm.
735	439.23	2.76	1H NMR (400 MHz, CDCl3) δ 8.22 (s, 1H), 7.47 (dd, J = 11.7, 2.6 Hz, 1H), 7.36 (ddd, J = 8.8, 2.6, 1.6 Hz, 1H), 7.06 (t, J = 8.8 Hz, 1H), 6.71 - 6.61 (m, 2H), 5.94 (s, 1H), 3.97 (d, J = 16.7 Hz, 5H), 3.78 - 3.70 (m, 6H), 3.47 - 3.29 (m, 2H), 2.46 (t, J = 4.7 Hz, 4H), 2.29 (s, 3H) ppm.
736	455.31	0.61	1H NMR (400 MHz, CDCl3) δ 8.39 (s, 1H), 7.93 (s, 1H), 7.78 (ddd, J = 8.1, 2.1, 1.0 Hz, 1H), 7.67 - 7.54 (m, 1H), 7.47 (d, J = 7.7 Hz, 1H), 6.91 - 6.54 (m, 3H), 6.46 (s, 1H), 4.72 (dt, J = 13.0, 6.4 Hz, 4H), 3.59 (p, J = 6.4 Hz, 1H), 3.39 - 3.27 (m, 4H), 2.64 (q, J = 7.6 Hz, 2H), 2.61 - 2.49 (m, 4H), 1.28 (t, J = 7.6 Hz, 3H) ppm.
737	365.22	0.86	1H NMR (300 MHz, MeOD) δ 8.62 (s, 1H), 7.59 - 7.53 (m, 2H), 7.51 - 7.44 (m, 3H), 7.17 - 7.03 (m, 1H), 6.83 - 6.74 (m, 1H), 3.97 - 3.84 (m, 4H), 3.31 - 3.20 (m, 4H) ppm.
738	428.94	2.69	
739	441.34	0.64	1H NMR (400 MHz, DMSO-D6) δ 9.27 (s, 1H), 9.15 (s, 1H), 7.61 (dd, J = 8.7, 2.2 Hz, 2H), 7.27 - 7.18 (m, 1H), 7.09 (d, J = 1.9 Hz, 1H), 6.77 (d, J = 1.8 Hz, 1H), 3.97 (t, J = 6.9 Hz, 2H), 3.59 (t, J = 6.4 Hz, 6H), 3.21 - 3.12 (m, 1H), 2.33 (s, 4H), 2.16 (s, 3H), 1.97 (s, 3H) ppm.
740	442.57	0.62	1H NMR (300 MHz, CDCl3) δ 8.34 (s, 1H), 7.29 (d, J = 2.2 Hz, 1H), 7.27 (d, J = 2.2 Hz, 1H), 7.21 (s, 2H), 6.81 (tt, J = 8.7, 2.2 Hz, 1H),

Cmpd No. in PRV2	LCMS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			6.13 (s, 1H), 3.98 (ddd, J = 15.3, 8.7, 5.6 Hz, 2H), 3.83 (dd, J = 15.9, 8.1 Hz, 1H), 3.73 (d, J = 7.0 Hz, 1H), 3.56 (t, J = 5.1 Hz, 4H), 3.03 (p, J = 7.2 Hz, 1H), 2.75 - 2.60 (m, 2H), 2.60 - 2.47 (m, 2H), 2.36 (s, 3H), 2.17 - 2.04 (m, 1H), 1.93 (ddd, J = 15.9, 12.3, 8.2 Hz, 1H) ppm.
741	352.2	0.65	1H NMR (400 MHz, DMSO-D6) δ 9.73 (s, 1H), 9.23 (s, 1H), 9.14 (s, 1H), 8.68 (s, 1H), 8.58 (s, 1H), 7.70 (s, 1H), 7.63 (s, 1H), 7.35 (s, 1H), 6.74 (s, 1H), 3.65 (d, J = 8.9 Hz, 1H), 3.51 (d, J = 8.8 Hz, 1H), 2.32 (s, 3H), 1.68 (s, 3H) ppm.
742	439.46	0.67	1H NMR (300 MHz, DMSO-D6) δ 9.34 (s, 1H), 9.16 (s, 1H), 7.60 (dd, J = 8.7, 2.2 Hz, 2H), 7.30 - 7.18 (m, 1H), 6.76 (s, 1H), 6.70 (s, 1H), 5.84 (s, 1H), 4.47 (d, J = 6.1 Hz, 2H), 3.93 (m, 2H), 3.71 (m, 3H), 3.07 (d, J = 11.0 Hz, 2H), 2.86 (dd, J = 13.8, 6.4 Hz, 1H), 2.65 (d, J = 11.1 Hz, 2H), 2.20 (s, 3H), 2.17 (m, 1H) ppm.
743	402.03	0.91	1H NMR (300 MHz, CDCl3) δ 8.42 (s, 1H), 7.54 (d, J = 7.3 Hz, 1H), 7.41 (s, 1H), 7.28 - 7.25 (m, 2H), 6.86 (tt, J = 8.6, 2.3 Hz, 1H), 6.11 - 5.90 (m, 1H), 4.89 (s, 4H), 4.21 (d, J = 2.1 Hz, 4H) ppm.
744	371.24	0.61	1H NMR (400 MHz, DMSO-D6) δ 9.64 (s, 1H), 9.20 (s, 1H), 7.72 - 7.61 (m, 2H), 7.59 (s, 1H), 7.27 (tt, J = 9.3, 2.3 Hz, 1H), 6.61 (s, 1H), 3.01 (d, J = 12.0 Hz, 2H), 2.61 - 2.53 (m, 3H), 2.32 (s, 3H), 1.74 (d, J = 10.6 Hz, 2H), 1.58 (qd, J = 12.2, 3.9 Hz, 2H) ppm.
745	460.87	2.54	1H NMR (300 MHz, DMSO-D6) δ 9.28 (s, 1H), 9.15 (s, 1H), 7.65 - 7.54 (m, 2H), 7.30 - 7.14 (m, 2H), 6.80 (s, 1H), 6.31 (s, 1H), 3.57 (t, J = 12.4 Hz, 5H), 2.80 (t, J = 11.3 Hz, 2H), 2.35 (s, 1H), 2.22 (s, 3H), 1.74 (d, J = 13.5 Hz, 2H), 1.34 (t, J = 10.2 Hz, 2H) ppm.
746	453.46	0.68	1H NMR (300 MHz, DMSO-D6) δ 9.27 (s, 1H), 9.14 (s, 1H), 7.67 - 7.53 (m, 2H), 7.22 (tt, J = 9.3, 2.3 Hz, 1H), 6.76 - 6.65 (m, 2H), 5.80 (s, 1H), 3.91 (t, J = 6.7 Hz, 2H), 3.58 - 3.32 (m, 7H), 3.03 (m, 2H), 2.20 (s, 3H), 1.87 - 1.70 (m, 4H) ppm.
747	455.94	2.38	

Cmpd No. in PRV2	LCMS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
748	442.32	0.62	1H NMR (400 MHz, CDCl3) δ 8.26 (s, 1H), 7.23 - 7.17 (m,3H), 7.02 (s, 1H), 6.78 - 6.61 (m, 2H), 6.32 (d, J = 1.7 Hz, 1H), 4.52 (q, J = 6.7 Hz, 4H), 4.36 (tt, J = 8.8, 4.0 Hz, 1H), 3.46 (p, J = 6.5 Hz, 1H), 3.00 - 2.91 (m, 1H), 2.62 - 2.53 (m, 1H), 2.24 (s, 3H), 2.12 (dt, J = 13.2, 4.4 Hz, 1H), 1.95 - 1.75 (m, 3H), 1.63 (ddt, J = 20.8, 10.9, 3.2 Hz, 1H), 1.50 - 1.38 (m, 1H) ppm.
749	444.28	0.59	1H NMR (300 MHz, CDCl3) δ 8.33 (s, 1H), 7.62 - 7.50 (m, 2H), 7.43 (dd, J = 8.9, 2.2 Hz, 1H), 7.22 (s, 1H), 7.19 (s, 1H), 6.13 (s, 1H), 4.78 - 4.63 (m, 4H), 3.72 - 3.47 (m, 5H), 2.45 (t, J = 5.0 Hz, 4H), 2.35 (s, 3H) ppm.
750	442.36	0.77	1H NMR (400 MHz, CDCl3) δ 8.38 (s, 1H), 7.62 (s, 1H), 7.56 (t, J = 1.9 Hz, 1H), 7.26 (dt, J = 6.1, 2.2 Hz, 3H), 6.88 (s, 1H), 6.78 (tt, J = 8.7, 2.3 Hz, 1H), 4.80 - 4.69 (m, 1H), 4.72 - 4.60 (m, 3H), 3.88 - 3.80 (m, 2H), 3.50 (dd, J = 13.5, 7.1 Hz, 1H), 2.91 (d, J = 11.5 Hz, 1H), 2.38 (d, J = 23.6 Hz, 6H), 1.52 (s, 3H) ppm.
751	428.28	0.77	1H NMR (300 MHz, MeOD) δ 8.85 (s, 1H), 7.62 - 7.40 (m, 3H), 7.26 (s, 1H), 6.94 (ddd, J = 9.0, 5.6, 2.3 Hz, 1H), 6.76 (s, 1H), 4.67 (dt, J = 12.2, 6.7 Hz, 3H), 4.54 (dd, J = 10.3, 2.1 Hz, 1H), 4.04 (dd, J = 11.5, 2.0 Hz, 1H), 3.85 (td, J = 11.5, 2.4 Hz, 1H), 3.55 (dd, J = 12.7, 6.1 Hz, 1H), 2.86 (d, J = 11.5 Hz, 1H), 2.75 (d, J = 11.4 Hz, 1H), 2.33 (s, 3H), 2.24 - 1.96 (m, 2H), 1.29 - 1.11 (m, 1H) ppm.
752	442.47	0.69	1H NMR (300 MHz, DMSO-D6) δ 9.43 (s, 1H), 9.14 (s, 1H), 7.65 - 7.52 (m, 2H), 7.31 - 7.18 (m, 1H), 7.06 (s, 1H), 6.90 (s, 1H), 6.17 (s, 1H), 3.58 (t, J = 4.6 Hz, 4H), 2.97 - 2.84 (m, 1H), 2.45 - 2.33 (m, 2H), 2.33 - 2.25 (m, 4H), 2.23 (s, 3H), 2.21 - 2.10 (m, 2H) ppm.
753	413	0.61	1H NMR (400 MHz, DMSO-D6) δ 9.76 (s, 1H), 9.24 (s, 1H), 9.04 (d, J = 1.3 Hz, 1H), 8.68 (d, J = 2.5 Hz, 1H), 8.59 (dd, J = 2.5, 1.4 Hz, 1H), 6.99 (t, J = 1.8 Hz, 1H), 6.81 (t, J = 1.9 Hz, 1H), 6.00 (t, J = 1.9 Hz, 1H), 3.93 (t, J = 7.2 Hz, 2H), 3.74 - 3.50 (m, 6H), 3.31 - 3.19 (m, 1H partially obscured by water peak), 2.36 (s, 4H).

Cmpd No. in PRV2	LCMS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
754	493.18	0.64	1H NMR (400 MHz, CDCl3) δ 8.40 (s, 1H), 7.80 (d, J = 1.8 Hz, 1H), 7.62 (dt, J = 9.1, 2.2 Hz, 1H), 7.31 (dt, J = 8.0, 1.9 Hz, 1H), 6.89 (t, J = 2.0 Hz, 1H), 6.81 (s, 1H), 6.70 (t, J = 2.0 Hz, 1H), 6.18 (t, J = 2.2 Hz, 1H), 4.73 (q, J = 5.3, 4.4 Hz, 4H), 3.86 (s, 3H), 3.64 (d, J = 26.2 Hz, 1H), 3.33 (t, J = 4.9 Hz, 4H), 2.55 (s, 4H) ppm.
755	387.26	0.58	1H NMR (400 MHz, CDCl3) δ 8.37 (s, 1H), 7.45 - 7.29 (m, 2H), 7.14 (s, 1H), 6.81 (tt, J = 8.7, 2.3 Hz, 1H), 6.19 (s, 1H), 3.99 - 3.87 (m, 1H), 3.77 - 3.67 (m, 1H), 3.63 - 3.37 (m, 3H), 2.34 (s, 3H), 1.97 - 1.80 (m, 2H), 1.79 - 1.64 (m, 2H) ppm.
756	478.26	0.61	1H NMR (400 MHz, CDCl3) δ 8.38 (d, J = 29.4 Hz, 1H), 7.83 (d, J = 8.9 Hz, 2H), 7.64 (t, J = 8.1 Hz, 1H), 7.57 - 7.47 (m, 2H), 7.39 (s, 1H), 6.70 (ddd, J = 107.8, 61.5, 35.6 Hz, 2H), 6.07 (d, J = 9.6 Hz, 1H), 4.16 - 4.07 (m, 2H), 3.91 (dt, J = 16.6, 8.3 Hz, 2H), 3.83 - 3.71 (m, 4H), 3.35 (ddd, J = 12.1, 6.8, 5.2 Hz, 1H), 2.47 (s, 4H) ppm.
757	477.25	0.65	1H NMR (400 MHz, CDCl3) δ 8.40 (s, 1H), 7.80 (d, J = 1.9 Hz, 1H), 7.62 (dt, J = 9.1, 2.2 Hz, 1H), 7.32 (dt, J = 8.2, 2.0 Hz, 1H), 7.26 (s, 1H), 6.79 (s, 1H), 6.73 (s, 1H), 6.44 (s, 1H), 4.75 (t, J = 6.4 Hz, 2H), 3.65 (d, J = 34.1 Hz, 1H), 3.35 (s, 4H), 2.56 (s, 4H), 2.36 (s, 3H) ppm.
758	460.26	0.58	1H NMR (300 MHz, CDCl3) δ 8.33 (s, 1H), 7.62 - 7.47 (m, 2H), 7.42 (dd, J = 8.8, 2.4 Hz, 1H), 7.26 (s, 1H), 7.12 (s, 1H), 5.81 (s, 1H), 4.71 (dt, J = 11.6, 6.3 Hz, 4H), 3.91 (s, 3H), 3.62 - 3.48 (m, 5H), 2.45 (t, J = 5.1 Hz, 4H) ppm.
759	416.42	0.58	1H NMR (300 MHz, CDCl3) δ 8.37 (s, 1H), 8.03 (d, J = 1.1 Hz, 1H), 7.96 - 7.83 (m, 1H), 7.64 (dd, J = 7.3, 5.9 Hz, 2H), 7.13 (s, 1H), 6.81 (s, 1H), 6.67 (s, 1H), 6.44 (s, 1H), 4.80 - 4.62 (m, 4H), 3.68 - 3.51 (m, 1H), 3.39 - 3.26 (m, 4H), 2.62 - 2.48 (m, 4H), 2.36 (s, 3H) ppm.
760	482.45	0.66	1H NMR (300 MHz, CDCl3) δ 8.38 (s, 1H), 7.26 (d, J = 2.2 Hz, 1H), 7.23 (d, J = 2.2 Hz, 1H), 7.20 (s, 1H), 7.13 (s, 1H), 7.01 (d, J = 1.5 Hz, 1H), 6.86 (tt, J = 8.6, 2.2 Hz, 1H), 4.86 -

Cmpd No. in PRV2	LCMS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			4.58 (m, 4H), 3.81 - 3.63 (m, 3H), 3.56 (dt, J = 12.8, 6.4 Hz, 1H), 2.61 - 2.36 (m, 4H) ppm.
761	437.39	0.62	1H NMR (300 MHz, CDCl3) δ 8.29 (s, 1H), 7.44 - 7.25 (m, 3H), 7.17 (d, J = 2.2 Hz, 1H), 6.82 (d, J = 2.1 Hz, 2H), 6.44 (d, J = 1.9 Hz, 1H), 4.79 - 4.66 (m, 4H), 3.59 (p, J = 6.5 Hz, 1H), 3.36 - 3.26 (m, 4H), 2.64 (q, J = 7.6 Hz, 2H), 2.57 - 2.48 (m, 4H), 2.33 (d, J = 1.9 Hz, 3H), 1.27 (t, J = 7.6 Hz, 4H) ppm.
762	430.33	0.59	1H NMR (300 MHz, DMSO-D6) δ 9.38 (s, 1H), 9.25 (s, 1H), 8.03 (q, J = 8.5 Hz, 4H), 7.17 (s, 1H), 6.94 (s, 1H), 6.36 (s, 1H), 4.54 (dt, J = 25.6, 6.3 Hz, 4H), 3.46 (q, J = 6.2 Hz, 1H), 3.16 (t, J = 4.7 Hz, 6H), 2.42 (t, J = 4.7 Hz, 6H), 1.18 (t, J = 7.5 Hz, 3H) ppm.
763	347.62	0.65	1H NMR (300 MHz, DMSO-D6) δ 9.86 (s, 1H), 9.22 (s, 1H), 9.11 (d, J = 2.5 Hz, 1H), 8.58 (dd, J = 4.7, 1.3 Hz, 1H), 8.22 (ddd, J = 8.3, 2.6, 1.4 Hz, 1H), 7.63 (dd, J = 8.3, 4.7 Hz, 1H), 7.52 (s, 1H), 7.44 (s, 1H), 6.93 (s, 1H), 3.82 - 3.69 (m, 4H), 3.24 - 3.11 (m, 4H) ppm.
764	401.21	0.63	1H NMR (400 MHz, CDCl3) δ 8.37 (s, 1H), 7.52 (d, J = 20.1 Hz, 1H), 7.33 - 7.26 (m, 2H), 7.16 (s, 1H), 6.86 - 6.71 (m, 1H), 6.15 (s, 1H), 4.26 (dd, J = 12.6, 3.9 Hz, 1H), 3.96 - 3.80 (m, 1H), 3.45 (s, 3H), 3.39 - 3.27 (m, 1H), 3.02 (ddd, J = 19.2, 11.3, 5.9 Hz, 2H), 2.34 (s, 3H), 2.20 - 1.97 (m, 1H), 1.91 - 1.77 (m, 1H), 1.64 - 1.43 (m, 2H) ppm.
765	390.01	0.91	1H NMR (300 MHz, CDCl3) δ 8.44 (s, 1H), 7.41 (s, 2H), 7.30 (d, J = 2.2 Hz, 1H), 6.86 (s, 1H), 6.22 (d, J = 7.2 Hz, 1H), 4.14 (s, 1H), 4.01 (ddd, J = 9.0, 6.4, 3.3 Hz, 2H), 3.90 (td, J = 8.5, 5.4 Hz, 2H), 2.55 - 2.16 (m, 4H), 1.93 (dd, J = 8.7, 5.2 Hz, 1H) ppm.
766	415.37	0.86	1H NMR (300 MHz, DMSO-D6) δ 9.19 (s, 1H), 8.98 (s, 1H), 6.81 (m, 4H), 6.44 - 6.26 (m, 2H), 5.84 (s, 1H), 5.58 (m, 0.5H), 5.38 (m, 0.5H), 4.13 (ddd, J = 20.0, 9.2, 5.8 Hz, 2H), 3.84 (ddd, J = 12.1, 9.1, 2.5 Hz, 2H), 3.51 (t, J = 5.5 Hz, 2H), 3.29 (s, 3H), 3.28 - 3.23 (m, 2H), 2.20 (s, 3H) ppm.

Cmpd No. in PRV2	LCMS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
767	439.33	0.62	1H NMR (300 MHz, CDCl3) δ 8.28 (s, 1H), 7.73 (d, J = 2.2 Hz, 1H), 7.46 (dd, J = 8.2, 2.2 Hz, 1H), 7.34 (d, J = 8.3 Hz, 1H), 7.20 (s, 1H), 6.75 (s, 1H), 6.66 (s, 1H), 6.41 (s, 1H), 4.79 - 4.58 (m, 4H), 3.59 (p, J = 6.4 Hz, 1H), 3.37 - 3.24 (m, 4H), 2.60 - 2.48 (m, 4H), 2.44 (s, 3H), 2.34 (s, 3H) ppm.
768	442.3	0.53	1H NMR (400 MHz, CDCl3) δ 8.39 (s, 1H), 7.92 - 7.75 (m, 2H), 7.66 - 7.57 (m, 1H), 7.51 (d, J = 7.7 Hz, 1H), 7.25 (s, 2H), 6.92 - 6.59 (m, 1H), 5.80 (s, 1H), 4.08 (dd, J = 17.9, 10.3 Hz, 2H), 3.87 (dd, J = 8.1, 5.4 Hz, 2H), 3.76 (dd, J = 12.3, 7.7 Hz, 4H), 3.38 - 3.25 (m, 1H), 2.47 (s, 4H), 2.33 (s, 3H) ppm.
769	333.58	1.02	1H NMR (300 MHz, DMSO-D6) δ 9.49 (s, 1H), 9.12 (s, 1H), 7.82 - 7.67 (m, 2H), 7.61 (dt, J = 14.8, 7.3 Hz, 1H), 7.41 (s, 2H), 7.28 - 7.08 (m, 1H), 6.69 (s, 1H), 2.25 (s, 3H), 1.53 (ddd, J = 13.2, 8.3, 5.0 Hz, 1H), 0.90 - 0.79 (m, 2H), 0.79 - 0.55 (m, 2H) ppm.
770	427.59	0.98	1H NMR (300 MHz, CDCl3) δ 8.32 (s, 1H), 7.64 (s, 1H), 7.57 (ddd, J = 10.7, 6.8, 2.6 Hz, 1H), 7.48 - 7.40 (m, 1H), 7.38 (s, 1H), 7.36 - 7.29 (m, 1H), 6.43 (s, 1H), 3.90 - 3.78 (m, 4H), 3.63 - 3.51 (m, 4H) ppm.
771	412.97	2.72	1H NMR (300 MHz, DMSO-D6) δ 10.45 (s, 1H), 9.46 (s, 1H), 9.19 (s, 1H), 7.70 - 7.57 (m, 2H), 7.37 - 7.31 (m, 1H), 7.26 (tt, J = 9.3, 2.3 Hz, 1H), 6.83 (s, 1H), 6.39 (s, 1H), 3.64 (dd, J = 20.3, 13.0 Hz, 2H), 3.35 (s, 2H), 3.17 - 3.01 (m, 1H), 2.97 (d, J = 13.1 Hz, 1H), 2.73 (d, J = 4.8 Hz, 3H), 2.24 (s, 3H), 1.43 (s, 3H), 1.39 (s, 3H) ppm.
772	467.94	2.27	
773	439.41	0.77	1H NMR (300 MHz, DMSO-D6) δ 9.31 (s, 1H), 9.14 (s, 1H), 7.64 - 7.54 (m, 2H), 7.22 (tt, J = 9.3, 2.2 Hz, 1H), 6.79 (s, 1H), 6.69 (s, 1H), 5.83 (s, 1H), 4.06 - 3.96 (m, 2H), 3.85 (t, J = 6.5 Hz, 2H), 3.72 (m, 1H), 3.33 (m, 4H), 2.20 (s, 3H), 1.93 - 1.73 (m, 4H) ppm.
774	370.21	0.84	1H NMR (400 MHz, DMSO-D6) δ 9.74 (s, 1H), 9.21 (s, 1H), 8.14 (s, 1H), 7.75 - 7.52 (m, 3H),

Cmpd No. in PRV2	LCMS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			7.37 - 7.21 (m, 1H), 6.88 (s, 1H), 6.78 (s, 1H), 4.27 (d, J = 2.6 Hz, 2H), 3.82 (t, J = 5.4 Hz, 2H), 3.33 (s, 2H), 2.34 (s, 3H) ppm.
775	363.19	0.76	1H NMR (300 MHz, DMSO-D6) δ 9.93 (s, 1H), 9.22 (s, 1H), 7.84 (s, 1H), 7.72 - 7.59 (m, 2H), 7.43 (dt, J = 11.6, 2.2 Hz, 1H), 7.27 (tt, J = 9.3, 2.2 Hz, 1H), 6.89 (dd, J = 10.1, 1.4 Hz, 1H), 6.48 (s, 1H), 4.79 (d, J = 6.6 Hz, 2H), 4.66 (d, J = 6.6 Hz, 2H) ppm.
776	424	0.62	1H NMR (400 MHz, CDCl3) δ 8.45 (s, 1H), 8.29 (d, J = 5.6 Hz, 1H), 7.48 - 7.36 (m, 1H), 7.26 (d, J = 4.0 Hz, 1H), 7.00 (s, 1H), 6.68 (s, 1H), 6.50 (s, 1H), 6.19 (s, 1H), 4.65 (t, J = 6.5 Hz, 2H), 4.58 (t, J = 6.2 Hz, 2H), 3.74 - 3.55 (m, 5H), 2.68 - 2.57 (m, 2H), 2.47 - 2.38 (m, 2H), 2.32 (s, 3H), 2.11 - 1.98 (m, 2H) ppm.
777	449.49	0.77	1H NMR (400 MHz, CD3CN) δ 8.60 (s, 1H), 7.41 (dt, J = 7.2, 3.6 Hz, 2H), 7.35 (s, 1H), 6.98 - 6.90 (m, 1H), 6.69 (t, J = 55.9 Hz, 1H), 6.49 (s, 1H), 4.31 (q, J = 5.9 Hz, 4H), 3.83 (s, 2H), 3.49 - 3.34 (m, 2H), 1.26 - 1.12 (m, 4H) ppm.
778	373.23	0.84	1H NMR (300 MHz, CDCl3) δ 8.27 (s, 1H), 7.58 (ddd, J = 10.9, 6.8, 2.6 Hz, 1H), 7.45 - 7.38 (m, 1H), 7.36 - 7.28 (m, 1H), 7.13 (t, J = 2.3 Hz, 1H), 6.79 (d, J = 3.6 Hz, 2H), 6.34 (t, J = 1.6 Hz, 1H), 5.02 - 4.92 (m, 1H), 4.09 - 3.89 (m, 4H), 2.35 (s, 3H), 2.23 (tq, J = 8.4, 4.2, 3.8 Hz, 2H) ppm.
779	427.31	0.62	1H NMR (300 MHz, CDCl3) δ 8.30 (s, 1H), 7.43 - 7.29 (m, 3H), 7.09 (s, 1H), 6.92 (dd, J = 10.7, 2.2 Hz, 1H), 6.85 (s, 1H), 6.26 (dt, J = 12.0, 2.2 Hz, 1H), 4.81 - 4.68 (m, 4H), 3.57 (p, J = 6.4 Hz, 1H), 3.35 - 3.23 (m, 4H), 2.58 - 2.42 (m, 4H), 2.34 (s, 3H) ppm.
780	423.35	0.61	1H NMR (300 MHz, CDCl3) δ 8.24 (s, 1H), 7.51 (dd, J = 6.5, 2.7 Hz, 1H), 7.45 (dt, J = 7.2, 3.7 Hz, 1H), 7.18 - 7.07 (m, 2H), 6.81 (s, 1H), 6.72 (s, 1H), 6.41 (s, 1H), 4.71 (p, J = 6.3 Hz, 5H), 3.58 (p, J = 6.4 Hz, 1H), 3.37 - 3.23 (m, 4H), 2.59 - 2.45 (m, 4H), 2.37 (d, J = 2.0 Hz, 3H), 2.34 (s, 3H) ppm.
781	443.3	0.61	1H NMR (400 MHz, CDCl3) δ 8.25 (s, 1H),

Cmpd No. in PRV2	LCMS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			7.62 - 7.42 (m, 2H), 7.40 - 7.35 (m, 1H), 7.12 - 6.93 (m, 2H), 6.81 (s, 1H), 6.26 (d, J = 11.8 Hz, 1H), 4.72 (p, J = 6.4 Hz, 4H), 3.97 (s, 3H), 3.60 (p, J = 6.5 Hz, 1H), 3.30 (t, J = 4.9 Hz, 4H), 2.54 (t, J = 4.9 Hz, 4H) ppm.
782	457.3	2.88	1H NMR (400 MHz, CDCl3) δ 8.13 (s, 1H), 7.18 (d, J = 8.9 Hz, 2H), 6.59 - 6.51 (m, 2H), 6.48 (s, 1H), 5.88 (m, 1H), 3.99 - 3.87 (m, 5H), 3.67 (dd, J = 5.7, 3.8 Hz, 6H), 3.27 (tt, J = 6.7, 5.4 Hz, 1H), 2.38 (t, J = 4.6 Hz, 4H), 2.23 (s, 3H), 1.19 (s, 1H) ppm.
783	414	0.61	1H NMR (400 MHz, Acetone-D6) δ 9.13 (s, 1H), 8.78 (s, 1H), 8.35 (s, 1H), 7.83 (s, 1H), 7.54 (s, 1H), 7.11 (d, J = 12.2 Hz, 2H), 6.33 (d, J = 12.4 Hz, 1H), 4.61 (s, 4H), 4.55 (s, 4H), 3.50 (s, 1H), 3.29 (s, 4H), 2.82 (d, J = 12.9 Hz, 10H), 2.48 (s, 4H) ppm.
784	447	0.69	1H NMR (400 MHz, CDCl3) δ 8.25 (s, 1H), 7.65 - 7.50 (m, 1H), 7.38 (d, J = 9.0 Hz, 1H), 7.34 - 7.22 (m, 1H), 6.93 (t, J = 1.8 Hz, 1H), 6.67 (s, 1H), 6.53 (t, J = 1.9 Hz, 1H), 6.08 (t, J = 1.8 Hz, 1H), 3.98 (t, J = 7.1 Hz, 2H), 3.75 (t, J = 4.7 Hz, 6H), 3.35 (dd, J = 11.6, 6.0 Hz, 1H), 2.45 (m, 4H) ppm.
785	412	0.65	1H NMR (400 MHz, CDCl3) δ 8.95 (s, 1H), 8.42 (d, J = 4.0 Hz, 1H), 7.87 (dd, J = 12.2, 4.9 Hz, 1H), 7.81 (d, J = 8.1 Hz, 1H), 7.41 - 7.17 (m, 2H), 7.04 (s, 1H), 6.96 (s, 1H), 6.52 (s, 1H), 6.08 (s, 1H), 3.99 (t, J = 7.0 Hz, 2H), 3.87 - 3.67 (m, 6H), 3.48 - 3.23 (m, 1H) ppm.
786	415.25	0.65	1H NMR (400 MHz, CDCl3) δ 8.36 (s, 1H), 7.40 (s, 1H), 7.32 - 7.28 (m, 2H), 7.15 (s, 1H), 6.80 (tt, J = 8.7, 2.3 Hz, 1H), 6.17 (s, 1H), 5.05 (d, J = 38.9 Hz, 1H), 4.31 - 4.05 (m, 2H), 3.38 (s, 3H), 3.34 - 3.25 (m, 1H), 2.99 - 2.83 (m, 1H), 2.68 (dd, J = 12.8, 10.1 Hz, 1H), 2.34 (s, 3H), 1.98 - 1.57 (m, 4H), 1.35 - 1.20 (m, 1H) ppm.
787	496.58	0.69	1H NMR (300 MHz, CDCl3) δ 8.37 (s, 1H), 7.59 (s, 1H), 7.39 (s, 1H), 7.25 (dd, J = 7.6, 5.4 Hz, 2H), 6.83 (tt, J = 8.7, 2.2 Hz, 1H), 6.44 (s, 1H), 4.05 - 3.91 (m, 2H), 3.78 (ddd, J = 15.3, 12.2, 7.4 Hz, 2H), 3.62 (t, J = 5.1 Hz, 4H), 3.11 -

Cmpd No. in PRV2	LCMS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			2.96 (m, 1H), 2.66 (dt, J = 10.5, 5.1 Hz, 2H), 2.60 - 2.46 (m, 2H), 2.18 - 2.06 (m, 1H), 1.93 (ddd, J = 15.6, 12.2, 8.1 Hz, 1H) ppm.
788	439.41	0.66	1H NMR (300 MHz, DMSO-D6) δ 9.27 (s, 1H), 9.14 (s, 1H), 7.65 - 7.53 (m, 2H), 7.22 (tt, J = 9.3, 2.3 Hz, 1H), 6.72 (s, 1H), 6.69 (s, 1H), 5.80 (s, 1H), 4.37 (s, 1H), 3.91 (m, 2H), 3.79 - 3.67 (m, 2H), 3.53 (m, 4H), 2.80 - 2.68 (m, 1H), 2.58 - 2.52 (m, 1H), 1.73 (d, J = 8.3 Hz, 1H), 1.58 (d, J = 9.3 Hz, 1H) ppm.
789	471.27	0.61	1H NMR (300 MHz, MeOD+CDCl3) δ 8.69 (s, 1H), 7.78 (ddd, J = 11.1, 6.9, 2.6 Hz, 1H), 7.63 - 7.59 (m, 1H), 7.50 (d, J = 0.9 Hz, 1H), 7.37 (dd, J = 18.3, 8.8 Hz, 1H), 6.65 (d, J = 0.9 Hz, 1H), 4.80 - 4.69 (m, 4H), 3.76 - 3.64 (m, 4H), 3.63 - 3.51 (m, 1H), 2.96 (s, 3H), 2.56 - 2.39 (m, 4H) ppm.
790	445.04	0.68	
791	401.36	0.65	1H NMR (300 MHz, DMSO-D6) δ 9.32 (s, 1H), 9.16 (s, 1H), 7.66 - 7.55 (m, 2H), 7.24 (tt, J = 9.3, 2.3 Hz, 1H), 6.75 (s, 1H), 6.68 (s, 1H), 5.81 (s, 1H), 4.51 (s, 1H), 4.00 (t, J = 6.9 Hz, 2H), 3.74 - 3.62 (m, 1H), 3.48 - 3.39 (m, 4H), 2.59 (t, J = 5.9 Hz, 2H), 2.19 (s, 3H) ppm.
792	441.39	0.65	1H NMR (300 MHz, DMSO-D6) δ 9.13 (s, 1H), 9.04 (s, 1H), 7.97 (ddd, J = 11.9, 7.1, 2.5 Hz, 1H), 7.77 - 7.58 (m, 2H), 6.82 - 6.77 (m, 1H), 6.52 (s, 1H), 5.84 (s, 1H), 5.79 (d, J = 5.2 Hz, 1H), 3.84 - 3.67 (m, 1H), 3.58 (t, J = 4.6 Hz, 4H), 2.90 - 2.79 (m, 1H), 2.32 - 2.16 (m, 6H), 2.14 (s, 3H), 2.02 - 1.89 (m, 2H) ppm.
793	420.2	0.65	1H NMR (300 MHz, CDCl3) δ 8.36 (s, 1H), 7.56 - 7.41 (m, 3H), 7.35 (d, J = 1.6 Hz, 2H), 7.15 - 7.04 (m, 1H), 6.87 (s, 1H), 6.82 - 6.76 (m, 1H), 4.71 (dt, J = 12.4, 6.4 Hz, 4H), 3.65 - 3.53 (m, 1H), 3.40 - 3.24 (m, 4H), 2.63 - 2.41 (m, 4H) ppm.
794	445.32	0.6	1H NMR (300 MHz, CDCl3) δ 8.40 (s, 1H), 7.90 (s, 1H), 7.80 (dd, J = 8.4, 2.1 Hz, 1H), 7.61 (t, J = 7.9 Hz, 1H), 7.49 (d, J = 7.7 Hz, 1H), 7.07 - 6.96 (m, 2H), 6.95 - 6.50 (m, 2H), 6.27 (dt, J = 12.0, 2.2 Hz, 1H), 4.82 - 4.57 (m, 4H), 3.58 (p, J

Cmpd No. in PRV2	LCMS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			= 6.4 Hz, 1H), 3.31 (dd, J = 6.3, 3.8 Hz, 4H), 2.52 (dd, J = 6.1, 3.9 Hz, 4H) ppm.
795	483.25	0.75	1H NMR (400 MHz, CDCl3) δ 8.43 (d, J = 6.7 Hz, 1H), 7.96 (s, 1H), 7.91 (d, J = 7.7 Hz, 1H), 7.71 - 7.60 (m, 2H), 7.45 (s, 1H), 7.34 (s, 1H), 6.59 (t, J = 56.2 Hz, 1H), 6.40 (s, 1H), 4.38 (d, J = 13.1 Hz, 2H), 3.38 (s, 3H), 3.28 (d, J = 6.2 Hz, 2H), 2.88 (td, J = 12.9, 2.4 Hz, 2H), 1.96 - 1.77 (m, 3H), 1.38 - 1.18 (m, 2H) ppm.
796			1H NMR (400 MHz, DMSO-D6) δ 9.61 (s, 1H), 9.19 (s, 1H), 7.71 - 7.60 (m, 3H), 7.32 - 7.18 (m, 2H), 6.73 (s, 1H), 3.69 (d, J = 8.8 Hz, 1H), 3.60 (d, J = 8.8 Hz, 1H), 2.77 (s, 3H), 2.31 (s, 3H), 1.68 (s, 3H) ppm.
797	441.44	0.65	1H NMR (300 MHz, DMSO-D6) δ 9.26 - 9.18 (m, 1H), 9.17 - 9.10 (m, 1H), 7.73 - 7.56 (m, 2H), 7.25 (tt, J = 9.3, 2.3 Hz, 1H), 6.50 - 6.40 (m, 1H), 5.93 - 5.71 (m, 2H), 3.83 - 3.66 (m, 1H), 3.66 - 3.45 (m, 4H), 2.93 - 2.79 (m, 1H), 2.62 - 2.54 (m, 1H), 2.36 - 2.17 (m, 5H), 2.14 (s, 3H), 2.02 - 1.93 (m, 1H), 1.71 - 1.55 (m, 1H) ppm.
798	351.58	1.05	1H NMR (300 MHz, DMSO-D6) δ 9.55 (s, 1H), 9.17 (s, 1H), 7.74 - 7.51 (m, 2H), 7.41 (s, 2H), 7.25 (td, J = 9.3, 2.2 Hz, 1H), 6.70 (s, 1H), 2.26 (s, 3H), 1.53 (tt, J = 8.2, 5.0 Hz, 1H), 1.00 - 0.80 (m, 2H), 0.80 - 0.56 (m, 2H) ppm.
799	441.44	0.64	1H NMR (300 MHz, DMSO-D6) δ 9.17 - 9.09 (m, 1H), 9.09 - 9.00 (m, 1H), 8.03 - 7.90 (m, 1H), 7.77 - 7.58 (m, 2H), 6.80 (s, 1H), 6.56 - 6.45 (m, 1H), 5.91 - 5.67 (m, 2H), 3.89 - 3.67 (m, 1H), 3.64 - 3.48 (m, 4H), 2.91 - 2.79 (m, 1H), 2.31 - 2.18 (m, 5H), 2.14 (s, 3H), 2.00 - 1.91 (m, 1H), 1.70 - 1.57 (m, 1H) ppm.
800	441.12	0.68	1H NMR (300 MHz, DMSO-D6) δ 9.29 (s, 1H), 9.14 (s, 1H), 7.59 (dd, J = 8.6, 2.1 Hz, 2H), 7.23 (tt, J = 9.2, 4.6 Hz, 1H), 6.81 (s, 1H), 6.67 (s, 1H), 5.84 (s, 1H), 3.95 (d, J = 30.9 Hz, 2H), 3.66 (m, 1H), 3.53 (m, 5H), 3.21 - 3.10 (m, 1H), 2.64 (d, J = 12.0 Hz, 1H), 2.41 (m, 1H), 2.23 - 2.08 (m, 4H), 0.91 (d, J = 6.4 Hz, 3H) ppm.
801	427.29	0.74	1H NMR (400 MHz, DMSO-D6) δ 9.66 (s, 1H),

Cmpd No. in PRV2	LCMS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			9.20 (s, 1H), 7.66 (dd, J = 8.5, 2.2 Hz, 2H), 7.58 (s, 1H), 7.27 (ddd, J = 9.3, 5.8, 2.3 Hz, 1H), 6.65 (s, 1H), 4.54 (t, J = 6.5 Hz, 2H), 4.45 (t, J = 6.2 Hz, 2H), 3.43-3.27 (m, 2H), 2.79 (app d, J = 10.9 Hz, 2H), 2.48 - 2.44 (m, 1H), 2.32 (s, 3H), 1.89 - 1.72 (m, 6H) ppm.
802	437.21	0.67	1H NMR (300 MHz, CDCl3) δ 8.33 (s, 1H), 7.52 - 7.41 (m, 3H), 7.14 (t, J = 2.0 Hz, 1H), 7.10 - 7.00 (m, 1H), 6.85 (s, 1H), 6.66 (s, 1H), 6.46 (s, 1H), 4.67 (d, J = 5.5 Hz, 2H), 4.30 (d, J = 5.7 Hz, 2H), 3.39 - 3.19 (m, 4H), 2.70 - 2.52 (m, 6H), 1.44 (s, 3H), 1.28 (t, J = 7.6 Hz, 3H) ppm.
803	431	0.67	1H NMR (400 MHz, Acetone-D6) δ 8.85 (s, 1H), 8.61 (s, 1H), 7.88 (s, 1H), 7.73 (s, 1H), 7.54 (q, J = 9.4 Hz, 1H), 7.11 (s, 2H), 6.29 (d, J = 12.4 Hz, 1H), 4.61 (s, 2H), 4.54 (s, 2H), 3.49 (s, 1H), 3.27 (s, 4H), 2.83 (d, J = 12.9 Hz, 3H), 2.47 (s, 4H) ppm.
804	463.41	0.67	1H NMR (300 MHz, DMSO-D6) δ 9.63 (s, 1H), 9.18 (s, 1H), 7.69 - 7.54 (m, 2H), 7.24 (tt, J = 9.3, 2.2 Hz, 1H), 7.16 - 6.67 (m, 3H), 6.14 (s, 1H), 3.95 (t, J = 7.1 Hz, 2H), 3.69 - 3.54 (m, 6H), 2.36 (m, 4H) ppm.
805	428.28	0.8	1H NMR (400 MHz, CDCl3) δ 8.34 (s, 1H), 7.28 - 7.25 (m, 2H), 7.23 (t, J = 2.3 Hz, 1H), 6.86 - 6.74 (m, 4H), 6.42 (t, J = 1.7 Hz, 1H), 4.60 (tt, J = 6.9, 3.5 Hz, 1H), 3.87 (ddd, J = 12.5, 8.1, 3.8 Hz, 1H), 3.76 (ddd, J = 13.6, 8.1, 3.6 Hz, 1H), 3.63 (ddd, J = 13.4, 7.3, 4.0 Hz, 1H), 3.43 (ddd, J = 13.6, 7.4, 3.8 Hz, 1H), 2.36 (s, 3H), 2.15 (s, 3H), 2.07 - 1.80 (m, 4H) ppm.
806	446.21	0.66	1H NMR (300 MHz, CDCl3) δ 8.37 (s, 1H), 7.61 - 7.40 (m, 4H), 7.34 (s, 1H), 7.15 - 7.03 (m, 1H), 6.61 (t, J = 56.1 Hz, 1H), 6.39 (s, 1H), 4.82 - 4.62 (m, 4H), 3.72 - 3.61 (m, 4H), 3.56 (dt, J = 12.8, 6.5 Hz, 1H), 2.53 - 2.38 (m, 4H) ppm.
807	483.25	0.89	1H NMR (400 MHz, CDCl3) δ 8.42 (s, 1H), 7.86 - 7.82 (m, 2H), 7.64 (t, J = 8.1 Hz, 1H), 7.58 (s, 1H), 7.52 (d, J = 7.7 Hz, 1H), 7.35 (d, J = 15.9 Hz, 1H), 6.75 (t, J = 56.2 Hz, 1H), 6.46 (s, 1H), 4.38 (d, J = 13.1 Hz, 2H), 3.38 (s, 3H),

Cmpd No. in PRV2	LCMS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			3.26 (t, J = 18.4 Hz, 2H), 2.89 (td, J = 12.9, 2.4 Hz, 2H), 1.95 - 1.75 (m, 3H), 1.29 (tt, J = 12.9, 6.3 Hz, 2H) ppm.
808	441	0.68	1H NMR (400 MHz, CDCl3) δ 8.29 (s, 1H), 7.34 - 7.14 (m, 3H), 6.77 (tt, J = 8.7, 2.3 Hz, 1H), 6.69 - 6.56 (m, 3H), 5.96 (s, 1H), 4.02 (t, J = 6.9 Hz, 2H), 3.82 (t, J = 6.2 Hz, 2H), 3.79 - 3.71 (m, 2H), 3.71 - 3.62 (m, 2H), 3.62 - 3.48 (m, 1H), 2.63 (dd, J = 7.9, 3.7 Hz, 3H), 2.30 (s, 3H), 1.98 - 1.82 (m, 2H) ppm.
809	442.43	0.61	
810	404.01	0.84	1H NMR (300 MHz, CDCl3) δ 8.51 (s, 1H), 7.79 (d, J = 7.4 Hz, 1H), 7.26 (d, J = 2.2 Hz, 2H), 6.90 - 6.78 (m, 2H), 6.60 - 6.50 (m, 1H), 4.09 (d, J = 11.6 Hz, 2H), 3.68 - 3.22 (m, 3H), 2.39 (s, 3H), 1.77 (qd, J = 11.7, 4.2 Hz, 2H) ppm.
811	450	0.66	1H NMR (400 MHz, CDCl3) δ 8.93 (s, 1H), 8.41 (ddd, J = 4.8, 1.7, 0.7 Hz, 1H), 7.91 - 7.79 (m, 1H), 7.76 (d, J = 8.2 Hz, 1H), 7.22 (ddd, J = 7.3, 4.9, 1.1 Hz, 1H), 7.16 (d, J = 2.1 Hz, 1H), 6.56 (s, 1H), 5.77 (d, J = 2.0 Hz, 1H), 4.46 (dt, J = 12.1, 6.1 Hz, 1H), 3.99 (t, J = 7.0 Hz, 2H), 3.85 - 3.69 (m, 6H), 3.38 (dt, J = 11.2, 5.6 Hz, 1H), 2.56 - 2.43 (m, 4H), 2.12 (s, 3H), 1.33 (d, J = 6.1 Hz, 6H) ppm.
812	416.21	0.62	1H NMR (400 MHz, CDCl3) δ 8.32 (s, 1H), 7.27 - 7.20 (m, 1H), 7.15 (t, J = 2.2 Hz, 1H), 6.86 - 6.76 (m, 2H), 6.67 (s, 1H), 6.41 (t, J = 1.6 Hz, 1H), 4.21 (s, 2H), 3.78 (s, 4H), 2.88 (s, 2H), 2.65 (s, 4H), 2.36 (s, 3H).
813	414.38	0.82	1H NMR (300 MHz, DMSO-D6) δ 9.44 (s, 1H), 9.15 (s, 1H), 8.23 (d, J = 7.0 Hz, 1H), 7.61 (dd, J = 8.4, 2.2 Hz, 2H), 7.30 - 7.19 (m, 1H), 7.02 (s, 1H), 6.95 (s, 1H), 6.17 (s, 1H), 4.85 - 4.74 (m, 1H), 4.32 - 4.21 (m, 1H), 2.37 (t, J = 6.3 Hz, 4H), 2.24 (s, 3H), 1.80 (s, 3H) ppm.
814	425.9	2.63	
815	401.26	0.6	1H NMR (400 MHz, CDCl3) δ 8.35 (s, 1H), 7.31 - 7.29 (m, 2H), 7.25 (s, 1H), 7.02 (s, 1H), 6.81 (tt, J = 8.7, 2.3 Hz, 1H), 6.15 (s, 1H), 3.87 (dd, J = 13.2, 3.4 Hz, 1H), 3.79 (ddd, J = 13.0,

Cmpd No. in PRV2	LCMS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			6.6, 3.8 Hz, 1H), 3.55 (t, J = 9.2 Hz, 2H), 3.46 (dd, J = 13.2, 7.2 Hz, 1H), 3.34 - 3.19 (m, 1H), 2.33 (s, 3H), 1.89 (dtd, J = 16.4, 7.5, 3.8 Hz, 2H), 1.73 (dtd, J = 10.6, 7.3, 3.8 Hz, 1H), 1.61 - 1.50 (m, 1H), 1.39 (ddd, J = 16.5, 8.2, 3.9 Hz, 1H) ppm.
816	415.37	0.68	1H NMR (300 MHz, DMSO-D6) δ 9.33 (s, 1H), 9.16 (s, 1H), 7.66 - 7.54 (m, 2H), 7.22 (tt, J = 9.3, 2.3 Hz, 1H), 6.78 (s, 1H), 6.67 (s, 1H), 5.80 (s, 1H), 4.00 (t, J = 6.9 Hz, 2H), 3.73 - 3.61 (m, 1H), 3.47 - 3.39 (m, 2H), 3.36 (t, J = 5.7 Hz, 2H), 3.25 (s, 3H), 2.67 (t, J = 5.7 Hz, 2H), 2.20 (s, 3H) ppm.
817	441.39	0.82	1H NMR (300 MHz, DMSO-D6) δ 9.31 (s, 1H), 9.15 (s, 1H), 7.58 (m, 2H), 7.22 (tt, J = 9.3, 2.2 Hz, 1H), 6.80 (s, 1H), 6.68 (s, 1H), 5.84 (s, 1H), 4.03 (m, 2H), 3.87 - 3.75 (m, 3H), 3.27 (m, 4H), 2.20 (s, 3H), 1.11 (t, J = 7.1 Hz, 3H), 1.02 (t, J = 7.1 Hz, 3H) ppm.
818	407	0.58	1H NMR (400 MHz, CDCl3) δ 9.14 (d, J = 1.4 Hz, 1H), 8.90 (s, 1H), 8.54 (d, J = 2.5 Hz, 1H), 8.38 (dd, J = 2.5, 1.5 Hz, 1H), 7.05 (s, 1H), 6.79 (s, 1H), 6.52 (s, 1H), 6.18 (s, 1H), 4.66 (t, J = 6.5 Hz, 2H), 4.58 (t, J = 6.2 Hz, 2H), 3.75 - 3.55 (m, 5H), 2.68 - 2.53 (m, 2H), 2.47 - 2.36 (m, 2H), 2.32 (s, 3H), 2.11 - 2.00 (m, 2H) ppm.
819	430	0.63	1H NMR (400 MHz, DMSO-D6) δ 9.70 (s, 1H), 9.35 (s, 1H), 8.35 (d, J = 5.6 Hz, 1H), 7.81 (d, J = 5.5 Hz, 1H), 7.57 (s, 1H), 7.01 (s, 1H), 6.82 (s, 1H), 6.00 (s, 1H), 3.97 (t, J = 7.0 Hz, 2H), 3.66 (dd, J = 15.0, 7.8 Hz, 6H), 3.30 (s, 4H), 2.39 (s, 4H) ppm.
820	441.29	0.63	1H NMR (400 MHz, DMSO-D6) δ 9.10 (s, 1H), 8.30 (s, 1H), 7.58 - 7.48 (m, 2H), 7.22 (tt, J = 9.3, 2.3 Hz, 1H), 6.99 (s, 1H), 6.10 (s, 1H), 3.93 (t, J = 7.0 Hz, 2H), 3.64 - 3.51 (m, 6H), 3.14 (dd, J = 12.5, 6.2 Hz, 1H), 2.33 (s, 4H), 2.21 (s, 3H), 1.98 (s, 3H) ppm.
821	368.18	0.61	1H NMR (400 MHz, CDCl3) δ 8.34 (s, 1H), 7.32 - 7.28 (m, 2H), 7.22 (s, 1H), 6.82 (tt, J = 8.7, 2.3 Hz, 1H), 5.81 (s, 1H), 4.25 (ddd, J = 14.2, 12.2, 7.3 Hz, 4H), 3.59 (tt, J = 8.6, 6.4 Hz,

Cmpd No. in PRV2	LCMS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			1H), 2.34 (d, J = 14.8 Hz, 3H) ppm.
822	384.25	0.87	1H NMR (300 MHz, DMSO-D6) δ 9.24 (s, 1H), 9.14 (s, 1H), 7.59 (dd, J = 8.6, 2.2 Hz, 2H), 7.23 (tt, J = 9.3, 2.3 Hz, 1H), 6.90 - 6.80 (m, 1H), 6.69 (s, 1H), 6.02 (s, 1H), 4.65 - 4.56 (m, 1H), 4.43 (s, 1H), 3.80 - 3.68 (m, 2H), 3.50 (dd, J = 9.1, 1.6 Hz, 1H), 2.98 (d, J = 9.2 Hz, 1H), 2.21 (s, 3H), 1.97 - 1.78 (m, 2H) ppm.
823	460.25	0.66	1H NMR (300 MHz, CDCl3) δ 8.37 (s, 1H), 7.52 - 7.43 (m, 4H), 7.36 (s, 1H), 7.13 - 7.01 (m, 1H), 6.60 (t, J = 56.2 Hz, 1H), 6.38 (s, 1H), 4.67 (d, J = 5.5 Hz, 2H), 4.30 (d, J = 5.7 Hz, 2H), 3.75 - 3.50 (m, 4H), 2.57 - 2.37 (m, 4H), 1.40 (s, 3H) ppm.
824	457.38	0.76	1H NMR (300 MHz, DMSO-D6) δ 9.32 (s, 1H), 9.14 (s, 1H), 7.64 - 7.53 (m, 2H), 7.23 (m, 1H), 6.79 (m, 1H), 6.70 (s, 1H), 5.84 (s, 1H), 4.01 (m, 2H), 3.84 (m, 3H), 3.45 (m, 4H), 3.28 (s, 1.5H), 3.23 (s, 1.5H), 2.93 (s, 1.5H), 2.86 (s, 1.5H), 2.21 (s, 3H) ppm.
825	407	0.59	1H NMR (400 MHz, CDCl3) δ 9.17 (d, J = 1.4 Hz, 1H), 8.90 (s, 1H), 8.54 (d, J = 2.5 Hz, 1H), 8.38 (dd, J = 2.5, 1.5 Hz, 1H), 6.75 (s, 1H), 6.70 (s, 1H), 6.64 (s, 1H), 5.96 (s, 1H), 4.03 (t, J = 6.9 Hz, 2H), 3.82 (t, J = 6.1 Hz, 2H), 3.79 - 3.73 (m, 2H), 3.73 - 3.65 (m, 2H), 3.62 - 3.53 (m, 1H), 2.64 (t, J = 5.7 Hz, 3H), 2.31 (s, 3H), 2.01 - 1.86 (m, 2H) ppm.
826	441.35	0.65	1H NMR (300 MHz, DMSO-D6) δ 9.14 (s, 1H), 9.06 (s, 1H), 7.98 (ddd, J = 12.0, 7.0, 2.5 Hz, 1H), 7.79 - 7.57 (m, 2H), 6.98 - 6.88 (m, 1H), 6.51 - 6.43 (m, 1H), 5.89 (s, 1H), 5.71 (d, J = 6.9 Hz, 1H), 3.65 - 3.45 (m, 5H), 2.65 - 2.54 (m, 2H), 2.47 - 2.41 (m, 1H), 2.31 - 2.17 (m, 4H), 2.13 (s, 3H), 1.71 - 1.55 (m, 2H) ppm.
827	429	0.68	1H NMR (400 MHz, CDCl3) δ 8.32 (s, 1H), 7.52 - 7.37 (m, 3H), 7.12 - 6.96 (m, 1H), 6.92 (t, J = 1.9 Hz, 1H), 6.68 (s, 1H), 6.59 (t, J = 2.0 Hz, 1H), 6.08 (t, J = 1.9 Hz, 1H), 3.99 (t, J = 7.1 Hz, 2H), 3.76 (dd, J = 7.7, 5.2 Hz, 6H), 3.41 - 3.27 (m, 1H), 2.45 (m, 4H) ppm.
828	346.09	0.76	1H NMR (300 MHz, MeOD+CDCl3) δ 8.37 (s,

Cmpd No. in PRV2	LCMS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			1H), 7.58 - 7.43 (m, 4H), 7.40 (s, 1H), 7.14 - 7.00 (m, 1H), 6.37 (s, 1H), 4.85 - 4.56 (m, 4H), 3.63 (dd, J = 11.2, 6.2 Hz, 4H), 3.54 (dd, J = 12.8, 6.4 Hz, 1H), 2.58 - 2.31 (m, 4H), 1.96 (t, J = 18.3 Hz, 3H) ppm.
829	437.39	0.63	1H NMR (300 MHz, CDCl3) δ 8.24 (s, 1H), 7.56 - 7.49 (m, 1H), 7.45 (dt, J = 7.4, 3.6 Hz, 1H), 7.18 - 7.07 (m, 2H), 6.84 (s, 1H), 6.81 (s, 1H), 6.44 (s, 1H), 4.71 (p, J = 6.3 Hz, 4H), 3.58 (p, J = 6.4 Hz, 1H), 3.30 (t, J = 5.0 Hz, 4H), 2.63 (q, J = 7.6 Hz, 2H), 2.53 (t, J = 5.0 Hz, 4H), 2.37 (s, 3H), 1.27 (t, J = 7.6 Hz, 3H) ppm.
830	471	0.7	1H NMR (400 MHz, CDCl3) δ 8.29 (s, 1H), 7.30 - 7.18 (m, 3H), 6.77 (tt, J = 8.7, 2.2 Hz, 1H), 6.67 (s, 1H), 6.62 (t, J = 1.9 Hz, 1H), 6.25 (t, J = 1.9 Hz, 1H), 5.69 (t, J = 2.0 Hz, 1H), 4.56 (dt, J = 12.1, 6.1 Hz, 1H), 3.97 (t, J = 7.0 Hz, 2H), 3.84 - 3.67 (m, 6H), 3.40 - 3.24 (m, 1H), 2.45 (s, 4H), 1.37 (d, J = 6.1 Hz, 6H) ppm.
831	447.43	0.72	1H NMR (300 MHz, DMSO-D6) δ 9.38 (s, 1H), 9.17 (s, 1H), 7.61 (m, 2H), 7.33 - 7.18 (m, 2H), 6.79 (s, 1H), 6.34 (s, 1H), 3.77 (m, 1H), 3.64 (d, J = 12.0 Hz, 1H), 3.44 (m, 1H), 3.03 (d, J = 10.7 Hz, 1H), 2.79 (td, J = 11.9, 6.0 Hz, 1H), 2.67 - 2.52 (m, 3H), 2.38 (m, 2H), 2.23 (s, 3H), 2.11 - 1.89 (m, 1H) ppm.
832	397.22	0.82	1H NMR (400 MHz, CDCl3) δ 8.33 (s, 1H), 7.59 (d, J = 2.2 Hz, 1H), 7.56 (d, J = 1.8 Hz, 1H), 7.29 - 7.25 (m, 2H), 7.10 (t, J = 2.2 Hz, 1H), 6.85 - 6.78 (m, 2H), 6.73 (s, 1H), 6.35 (t, J = 1.6 Hz, 1H), 6.29 (t, J = 2.1 Hz, 1H), 4.57 (t, J = 5.3 Hz, 2H), 4.39 (t, J = 5.3 Hz, 2H), 2.34 (s, 3H) ppm.
833	441.26	0.6	1H NMR (400 MHz, CDCl3) δ 8.39 (s, 1H), 7.92 (s, 1H), 7.82 - 7.70 (m, 1H), 7.61 (t, J = 7.9 Hz, 1H), 7.48 (d, J = 7.7 Hz, 1H), 6.73 (dd, J = 62.6, 49.9 Hz, 3H), 6.42 (s, 1H), 4.79 - 4.65 (m, 4H), 3.69 - 3.51 (m, 1H), 3.46 - 3.23 (m, 4H), 2.53 (dd, J = 11.9, 7.0 Hz, 4H), 2.35 (s, 3H) ppm.
834	459.21	0.64	1H NMR (300 MHz, CDCl3) δ 8.29 (s, 1H), 8.00 (dd, J = 7.8, 1.7 Hz, 1H), 7.60 (ddd, J =

Cmpd No. in PRV2	LCMS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			10.7, 6.8, 2.6 Hz, 1H), 7.48 - 7.39 (m, 1H), 7.34 (dd, J = 9.3, 8.1 Hz, 1H), 6.95 (d, J = 3.9 Hz, 1H), 6.74 (d, J = 4.5 Hz, 1H), 4.75 - 4.55 (m, 4H), 3.62 (d, J = 1.4 Hz, 2H), 3.58 - 3.45 (m, 1H), 2.60 (s, 4H), 2.39 (s, 7H) ppm.
835	383.58	0.88	1H NMR (300 MHz, DMSO-D6) δ 9.82 (s, 1H), 9.20 (s, 1H), 7.74 - 7.57 (m, 2H), 7.52 (d, J = 2.0 Hz, 1H), 7.42 (s, 1H), 7.27 (tt, J = 9.3, 2.3 Hz, 1H), 6.92 (s, 1H), 3.83 - 3.68 (m, 4H), 3.23 - 3.11 (m, 4H) ppm.
836	423.4	0.61	1H NMR (300 MHz, CDCl3) δ 8.28 (s, 1H), 7.40 (dd, J = 10.3, 1.7 Hz, 1H), 7.36 - 7.29 (m, 2H), 7.15 (s, 1H), 6.78 (s, 1H), 6.71 (s, 1H), 6.41 (s, 1H), 4.77 - 4.63 (m, 4H), 3.59 (p, J = 6.4 Hz, 1H), 3.36 - 3.24 (m, 4H), 2.61 - 2.47 (m, 4H), 2.34 (s, 6H) ppm.
837	405.22	0.63	1H NMR (400 MHz, CDCl3) δ 8.42 (d, J = 6.7 Hz, 1H), 7.98 (s, 1H), 7.93 - 7.83 (m, 1H), 7.76 - 7.55 (m, 2H), 7.27 (d, J = 3.1 Hz, 2H), 6.12 (s, 1H), 3.89 - 3.77 (m, 4H), 3.58 - 3.43 (m, 4H), 2.36 (s, 3H) ppm.
838	333	0.69	1H NMR (300 MHz, MeOD+CDCl3) δ 8.48 (s, 1H), 7.97 - 7.68 (m, 3H), 7.59 - 7.30 (m, 5H), 7.08 - 6.78 (m, 3H), 2.36 (s, 3H) ppm.
839	469.21	0.94	1H NMR (400 MHz, CDCl3) δ 8.22 (s, 1H), 7.38 (d, J = 7.7 Hz, 1H), 7.23 (s, 1H), 7.13 - 7.10 (m, 2H), 6.68 (ddd, J = 8.7, 5.5, 2.2 Hz, 1H), 6.32 (s, 1H),4.22 (d, J = 13.0 Hz, 2H), 3.23 (s, 3H), 3.13 (d, J = 6.2 Hz, 2H), 2.74 (td, J =13.0, 2.4 Hz, 2H), 1.73 (dd, J = 17.9, 7.9 Hz, 3H), 1.19 - 1.10 (m, 2H) ppm.
840	441.63	0.65	1H NMR (300 MHz, CDCl3) δ 8.28 (s, 1H), 7.62 (ddd, J = 10.8, 6.8, 2.5 Hz, 1H), 7.47 - 7.37 (m, 1H), 7.33 (t, J = 8.7 Hz, 2H), 7.27 (s, 1H), 6.78 (s, 1H), 6.67 (s, 1H), 4.66 (p, J = 6.4 Hz, 4H), 3.61 - 3.43 (m, 3H), 2.49 (d, J = 62.8 Hz, 11H) ppm.
841	421.26	2.73	1H NMR (400 MHz, CDCl3) δ 8.10 (s, 1H), 7.53 - 7.46 (m, 2H), 6.96 - 6.89 (m, 2H), 6.61 - 6.52 (m, 2H), 6.42 (s, 1H), 5.85 (s, 1H), 3.90 (t, J = 7.0 Hz, 2H), 3.79 (s, 3H), 3.67 (dd, J = 5.8, 3.6 Hz, 6H), 3.32 - 3.21 (m, 1H), 2.38 (t, J = 4.5 Hz,

Cmpd No. in PRV2	LCMS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			4H), 2.24 - 2.19 (m, 3H) ppm.
842	403.24	0.55	1H NMR (300 MHz, DMSO-D6) δ 9.78 (s, 1H), 9.20 (s, 1H), 9.10 (d, J = 2.4 Hz, 1H), 8.58 (dd, J = 4.7, 1.4 Hz, 1H), 8.21 (ddd, J = 8.3, 2.6, 1.4 Hz, 1H), 7.62 (dd, J = 8.3, 4.7 Hz, 1H), 7.53 (d, J = 2.0 Hz, 1H), 7.40 (s, 1H), 6.91 (s, 1H), 4.53 (dt, J = 12.1, 6.3 Hz, 4H), 3.45 (dd, J = 12.6, 6.2 Hz, 1H), 3.28 - 3.14 (m, 4H), 2.46 - 2.30 (m, 4H) ppm.
843	399.28	0.6	1H NMR (400 MHz, CDCl3) δ 8.33 (s, 1H), 7.31 - 7.25 (m, 4H), 7.23 - 7.09 (m, 2H), 6.88 - 6.73 (m, 1H), 5.87 (d, J = 22.2 Hz, 1H), 4.67 (t, J = 5.2 Hz, 1H), 4.00 (dd, J = 15.1, 7.8 Hz, 1H), 3.88 (td, J = 8.1, 4.9 Hz, 1H), 3.72 (d, J = 11.6 Hz, 1H), 3.66 (dd, J = 10.6, 8.7 Hz, 1H), 3.51 (dd, J = 11.8, 5.2 Hz, 1H), 3.37 (dd, J = 10.7, 5.4 Hz, 1H), 3.07 - 2.95 (m, 1H), 2.34 (s, 3H), 2.20 (tt, J = 15.5, 7.8 Hz, 1H), 1.91 (dt, J = 12.0, 7.9 Hz, 1H) ppm.
845	416.21	0.61	1H NMR (400 MHz, CDCl3) δ 8.27 (s, 1H), 7.65 - 7.55 (m, 1H), 7.46 - 7.38 (m, 1H), 7.37 - 7.26 (m, 1H), 7.16 - 7.09 (m, 1H), 6.84 (d, J = 3.1 Hz, 2H), 6.40 (d, J = 2.3 Hz, 1H), 4.18 (t, J = 5.8 Hz, 2H), 3.82 - 3.71 (m, 4H), 2.85 (t, J = 5.8 Hz, 2H), 2.62 (t, J = 4.7 Hz, 4H), 2.35 (s, 3H) ppm.
846	366.16	0.69	1H NMR (300 MHz, DMSO-D6) δ 9.74 (s, 1H), 9.23 (s, 1H), 9.14 (d, J = 1.3 Hz, 1H), 8.68 (d, J = 2.5 Hz, 1H), 8.58 (dd, J = 2.5, 1.4 Hz, 1H), 7.72 (s, 1H), 7.34 (s, 1H), 6.76 (s, 1H), 3.72 (d, J = 8.8 Hz, 1H), 3.61 (d, J = 8.8 Hz, 1H), 2.78 (s, 3H), 2.32 (s, 3H), 1.69 (s, 3H) ppm.
847	432.29	0.57	1H NMR (400 MHz, DMSO-D6) δ 9.95 (s, 1H), 9.22 (s, 1H), 7.62 (d, J = 7.9 Hz, 2H), 7.39 (s, 1H), 7.29 (t, J = 9.1 Hz, 1H), 6.14 (s, 1H), 4.57 (t, J = 6.3 Hz, 2H), 4.48 (t, J = 5.9 Hz, 2H), 3.50 - 3.37 (m, 5H), 2.39 (s, 4H) ppm.
848	442.3	0.55	1H NMR (400 MHz, CDCl3) δ 8.40 (s, 1H), 7.87 (s, 1H), 7.82 (ddd, J = 8.1, 2.1, 1.0 Hz, 1H), 7.62 (t, J = 7.9 Hz, 1H), 7.50 (d, J = 7.7 Hz, 1H), 7.27 (s, 1H), 7.25 (s, 1H), 6.91 - 6.59 (m, 1H), 6.13 (s, 1H), 4.76 - 4.67 (m, 4H), 3.64 - 3.50 (m,

Cmpd No. in PRV2	LCMS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			5H), 2.47 (dd, J = 15.6, 10.6 Hz, 4H), 2.34 (d, J = 8.6 Hz, 3H) ppm.
849	478.66	0.68	1H NMR (300 MHz, CDCl3) δ 8.30 (s, 1H), 7.58 (ddd, J = 10.7, 6.8, 2.6 Hz, 1H), 7.47 (s, 1H), 7.46 - 7.38 (m, 1H), 7.38 - 7.29 (m, 2H), 6.59 (t, J = 56.2 Hz, 1H), 6.38 (s, 1H), 4.67 (d, J = 5.5 Hz, 2H), 4.30 (d, J = 5.7 Hz, 2H), 3.71 - 3.56 (m, 4H), 2.55 - 2.40 (m, 4H), 1.40 (s, 3H) ppm.
850	442.94	2.72	1H NMR (300 MHz, DMSO-D6) δ 10.36 (s, 1H), 9.44 (s, 1H), 9.18 (s, 1H), 7.69 - 7.57 (m, 2H), 7.27 (tt, J = 9.2, 2.3 Hz, 1H), 7.13 (s, 1H), 6.97 (s, 1H), 6.39 (s, 1H), 3.75 (d, J = 10.9 Hz, 2H), 3.59 (d, J = 10.1 Hz, 2H), 3.41 (t, J = 5.9 Hz, 2H), 3.26 (s, 3H), 3.23 - 2.99 (m, 6H), 2.25 (s, 3H), 2.06 - 1.90 (m, 2H) ppm.
851	426.1	0.69	
852	401.41	0.79	1H NMR (300 MHz, DMSO-D6) δ 9.19 (s, 1H), 8.97 (s, 1H), 6.94 - 6.61 (m, 4H), 6.45 - 6.21 (m, 2H), 5.83 (s, 1H), 5.58 (m, 0.5H), 5.39 (m, 0.5H), 4.73 (t, J = 5.4 Hz, 1H), 4.22 - 4.01 (m, 2H), 3.91 - 3.74 (m, 2H), 3.58 (q, J = 5.8 Hz, 2H), 3.21 - 3.11 (m, 2H), 2.20 (s, 3H) ppm.
853	439.37	0.66	1H NMR (300 MHz, CDCl3) δ 8.68 (s, 1H), 7.53 (s, 1H), 7.47 - 7.31 (m, 2H), 6.86 (s, 1H), 6.50 (s, 1H), 4.72 (dt, J = 18.6, 6.3 Hz, 4H), 3.64 (t, J = 16.6 Hz, 5H), 2.48 (d, J = 4.8 Hz, 4H) ppm.
854	487.3	0.61	1H NMR (400 MHz, CDCl3) δ 8.40 (s, 1H), 8.05 (s, 1H), 7.92 - 7.75 (m, 1H), 7.75 - 7.52 (m, 2H), 7.30 (t, J = 1.9 Hz, 1H), 6.72 (s, 1H), 6.68 (s, 1H), 6.44 (s, 1H), 3.84 (d, J = 12.6 Hz, 2H), 3.80 - 3.72 (m, 4H), 2.80 (td, J = 12.3, 2.2 Hz, 2H), 2.66 - 2.59 (m, 4H), 2.38 (ddd, J = 14.0, 7.0, 3.2 Hz, 1H), 2.34 (s, 3H), 1.98 (s, 1H), 1.69 (dq, J = 12.2, 8.2 Hz, 2H) ppm.
855	429	0.7	1H NMR (400 MHz, CDCl3) δ 8.32 (s, 1H), 7.43 - 7.18 (m, 4H), 7.12 (s, 1H), 6.78 (tt, J = 8.7, 2.2 Hz, 1H), 6.13 (s, 1H), 4.28 (d, J = 12.9 Hz, 2H), 3.45 (t, J = 6.5 Hz, 2H), 3.35 (s, 3H), 2.77 (td, J = 12.7, 2.2 Hz, 2H), 2.32 (s, 3H), 1.77 (d, J = 12.4 Hz, 2H), 1.63 (dd, J = 10.2, 7.3 Hz,

Cmpd No. in PRV2	LCMS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			2H), 1.55 (dd, J = 13.1, 6.5 Hz, 2H), 1.34 - 1.19 (m, 3H) ppm.
856	390.96	0.92	
857	455.4	0.7	1H NMR (300 MHz, DMSO-D6) δ 9.29 (s, 1H), 9.14 (s, 1H), 7.66 - 7.51 (m, 2H), 7.23 (tt, J = 9.3, 2.3 Hz, 1H), 6.75 (s, 1H), 6.68 (s, 1H), 5.81 (s, 1H), 3.89 (t, J = 7.0 Hz, 2H), 3.56 (m, 4H), 3.28 - 3.18 (m, 1H), 2.71 (d, J = 10.3 Hz, 2H), 2.19 (s, 3H), 1.58 (t, J = 10.6 Hz, 2H), 1.06 (d, J = 6.2 Hz, 5H) ppm.
858	415.37	0.67	1H NMR (300 MHz, DMSO-D6) δ 9.35 (s, 1H), 9.17 (s, 1H), 7.76 - 7.63 (m, 2H), 7.24 (tt, J = 9.3, 2.3 Hz, 1H), 7.08 (s, 1H), 6.67 (s, 2H), 6.14 (s, 1H), 3.90 (s, 4H), 3.51 (t, J = 6.4 Hz, 2H), 3.45 - 3.19 (m, 6H), 2.20 (s, 3H).
859	480.24	0.64	1H NMR (300 MHz, CDCl3) δ 8.30 (s, 1H), 7.77 (dd, J = 6.2, 2.6 Hz, 1H), 7.64 - 7.51 (m, 1H), 7.48 (s, 1H), 7.37 (s, 1H), 7.31 (t, J = 7.5 Hz, 1H), 6.60 (t, J = 56.1 Hz, 1H), 6.38 (s, 1H), 4.71 (p, J = 6.3 Hz, 4H), 3.64 (t, J = 5.1 Hz, 4H), 3.55 (p, J = 6.4 Hz, 1H), 2.45 (t, J = 5.1 Hz, 4H) ppm.
860	460.07	0.67	1H NMR (300 MHz, MeOD+CDCl3) δ 8.37 (s, 1H), 7.58 - 7.43 (m, 4H), 7.40 (s, 1H), 7.14 - 7.00 (m, 1H), 6.37 (s, 1H), 4.85 - 4.56 (m, 4H), 3.63 (dd, J = 11.2, 6.2 Hz, 4H), 3.54 (dd, J = 12.8, 6.4 Hz, 1H), 2.58 - 2.31 (m, 4H), 1.96 (t, J = 18.3 Hz, 3H) ppm.
861	441.39	0.65	1H NMR (300 MHz, DMSO-D6) δ 9.22 (s, 1H), 9.15 (s, 1H), 7.69 - 7.61 (m, 2H), 7.24 (tt, J = 9.3, 2.4 Hz, 1H), 7.01 (s, 1H), 6.42 (s, 1H), 5.90 (s, 1H), 5.75 (d, J = 6.8 Hz, 1H), 3.65 - 3.45 (m, 5H), 2.63 - 2.54 (m, 2H), 2.48 - 2.41 (m, 1H), 2.31 - 2.18 (m, 4H), 2.13 (s, 3H), 1.65 (t, J = 9.4 Hz, 2H) ppm.
862	450	0.66	1H NMR (400 MHz, CDCl3) δ 8.94 (s, 1H), 8.42 (ddd, J = 4.8, 1.8, 0.8 Hz, 1H), 7.85 (ddd, J = 8.2, 7.4, 1.8 Hz, 1H), 7.80 - 7.68 (m, 1H), 7.61 (d, J = 2.2 Hz, 1H), 7.31 - 7.16 (m, 2H), 6.58 (s, 1H), 6.24 (d, J = 2.2 Hz, 1H), 4.79 - 4.64 (m, 4H), 4.47 (dt, J = 12.1, 6.1 Hz, 1H), 3.67 - 3.51 (m, 1H), 3.39 - 3.19 (m, 4H), 2.61 - 2.45 (m,

Cmpd No. in PRV2	LCMS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			4H), 2.14 (s, 3H), 1.34 (d, J = 6.1 Hz, 6H) ppm.
863	413	0.59	
864	455.67	0.64	1H NMR (300 MHz, CDCl3) δ 8.33 (s, 1H), 7.48 (s, 1H), 7.30 (d, J = 5.1 Hz, 1H), 7.27 - 7.17 (m, 2H), 6.91 - 6.71 (m, 3H), 4.65 (dt, J = 12.4, 6.4 Hz, 4H), 3.84 (s, 2H), 3.53 (dt, J = 12.7, 6.3 Hz, 3H), 2.41 (s, 7H) ppm.
865	387.14	0.86	1H NMR (400 MHz, CDCl3) δ 8.16 (s, 1H), 7.45 (ddd, J = 10.9, 6.8, 2.6 Hz, 1H), 7.31 - 7.22 (m, 1H), 7.20 - 7.13 (m, 1H), 6.97 (t, J = 2.2 Hz, 1H), 6.68 (d, J = 1.6 Hz, 1H), 6.24 (t, J = 1.6 Hz, 1H), 3.88 - 3.73 (m, 4H), 3.72 - 3.63 (m, 1H), 3.59 (dd, J = 8.8, 5.3 Hz, 1H), 2.64 (tq, J = 13.5, 6.7, 6.2 Hz, 1H), 2.20 (s, 3H), 2.00 (dtd, J = 13.5, 8.2, 5.6 Hz, 1H), 1.70 - 1.56 (m, 1H) ppm.
866	441.35	0.67	1H NMR (300 MHz, DMSO-D6) δ 9.29 (s, 1H), 9.14 (s, 1H), 7.70 - 7.52 (m, 2H), 7.31 - 7.15 (m, 1H), 6.75 (s, 1H), 6.65 (s, 1H), 5.77 (s, 1H), 3.91 (t, J = 7.3 Hz, 2H), 3.62 - 3.51 (m, 4H), 3.44 (t, J = 6.3 Hz, 2H), 3.00 - 2.81 (m, 1H), 2.56 (d, J = 7.4 Hz, 2H), 2.37 (s, 4H), 2.18 (s, 3H) ppm.
867	441.22	0.8	1H NMR (400 MHz, CDCl3) δ 8.44 (s, 1H), 7.97 (s, 1H), 7.91 (d, J = 7.6 Hz, 1H), 7.75 - 7.60 (m, 2H), 7.55 (s, 1H), 7.37 (s, 1H), 6.61 (t, J = 56.1 Hz, 1H), 6.38 (s, 1H), 3.92 - 3.81 (m, 4H), 3.61 - 3.49 (m, 4H) ppm.
868	553.43	0.52	1H NMR (300 MHz, DMSO-D6) δ 9.17 (s, 1H), 9.12 (s, 1H), 7.60-7.56 (m, 2H), 7.25-7.17 (m, 1H), 6.21 (d, J = 1.7 Hz, 2H), 5.09 (s, 1H), 3.86 (t, J = 6.9 Hz, 4H), 3.65-3.50 (m, 12H), 3.26 - 3.17 (m, 2H), 2.34 (s, 8H) ppm.
869	390.01	0.9	1H NMR (300 MHz, CDCl3) δ 8.41 (s, 1H), 7.50 - 7.35 (m, 2H), 7.32 - 7.29 (m, 1H), 7.27 (d, J = 1.9 Hz, 1H), 6.85 (tt, J = 8.7, 2.3 Hz, 1H), 6.02 - 5.73 (m, 1H), 4.89 (d, J = 6.0 Hz, 2H), 4.61 (d, J = 6.0 Hz, 2H), 2.35 (d, J = 1.0 Hz, 2H), 1.73 (s, 3H) ppm.

[00569] **Table 3B**. Analytical Data

Cmpd No. in US	LCMS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
870	445.09	0.67	1H NMR (300 MHz, DMSO-d6) δ 9.18 (s, 1H), 8.89 (s, 1H), 7.59 (d, J = 7.6 Hz, 2H), 7.16 (s, 1H), 5.89 (s, 1H), 3.90 (t, J = 6.8 Hz, 2H), 3.59 (d, J = 5.8 Hz, 5H), 2.34 (s, 4H), 2.17 (d, J = 2.2 Hz, 3H) ppm.
871	455.22	0.7	
872	442.94	2.73	1H NMR (400 MHz, DMSO-d6) δ 9.30 (s, 1H), 9.16 (s, 1H), 7.69 - 7.62 (m, 2H), 7.30 - 7.20 (m, 1H), 6.97 (s, 1H), 6.63 (s, 1H), 6.04 (s, 1H), 3.94 (t, J = 15.1 Hz, 2H), 3.83 - 3.78 (m, 1H), 3.47 - 3.40 (m, 4H), 3.36 - 3.23 (m, 3H), 2.20 (s, 3H), 1.84 - 1.79 (m, 1H), 1.62 - 1.57 (m, 1H), 0.92 (t, J = 7.5 Hz, 3H) ppm.
873	431.35	0.68	
874	414.24	0.66	1H NMR (400 MHz, DMSO-d6) δ 9.76 (s, 1H), 9.21 (s, 1H), 7.74 - 7.47 (m, 3H), 7.28 - 7.06 (m, 2H), 6.82 (s, 1H), 3.49 (t, J = 6.4 Hz, 2H), 3.33 - 3.10 (m, 3H), 2.31 (s, 3H), 1.89 (d, J = 13.2 Hz, 2H), 1.83 - 1.68 (m, 2H), 1.46 (dd, J = 18.0, 11.4 Hz, 4H) ppm.
875	455.32	0.64	
876	416.31	0.71	1H NMR (300 MHz, DMSO-d6) δ 9.60 (s, 1H), 9.19 (s, 1H), 7.69 - 7.52 (m, 2H), 7.44 (s, 1H), 7.30 - 7.13 (m, 2H), 7.12 - 6.67 (m, 1H), 6.63 (s, 1H) ppm.
877	428.31	0.61	
878	469.37	2.75	
879	469.34	2.34	
880	484.35	0.63	1H NMR (400 MHz, DMSO-d6) δ 9.32 (s, 1H), 9.16 (s, 1H), 7.74 - 7.58 (m, 2H), 7.25 (td, J = 9.1, 4.6 Hz, 1H), 6.94 (s, 1H), 6.63 (s, 1H), 5.95 (s, 1H), 4.20 - 4.09 (m, 2H), 3.66 - 2.84 (m, 16H), 2.19 (s, 3H), 2.08 - 1.95 (m, 1H) ppm.
881	413.3	2.61	
882	457.57	1.84	
883	501.23	0.95	1H NMR (300 MHz, DMSO-d6) δ 9.79 (d, J = 12.5 Hz, 1H), 9.21 (s, 1H), 7.66 - 7.56 (m, 2H), 7.47 (d, J = 19.4 Hz, 2H), 7.32 - 7.21 (m, 1H), 6.77 (s, 1H), 2.08 - 1.94 (m, 1H), 0.75 (t, J = 6.7 Hz, 4H) ppm.
884	455.31	0.69	1H NMR (300 MHz, DMSO-d6) δ 9.13 (d, J =

Cmpd No. in US	LCMS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			2.8 Hz, 1H), 7.69 - 7.59 (m, 2H), 6.94 (s, 1H), 6.66 (s, 1H), 6.15 (s, 1H), 4.13 (d, J = 12.5 Hz, 1H), 3.94 (d, J = 16.7 Hz, 2H), 3.70 (dd, J = 12.5, 6.5 Hz, 2H), 3.61 (s, 1H), 3.30 (s, 3H), 2.48 (d, J = 2.6 Hz, 26H), 2.19 (s, 3H), 1.91 (s, 5H), 1.56 (s, 2H) ppm.
885	479.39	0.69	1H NMR (300 MHz, DMSO-d6) δ 9.28 (s, 1H), 9.14 (s, 1H), 7.60 (d, J = 8.4 Hz, 2H), 7.32 - 7.17 (m, 1H), 7.14 (d, J = 2.3 Hz, 1H), 6.85 (s, 1H), 6.30 (s, 1H), 3.73 - 3.46 (m, 5H), 3.25 - 3.17 (m, 1H), 2.36 - 2.32 (m, 1H), 2.23 (s, 3H), 1.25 (d, J = 5.2 Hz, 2H) ppm.
886	373.05	0.9	1H NMR (300 MHz, CDCl3) δ 8.28 (s, 1H), 7.80 - 7.57 (m, 1H), 7.48 - 7.32 (m, 3H), 7.08 (d, J = 3.7 Hz, 1H), 7.03 - 6.91 (m, 1H), 6.28 (dd, J = 7.3, 1.9 Hz, 1H), 4.89 (tt, J = 4.7, 2.5 Hz, 1H), 4.04 - 3.66 (m, 4H), 2.29 (d, J = 1.0 Hz, 3H), 2.25 - 1.99 (m, 2H) ppm.
887	427.4	2.38	
888	442.29	0.8	1H NMR (400 MHz, DMSO-d6) δ 9.37 (s, 1H), 9.16 (s, 1H), 7.67 - 7.55 (m, 2H), 7.23 (s, 2H), 6.83 (s, 1H), 6.31 (s, 1H), 3.99 - 3.89 (m, 1H), 3.76 (q, J = 6.8 Hz, 2H), 3.70 - 3.58 (m, 3H), 3.51 - 3.40 (m, 2H), 2.68 (td, J = 11.6, 3.2 Hz, 1H), 2.49 - 2.40 (m, 1H), 2.23 (s, 3H), 2.01 - 1.89 (m, 1H), 1.89 - 1.73 (m, 3H) ppm.
889	396.9	2.65	
890	411.9	3.07	1H NMR (400 MHz, DMSO-d6) δ 9.34 (s, 1H), 9.15 (s, 1H), 7.64 - 7.56 (m, 2H), 7.24 (tt, J = 9.1, 2.1 Hz, 1H), 6.72 (d, J = 12.4 Hz, 2H), 5.82 (s, 1H), 3.90 (dt, J = 10.5, 7.5 Hz, 2H), 3.77 (dd, J = 9.0, 6.4 Hz, 2H), 3.64 (q, J = 7.6 Hz, 2H), 3.34 (dd, J = 8.4, 5.9 Hz, 2H), 2.72 - 2.60 (m, 1H), 2.20 (s, 3H), 2.07 - 1.94 (m, 1H), 1.57 - 1.43 (m, 1H) ppm.
891	469.44	0.65	1H NMR (400 MHz, DMSO-d6) δ 9.44 (s, 1H), 9.27 (d, J = 4.5 Hz, 1H), 9.15 (s, 1H), 7.71 - 7.60 (m, 2H), 7.25 (tt, J = 9.3, 4.7 Hz, 1H), 7.00 (s, 1H), 6.55 (d, J = 9.3 Hz, 1H), 6.04 (s, 1H), 4.28 - 4.18 (m, 1H), 3.87 - 3.80 (m, 2H), 3.77 - 3.70 (m, 4H), 3.15 - 3.06 (m, 2H), 2.17 (s, 4H), 2.11 - 1.99 (m, 2H), 1.93 - 1.49 (m, 6H) ppm.

Cmpd No. in US	LCMS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
892	468.41	0.63	1H NMR (400 MHz, DMSO-d6) δ 9.95 (s, 2H), 9.41 (s, 1H), 9.16 (s, 1H), 7.70 - 7.55 (m, 2H), 7.26 (tt, J = 9.2, 2.3 Hz, 1H), 7.14 (s, 1H), 6.94 (s, 1H), 6.38 (s, 1H), 3.37 - 3.03 (m, 8H), 2.96 - 2.74 (m, 4H), 2.25 (s, 3H), 2.14 - 1.92 (m, 2H), 1.74 - 1.47 (m, 3H) ppm.
893	429.42	0.64	1H NMR (400 MHz, DMSO-d6) δ 9.73 (s, 1H), 9.27 (s, 1H), 9.16 (s, 1H), 7.74 - 7.58 (m, 2H), 7.24 (tt, J = 9.3, 2.3 Hz, 1H), 7.04 (s, 1H), 6.52 (s, 1H), 5.99 (s, 1H), 4.07 (dd, J = 12.7, 3.4 Hz, 1H), 3.94 - 3.83 (m, 1H), 3.44 (d, J = 12.3 Hz, 2H), 3.21 (ddd, J = 19.5, 13.1, 5.7 Hz, 4H), 2.84 (q, J = 10.8 Hz, 1H), 2.16 (s, 3H), 1.21 (t, J = 7.3 Hz, 3H) ppm.
894	429.33	0.67	1H NMR (400 MHz, DMSO-d6) δ 9.86 (s, 2H), 9.30 (s, 1H), 9.15 (s, 1H), 7.76 - 7.54 (m, 2H), 7.25 (tt, J = 9.4, 2.3 Hz, 1H), 6.90 (d, J = 17.2 Hz, 1H), 6.63 (d, J = 11.7 Hz, 1H), 5.95 (s, 1H), 4.21 - 4.04 (m, 1H), 3.73 - 3.61 (m, 3H), 3.45 - 3.39 (m, 3H), 3.32 (d, J = 8.6 Hz, 3H), 3.23 - 3.08 (m, 1H), 2.19 (s, 2H), 2.08 - 1.84 (m, 2H) ppm.
895	469.41	0.64	
896	457.3	0.65	
897	413.36	0.64	
898	392.13	0.64	1H NMR (300 MHz, CDCl3) δ 8.32 (d, J = 2.3 Hz, 1H), 7.69 (dd, J = 7.5, 1.2 Hz, 2H), 7.51 (dd, J = 11.3, 4.7 Hz, 2H), 7.36 (t, J = 7.4 Hz, 1H), 7.17 (s, 1H), 6.82 (s, 1H), 6.63 (s, 1H), 6.42 (s, 1H), 4.74 (s, 4H), 3.36 (s, 4H), 2.61 (s, 4H), 2.35 (s, 3H) ppm.
899	483.19	2.14	
900	473.36	0.69	
901	398.29	3.76	
902	429.37	0.68	1H NMR (400 MHz, DMSO-d6) δ 9.45 (s, 1H), 9.17 (s, 1H), 7.70 - 7.56 (m, 2H), 7.36 - 7.20 (m, 2H), 6.85 (s, 1H), 6.39 (d, J = 16.1 Hz, 1H), 5.45 (s, 1H), 3.89 - 3.63 (m, 4H), 3.51 (d, J = 10.4 Hz, 2H), 3.30 - 3.18 (m, 2H), 3.18 - 3.09 (m, 1H), 3.04 (t, J = 12.3 Hz, 1H), 2.92 (t, J = 12.0 Hz, 1H), 2.25 (s, 3H), 1.49 - 1.32 (m, 3H) ppm.
903	457.29	0.68	

Cmpd No. in US	LCMS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
904	443.42	0.69	1H NMR (400 MHz, DMSO-d6) δ 9.43 (s, 2H), 9.17 (s, 1H), 7.69 - 7.57 (m, 2H), 7.26 (tt, J = 9.3, 2.3 Hz, 1H), 7.13 (d, J = 2.3 Hz, 1H), 6.96 (s, 1H), 6.39 (s, 1H), 5.50 (s, 1H), 3.88 (dd, J = 13.2, 2.7 Hz, 1H), 3.77 (s, 2H), 3.70 (dd, J = 13.1, 4.6 Hz, 1H), 3.43 - 3.15 (m, 4H), 3.07 (q, J = 11.1 Hz, 2H), 2.25 (s, 3H), 1.87 - 1.63 (m, 2H), 0.96 (t, J = 7.4 Hz, 3H) ppm.
905	453.34	0.88	
906	456.39	0.69	1H NMR (400 MHz, DMSO-d6) δ 9.44 (s, 1H), 9.16 (s, 1H), 7.67 - 7.56 (m, 2H), 7.32 - 7.19 (m, 2H), 6.78 (s, 1H), 6.36 (s, 1H), 3.76 (d, J = 6.0 Hz, 3H), 3.64 - 3.57 (m, 7H), 2.23 (s, 3H), 1.96 (t, J = 4.7 Hz, 2H), 1.67 (d, J = 13.5 Hz, 2H), 1.50 (ddd, J = 14.1, 9.4, 5.4 Hz, 2H) ppm.
907	355	0.89	1H NMR (300 MHz, DMSO-d6) δ 9.07 (s, 1H), 8.90 - 8.72 (m, 1H), 7.91 - 7.78 (m, 2H), 7.66 - 7.47 (m, 3H), 7.43 - 7.26 (m, 1H), 6.52 (dd, J = 7.2, 2.0 Hz, 1H), 5.03 (tt, J = 3.8, 1.9 Hz, 1H), 3.99 - 3.67 (m, 4H), 2.28 (d, J = 2.4 Hz, 3H), 2.24 - 2.10 (m, 1H), 2.00 (dt, J = 12.1, 5.2 Hz, 1H) ppm.
908	410.08	0.62	1H NMR (300 MHz, CDCl3) δ 8.98 (s, 1H), 8.44 (ddd, J = 4.8, 1.7, 0.9 Hz, 1H), 7.96 - 7.76 (m, 3H), 7.28 - 7.19 (m, 1H), 6.98 (d, J = 4.0 Hz, 1H), 6.42 (dd, J = 7.7, 1.5 Hz, 1H), 4.72 (d, J = 6.5 Hz, 4H), 3.64 (s, 1H), 3.19 (s, 4H), 2.58 (s, 4H), 2.39 (s, 3H) ppm.
909			1H NMR (300 MHz, DMSO-d6) δ 9.14 (s, 1H), 8.34 (s, 1H), 7.67 - 7.49 (m, 2H), 7.35 (d, J = 2.6 Hz, 1H), 7.29 - 7.11 (m, 1H), 6.49 (d, J = 2.7 Hz, 1H), 4.81 - 4.60 (m, 2H), 4.49 - 4.31 (m, 2H), 4.18 (d, J = 6.8 Hz, 2H), 3.49 - 3.24 (m, 3H), 2.23 (s, 3H), 2.10 (s, 3H) ppm.
910	363.01	0.81	1H NMR (300 MHz, MeOD+CDCl3) δ 8.67 (s, 1H), 7.77 (d, J = 7.5 Hz, 2H), 7.52 (d, J = 8.3 Hz, 2H), 7.40 (dd, J = 14.3, 6.9 Hz, 2H), 7.06 (s, 1H), 6.83 (s, 1H), 4.28 - 4.21 (m, 1H), 2.33 (s, 5H), 1.89 (dd, J = 10.2, 7.7 Hz, 2H), 1.71 (dd, J = 11.9, 4.8 Hz, 2H) ppm.
911	455.32	0.63	
912	455.31	0.7	

Cmpd No. in US	LCMS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
913	373	0.9	1H NMR (300 MHz, DMSO-d6) δ 9.13 (s, 1H), 8.90 (d, J = 1.9 Hz, 1H), 7.83 - 7.65 (m, 2H), 7.66 - 7.47 (m, 2H), 7.20 (tdd, J = 8.5, 2.5, 1.0 Hz, 1H), 6.54 (dd, J = 7.2, 2.0 Hz, 1H), 5.04 (ddt, J = 6.2, 4.1, 1.8 Hz, 1H), 3.98 - 3.60 (m, 4H), 2.29 (s, 3H), 2.25 - 2.10 (m, 1H), 2.01 (ddq, J = 12.1, 5.4, 3.6, 2.6 Hz, 1H) ppm.
914	455.4	0.71	1H NMR (300 MHz, DMSO-d6) δ 9.15 (s, 1H), 7.66 - 7.57 (m, 2H), 7.30 - 7.19 (m, 1H), 7.16 (s, 1H), 6.95 (s, 1H), 6.39 (s, 1H), 4.31 - 4.24 (m, 1H), 3.94 - 3.82 (m, 1H), 3.82 - 3.69 (m, 3H), 3.66 - 3.59 (m, 1H), 3.35 - 3.30 (m, 2H), 3.30 - 2.96 (m, 5H), 2.25 (s, 3H), 2.16 - 1.99 (m, 1H), 1.94 - 1.84 (m, 2H), 1.57 - 1.51 (m, 1H) ppm.
915	375.93	0.89	1H NMR (300 MHz, CDCl3) δ 8.34 (s, 1H), 7.49 (d, J = 7.3 Hz, 1H), 6.88 (d, J = 17.8 Hz, 1H), 5.93 (d, J = 7.6 Hz, 1H), 5.03 (t, J = 6.3 Hz, 2H), 4.81 - 4.46 (m, 3H), 4.31 (s, 1H), 2.07 (d, J = 1.5 Hz, 3H) ppm.
916	379.25	0.68	1H NMR (300 MHz, DMSO-d6) δ 9.30 (s, 1H), 9.15 (s, 1H), 7.61 (dd, J = 6.8, 4.0 Hz, 2H), 7.30 - 7.19 (m, 1H), 7.16 (d, J = 3.0 Hz, 1H), 6.83 (s, 1H), 6.29 (s, 1H), 5.79 - 5.72 (m, 1H), 2.23 (s, 3H) ppm.
917	443.39	0.65	
918	426.21	1.93	
919	455.31	0.71	1H NMR (400 MHz, DMSO-d6) δ 9.73 (s, 1H), 9.31 (s, 1H), 9.14 (s, 1H), 7.68 - 7.56 (m, 2H), 7.25 (tt, J = 9.2, 2.4 Hz, 1H), 6.84 (s, 1H), 6.73 (s, 1H), 6.04 (s, 1H), 4.16 (d, J = 6.2 Hz, 1H), 3.99 - 3.71 (m, 5H), 3.10 (d, J = 7.7 Hz, 3H), 2.25 (s, 3H), 2.19 - 2.11 (m, 1H), 2.09 - 1.90 (m, 3H) ppm.
920	455.4	0.7	1H NMR (300 MHz, DMSO-d6) δ 9.13 (d, J = 2.3 Hz, 1H), 7.69 - 7.53 (m, 2H), 7.22 (tt, J = 8.7, 8.1, 4.1 Hz, 1H), 7.14 (s, 1H), 6.60 (d, J = 10.7 Hz, 1H), 6.04 (d, J = 15.5 Hz, 1H), 4.17 - 3.63 (m, 7H), 3.43 - 3.14 (m, 3H), 2.23 (s, 3H), 1.93 - 1.77 (m, 1H), 1.27 (dd, J = 26.9, 5.8 Hz, 3H) ppm.
921	381.32	2.41	
922	423.38	0.67	1H NMR (300 MHz, DMSO-d6) δ 9.28 (s, 1H),

Cmpd No. in US	LCMS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			9.14 (s, 1H), 7.64 - 7.55 (m, 2H), 7.23 (t, J = 8.7 Hz, 1H), 7.17 - 7.10 (m, 1H), 6.85 (s, 1H), 6.30 (s, 1H), 4.39 (s, 1H), 3.62 - 3.42 (m, 2H), 2.45 - 2.41 (m, 2H), 2.23 (s, 3H) ppm.
923	547	0.71	1H NMR (300 MHz, CDCl3) δ 8.35 (s, 1H), 7.75 (d, J = 6.7 Hz, 1H), 7.29 (s, 1H), 7.26 (d, J = 2.1 Hz, 1H), 7.14 (s, 1H), 6.82 (tt, J = 8.7, 2.2 Hz, 1H), 6.41 (d, J = 7.1 Hz, 1H), 4.58 - 3.96 (m, 4H), 3.57 - 2.53 (m, 9H), 2.38 (s, 3H), 2.12 (s, 9H) ppm.
924	412.31	0.71	1H NMR (400 MHz, DMSO-d6) δ 9.33 (s, 1H), 9.16 (s, 1H), 7.66 - 7.57 (m, 2H), 7.22 (tt, J = 9.3, 2.4 Hz, 1H), 7.11 (s, 1H), 6.52 (s, 1H), 5.77 (s, 1H), 3.87 (dd, J = 11.5, 4.5 Hz, 2H), 3.65 (t, J = 7.2 Hz, 2H), 3.33 (t, J = 11.8 Hz, 3H), 2.32 (td, J = 12.5, 4.6 Hz, 2H), 2.17 (d, J = 2.9 Hz, 4H), 1.66 (d, J = 12.5 Hz, 2H) ppm.
925	481.87	2.39	
926	463.41	0.7	1H NMR (300 MHz, DMSO-d6) δ 9.27 (s, 1H), 9.14 (s, 1H), 7.64 - 7.54 (m, 2H), 7.29 - 7.17 (m, 1H), 7.11 (s, 1H), 6.85 (s, 1H), 6.29 (s, 1H), 3.99 - 3.87 (m, 1H), 3.74 (d, J = 11.1 Hz, 1H), 3.24 - 3.13 (m, 2H), 2.53 - 2.44 (m, 12H), 2.22 (s, 3H), 1.96 (d, J = 11.2 Hz, 1H), 1.72 - 1.61 (m, 1H), 1.58 - 1.28 (m, 1H) ppm.
927	443.9	3.56	
928	429.33	0.68	
929	378.13	0.66	1H NMR (300 MHz, CDCl3) δ 8.32 (s, 1H), 7.69 (dd, J = 7.6, 1.2 Hz, 2H), 7.51 (dd, J = 11.2, 4.6 Hz, 2H), 7.36 (t, J = 7.4 Hz, 1H), 7.13 (s, 1H), 6.82 (s, 1H), 6.60 (s, 1H), 6.41 (s, 1H), 3.35 (s, 4H), 2.78 (s, 4H), 2.34 (s, 3H), 1.16 (s, 6H) ppm.
930	443.33	0.63	1H NMR (400 MHz, DMSO-d6) δ 9.44 (s, 1H), 9.28 (s, 1H), 9.15 (s, 1H), 7.71 - 7.57 (m, 2H), 7.25 (tt, J = 9.3, 2.3 Hz, 1H), 7.00 (d, J = 9.5 Hz, 1H), 6.56 (d, J = 8.1 Hz, 1H), 6.05 (s, 1H), 3.44 (d, J = 11.5 Hz, 5H), 3.32 (d, J = 3.0 Hz, 3H), 3.27 (q, J = 4.9 Hz, 2H), 3.16 - 3.02 (m, 2H), 2.17 (s, 3H), 2.03 (s, 2H), 1.67 (q, J = 12.4, 11.9 Hz, 2H) ppm.
931	429.28	0.67	

Cmpd No. in US	LCMS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
932	402.17	1.93	
933	457.33	0.61	1H NMR (400 MHz, DMSO-d6) δ 9.46 (s, 1H), 9.38 (s, 1H), 9.18 (s, 1H), 7.69 - 7.54 (m, 2H), 7.34 (s, 1H), 7.25 (tt, J = 9.3, 2.3 Hz, 1H), 6.90 (s, 1H), 6.45 (s, 1H), 3.75 (t, J = 5.0 Hz, 4H), 3.29 (q, J = 5.1 Hz, 3H), 3.04 (t, J = 10.8 Hz, 2H), 2.81 (d, J = 4.7 Hz, 6H), 2.25 (s, 3H), 2.07 - 1.94 (m, 2H), 1.66 (d, J = 9.5 Hz, 2H) ppm.
934	443.37	1.87	
935	443.24	0.7	1H NMR (300 MHz, DMSO-d6) δ 9.29 (s, 1H), 9.14 (s, 1H), 7.64 - 7.54 (m, 2H), 7.29 - 7.17 (m, 1H), 7.14 (d, J = 2.1 Hz, 1H), 6.86 (s, 1H), 6.44 - 5.92 (m, 2H), 2.79 (td, J = 15.9, 4.2 Hz, 2H), 2.23 (s, 3H) ppm.
936	455.04	0.65	1H NMR (400 MHz, DMSO-d6) δ 9.63 (s, 1H), 9.43 (s, 1H), 9.17 (s, 1H), 7.67 - 7.51 (m, 2H), 7.26 (tt, J = 9.3, 2.3 Hz, 1H), 7.14 (d, J = 2.1 Hz, 1H), 6.96 (s, 1H), 6.40 (s, 1H), 3.85 (t, J = 7.9 Hz, 1H), 3.82 - 3.71 (m, 2H), 3.71 - 3.52 (m, 3H), 3.48 - 3.40 (m, 2H), 3.30 - 3.10 (m, 3H), 3.10 - 3.01 (m, 2H), 2.75 - 2.60 (m, 1H), 2.25 (s, 3H), 2.18 - 2.04 (m, 1H), 1.70 - 1.56 (m, 1H) ppm.
937	400.27	1.99	
938	455.33	2.08	
939	469.4	0.8	1H NMR (400 MHz, DMSO-d6) δ 9.33 (s, 1H), 9.16 (d, J = 2.2 Hz, 1H), 7.70 - 7.58 (m, 2H), 7.25 (tt, J = 9.3, 2.2 Hz, 1H), 7.07 (s, 1H), 6.62 (s, 1H), 6.15 (s, 1H), 4.04 - 3.80 (m, 3H), 3.80 - 3.66 (m, 2H), 3.44 - 3.05 (m, 6H), 2.19 (s, 3H), 2.11 (d, J = 12.1 Hz, 1H), 1.92 - 1.76 (m, 1H), 1.70 - 1.47 (m, 2H), 1.40 - 1.09 (m, 3H) ppm.
940	447.17	1.65	
941	457.38	0.69	
942	356.17	1.83	
943	457.14	0.71	1H NMR (300 MHz, Chloroform-d) δ 8.38 (s, 1H), 7.44 (s, 1H), 7.41 - 7.28 (m, 2H), 6.97 - 6.70 (m, 1H), 6.35 - 6.04 (m, 1H), 3.90 - 3.61 (m, 1H), 3.61 - 3.21 (m, 2H), 2.96 - 2.45 (m, 9H), 2.47 - 2.14 (m, 4H), 2.14 - 1.86 (m, 2H) ppm.

Cmpd No. in US	LCMS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
944	468.38	0.58	
945	482.38	0.58	
946	445.31	0.61	1H NMR (400 MHz, DMSO-d6) δ 9.28 (d, J = 3.3 Hz, 1H), 9.15 (s, 1H), 7.71 - 7.59 (m, 2H), 7.24 (t, J = 9.3 Hz, 1H), 6.97 (d, J = 15.4 Hz, 1H), 6.56 (d, J = 15.2 Hz, 1H), 5.99 (d, J = 7.5 Hz, 1H), 4.36 (s, 1H), 4.14 - 3.97 (m, 3H), 3.90 - 3.79 (m, 1H), 3.67 - 3.60 (m, 3H), 3.24 (d, J = 6.6 Hz, 2H), 2.17 (s, 3H) ppm.
947	407.35	0.69	1H NMR (300 MHz, DMSO-d6) δ 9.28 (s, 1H), 9.14 (s, 1H), 7.66 - 7.53 (m, 2H), 7.23 (t, J = 8.5 Hz, 1H), 7.15 (s, 1H), 6.85 (s, 1H), 6.30 (s, 1H), 2.37 (q, J = 7.2 Hz, 2H), 2.23 (s, 3H), 1.04 (t, J = 7.2 Hz, 3H) ppm.
948	413.23	0.58	1H NMR (400 MHz, Methanol-d4) δ 8.96 (s, 1H), 8.05 (d, J = 2.0 Hz, 1H), 7.71 - 7.60 (m, 2H), 7.39 (dd, J = 2.0, 0.9 Hz, 1H), 7.12 (d, J = 1.7 Hz, 1H), 6.98 (tt, J = 9.0, 2.3 Hz, 1H), 3.90 (s, 2H), 3.89 - 3.73 (m, 1H), 2.99 (s, 6H), 2.66 (s, 1H), 2.61 - 2.47 (m, 1H), 2.51 (s, 4H), 2.43 (s, 3H) ppm.
949	470.91	2.68	
950	432.39	0.68	1H NMR (400 MHz, DMSO-d6) δ 9.61 (s, 1H), 9.19 (s, 1H), 7.70 - 7.58 (m, 2H), 7.46 (s, 1H), 7.26 (tt, J = 9.2, 2.3 Hz, 1H), 7.06 (s, 1H), 6.62 (s, 1H), 4.64 - 4.56 (m, 1H), 4.52 - 4.45 (m, 1H), 3.62 - 3.52 (m, 4H), 3.27 - 3.07 (m, 2H), 2.28 (s, 3H), 2.10 - 1.98 (m, 2H), 1.81 - 1.65 (m, 2H) ppm.
951	441.37	0.64	
952	356.98	0.8	1H NMR (300 MHz, DMSO-d6) δ 9.22 (s, 1H), 9.17 - 9.00 (m, 2H), 8.68 (d, J = 2.6 Hz, 1H), 8.59 (dd, J = 2.6, 1.4 Hz, 1H), 7.56 (dd, J = 6.9, 1.9 Hz, 1H), 6.57 (dd, J = 7.3, 2.0 Hz, 1H), 5.04 (tt, J = 4.0, 2.1 Hz, 1H), 3.97 - 3.61 (m, 4H), 2.31 (s, 3H), 2.27 - 2.11 (m, 1H), 2.01 (dt, J = 12.5, 5.5 Hz, 1H) ppm.
953	390.01	0.72	1H NMR (300 MHz, DMSO-d6) δ 9.18 (d, J = 2.2 Hz, 1H), 8.70 (d, J = 2.6 Hz, 1H), 7.66 (dd, J = 8.4, 2.6 Hz, 2H), 7.32 (dd, J = 6.8, 2.9 Hz, 1H), 7.24 (tt, J = 7.0, 3.3 Hz, 1H), 5.99 (dd, J = 5.6, 2.9 Hz, 1H), 5.66 (d, J = 5.2 Hz, 1H), 4.01 -

Cmpd No. in US	LCMS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			3.78 (m, 3H), 3.63 - 3.34 (m, 1H), 2.15 (t, J = 2.3 Hz, 4H), 1.80 (d, J = 5.5 Hz, 1H) ppm.
954	484.35	0.62	1H NMR (400 MHz, DMSO-d6) δ 9.42 (s, 1H), 9.17 (s, 1H), 7.67 - 7.57 (m, 2H), 7.29 - 7.19 (m, 2H), 6.84 (s, 1H), 6.34 (s, 1H), 4.01 - 3.93 (m, 2H), 3.89 (d, J = 7.6 Hz, 2H), 3.70 - 3.61 (m, 8H), 2.89 (s, 2H), 2.79 (s, 3H), 2.71 (td, J = 12.1, 3.5 Hz, 2H), 2.46 (d, J = 10.8 Hz, 1H), 2.24 (s, 3H) ppm.
955	427.04	0.66	1H NMR (300 MHz, CDCl3) δ 8.35 (s, 1H), 7.80 (d, J = 6.7 Hz, 1H), 7.53 - 7.43 (m, 3H), 7.14 - 7.02 (m, 1H), 6.92 (d, J = 3.9 Hz, 1H), 6.42 (d, J = 6.1 Hz, 1H), 4.74 (s, 4H), 3.67 (s, 1H), 3.22 (s, 4H), 2.60 (s, 3H), 2.38 (s, 3H) ppm.
956	467.94	2.38	
957	443.42	0.66	1H NMR (400 MHz, DMSO-d6) δ 9.51 (s, 1H), 9.42 (s, 1H), 9.16 (s, 1H), 7.66 - 7.55 (m, 2H), 7.25 (tt, J = 9.3, 2.3 Hz, 1H), 7.15 (s, 1H), 6.94 (s, 1H), 6.39 (s, 1H), 5.51 (s, 1H), 3.93 - 3.81 (m, 1H), 3.74 (dd, J = 21.8, 12.5 Hz, 2H), 3.65 - 3.52 (m, 2H), 3.29 - 3.12 (m, 4H), 3.06 (t, J = 11.9 Hz, 2H), 2.25 (s, 3H), 1.51 - 1.36 (m, 2H), 0.91 (t, J = 7.4 Hz, 3H) ppm.
958	416.34	2.77	
959	424.33	0.71	1H NMR (300 MHz, DMSO-d6) δ 9.32 (s, 1H), 9.15 (s, 1H), 7.65 - 7.56 (m, 2H), 7.23 (t, J = 8.6 Hz, 1H), 7.14 (s, 1H), 6.90 (s, 1H), 6.33 (s, 1H), 2.24 (s, 3H) ppm.
960	443.37	0.7	1H NMR (400 MHz, DMSO-d6) δ 9.28 (s, 1H), 9.14 (s, 1H), 7.68 - 7.58 (m, 2H), 7.25 (tt, J = 9.4, 2.3 Hz, 1H), 6.94 (s, 1H), 6.61 (s, 1H), 6.08 (s, 1H), 3.89 - 3.74 (m, 5H), 3.36 - 3.16 (m, 6H), 2.18 (s, 3H), 1.56 (dq, J = 14.3, 7.3 Hz, 2H), 0.90 (t, J = 7.4 Hz, 3H) ppm.
961	447.34	0.76	1H NMR (300 MHz, DMSO-d6) δ 9.32 (s, 1H), 9.15 (s, 1H), 7.66 - 7.55 (m, 2H), 7.24 (tt, J = 9.2, 2.3 Hz, 1H), 7.20 - 7.08 (m, 1H), 6.90 (s, 1H), 6.35 (s, 1H), 2.25 (s, 3H), 2.07 - 1.95 (m, 1H), 0.81 - 0.67 (m, 4H) ppm.
962	458.37	0.69	1H NMR (400 MHz, DMSO-d6) δ 9.49 (s, 1H), 9.08 (s, 1H), 7.58 - 7.47 (m, 2H), 7.35 (s, 1H), 7.16 (td, J = 9.2, 4.7 Hz, 1H), 6.94 (s, 1H), 6.50

Cmpd No. in US	LCMS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			(s, 1H), 3.52 - 3.43 (m, 9H), 3.11 - 3.00 (m, 2H), 2.17 (s, 3H), 1.97 - 1.86 (m, 2H), 1.59 (d, J = 11.8 Hz, 2H), 0.99 (t, J = 7.0 Hz, 3H) ppm.
963	461.06	0.7	1H NMR (300 MHz, CDCl3) δ 8.44 (s, 1H), 7.46 (d, J = 7.9 Hz, 3H), 6.85 (t, J = 9.3 Hz, 1H), 6.17 (d, J = 7.4 Hz, 1H), 4.01 (s, 4H), 3.71 (s, 3H), 2.34 (s, 3H), 1.04 (s, 4H) ppm.
964	429.35	2.62	
965			1H NMR (300 MHz, DMSO-d6) δ 9.14 (s, 1H), 8.31 (s, 1H), 7.73 - 7.52 (m, 2H), 7.41 - 7.31 (m, 1H), 7.29 - 7.11 (m, 1H), 6.46 (s, 1H), 4.64 (s, 2H), 3.62 - 3.39 (m, 5H), 3.31 (t, J = 6.8 Hz, 2H), 2.22 (s, 3H), 2.10 (s, 3H), 1.96 - 1.61 (m, 4H) ppm.
966	390.15	0.56	1H NMR (300 MHz, CDCl3) δ 9.02 (d, J = 2.6 Hz, 1H), 8.63 (dt, J = 4.8, 1.3 Hz, 1H), 8.37 (d, J = 1.0 Hz, 1H), 8.02 (ddt, J = 8.2, 2.6, 1.3 Hz, 1H), 7.50 (dd, J = 8.3, 4.7 Hz, 1H), 6.81 - 6.50 (m, 3H), 5.94 (s, 1H), 3.99 (s, 4H), 3.37 (s, 4H), 0.99 - 0.66 (m, 6H) ppm.
967	391.14	0.61	1H NMR (300 MHz, CDCl3) δ 9.19 (d, J = 1.5 Hz, 1H), 9.03 - 8.71 (m, 1H), 8.57 (s, 1H), 8.48 - 8.16 (m, 1H), 7.28 (d, J = 1.7 Hz, 1H), 6.74 (dd, J = 4.2, 2.1 Hz, 2H), 6.63 (s, 1H), 5.96 (s, 1H), 4.00 (s, 4H), 3.38 (s, 4H), 2.46 - 2.09 (m, 4H), 0.96 (dd, J = 6.0, 4.1 Hz, 6H) ppm.
968	441.37	0.62	
969	390.01	0.91	1H NMR (300 MHz, CDCl3) δ 8.35 (s, 1H), 7.74 (d, J = 7.3 Hz, 1H), 6.96 (s, 1H), 6.82 (s, 1H), 6.07 (d, J = 7.5 Hz, 1H), 4.82 (t, J = 6.6 Hz, 2H), 4.69 (t, J = 6.3 Hz, 2H), 4.55 - 4.39 (m, 1H), 2.82 (s, 3H), 2.35 (s, 2H) ppm.
970	414.21	1.89	
971	414.46	3.65	1H NMR (300 MHz, DMSO-d6) δ 9.15 (s, 1H), 8.38 (s, 1H), 7.82 - 7.47 (m, 2H), 7.39 - 7.07 (m, 2H), 6.51 - 6.22 (m, 1H), 5.06 - 4.85 (m, 1H), 4.61 - 4.43 (m, 1H), 4.34 - 4.17 (m, 1H), 4.16 - 4.02 (m, 1H), 3.87 - 3.67 (m, 1H), 2.23 (s, 3H), 2.10 (s, 3H), 1.78 (s, 3H) ppm.
972	442.25	0.81	1H NMR (400 MHz, DMSO-d6) δ 9.40 (s, 1H), 9.16 (s, 1H), 7.70 - 7.51 (m, 2H), 7.33 - 7.15 (m, 2H), 6.83 (s, 1H), 6.37 (s, 1H), 3.96 (dd, J =

Cmpd No. in US	LCMS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			11.2, 3.0 Hz, 1H), 3.83 (q, J = 6.5 Hz, 1H), 3.77 - 3.69 (m, 2H), 3.55 - 3.50 (m, 2H), 3.46 (d, J = 11.7 Hz, 2H), 2.71 (td, J = 12.0, 3.4 Hz, 1H), 2.62 (t, J = 11.1 Hz, 1H), 2.24 (s, 3H), 1.98 - 1.62 (m, 4H) ppm.
973	443.3	0.64	
974	456.91	2.8	1H NMR (400 MHz, DMSO-d6) δ 9.29 (s, 1H), 9.16 (s, 1H), 7.74 - 7.56 (m, 2H), 7.25 (t, J = 9.5 Hz, 1H), 6.97 (d, J = 3.7 Hz, 1H), 6.62 (d, J = 7.0 Hz, 1H), 6.04 (d, J = 13.3 Hz, 1H), 4.01 - 3.77 (m, 3H), 3.48 - 3.15 (m, 6H), 3.02 - 2.90 (m, 1H), 2.20 (s, 3H), 1.93 - 1.76 (m, 1H), 1.76 - 1.61 (m, 1H), 1.14 (t, J = 6.7 Hz, 3H), 0.93 (dt, J = 19.3, 7.4 Hz, 3H) ppm.
975	440.4	0.63	1H NMR (400 MHz, DMSO-d6) δ 9.35 (s, 1H), 9.15 (s, 1H), 7.64 - 7.55 (m, 2H), 7.24 (tt, J = 9.3, 2.4 Hz, 1H), 6.77 - 6.66 (m, 2H), 5.82 (s, 1H), 3.93 (t, J = 7.1 Hz, 2H), 3.77 - 3.54 (m, 5H), 3.12 - 2.92 (m, 4H), 2.79 (s, 3H), 2.36 - 2.23 (m, 2H), 2.20 (s, 3H) ppm.
976	414.31	0.65	
977	425.15	0.68	1H NMR (300 MHz, CDCl3) δ 8.25 (d, J = 1.8 Hz, 1H), 7.55 (ddd, J = 10.9, 6.8, 2.6 Hz, 1H), 7.47 - 7.28 (m, 2H), 6.73 - 6.53 (m, 3H), 5.93 (t, J = 1.9 Hz, 1H), 3.97 (d, J = 1.9 Hz, 4H), 3.37 (s, 4H), 2.41 - 2.08 (m, 4H), 0.96 (dd, J = 6.3, 1.8 Hz, 6H) ppm.
978	391	0.91	1H NMR (300 MHz, DMSO-d6) δ 9.07 (s, 1H), 8.89 (d, J = 1.7 Hz, 1H), 7.96 (ddd, J = 12.0, 7.2, 2.1 Hz, 1H), 7.77 - 7.59 (m, 2H), 7.51 (dd, J = 7.0, 1.9 Hz, 1H), 6.53 (dd, J = 7.2, 2.0 Hz, 1H), 5.03 (ddt, J = 6.2, 4.0, 1.7 Hz, 1H), 3.98 - 3.58 (m, 4H), 2.28 (s, 3H), 2.25 - 2.10 (m, 1H), 2.09 - 1.86 (m, 1H) ppm.
979	435.45	0.66	1H NMR (300 MHz, DMSO-d6) δ 9.30 (s, 1H), 9.14 (s, 1H), 7.60 (d, J = 7.8 Hz, 2H), 7.23 (t, J = 9.3 Hz, 1H), 7.13 (s, 1H), 6.87 (s, 1H), 6.31 (s, 1H), 4.57 (t, J = 6.5 Hz, 2H), 4.47 (t, J = 6.0 Hz, 2H), 3.46 (t, J = 6.2 Hz, 1H), 2.23 (s, 3H) ppm.
980	357.97	0.9	1H NMR (300 MHz, DMSO-d6) δ 9.68 (s, 1H), 9.19 (d, J = 2.1 Hz, 1H), 7.79 - 7.46 (m, 2H), 7.35 - 7.21 (m, 1H), 7.17 (s, 1H), 6.14 (s, 1H),

Cmpd No. in US	LCMS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			4.11 (dd, J = 6.9, 2.1 Hz, 2H), 2.27 (s, 3H), 1.38 - 1.19 (m, 1H), 0.62 - 0.44 (m, 2H), 0.39 - 0.23 (m, 2H) ppm.
981	412.35	0.69	1H NMR (400 MHz, DMSO-d6) δ 9.40 (s, 1H), 9.17 (s, 1H), 7.64 - 7.55 (m, 2H), 7.38 (s, 1H), 7.24 (tt, J = 9.3, 2.4 Hz, 1H), 6.78 (s, 1H), 6.40 (s, 1H), 4.39 (q, J = 6.9 Hz, 1H), 3.90 (t, J = 7.8 Hz, 1H), 3.85 (dd, J = 8.3, 5.7 Hz, 1H), 3.65 (dd, J = 8.3, 3.3 Hz, 1H), 2.95 (d, J = 10.9 Hz, 1H), 2.40 - 2.31 (m, 1H), 2.23 (s, 3H), 1.88 - 1.72 (m, 2H), 1.64 - 1.43 (m, 2H) ppm.
982	380.24	0.74	1H NMR (300 MHz, DMSO-d6) δ 9.31 (s, 1H), 9.15 (s, 1H), 7.60 (d, J = 8.2 Hz, 2H), 7.30 - 7.17 (m, 1H), 7.14 (d, J = 2.1 Hz, 1H), 6.90 (s, 1H), 6.32 (s, 1H), 2.24 (s, 3H) ppm.
983	455.35	0.68	1H NMR (400 MHz, DMSO-d6) δ 9.78 (s, 1H), 9.30 (s, 1H), 9.15 (s, 1H), 7.61 (h, J = 5.5 Hz, 2H), 7.25 (tt, J = 9.3, 2.2 Hz, 1H), 6.89 (d, J = 2.1 Hz, 1H), 6.66 (s, 1H), 5.94 (s, 1H), 3.99 (d, J = 12.5 Hz, 2H), 3.71 (t, J = 12.0 Hz, 4H), 3.37 (td, J = 8.3, 3.3 Hz, 2H), 3.29 (dd, J = 12.5, 7.5 Hz, 3H), 3.20 - 3.01 (m, 3H), 2.79 (q, J = 7.5 Hz, 1H), 2.21 (s, 4H), 1.86 - 1.72 (m, 1H) ppm.
984	469.34	2.27	
985	443.32	2.74	
986	425.41	0.69	1H NMR (400 MHz, DMSO-d6) δ 9.15 (s, 1H), 7.66 - 7.58 (m, 2H), 7.24 (tt, J = 9.2, 2.2 Hz, 1H), 7.03 (t, J = 2.1 Hz, 1H), 6.67 (s, 1H), 6.03 (s, 1H), 4.09 - 4.00 (m, 1H), 3.72 - 3.58 (m, 3H), 3.54 - 3.42 (m, 3H), 3.28 (q, J = 8.2 Hz, 1H), 3.23 - 3.13 (m, 2H), 2.23 (s, 3H), 2.19 (dd, J = 12.8, 8.0 Hz, 1H), 2.12 - 2.02 (m, 2H), 1.95 - 1.84 (m, 2H) ppm.
987	469.94	2.41	
988	469.37	0.59	
989	462.99	0.66	1H NMR (300 MHz, DMSO) δ 9.16 (s, 1H), 8.82 (s, 1H), 7.76 - 7.55 (m, 2H), 7.50 (d, J = 7.1 Hz, 1H), 7.33 - 7.14 (m, 1H), 6.43 (d, J = 6.5 Hz, 1H), 4.62 - 4.35 (m, 2H), 3.72 - 3.41 (m, 3H), 3.30 - 2.53 (m, 9H), 2.47 - 2.37 (m, 1H), 2.30 (d, J = 17.9 Hz, 3H) ppm.
990	429.35	2.6	

Cmpd No. in US	LCMS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
991	482.87	2.97	1H NMR (400 MHz, DMSO-d6) δ 9.41 (s, 1H), 9.17 (s, 1H), 7.67 - 7.58 (m, 2H), 7.31 - 7.23 (m, 1H), 7.20 (s, 1H), 6.92 (s, 1H), 6.38 (s, 1H), 3.71 - 3.66 (m, 3H), 3.65 - 3.60 (m, 2H), 3.40 (td, J = 11.4, 2.6 Hz, 2H), 3.14 (d, J = 17.0 Hz, 4H), 2.98 - 2.87 (m, 1H), 2.25 (s, 3H), 1.68 - 1.51 (m, 4H) ppm.
992	449.2	1.73	
993	413.43	2.26	
994	433.38	0.71	1H NMR (300 MHz, DMSO-d6) δ 9.28 (s, 1H), 9.14 (s, 1H), 7.60 (d, J = 7.9 Hz, 2H), 7.23 (t, J = 9.2 Hz, 1H), 7.14 (s, 1H), 6.85 (s, 1H), 6.30 (s, 1H), 2.81 - 2.69 (m, 1H), 2.22 (s, 3H), 1.99 (d, J = 7.8 Hz, 2H), 1.82 (t, J = 9.5 Hz, 2H), 1.66 (d, J = 9.7 Hz, 2H) ppm.
995	429.35	2.63	1H NMR (400 MHz, DMSO-d6) δ 9.57 (s, 1H), 9.43 (s, 1H), 9.17 (s, 1H), 7.68 - 7.56 (m, 2H), 7.26 (tt, J = 9.3, 2.3 Hz, 1H), 7.15 (s, 1H), 6.94 (s, 1H), 6.39 (s, 1H), 5.55 (s, 1H), 4.18 - 4.06 (m, 1H), 3.73 (dd, J = 21.3, 12.6 Hz, 2H), 3.59 (d, J = 11.5 Hz, 2H), 3.27 - 3.11 (m, 4H), 3.11 - 3.01 (m, 2H), 2.25 (s, 3H), 1.14 (d, J = 6.1 Hz, 3H) ppm.
996	411.29	0.64	
997	436.18	0.67	1H NMR (300 MHz, CDCl3) δ 8.32 (s, 1H), 7.26 (d, J = 6.8 Hz, 2H), 7.12 (s, 1H), 6.80 (s, 2H), 6.67 (s, 1H), 6.43 (s, 1H), 4.74 (s, 4H), 2.36 (s, 3H) ppm.
998	445.04	0.68	1H NMR (300 MHz, CDCl3) δ 8.28 (s, 1H), 7.76 (d, J = 6.0 Hz, 1H), 7.59 (ddd, J = 10.8, 6.8, 2.6 Hz, 1H), 7.47 - 7.38 (m, 1H), 7.33 (dd, J = 9.3, 8.1 Hz, 1H), 6.94 (d, J = 4.0 Hz, 1H), 6.42 (dd, J = 7.7, 1.6 Hz, 1H), 4.73 (d, J = 4.8 Hz, 4H), 3.65 (t, J = 10.4 Hz, 1H), 3.20 (s, 4H), 2.59 (s, 4H), 2.38 (s, 3H) ppm.
999	388.08	0.91	1H NMR (300 MHz, DMSO-d6) δ 9.75 (d, J = 2.1 Hz, 1H), 9.20 (d, J = 2.1 Hz, 1H), 7.75 - 7.60 (m, 2H), 7.33 - 7.19 (m, 1H), 7.12 (s, 1H), 6.12 (s, 1H), 5.13 - 4.98 (m, 1H), 4.03 - 3.89 (m, 1H), 3.75 - 3.61 (m, 1H), 3.55 - 3.36 (m, 2H), 2.26 (s, 3H), 2.14 - 1.99 (m, 1H), 1.85 - 1.48 (m, 3H) ppm.

Cmpd No. in US	LCMS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
1001	456.94	2.75	
1002	355.05	0.89	1H NMR (300 MHz, CDCl3) δ 8.27 (s, 1H), 7.86 - 7.67 (m, 1H), 7.68 - 7.57 (m, 2H), 7.44 (dd, J = 8.6, 7.1 Hz, 2H), 7.37 - 7.22 (m, 1H), 6.99 (s, 1H), 6.26 (dd, J = 7.3, 1.9 Hz, 1H), 4.89 (dq, J = 4.9, 2.6 Hz, 1H), 3.98 - 3.71 (m, 4H), 2.28 (s, 3H), 2.16 - 2.00 (m, 2H) ppm.
1003	443.39	0.64	
1004	399.14	2.04	
1005	457.37	2.85	
1006	399.94	2.68	
1007	473.12	0.72	1H NMR (300 MHz, CDCl3) δ 8.29 (s, 1H), 7.42 (d, J = 6.8 Hz, 1H), 6.11 (d, J = 7.3 Hz, 1H), 4.12 (d, J = 5.6 Hz, 1H), 3.94 (s, 4H), 3.56 (s, 2H), 3.21 (q, J = 8.6 Hz, 1H), 2.89 (s, 3H), 2.46 (s, 1H), 2.24 (d, J = 2.2 Hz, 3H), 2.14 - 1.76 (m, 4H) ppm.
1008	400.31	2.1	
1009	391.05	0.91	1H NMR (300 MHz, CDCl3) δ 8.22 (s, 1H), 7.68 - 7.59 (m, 1H), 7.51 (ddd, J = 10.8, 6.8, 2.6 Hz, 1H), 7.39 - 7.29 (m, 1H), 7.29 - 7.21 (m, 1H), 7.10 (d, J = 3.5 Hz, 1H), 6.28 (dd, J = 7.3, 1.9 Hz, 1H), 4.89 (tt, J = 4.9, 2.6 Hz, 1H), 4.03 - 3.67 (m, 4H), 2.29 (d, J = 1.0 Hz, 3H), 2.19 - 2.04 (m, 2H) ppm.
1010	441.3	0.67	1H NMR (400 MHz, DMSO-d6) δ 10.28 (s, 1H), 9.37 (s, 1H), 9.15 (s, 1H), 7.69 - 7.54 (m, 2H), 7.23 (tt, J = 9.2, 2.3 Hz, 1H), 7.01 (s, 1H), 6.66 (s, 1H), 6.08 - 5.94 (m, 2H), 4.54 (d, J = 6.9 Hz, 1H), 3.79 (d, J = 5.6 Hz, 2H), 3.75 - 3.65 (m, 2H), 3.65 - 3.55 (m, 2H), 3.25 (s, 2H), 3.11 (dd, J = 9.6, 6.5 Hz, 1H), 2.22 (s, 3H), 1.98 (d, J = 61.0 Hz, 4H) ppm.
1011	443.37	0.69	1H NMR (400 MHz, DMSO-d6) δ 9.62 (s, 1H), 9.46 (s, 1H), 9.18 (s, 1H), 7.70 - 7.54 (m, 2H), 7.37 - 7.19 (m, 2H), 6.86 (d, J = 11.0 Hz, 1H), 6.39 (d, J = 16.7 Hz, 1H), 3.87 - 3.57 (m, 5H), 3.52 (s, 2H), 3.35 (s, 3H), 3.26 (d, J = 10.8 Hz, 2H), 3.07 - 2.96 (m, 1H), 2.93 - 2.84 (m, 1H), 2.25 (s, 3H), 1.38 (d, J = 6.3 Hz, 2H) ppm.

Cmpd No. in US	LCMS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
1012	455.31	0.71	1H NMR (400 MHz, DMSO-d6) δ 9.30 (s, 1H), 9.13 (s, 1H), 7.73 - 7.51 (m, 3H), 7.25 (tt, J = 9.2, 2.5 Hz, 2H), 6.84 (s, 1H), 6.73 (s, 1H), 6.04 (s, 1H), 4.16 (q, J = 6.5 Hz, 2H), 4.02 - 3.67 (m, 7H), 3.18 - 3.03 (m, 4H), 2.25 (s, 3H), 2.19 - 2.12 (m, 1H), 2.07 - 1.92 (m, 3H) ppm.
1014	456.3	0.68	1H NMR (400 MHz, DMSO-d6) δ 9.62 (s, 1H), 9.19 (s, 1H), 7.71 - 7.58 (m, 2H), 7.46 (s, 1H), 7.27 (tt, J = 9.4, 2.2 Hz, 1H), 7.07 (s, 1H), 6.63 (s, 1H), 4.35 - 4.24 (m, 1H), 3.70 - 3.56 (m, 7H), 3.28 - 3.05 (m, 2H), 2.28 (s, 3H), 2.07 - 1.92 (m, 3H), 1.78 - 1.59 (m, 2H) ppm.
1015	443.33	0.61	
1016	390.06	0.78	1H NMR (300 MHz, DMSO-d6) δ 9.18 (d, J = 2.0 Hz, 1H), 8.75 (d, J = 2.4 Hz, 1H), 7.74 - 7.50 (m, 2H), 7.25 (tt, J = 9.3, 2.3 Hz, 1H), 7.11 (dd, J = 6.8, 2.9 Hz, 1H), 5.90 (s, 1H), 5.82 (dd, J = 5.6, 2.8 Hz, 1H), 4.65 (d, J = 5.6 Hz, 2H), 4.45 (dd, J = 5.7, 1.9 Hz, 2H), 2.14 (t, J = 2.3 Hz, 3H), 1.62 (d, J = 2.2 Hz, 3H) ppm.
1017	457.35	0.65	
1018	429.3	0.61	
1019	427.94	2.87	
1020	441.37	0.63	
1021	404.1	0.67	1H NMR (300 MHz, DMSO-d6) δ 9.18 (d, J = 1.7 Hz, 1H), 8.68 (d, J = 2.1 Hz, 1H), 7.74 - 7.55 (m, 2H), 7.35 (dd, J = 7.0, 2.8 Hz, 1H), 7.33 - 7.13 (m, 1H), 6.03 (dd, J = 5.8, 2.8 Hz, 1H), 5.33 (d, J = 7.7 Hz, 1H), 3.89 (d, J = 11.5 Hz, 2H), 3.52 - 3.32 (m, 4H), 2.13 (d, J = 2.3 Hz, 3H), 1.94 (d, J = 13.0 Hz, 2H), 1.51 - 1.27 (m, 2H) ppm.
1022	410.03	0.55	1H NMR (300 MHz, CDCl3) δ 9.04 (d, J = 2.4 Hz, 1H), 8.64 (dd, J = 4.7, 1.4 Hz, 1H), 8.40 (s, 1H), 8.03 (ddd, J = 8.3, 2.6, 1.5 Hz, 1H), 7.80 (d, J = 7.0 Hz, 1H), 7.48 (dd, J = 8.3, 4.8 Hz, 1H), 7.05 (s, 1H), 6.42 (d, J = 7.6 Hz, 1H), 4.72 (d, J = 6.5 Hz, 4H), 3.65 (d, J = 5.7 Hz, 1H), 3.19 (s, 4H), 2.58 (s, 4H), 2.38 (s, 3H) ppm.
1023	469.32	0.6	
1024	457.33	2.63	

Cmpd No. in US	LCMS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
1025	482.38	0.57	
1026	429.36	2.78	1H NMR (400 MHz, Methanol-d4) δ 9.15 (s, 1H), 9.10 - 8.95 (m, 1H), 7.62 - 7.44 (m, 3H), 7.22 - 7.09 (m, 0H), 7.01 (tt, J = 9.0, 2.3 Hz, 2H), 4.32 (s, 0H), 4.25 - 4.20 (m, 1H), 4.01 (s, 1H), 3.89 - 3.72 (m, 3H), 3.66 (s, 6H), 3.61 (s, 1H), 3.45 (s, 1H), 3.35 (s, 2H), 3.38 - 3.29 (m, 1H), 3.18 - 3.03 (m, 2H), 3.06 - 2.98 (m, 6H), 2.66 (s, 7H), 2.46 - 2.29 (m, 3H), 2.33 (s, 4H), 2.18 (s, 2H), 2.08 (s, 3H) ppm.
1027	455.37	0.64	
1028	391.05	0.93	1H NMR (300 MHz, CDCl3) δ 8.27 (s, 1H), 7.74 - 7.60 (m, 1H), 7.27 - 7.19 (m, 1H), 7.04 (d, J = 3.7 Hz, 1H), 6.73 (tt, J = 8.7, 2.3 Hz, 1H), 6.29 (dd, J = 7.3, 2.0 Hz, 1H), 4.90 (tt, J = 4.9, 2.6 Hz, 1H), 3.99 - 3.76 (m, 4H), 2.30 (d, J = 1.0 Hz, 3H), 2.22 - 1.97 (m, 2H) ppm.
1029	400.26	2.14	
1030	429.9	3.22	
1031	413.3	0.65	1H NMR (400 MHz, DMSO-d6) δ 9.40 (s, 1H), 9.17 (s, 1H), 7.69 - 7.62 (m, 2H), 7.30 - 7.19 (m, 2H), 7.17 (s, 1H), 6.67 (s, 1H), 6.15 (s, 1H), 4.17 (t, J = 8.3 Hz, 2H), 3.54 (dd, J = 9.6, 5.3 Hz, 2H), 2.88 - 2.80 (m, 1H), 2.19 (s, 3H), 1.72 (s, 3H) ppm.
1032	434.23	0.82	1H NMR (300 MHz, DMSO-d6) δ 9.74 (s, 1H), 9.21 (d, J = 1.2 Hz, 1H), 7.93 - 7.06 (m, 5H), 6.77 - 6.55 (m, 1H) ppm.
1033	459.33	2.6	
1034	389.16	0.66	1H NMR (300 MHz, CDCl3) δ 8.32 (d, J = 2.0 Hz, 1H), 7.79 - 7.63 (m, 2H), 7.53 (td, J = 7.9, 2.0 Hz, 2H), 7.47 - 7.33 (m, 1H), 6.78 - 6.68 (m, 2H), 6.67 - 6.48 (m, 1H), 5.92 (d, J = 1.9 Hz, 1H), 3.98 (d, J = 2.1 Hz, 4H), 3.37 (d, J = 2.1 Hz, 4H), 2.39 - 2.12 (m, 4H), 0.97 (dd, J = 6.2, 2.1 Hz, 6H) ppm.
1035	398.24	0.72	1H NMR (400 MHz, Methanol-d4) δ 8.94 (s, 1H), 8.02 (s, 1H), 7.62 - 7.55 (m, 3H), 7.38 (s, 1H), 7.10 (s, 1H), 7.00 (t, J = 9.1 Hz, 2H), 4.19 - 4.12 (m, 3H), 3.83 (d, J = 4.4 Hz, 5H), 2.99 (s, 0H), 2.65 (s, 1H), 2.44 (s, 4H), 1.08 (t, J = 3.6 Hz, 3H), 0.96 - 0.88 (m, 3H) ppm.

Cmpd No. in US	LCMS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
1036	415.34	2.6	1H NMR (400 MHz, DMSO-d6) δ 9.62 (s, 1H), 9.42 (s, 1H), 9.16 (s, 1H), 7.72 - 7.56 (m, 2H), 7.30 - 7.19 (m, 1H), 7.15 (s, 1H), 6.95 (s, 1H), 6.39 (s, 1H), 5.44 (s, 1H), 3.88 - 3.67 (m, 4H), 3.59 (d, J = 12.0 Hz, 2H), 3.30 - 3.15 (m, 4H), 3.07 (t, J = 12.5 Hz, 2H), 2.25 (s, 3H) ppm.
1037	420.31	1.93	
1038	438.67	4.68	
1039	406.17	0.65	1H NMR (300 MHz, CDCl3) δ 8.32 (s, 1H), 7.76 - 7.64 (m, 2H), 7.51 (t, J = 7.9 Hz, 2H), 7.36 (t, J = 7.4 Hz, 1H), 7.13 (s, 1H), 6.81 (s, 1H), 6.62 (s, 1H), 6.40 (s, 1H), 4.08 - 3.73 (m, 4H), 3.33 (s, 4H), 2.72 (d, J = 29.0 Hz, 4H), 2.34 (s, 3H), 2.20 - 1.92 (m, 2H) ppm.
1040	441.32	2.64	1H NMR (400 MHz, DMSO-d6) δ 10.46 (s, 2H), 9.38 (s, 1H), 9.17 (s, 1H), 7.73 - 7.52 (m, 3H), 7.25 (t, J = 9.3 Hz, 1H), 7.03 (d, J = 13.4 Hz, 1H), 6.65 (d, J = 20.3 Hz, 1H), 6.00 (d, J = 12.0 Hz, 1H), 5.61 (s, 1H), 4.55 - 4.36 (m, 2H), 4.15 - 3.98 (m, 2H), 3.78 - 3.60 (m, 3H), 3.31 - 3.07 (m, 3H), 2.35 - 2.09 (m, 5H) ppm.
1041	483.31	0.86	1H NMR (300 MHz, DMSO-d6) δ 9.64 (d, J = 12.7 Hz, 1H), 9.23 - 9.11 (m, 1H), 7.63 (d, J = 7.9 Hz, 1H), 7.50 - 7.07 (m, 4H), 6.95 - 6.78 (m, 1H), 6.68 (s, 1H), 2.11 - 1.89 (m, 0H), 0.86 - 0.51 (m, 4H) ppm.
1042	449.5	0.69	1H NMR (300 MHz, DMSO-d6) δ 9.28 (s, 1H), 9.14 (s, 1H), 7.60 (d, J = 8.4 Hz, 2H), 7.26 - 7.20 (m, 1H), 7.12 (s, 1H), 6.87 (s, 1H), 6.30 (s, 1H), 3.87 - 3.74 (m, 2H), 3.66 (q, J = 8.0 Hz, 1H), 3.58 - 3.47 (m, 1H), 2.98 - 2.87 (m, 1H), 2.23 (s, 3H), 2.04 - 1.93 (m, 1H), 1.79 - 1.73 (m, 1H) ppm.
1043	433.03	0.67	1H NMR (300 MHz, CDCl3) δ 8.43 - 8.19 (m, 1H), 7.75 (d, J = 7.4 Hz, 1H), 7.27 (s, 1H), 6.96 (d, J = 4.1 Hz, 1H), 6.81 (dt, J = 7.1, 4.6 Hz, 1H), 6.43 (d, J = 7.6 Hz, 1H), 3.69 (t, J = 5.3 Hz, 2H), 3.15 (t, J = 4.7 Hz, 4H), 2.74 (t, J = 4.8 Hz, 4H), 2.65 (t, J = 5.4 Hz, 2H), 2.39 (s, 3H) ppm.
1044	421.4	0.71	1H NMR (300 MHz, DMSO-d6) δ 9.32 (s, 1H), 9.15 (s, 1H), 7.61 (d, J = 8.0 Hz, 2H), 7.33 - 7.19 (m, 1H), 7.15 (d, J = 2.2 Hz, 1H), 6.90 (s, 1H),

Cmpd No. in US	LCMS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			6.34 (s, 1H), 2.24 (s, 3H), 2.04 (s, 3H) ppm.
1045	469.41	0.59	
1046	483.4	0.64	
1047	482.38	0.58	
1048	441.99	2.07	
1049	347.08	0.67	1H NMR (300 MHz, CDCl3) δ 8.41 (s, 1H), 7.81 (s, 1H), 7.78 - 7.69 (m, 2H), 7.56 - 7.46 (m, 2H), 7.40 - 7.32 (m, 1H), 7.21 (s, 1H), 6.95 (s, 1H), 6.82 (s, 1H), 6.57 (d, J = 1.3 Hz, 1H), 3.51 (s, 1H), 2.37 (s, 3H), 2.27 (d, J = 1.3 Hz, 3H) ppm.
1050	443.33	0.68	1H NMR (400 MHz, DMSO-d6) δ 9.51 (s, 1H), 9.25 (s, 1H), 9.14 (s, 1H), 7.66 (dt, J = 7.3, 3.5 Hz, 2H), 7.24 (tt, J = 9.3, 2.5 Hz, 1H), 6.95 (d, J = 11.7 Hz, 1H), 6.54 (s, 1H), 6.00 (s, 1H), 3.76 - 3.61 (m, 3H), 3.35 - 3.05 (m, 6H), 2.94 - 2.80 (m, 1H), 2.63 (q, J = 10.4 Hz, 1H), 2.18 (s, 3H), 1.99 (dd, J = 34.4, 13.6 Hz, 2H), 1.79 (d, J = 14.3 Hz, 2H), 1.49 - 1.29 (m, 1H) ppm.
1051	448.31	2.45	
1052	355.05	0.88	1H NMR (300 MHz, CDCl3) δ 8.27 (s, 1H), 7.81 - 7.69 (m, 1H), 7.68 - 7.58 (m, 2H), 7.55 - 7.38 (m, 2H), 7.37 - 7.29 (m, 1H), 7.01 (d, J = 3.7 Hz, 1H), 6.26 (dd, J = 7.4, 1.9 Hz, 1H), 4.89 (tt, J = 4.7, 2.5 Hz, 1H), 4.03 - 3.70 (m, 4H), 2.29 (d, J = 1.0 Hz, 3H), 2.19 - 1.95 (m, 2H) ppm.
1053	391.09	0.93	1H NMR (300 MHz, CDCl3) δ 8.36 (s, 1H), 7.74 (dd, J = 7.1, 2.0 Hz, 1H), 7.32 - 7.28 (m, 1H), 7.14 (d, J = 3.7 Hz, 1H), 6.82 (tt, J = 8.7, 2.3 Hz, 1H), 6.38 (dd, J = 7.3, 1.9 Hz, 1H), 4.99 (tt, J = 5.0, 2.7 Hz, 1H), 2.39 (s, 3H), 2.33 - 2.09 (m, 2H) ppm.
1054	469.32	0.58	1H NMR (400 MHz, Methanol-d4) δ 8.95 (s, 1H), 8.04 (d, J = 9.9 Hz, 1H), 7.69 - 7.61 (m, 2H), 7.38 (d, J = 2.0 Hz, 1H), 7.10 (s, 0H), 7.03 - 6.93 (m, 1H), 4.27 (s, 1H), 3.89 (s, 2H), 3.84 (d, J = 4.3 Hz, 1H), 3.67 - 3.55 (m, 1H), 3.51 (s, 1H), 3.24 (dd, J = 20.5, 11.6 Hz, 1H), 2.66 (s, 1H), 2.54 (s, 2H), 2.52 (s, 0H), 2.43 (s, 3H), 2.36 - 2.24 (m, 1H), 2.13 (s, 1H), 1.95 - 1.82 (m, 2H), 1.75 (t, J = 13.3 Hz, 1H) ppm.

Cmpd No. in US	LCMS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
1055	391.05	0.91	1H NMR (300 MHz, CDCl3) δ 8.21 (s, 1H), 7.72 - 7.61 (m, 1H), 7.51 (ddd, J = 10.8, 6.8, 2.6 Hz, 1H), 7.33 (dddd, J = 8.9, 4.0, 2.6, 1.5 Hz, 1H), 7.30 - 7.21 (m, 1H), 7.09 (d, J = 3.7 Hz, 1H), 6.28 (dd, J = 7.3, 1.9 Hz, 1H), 4.89 (tt, J = 5.0, 2.6 Hz, 1H), 4.06 - 3.69 (m, 4H), 2.29 (d, J = 1.0 Hz, 3H), 2.21 - 2.00 (m, 2H) ppm.
1056	457.38	0.7	1H NMR (400 MHz, DMSO-d6) δ 9.45 (s, 1H), 9.17 (s, 1H), 7.68 - 7.56 (m, 2H), 7.33 - 7.16 (m, 2H), 6.85 (s, 1H), 6.43 - 6.29 (m, 1H), 5.55 (s, 1H), 4.20 - 3.98 (m, 2H), 3.82 - 3.64 (m, 2H), 3.32 - 3.17 (m, 2H), 3.17 - 3.01 (m, 2H), 2.25 (s, 3H), 2.12 - 1.83 (m, 2H), 1.82 - 1.67 (m, 1H), 1.17 (d, J = 6.1 Hz, 3H), 1.03 (q, J = 7.5 Hz, 3H) ppm.
1057	398.3	0.71	
1058	333.99	0.82	1H NMR (300 MHz, DMSO-d6) δ 10.03 (s, 1H), 9.33 (s, 1H), 9.06 (s, 1H), 7.95 - 7.84 (m, 2H), 7.75 (s, 1H), 7.54 (t, J = 8.0 Hz, 2H), 7.35 (t, J = 7.4 Hz, 1H), 7.05 (d, J = 1.9 Hz, 2H), 2.23 (s, 3H), 1.88 - 1.75 (m, 1H), 0.77 (m, 4H) ppm.
1059	370.43	2.42	
1060	425.27	0.68	
1061	373.05	0.9	1H NMR (300 MHz, CDCl3) δ 8.37 (s, 1H), 7.78 (ddd, J = 7.2, 2.0, 0.8 Hz, 1H), 7.49 (ddt, J = 6.4, 2.8, 1.5 Hz, 3H), 7.19 (d, J = 3.7 Hz, 1H), 7.14 - 6.97 (m, 1H), 6.37 (dd, J = 7.4, 2.0 Hz, 1H), 4.98 (tt, J = 4.8, 2.5 Hz, 1H), 4.00 - 3.80 (m, 1H), 2.39 (d, J = 1.0 Hz, 3H), 2.31 - 2.09 (m, 2H) ppm.
1062	415.37	2.64	
1063	457.38	0.71	1H NMR (400 MHz, DMSO-d6) δ 9.68 (s, 1H), 9.45 (s, 1H), 9.17 (s, 1H), 7.72 - 7.53 (m, 2H), 7.35 - 7.16 (m, 2H), 6.84 (s, 1H), 6.39 (s, 1H), 3.90 - 3.68 (m, 4H), 3.53 (q, J = 7.0 Hz, 3H), 3.30 (s, 2H), 3.05 (d, J = 12.9 Hz, 1H), 2.89 (t, J = 11.9 Hz, 1H), 2.24 (s, 3H), 1.38 (d, J = 6.2 Hz, 3H), 1.16 (t, J = 7.0 Hz, 3H) ppm.
1064	454.91	2.44	1H NMR (400 MHz, DMSO-d6) δ 9.71 (s, 1H), 9.28 (s, 1H), 9.16 (s, 1H), 7.66 (d, J = 7.2 Hz, 2H), 7.28 - 7.23 (m, 1H), 7.02 (s, 1H), 6.56 (d, J = 14.8 Hz, 1H), 6.05 (s, 1H), 4.07 (d, J = 10.5

Cmpd No. in US	LCMS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			Hz, 1H), 3.96 - 3.91 (m, 2H), 3.55 - 3.40 (m, 5H), 3.29 - 3.24 (m, 1H), 3.19 - 3.08 (m, 2H), 2.17 (s, 4H), 2.03 - 1.98 (m, 1H), 1.65 - 1.60 (m, 1H) ppm.
1065	400.19	2.05	
1066	380.33	0.73	1H NMR (300 MHz, DMSO-d6) δ 9.23 (s, 1H), 9.05 (s, 1H), 7.94 (dd, J = 11.4, 7.0 Hz, 1H), 7.66 (dd, J = 8.8, 6.4 Hz, 2H), 7.12 (s, 1H), 6.89 (s, 1H), 6.30 (s, 1H), 2.23 (s, 3H) ppm.
1067	443.32	3.57	
1068	482.87	2.44	
1069	373.99	0.85	1H NMR (300 MHz, CDCl3) δ 8.36 (d, J = 2.1 Hz, 1H), 7.50 - 7.42 (m, 1H), 7.34 - 7.22 (m, 3H), 6.90 - 6.75 (m, 1H), 6.23 (s, 1H), 4.89 (ddd, J = 7.9, 6.1, 2.1 Hz, 2H), 4.60 (td, J = 6.1, 2.3 Hz, 2H), 4.52 (dd, J = 6.9, 2.3 Hz, 2H), 3.46 (p, J = 7.1 Hz, 1H), 2.39 (s, 3H) ppm.
1070	439.32	0.65	
1071	407.16	0.67	1H NMR (300 MHz, DMSO-d6) δ 9.22 (s, 1H), 9.10 (s, 1H), 7.85 - 7.65 (m, 2H), 7.60 (td, J = 8.4, 6.3 Hz, 1H), 7.18 (tdd, J = 8.4, 2.4, 1.2 Hz, 1H), 6.84 - 6.58 (m, 2H), 3.81 (s, 4H), 3.22 (s, 4H), 2.19 (s, 4H), 0.84 (d, J = 6.1 Hz, 6H) ppm.
1072	443.15	0.72	1H NMR (300 MHz, CDCl3) δ 8.35 (d, J = 1.9 Hz, 1H), 7.55 (d, J = 6.9 Hz, 1H), 7.33 - 7.28 (m, 1H), 7.25 (s, 1H), 7.02 (s, 1H), 6.83 (ddd, J = 8.6, 6.1, 3.3 Hz, 1H), 5.97 (d, J = 7.9 Hz, 1H), 4.65 (d, J = 10.4 Hz, 2H), 4.22 (s, 2H), 4.09 (d, J = 2.9 Hz, 2H), 3.87 (d, J = 10.5 Hz, 2H), 3.20 (s, 1H), 1.33 (dd, J = 6.5, 1.9 Hz, 6H) ppm.
1073	402.31	0.7	
1074	483.4	0.6	1H NMR (400 MHz, DMSO-d6) δ 9.61 (s, 1H), 9.48 (s, 1H), 9.18 (s, 1H), 7.67 - 7.57 (m, 2H), 7.37 (s, 1H), 7.25 (tt, J = 9.2, 2.4 Hz, 1H), 6.92 (s, 1H), 6.48 (s, 1H), 3.74 (t, J = 5.0 Hz, 3H), 3.36 (q, J = 5.3 Hz, 3H), 3.12 - 3.02 (m, 4H), 2.25 (s, 3H), 2.06 - 1.96 (m, 4H), 1.92 - 1.81 (m, 2H), 1.79 - 1.56 (m, 3H) ppm.
1075	371.18	1.69	
1076	381.16	2.08	
1077	469.35	0.71	1H NMR (400 MHz, DMSO-d6) δ 9.35 (s, 1H),

Cmpd No. in US	LCMS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			9.13 (s, 1H), 8.78 (s, 2H), 7.69 - 7.53 (m, 2H), 7.26 (tt, J = 9.4, 2.5 Hz, 1H), 6.78 (d, J = 11.3 Hz, 2H), 6.00 (s, 1H), 4.12 - 3.98 (m, 2H), 3.99 - 3.85 (m, 2H), 3.80 (dd, J = 12.3, 3.6 Hz, 1H), 3.64 (dd, J = 12.2, 6.2 Hz, 2H), 3.17 - 3.01 (m, 2H), 2.24 (s, 3H), 2.17 - 1.89 (m, 6H), 1.89 - 1.61 (m, 3H) ppm.
1078	472.38	2.36	
1079	390.28	3.55	
1080	428.12	0.67	1H NMR (300 MHz, Methanol-d4) δ 7.55 - 7.43 (m, 2H), 7.19 (t, J = 2.2 Hz, 1H), 6.98 - 6.89 (m, 1H), 6.88 (s, 1H), 6.41 (t, J = 1.7 Hz, 1H), 4.69 (dt, J = 23.9, 6.4 Hz, 4H), 3.62 - 3.50 (m, 1H), 3.28 - 3.17 (m, 4H), 2.60 - 2.46 (m, 4H), 2.30 (s, 3H) ppm.
1081	425.11	0.69	
1082	429.33	0.68	1H NMR (400 MHz, DMSO-d6) δ 9.30 (s, 1H), 9.15 (s, 1H), 7.72 - 7.60 (m, 2H), 7.25 (tt, J = 9.4, 2.3 Hz, 1H), 6.96 (d, J = 2.0 Hz, 1H), 6.63 (s, 1H), 6.04 (s, 1H), 3.97 - 3.86 (m, 2H), 3.81 - 3.73 (m, 2H), 3.52 - 3.37 (m, 5H), 3.32 - 3.19 (m, 2H), 2.19 (s, 3H), 1.23 (d, J = 5.6 Hz, 3H) ppm.
1083	426.31	0.86	1H NMR (400 MHz, DMSO-d6) δ 9.28 (d, J = 3.4 Hz, 1H), 9.16 (s, 1H), 7.66 - 7.52 (m, 2H), 7.27 - 7.17 (m, 1H), 6.99 (d, J = 12.7 Hz, 1H), 6.54 (s, 1H), 5.91 (s, 1H), 3.86 - 3.73 (m, 2H), 3.68 - 3.58 (m, 2H), 3.33 - 3.28 (m, 1H), 3.27 - 3.17 (m, 2H), 2.22 - 2.10 (m, 5H), 2.09 - 1.96 (m, 2H), 1.68 - 1.55 (m, 2H) ppm.
1084	471.1	2.12	
1085			1H NMR (300 MHz, CDCl3) δ 8.34 (s, 1H), 7.83 (dd, J = 7.3, 1.4 Hz, 1H), 7.75 - 7.66 (m, 2H), 7.58 - 7.47 (m, 2H), 7.42 - 7.34 (m, 1H), 6.96 (d, J = 4.0 Hz, 1H), 6.40 (dd, J = 7.7, 1.5 Hz, 1H), 4.72 (d, J = 6.6 Hz, 4H), 3.75 - 3.53 (m, 1H), 3.19 (s, 4H), 2.58 (s, 4H), 2.38 (s, 3H) ppm.
1086	384.16	0.66	1H NMR (300 MHz, MeOD+CDCl3) δ 8.48 (s, 1H), 7.80 - 7.65 (m, 2H), 7.53 (d, J = 7.5 Hz, 2H), 7.37 (t, J = 7.4 Hz, 1H), 7.16 (s, 1H), 6.92 (s, 1H), 6.42 (s, 1H), 3.30 (s, 4H), 2.79 (s, 4H), 2.33 (s, 3H) ppm.

Cmpd No. in US	LCMS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
1087	456.3	0.83	1H NMR (400 MHz, DMSO-d6) δ 9.39 (s, 1H), 9.15 (s, 1H), 7.66 - 7.55 (m, 2H), 7.24 (tt, J = 6.8, 3.4 Hz, 2H), 6.84 (s, 1H), 6.34 (s, 1H), 3.94 (dd, J = 11.5, 2.7 Hz, 1H), 3.77 - 3.69 (m, 1H), 3.65 (td, J = 11.4, 2.5 Hz, 1H), 3.59 - 3.46 (m, 5H), 3.26 (dd, J = 6.9, 1.5 Hz, 2H), 2.71 (s, 1H), 2.69 (td, J = 11.8, 3.4 Hz, 1H), 2.23 (s, 3H), 1.04 - 0.89 (m, 1H), 0.44 (dt, J = 8.1, 2.9 Hz, 2H), 0.18 - 0.09 (m, 2H) ppm.
1088	465.06	0.68	1H NMR (300 MHz, DMSO-d6) δ 9.75 (s, 1H), 7.97 (t, J = 9.5 Hz, 1H), 7.80 - 7.57 (m, 2H), 7.28 (s, 1H), 6.93 (t, J = 55.7 Hz, 1H), 6.44 (s, 1H), 4.68 - 4.40 (m, 4H), 3.69 - 3.51 (m, 4H), 3.50 - 3.36 (m, 1H), 2.43 - 2.25 (m, 4H) ppm.
1089	483.06	0.7	1H NMR (300 MHz, DMSO-d6) δ 9.99 (d, J = 2.2 Hz, 1H), 8.02 - 7.86 (m, 1H), 7.77 - 7.60 (m, 2H), 7.34 (s, 1H), 6.54 (s, 1H), 4.68 - 4.40 (m, 4H), 3.63 (s, 4H), 3.46 (d, J = 5.9 Hz, 1H), 2.35 (t, J = 4.9 Hz, 4H) ppm.
1090	465.02	0.69	1H NMR (300 MHz, CDCl3) δ 7.48 (s, 1H), 7.34 (s, 1H), 7.31 - 7.20 (m, 2H), 6.89 - 6.75 (m, 1H), 6.51 (d, J = 56.2 Hz, 1H), 6.40 (s, 1H), 4.70 (dt, J = 9.7, 5.2 Hz, 4H), 3.71 - 3.60 (m, 4H), 3.55 (q, J = 6.4 Hz, 1H), 2.51 - 2.37 (m, 4H) ppm.
1091	460.11	0.69	1H NMR (300 MHz, CDCl3) δ 8.04 (s, 1H), 7.94 - 7.76 (m, 1H), 7.73 - 7.51 (m, 2H), 7.41 - 7.17 (m, 1H), 6.87 - 6.63 (m, 2H), 6.43 (s, 1H), 4.73 (d, J = 6.5 Hz, 4H), 3.74 - 3.50 (m, 1H), 3.46 - 3.22 (m, 4H), 2.73 - 2.46 (m, 4H), 2.35 (s, 3H) ppm.
1092	401.08	0.7	1H NMR (300 MHz, DMSO-d6) δ 9.25 (s, 1H), 7.68 - 7.52 (m, 2H), 7.21 (tt, J = 9.3, 2.4 Hz, 1H), 6.75 (s, 1H), 6.65 (s, 1H), 5.79 (s, 1H), 4.37 (s, 1H), 3.84 - 3.58 (m, 4H), 2.71 (q, J = 7.4 Hz, 1H), 2.20 (s, 3H), 1.07 (s, 6H) ppm.
1093	400.05	0.67	1H NMR (300 MHz, DMSO-d6) δ 9.75 (s, 1H), 7.71 - 7.55 (m, 2H), 7.26 (tt, J = 9.2, 2.4 Hz, 1H), 6.90 (s, 1H), 6.82 (s, 1H), 3.83 - 3.59 (m, 4H), 3.44 - 3.32 (m, 4H), 1.97 - 1.77 (m, 1H), 0.92 - 0.70 (m, 4H) ppm.
1094	444.2	3.72	1H NMR (300 MHz, CD3OD) δ 8.96 (s, 1H),

Cmpd No. in US	LCMS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			7.63 - 7.40 (m, 3H), 7.06 - 6.88 (m, 1H), 6.55 (d, J = 2.6 Hz, 1H), 3.77 - 3.50 (m, 9H), 2.27 (s, 4H), 2.15 (s, 4H) ppm.
1095	434.28	0.81	1H NMR (300 MHz, DMSO-d6) δ 9.67 (s, 1H), 9.11 (d, J = 1.9 Hz, 1H), 7.93 (dd, J = 12.1, 7.3 Hz, 1H), 7.76 - 7.60 (m, 2H), 7.57 - 7.44 (m, 1H), 7.33 (s, 1H), 6.68 (s, 1H) ppm.
1096	483.31	0.88	1H NMR (300 MHz, DMSO-d6) δ 9.59 (s, 1H), 9.09 (s, 1H), 7.97 (dd, J = 11.5, 7.1 Hz, 1H), 7.75 - 7.60 (m, 2H), 7.38 (s, 1H), 7.32 (s, 1H), 6.81 (t, J = 56.0 Hz, 1H), 6.67 (s, 1H), 2.07 - 1.93 (m, 1H), 0.86 - 0.60 (m, 6H) ppm.
1097	435.49	0.7	1H NMR (300 MHz, DMSO-d6) δ 9.28 (s, 1H), 9.14 (s, 1H), 7.66 - 7.51 (m, 2H), 7.22 (s, 1H), 6.71 (d, J = 12.2 Hz, 2H), 5.82 (s, 1H), 3.89 (t, J = 7.0 Hz, 2H), 3.58 (t, J = 6.4 Hz, 2H), 3.30 - 3.25 (m, 1H), 2.19 (s, 3H) ppm.
1098	435.49	0.7	1H NMR (300 MHz, DMSO-d6) δ 9.21 (s, 1H), 9.05 (s, 1H), 7.94 (dd, J = 12.0, 7.1 Hz, 1H), 7.75 - 7.56 (m, 2H), 6.71 (s, 2H), 5.81 (s, 1H), 3.89 (t, J = 7.0 Hz, 2H), 3.58 (t, J = 6.3 Hz, 2H), 3.28 - 3.22 (m, 0H), 2.20 (s, 3H) ppm.
1099	416.4	0.75	1H NMR (300 MHz, DMSO-d6) δ 9.52 (s, 1H), 9.09 (s, 1H), 7.95 (dd, J = 11.9, 7.0 Hz, 1H), 7.73 - 7.56 (m, 2H), 7.40 (s, 1H), 7.22 (s, 1H), 6.88 (t, J = 56.4 Hz, 1H), 6.62 (s, 1H) ppm.
1100	501.36	0.95	1H NMR (300 MHz, DMSO-d6) δ 9.74 (s, 1H), 9.12 (s, 1H), 7.95 (dd, J = 11.8, 7.0 Hz, 1H), 7.73 - 7.60 (m, 2H), 7.53 - 7.46 (m, 1H), 7.43 (s, 1H), 6.75 (s, 1H), 2.10 - 1.95 (m, 1H), 0.84 - 0.66 (m, 4H) ppm.
1101	416.31	0.85	1H NMR (300 MHz, DMSO-d6) δ 9.68 (s, 1H), 9.17 (s, 1H), 7.77 - 7.51 (m, 4H), 7.34 (s, 1H), 7.28 - 7.14 (m, 1H), 6.68 (s, 1H) ppm.
1102	398.44	0.73	1H NMR (300 MHz, DMSO-d6) δ 9.54 (s, 1H), 9.15 (s, 1H), 7.78 - 7.66 (m, 2H), 7.60 (td, J = 8.6, 3.9 Hz, 1H), 7.44 (s, 1H), 7.27 - 7.12 (m, 2H), 7.10 - 6.67 (m, 1H), 6.62 (s, 1H) ppm.
1103	483.36	0.94	1H NMR (300 MHz, DMSO-d6) δ 9.75 (s, 1H), 9.18 (s, 1H), 7.78 - 7.67 (m, 2H), 7.67 - 7.56 (m, 1H), 7.51 (d, J = 2.1 Hz, 1H), 7.46 (d, J = 2.0 Hz, 1H), 7.27 - 7.14 (m, 1H), 6.76 (s, 1H), 2.09 -

Cmpd No. in US	LCMS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			1.97 (m, 1H), 0.84 - 0.61 (m, 4H) ppm.
1104	465.44	0.88	1H NMR (300 MHz, DMSO-d6) δ 9.61 (s, 1H), 9.16 (s, 1H), 7.80 - 7.67 (m, 2H), 7.67 - 7.55 (m, 1H), 7.41 (s, 1H), 7.34 (s, 1H), 7.25 - 7.15 (m, 1H), 7.13 - 6.72 (m, 1H), 6.71 - 6.60 (m, 1H), 2.13 - 1.90 (m, 1H), 0.87 - 0.55 (m, 4H) ppm.
1105	400.09	0.7	1H NMR (300 MHz, DMSO-d6) δ 9.85 (s, 1H), 9.25 (s, 1H), 9.01 (s, 1H), 8.72 - 8.63 (m, 1H), 8.63 - 8.50 (m, 1H), 7.54 (s, 1H), 7.38 (s, 1H), 6.71 (s, 1H) ppm.
1106	382.09	0.63	1H NMR (300 MHz, DMSO-d6) δ 9.71 (s, 1H), 9.23 (s, 1H), 9.12 - 9.00 (m, 1H), 8.68 (d, J = 2.4 Hz, 1H), 8.63 - 8.54 (m, 1H), 7.41 (s, 1H), 7.30 (s, 1H), 7.13 - 6.71 (m, 1H), 6.65 (s, 1H) ppm.
1107	449.14	0.76	1H NMR (300 MHz, DMSO-d6) δ 9.78 (s, 1H), 9.25 (s, 1H), 9.10 (s, 1H), 8.69 (d, J = 2.5 Hz, 1H), 8.60 (s, 1H), 7.40 (s, 2H), 7.11 - 6.77 (m, 1H), 6.71 (s, 1H), 2.11 - 1.93 (m, 0H), 0.80 - 0.70 (m, 4H) ppm.
1108	396.13	0.64	
1109	409.99	1.02	1H NMR (300 MHz, CDCl3) δ 8.34 (s, 1H), 7.51 - 7.22 (m, 9H), 6.80 (t, J = 8.6 Hz, 1H), 6.27 (s, 1H), 4.41 (s, 2H), 2.32 (s, 3H) ppm.
1110	428.49	0.7	1H NMR (300 MHz, CDCl3) δ 8.31 (s, 1H), 7.25 (dd, J = 7.8, 2.0 Hz, 2H), 7.12 (s, 1H), 6.87 - 6.73 (m, 2H), 6.66 (s, 1H), 6.43 (s, 1H), 4.80 - 4.61 (m, 4H), 3.40 - 3.21 (m, 4H), 2.64 - 2.48 (m, 4H), 2.35 (s, 3H) ppm.
1111	357.29	0.63	1H NMR (300 MHz, MeOD) δ 8.78 (s, 1H), 7.83 - 7.74 (m, 2H), 7.52 (t, J = 7.9 Hz, 2H), 7.36 (t, J = 7.4 Hz, 1H), 7.19 (s, 1H), 6.91 (s, 1H), 6.40 (s, 1H), 2.49 (s, 3H), 2.29 (s, 3H) ppm.
1112	501.1	0.88	1H NMR (300 MHz, $CDCl_3$) δ 8.39 (s, 1H), 7.70 (d, J = 7.5 Hz, 1H), 7.39 - 7.27 (m, 1H), 7.23 (s, 1H), 6.88 - 6.69 (m, 1H), 6.48 - 6.23 (m, 1H), 4.12 - 3.90 (m, 2H), 3.71 (d, J = 26.9 Hz, 4H), 3.54 - 3.26 (m, 3H), 2.83 (dd, J = 22.2, 9.2 Hz, 9H), 2.32 (d, J = 6.4 Hz, 3H), 2.03 - 1.80 (m, 2H) ppm.
1113	500.2	0.64	1H NMR (300 MHz, $CDCl_3$) δ 8.36 (s, 1H), 7.71 (s, 1H), 7.40 - 7.27 (m, 1H), 7.20 (d, J = 5.1 Hz, 1H), 6.75 (s, 1H), 6.32 (d, J = 7.3 Hz, 1H), 3.98

Cmpd No. in US	LCMS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			(s, 2H), 3.80 - 3.26 (m, 9H), 3.12 (d, J = 12.1 Hz, 4H), 2.31 (d, J = 17.6 Hz, 6H), 1.41 (d, J = 6.3 Hz, 3H) ppm.
1114	461.15	0.72	1H NMR (300 MHz, $CDCl_3$) δ 8.35 (s, 1H), 7.38 (s, 2H), 7.20 (d, J = 6.0 Hz, 1H), 6.05 (d, J = 8.0 Hz, 1H), 4.03 - 3.80 (m, 2H), 3.80 - 3.40 (m, 5H), 3.38 - 3.26 (m, 2H), 2.82 (s, 1H), 2.51 (s, 1H), 2.37 - 2.10 (m, 3H), 1.03 - 0.69 (m, 3H) ppm.
1115	487.11	0.7	1H NMR (300 MHz, $CDCl_3$) δ 8.35 (s, 1H), 7.35 (d, J = 7.8 Hz, 1H), 7.23 - 7.14 (m, 2H), 6.72 (tt, J = 8.7, 2.3 Hz, 1H), 6.08 (d, J = 7.5 Hz, 1H), 3.98 - 3.76 (m, 2H), 3.69 (q, J = 7.7 Hz, 2H), 3.41 (dd, J = 8.8, 6.2 Hz, 2H), 3.06 (td, J = 12.8, 6.6 Hz, 3H), 2.61 (dq, J = 15.7, 8.7, 7.9 Hz, 2H), 2.35 - 2.05 (m, 6H), 1.90 (s, 2H), 1.63 (dq, J = 14.7, 7.5 Hz, 1H) ppm.
1116	487.16	0.72	1H NMR (300 MHz, $CDCl_3$) δ 8.33 (d, J = 7.2 Hz, 1H), 7.35 (s, 1H), 7.26 (d, J = 7.6 Hz, 1H), 7.19 (d, J = 5.8 Hz, 1H), 6.73 (s, 1H), 6.09 (s, 1H), 4.19 (s, 1H), 3.81 (s, 3H), 3.47 (s, 2H), 3.31 (s, 2H), 2.24 (t, J = 4.4 Hz, 6H), 1.46 (s, 1H) ppm.
1117	458.18	0.68	1H NMR (300 MHz, $CDCl_3$) δ 7.40 (d, J = 6.9 Hz, 1H), 7.24 (s, 1H), 7.20 (dd, J = 7.2, 2.3 Hz, 1H), 5.86 (d, J = 8.0 Hz, 1H), 4.01 (s, 2H), 3.69 (s, 2H), 3.60 - 3.16 (m, 5H), 2.75 (d, J = 3.8 Hz, 4H) ppm.
1118	459.12	0.71	1H NMR (300 MHz, $CDCl_3$) δ 8.36 (s, 1H), 7.31 (d, J = 6.9 Hz, 1H), 7.22 - 7.11 (m, 2H), 6.72 (tt, J = 8.8, 2.4 Hz, 1H), 4.10 - 3.78 (m, 3H), 3.54 (dd, J = 24.9, 12.1 Hz, 2H), 3.30 (dq, J = 5.9, 4.2 Hz, 2H), 3.19 (dd, J = 13.4, 5.2 Hz, 2H), 3.05 - 2.76 (m, 3H), 2.38 (dq, J = 7.3, 3.9 Hz, 1H), 1.19 (dq, J = 5.7, 3.8, 3.0 Hz, 2H), 0.89 - 0.66 (m, 2H) ppm.
1119	447.07	0.71	1H NMR (300 MHz, $CDCl_3$) δ 8.35 (s, 1H), 7.37 (s, 2H), 6.71 (dd, J = 12.3, 5.4 Hz, 1H), 4.11 - 3.79 (m, 4H), 3.62 - 3.24 (m, 4H), 2.24 (t, J = 8.1 Hz, 3H), 1.15 (t, J = 5.7 Hz, 3H) ppm.
1120	462.09	1.03	1H NMR (300 MHz, $CDCl_3$) δ 7.38 (s, 1H), 7.12 (s, 2H), 6.57 (s, 1H), 4.14 (s, 1H), 3.62 (d, J =

Cmpd No. in US	LCMS (M+H)	LC/MS Ret. Time (min)	^{1}H-NMR
			29.6 Hz, 2H), 3.44 - 3.15 (m, 3H), 2.90 (s, 1H), 2.58 (s, 1H), 2.21 - 1.85 (m, 3H), 0.93 (dd, J = 30.1, 9.2 Hz, 8H) ppm.
1121	459.12	0.71	1H NMR (300 MHz, $CDCl_3$) δ 8.35 (s, 1H), 7.39 (s, 2H), 7.24 (s, 2H), 7.20 (d, J = 6.3 Hz, 2H), 6.29 - 5.59 (m, 1H), 3.80 (p, J = 6.2, 5.3 Hz, 4H), 3.49 - 3.25 (m, 7H), 1.35 (t, J = 9.1 Hz, 2H), 0.83 (t, J = 6.4 Hz, 2H) ppm.
1122	430.1	0.95	1H NMR (300 MHz, $CDCl_3$) δ 8.33 (s, 1H), 7.38 (d, J = 7.0 Hz, 1H), 7.23 - 7.14 (m, 2H), 6.78 - 6.62 (m, 1H), 3.98 (td, J = 7.6, 2.3 Hz, 2H), 3.88 - 3.75 (m, 2H), 3.71 (td, J = 8.6, 8.0, 5.9 Hz, 1H), 3.56 (dd, J = 13.6, 6.7 Hz, 2H), 3.39 (dd, J = 8.6, 5.7 Hz, 1H), 2.71 - 2.40 (m, 2H), 2.24 (d, J = 2.9 Hz, 3H), 1.51 (dt, J = 13.6, 7.0 Hz, 1H) ppm.
1123	475.1	0.71	1H NMR (300 MHz, $CDCl_3$) δ 8.36 (s, 1H), 7.68 (d, J = 7.9 Hz, 1H), 7.24 (s, 1H), 7.19 (d, J = 2.5 Hz, 1H), 6.86 - 6.60 (m, 1H), 6.32 (d, J = 7.9 Hz, 1H), 3.28 (tt, J = 5.9, 3.3 Hz, 7H), 2.90 (s, 1H), 1.38 (dd, J = 33.4, 7.4 Hz, 8H) ppm.

ASSAYS FOR DETECTING AND MEASURING REMYELINATION PROPERTIES OF COMPOUNDS

***In vivo* Mouse Cuprizone Assay**:

[00570] Cuprizone Feeding Protocol:

[00571] 2 month old female C57BL/6 mice (Stock Number: 000664) were purchased from Jackson Labs and fed for 4-10 months with a 0.2% cuprizone chow (provided by Research Diets, Product # D10020701R, Description AIN-76A Rodent Diet with 0.2% cuprizone) using cuprizone purchased from Sigma (Cat# 14690-100G). Chow was provided *ad libitum*, and refreshed every 4 days to ensure stability of the cuprizone. Mice were maintained on this diet for 4 -10 months before initiation of the experiments.

[00572] Dosing and PK:

[00573] 1) 24 hours prior to the start of dosing, 6 to 10 mice were switched from cuprizone chow to normal chow (Picolab rodent diet 20 EXT IRR 5053, irradiated) without added cuprizone. These mice were maintained on normal chow throughout the course of dosing.

[00574] 2) 6 to 10 mice per group were randomized into new cages such that mice that were housed in one cage during the cuprizone diet were not housed together in one dose group during the study.

[00575] 3) Mice were dosed with compound in one of two regimens: **Regimen A**: mice were dosed QD or BID for 14 days via oral gavage. **Regimen B**: mice were dosed QD or BID for 14 days via IP injection. However, other dosing schedules and/or routes of administration may also be used.

[00576] 4) On the last day of dosing, dried blood spots were collected at multiple time points following the last dose. Often this was 30 minutes, 2, 6 and 24 hours following the final dose on day 14 via the tail vein.

[00577] Perfusion and sectioning:

[00578] 1) The day after the last day of dosing, mice were transcardially perfused with 12 ml of PBS (Sigma, P4417) followed by 20 ml of 3.2% paraformaldehyde in PBS (Electron Microscopy Sciences # 15714-S). The brains were removed via standard dissection techniques and each was postfixed in 3.2% paraformaldehyde (20 ml) for 24-48 hours at room temperature in sealed scintillation vials.

[00579] 2) Serial 50 micron sections were collected through the anterior posterior extent of the brain, from just behind the olfactory bulb, through visual cortex using a vibrating microtome, the V-STAR (described in International Publication No. WO 2013/012799 and US Patent number US 8,967,024 both of which are incorporated herein by reference in their entirety). Sections were collected in phosphate buffered saline (PBS). Vibratome speed was set to 1.1 mm/s and amplitude was set to 0.8 mm.

[00580] 3) A series of every 24th section was removed for staining yielding 5 sections per brain.

[00581] Staining:

[00582] 1) Tissue sections were stained using an automated system for processing blots (hereinafter the "blotinator") (described in WO2011/087646 and US Patent numbers US 8,337,754 and US 8,679,406 each of which is incorporated herein by reference in its entirety).

Brain sections were placed in the blotinator plate and bathed in PBS. The blotinator applied primary antibodies (MOG and MBP together) and Hoechst nuclear stain for 12 hours at room temperature with constant shaking.

[00583] The following stains were diluted in blocking buffer (described below):

[00584] Hoechst nuclear stain (0.5 mg/ml)(bisBenzimide H 33342 trihydrochloride Sigma # 33342).

[00585] Myelin basic Protein (MBP) antibody (Abcam Cat # ab7349) was diluted at a 1:750 ratio in blocking buffer).

[00586] Myelin Oligodendrocyte Glycoprotein (MOG) (R&D systems Cat # AF2439) was diluted at a 1:250 ratio in blocking buffer.

[00587] Antibodies were diluted in blocking buffer, which consisted of 0.3% Triton X-100 (Sigma Cat # 234729), 0.02% Sodium Azide (Sigma Cat# S2002) and 8% fetal bovine serum in PBS (Sigma Cat# F2442).

[00588] 2) The blotinator washed the samples 4 times for 5 minutes each with a wash buffer (0.2% Triton X-100 in PBS).

[00589] 3) The blotinator applied secondary antibodies diluted in blocking buffer and incubated them for 2 hours with constant shaking.

[00590] Alexa 488 donkey anti-rat secondary (Life technologies Cat# A-21208) was diluted at 1:1000 in blocking buffer.

[00591] Alexa 568 donkey anti-goat secondary (Life technologies Cat# A-11057) was diluted at 1:1000 in blocking buffer.

[00592] Antibodies were also diluted in blocking buffer described above.

[00593] 4) The samples were then washed 4 times for 5 minutes each with wash buffer (0.2% Triton X-100 in PBS).

[00594] 5) All 5 sections were mounted on a slide (Fisherbrand Superfrost Plus microscope slide Cat# 12-550-15) and coverslip with 50 microliters Fluormount (Sigma cat# F4680-25ml) in preparation for scanning.

[00595] Scanning:

[00596] Images were scanned using an Olympus CS120 flourescent scanning microscope. Entire sections were scanned at 10x magnification, with 500 millisecond exposures for the 488

and 568 nanometer fluorescent channels. The Hoechst signal was detected using a 100 millisecond exposure.

[00597] *In vivo* Myelin Detection Software:

[00598] A custom algorithm was developed in house and was used to quantify the amount of new myelin in mice that had been demyelinated with cuprizone and subsequently treated with compounds. Conceptually, the software subtracted a mature myelin marker from a pan-myelin (young and old myelin) marker, and measured the area of the remaining "new" myelin. Myelin oligodendrocyte glycoprotein ("MOG") is specific to old myelin, while myelin basic protein ("MBP") is a pan myelin marker, expressed in more immature myelin as well as more mature myelin. This process accounted for the variability inherent in the demyelination process, in which some animals experience more demyelination than others. It more accurately measured myelin generated in response to compound treatment.

[00599] The algorithm was written using Definiens Tissue Studio and Definiens Developer XD. There are several steps to the algorithm, each of which are discussed below.

[00600] Three channels of information for each sample was loaded. The intensity levels of the three images were summed, and the resulting image was used to determine the "tissue" area. Subsequent analyses was done exclusively on the tissue area.

[00601] The MOG channel was loaded and the Definiens "Auto Threshold" function was used to distinguish MOG positive regions from background. Regions of putative white matter with areas < 50 pixels were returned to the tissue class. Thus, remaining white matter tracts that resisted demyelination from cuprizone were excluded from subsequent analyses.

[00602] The ratio of MBP signal to MOG signal was calculated. This consisted of the mean MBP intensity value divided by the mean MOG intensity value over the entirety of the image. This MBP:MOG ratio was used to normalize the intensity between the MBP and MOG channels. The normalized MOG signal multiplied by 0.5 was subtracted from the MBP signal, creating a new image, "MBP-MOG". The "Auto Threshold" function was used on the MBP-MOG image, and the 'new' myelin consisting of pixels with intensities above the threshold was delineated. Regions of putative new myelin with areas <2 pixels were returned to the tissue class, and the number of pixels positive for "new" myelin was measured.

[00603] The algorithm returned the area of the tissue and the MBP positive, MOG negative 'new' myelin. Each section was normalized by area relative to its comparable tissue (e.g., the

first). Most anterior sections were normalized relative to other first anterior sections. The 5 normalized MBP+/MOG- areas were summed, yielding a total positive area per sample. This yielded a representation of myelin synthesis over the whole extent of the brain, excluding the olfactory bulb and the cerebellum.

[00604] Compounds 33, 48, 107, 195, 215, 247, 331,406, 485, 512, 524 and 691 were tested in the *in vivo* Mouse Cuprizone assay described above using Regimen A at various doses (milligrams per kilogram or "mpk") and all had a positive effect (measured as new myelin generated in response to compound treatment compared to control with no added compound). Compound 33 showed a positive effect at 10, 25 and 50 mpk. Compound 48 showed a positive effect at 30, 50 and 100 mpk. Compound 195 showed a positive effect at 60 and 100 mpk. Compound 215 showed a positive effect at 30 and 90 mpk. Compound 406 showed a positive effect at 25 and 50 mpk. Compound 331 showed a positive effect at 20, 30 and 40 mpk. Compound 485 showed a positive effect at 20 and 30 mpk. Compound 512 showed a positive effect at 50 mpk. Compound 524 showed a positive effect at 10, 20 and 30 mpk. Compound 691 showed a positive effect at 8, 10 and 20 mpk. Compound 107 showed a positive effect at 30 and 90 mpk. Compound 247 showed a positive effect at 10, 25 and 50 mpk.

***In vitro* Myelination Assay**

[00605] Compounds were screened for their ability to induce myelination using a primary rat mixed cortical cell culture assay, which contains neurons, oligodendrocyte precursor cells, oligodendrocytes, astrocytes and microglia. The assay quantifies myelination by measuring myelin basic protein (MBP) immunofluorescent positive myelin strands from images taken using a Cellomics Array Scan (model Arrayscan VTI HCS Reader) or a Molecular Devices Image Xpress (model IXM XL) high content imager. The myelin strands were quantitated using a custom created myelin detection software program. Test compounds were dissolved in DMSO to make a 10 mM initial stock solution. Dilutions were made in myelination medium to obtain the final solutions for the assay and were tested in primary rat mixed cortical cells at selected doses.

[00606] Primary rat mixed cortical cells were prepared from harvested cerebral cortices from postnatal day 1 (P1) rats (P1 Rat CD® IGS pups) were purchased from Charles River) in Complete Dissociation Medium, wherein the meninges were removed and the cortical tissue chopped with a razor blade into ~1 mm^3 pieces. Tissue from 1-3 pups was collected and placed

into 15 ml conical tubes in a total volume of 5 ml of Complete Dissociation Medium. Activated papain (3 ml) was added to each 15 ml conical tube and tissue was incubated at 37°C for 30 minutes. After the 30 minute incubation, DNase (Sigma D4527; 75 µl of a 1 mg/ml stock) was added to each tube, followed by mechanical trituration using a 2 ml serological pipette and autopipettor to gently dissociate the tissue. Following trituration, larger tissue pieces were allowed to settle by gravity, and the supernatant containing dissociated cells was transferred to a 50 ml conical tube with 4 ml of trypsin inhibitor. Cells were pelleted by centrifugation, resuspended in myelination medium and filtered through a 40 µm filter. Cells were then seeded in 96-well plates (BD Biosciences, Black, PDL-coated, Cat. No. 356640) at 87,500-95,000 cells/well in a final volume of 200µl of Myelination Medium in the presence or absence of compound and cultured for 14 days in a humidified 37°C incubator with 5% CO_2. Half the medium was removed and replaced with fresh medium containing 1X compound on days 6 and 10. Using a Biotek automatic plate washer (model Biomek® FXP Laboratory Automation Workstation), cells were fixed with 4% paraformaldehyde on day 14, washed with PBS and blocked in 5% normal goat serum (Vector Laboratories, S-1000) in 0.1% PBS-TritonX-100 (PBST) for 1 hour. Cells were stained with 1:500 anti-MBP (Covance, cat #SMI99) primary antibody in 1% normal goat serum in 0.1% PBST for 2 hours at room temperature followed by 2 washes with PBST. A final incubation in secondary antibody (1:1000, Invitrogen, Alexa-488 anti-mouse IgG2b) and 1:10,000 Hoechst dye in 1% normal goat serum in 0.1% PBST was performed for 2 hours at room temperature. Plates were washed with PBS and then scanned on a Cellomics Array Scan using a 10X objective (25 images per well) or the Image Xpress using a 10X objective (9 image per well). Images were analyzed using Vertex myelin detection software (described below) to quantify total MBP myelin pixels per well. Fold myelin pixels above background at two concentrations (1.0 µM and 10.0 µM) relative to baseline of no added compound are reported below in Table 4. Standard deviation for each compound concentration was calculated using all replicates and using a standard deviation formula commonly used in the art.

[00607] One of skill in the art would recognize that for this type of primary neuronal mixed cell assay variability between different assay runs is to be expected even though the protocol is the same for each assay run. For instance, variability may be due to small differences in cell viability, cell density, age of the animals, etc.

[00608] Vertex Myelin Detection Software:

[00609] The Vertex myelin detection software was used to quantify the amount of myelin wrapping axons in a digital image that was obtained from our in-house microscope. Conceptually, the software identified and traced MBP positive ridge like structures in the image that were indicative of myelinating axons. A confounding factor in the analysis was the large debris fields typically occurring in the images. These fields resulted from the assay conditions required to achieve myelination. Special care was taken to ensure that noise in the image induced by the debris field was appropriately suppressed so that the signal that arose from the myelination could be recovered. The software was written in the Jython programming language and made significant utilization of the Fiji image analysis toolkits (see, Schindelin, J.; Arganda-Carreras, I. & Frise, E. et al., "Fiji: an open-source platform for biological-image analysis", *Nature Methods* **9(7)**: 676-682, 2012). There were several steps to the algorithm, each of which are discussed below.

[00610] Initially the image was loaded and converted to a 256-bit grey scale representation. Image contrast was enhanced by performing standard histogram stretching. The saturation parameter for the enhancement was set at 0.35, meaning the upper and lower 3.5% of the distribution of the gray scale values present in the image were removed prior to enhancement. The Frangi[2] vesselness measure, which computes the likelihood of a pixel belonging to a ridge-like structure, was applied to the resulting image (see, Frangi AF, Niessen WJ, Vincken KL, Viergever MA "Multiscale vessel enhancement filtering", *Proceedings of Medical Image Computer-Assisted Intervention (MICCAI)*, Lecture notes in computer science 1496: 130–137, 1998). The Frangi process created a "vessel likeness image" which was then converted to a binary image mask. The threshold of the Frangi measure for conversion to the mask was adjusted so that appropriate regions of the input image were selected. Once the mask was created, morphological closing was applied to remove small holes. Small structures were removed from the mask by deleting regions containing less than 40 connected pixels. The resulting mask overlaps regions of the original image that had a high likelihood of containing myelin strands.

[00611] Morphological skeletonization was applied to the binary mask and the resulting image was then converted to a graph data structure. Each node of the graph represented a pixel of the image. Owing to the skeletonizaiton process, each node was connected to at most 4 neighboring

pixels. Nodes connected to one other node indicated the end of a myelin strand ("end nodes"); nodes connected to exactly two other nodes indicated a pixel contained in a myelin strand ("myelin node"); while nodes connected to 3 or 4 other nodes indicated regions where myelin strands intersect ("join nodes"). Neighboring 'myelin nodes' that were adjacent to the same "join node" were merged into longer strands of myelin. This process was done in a greedy fashion. The longest strand of myelin originating from an "end node" in the graph were identified. If this strand terminated in an end node the strand was extracted from the graph. If the strand terminated at a "join node" then it was joined with one of the other myelin strands adjacent to the same join node. The largest angle between the growing strand and all other strands adjacent to the join node was determined. If this angle was greater or equal to 140 degrees, then the growing strand and the strand that made this large angle were merged into one strand. The two strands that were merged were removed from being adjacent to the "join node". If the angle was less than 140 degrees, the growing strand was extracted from the graph and removed as being adjacent to the join node. The entire process was repeated until all strands were removed from the graph. Various geometric properties of the strand such as length and maximum curvature were computed from the number of pixels in the strand and the connectivity of the graph.

[00612] Before a putative myelin strand was quantified as myelin it was subjected to several quality control measures. The strand needed to be of a sufficient length (at least greater than 40 pixels). To ensure the strand was not overly curved, the ratio of the geometric distance between strand endpoints to the length of the strand needed to be greater than or equal to 0.8. Finally, to ensure the strand was not overly thick, the gray scale gradient at each point on the strand in the directions orthogonal to the strand direction needed to decay sufficiently rapidly. Specifically, the gray scale needed to decrease by 25% from the gray scale value of pixel intersected by the orthogonal line and the putative myelin strand. This decrease needed to occur within 5 pixels. If a strand passes all quality checks it was quantified as myelin.

[00613] Reagent and media preparation and animal source for the *in vitro* Myelination Assay

[00614] 10x Dissociation Media (DM): 10x DM was prepared on a 1 liter scale by combining 900 mM Na2SO4, 300 mM K2SO4, 58 mM MgCl2, 2.5 mM CaCl2, 10 mM HEPES and 20 mL of a phenol red solution (0.5%). The pH was adjusted with .1N NaOH by eye until orange-red.

The solution was then sterilized by filteration through a 0.2uM filter (prewashed with 100 ml of deionized sterile water which was discarded prior to filtration of the DM media solution.

[00615] 10x KyMg Stock: KyMg stock was prepared on a 200 mL scale as follows. To a 250 mL flask was added 190 mL of water, 1 mL of phenol red (Sigma P0290), stock, 1.75 mL of 1N NaOH, 378 mg of kynurenic acid, and 2 mL 500 mM HEPES. The mixture was then sonicated to dissolve the kynurenate and then MgCl2 (4.1 ml of a 4.9 M solution) was added. The pH was adjusted to 7.4 by adding up to 1 ml of 0.1N NaOH and the mixture sterilized by filtration through a prewashed nylon filter (0.2 μm pore).

[00616] Complete dissociation medium (DM): 5 mL Ky Mg to 45 mL 1X DM media

[00617] Papain Enzyme Solution (Worthington Biochemical, LK003178): A 10 units/mL stock solution was made fresh the day of dissection by adding 1mL of 10mM NaOH and Complete Dissociation Medium to one vial of papain (~100 units) to give a 10 units/mL final concentration. The papain was activated at 37 °C for 10-15 minutes prior to use.

[00618] Trypsin Inhibitor Solution : 9.6mL of Complete Dissociation Medium was added to 100 mg of trypsin inhibitor (type II-O; Sigma T-9253) and the mixture was sonicated. The pH was adjustedto ~5.75 using 1N NaOH and pH strips. Aliquots (4 mL) were measured out and and stored at -20 °C.

[00619] DNase I Solution 1mg/mL): Added 25 mL DMEM/F12 medium (Corning, 10-092-CM) to 20 KU DNAse I (Sigma D4527) and aliquoted into one time use aliquots stored at -20° C.

Myelination Medium:

DMEM (Invitrogen, Cat #11960-051)

1:50 B27 (Invitrogen, Cat #17504-044)

1% FBS (HyClone)

2 mM Glutamax (1:100) (Cat # Gibco 25030081)

Pen Strep (1:100) 10,000 units/mL (Cat # Gibco 15140122)

PDGF/FGF 0.3 ng/mL each (3 uL per 100 mL; PeproTech, cat #100-13A and cat #100-18B

Myelination medium was made fresh the day of use from a stock bottle of DMEM containing pencillin/streptomycin and Glutamax, which was stored at 4° C for up to one month. On the day of use, 1:50 B27, 1% FBS and 0.3ng/mL of PDGF and FGF were added to the DMEM containing pencillin/streptomycin and Glutamax.

[00620] Other *in vitro* and *in vivo* assays and models known in the art may also be used to show induction of remyelination in response to treatment with compounds such as those of the present invention (see, Nalm, F. J. et al., *Nature* (Letter), published online 20 April 2015, doi:10.1038/nature14335 and Macklin, W.B. et al., *Developmental Cell*, 32, pp 447-458 (2015))

[00621] **Table 4.** Remyelination in vitro data. The activities of the compounds below were determined by testing groups of compounds in different test batches. Compounds with no asterisk were part of one or more *in vitro* assay testing batches. Compound numbers indicated with an asterisk were all part of the same *in vitro* assay test batch. Compound numbers with a double asterisk were part of a different *in vitro* assay test batch. Compound numbers with a # symbol were part of a different *in vitro* assay batch. Compounds in Table 4 below have between less than 1 fold to greater than 10,000 fold myelin pixels above background at two concentrations (1.0 μM and 10.0 μM) relative to baseline of no added compound.

Cmpd No.	10.0 μM	1.0 μM
1	+++	++++
2	+++	+++
3	++++	+++
4	-	+
5	-	++++
6	++	+++
7	++++	++++
8	+	+++
9	+++	++++
10	-	+
11	++++	++++
12	+	+++
13	-	+++
14	-	++++
15	++	+++
16	++	+++
17	-	+++
18	+	++++
19	+	++
20	+++	++
21	-	++
22	+++	+++
23	++	++
24	+++	++
25	++++	++++

Cmpd No.	10.0 μM	1.0 μM
26	-	+++
27	+++	++++
28	-	-
29	-	-
30	+++	-
31	+++	+++
32	+++	++++
33	-	+++
34	-	+++
35	++	+++
36	-	++++
37	-	+++
38	++	++
39	-	++++
40	++	++++
41	-	++
42	+++	++
43	+++	+++
44	++++	++++
45	+++	++++
46	+	++++
47	-	+++
48	+++	+++
49	++	-
50	++++	-
51	+++	+++
52	-	++++
53	-	++
54	+++	++
55	-	+++
56	+++	+++
57	-	+++
58	+++	+++
59	+++	+++
60	-	++++
61	-	++++
62	-	++++
63	+	++
64	-	++
65	+	++++
66	++	++
67	++	+

Cmpd No.	10.0 µM	1.0 µM
68	++++	+++
69	++	++++
70	++	-
71	-	++++
72	+	++
73	-	+++
74	+++	+++
75	+++	-
76	+++	+++
77	-	+++
78	-	+++
79	-	++
80	++++	++
81	-	+
82	+++	++++
83	++	-
84	++	++++
85	+++	+++
86	+++	+++
87	+++	+++
88	++++	++++
89	+++	++++
90	+	+++
91	+++	-
92	+++	++++
93	+++	++
94	+	+++
95	-	++
96	+++	+++
97	+++	+++
98	-	++
99	-	-
100	+++	+++
101	+	++++
102	+	+++
103	-	+++
104	+++	++
105	+	+++
106	+	-
107	++++	++++
108	-	++
109	-	++

Cmpd No.	10.0 μM	1.0 μM
110	+	+++
111	+++	++
112	+++	++++
113	-	++++
114	++	++
115	+++	+++
116	-	-
117	-	++++
118	++	+++
119	++	+++
120	-	++++
121	-	+++
122	++++	++
123	-	+
124	-	++++
125	+	++
127	+	++++
128	++	++
129	-	-
130	+++	++++
131	++++	++
132	+	+
133	-	++++
134	++	+++
135	-	++++
136	++	+
137	+++	++++
138	+++	++
139	+	+++
140	+	+
141	-	+++
142	+++	++++
143	++++	++++
144	-	+++
145	++	++
146	+++	++++
147	++	++++
148	+++	+++
149	++	++++
150	-	++++
151	-	++
152	-	-

Cmpd No.	10.0 μM	1.0 μM
153	++	+++
154	+++	+++
155	+++	+++
156	-	++++
157	++++	++++
158	+++	++
159	-	++++
160	-	++++
161	++	++
162	-	-
163	-	+++
164	+	+
165	++	+++
166	++	+++
167	+++	-
168	++++	++++
169	+	++
170	+++	++
171	+++	++
172	+	++++
173	++	+++
174	++	+
175	-	++++
176	+++	+++
177	-	-
178	+	++++
179	-	+++
180	-	+++
181	+++	-
182	+	++++
183	++	+++
184	+	++
185	+	+++
186	++	++
187	-	+++
188	-	+++
189	-	+++
190	-	+
191	+	-
192	-	+++
193	+++	+++
194	-	++++

Cmpd No.	10.0 µM	1.0 µM
195	+++	+++
196	-	-
197	+++	+++
198	++	+++
199	+++	+++
200	+++	+++
201	-	++++
202	++	+++
203	-	+++
204	-	-
205	-	+++
206	+++	+++
207	-	++
208	-	+++
209	+++	+++
210	+++	-
211	+++	++
212	-	-
213	-	+++
214	++++	++
215	++	++
216	++	+
217	++	++
218	-	+++
219	+	+++
220	-	++
221	+++	+
222	+	+++
223	++	++
224	++	+++
225	+++	+++
226	-	+++
227	++	+++
228	+++	++
229	+++	+++
230	-	++++
231	+++	+++
232	++	++
233	-	-
234	+++	+
235	++	++
236	-	-

Cmpd No.	10.0 µM	1.0 µM
237	+++	++++
238	+++	++++
239	-	++++
240	+	+++
241	-	-
242	-	++
243	-	+++
244	++	+
245	++	+
246	+++	++
247	-	+++
248	+	+++
249	-	++
250	++	+++
251	+	+++
252	++	++++
253	++	++++
254	+++	-
255	+++	+++
257	-	+++
258	+	+++
259	-	++++
260	-	++++
261	++	++
262	+++	++
263	+++	++
264	++	++
265	+++	+++
266	+++	++
267	-	++
268	++++	+++
269	++	+
270	+++	+++
271	-	-
272	-	++
273	-	++++
274	+	++++
275	+++	++
276	+	-
277	+++	++
278	+	+++
279	+++	+++

Cmpd No.	10.0 µM	1.0 µM
280	++	++++
281	-	+
282	++	++
283	-	-
284	+	+
285	++	+
286	+++	+++
287	+++	+++
288	++++	++++
289	+	++++
290	++++	++++
291	+	-
292	++	+++
293	++	++
294	++++	++++
295	+	++
296	++	+++
297	++	++
298	+++	++++
299	++	+++
300	-	+++
301	+++	++++
302	++++	++++
303	+	+
304	-	+++
305	-	+++
306	-	-
307	++	+
308	-	++++
309	-	++++
310	+++	++++
311	-	-
312	+	+
313	+++	+
314	++	++
315	+++	+++
316	-	+++
317	++	+++
318	-	++
319	-	+
320	+++	++
321	-	+

Cmpd No.	10.0 μM	1.0 μM
322	+	+
323	+++	++++
324	++	+
325	++	++
326	-	++++
327	+++	+++
328	+++	+++
329	++	+
330	-	++++
331	++	+
332	++	+++
333	-	++++
334	++++	+++
335	++	++++
336	-	+
337	-	+++
338	++	+
339	++	+++
340	-	+++
341	+	-
342	++	++++
343	+++	-
344	++	+++
345	+	++
346	++++	++++
347	-	-
348	+++	++++
349	+++	++
350	-	-
351	-	++
352	+++	-
353	+++	++++
354	+++	+
355	+++	++
356	++	-
357	+	+
358	ND	ND
359	-	++++
360	++	++
361	-	+++
362	-	+++
363	+++	++++

Cmpd No.	10.0 µM	1.0 µM
364	++	+
365	-	++
366	++++	++++
367	+++	+++
368	+	++
369	-	++++
370	+++	++++
371	+++	+
372	++	+
373	+++	+++
374	+++	+++
375	++	++++
376	+	+++
377	++	+++
378	++	+
379	+++	++
380	++	+++
381	+++	+
382	+	++++
383#	+	++
384	+++	+++
385	+++	+++
386	++++	+++
387	+++	++
388	-	++
389	-	++++
390	++	++
391	+++	++++
392	++	+++
393	-	+++
394	-	+
395	-	++
396	++	++
397	+++	++++
398	+++	++++
399	+	++
400	-	++++
401	+++	+++
402	++	+++
403	++	++++
404	+++	+++
405	++++	+++

Cmpd No.	10.0 µM	1.0 µM
406	-	++++
407	-	++++
408	++	+++
409	+++	++++
410	-	++
411	-	+++
412	-	++++
413	+++	-
414	+++	+
415	-	+++
416	++	+++
417	++++	+++
418	++	-
419	-	++
420	+	+
421	+++	+++
422	+++	-
423	-	+++
424	-	+++
425	+++	+
426	++++	++++
427	+++	+++
428	++	+
429	-	++++
430	-	+++
431	-	+
432	+	+++
433	+++	+++
434	++++	++++
435	+++	+++
436	+++	++++
437	++	++
438	-	++
439	+	+
440	++	++
441	-	+
442	++	++
443	-	++++
444	+	-
445	++	++
446	++++	+
447	++	++

Cmpd No.	10.0 µM	1.0 µM
448	+	+++
449	-	+++
450	-	-
451	+++	+
452	-	++
453	++++	++
454	+	-
455	++	-
456	+++	++++
457	++++	++++
458	+++	++++
459	-	++
460	++	+++
461	-	++++
462	-	+++
463	-	+
464	+++	++
465	-	+++
466	-	+++
467	++	+++
468	+	+++
469	++	+++
470	+++	++
471	-	+
472	-	+++
473	+	+++
475	++++	+++
476	++	++
477	-	++
478	+	+
479	-	+++
480	-	++
481	+++	+++
482	+++	++
483	-	-
484	-	-
485	+	++
486	-	+++
487	+	+++
488	-	-
489	++	++
490	++	++

Cmpd No.	10.0 µM	1.0 µM
491	-	+++
492	++++	++++
493	-	ND
494	++	+++
495	-	+
496	+	+++
497	-	-
498	+++	+++
499	++	+++
500	+	+++
501	-	+
502	-	+
503	-	+
504	++	++
505	-	++
506	+	+++
507	+++	++
508	++	+++
509	+++	+++
510	++	+
511	+++	+++
512	+++	+++
513	+++	+++
514	+++	++
515	+	-
516	++	++
517	++	++
518	++	++
519	-	+++
520	-	+++
521	+++	+++
522	+++	+++
523	-	+++
524	+++	+++
525	++	+++
526	++	+++
527	+++	+++
528	+++	+++
529	-	+
530	+++	+++
531	+++	+++
532	++	++

Cmpd No.	10.0 µM	1.0 µM
533	+	++
534	-	+
535	+	+
536	+++	+++
537	-	+
538	+	+
539	++	++
540	+++	++
541	+	-
542	++	++
543	+	+
544	++	+
545	++	++
546	++	+
547	++	+++
548	+	+
549	++	+
550	++	++
551	++	+++
552	++	++
553	++	+++
554	++	++
555	+++	++
556	+	+
557	-	-
558	-	++
559	++	+++
560	+++	++
561	++	+++
562	+	+
563	+	-
564	-	-
565	-	-
566	++	+++
567	-	-
568	-	+
569	++	+
570	+	-
571	+	-
572	+	-
573	+	+
574	++	+++

Cmpd No.	10.0 µM	1.0 µM
575*	-	++
576*	++	++
577*	++	++
578*	++	+
579**	+	+
580*	+	-
581**	-	++
582#	++	+
583*	++	++
584*	+	++
585*	+	++
586*	++	++
587*	++	+
588*	-	++
589#	-	++
590*	+	++
591*	++	++
592**	-	+
593#	-	+
594**	+	+
595**	-	+
596*	++	++
597**	-	+
598**	+	+
599*	++	++
600*	++	+
601**	-	+
602**	+	+
603*	++	++
604*	-	++
605*	++	++
606**	+	+
607*	++	++
608**	+	++
609*	+++	+++
610**	+	+
611*	+	++
612*	++	-
613**	+	++
614**	+	+
615*	++	++
616**	-	+

Cmpd No.	10.0 µM	1.0 µM
617*	++	++
618*	++	++
619**	+	+
620*	+	++
621**	+	++
622**	+	+
623*	+	++
624#	-	++
625**	++	+
626*	-	++
627#	++	+
628*	+	++
629**	-	++
630**	+	+
631*	+	+
632*	-	++
633*	++	++
634*	++	++
635*	+	++
636*	+	+
637*	-	+++
638*	++	++
639**	+	+
640*	+	++
641*	+	++
642*	++	+
643*	-	++
644*	++	+
645*	++	+
646#	+	++
647**	-	+
648*	++	++
649*	-	++
650*	+	++
651**	+	++
652**	-	++
653*	-	++
654**	+	+
655*	-	++
656**	-	+
657*	-	+
658**	-	++

Cmpd No.	10.0 µM	1.0 µM
659*	++	++
660**	-	-
661*	+	++
662*	-	++
663**	-	++
664*	+	+
665*	+	++
666**	+	++
667*	+	++
668**	+	+
669*	-	++
670*	++	++
671*	+	++
672**	+	+
673*	+++	++
674*	+	+
675**	+	+
676*	-	++
677*	-	+++
678*	+	++
679*	+	++
680*	++	++
681**	+	++
682*	++	++
683**	++	++
684**	++	++
685#	+	++
686**	+	+
687**	+	+
688#	-	+
689**	+	+
690*	++	+
691*	++	++
692*	++	++
693**	-	+
694**	-	++
695**	+	+
696*	+	++
697*	++	+
698*	++	++
699#	-	+
700*	-	++

Cmpd No.	10.0 µM	1.0 µM
701*	-	++
702*	++	++
703*	+	++
704**	-	++
705**	+	++
706*	+	+
707*	-	-
708**	-	+
709**	+	+
710#	-	++
711*	+	++
712*	+	+
713*	++	++
714*	++	++
715**	+	++
716*	+++	+
717*	++	+
718*	+++	++
719*	-	+
720**	++	+
721**	-	++
722*	++	++
723**	+++	++
724**	+	+
725*	++	++
726*	+	+
727*	-	++
728**	-	++
729*	++	-
730*	++	++
731*	-	++
732**	+	+
733*	+	+
734**	-	+
735#	+	+
736*	-	++
737**	++	++
738#	-	++
739*	++	++
740**	++	++
741**	+	++
742**	-	++

Cmpd No.	10.0 µM	1.0 µM
743#	++	+
744*	-	+
745#	-	++
746*	++	++
747#	-	-
748*	-	++
749**	++	++
750*	++	+
751**	-	++
752*	+	++
753*	+++	++
754*	+	++
755**	++	+
756*	++	+
757*	++	++
758**	++	++
759**	+	+
760**	-	+
761**	-	+
762**	-	-
763*	++	++
764**	+	+
765#	+	++
766**	-	+
767**	++	+
768*	+	-
769**	-	+
770*	++	++
771#	-	++
772#	-	+
773*	-	++
774*	++	+
775**	++	+
776*	-	++
777*	++	+
778*	+	++
779**	+	+
780**	+	+
781#	-	+
782#	+	++
783**	+	-
784*	++	++

Cmpd No.	10.0 µM	1.0 µM
785*	+++	++
786**	-	+
787**	++	+
788**	+	++
789**	-	+
790#	++	++
791**	-	++
792*	-	++
793**	-	+
794**	-	+
795**	+	+
796**	-	++
797*	-	+
798**	+	+
799*	-	++
800*	++	++
801*	+	+
802*	-	++
803*	-	++
804**	-	++
805*	+	++
806**	-	+
807**	+	+
808*	-	++
809#	-	+
810#	+	+
811*	++	+
812*	++	++
813*	+	++
814#	+	++
815**	++	+
816**	+	+
817*	++	++
818*	+++	++
819*	+	++
820*	++	+
821**	++	-
822*	-	++
823**	++	++
824*	+	++
825*	++	++
826*	-	++

Cmpd No.	10.0 µM	1.0 µM
827*	+	++
828#	-	+
829**	-	+
830*	++	+++
831*	++	++
832*	++	++
833**	-	+
834**	+	+
835	++	+
836**	+	+
837*	++	+
838#	-	-
839*	-	+
840*	++	++
841#	++	+
842**	-	+
843**	+	+
845*	+	++
846**	+	++
847**	+	+
848*	++	++
849**	++	++
850#	-	++
851#	++	+
852**	-	+
853*	++	+
854*	++	+++
855**	+	+
856#	-	++
857*	++	++
858#	-	++
859**	+	+
860*	+	++
861*	-	++
862*	++	+
863*	++	++
864*	++	++
865**	+	+
866**	++	+
867*	++	++
868**	+	+
869#	+	++

Cmpd No.	10.0 μM	1.0 μM
870#	+	+
871#	+	+
872#	-	++
873#	-	+
874#	-	++
875#	-	++
876#	++	++
877#	+	+
878#	-	++
879#	-	-
880#	-	+
881#	-	++
882#	+	+
883#	+	++
884#	-	+
885#	-	++
886#	+	++
887#	++	++
888#	+	+
889#	-	++
890#	++	+
891#	-	+
892#	-	+
893#	-	+
894#	-	++
895#	+	++
896#	+	+
897#	-	+
898#	-	++
899#	+	+
900#	-	+
901#	-	+
902#	-	+
903#	+	++
904#	-	-
905#	++	+
906#	+	++
907#	+++	++
908#	++	+
909#	++	+
910#	++	+
911#	+	+

Cmpd No.	10.0 μM	1.0 μM
912#	+	+
913#	++	++
914#	-	++
915#	-	+
916#	-	++
917#	-	++
918#	-	+
919#	-	++
920#	-	++
921#	+	++
922#	-	+
923#	+	++
924	ND	ND
925#	-	+
926#	+	++
927#	+	++
928#	-	++
929#	-	++
930#	-	++
931#	-	++
932#	-	+
933#	-	+
934#	-	++
935#	ND	++
936#	-	++
937#	-	+
938#	-	+
939#	-	+
940#	+	++
941#	+	+
942#	-	+
943	ND	ND
944#	-	+
945#	-	+
946#	-	-
947#	-	+
948#	-	++
949#	++	++
950#	+	++
951#	-	+
952#	+	+
953#	+	+

Cmpd No.	10.0 µM	1.0 µM
954#	-	+
955#	+	++
956#	-	-
957#	-	++
958#	-	++
959#	-	+
960#	-	+
961#	+	+
962#	+	+
963	ND	ND
964#	-	-
965#	++	++
966#	-	+
967#	-	++
968#	+	+
969#	-	+
970#	-	++
971#	+	+
972#	+	-
973#	-	++
974#	-	++
975#	-	+
976#	++	+
977#	-	+
978#	+	++
979#	-	+++
980#	+	+
981#	++	+
982#	-	++
983#	+	+
984#	-	++
985#	+	+
986#	-	+
987#	-	+
988#	+	++
989#	-	-
990#	-	-
991#	+	++
992#	+	+
993#	++	+
994#	+	+
995#	-	++

Cmpd No.	10.0 μM	1.0 μM
996#	-	+
997#	-	+++
998#	+	++
999#	-	+
1001#	+	++
1002#	++	+++
1003#	-	++
1004#	+	+
1005#	-	+
1006#	+	++
1007#	++	+
1008#	+	++
1009#	+	++
1010#	-	+
1011#	-	++
1012#	-	+
1013#	-	++
1014#	+	+
1015#	-	-
1016#	+	++
1017#	-	++
1018#	-	++
1019#	++	+
1020#	+	++
1021#	-	+
1022#	++	+
1023#	++	+
1024#	-	++
1025#	++	+
1026#	+	+
1027#	-	+
1028#	+	++
1029#	++	++
1030#	+	++
1031#	-	++
1032#	+	++
1033#	-	+
1034#	-	++
1035#	+	+
1036#	-	-
1037#	-	++
1038#	++	+

Cmpd No.	10.0 μM	1.0 μM
1039#	-	++
1040#	-	++
1041#	+	+
1042#	-	++
1043#	-	++
1044#	+	+
1045#	-	+
1046#	-	+
1047#	-	+
1048#	-	++
1049#	++	+
1050#	-	++
1051#	+	++
1052#	++	++
1053#	+	++
1054#	-	-
1055#	+	++
1056#	-	+
1057#	-	+
1058#	++	++
1059#	-	++
1060#	-	+
1061#	++	++
1062#	-	++
1063#	-	++
1064#	-	++
1065#	+	++
1066#	-	++
1067#	-	+
1068#	++	++
1069#	+	+
1070#	-	++
1071#	-	++
1072#	-	+
1073#	-	++
1074#	-	+
1075#	-	+
1076#	-	++
1077#	-	+
1078#	-	+
1079#	-	++
1080#	++	++

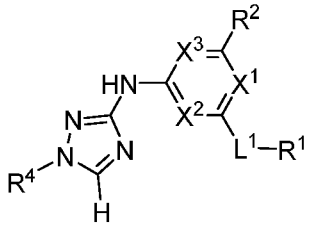
Cmpd No.	10.0 µM	1.0 µM
1081#	+	+
1082#	-	++
1083#	-	+
1084#	+	++
1085#	++	++
1086#	-	++
1087#	+	+
1110	+	++++
1111	-	+++

Fold relative to baseline	Activity
ND	not determined
≤1	-
>1 to 10x	+
>10 to 100x	++
>100 to 1000x	+++
>1000 to 10,000x	++++

[00622] All publications and patents referred to in this disclosure are incorporated herein by reference to the same extent as if each individual publication or patent application were specifically and individually indicated to be incorporated by reference. Should the meaning of the terms in any of the patents or publications incorporated by reference conflict with the meaning of the terms used in this disclosure, the meaning of the terms in this disclosure are intended to be controlling. Furthermore, the foregoing discussion discloses and describes merely exemplary embodiments of the invention. One skilled in the art will readily recognize from such discussion and from the accompanying drawings and claims, that various changes, modifications and variations can be made therein without departing from the spirit and scope of the invention as defined in the following claims.

We claim:

1. A compound of formula (I'), or a pharmaceutically acceptable salt thereof,



(I')

wherein:

X^1 is CH or N;

X^2 is CR^{X2} or N;

X^3 is CR^3 or N;

where R^3 and R^{X2} are each independently selected from the group consisting of hydrogen, halogen, C_{1-4}alkyl, C_{1-4}haloalkyl, –OC_{1-4}alkyl, and cyano;

provided that X^1, X^2, and X^3 are not simultaneously N, X^2 and X^3 are not simultaneously N and X^1 and X^3 are not simultaneously N;

L^1 is a bond, –O–, –NR^5–, –NR^5–C_{1-4}alkylene–, –O–C_{1-4}alkylene–, –C_{1-4}alkylene–, or –C(O)–, wherein R^5 is hydrogen or C_{1-4}alkyl;

R^1 is –G^1–L^2–R^6, –G^1–L^2–R^7, G^2, G^3, G^4, G^5, G^6, or –≡–G^5;

G^1 is

i) a 4- to 8-membered monocyclic heterocycle containing 1-2 heteroatoms independently selected from oxygen, nitrogen, and sulfur, the monocyclic heterocycle optionally containing one double bond and/or a C_{1-3}alkylene bridge between two non-adjacent ring atoms, G^1 being optionally substituted with 1-4 substituents independently selected from the group consisting of C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, hydroxyl, and oxo; or

ii) a 3- to 8-membered cycloalkyl optionally substituted with 1-4 substituents independently selected from the group consisting of C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, hydroxyl, and oxo;

L^2 is a bond, a –C_{1-3}alkylene–, or –C(O)–;

R^6 is

a) a 4- to 8-membered monocyclic heterocycle containing 1-2 heteroatoms independently selected from oxygen, nitrogen, and sulfur, the monocyclic heterocycle optionally containing one double bond and/or a C_{1-3}alkylene bridge between two non-adjacent ring atoms and being optionally substituted with 1-4 substituents independently selected from the group consisting of C_{1-4}alkyl, C_{1-4}haloalkyl, –$CH_2S(O)_2$phenyl, halogen, hydroxyl, and oxo;

b) a 5- or 6-membered monocyclic heteroaryl containing 1-3 heteroatoms independently selected from nitrogen, oxygen, and sulfur, the monocyclic heteroaryl being optionally substituted with 1-3 substituents independently selected from the group consisting of C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, and hydroxyl;

c) a 7- to 12-membered spiro heterocycle comprising a first ring and a second ring, the first ring being a 4- to 8-membered monocyclic heterocycle containing 1-2 heteroatoms independently selected from nitrogen and oxygen and being attached to L^2, the second ring being a C_{3-8}cycloalkyl or a 4- to 8-membered monocyclic heterocycle containing 1-2 oxygen atoms wherein two atoms of the second ring are attached to one carbon of the first ring to form a spirocycle optionally substituted with 1-4 substituents independently selected from the group consisting of C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, hydroxyl, and oxo; or

d) a 7- to 12-membered fused bicyclic heterocycle containing 1-3 heteroatoms independently selected from oxygen, nitrogen, and sulfur and being optionally substituted with 1-4 substituents independently selected from the group consisting of C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, hydroxyl, and oxo;

R^7 is

a) a 3- to 8-membered cycloalkyl optionally substituted with 1-4 substituents independently selected from the group consisting of C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, hydroxyl, –C(O)OC_{1-4}alkyl, –C(O)OH, and oxo; or

b) phenyl optionally substituted with 1-4 substituents independently selected from the group consisting of C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, hydroxyl, –C(O)OC_{1-4}alkyl, and –C(O)OH;

G^2 is a 4- to 8-membered monocyclic heterocycle containing 1-3 heteroatoms independently selected from oxygen, nitrogen, and sulfur, the monocyclic heterocycle optionally containing

one double bond and/or a C_{1-3}alkylene bridge between two non-adjacent ring atoms, G^2 being optionally substituted with 1-4 substituents independently selected from the group consisting of C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, hydroxyl, oxo, cyano, –C(O)C_{1-4}alkyl, –C(O)C_{3-6}cycloalkyl, –C(O)OC_{1-4}alkyl, –C(O)OC_{1-4}haloalkyl, –C(O)NH_2, –C(O)NH(C_{1-4}alkyl), –C(O)N(C_{1-4}alkyl)(C_{1-4}alkyl), –C(O)NH(–C_{1-6}alkylene–OC_{1-4}alkyl), –C(O)N(C_{1-4}alkyl)(–C_{1-6}alkylene–OC_{1-4}alkyl), –C(O)NH(–C_{1-6}alkylene–OH), –C(O)N(C_{1-4}alkyl)(–C_{1-6}alkylene–OH), –NH(–C_{1-6}alkylene–OC_{1-4}alkyl), –N(C_{1-4}alkyl)(–C_{1-6}alkylene–OC_{1-4}alkyl), –NH(–C_{1-6}alkylene–OH), –N(C_{1-4}alkyl)(–C_{1-6}alkylene–OH), –C(O)C_{1-4}haloalkyl, –OC_{1-4}alkyl, –C_{1-6}alkylene–OC_{1-4}alkyl, –C_{1-6}alkylene–OH, –C_{1-6}alkylene substituted by 2 groups independently selected from hydroxyl and –OC(O)C_{1-4}alkyl, –C_{1-6}alkylene–NH_2, –C_{1-6}alkylene–NH(C_{1-4}alkyl), –C_{1-6}alkylene–N(C_{1-4}alkyl)(C_{1-4}alkyl), –C_{1-4}alkylene–C(O)OC_{1-4}alkyl, –C_{1-4}alkylene–C(O)OH, –NHC(O)(C_{1-4}alkyl), –N(C_{1-4}alkyl)C(O)(C_{1-4}alkyl), –NH_2, –NH(C_{1-4}alkyl), and –N(C_{1-4}alkyl)(C_{1-4}alkyl);

G^3 is a 7- to 12-membered spiro heterocycle comprising a first ring and a second ring, the first ring being a 4- to 8-membered monocyclic heterocycle containing 1-2 heteroatoms independently selected from nitrogen and oxygen and being attached to L^1, the second ring being a C_{3-8}cycloalkyl or a 4- to 8-membered monocyclic heterocycle containing 1-2 oxygen atoms wherein two atoms of the second ring are attached to one carbon of the first ring to form a spirocycle, and wherein G^3 is optionally substituted with 1-4 substituents independently selected from the group consisting of C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, hydroxyl, and oxo;

G^4 is a 7- to 12-membered fused bicyclic heterocycle containing 1-3 heteroatoms independently selected from oxygen, nitrogen, and sulfur, G^4 being optionally substituted with 1-4 substituents independently selected from the group consisting of C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, hydroxyl, and oxo;

G^5 is 3- to 8-membered cycloalkyl optionally substituted with 1-4 substituents independently selected from the group consisting of C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, hydroxyl, oxo, cyano, –C(O)C_{1-4}alkyl, –C(O)C_{3-6}cycloalkyl, –C(O)OC_{1-4}alkyl, –C(O)OC_{1-4}haloalkyl, –C(O)NH_2, –C(O)NH(C_{1-4}alkyl), –C(O)N(C_{1-4}alkyl)(C_{1-4}alkyl), –C(O)NH(–C_{1-6}alkylene–OC_{1-4}alkyl), –C(O)N(C_{1-4}alkyl)(–C_{1-6}alkylene–OC_{1-4}alkyl), –C(O)NH(–C_{1-6}alkylene–OH), –C(O)N(C_{1-4}alkyl)(–C_{1-6}alkylene–OH), –NH(–C_{1-6}alkylene–OC_{1-4}alkyl), –N(C_{1-4}alkyl)(–C_{1-6}alkylene–

OC_{1-4}alkyl), –NH(–C_{1-6}alkylene–OH), –N(C_{1-4}alkyl)(–C_{1-6}alkylene–OH), –C(O)C_{1-4}haloalkyl, –OC_{1-4}alkyl, –C_{1-4}alkylene–OC_{1-4}alkyl, –C_{1-6}alkylene–OH, –C_{1-6}alkylene substituted by 2 groups independently selected from hydroxyl and –OC(O)C_{1-4}alkyl, –C_{1-4}alkylene–C(O)OC_{1-4}alkyl, –C_{1-4}alkylene–C(O)OH, –NHC(O)(C_{1-4}alkyl), –N(C_{1-4}alkyl)C(O)(C_{1-4}alkyl), –NH_2, –NH(C_{1-4}alkyl), and –N(C_{1-4}alkyl)(C_{1-4}alkyl);

G^6 is a monocyclic or bicyclic heteroaryl containing 1-4 heteroatoms independently selected from oxygen, nitrogen, and sulfur, G^6 being optionally substituted with 1-4 substituents independently selected from the group consisting of C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, hydroxyl, cyano, phenyl, –C(O)C_{1-4}alkyl, –C(O)C_{3-6}cycloalkyl, –C(O)OC_{1-4}alkyl, –C(O)OC_{1-4}haloalkyl, –C(O)NH_2, –C(O)NH(C_{1-4}alkyl), –C(O)N(C_{1-4}alkyl)(C_{1-4}alkyl), –C(O)NH(–C_{1-6}alkylene–OC_{1-4}alkyl), –C(O)N(C_{1-4}alkyl)(–C_{1-6}alkylene–OC_{1-4}alkyl), –C(O)NH(–C_{1-6}alkylene–OH), –C(O)N(C_{1-4}alkyl)(–C_{1-6}alkylene–OH), –NH(–C_{1-6}alkylene–OC_{1-4}alkyl), –N(C_{1-4}alkyl)(–C_{1-6}alkylene–OC_{1-4}alkyl), –NH(–C_{1-6}alkylene–OH), –N(C_{1-4}alkyl)(–C_{1-6}alkylene–OH), –C(O)C_{1-4}haloalkyl, –OC_{1-4}alkyl, –C_{1-4}alkylene–OC_{1-4}alkyl, –C_{1-6}alkylene–OH, –C_{1-6}alkylene substituted by 2 groups independently selected from hydroxyl and –OC(O)C_{1-4}alkyl, –C_{1-4}alkylene–C(O)OC_{1-4}alkyl, –C_{1-4}alkylene–C(O)OH, –NHC(O)(C_{1-4}alkyl), –N(C_{1-4}alkyl)C(O)(C_{1-4}alkyl), –NH_2, –NH(C_{1-4}alkyl), and –N(C_{1-4}alkyl)(C_{1-4}alkyl);

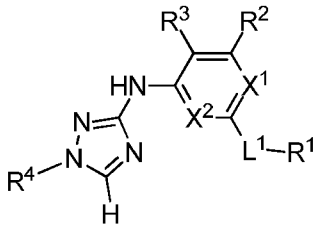
R^2 is C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, hydroxyl, cyano, –$S(O)_2C_{1-4}$alkyl, –S(O)C_{1-4}alkyl, –SC_{1-4}alkyl, –OC_{1-4}alkyl, –OC_{1-4}haloalkyl, –C(O)C_{1-4}alkyl, –C(O)OC_{1-4}alkyl, –C(O)NH_2, –C(O)NH(C_{1-4}alkyl), –C(O)N(C_{1-4}alkyl)(C_{1-4}alkyl), –C_{1-4}alkylene–OC_{1-4}alkyl, –C_{1-4}alkylene–OH, or G^{10}, G^{10} being a C_{3-6}cycloalkyl, C_{5-6}cycloalkenyl, or a 4- to 8-membered monocyclic heterocycle containing 1 to 2 heteroatoms independently selected from nitrogen and oxygen and optionally containing 1 double bond, G^{10} being optionally substituted with 1-2 substituents independently selected from oxo, halogen, C_{1-4}alkyl, C_{1-4}haloalkyl, and G^{20}, G^{20} being a C_{3-6}cycloalkyl or a 4- to 8-membered monocyclic heterocycle containing 1 to 2 heteroatoms independently selected from nitrogen and oxygen, G^{20} being optionally substituted with 1-4 substituents independently selected from the group consisting of C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, hydroxyl, and oxo;

and

R^4 is phenyl or a 6-membered heteroaryl containing 1-3 nitrogen atoms, R^4 being optionally substituted with 1-3 substituents independently selected from the group consisting of halogen,

hydroxyl, cyano, –$S(O)_2C_{1-4}$alkyl, –$S(O)C_{1-4}$alkyl, –SC_{1-4}alkyl, C_{1-4}alkyl, C_{1-4}haloalkyl, –OC_{1-4}alkyl, –OC_{1-4}haloalkyl, –C_{1-4}alkylene–OC_{1-4}alkyl, –C_{1-4}alkylene–$N(C_{1-4}alkyl)(C_{1-4}alkyl)$, –$NH(C_{1-4}alkylene–OC_{1-4}alkyl)$, –$NH(C_{1-4}alkylene–OH)$, –$N(C_{1-4}alkyl)(C_{1-4}alkylene–OC_{1-4}alkyl)$, –$N(C_{1-4}alkyl)(C_{1-4}alkylene–OH)$, –$NH_2$, –$NH(C_{1-4}alkyl)$, –$N(C_{1-4}alkyl)(C_{1-4}alkyl)$, C_{3-6}cycloalkyl, C_{5-6}cycloalkenyl, or a 4- to 8-membered monocyclic heterocycle containing 1-2 nitrogen atoms, the C_{3-6}cycloalkyl, the C_{5-6}cycloalkenyl, and the 4- to 8-membered monocyclic heterocycle being independently optionally substituted with 1-2 substituents independently selected from the group consisting of halogen, hydroxyl, –OC_{1-4}alkyl, C_{1-4}alkyl, C_{1-4}haloalkyl, –C_{1-4}alkylene–OC_{1-4}alkyl, and –C_{1-4}alkylene–OH.

2. The compound of claim 1 of formula (I), or a pharmaceutically acceptable salt thereof,



(I)

wherein:

X^1 and X^2 are independently CH or N, provided that both X^1 and X^2 are not simultaneously N;

L^1 is a bond, –O–, –NR^5–, or –NR^5–C_{1-4}alkylene–, wherein R^5 is hydrogen or C_{1-4}alkyl;

R^1 is –G^1–L^2–R^6, –G^1–L^2–R^7, G^2, G^3, G^4, or G^5;

G^1 is a 4- to 8-membered monocyclic heterocycle containing 1 or 2 nitrogen atoms, the monocyclic heterocycle optionally containing one double bond and/or a C_{1-3}alkylene bridge between two non-adjacent ring atoms, G^1 being optionally substituted with 1-4 substituents independently selected from the group consisting of C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, hydroxyl, and oxo;

L^2 is a bond or a –C_{1-3}alkylene–;

R^6 is

a) a 4- to 8-membered monocyclic heterocycle containing 1-2 heteroatoms independently selected from oxygen, nitrogen, and sulfur, the monocyclic heterocycle being optionally substituted with 1-4 substituents independently selected from the

group consisting of C_{1-4}alkyl, C_{1-4}haloalkyl, –$CH_2S(O)_2$phenyl, halogen, hydroxyl, and oxo; or

b) a 5- or 6-membered monocyclic heteroaryl containing 1-3 heteroatoms independently selected from nitrogen, oxygen, and sulfur, the monocyclic heteroaryl being optionally substituted with 1-3 substituents independently selected from the group consisting of C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, and hydroxyl;

R^7 is

a) a 3- to 8-membered cycloalkyl optionally substituted with 1-4 substituents independently selected from the group consisting of C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, hydroxyl, –C(O)OC_{1-4}alkyl, –C(O)OH, and oxo; or

b) phenyl optionally substituted with 1-4 substituents independently selected from the group consisting of C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, hydroxyl, –C(O)OC_{1-4}alkyl, and –C(O)OH;

G^2 is a 4- to 8-membered monocyclic heterocycle containing 1-3 heteroatoms independently selected from oxygen, nitrogen, and sulfur, the monocyclic heterocycle optionally containing one double bond and/or a C_{1-3}alkylene bridge between two non-adjacent ring atoms, G^2 being optionally substituted with 1-4 substituents independently selected from the group consisting of C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, hydroxyl, oxo, cyano, –C(O)C_{1-4}alkyl, –C(O)C_{3-6}cycloalkyl, –C(O)OC_{1-4}alkyl, –C(O)OC_{1-4}haloalkyl, –C(O)NH_2, –C(O)NH(C_{1-4}alkyl), and –C(O)N(C_{1-4}alkyl)(C_{1-4}alkyl), –C(O)C_{1-4}haloalkyl, –OC_{1-4}alkyl, –C_{1-4}alkylene–OC_{1-4}alkyl, –C_{1-6}alkylene–OH, –C_{1-6}alkylene substituted by 2 groups independently selected from hydroxyl and –OC(O)C_{1-4}alkyl, –C_{1-4}alkylene–C(O)OC_{1-4}alkyl, –C_{1-4}alkylene–C(O)OH, –NHC(O)(C_{1-4}alkyl), –N(C_{1-4}alkyl)C(O)(C_{1-4}alkyl), –NH_2, –NH(C_{1-4}alkyl), and –N(C_{1-4}alkyl)(C_{1-4}alkyl);

G^3 is a 7- to 12-membered spiro heterocycle comprising a first ring and a second ring, the first ring being a 4- to 8-membered monocyclic heterocycle containing 1-2 heteroatoms independently selected from nitrogen and oxygen and being attached to L^1, the second ring being a C_{3-8}cycloalkyl or a 4- to 8-membered monocyclic heterocycle containing 1-2 oxygen atoms wherein two atoms of the second ring are attached to one carbon of the first ring to form a spirocycle, and wherein G^3 is optionally substituted with 1-4 substituents

independently selected from the group consisting of C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, and oxo;

G^4 is a 7- to 12-membered fused bicyclic heterocycle containing 1-3 heteroatoms independently selected from oxygen, nitrogen, and sulfur, G^4 being optionally substituted with 1-4 substituents independently selected from the group consisting of C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, and oxo;

G^5 is 3- to 8-membered cycloalkyl optionally substituted with 1-4 substituents independently selected from the group consisting of C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, hydroxyl, oxo, cyano, –C(O)C_{1-4}alkyl, –C(O)C_{3-6}cycloalkyl, –C(O)OC_{1-4}alkyl, –C(O)OC_{1-4}haloalkyl, –C(O)C_{1-4}haloalkyl, –OC_{1-4}alkyl, –C_{1-4}alkylene–OC_{1-4}alkyl, –C_{1-6}alkylene–OH, –C_{1-6}alkylene substituted by 2 groups independently selected from hydroxyl and –OC(O)C_{1-4}alkyl, –C_{1-4}alkylene–C(O)OC_{1-4}alkyl, –C_{1-4}alkylene–C(O)OH, –NHC(O)(C_{1-4}alkyl), –N(C_{1-4}alkyl)C(O)(C_{1-4}alkyl), –NH_2, –NH(C_{1-4}alkyl), and –N(C_{1-4}alkyl)(C_{1-4}alkyl);

R^2 is C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, cyano, –S(O)$_2$$C_{1-4}$alkyl, –S(O)$C_{1-4}$alkyl, –S$C_{1-4}$alkyl, –O$C_{1-4}$alkyl, –O$C_{1-4}$haloalkyl, –C(O)$C_{1-4}$alkyl, C_{3-6}cycloalkyl, C_{5-6}cycloalkenyl, or a 4- to 8-membered monocyclic heterocycle containing 1 to 2 heteroatoms independently selected from nitrogen and oxygen and optionally containing 1 double bond, the C_{3-6}cycloalkyl, the C_{5-6}cycloalkenyl, and the 4- to 8-membered monocyclic heterocycle being optionally substituted with 1-2 substituents independently selected from oxo, halogen, C_{1-4}alkyl, C_{1-4}haloalkyl, C_{3-6}cycloalkyl, and a 4- to 8-membered monocyclic heterocycle containing 1 to 2 heteroatoms independently selected from nitrogen and oxygen;

R^3 is hydrogen, halogen, C_{1-4}alkyl, C_{1-4}haloalkyl, –OC_{1-4}alkyl, or cyano; and

R^4 is phenyl or a 6-membered heteroaryl containing 1-3 nitrogen atoms, R^4 being optionally substituted with 1-3 substituents independently selected from the group consisting of halogen, hydroxyl, cyano, –S(O)$_2$$C_{1-4}$alkyl, –S(O)$C_{1-4}$alkyl, –S$C_{1-4}$alkyl, C_{1-4}alkyl, C_{1-4}haloalkyl, –OC_{1-4}alkyl, –OC_{1-4}haloalkyl, –C_{1-4}alkylene–OC_{1-4}alkyl, –C_{1-4}alkylene–N(C_{1-4}alkyl)(C_{1-4}alkyl), –N(C_{1-4}alkyl)(C_{1-4}alkylene–OC_{1-4}alkyl), C_{3-6}cycloalkyl, C_{5-6}cycloalkenyl, or a 4- to 8-membered monocyclic heterocycle containing 1-2 nitrogen atoms, the C_{3-6}cycloalkyl, the C_{5-6}cycloalkenyl, and the 4- to 8-membered monocyclic heterocycle being independently optionally substituted with 1-2 substituents independently selected from the group consisting of halogen, hydroxyl, –OC_{1-4}alkyl, C_{1-4}alkyl, C_{1-4}haloalkyl, –C_{1-4}alkylene–OC_{1-4}alkyl, and –C_{1-4}alkylene–OH.

3. The compound of claim 1 or claim 2, or a pharmaceutically acceptable salt thereof, wherein R^1 is $–G^1–L^2–R^6$.

4. The compound of claim 3, or a pharmaceutically acceptable salt thereof, wherein R^6 is a 4- to 8-membered monocyclic heterocycle containing 1-2 heteroatoms independently selected from oxygen, nitrogen, and sulfur, the monocyclic heterocycle being optionally substituted with 1-4 substituents independently selected from the group consisting of C_{1-4}alkyl, C_{1-4}haloalkyl, $–CH_2S(O)_2$phenyl, halogen, hydroxyl, and oxo.

5. The compound of claim 4, or a pharmaceutically acceptable salt thereof, wherein R^6 is oxetanyl, tetrahydrofuranyl, tetrahydropyranyl, morpholinyl, pyrrolidinyl, or thietanyl, each being optionally substituted with 1-4 substituents independently selected from C_{1-4}alkyl and oxo.

6. The compound of claim 4, or a pharmaceutically acceptable salt thereof, wherein R^6 is oxetan-3-yl or 3-methyloxetan-3-yl.

7. The compound of any of claims 1-4, or a pharmaceutically acceptable salt thereof, wherein R^6 is unsubstituted.

8. The compound of claim 3, or a pharmaceutically acceptable salt thereof, wherein R^6 is a 4- to 8-membered monocyclic heterocycle containing 1-2 heteroatoms independently selected from oxygen, nitrogen, and sulfur, the monocyclic heterocycle optionally containing one double bond and/or a C_{1-3}alkylene bridge between two non-adjacent ring atoms and being optionally substituted with 1-4 substituents independently selected from the group consisting of C_{1-4}alkyl, C_{1-4}haloalkyl, $–CH_2S(O)_2$phenyl, halogen, hydroxyl, and oxo.

9. The compound of claim 3, or a pharmaceutically acceptable salt thereof, wherein R^6 is a 5- or 6-membered monocyclic heteroaryl containing 1-3 heteroatoms independently selected from nitrogen, oxygen, and sulfur, the monocyclic heteroaryl being optionally substituted with 1-3 substituents independently selected from C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, and hydroxyl.

10. The compound of claim 7, or a pharmaceutically acceptable salt thereof, wherein R^6 is pyrazolyl.

11. The compound of claim 3, or a pharmaceutically acceptable salt thereof, wherein R^6 is a 7- to 12-membered spiro heterocycle comprising a first ring and a second ring, the first ring being a 4- to 8-membered monocyclic heterocycle containing 1-2 heteroatoms independently selected from nitrogen and oxygen and being attached to L^2, the second ring being a C_{3-8}cycloalkyl or a 4- to 8-membered monocyclic heterocycle containing 1-2 oxygen atoms wherein two atoms of the second ring are attached to one carbon of the first ring to form a spirocycle optionally substituted with 1-4 substituents independently selected from the group consisting of C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, hydroxyl, and oxo.

12. The compound of claim 3, or a pharmaceutically acceptable salt thereof, wherein R^6 is a 7- to 12-membered fused bicyclic heterocycle containing 1-3 heteroatoms independently selected from oxygen, nitrogen, and sulfur and being optionally substituted with 1-4 substituents independently selected from the group consisting of C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, hydroxyl, and oxo.

13. The compound of claim 1 or claim 2, or a pharmaceutically acceptable salt thereof, wherein R^1 is $–G^1–L^2–R^7$.

14. The compound of claim 13, or a pharmaceutically acceptable salt thereof, wherein R^7 is a 3- to 8-membered cycloalkyl optionally substituted with 1-4 substituents independently selected from the group consisting of C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, hydroxyl, $–C(O)OC_{1-4}$alkyl, –C(O)OH, and oxo.

15. The compound of claim 14, or a pharmaceutically acceptable salt thereof, wherein R^7 is cyclopropyl, cyclobutyl, or cyclopentyl, each being optionally substituted with hydroxyl, $–C(O)OC_{1-4}$alkyl, –C(O)OH, or 1-2 halogen.

16. The compound of any of claims 1, 2 or 13-15, or a pharmaceutically acceptable salt thereof, wherein R^7 is unsubstituted.

17. The compound of claim 13, or a pharmaceutically acceptable salt thereof, wherein R^7 is phenyl optionally substituted with 1-4 substituents independently selected from the group consisting of C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, hydroxyl, –C(O)OC_{1-4}alkyl, and –C(O)OH.

18. The compound of any of claims 1-17, or a pharmaceutically acceptable salt thereof, wherein G^1 is a 4- to 8-membered monocyclic heterocycle containing 1-2 heteroatoms independently selected from oxygen, nitrogen, and sulfur, the monocyclic heterocycle optionally containing one double bond and/or a C_{1-3}alkylene bridge between two non-adjacent ring atoms, G^1 being optionally substituted with 1-4 substituents independently selected from the group consisting of C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, hydroxyl, and oxo.

19. The compound of any of claims 1-18, or a pharmaceutically acceptable salt thereof, wherein G^1 is piperazinyl, homopiperazinyl, azetidinyl, pyrrolidinyl, piperidinyl, azepanyl, 2,5-diazabicyclo[2.2.1]heptanyl, 2,5-dihydro-1H-pyrrolyl, or 1,2,3,6-tetrahydropyridinyl, G^1 being optionally substituted with 1-4 substituents independently selected from 1 hydroxyl, 1-2 halogen, 1 oxo, and 1-4 C_{1-4}alkyl groups.

20. The compound of claim 19, or a pharmaceutically acceptable salt thereof, wherein the pyrrolidinyl and piperidinyl are optionally substituted with 1-4 substituents independently selected from1 hydroxyl, 1-2 halogen, and 1 oxo, and the piperazinyl is optionally substituted with oxo.

21. The compound of claim 20, or a pharmaceutically acceptable salt thereof, wherein G^1 is piperazin-1-yl optionally substituted with oxo.

22. The compound of any of claims 1-17, or a pharmaceutically acceptable salt thereof, wherein G^1 is a 3- to 8-membered cycloalkyl optionally substituted with 1-4 substituents

independently selected from the group consisting of C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, hydroxyl, and oxo.

23. The compound of claim 1 or claim 2, or a pharmaceutically acceptable salt thereof, wherein R^1 is 4-(oxetan-3-yl)piperazin-1-yl or 4-(3-methyloxetan-3-yl)piperazin-1-yl.

24. The compound of claim 1 or claim 2, or a pharmaceutically acceptable salt thereof, wherein R^1 is 4-cyclopropylpiperazin-1-yl.

25. The compound of any of claims 1-22, or a pharmaceutically acceptable salt, wherein L^2 is a bond.

26. The compound of any of claims 1-22, or a pharmaceutically acceptable salt thereof, wherein L^2 is a –C_{1-3}alkylene–.

27. The compound of any of claims 1-22, or a pharmaceutically acceptable salt thereof, wherein L^2 is –C(O)–.

28. The compound of claim 1 or claim 2, or a pharmaceutically acceptable salt thereof, wherein R^1 is G^2.

29. The compound of claim 28, or a pharmaceutically acceptable salt thereof, wherein G^2 is morpholinyl, homomorpholinyl, thiomorpholinyl, piperazinyl, homopiperazinyl, azetidinyl, pyrrolidinyl, oxazolidinyl, piperidinyl, azepanyl, 2,5-diazabicyclo[2.2.1]heptanyl, 6-oxa-3-azabicyclo[3.1.1]heptanyl, 2-oxa-5-azabicyclo[2.2.1]heptanyl, 3-oxa-8-azabicyclo[3.2.1]octanyl, 8-oxa-3-azabicyclo[3.2.1]octanyl, 2,5-dihydro-1H-pyrrolyl, 1,2,3,6-tetrahydropyridinyl, oxetanyl, tetrahydrofuranyl, tetrahydropyranyl, 2,5-dihydrofuranyl, or 3,6-dihydro-2H-pyranyl, each being optionally substituted with one substituent selected from the group consisting of C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, hydroxyl, oxo, cyano, –C(O)C_{1-4}alkyl, –C(O)C_{3-6}cycloalkyl, –C(O)OC_{1-4}alkyl, –C(O)OC_{1-4}haloalkyl, –C(O)NH_2, –C(O)NH(C_{1-4}alkyl), –C(O)N(C_{1-4}alkyl)(C_{1-4}alkyl), –C(O)NH(–C_{1-6}alkylene–OC_{1-4}alkyl), –C(O)N(C_{1-4}alkyl)(–C_{1-6}alkylene–OC_{1-4}alkyl), –

C(O)NH(–C_{1-6}alkylene–OH), –C(O)N(C_{1-4}alkyl)(–C_{1-6}alkylene–OH), –NH(–C_{1-6}alkylene–OC_{1-4}alkyl), –N(C_{1-4}alkyl)(–C_{1-6}alkylene–OC_{1-4}alkyl), –NH(–C_{1-6}alkylene–OH), –N(C_{1-4}alkyl)(–C_{1-6}alkylene–OH), –C(O)C_{1-4}haloalkyl, –OC_{1-4}alkyl, –C_{1-6}alkylene–OC_{1-4}alkyl, –C_{1-6}alkylene–OH, –C_{1-6}alkylene substituted by 2 groups independently selected from hydroxyl and –OC(O)C_{1-4}alkyl, –C_{1-6}alkyl–NH_2, –C_{1-6}alkyl–NH(C_{1-4}alkyl), –C_{1-6}alkyl–N(C_{1-4}alkyl)(C_{1-4}alkyl), –C_{1-4}alkylene–C(O)OC_{1-4}alkyl, –C_{1-4}alkylene–C(O)OH, –NHC(O)(C_{1-4}alkyl), –N(C_{1-4}alkyl)C(O)(C_{1-4}alkyl), –NH_2, –NH(C_{1-4}alkyl), and –N(C_{1-4}alkyl)(C_{1-4}alkyl), and further optionally substituted with 1-3 substituents selected from the group consisting of C_{1-4}alkyl and halogen.

30. The compound of claim 29, or a pharmaceutically acceptable salt thereof, wherein G^2 is morpholinyl, homomorpholinyl, thiomorpholinyl, piperazinyl, homopiperazinyl, azetidinyl, pyrrolidinyl, oxazolidinyl, piperidinyl, azepanyl, 2,5-diazabicyclo[2.2.1]heptanyl, 6-oxa-3-azabicyclo[3.1.1]heptanyl, 2,5-dihydro-1H-pyrrolyl, 1,2,3,6-tetrahydropyridinyl, oxetanyl, tetrahydrofuranyl, tetrahydropyranyl, 2,5-dihydrofuranyl, or 3,6-dihydro-2H-pyranyl, each being optionally substituted with one substituent selected from the group consisting of C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, hydroxyl, oxo, cyano, –C(O)C_{1-4}alkyl, –C(O)C_{3-6}cycloalkyl, –C(O)OC_{1-4}alkyl, –C(O)OC_{1-4}haloalkyl, –C(O)NH_2, –C(O)NH(C_{1-4}alkyl), –C(O)N(C_{1-4}alkyl)(C_{1-4}alkyl), –C(O)C_{1-4}haloalkyl, –OC_{1-4}alkyl, –C_{1-4}alkylene–OC_{1-4}alkyl, –C_{1-6}alkylene–OH, –C_{1-6}alkylene substituted by 2 groups independently selected from hydroxyl and –OC(O)C_{1-4}alkyl, –C_{1-4}alkylene–C(O)OC_{1-4}alkyl, –C_{1-4}alkylene–C(O)OH, –NHC(O)(C_{1-4}alkyl), –N(C_{1-4}alkyl)C(O)(C_{1-4}alkyl), –NH_2, –NH(C_{1-4}alkyl), and –N(C_{1-4}alkyl)(C_{1-4}alkyl), and further optionally substituted with 1-3 substituents selected from the group consisting of C_{1-4}alkyl and halogen.

31. The compound of claim 28, or a pharmaceutically acceptable salt thereof, wherein G^2 is piperazin-1-yl optionally substituted with C_{1-4}alkyl.

32. The compound of claim 31, or a pharmaceutically acceptable salt thereof, wherein G^2 is 4-methylpiperazin-1-yl.

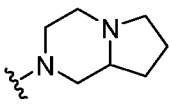
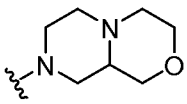
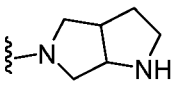
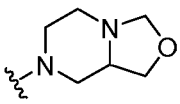
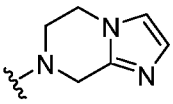
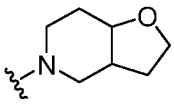
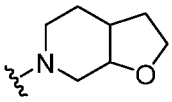
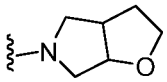
33. The compound of claim 1 or claim 2, or a pharmaceutically acceptable salt thereof, wherein R^1 is G^3.

34. The compound of claim 33, or a pharmaceutically acceptable salt thereof, wherein G^3 is 1,4-dioxa-8-azaspiro[4.5]decanyl, 2-oxa-6-azaspiro[3.5]nonanyl, 2-oxa-7-azaspiro[3.5]nonanyl, 2-oxa-5,8-diazaspiro[3.5]nonanyl, 2,5-dioxa-8-azaspiro[3.5]nonanyl, 1-oxa-8-azaspiro[4.5]decanyl, 5-oxa-8-azaspiro[3.5]nonanyl, 2-oxa-6-azaspiro[3.4]octanyl, 6-oxa-2-azaspiro[3.4]octanyl, 1-oxa-6-azaspiro[3.3]heptanyl, or 2-oxa-6-azaspiro[3.3]heptanyl, where the 2-oxa-5,8-diazaspiro[3.5]nonanyl is optionally substituted with C_{1-4}alkyl and oxo.

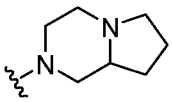
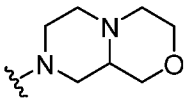
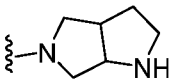
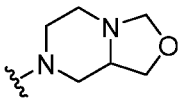
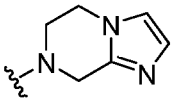
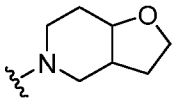
35. The compound of claim 33, or a pharmaceutically acceptable salt thereof, wherein G^3 is 1,4-dioxa-8-azaspiro[4.5]decanyl, 2-oxa-6-azaspiro[3.5]nonanyl, 2-oxa-7-azaspiro[3.5]nonanyl, 2-oxa-5,8-diazaspiro[3.5]nonanyl, 5-oxa-8-azaspiro[3.5]nonanyl, 2-oxa-6-azaspiro[3.4]octanyl, 6-oxa-2-azaspiro[3.4]octanyl, 1-oxa-6-azaspiro[3.3]heptanyl, or 2-oxa-6-azaspiro[3.3]heptanyl, the 2-oxa-5,8-diazaspiro[3.5]nonanyl being optionally substituted with C_{1-4}alkyl and oxo.

36. The compound of claim 1 or claim 2, or a pharmaceutically acceptable salt thereof, wherein R^1 is G^4.

37. The compound of claim 36, or a pharmaceutically acceptable salt thereof, wherein G^4 is


, 
, 
, 
, 
, 
, 
, or 
, each being optionally substituted with one C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, or oxo.

38. The compound of claim 36, or a pharmaceutically acceptable salt thereof, wherein G^4 is


, 
, 
, 
, 
, 
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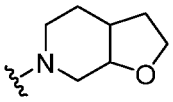
 , each being optionally substituted with one C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, or oxo.

39, The compound of claim 1 or claim 2, or a pharmaceutically acceptable salt thereof, wherein R^1 is G^5.

40. The compound of claim 39, or a pharmaceutically acceptable salt thereof, wherein G^5 is cyclopropyl, cyclobutyl, or cyclopentyl, each optionally substituted as defined in claim 1.

41. The compound of claim 1, or a pharmaceutically acceptable salt thereof, wherein R^1 is G^6.

42. The compound of claim 41, or a pharmaceutically acceptable salt thereof, wherein G^6 is thiazole, oxazole, triazole, or pyrazole, each optionally substituted with C_{1-4}alkyl or phenyl.

43. `The compound of claim 1, or a pharmaceutically acceptable salt thereof, wherein R^1 is –≡–G^5.

44. The compound of claim 43, or a pharmaceutically acceptable salt thereof, wherein G^5 is cyclopropyl.

45. The compound of any of claims 1-44, or a pharmaceutically acceptable salt thereof, wherein L^1 is a bond.

46. The compound of any of claims 1-44, or a pharmaceutically acceptable salt thereof, wherein L^1 is –O–.

47. The compound of any of claims 1-44, or a pharmaceutically acceptable salt thereof, wherein L^1 is –NR^5– and R^5 is hydrogen or C_{1-4}alkyl.

48. The compound of any of claims 1-44, or a pharmaceutically acceptable salt thereof, wherein L^1 is –NR^5–C_{1-4}alkylene–, wherein R^5 is hydrogen or C_{1-4}alkyl.

49. The compound of any of claims 1-44, or a pharmaceutically acceptable salt thereof, wherein L^1 is –O–C_{1-4}alkylene–.

50. The compound of any of claims 1-44, or a pharmaceutically acceptable salt thereof, wherein L^1 is –C_{1-4}alkylene–.

51. The compound of any of claims 1-44, or a pharmaceutically acceptable salt thereof, wherein L^1 is –C(O)–.

52. The compound of any of claims 1-51, or a pharmaceutically acceptable salt thereof, wherein X^1 is CH and X^2 is N.

53. The compound of any of claims 1-51, or a pharmaceutically acceptable salt thereof, wherein X^2 is CH and X^1 is N.

54. The compound of any of claims 1-51, or a pharmaceutically acceptable salt thereof, wherein X^1 and X^2 are each CH.

55. The compound of any of claims 1 or 3-51, or a pharmaceutically acceptable salt thereof, wherein X^1 is CH, X^2 is CR^{X2}, and X^3 is CR^3.

56. The compound of claim 55, or a pharmaceutically acceptable salt thereof, wherein X^3 is CH.

57. The compound of claim 56, or a pharmaceutically acceptable salt thereof, wherein X^2 is C-F.

58. The compound of claim 55, or a pharmaceutically acceptable salt thereof, wherein X^2 is CH.

59. The compound of claim 58, or a pharmaceutically acceptable salt thereof, wherein X^3 is CH.

60. The compound of any of claims 1 or 3-51, or a pharmaceutically acceptable salt thereof, wherein X^1 is CH, X^2 is CH, and X^3 is N.

61. The compound of any of claims 1-60, or a pharmaceutically acceptable salt thereof, wherein R^4 is phenyl, pyrazinyl, pyrimidinyl, pyridazinyl, or pyridinyl, and R^4 is optionally substituted as defined in claim 1 or claim 2.

62. The compound of claim 61, or a pharmaceutically acceptable salt thereof, wherein R^4 is

a) phenyl,

the phenyl being optionally substituted with one substituent selected from the group consisting of halogen, cyano, –$S(O)_2C_{1-4}$alkyl, –$S(O)C_{1-4}$alkyl, –SC_{1-4}alkyl, C_{1-4}alkyl, C_{1-4}haloalkyl, –OC_{1-4}alkyl, –OC_{1-4}haloalkyl, –C_{1-4}alkylene–OC_{1-4}alkyl, –C_{1-4}alkylene–N(C_{1-4}alkyl)(C_{1-4}alkyl), –N(C_{1-4}alkyl)(C_{1-4}alkylene–OC_{1-4}alkyl), or a 4- to 8-membered monocyclic heterocycle containing 1-2 nitrogen atoms, the 4- to 8-membered monocyclic heterocycle being independently optionally substituted with 1-2 substituents independently selected from the group consisting of halogen, –OC_{1-4}alkyl, C_{1-4}alkyl, –C_{1-4}alkylene–OC_{1-4}alkyl, and –C_{1-4}alkylene–OH,

the phenyl being further optionally substituted with 1-2 substituents independently selected from the group consisting of halogen and C_{1-4}alkyl;

b) pyrazinyl,

the pyrazinyl being optionally substituted with 1-3 C_{1-4}alkyl groups;

c) pyrimidinyl,

the pyrimidinyl being optionally substituted with one substituent selected from halogen, –$S(O)_2C_{1-4}$alkyl, –$S(O)C_{1-4}$alkyl, –SC_{1-4}alkyl, C_{1-4}alkyl, –OC_{1-4}alkyl, or –C_{1-4}alkylene–OC_{1-4}alkyl, the pyrimidinyl being further optionally substituted with C_{1-4}alkyl;

d) pyridazinyl; or

e) pyridinyl,

the pyridinyl being optionally substituted with one substituent selected from the group consisting of halogen, hydroxyl, C_{1-4}alkyl, and a 4- to 8-membered monocyclic heterocycle containing 1-2 nitrogen atoms,

the pyridinyl being further optionally substituted with 1-2 substituents selected from halogen and C_{1-4}alkyl.

63. The compound of claim 62, or a pharmaceutically acceptable salt thereof, wherein R^4 is phenyl optionally substituted with 1-3 substituents independently selected from the group consisting of halogen and C_{1-4}alkyl.

64. The compound of any of claims 1-63, or a pharmaceutically acceptable salt thereof, wherein R^2 is C_{1-4}alkyl, C_{1-4}haloalkyl, or C_{3-6}cycloalkyl.

65. The compound of any of claims 1-64, or a pharmaceutically acceptable salt thereof, wherein R^3 is hydrogen.

66. The compound of claim 1 or claim 2, or claim 87, or a pharmaceutically acceptable salt thereof, selected from the following table:

N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-2-methyl-6-[4-(oxetan-3-yl)piperazin-1-yl]pyridin-4-amine
1-(3,5-difluorophenyl)-N-[3-(3-methoxyazetidin-1-yl)-5-methyl-phenyl]-1,2,4-triazol-3-amine
2-cyclopropyl-N-[1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]-6-(3,6-dihydro-2H-pyran-4-yl)pyridin-4-amine
1-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-(trifluoromethyl)phenyl]piperazin-2-yl]cyclobutanol
N-[3-chloro-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
3-methyl-1-[3-methyl-5-[(1-phenyl-1,2,4-triazol-3-yl)amino]phenyl]pyrrolidin-3-ol
N-[3-methyl-5-(6-oxa-2-azaspiro[3.3]heptan-2-yl)phenyl]-1-phenyl-1,2,4-triazol-3-amine
N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N1-tetrahydrofuran-3-yl-benzene-1,3-diamine

2-cyclopropyl-6-(1,4-dioxa-8-azaspiro[4.5]decan-8-yl)-N-(1-phenyl-1,2,4-triazol-3-yl)pyridin-4-amine
N-[3,5-di(tetrahydropyran-4-yl)phenyl]-1-phenyl-1,2,4-triazol-3-amine
N-[3-methyl-5-(2-oxa-7-azaspiro[3.5]nonan-7-yl)phenyl]-1-phenyl-1,2,4-triazol-3-amine
methyl 4-[3-methyl-5-[(1-phenyl-1,2,4-triazol-3-yl)amino]phenyl]piperidine-1-carboxylate
N-[3-(4-methylpiperazin-1-yl)-5-(trifluoromethyl)phenyl]-1-(4-pyridyl)-1,2,4-triazol-3-amine
N-[3-ethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(4-fluorophenyl)-1,2,4-triazol-3-amine
N-[3-ethyl-5-(3-morpholinoazetidin-1-yl)phenyl]-1-(3-fluorophenyl)-1,2,4-triazol-3-amine
N-[3-ethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(2-fluoro-4-pyridyl)-1,2,4-triazol-3-amine
N-[3-tert-butyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
N-[3-[3-fluoro-1-(oxetan-3-yl)pyrrolidin-3-yl]-5-methyl-phenyl]-1-phenyl-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-(6,8-dihydro-5H-imidazo[1,2-a]pyrazin-7-yl)-5-methyl-phenyl]-1,2,4-triazol-3-amine
N-[3-(4-cyclopropylpiperazin-1-yl)-5-methyl-phenyl]-1-pyrazin-2-yl-1,2,4-triazol-3-amine
N-[3-(2,5-dimethylpiperazin-1-yl)-5-methyl-phenyl]-1-phenyl-1,2,4-triazol-3-amine
2-cyclopropyl-N-[1-(3,4-dimethoxyphenyl)-1,2,4-triazol-3-yl]-6-(4-methyl-1-piperidyl)pyridin-4-amine
1-(3,5-difluorophenyl)-N-[3-(3-fluoro-1-methyl-pyrrolidin-3-yl)-5-methyl-phenyl]-1,2,4-triazol-3-amine
1-(5-fluoro-3-pyridyl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-methyl-5-[1-(oxetan-3-yl)pyrrolidin-3-yl]phenyl]-1,2,4-triazol-3-amine
N-[3-(difluoromethyl)-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-phenyl-1,2,4-triazol-3-amine
1-(3-fluorophenyl)-N-(3-methyl-5-morpholino-phenyl)-1,2,4-triazol-3-amine
1-(2,4-difluorophenyl)-N-[3-fluoro-5-(4-methyl-1,4-diazepan-1-yl)phenyl]-1,2,4-triazol-3-amine
N-[3-[(8aR)-4-isobutyl-3,4,6,7,8,8a-hexahydro-1H-pyrrolo[1,2-a]pyrazin-2-yl]-5-methyl-phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
1-(2-methoxypyrimidin-4-yl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine

N-[3-ethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(p-tolyl)-1,2,4-triazol-3-amine
1-(3-chloro-5-fluoro-phenyl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-methyl-5-[(1S,4S)-2-(oxetan-3-yl)-2,5-diazabicyclo[2.2.1]heptan-5-yl]phenyl]-1,2,4-triazol-3-amine
2-cyclopropyl-N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-(3,6-dihydro-2H-pyran-4-yl)pyridin-4-amine
1-(3-fluorophenyl)-N-[3-methyl-5-[1-(oxetan-3-yl)azetidin-3-yl]phenyl]-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-methyl-5-(4-methylpiperazin-1-yl)phenyl]-1,2,4-triazol-3-amine
N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N1-[1-(oxetan-3-yl)-4-piperidyl]benzene-1,3-diamine
N-(3-methyl-5-pyrrolidin-3-yl-phenyl)-1-phenyl-1,2,4-triazol-3-amine
1-(2-fluoro-4-pyridyl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
1-[3-[2-(ethoxymethyl)pyrrolidin-1-yl]-5-fluoro-phenyl]-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
1-(2-chloro-4-pyridyl)-N-(3-methyl-5-pyrrolidin-1-yl-phenyl)-1,2,4-triazol-3-amine
1-(3,4-difluorophenyl)-N-(3-methyl-5-morpholino-phenyl)-1,2,4-triazol-3-amine
2-cyclopropyl-6-(4-methyl-1-piperidyl)-N-(1-phenyl-1,2,4-triazol-3-yl)pyridin-4-amine
N-(3-methyl-5-morpholino-phenyl)-1-phenyl-1,2,4-triazol-3-amine
1-(3,4-difluorophenyl)-N-[3-methyl-5-[(3R)-1-(oxetan-3-yl)pyrrolidin-3-yl]oxy-phenyl]-1,2,4-triazol-3-amine
N-[3-cyclopropyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-phenyl-1,2,4-triazol-3-amine
N-[3-ethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-pyrazin-2-yl-1,2,4-triazol-3-amine
N-(3-fluoro-5-morpholino-phenyl)-1-(2-fluorophenyl)-1,2,4-triazol-3-amine
N-[3-ethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(5-fluoropyrimidin-4-yl)-1,2,4-triazol-3-amine
N-[3-[1-[3-(benzenesulfonylmethyl)oxetan-3-yl]-4-piperidyl]-5-methyl-phenyl]-1-phenyl-1,2,4-triazol-3-amine
1-(3,4-difluorophenyl)-N-[3-methyl-5-[(3S)-1-(oxetan-3-

yl)pyrrolidin-3-yl]oxy-phenyl]-1,2,4-triazol-3-amine
[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-1-methyl-piperazin-2-yl]methanol
N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(4-methyl-2-pyridyl)-1,2,4-triazol-3-amine
1-(3-chlorophenyl)-N-[3-cyclopropyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
1-(3-fluoro-5-methoxy-phenyl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
(2R)-3-methyl-2-[4-[6-methyl-4-[(1-phenyl-1,2,4-triazol-3-yl)amino]-2-pyridyl]piperazin-2-yl]butan-2-ol
N-[3-ethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(6-methylpyrimidin-4-yl)-1,2,4-triazol-3-amine
[4-[3-methyl-5-[(1-phenyl-1,2,4-triazol-3-yl)amino]phenyl]piperazin-2-yl]methanol
N-[3-methyl-5-(1-methyl-3-piperidyl)phenyl]-1-phenyl-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-methyl-5-[1-(oxetan-3-yl)-3,6-dihydro-2H-pyridin-4-yl]phenyl]-1,2,4-triazol-3-amine
N-[3-(difluoromethyl)-5-piperazin-1-yl-phenyl]-1-phenyl-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-(4-methylpiperazin-1-yl)-5-(trifluoromethyl)phenyl]-1,2,4-triazol-3-amine
N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(2-methylsulfanylpyrimidin-4-yl)-1,2,4-triazol-3-amine
N-[3-methyl-5-[1-(oxetan-3-yl)azetidin-3-yl]phenyl]-1-phenyl-1,2,4-triazol-3-amine
2,5-difluoro-4-[3-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]anilino]-1,2,4-triazol-1-yl]benzonitrile
1-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-4-(oxetan-3-yl)piperazin-2-one
N-[3-methyl-5-(4-methylpiperazin-1-yl)phenyl]-1-pyrazin-2-yl-1,2,4-triazol-3-amine
1-(3,4-difluorophenyl)-N-[3-ethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(p-tolyl)-1,2,4-triazol-3-amine
N-[3-methyl-5-[1-(oxetan-3-yl)-4-piperidyl]phenyl]-1-phenyl-1,2,4-triazol-3-amine
ethyl 2-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperazin-1-yl]acetate
N-[3-cyclopropyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(3-fluoro-5-methyl-phenyl)-1,2,4-triazol-3-amine
N-[3-methyl-5-[1-(oxetan-3-yl)-3-piperidyl]phenyl]-1-pyrazin-2-yl-1,2,4-triazol-3-amine

N-[3-[4-(3,3-difluorocyclobutyl)piperazin-1-yl]-5-methyl-phenyl]-1-pyrazin-2-yl-1,2,4-triazol-3-amine
1-methyl-4-[3-methyl-5-[(1-phenyl-1,2,4-triazol-3-yl)amino]phenyl]piperazin-2-one
1-(3,5-difluorophenyl)-N-(3-methyl-5-piperazin-1-yl-phenyl)-1,2,4-triazol-3-amine
N-[3-[(1S,4S)-2-cyclopropyl-2,5-diazabicyclo[2.2.1]heptan-5-yl]-5-methyl-phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
2-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperazin-1-yl]propane-1,3-diol
N-(3-fluoro-5-morpholino-phenyl)-1-phenyl-1,2,4-triazol-3-amine
4-[3-[3-methyl-5-(4-methylpiperazin-1-yl)anilino]-1,2,4-triazol-1-yl]benzonitrile
N-[3-(difluoromethyl)-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
1-(2-fluorophenyl)-N-(3-fluoro-5-pyrrolidin-1-yl-phenyl)-1,2,4-triazol-3-amine
N-(3-methyl-5-morpholino-phenyl)-1-(4-pyridyl)-1,2,4-triazol-3-amine
N-[3-(difluoromethyl)-5-morpholino-phenyl]-1-(2-fluoro-4-pyridyl)-1,2,4-triazol-3-amine
1-(3-methoxyphenyl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
N-[3-(4-cyclopentylpiperazin-1-yl)-5-methyl-phenyl]-1-phenyl-1,2,4-triazol-3-amine
2-cyclopropyl-N-[1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]-6-morpholino-pyridin-4-amine
N-[3-(1,1-dioxo-1,4-thiazinan-4-yl)-5-methyl-phenyl]-1-phenyl-1,2,4-triazol-3-amine
1-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-1-piperidyl]ethanone
N-[3-ethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(2-methylpyrimidin-4-yl)-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-methyl-5-[(3S)-1-(oxetan-3-yl)pyrrolidin-3-yl]phenyl]-1,2,4-triazol-3-amine
1-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperazin-2-one
1-(3-methoxyphenyl)-N-[3-methyl-5-(4-methylpiperazin-1-yl)phenyl]-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-methyl-5-[4-(thietan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
N-[3-(4-methylpiperazin-1-yl)-5-(trifluoromethyl)phenyl]-1-pyrimidin-4-yl-1,2,4-triazol-3-amine

N-[3-tert-butyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(3-fluorophenyl)-1,2,4-triazol-3-amine
N-[3-fluoro-5-(4-methyl-1,4-diazepan-1-yl)phenyl]-1-(3-fluorophenyl)-1,2,4-triazol-3-amine
1-(3,4-difluorophenyl)-N-(3-fluoro-5-pyrrolidin-1-yl-phenyl)-1,2,4-triazol-3-amine
2-chloro-N-[1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]-6-morpholino-pyridin-4-amine
(3S)-3-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-1-(oxetan-3-yl)pyrrolidin-3-ol
1-(4-fluorophenyl)-N-[3-methoxy-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
1-[3-(2-ethylpyrrolidin-1-yl)-5-fluoro-phenyl]-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(2-pyridyl)-1,2,4-triazol-3-amine
2-cyclopropyl-N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-[(3R)-3-fluoropyrrolidin-1-yl]pyridin-4-amine
2-chloro-N-[1-(3-methoxyphenyl)-1,2,4-triazol-3-yl]-6-(1-piperidyl)pyridin-4-amine
1-(3,5-difluorophenyl)-N-[3-ethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-methyl-5-(4-piperidyl)phenyl]-1,2,4-triazol-3-amine
N-[3-[3-(dimethylamino)pyrrolidin-1-yl]-5-fluoro-phenyl]-1-(3-fluorophenyl)-1,2,4-triazol-3-amine
N-(3-methyl-5-pyrrolidin-1-yl-phenyl)-1-phenyl-1,2,4-triazol-3-amine
N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(6-methylpyrimidin-4-yl)-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-fluoro-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
N3-[1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N1-[1-(oxetan-3-yl)pyrrolidin-3-yl]benzene-1,3-diamine
1-[1-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-3-piperidyl]pyrrolidin-2-one
1-[3-[3-methyl-5-[(1-phenyl-1,2,4-triazol-3-yl)amino]anilino]-1-piperidyl]ethanone
1-(3,5-difluorophenyl)-N-[3-[3-(methoxymethyl)azetidin-1-yl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
1-[3-[3-methyl-5-[(1-phenyl-1,2,4-triazol-3-yl)amino]phenyl]-1-piperidyl]ethanone
N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-phenyl-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-(3,4-dimethylpiperazin-1-yl)-5-

methyl-phenyl]-1,2,4-triazol-3-amine
N-[3-(1-cyclopropyl-4-piperidyl)-5-methyl-phenyl]-1-phenyl-1,2,4-triazol-3-amine
N-[3-cyclopropyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(2,6-difluoro-4-pyridyl)-1,2,4-triazol-3-amine
1-(2-fluoro-4-pyridyl)-N-[3-[4-(methoxymethyl)-1-piperidyl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
2-[(2S)-4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-(trifluoromethyl)phenyl]piperazin-2-yl]propan-2-ol
N-(3-ethyl-5-piperazin-1-yl-phenyl)-1-pyrazin-2-yl-1,2,4-triazol-3-amine
2-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperazin-1-yl]acetic acid
N-[3-[4-(3-deuteriooxetan-3-yl)piperazin-1-yl]-5-methyl-phenyl]-1-(3-fluorophenyl)-1,2,4-triazol-3-amine
N-[3-methyl-5-[4-(2-methyltetrahydrofuran-3-yl)piperazin-1-yl]phenyl]-1-phenyl-1,2,4-triazol-3-amine
N-[3-[(8aR)-3,4,6,7,8,8a-hexahydro-1H-pyrrolo[1,2-a]pyrazin-2-yl]-5-methyl-phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
N-[3-cyclopropyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(2,6-dimethylpyrimidin-4-yl)-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-[4-(oxetan-3-yl)piperazin-1-yl]-5-(trifluoromethyl)phenyl]-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-methyl-5-(oxetan-3-yl)phenyl]-1,2,4-triazol-3-amine
N-[3-ethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(2-methylsulfanylpyrimidin-4-yl)-1,2,4-triazol-3-amine
N-[3-(3,4-dimethylpiperazin-1-yl)-5-methyl-phenyl]-1-phenyl-1,2,4-triazol-3-amine
1-(5-chloro-3-pyridyl)-N-[3-cyclopropyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
2-chloro-6-morpholino-N-(1-phenyl-1,2,4-triazol-3-yl)pyridin-4-amine
N-[3-methyl-5-[4-(3-methyloxetan-3-yl)piperazin-1-yl]phenyl]-1-pyrazin-2-yl-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-methyl-5-(4-tetrahydrofuran-3-ylpiperazin-1-yl)phenyl]-1,2,4-triazol-3-amine
N-[3-methyl-5-(4-methylpiperazin-1-yl)phenyl]-1-(2-pyridyl)-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-methyl-5-[4-(oxetan-3-yl)-4-oxido-piperazin-4-ium-1-yl]phenyl]-1,2,4-triazol-3-amine
2-fluoro-4-[3-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]anilino]-1,2,4-triazol-1-yl]benzonitrile

1-(3-chlorophenyl)-N-[3-methyl-5-(4-methylpiperazin-1-yl)phenyl]-1,2,4-triazol-3-amine
1-[3-methyl-5-[(1-phenyl-1,2,4-triazol-3-yl)amino]phenyl]piperidine-4-carbonitrile
methyl 3-[3-methyl-5-[(1-phenyl-1,2,4-triazol-3-yl)amino]phenyl]piperidine-1-carboxylate
1-[4-[3-(difluoromethyl)-5-[(1-phenyl-1,2,4-triazol-3-yl)amino]phenyl]piperazin-1-yl]ethanone
2-chloro-N-[1-(3-methoxyphenyl)-1,2,4-triazol-3-yl]-6-morpholino-pyridin-4-amine
1-[3-methyl-5-[(1-phenyl-1,2,4-triazol-3-yl)amino]phenyl]piperidine-3-carbonitrile
1-(3-fluorophenyl)-N-[3-methyl-5-(oxetan-3-yl)phenyl]-1,2,4-triazol-3-amine
N-[3-[4-(2-methoxyethyl)-1-piperidyl]-5-methyl-phenyl]-1-phenyl-1,2,4-triazol-3-amine
N-[3-(4-cyclopropylpiperazin-1-yl)-5-methyl-phenyl]-1-phenyl-1,2,4-triazol-3-amine
2-cyclopropyl-N-[1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]-6-tetrahydropyran-4-yl-pyridin-4-amine
1-(3,5-difluorophenyl)-N-[3-methyl-5-(1-methyl-4-piperidyl)phenyl]-1,2,4-triazol-3-amine
N-[3-[(8aR)-4-isobutyl-3,4,6,7,8,8a-hexahydro-1H-pyrrolo[1,2-a]pyrazin-2-yl]-5-methyl-phenyl]-1-phenyl-1,2,4-triazol-3-amine
N-[3-(4-cyclopropylpiperazin-1-yl)-5-(difluoromethyl)phenyl]-1-(2-fluoro-4-pyridyl)-1,2,4-triazol-3-amine
1-(6-fluoro-2-pyridyl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
4-[3-(3-methyl-5-morpholino-anilino)-1,2,4-triazol-1-yl]pyridin-2-ol
2-methyl-6-(4-methylpiperazin-1-yl)-N-(1-phenyl-1,2,4-triazol-3-yl)pyridin-4-amine
N-[3-[(8aR)-3,4,6,7,8,8a-hexahydro-1H-pyrrolo[1,2-a]pyrazin-2-yl]-5-methyl-phenyl]-1-phenyl-1,2,4-triazol-3-amine
N-(3-fluoro-5-morpholino-phenyl)-1-(3-fluorophenyl)-1,2,4-triazol-3-amine
N-(3-methyl-5-piperazin-1-yl-phenyl)-1-phenyl-1,2,4-triazol-3-amine
N-[3-methyl-5-[1-(oxetan-3-yl)-2,5-dihydropyrrol-3-yl]phenyl]-1-phenyl-1,2,4-triazol-3-amine
1-[1-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-4-piperidyl]pyrrolidin-2-one
1-(3,5-difluorophenyl)-N-[3-[4-(methoxymethyl)-1-piperidyl]-

5-methyl-phenyl]-1,2,4-triazol-3-amine
4-[3-[3-(difluoromethyl)-5-[4-(oxetan-3-yl)piperazin-1-yl]anilino]-1,2,4-triazol-1-yl]-1H-pyridin-2-one
1-(3,5-difluorophenyl)-N-[3-(3-methoxypyrrolidin-1-yl)-5-methyl-phenyl]-1,2,4-triazol-3-amine
N-(3-morpholino-5-tetrahydrofuran-3-yl-phenyl)-1-phenyl-1,2,4-triazol-3-amine
N-[3-fluoro-5-(1,4-oxazepan-4-yl)phenyl]-1-(3-fluorophenyl)-1,2,4-triazol-3-amine
1-(4-fluorophenyl)-N-(3-fluoro-5-pyrrolidin-1-yl-phenyl)-1,2,4-triazol-3-amine
N-(3-bromo-5-morpholino-phenyl)-1-phenyl-1,2,4-triazol-3-amine
1-(3,4-difluorophenyl)-N-[3-methyl-5-[4-(oxetan-3-yl)-1-piperidyl]phenyl]-1,2,4-triazol-3-amine
2-cyclopropyl-N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-pyrrolidin-1-yl-pyridin-4-amine
1-(3,5-difluorophenyl)-N-[3-methyl-5-(6-oxa-2-azaspiro[3.3]heptan-2-yl)phenyl]-1,2,4-triazol-3-amine
N-[3-methyl-5-(4-tetrahydropyran-3-ylpiperazin-1-yl)phenyl]-1-phenyl-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-ethyl-5-(3-morpholinoazetidin-1-yl)phenyl]-1,2,4-triazol-3-amine
N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-pyrimidin-4-yl-1,2,4-triazol-3-amine
1-(3-fluorophenyl)-N-[3-[4-(oxetan-3-yl)piperazin-1-yl]-5-(trifluoromethyl)phenyl]-1,2,4-triazol-3-amine
N-[3-(4-cyclopropylpiperazin-1-yl)-5-methyl-phenyl]-1-pyrimidin-4-yl-1,2,4-triazol-3-amine
N1-(azetidin-3-yl)-N3-[1-(2,4-difluorophenyl)-1,2,4-triazol-3-yl]-5-fluoro-benzene-1,3-diamine
1-(3,5-difluorophenyl)-N-[3-methyl-5-[(3R)-1-(oxetan-3-yl)pyrrolidin-3-yl]oxy-phenyl]-1,2,4-triazol-3-amine
N-[3-methyl-5-(4-methyl-1,4-diazepan-1-yl)phenyl]-1-phenyl-1,2,4-triazol-3-amine
N-[3-methyl-5-[1-(oxetan-3-yl)-3-piperidyl]phenyl]-1-pyrimidin-4-yl-1,2,4-triazol-3-amine
N-(3-fluoro-5-morpholino-phenyl)-1-[3-(trifluoromethyl)phenyl]-1,2,4-triazol-3-amine
N1-[1-(3-methoxypropyl)-4-piperidyl]-5-methyl-N3-(1-phenyl-1,2,4-triazol-3-yl)benzene-1,3-diamine
1-(3,4-difluorophenyl)-N-[3-methoxy-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
N-[3-cyclopropyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(2-fluoro-4-pyridyl)-1,2,4-triazol-3-amine

1-(3,5-difluorophenyl)-N-[3-methyl-5-[(1R,4R)-2-(oxetan-3-yl)-2,5-diazabicyclo[2.2.1]heptan-5-yl]phenyl]-1,2,4-triazol-3-amine
4-[3-(3-methyl-5-pyrrolidin-1-yl-anilino)-1,2,4-triazol-1-yl]benzonitrile
1-[3-[[ethyl(methyl)amino]methyl]-5-fluoro-phenyl]-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
N3-[1-(3-fluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N1-[1-(oxetan-3-yl)pyrrolidin-3-yl]benzene-1,3-diamine
N-[3-(difluoromethyl)-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(2-fluoro-4-pyridyl)-1,2,4-triazol-3-amine
N-(3-methyl-5-pyrrolidin-1-yl-phenyl)-1-[3-(trifluoromethyl)phenyl]-1,2,4-triazol-3-amine
N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-[4-(trifluoromethyl)phenyl]-1,2,4-triazol-3-amine
N3-[1-(3-fluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N1-[1-(oxetan-3-yl)-4-piperidyl]benzene-1,3-diamine
1-(3,5-difluorophenyl)-N-[3-[3-fluoro-1-(oxetan-3-yl)pyrrolidin-3-yl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
1-[4-[3-methyl-5-[(1-phenyl-1,2,4-triazol-3-yl)amino]phenyl]-1-piperidyl]ethanone
N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-pyrazin-2-yl-1,2,4-triazol-3-amine
ethyl 4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperazine-1-carboxylate
N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-N1,5-dimethyl-N1-(oxetan-3-yl)benzene-1,3-diamine
1-(3,4-difluorophenyl)-N-[3-ethyl-5-(3-morpholinoazetidin-1-yl)phenyl]-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-methyl-5-(4-tetrahydropyran-3-ylpiperazin-1-yl)phenyl]-1,2,4-triazol-3-amine
7-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-5,6,8,8a-tetrahydro-1H-oxazolo[3,4-a]pyrazin-3-one
1-(4-fluorophenyl)-N-[3-methyl-5-[[1-(oxetan-3-yl)-4-piperidyl]oxy]phenyl]-1,2,4-triazol-3-amine
2-cyclopropyl-N-[1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]-6-(4-methyl-1-piperidyl)pyridin-4-amine
N-[3-fluoro-5-(4-methylpiperazin-1-yl)phenyl]-1-(3-fluorophenyl)-1,2,4-triazol-3-amine
1-(2-ethoxypyrimidin-4-yl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
1-(3-fluoro-5-isopropoxy-phenyl)-N-[3-methyl-5-(4-methylpiperazin-1-yl)phenyl]-1,2,4-triazol-3-amine

1-(3-ethyl-5-fluoro-phenyl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
N-[3-methyl-5-[1-(oxetan-3-yl)-3-piperidyl]phenyl]-1-(2-pyridyl)-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-[(3S,4R)-3-fluoro-1-(oxetan-3-yl)-4-piperidyl]-5-methyl-phenyl]-1,2,4-triazol-3-amine; 1-(3,5-difluorophenyl)-N-[3-[(3R,4S)-3-fluoro-1-(oxetan-3-yl)-4-piperidyl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
N-[3-(difluoromethyl)-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(3-pyridyl)-1,2,4-triazol-3-amine
2-cyclopropyl-N-[1-(3,5-dimethoxyphenyl)-1,2,4-triazol-3-yl]-6-morpholino-pyridin-4-amine
N-[3-[4-(methoxymethyl)-1-piperidyl]-5-methyl-phenyl]-1-(2-pyridyl)-1,2,4-triazol-3-amine
2-[3-(3-methyl-5-pyrrolidin-1-yl-anilino)-1,2,4-triazol-1-yl]benzonitrile
N-[3-fluoro-5-(4-methylpiperazin-1-yl)phenyl]-1-phenyl-1,2,4-triazol-3-amine
1-cyclopropyl-4-[3-methyl-5-[(1-phenyl-1,2,4-triazol-3-yl)amino]phenyl]piperazin-2-one
1-(3,5-difluorophenyl)-N-[3-methyl-5-[4-(3-methyloxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-methyl-5-[4-(oxetan-3-yl)-1-piperidyl]phenyl]-1,2,4-triazol-3-amine
1-(5-chloro-3-pyridyl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
N-[3-ethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-[3-(trifluoromethyl)phenyl]-1,2,4-triazol-3-amine
N-[3-methyl-5-[(1S,4S)-2-(oxetan-3-yl)-2,5-diazabicyclo[2.2.1]heptan-5-yl]phenyl]-1-phenyl-1,2,4-triazol-3-amine
1-(3-chloro-5-fluoro-phenyl)-N-[3-methyl-5-(4-methylpiperazin-1-yl)phenyl]-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-methyl-5-[1-(oxetan-3-yl)-4-piperidyl]phenyl]-1,2,4-triazol-3-amine
2-cyclopropyl-6-morpholino-N-(1-phenyl-1,2,4-triazol-3-yl)pyridin-4-amine
1-(2-fluorophenyl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(4-pyridyl)-1,2,4-triazol-3-amine
N-[3-cyclopropyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(2-fluorophenyl)-1,2,4-triazol-3-amine
1-(2-fluoro-4-pyridyl)-N-[3-(4-methylpiperazin-1-yl)-5-(trifluoromethyl)phenyl]-1,2,4-triazol-3-amine

7-[3-methyl-5-[(1-phenyl-1,2,4-triazol-3-yl)amino]phenyl]-5,6,8,8a-tetrahydro-1H-oxazolo[3,4-a]pyrazin-3-one
1-(3,5-difluorophenyl)-N-[3-[4-(1,1-dioxothietan-3-yl)piperazin-1-yl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-methyl-5-[1-(oxetan-3-yl)azetidin-3-yl]phenyl]-1,2,4-triazol-3-amine
N-[3-fluoro-5-[1-(oxetan-3-yl)pyrrolidin-3-yl]phenyl]-1-(3-fluorophenyl)-1,2,4-triazol-3-amine
1-(3,4-difluorophenyl)-N-[3-methyl-5-[4-(2,2,2-trifluoroethyl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
1-(2,6-difluoro-4-pyridyl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
1-[2-(methoxymethyl)phenyl]-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
N-[3,5-bis(2,5-dihydrofuran-3-yl)phenyl]-1-phenyl-1,2,4-triazol-3-amine
N-[3-[3,3-difluoro-1-(oxetan-3-yl)-4-piperidyl]-5-methyl-phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
N-[3-[4-(oxetan-3-yl)piperazin-1-yl]-5-propyl-phenyl]-1-pyrazin-2-yl-1,2,4-triazol-3-amine
N-[2,3-dimethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-phenyl-1,2,4-triazol-3-amine
1-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperidin-4-ol
N-[3-methyl-5-[(3S)-1-(oxetan-3-yl)pyrrolidin-3-yl]oxy-phenyl]-1-phenyl-1,2,4-triazol-3-amine
N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N1-(oxetan-3-yl)benzene-1,3-diamine
N-(3-fluoro-5-pyrrolidin-1-yl-phenyl)-1-[3-(trifluoromethyl)phenyl]-1,2,4-triazol-3-amine
3-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-1-methyl-pyrrolidin-3-ol
1-(3,5-difluorophenyl)-N-[3-methyl-5-(4-tetrahydropyran-4-ylpiperazin-1-yl)phenyl]-1,2,4-triazol-3-amine
2-cyclopropyl-N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-(4-methyl-1-piperidyl)pyridin-4-amine
2-cyclopropyl-N-[1-(3,5-dimethoxyphenyl)-1,2,4-triazol-3-yl]-6-[(3R)-3-fluoropyrrolidin-1-yl]pyridin-4-amine
N-[3-chloro-5-[4-(methoxymethyl)-1-piperidyl]phenyl]-1-phenyl-1,2,4-triazol-3-amine
N-[3-methyl-5-(4-methylpiperazin-1-yl)phenyl]-1-phenyl-1,2,4-triazol-3-amine
N-[3-methyl-5-[1-(oxetan-3-yl)-3-piperidyl]phenyl]-1-phenyl-1,2,4-triazol-3-amine
N3-[1-(2-fluoro-4-pyridyl)-1,2,4-triazol-3-yl]-5-methyl-N1-[1-

(oxetan-3-yl)pyrrolidin-3-yl]benzene-1,3-diamine
N-[3,5-di(tetrahydropyran-4-yl)phenyl]-1-(3-pyridyl)-1,2,4-triazol-3-amine
N-(3-morpholino-5-tetrahydropyran-4-yl-phenyl)-1-phenyl-1,2,4-triazol-3-amine
N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-[3-(trifluoromethoxy)phenyl]-1,2,4-triazol-3-amine
N-[3-[4-(2-methoxyethyl)piperazin-1-yl]-5-methyl-phenyl]-1-phenyl-1,2,4-triazol-3-amine
1-(6-methoxypyrimidin-4-yl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
1-(3-ethyl-5-fluoro-phenyl)-N-[3-methyl-5-(4-methylpiperazin-1-yl)phenyl]-1,2,4-triazol-3-amine
N-[3-[4-(3-deuteriooxetan-3-yl)piperazin-1-yl]-5-methyl-phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
1-(3-fluorophenyl)-N-[3-(4-methylpiperazin-1-yl)-5-(trifluoromethyl)phenyl]-1,2,4-triazol-3-amine
1-(3-fluorophenyl)-N-[3-methoxy-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
N-[3-methyl-5-(3-methylpiperazin-1-yl)phenyl]-1-phenyl-1,2,4-triazol-3-amine
N-[3-methyl-5-[1-(oxetan-3-yl)pyrrolidin-3-yl]phenyl]-1-phenyl-1,2,4-triazol-3-amine
N-[3-(1-cyclopropyl-4-piperidyl)-5-methyl-phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
1-(5-chloro-3-pyridyl)-N-[3-methyl-5-(4-methylpiperazin-1-yl)phenyl]-1,2,4-triazol-3-amine
N-[1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]-2-methyl-6-(4-methylpiperazin-1-yl)pyridin-4-amine
1-(3,5-difluorophenyl)-N-[3-ethyl-5-[4-(3-methyloxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
N-[1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]-2-methyl-6-morpholino-pyridin-4-amine
1-[3-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-1-piperidyl]ethanone
1-(3,5-difluorophenyl)-N-[3-methyl-5-[3-(methylamino)pyrrolidin-1-yl]phenyl]-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-fluoro-5-[1-(oxetan-3-yl)pyrrolidin-3-yl]phenyl]-1,2,4-triazol-3-amine
1-(4-fluorophenyl)-N-(3-methyl-5-pyrrolidin-1-yl-phenyl)-1,2,4-triazol-3-amine
N-[3-methyl-5-(oxetan-3-yl)phenyl]-1-(3-pyridyl)-1,2,4-triazol-3-amine
N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(6-methylpyrazin-2-yl)-1,2,4-triazol-3-amine

1-(3-fluorophenyl)-N-(3-methyl-5-pyrrolidin-1-yl-phenyl)-1,2,4-triazol-3-amine
N-[3-[1-(2,2-difluoroethyl)pyrrolidin-3-yl]-5-methyl-phenyl]-1-phenyl-1,2,4-triazol-3-amine
N-[3-(4-ethylpiperazin-1-yl)-5-methyl-phenyl]-1-phenyl-1,2,4-triazol-3-amine
N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(3-pyridyl)-1,2,4-triazol-3-amine
1-(2,3-difluorophenyl)-N-[3-ethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
N-[3-cyclopropyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(2-methoxypyrimidin-4-yl)-1,2,4-triazol-3-amine
N3-[1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N1-[1-(oxetan-3-yl)-4-piperidyl]benzene-1,3-diamine
1-(3,5-difluorophenyl)-N-[3-methyl-5-(2-oxa-7-azaspiro[3.5]nonan-7-yl)phenyl]-1,2,4-triazol-3-amine
1-[4-[3-methyl-5-[(1-phenyl-1,2,4-triazol-3-yl)amino]phenyl]-1,4-diazepan-1-yl]ethanone
3-[3-(3-methyl-5-pyrrolidin-1-yl-anilino)-1,2,4-triazol-1-yl]benzonitrile
(3R,4R)-4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-1-(oxetan-3-yl)piperidin-3-ol; (3S,4S)-4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-1-(oxetan-3-yl)piperidin-3-ol
N-[3-(3-aminoazetidin-1-yl)-5-fluoro-phenyl]-1-(2,4-difluorophenyl)-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-methyl-5-(3-morpholinopyrrolidin-1-yl)phenyl]-1,2,4-triazol-3-amine
N-[3-cyclopropyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(3-fluoro-5-isopropoxy-phenyl)-1,2,4-triazol-3-amine
N-[3-cyclopropyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(2-ethoxypyrimidin-4-yl)-1,2,4-triazol-3-amine
N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-[3-(trifluoromethyl)phenyl]-1,2,4-triazol-3-amine
N-[3-tert-butyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-pyrazin-2-yl-1,2,4-triazol-3-amine
N-[3-methyl-5-(4-tetrahydropyran-4-ylpiperazin-1-yl)phenyl]-1-phenyl-1,2,4-triazol-3-amine
N-[3-(4-cyclobutylpiperazin-1-yl)-5-methyl-phenyl]-1-phenyl-1,2,4-triazol-3-amine
1-(5-fluoropyrimidin-4-yl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
N-[3-[(1S,4S)-2-cyclopropyl-2,5-diazabicyclo[2.2.1]heptan-5-yl]-5-methyl-phenyl]-1-phenyl-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-(3-methoxy-1-piperidyl)-5-

methyl-phenyl]-1,2,4-triazol-3-amine
N-[3-methyl-5-[1-(3-methyloxetan-3-yl)-4-piperidyl]phenyl]-1-phenyl-1,2,4-triazol-3-amine
5-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]oxazolidin-2-one
2-cyclopropyl-6-[(3R)-3-fluoropyrrolidin-1-yl]-N-(1-phenyl-1,2,4-triazol-3-yl)pyridin-4-amine
N-[3-methyl-5-(4-methylpiperazin-1-yl)phenyl]-1-(3-pyridyl)-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-(2,5-dihydrofuran-3-yl)-5-morpholino-phenyl]-1,2,4-triazol-3-amine
1-(3,4-difluorophenyl)-N-[3-[4-(methoxymethyl)-1-piperidyl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
1-[3-[3-methyl-5-[(1-pyrazin-2-yl-1,2,4-triazol-3-yl)amino]phenyl]-1-piperidyl]ethanone
1-(3,4-difluorophenyl)-N-[3-methyl-5-[1-(oxetan-3-yl)azetidin-3-yl]phenyl]-1,2,4-triazol-3-amine
N-[3-cyclopropyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(6-methylpyrimidin-4-yl)-1,2,4-triazol-3-amine
N-[3-ethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-[4-(trifluoromethoxy)phenyl]-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-methyl-5-[(3S)-1-(oxetan-3-yl)pyrrolidin-3-yl]oxy-phenyl]-1,2,4-triazol-3-amine
N-(3-cyclopropyl-5-morpholino-phenyl)-1-phenyl-1,2,4-triazol-3-amine
N-[3-(2,6-dimethylmorpholin-4-yl)-5-methyl-phenyl]-1-phenyl-1,2,4-triazol-3-amine
N-(2-fluoro-3-methyl-5-morpholino-phenyl)-1-(2-pyridyl)-1,2,4-triazol-3-amine
N-[3-methyl-5-(4-piperidyl)phenyl]-1-phenyl-1,2,4-triazol-3-amine
5-methyl-N1-[1-(oxetan-3-yl)pyrrolidin-3-yl]-N3-(1-phenyl-1,2,4-triazol-3-yl)benzene-1,3-diamine
1-(3,5-difluorophenyl)-N-[3-methoxy-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
2-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperazin-1-yl]-2-methyl-propane-1,3-diol
1-(2,6-dimethylpyrimidin-4-yl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-(oxetan-3-yl)-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
N-[3-ethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(2-methoxypyrimidin-4-yl)-1,2,4-triazol-3-amine
N-[3,5-bis(3,6-dihydro-2H-pyran-4-yl)phenyl]-1-phenyl-1,2,4-triazol-3-amine

N-[3-methyl-5-(3-piperidyl)phenyl]-1-phenyl-1,2,4-triazol-3-amine
1-(3,4-difluorophenyl)-N-[3-methyl-5-(4-methylpiperazin-1-yl)phenyl]-1,2,4-triazol-3-amine
2-[(3R)-1-[3-fluoro-5-[3-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]anilino]-1,2,4-triazol-1-yl]phenyl]pyrrolidin-3-yl]propan-2-ol
2,6-dimorpholino-N-(1-phenyl-1,2,4-triazol-3-yl)pyridin-4-amine
1-(5-fluoro-3-pyridyl)-N-(3-methyl-5-pyrrolidin-1-yl-phenyl)-1,2,4-triazol-3-amine
1-(2,4-difluorophenyl)-N-(3-fluoro-5-morpholino-phenyl)-1,2,4-triazol-3-amine
N-[3-ethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-[4-(trifluoromethyl)phenyl]-1,2,4-triazol-3-amine
1-(3-fluoro-5-methyl-phenyl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-methyl-5-(4-morpholino-1-piperidyl)phenyl]-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-[2-(methoxymethyl)morpholin-4-yl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
N-[3-(4-isopropylpiperazin-1-yl)-5-methyl-phenyl]-1-phenyl-1,2,4-triazol-3-amine
2-cyclopropyl-N-[1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]-6-(1-piperidyl)pyridin-4-amine
1-(3,5-difluorophenyl)-N-[3-methyl-5-(1-methyl-3-piperidyl)phenyl]-1,2,4-triazol-3-amine
1-(4,6-difluoro-2-pyridyl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
N-[3-ethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-phenyl-1,2,4-triazol-3-amine
N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-4-methyl-6-[4-(oxetan-3-yl)piperazin-1-yl]pyridin-2-amine
2-cyclopropyl-N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-[(3S)-3-fluoropyrrolidin-1-yl]pyridin-4-amine
N-[3-methyl-5-(1-methyl-4-piperidyl)phenyl]-1-phenyl-1,2,4-triazol-3-amine
5-methyl-N1-[1-(oxetan-3-yl)-4-piperidyl]-N3-(1-phenyl-1,2,4-triazol-3-yl)benzene-1,3-diamine
N-(3-chloro-5-morpholino-phenyl)-1-phenyl-1,2,4-triazol-3-amine
N-(3-fluoro-5-pyrrolidin-1-yl-phenyl)-1-phenyl-1,2,4-triazol-3-amine
N-[3-(4-cyclopropyl-1,4-diazepan-1-yl)-5-methyl-phenyl]-1-phenyl-1,2,4-triazol-3-amine

N-[3-ethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(2-fluoro-5-methyl-phenyl)-1,2,4-triazol-3-amine
N-[3-cyclopropyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(5-fluoro-3-pyridyl)-1,2,4-triazol-3-amine
N-[3-(1-cyclopropyl-3-piperidyl)-5-methyl-phenyl]-1-phenyl-1,2,4-triazol-3-amine
N-[3-ethyl-5-(3-morpholinoazetidin-1-yl)phenyl]-1-pyrazin-2-yl-1,2,4-triazol-3-amine
5-methyl-N1-[1-(oxetan-3-yl)-4-piperidyl]-N3-[1-(3-pyridyl)-1,2,4-triazol-3-yl]benzene-1,3-diamine
1-(2,4-difluorophenyl)-N-[3-fluoro-5-(1,4-oxazepan-4-yl)phenyl]-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-methylsulfonyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
2-chloro-N-[1-(3,4-dimethoxyphenyl)-1,2,4-triazol-3-yl]-6-morpholino-pyridin-4-amine
N-(3-bromo-5-morpholino-phenyl)-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
1-(2,4-difluorophenyl)-N-[3-[3-(dimethylamino)pyrrolidin-1-yl]-5-fluoro-phenyl]-1,2,4-triazol-3-amine
N-[3-(4-cyclopropylpiperazin-1-yl)-5-(difluoromethyl)phenyl]-1-phenyl-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-methyl-5-(9-methyl-2-oxa-6,9-diazaspiro[3.5]nonan-6-yl)phenyl]-1,2,4-triazol-3-amine
2,2,2-trifluoroethyl 4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperazine-1-carboxylate
N1-(azetidin-3-yl)-5-fluoro-N3-[1-(3-fluorophenyl)-1,2,4-triazol-3-yl]benzene-1,3-diamine
1-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperazin-1-yl]-2,2,2-trifluoro-ethanone
N-[3-cyclopropyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-[6-(methoxymethyl)pyrimidin-4-yl]-1,2,4-triazol-3-amine
2-cyclopropyl-N-[1-(3,4-dimethoxyphenyl)-1,2,4-triazol-3-yl]-6-morpholino-pyridin-4-amine
1-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]azetidin-3-ol
N-(3-methyl-5-pyrrolidin-1-yl-phenyl)-1-[4-(trifluoromethyl)phenyl]-1,2,4-triazol-3-amine
N-[3-morpholino-5-(trifluoromethyl)phenyl]-1-pyrazin-2-yl-1,2,4-triazol-3-amine
N-[3-(3,3a,4,5,7,7a-hexahydro-2H-furo[2,3-c]pyridin-6-yl)-5-methyl-phenyl]-1-phenyl-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-isopropyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-

methyl-phenyl]-1-methyl-piperazin-2-one
1-(3-fluorophenyl)-N-[3-methylsulfonyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-methyl-5-(3-methylpiperazin-1-yl)phenyl]-1,2,4-triazol-3-amine
N-[3-methyl-5-(oxetan-3-yl)phenyl]-1-phenyl-1,2,4-triazol-3-amine
1-[6-(methoxymethyl)pyrimidin-4-yl]-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-2-methyl-6-(4-methylpiperazin-1-yl)pyridin-4-amine
N-[3-morpholino-5-(trifluoromethyl)phenyl]-1-phenyl-1,2,4-triazol-3-amine
2-chloro-6-(4-methylpiperazin-1-yl)-N-(1-phenyl-1,2,4-triazol-3-yl)pyridin-4-amine
tert-butyl 4-[3-ethyl-5-[(1-pyrazin-2-yl-1,2,4-triazol-3-yl)amino]phenyl]piperazine-1-carboxylate
N-[3-[(3aR,6aR)-1-methyl-2,3,3a,4,6,6a-hexahydropyrrolo[2,3-c]pyrrol-5-yl]-5-methyl-phenyl]-1-phenyl-1,2,4-triazol-3-amine
1-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperazin-1-yl]ethanone
N-(3-fluoro-5-morpholino-phenyl)-1-(5-fluoro-3-pyridyl)-1,2,4-triazol-3-amine
N-(3-methyl-5-pyrrolidin-1-yl-phenyl)-1-(p-tolyl)-1,2,4-triazol-3-amine
1-[3-fluoro-5-[2-methoxyethyl(methyl)amino]phenyl]-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-(3-methyl-5-morpholino-phenyl)-1,2,4-triazol-3-amine
1-(3-fluorophenyl)-N-[3-methyl-5-[4-(3-methyloxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
N-[3-tert-butyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-phenyl-1,2,4-triazol-3-amine
N-[3-cyclopropyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(6-methoxypyrimidin-4-yl)-1,2,4-triazol-3-amine
2-cyclopropyl-N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-(1-piperidyl)pyridin-4-amine
1-(3,5-difluorophenyl)-N-[3-methyl-5-(3-pyrazol-1-ylazetidin-1-yl)phenyl]-1,2,4-triazol-3-amine
N-(3-chloro-5-pyrrolidin-1-yl-phenyl)-1-phenyl-1,2,4-triazol-3-amine
N-[3-methyl-5-(4-methylpiperazin-1-yl)phenyl]-1-pyrimidin-5-yl-1,2,4-triazol-3-amine

1-(3-fluorophenyl)-N-[3-methyl-5-[1-(oxetan-3-yl)pyrrolidin-3-yl]phenyl]-1,2,4-triazol-3-amine
1-(2,5-difluorophenyl)-N-[3-methyl-5-[4-(oxetan-3-yl)-1-piperidyl]phenyl]-1,2,4-triazol-3-amine
1-(3,4-difluorophenyl)-N-[3-methyl-5-[[1-(oxetan-3-yl)-4-piperidyl]oxy]phenyl]-1,2,4-triazol-3-amine
N-[3-[4-(methoxymethyl)-1-piperidyl]-5-methyl-phenyl]-1-(4-pyridyl)-1,2,4-triazol-3-amine
1-(3,4-difluorophenyl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
1-(5-fluoro-3-pyridyl)-N-[3-methyl-5-(4-methylpiperazin-1-yl)phenyl]-1,2,4-triazol-3-amine
N-[3-cyclopropyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(3-fluoro-5-methoxy-phenyl)-1,2,4-triazol-3-amine
N-[3-cyclopropyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
1-[3-[[ethyl(methyl)amino]methyl]-5-fluoro-phenyl]-N-[3-methyl-5-(4-methylpiperazin-1-yl)phenyl]-1,2,4-triazol-3-amine
N-[3-methyl-5-[(3R)-1-(oxetan-3-yl)pyrrolidin-3-yl]oxy-phenyl]-1-phenyl-1,2,4-triazol-3-amine
N-[3-(difluoromethyl)-5-morpholino-phenyl]-1-phenyl-1,2,4-triazol-3-amine
N-[1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]-2,6-dimorpholino-pyridin-4-amine
N-(3-fluoro-5-morpholino-phenyl)-1-(4-fluorophenyl)-1,2,4-triazol-3-amine
1-(3-fluoro-5-methoxy-phenyl)-N-[3-methyl-5-(4-methylpiperazin-1-yl)phenyl]-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-[4-(oxetan-3-yl)piperazin-1-yl]-5-propyl-phenyl]-1,2,4-triazol-3-amine
1-(3-chlorophenyl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
N-[3-methyl-5-[4-(2,2,2-trifluoroethyl)piperazin-1-yl]phenyl]-1-phenyl-1,2,4-triazol-3-amine
N-[3-methyl-5-(4-methylpiperazin-1-yl)phenyl]-1-pyridazin-4-yl-1,2,4-triazol-3-amine
1-(3-chloro-5-fluoro-phenyl)-N-[3-cyclopropyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
N-[3-ethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(6-methylpyrazin-2-yl)-1,2,4-triazol-3-amine
1-[4-[3-methyl-5-[(1-phenyl-1,2,4-triazol-3-yl)amino]anilino]-1-piperidyl]ethanone
1-(2-fluoro-4-pyridyl)-N-(3-methyl-5-morpholino-phenyl)-1,2,4-triazol-3-amine

N-[3-methyl-5-(oxetan-3-yl)phenyl]-1-(2-pyridyl)-1,2,4-triazol-3-amine
2-cyclopropyl-N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-morpholino-pyridin-4-amine
1-(3-fluorophenyl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
2-cyclopropyl-N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-tetrahydropyran-4-yl-pyridin-4-amine
1-(3,5-difluorophenyl)-N-[3-methyl-5-[1-(oxetan-3-yl)-3-piperidyl]phenyl]-1,2,4-triazol-3-amine
1-(4-fluorophenyl)-N-[3-methyl-5-(oxetan-3-yl)phenyl]-1,2,4-triazol-3-amine
N-[3-methyl-5-[[1-(oxetan-3-yl)-4-piperidyl]oxy]phenyl]-1-phenyl-1,2,4-triazol-3-amine
1-(3-fluorophenyl)-N-[3-methyl-5-[1-(oxetan-3-yl)-3-piperidyl]phenyl]-1,2,4-triazol-3-amine
1-(3,4-difluorophenyl)-N-[3-(4-methylpiperazin-1-yl)-5-(trifluoromethyl)phenyl]-1,2,4-triazol-3-amine
N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(2,4,5-trifluorophenyl)-1,2,4-triazol-3-amine
N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(o-tolyl)-1,2,4-triazol-3-amine
1-(3-fluoro-5-isopropoxy-phenyl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
3-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-1-(oxetan-3-yl)pyrrolidin-3-ol
1-(2,5-difluorophenyl)-N-[3-ethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(2-methylpyrimidin-4-yl)-1,2,4-triazol-3-amine
N-[3-methyl-5-(2,4,5-trimethylpiperazin-1-yl)phenyl]-1-phenyl-1,2,4-triazol-3-amine
N-[3-(4-cyclopropylpiperazin-1-yl)-5-methyl-phenyl]-1-(6-methylpyrazin-2-yl)-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-methyl-5-[4-(2,2,2-trifluoroethyl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
methyl 4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperazine-1-carboxylate
N-[3-methyl-5-[(3R,5S)-3,4,5-trimethylpiperazin-1-yl]phenyl]-1-phenyl-1,2,4-triazol-3-amine
1-(3-fluoro-5-methyl-phenyl)-N-[3-methyl-5-(4-methylpiperazin-1-yl)phenyl]-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[2,3-dimethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
N-(3-chloro-5-morpholino-phenyl)-1-(3,5-difluorophenyl)-

1,2,4-triazol-3-amine
1-(5-fluoro-3-pyridyl)-N-(3-methyl-5-morpholino-phenyl)-1,2,4-triazol-3-amine
1-(2-chlorophenyl)-N-[3-methyl-5-(4-methylpiperazin-1-yl)phenyl]-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-methyl-5-(3-piperidyl)phenyl]-1,2,4-triazol-3-amine
2-(4-fluoro-1-piperidyl)-6-methyl-N-(1-phenyl-1,2,4-triazol-3-yl)pyridin-4-amine
N-[3-methyl-5-[4-[(3-methyloxetan-3-yl)methyl]piperazin-1-yl]phenyl]-1-phenyl-1,2,4-triazol-3-amine
N-[3-ethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(3-methoxyphenyl)-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-methyl-5-[[1-(oxetan-3-yl)-4-piperidyl]oxy]phenyl]-1,2,4-triazol-3-amine
N-[3-methyl-5-(4-tetrahydrofuran-3-ylpiperazin-1-yl)phenyl]-1-phenyl-1,2,4-triazol-3-amine
1-(3-fluorophenyl)-N-(3-fluoro-5-pyrrolidin-1-yl-phenyl)-1,2,4-triazol-3-amine
N-[3-methyl-5-[4-(3-methyloxetan-3-yl)piperazin-1-yl]phenyl]-1-phenyl-1,2,4-triazol-3-amine
1-(2,5-difluorophenyl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperidin-3-ol
N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(3-methylsulfanylphenyl)-1,2,4-triazol-3-amine
1-(3,4-difluorophenyl)-N-(3-fluoro-5-morpholino-phenyl)-1,2,4-triazol-3-amine
N-(3-fluoro-5-pyrrolidin-1-yl-phenyl)-1-[4-(trifluoromethyl)phenyl]-1,2,4-triazol-3-amine
3-[3-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-1-piperidyl]cyclobutanecarboxylic acid
1-[3-[3-methyl-5-[(1-phenyl-1,2,4-triazol-3-yl)amino]phenyl]pyrrolidin-1-yl]ethanone
1-(3,5-difluorophenyl)-N-[3-methyl-5-(2-oxa-7-azaspiro[3.4]octan-7-yl)phenyl]-1,2,4-triazol-3-amine
1-(2,3-difluorophenyl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
1-(2-chlorophenyl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
1-(5-fluoro-3-pyridyl)-N-[3-methyl-5-(oxetan-3-yl)phenyl]-1,2,4-triazol-3-amine
N1-cyclopropyl-N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-benzene-1,3-diamine

1-[3-[3-methyl-5-[(1-pyrimidin-4-yl-1,2,4-triazol-3-yl)amino]phenyl]-1-piperidyl]ethanone
1-(2,4-difluorophenyl)-N-[3-fluoro-5-(4-methylpiperazin-1-yl)phenyl]-1,2,4-triazol-3-amine
N-(2-fluoro-3-methyl-5-morpholino-phenyl)-1-(3-pyridyl)-1,2,4-triazol-3-amine
N-(3-fluoro-5-pyrrolidin-3-yl-phenyl)-1-phenyl-1,2,4-triazol-3-amine
N-[3-[4-(3,3-difluorocyclobutyl)piperazin-1-yl]-5-methyl-phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
N-[3-cyclopropyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(2-methylpyrimidin-4-yl)-1,2,4-triazol-3-amine
N-[3-[4-(2-fluorophenyl)piperazin-1-yl]-5-methyl-phenyl]-1-phenyl-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-(3-morpholino-5-tetrahydrofuran-3-yl-phenyl)-1,2,4-triazol-3-amine
N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-2-(4-fluoro-1-piperidyl)-6-methyl-pyridin-4-amine
cyclopropyl-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperazin-1-yl]methanone
1-(3,5-difluorophenyl)-N-[3-methyl-5-[(1R,4R)-5-methyl-2,5-diazabicyclo[2.2.1]heptan-2-yl]phenyl]-1,2,4-triazol-3-amine
2-cyclopropyl-6-[(3S)-3-fluoropyrrolidin-1-yl]-N-(1-phenyl-1,2,4-triazol-3-yl)pyridin-4-amine
2-methyl-1-[4-[3-methyl-5-[(1-phenyl-1,2,4-triazol-3-yl)amino]phenyl]piperazin-1-yl]propan-2-ol
N-[3-cyclopropyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(3-fluorophenyl)-1,2,4-triazol-3-amine
N-[3-ethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(3-methylsulfanylphenyl)-1,2,4-triazol-3-amine
N-[3-[4-(methoxymethyl)-1-piperidyl]-5-methyl-phenyl]-1-pyrimidin-4-yl-1,2,4-triazol-3-amine
N-[3-(2,5-dihydrofuran-3-yl)-5-morpholino-phenyl]-1-phenyl-1,2,4-triazol-3-amine
N-[3-(1-cyclopropyl-3-piperidyl)-5-methyl-phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
1-[3-fluoro-5-[(3R)-3-fluoropyrrolidin-1-yl]phenyl]-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
N-[3-ethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(3-fluorophenyl)-1,2,4-triazol-3-amine
1-(2,5-difluorophenyl)-N-[3-methyl-5-[4-(3-methyloxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
N-[2,3-dimethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-pyrazin-2-yl-1,2,4-triazol-3-amine

N-[2-methoxy-3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-pyrazin-2-yl-1,2,4-triazol-3-amine
N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N1-[1-(oxetan-3-yl)pyrrolidin-3-yl]benzene-1,3-diamine
1-(3,5-difluorophenyl)-N-[3-methyl-5-[(3R)-1-(oxetan-3-yl)pyrrolidin-3-yl]phenyl]-1,2,4-triazol-3-amine
N-[3-methyl-5-(2,2,3,3,5,5,6,6-octadeuterio-4-methyl-piperazin-1-yl)phenyl]-1-phenyl-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-morpholino-5-(trifluoromethyl)phenyl]-1,2,4-triazol-3-amine
1-(2-fluoro-5-methyl-phenyl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
2-chloro-N-[1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]-6-(4-methylpiperazin-1-yl)pyridin-4-amine
N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-[4-(trifluoromethoxy)phenyl]-1,2,4-triazol-3-amine
N-[3-methyl-5-[(1S,4S)-5-methyl-2,5-diazabicyclo[2.2.1]heptan-2-yl]phenyl]-1-phenyl-1,2,4-triazol-3-amine
[1-[3-fluoro-5-[3-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]anilino]-1,2,4-triazol-1-yl]phenyl]pyrrolidin-3-yl]methanol
N-[3-[4-(methoxymethyl)-1-piperidyl]-5-methyl-phenyl]-1-phenyl-1,2,4-triazol-3-amine
N-[3-(4-cyclopropylpiperazin-1-yl)-5-methyl-phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
N-[3-methyl-5-[1-[(3-methyloxetan-3-yl)methyl]-4-piperidyl]phenyl]-1-phenyl-1,2,4-triazol-3-amine
N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(2,3,5-trifluorophenyl)-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-methyl-5-(3-morpholinoazetidin-1-yl)phenyl]-1,2,4-triazol-3-amine
N-[3-(4-methylpiperazin-1-yl)-5-(trifluoromethyl)phenyl]-1-phenyl-1,2,4-triazol-3-amine
(3R)-3-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-1-(oxetan-3-yl)pyrrolidin-3-ol
1-(6-chloro-2-pyridyl)-N-(3-methyl-5-pyrrolidin-1-yl-phenyl)-1,2,4-triazol-3-amine
N-[3-ethyl-5-[4-(3-methyloxetan-3-yl)piperazin-1-yl]phenyl]-1-pyrazin-2-yl-1,2,4-triazol-3-amine
N1-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N3-[(2-methyltetrahydrofuran-2-yl)methyl]benzene-1,3-diamine
1-[3-fluoro-5-[(2R)-2-(methoxymethyl)pyrrolidin-1-yl]phenyl]-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
2-cyclopropyl-N-[1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]-6-

[(3S)-3-fluoropyrrolidin-1-yl]pyridin-4-amine
[1-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]azetidin-3-yl]methanol
N-[3-cyclopropyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-[3-fluoro-5-(3-methoxyazetidin-1-yl)phenyl]-1,2,4-triazol-3-amine
1-[2-(azepan-1-yl)-4-pyridyl]-N-[3-methyl-5-(4-methylpiperazin-1-yl)phenyl]-1,2,4-triazol-3-amine
N1-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N3-(2-tetrahydrofuran-2-ylethyl)benzene-1,3-diamine
1-(2,4-difluorophenyl)-N-(3-fluoro-5-pyrrolidin-1-yl-phenyl)-1,2,4-triazol-3-amine
2-cyclopropyl-N-[1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]-6-[(3R)-3-fluoropyrrolidin-1-yl]pyridin-4-amine
3-[3-[3-methyl-5-(4-methylpiperazin-1-yl)anilino]-1,2,4-triazol-1-yl]benzonitrile
N-[1-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]pyrrolidin-3-yl]-N-methyl-acetamide
N-[1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]-4-methyl-6-(3-morpholinoazetidin-1-yl)pyridin-2-amine
4-methyl-6-(3-morpholinoazetidin-1-yl)-N-(1-phenyl-1,2,4-triazol-3-yl)pyridin-2-amine
4-methyl-6-(3-morpholinoazetidin-1-yl)-N-[1-(2-pyridyl)-1,2,4-triazol-3-yl]pyridin-2-amine
N-[1-(2-fluoro-4-pyridyl)-1,2,4-triazol-3-yl]-4-methyl-6-(3-morpholinoazetidin-1-yl)pyridin-2-amine
[3-acetoxy-2-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperazin-1-yl]-2-methyl-propyl] acetate
1-(3,5-difluorophenyl)-N-[3-methyl-5-[3-(oxetan-3-yl)azetidin-1-yl]phenyl]-1,2,4-triazol-3-amine
N-[3-[4-(oxetan-3-yl)-1-piperidyl]-5-(trifluoromethyl)phenyl]-1-pyrazin-2-yl-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-methyl-5-(3-oxa-6-azaspiro[3.3]heptan-6-yl)phenyl]-1,2,4-triazol-3-amine
2-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperazin-1-yl]-2-methyl-propanoic acid
1-(3,5-difluorophenyl)-N-[3-methyl-5-(3-pyrrolidin-1-ylazetidin-1-yl)phenyl]-1,2,4-triazol-3-amine
N-[3-isopropyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-pyrimidin-4-yl-1,2,4-triazol-3-amine
4-(difluoromethyl)-N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-[4-(oxetan-3-yl)piperazin-1-yl]pyridin-2-amine
N-[3-(6-oxa-3-azabicyclo[3.1.1]heptan-3-yl)-5-(trifluoromethyl)phenyl]-1-pyrazin-2-yl-1,2,4-triazol-3-amine

N-[3-[4-(oxetan-3-yl)piperazin-1-yl]-5-(trifluoromethyl)phenyl]-1-pyrazin-2-yl-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-[4-fluoro-1-(oxetan-3-yl)-4-piperidyl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-1-(oxetan-3-yl)piperidin-4-ol
3-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]oxazolidin-2-one
1-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-3-isopropyl-azetidin-3-ol
2-[1-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]azetidin-3-yl]propan-2-ol
N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-N1-(3-methoxycyclobutyl)-5-methyl-benzene-1,3-diamine
N-[3-methyl-5-[4-(oxetan-3-yl)-1-piperidyl]phenyl]-1-pyrazin-2-yl-1,2,4-triazol-3-amine
1-(3-fluorophenyl)-N-[3-methyl-5-[4-(oxetan-3-yl)-1-piperidyl]phenyl]-1,2,4-triazol-3-amine
1-(3-fluorophenyl)-N-[3-isopropyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
4-(difluoromethyl)-N-[1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]-6-[4-(oxetan-3-yl)piperazin-1-yl]pyridin-2-amine
4-(difluoromethyl)-N-[1-(3-fluorophenyl)-1,2,4-triazol-3-yl]-6-[4-(oxetan-3-yl)piperazin-1-yl]pyridin-2-amine
4-(difluoromethyl)-6-[4-(oxetan-3-yl)piperazin-1-yl]-N-(1-phenyl-1,2,4-triazol-3-yl)pyridin-2-amine
1-(3,5-difluorophenyl)-N-[3-methyl-5-(6-oxa-3-azabicyclo[3.1.1]heptan-3-yl)phenyl]-1,2,4-triazol-3-amine
N-[3-(3,3a,4,6,7,7a-hexahydro-2H-furo[3,2-c]pyridin-5-yl)-5-methyl-phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-4-methyl-6-piperazin-1-yl-pyridin-2-amine
1-(3,5-difluorophenyl)-N-[3-methyl-5-[4-[(3S)-tetrahydrofuran-3-yl]piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-methyl-5-[4-[(3R)-tetrahydrofuran-3-yl]piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
4-(difluoromethyl)-6-[4-(oxetan-3-yl)piperazin-1-yl]-N-[1-(2-pyridyl)-1,2,4-triazol-3-yl]pyridin-2-amine
tert-butyl 4-[6-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-4-methyl-2-pyridyl]piperazine-1-carboxylate
[2-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperazin-1-yl]-3-hydroxy-2-methyl-propyl] acetate

N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-pyrimidin-5-yl-1,2,4-triazol-3-amine
N-[3-isopropyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-pyrazin-2-yl-1,2,4-triazol-3-amine
N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-4-methyl-6-(3-morpholinoazetidin-1-yl)pyridin-2-amine
N-[1-(3-fluorophenyl)-1,2,4-triazol-3-yl]-4-methyl-6-(3-morpholinoazetidin-1-yl)pyridin-2-amine
N-[3-cyclopropyl-5-(3-morpholinoazetidin-1-yl)phenyl]-1-pyrazin-2-yl-1,2,4-triazol-3-amine
N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-[4-(oxetan-3-yl)piperazin-1-yl]-4-(trifluoromethyl)pyridin-2-amine
1-(3,5-difluorophenyl)-N-[3-methyl-5-(2-oxa-8-azaspiro[3.5]nonan-8-yl)phenyl]-1,2,4-triazol-3-amine
1-[1-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]azetidin-3-yl]pyrrolidin-2-one
1-(3,5-difluorophenyl)-N-[3-methyl-5-(9-oxa-6-azaspiro[3.5]nonan-6-yl)phenyl]-1,2,4-triazol-3-amine
N-[3-ethyl-5-(3-morpholinoazetidin-1-yl)phenyl]-1-pyrimidin-5-yl-1,2,4-triazol-3-amine
N-[1-(3-fluorophenyl)-1,2,4-triazol-3-yl]-6-[4-(oxetan-3-yl)piperazin-1-yl]-4-(trifluoromethyl)pyridin-2-amine
N-[1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]-6-[4-(oxetan-3-yl)piperazin-1-yl]-4-(trifluoromethyl)pyridin-2-amine
6-[4-(oxetan-3-yl)piperazin-1-yl]-N-(1-phenyl-1,2,4-triazol-3-yl)-4-(trifluoromethyl)pyridin-2-amine
6-[4-(oxetan-3-yl)piperazin-1-yl]-N-[1-(2-pyridyl)-1,2,4-triazol-3-yl]-4-(trifluoromethyl)pyridin-2-amine
N-[3-ethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-pyrimidin-5-yl-1,2,4-triazol-3-amine
N-[3-tert-butyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-pyrimidin-5-yl-1,2,4-triazol-3-amine
1-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-3-methyl-azetidin-3-ol
1-(3,5-difluorophenyl)-N-[3-methyl-5-[3-(trifluoromethyl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
1-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-3-ethyl-azetidin-3-ol
4-[6-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-4-(trifluoromethyl)-2-pyridyl]-N-ethyl-piperazine-1-carboxamide
1-[4-[6-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-4-(trifluoromethyl)-2-pyridyl]piperazin-1-yl]ethanone
N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-piperazin-1-yl-4-(trifluoromethyl)pyridin-2-amine

tert-butyl 4-[6-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-4-(trifluoromethyl)-2-pyridyl]piperazine-1-carboxylate
1-(2,5-difluorophenyl)-N-(3-methyl-5-piperazin-1-yl-phenyl)-1,2,4-triazol-3-amine
1-(3,4-difluorophenyl)-N-[3-[4-(oxetan-3-yl)piperazin-1-yl]-5-propyl-phenyl]-1,2,4-triazol-3-amine
N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-4-methyl-6-morpholino-pyridin-2-amine
2-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-1,3,4,7,8,8a-hexahydropyrrolo[1,2-a]pyrazin-6-one
N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-4-methyl-6-(4-tetrahydrofuran-3-ylpiperazin-1-yl)pyridin-2-amine
N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-4-methyl-6-[3-(oxetan-3-yl)azetidin-1-yl]pyridin-2-amine
N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-(4-tetrahydrofuran-3-ylpiperazin-1-yl)-4-(trifluoromethyl)pyridin-2-amine
ethyl 1-[6-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-4-methyl-2-pyridyl]piperidine-4-carboxylate
N-[3-(3,4,6,7,9,9a-hexahydro-1H-pyrazino[2,1-c][1,4]oxazin-8-yl)-5-methyl-phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-4-[4-(oxetan-3-yl)piperazin-1-yl]-6-(trifluoromethyl)pyridin-2-amine
N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-(3-fluoro-1-piperidyl)-4-methyl-pyridin-2-amine
1-[6-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-4-methyl-2-pyridyl]-4-methyl-piperidin-4-ol
N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-4-methyl-6-(7-oxa-2-azaspiro[3.4]octan-2-yl)pyridin-2-amine
N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-4-methyl-6-(3-oxa-6-azaspiro[3.3]heptan-6-yl)pyridin-2-amine
2-[1-[6-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-4-methyl-2-pyridyl]azetidin-3-yl]propan-2-ol
N-[3,5-bis(4-tert-butylpiperazin-1-yl)phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
N-[3,5-bis[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
N-[3-methyl-5-(2-morpholinoethoxy)phenyl]-1-[3-(trifluoromethyl)phenyl]-1,2,4-triazol-3-amine
4-(difluoromethyl)-6-[4-(oxetan-3-yl)piperazin-1-yl]-N-(1-pyrazin-2-yl-1,2,4-triazol-3-yl)pyridin-2-amine
1-(3,4-difluorophenyl)-N-[3-ethyl-5-[4-(3-methyloxetan-3-

yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
4-methyl-6-[4-(oxetan-3-yl)piperazin-1-yl]-N-[1-[3-(trifluoromethyl)phenyl]-1,2,4-triazol-3-yl]pyridin-2-amine
4-(1,1-difluoroethyl)-N-[1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]-6-[4-(oxetan-3-yl)piperazin-1-yl]pyridin-2-amine
N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-4-methyl-6-[4-(3-methyloxetan-3-yl)piperazin-1-yl]pyridin-2-amine
1-(3,5-difluorophenyl)-N-[3-methyl-5-[4-(oxetan-3-yl)morpholin-2-yl]phenyl]-1,2,4-triazol-3-amine
[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperazin-1-yl]-morpholino-methanone
N-[3-methyl-5-[[4-(oxetan-3-yl)piperazin-1-yl]methyl]phenyl]-1-pyrazin-2-yl-1,2,4-triazol-3-amine
1-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-N-[(1S)-2-methoxy-1-methyl-ethyl]azetidine-3-carboxamide
N-[3-methyl-5-[4-(3-methyloxetan-3-yl)piperazin-1-yl]phenyl]-1-(3-pyridyl)-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-methyl-5-[3-(4-oxa-7-azaspiro[2.5]octan-7-yl)azetidin-1-yl]phenyl]-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[2-fluoro-3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
5-methyl-N1-(5-methylthiazol-2-yl)-N3-(1-phenyl-1,2,4-triazol-3-yl)benzene-1,3-diamine
[1-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-4-piperidyl]-morpholino-methanone
1-(3,4-difluorophenyl)-N-[3-methyl-5-[[1-(oxetan-3-yl)-3-piperidyl]oxy]phenyl]-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-isopropoxy-2-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
3-[4-(oxetan-3-yl)piperazin-1-yl]-5-[(1-phenyl-1,2,4-triazol-3-yl)amino]benzonitrile
1-(3,5-difluorophenyl)-N-[3-methyl-5-[4-(2-morpholinoethyl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
N-[3-fluoro-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(3-fluorophenyl)-1,2,4-triazol-3-amine
1-[3-(difluoromethyl)phenyl]-N-[3-methyl-5-(3-morpholinoazetidin-1-yl)phenyl]-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-methyl-5-[[4-(oxetan-3-yl)piperazin-1-yl]methyl]phenyl]-1,2,4-triazol-3-amine
1-(3-chloro-4-fluoro-phenyl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
N-[3-fluoro-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-pyrazin-2-yl-1,2,4-triazol-3-amine

N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-4-methoxy-6-[4-(oxetan-3-yl)piperazin-1-yl]pyridin-2-amine
4-methyl-N2-tetrahydrofuran-3-yl-N6-[1-[3-(trifluoromethyl)phenyl]-1,2,4-triazol-3-yl]pyridine-2,6-diamine
N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-(3-morpholinoazetidin-1-yl)-4-(trifluoromethyl)pyridin-2-amine
1-(3,5-difluorophenyl)-N-[3-[3-(2,2-dimethylmorpholin-4-yl)azetidin-1-yl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
(5S)-5-methyl-5-[3-methyl-5-[(1-pyrazin-2-yl-1,2,4-triazol-3-yl)amino]phenyl]oxazolidin-2-one
N1-(1-ethyl-1,2,4-triazol-3-yl)-5-methyl-N3-(1-phenyl-1,2,4-triazol-3-yl)benzene-1,3-diamine
1-(3,5-difluorophenyl)-N-[3-methyl-5-[(1-methylpyrazol-3-yl)methoxy]phenyl]-1,2,4-triazol-3-amine
1-(4-fluorophenyl)-N-[3-methyl-5-(3-morpholinoazetidin-1-yl)phenyl]-1,2,4-triazol-3-amine
2-[[1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]amino]-6-[4-(oxetan-3-yl)piperazin-1-yl]pyridine-4-carbonitrile
3-morpholino-5-[(1-phenyl-1,2,4-triazol-3-yl)amino]benzonitrile
N-[3-methyl-5-[4-(oxetan-3-yl)-1,4-diazepan-1-yl]phenyl]-1-(2-pyridyl)-1,2,4-triazol-3-amine
4-methoxy-6-[4-(oxetan-3-yl)piperazin-1-yl]-N-[1-[3-(trifluoromethyl)phenyl]-1,2,4-triazol-3-yl]pyridin-2-amine
(5S)-5-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-3,5-dimethyl-oxazolidin-2-one
N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-fluoro-4-(3-morpholinoazetidin-1-yl)pyridin-2-amine
5-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-3,5-dimethyl-oxazolidin-2-one
N6-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-4-methyl-N2-tetrahydrofuran-3-yl-pyridine-2,6-diamine
1-(3,4-difluorophenyl)-N-[3-methyl-5-[(3-methyloxetan-3-yl)methoxy]phenyl]-1,2,4-triazol-3-amine
N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-methyl-4-(3-morpholinoazetidin-1-yl)pyridin-2-amine
1-(3,5-difluorophenyl)-N-[3-[3-(4-fluoro-1-piperidyl)azetidin-1-yl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-[3-[(2R,6S)-2,6-dimethylmorpholin-4-yl]azetidin-1-yl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-[4-(methoxymethyl)-1-piperidyl]-4-methyl-pyridin-2-amine
1-(3,5-difluorophenyl)-N-[3-methyl-5-[[1-(oxetan-3-yl)-3-

piperidyl]oxy]phenyl]-1,2,4-triazol-3-amine
N-[1-(4-chloro-3-fluoro-phenyl)-1,2,4-triazol-3-yl]-4-(difluoromethyl)-6-[4-(oxetan-3-yl)piperazin-1-yl]pyridin-2-amine
1-(4-fluorophenyl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-methyl-5-[(2S)-4-(oxetan-3-yl)morpholin-2-yl]phenyl]-1,2,4-triazol-3-amine
1-(3-fluoro-4-methoxy-phenyl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
4-(methoxymethyl)-6-[4-(oxetan-3-yl)piperazin-1-yl]-N-[1-[3-(trifluoromethyl)phenyl]-1,2,4-triazol-3-yl]pyridin-2-amine
1-[4-[3-[[1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenoxy]-1-piperidyl]ethanone
1-(3,4-difluorophenyl)-N-[3-methyl-5-(tetrahydropyran-4-ylmethoxy)phenyl]-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-methyl-5-[(1R,4R)-2-oxa-5-azabicyclo[2.2.1]heptan-5-yl]phenyl]-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-methyl-5-[5-methyl-4-(oxetan-3-yl)morpholin-2-yl]phenyl]-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[2-fluoro-5-methyl-3-[[4-(oxetan-3-yl)piperazin-1-yl]methyl]phenyl]-1,2,4-triazol-3-amine
N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-4-methyl-6-(1,2,3,6-tetrahydropyridin-4-yl)pyridin-2-amine
1-(3,4-difluorophenyl)-N-[3-methyl-5-[[(3S)-1-(oxetan-3-yl)-3-piperidyl]oxy]phenyl]-1,2,4-triazol-3-amine
1-(3,4-difluorophenyl)-N-[3-methyl-5-[4-(3-methyloxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-methyl-5-[3-(1-piperidyl)azetidin-1-yl]phenyl]-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-(3-methyl-5-tetrahydropyran-3-yloxy-phenyl)-1,2,4-triazol-3-amine
N-[1-[3-(difluoromethyl)phenyl]-1,2,4-triazol-3-yl]-6-(3-morpholinoazetidin-1-yl)-4-(trifluoromethyl)pyridin-2-amine
1-(3,5-difluorophenyl)-N-[3-methyl-5-[4-(oxetan-3-yl)-1,4-diazepan-1-yl]phenyl]-1,2,4-triazol-3-amine
1-(3,4-difluorophenyl)-N-(3-methyl-5-tetrahydropyran-3-yloxy-phenyl)-1,2,4-triazol-3-amine
N-[1-[3-(difluoromethyl)phenyl]-1,2,4-triazol-3-yl]-4-methoxy-6-[4-(oxetan-3-yl)piperazin-1-yl]pyridin-2-amine
N-[3-[(3,3-difluorocyclobutyl)methoxy]-5-methyl-phenyl]-1-(3,4-difluorophenyl)-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-isopropoxy-2-methyl-5-(3-morpholinoazetidin-1-yl)phenyl]-1,2,4-triazol-3-amine
N-[3-fluoro-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(2-

pyridyl)-1,2,4-triazol-3-amine
5-methyl-N3-(1-phenyl-1,2,4-triazol-3-yl)-N1-thiazol-2-yl-benzene-1,3-diamine
N-[3-methyl-5-(2-pyrazol-1-ylethoxy)phenyl]-1-[3-(trifluoromethyl)phenyl]-1,2,4-triazol-3-amine
4-(difluoromethyl)-N-[1-[3-(difluoromethyl)phenyl]-1,2,4-triazol-3-yl]-6-[4-(methoxymethyl)-1-piperidyl]pyridin-2-amine
1-(3,5-difluoro-4-methoxy-phenyl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
1-(4-fluoro-3-methyl-phenyl)-N-[3-fluoro-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-methyl-5-(tetrahydrofuran-3-ylmethoxy)phenyl]-1,2,4-triazol-3-amine
N1-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N3-(3-morpholinocyclobutyl)benzene-1,3-diamine
N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-(4-methylpiperazin-1-yl)-4-[4-(oxetan-3-yl)piperazin-1-yl]pyridin-2-amine
N-[3-methyl-5-(3-morpholinoazetidin-1-yl)phenyl]-1-[3-(trifluoromethyl)phenyl]-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-methyl-5-[3-(5-oxa-2-azabicyclo[4.1.0]heptan-2-yl)azetidin-1-yl]phenyl]-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-isopropoxy-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-(4-ethylpiperazin-1-yl)-4-(trifluoromethyl)pyridin-2-amine
(5R)-5-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-5-methyl-oxazolidin-2-one
3-[[1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-[4-(oxetan-3-yl)piperazin-1-yl]benzonitrile
N-[3,5-bis(4-methylpiperazin-1-yl)phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
5-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-5-methyl-oxazolidin-2-one
1-(3,4-difluorophenyl)-N-[3-methyl-5-[3-(1,4-oxazepan-4-yl)azetidin-1-yl]phenyl]-1,2,4-triazol-3-amine
6-chloro-N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-4-(3-morpholinoazetidin-1-yl)pyridin-2-amine
1-(3,5-difluorophenyl)-N-[3-[3-(1,1-dioxo-1,4-thiazinan-4-yl)azetidin-1-yl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
N-[3-methoxy-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-[3-(trifluoromethyl)phenyl]-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-methyl-5-[6-methyl-4-(oxetan-3-

yl)morpholin-2-yl]phenyl]-1,2,4-triazol-3-amine
2-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-N-methyl-6-[4-(oxetan-3-yl)piperazin-1-yl]pyridine-4-carboxamide
1-(3,4-difluorophenyl)-N-[3-methyl-5-[[(3R)-1-(oxetan-3-yl)-3-piperidyl]oxy]phenyl]-1,2,4-triazol-3-amine
3-morpholino-5-[(1-pyrazin-2-yl-1,2,4-triazol-3-yl)amino]benzonitrile
1-(3,5-difluorophenyl)-N-[3-methyl-5-[(2S)-2-methyl-4-(oxetan-3-yl)morpholin-2-yl]phenyl]-1,2,4-triazol-3-amine
3-[[1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-morpholino-benzonitrile
N3-(1-isopropylpyrazol-3-yl)-5-methyl-N1-(1-phenyl-1,2,4-triazol-3-yl)benzene-1,3-diamine
1-(3,5-difluorophenyl)-N-[3-methyl-5-[3-[(3R)-3-methylmorpholin-4-yl]azetidin-1-yl]phenyl]-1,2,4-triazol-3-amine
N-[3-methyl-5-[(3-methyloxetan-3-yl)methoxy]phenyl]-1-[3-(trifluoromethyl)phenyl]-1,2,4-triazol-3-amine
N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-[4-[(3R)-tetrahydrofuran-3-yl]piperazin-1-yl]-4-(trifluoromethyl)pyridin-2-amine
N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-4-methyl-6-[4-[(3R)-tetrahydrofuran-3-yl]piperazin-1-yl]pyridin-2-amine
N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-morpholino-4-(trifluoromethyl)pyridin-2-amine
methyl 2-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-6-morpholino-pyridine-4-carboxylate
5-methyl-N3-(1-methyl-1,2,4-triazol-3-yl)-N1-(1-phenyl-1,2,4-triazol-3-yl)benzene-1,3-diamine
1-(3,5-difluorophenyl)-N-[3-methyl-5-[(3-methyloxetan-3-yl)methoxy]phenyl]-1,2,4-triazol-3-amine
3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-[4-(oxetan-3-yl)piperazin-1-yl]benzonitrile
(5S)-5-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-5-methyl-oxazolidin-2-one
4-(difluoromethyl)-6-[4-(oxetan-3-yl)piperazin-1-yl]-N-[1-[3-(trifluoromethyl)phenyl]-1,2,4-triazol-3-yl]pyridin-2-amine
5-methyl-N1,N3-bis(1-phenyl-1,2,4-triazol-3-yl)benzene-1,3-diamine
(5R)-5-methyl-5-[3-methyl-5-[(1-pyrazin-2-yl-1,2,4-triazol-3-yl)amino]phenyl]oxazolidin-2-one
1-(3,5-difluorophenyl)-N-[3-methyl-5-(3-methyl-3-morpholino-azetidin-1-yl)phenyl]-1,2,4-triazol-3-amine
6-[4-(oxetan-3-yl)piperazin-1-yl]-N-[1-(3-pyridyl)-1,2,4-

triazol-3-yl]-4-(trifluoromethyl)pyridin-2-amine
4-(1,1-difluoroethyl)-N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-[4-(oxetan-3-yl)piperazin-1-yl]pyridin-2-amine
N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-fluoro-N1-(oxetan-3-yl)benzene-1,3-diamine
4-[3-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]anilino]-1,2,4-triazol-1-yl]benzonitrile
N-[3-(2,6-diazaspiro[3.3]heptan-2-yl)-2-fluoro-5-methyl-phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
N6-[1-[3-(difluoromethyl)phenyl]-1,2,4-triazol-3-yl]-4-methyl-N2-tetrahydrofuran-3-yl-pyridine-2,6-diamine
[1-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]azetidin-3-yl]-morpholino-methanone
1-(3,5-difluorophenyl)-N-[2-fluoro-5-methyl-3-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-methyl-5-(8-oxa-3-azabicyclo[3.2.1]octan-3-yl)phenyl]-1,2,4-triazol-3-amine
1-[3-(difluoromethyl)phenyl]-N-[3-methoxy-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-methyl-5-(3-oxa-6-azabicyclo[3.1.1]heptan-6-yl)phenyl]-1,2,4-triazol-3-amine
1-(4-fluorophenyl)-N-[3-methyl-5-[4-(3-methyloxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-methyl-5-[3-[(2R)-2-methylmorpholin-4-yl]azetidin-1-yl]phenyl]-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[2,5-dimethyl-3-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
1-(3,4-difluorophenyl)-N-[3-methyl-5-(2-pyrazol-1-ylethoxy)phenyl]-1,2,4-triazol-3-amine
5-methyl-N3-(5-methyl-1H-pyrazol-3-yl)-N1-(1-phenyl-1,2,4-triazol-3-yl)benzene-1,3-diamine
1-(3,5-difluorophenyl)-N-[3-methyl-5-[[(3S)-1-(oxetan-3-yl)-3-piperidyl]oxy]phenyl]-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-(3-morpholinoazetidin-1-yl)-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
6-(4-tert-butylpiperazin-1-yl)-N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-4-methyl-pyridin-2-amine
1-(3,5-difluorophenyl)-N-(3-methyl-5-tetrahydrofuran-3-yloxy-phenyl)-1,2,4-triazol-3-amine
N-[3-methyl-5-(3-morpholinoazetidin-1-yl)phenyl]-1-phenyl-1,2,4-triazol-3-amine
5-chloro-N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-N1-(oxetan-3-yl)benzene-1,3-diamine
N-[3-[(3,3-difluorocyclobutyl)methoxy]-5-methyl-phenyl]-1-

(3,5-difluorophenyl)-1,2,4-triazol-3-amine
6-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-4-[4-(oxetan-3-yl)piperazin-1-yl]pyridine-2-carbonitrile
1-(3,5-difluorophenyl)-N-[3-methyl-5-[2-methyl-4-(oxetan-3-yl)morpholin-2-yl]phenyl]-1,2,4-triazol-3-amine
N-[3-(4-tert-butylpiperazin-1-yl)-5-methyl-phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
1-(4-methoxyphenyl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
1-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-N,N-dimethyl-azetidine-3-carboxamide
6-[4-(oxetan-3-yl)piperazin-1-yl]-N-(1-pyrazin-2-yl-1,2,4-triazol-3-yl)-4-(trifluoromethyl)pyridin-2-amine
[2-[[1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]amino]-6-[4-(oxetan-3-yl)piperazin-1-yl]-4-pyridyl]methanol
1-(3,4-difluorophenyl)-N-[3-methyl-5-[(1-methylpyrazol-3-yl)methoxy]phenyl]-1,2,4-triazol-3-amine
1-(3-chloro-4-methyl-phenyl)-N-[3-ethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
4-(difluoromethyl)-N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-[4-(3-methyloxetan-3-yl)piperazin-1-yl]pyridin-2-amine
1-(3,5-difluorophenyl)-N-[3-methyl-5-[3-(8-oxa-3-azabicyclo[3.2.1]octan-3-yl)azetidin-1-yl]phenyl]-1,2,4-triazol-3-amine
4-(difluoromethyl)-N-[1-[3-(difluoromethyl)phenyl]-1,2,4-triazol-3-yl]-6-[4-(oxetan-3-yl)piperazin-1-yl]pyridin-2-amine
4-(difluoromethyl)-6-(3-morpholinoazetidin-1-yl)-N-[1-[3-(trifluoromethyl)phenyl]-1,2,4-triazol-3-yl]pyridin-2-amine
1-(3,4-difluorophenyl)-N-[3-methyl-5-(3-morpholinoazetidin-1-yl)phenyl]-1,2,4-triazol-3-amine
1-(3-fluorophenyl)-N-[3-methyl-5-(3-morpholinoazetidin-1-yl)phenyl]-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-methyl-5-(3-oxa-8-azabicyclo[3.2.1]octan-8-yl)phenyl]-1,2,4-triazol-3-amine
N-[3-chloro-5-(3-morpholinoazetidin-1-yl)phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-(2,5-dioxa-8-azaspiro[3.5]nonan-8-yl)-5-methyl-phenyl]-1,2,4-triazol-3-amine
1-[3-(difluoromethyl)phenyl]-N-[3-methyl-5-(4-morpholino-1-piperidyl)phenyl]-1,2,4-triazol-3-amine
N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-4,6-bis[4-(oxetan-3-yl)piperazin-1-yl]pyridin-2-amine
1-(3,5-difluorophenyl)-N-[3-methyl-5-(2-oxa-5-azabicyclo[2.2.1]heptan-5-yl)phenyl]-1,2,4-triazol-3-amine
N-[1-(4-fluorophenyl)-1,2,4-triazol-3-yl]-6-[4-(oxetan-3-

yl)piperazin-1-yl]-4-(trifluoromethyl)pyridin-2-amine
4-(difluoromethyl)-N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-[4-(methoxymethyl)-1-piperidyl]pyridin-2-amine
1-(3,5-difluorophenyl)-N-[3-methyl-5-[3-[(2S)-2-methylmorpholin-4-yl]azetidin-1-yl]phenyl]-1,2,4-triazol-3-amine
N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-4-methyl-6-(4-methylpiperazin-1-yl)pyridin-2-amine
4-(difluoromethyl)-N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-(3-morpholinoazetidin-1-yl)pyridin-2-amine
6-chloro-N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-4-[4-(oxetan-3-yl)piperazin-1-yl]pyridin-2-amine
3-[3-[3-ethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]anilino]-1,2,4-triazol-1-yl]benzonitrile
1-(3-fluoro-4-methoxy-phenyl)-N-[3-methyl-5-(3-morpholinoazetidin-1-yl)phenyl]-1,2,4-triazol-3-amine
1-[3-(difluoromethyl)phenyl]-N-[3-ethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
3-[[1-(3-fluorophenyl)-1,2,4-triazol-3-yl]amino]-5-morpholino-benzonitrile
N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-N1,5-dimethyl-N1-(2-morpholinoethyl)benzene-1,3-diamine
1-(3,5-difluorophenyl)-N-[2,3-dimethyl-5-(3-morpholinoazetidin-1-yl)phenyl]-1,2,4-triazol-3-amine
N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-4-methyl-6-[4-[(3S)-tetrahydrofuran-3-yl]piperazin-1-yl]pyridin-2-amine
5-methyl-5-[3-methyl-5-[(1-pyrazin-2-yl-1,2,4-triazol-3-yl)amino]phenyl]oxazolidin-2-one
1-(3,5-difluorophenyl)-N-[3-methyl-5-[3-(6-oxa-3-azabicyclo[3.1.1]heptan-3-yl)azetidin-1-yl]phenyl]-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[2-fluoro-5-methyl-3-(2-oxa-6-azaspiro[3.3]heptan-6-yl)phenyl]-1,2,4-triazol-3-amine
N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-4-methyl-6-(4-piperidyl)pyridin-2-amine
N-[3-[4-(3,3-difluoroazetidin-1-yl)-1-piperidyl]-5-methyl-phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-methyl-5-[3-(3-oxa-8-azabicyclo[3.2.1]octan-8-yl)azetidin-1-yl]phenyl]-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-[4-[3-(dimethylamino)propyl]piperazin-1-yl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-methyl-5-[[(3R)-1-(oxetan-3-yl)-3-piperidyl]oxy]phenyl]-1,2,4-triazol-3-amine

N-[1-(4-chloro-3-fluoro-phenyl)-1,2,4-triazol-3-yl]-4-methyl-6-[4-(oxetan-3-yl)piperazin-1-yl]pyridin-2-amine
1-(3,5-difluorophenyl)-N-[3-methyl-5-[(2R)-2-methyl-4-(oxetan-3-yl)morpholin-2-yl]phenyl]-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-methyl-5-[(2R)-4-(oxetan-3-yl)morpholin-2-yl]phenyl]-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-methyl-5-(3-morpholinocyclobutoxy)phenyl]-1,2,4-triazol-3-amine
N-[3-chloro-5-(3-morpholinoazetidin-1-yl)phenyl]-1-pyrazin-2-yl-1,2,4-triazol-3-amine
1-[3-fluoro-5-(trifluoromethyl)phenyl]-N-[3-methoxy-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
1-[6-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-4-methyl-2-pyridyl]piperidin-3-ol
4-(difluoromethyl)-N-[1-[3-(difluoromethyl)phenyl]-1,2,4-triazol-3-yl]-6-(3-morpholinoazetidin-1-yl)pyridin-2-amine
1-[3-fluoro-5-(trifluoromethyl)phenyl]-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
N-[1-(4-chloro-3-fluoro-phenyl)-1,2,4-triazol-3-yl]-4-methoxy-6-[4-(oxetan-3-yl)piperazin-1-yl]pyridin-2-amine
3-[3-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]anilino]-1,2,4-triazol-1-yl]benzonitrile
N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-2-[4-(oxetan-3-yl)piperazin-1-yl]-6-(trifluoromethyl)pyridin-4-amine
N-[3-ethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(3-fluoro-4-methyl-phenyl)-1,2,4-triazol-3-amine
4-[3-[3-ethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]anilino]-1,2,4-triazol-1-yl]benzonitrile
3-morpholino-5-[[1-(3-pyridyl)-1,2,4-triazol-3-yl]amino]benzonitrile
N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-(3-methoxy-1-piperidyl)-4-methyl-pyridin-2-amine
N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-2-fluoro-5-methyl-N1-tetrahydrofuran-3-yl-benzene-1,3-diamine
N-[3-(3-fluoroazetidin-1-yl)-5-methyl-phenyl]-1-[3-fluoro-5-(2-methoxyethylamino)phenyl]-1,2,4-triazol-3-amine
1-(3-chloro-4-methyl-phenyl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
N-[1-[3-(difluoromethyl)phenyl]-1,2,4-triazol-3-yl]-4-methyl-6-(3-morpholinoazetidin-1-yl)pyridin-2-amine
N-[3-(2-cyclopropylethynyl)-5-methyl-phenyl]-1-(3-fluorophenyl)-1,2,4-triazol-3-amine
N-[1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]-6-morpholino-4-(trifluoromethyl)pyridin-2-amine
1-(3,5-difluorophenyl)-N-[3-methyl-5-(3,3,4-

trimethylpiperazin-1-yl)phenyl]-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-methyl-5-[4-(4-methylpiperazin-1-yl)-1-piperidyl]phenyl]-1,2,4-triazol-3-amine
[1-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]azetidin-3-yl]-pyrrolidin-1-yl-methanone
N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-(3,6-dihydro-2H-pyran-4-yl)-4-methyl-pyridin-2-amine
3-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-fluoro-phenyl]oxetan-3-ol
1-(2-fluoro-4-pyridyl)-N-[3-methyl-5-[4-(oxetan-3-yl)-1,4-diazepan-1-yl]phenyl]-1,2,4-triazol-3-amine
4-(difluoromethyl)-N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-(2-oxa-8-azaspiro[3.5]nonan-8-yl)pyridin-2-amine
1-(3,4-difluorophenyl)-N-(3-methyl-5-tetrahydrofuran-3-yloxy-phenyl)-1,2,4-triazol-3-amine
1-(3-fluoro-4-methyl-phenyl)-N-[3-fluoro-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
1-(4-fluoro-3-methyl-phenyl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
1-(3-fluoro-4-methoxy-phenyl)-N-[3-fluoro-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
1-(3,5-difluoro-4-methoxy-phenyl)-N-[3-methyl-5-(3-morpholinoazetidin-1-yl)phenyl]-1,2,4-triazol-3-amine
N-[3-fluoro-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(2-fluoro-4-pyridyl)-1,2,4-triazol-3-amine
N-[3-chloro-5-(3-morpholinoazetidin-1-yl)phenyl]-1-(3,4-difluorophenyl)-1,2,4-triazol-3-amine
N-[3-chloro-5-(3-morpholinoazetidin-1-yl)phenyl]-1-(2-pyridyl)-1,2,4-triazol-3-amine
N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-[3-(methoxymethyl)-1-piperidyl]-4-methyl-pyridin-2-amine
N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-[4-[(3S)-tetrahydrofuran-3-yl]piperazin-1-yl]-4-(trifluoromethyl)pyridin-2-amine
1-(3,5-difluorophenyl)-N-[3-methyl-5-[3-(2-oxa-5-azabicyclo[2.2.1]heptan-5-yl)azetidin-1-yl]phenyl]-1,2,4-triazol-3-amine
2-[[1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]amino]-N-methyl-6-[4-(oxetan-3-yl)piperazin-1-yl]pyridine-4-carboxamide
1-(3,5-difluorophenyl)-N-[2-fluoro-5-methyl-3-(3-morpholinoazetidin-1-yl)phenyl]-1,2,4-triazol-3-amine
2-[[1-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]azetidin-3-yl]amino]ethanol
N1-[1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N3-

(3-morpholinocyclobutyl)benzene-1,3-diamine
3-[[1-(3-fluorophenyl)-1,2,4-triazol-3-yl]amino]-5-[4-(oxetan-3-yl)piperazin-1-yl]benzonitrile
1-[3-(difluoromethyl)phenyl]-N-[3-fluoro-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
4-(difluoromethyl)-6-[4-(methoxymethyl)-1-piperidyl]-N-[1-[3-(trifluoromethyl)phenyl]-1,2,4-triazol-3-yl]pyridin-2-amine
(5R)-5-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-3,5-dimethyl-oxazolidin-2-one
N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N1-(3-morpholinocyclobutyl)benzene-1,3-diamine
N-[3-(2-cyclopropylethynyl)-5-methyl-phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
N3-[1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N1-(3-morpholinocyclobutyl)benzene-1,3-diamine
1-(3,5-difluorophenyl)-N-[3-methyl-5-[3-[(3S)-3-methylmorpholin-4-yl]azetidin-1-yl]phenyl]-1,2,4-triazol-3-amine
N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-4-methyl-6-[1-(oxetan-3-yl)-4-piperidyl]pyridin-2-amine
N-[3-ethyl-5-[4-(3-methyloxetan-3-yl)piperazin-1-yl]phenyl]-1-(4-fluorophenyl)-1,2,4-triazol-3-amine
1-(3,4-difluorophenyl)-N-[3-fluoro-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
N-[3-(difluoromethyl)-5-(3-morpholinoazetidin-1-yl)phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
1-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenoxy]-1-piperidyl]ethanone
4-(difluoromethyl)-N-[1-(4-fluorophenyl)-1,2,4-triazol-3-yl]-6-[4-(oxetan-3-yl)piperazin-1-yl]pyridin-2-amine
N-[1-[3-(difluoromethyl)phenyl]-1,2,4-triazol-3-yl]-6-[4-(methoxymethyl)-1-piperidyl]-4-(trifluoromethyl)pyridin-2-amine
1-(3,5-difluorophenyl)-N-[3-methyl-5-[3-(1,4-oxazepan-4-yl)azetidin-1-yl]phenyl]-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-[4-[2-(dimethylamino)ethyl]piperazin-1-yl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-2-fluoro-5-methyl-N1-tetrahydropyran-4-yl-benzene-1,3-diamine
N-[3-isopropoxy-2-methyl-5-(3-morpholinoazetidin-1-yl)phenyl]-1-(2-pyridyl)-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-methyl-5-(2-morpholinoethoxy)phenyl]-1,2,4-triazol-3-amine
N-[3-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-

methyl-phenoxy]cyclobutyl]acetamide
1-(3,5-difluorophenyl)-N-[3-methyl-5-(2-oxa-8-azaspiro[4.5]decan-8-yl)phenyl]-1,2,4-triazol-3-amine
[1-[6-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-4-methyl-2-pyridyl]-3-piperidyl]methanol
1-(3,5-difluorophenyl)-N-[3-[3-(2-methoxyethylamino)azetidin-1-yl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
1-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-N,N-diethyl-azetidine-3-carboxamide
N-[3-methyl-5-[4-(oxetan-3-yl)-1,4-diazepan-1-yl]phenyl]-1-pyrazin-2-yl-1,2,4-triazol-3-amine
N-[3-chloro-5-(3-morpholinoazetidin-1-yl)phenyl]-1-(2-fluoro-4-pyridyl)-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[2,5-dimethyl-3-(3-morpholinoazetidin-1-yl)phenyl]-1,2,4-triazol-3-amine
1-[6-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-4-methyl-2-pyridyl]azetidine-3-carbonitrile
1-(3,5-difluorophenyl)-N-[3-methyl-5-[(1S,4S)-2-oxa-5-azabicyclo[2.2.1]heptan-5-yl]phenyl]-1,2,4-triazol-3-amine
4-(difluoromethyl)-N-[1-(3-fluorophenyl)-1,2,4-triazol-3-yl]-6-[4-(3-methyloxetan-3-yl)piperazin-1-yl]pyridin-2-amine
1-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-N-(2-methoxyethyl)-N-methyl-azetidine-3-carboxamide
N-[3-methyl-5-[3-(1,4-oxazepan-4-yl)azetidin-1-yl]phenyl]-1-pyrazin-2-yl-1,2,4-triazol-3-amine
N1-[1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N3-(3-morpholinocyclobutyl)benzene-1,3-diamine
N-[3-chloro-5-(3-morpholinoazetidin-1-yl)phenyl]-1-(3-fluorophenyl)-1,2,4-triazol-3-amine
5-methyl-N3-(1-methylpyrazol-3-yl)-N1-(1-phenyl-1,2,4-triazol-3-yl)benzene-1,3-diamine
N-[3-ethyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(4-fluoro-3-methyl-phenyl)-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-isopropoxy-5-(3-morpholinoazetidin-1-yl)phenyl]-1,2,4-triazol-3-amine
N-[3-[(8aS)-7,7-difluoro-1,3,4,6,8,8a-hexahydropyrrolo[1,2-a]pyrazin-2-yl]-5-methyl-phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-methyl-5-(2-pyrazol-1-ylethoxy)phenyl]-1,2,4-triazol-3-amine
1-[3-(difluoromethyl)phenyl]-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
1-(3,4-difluorophenyl)-N-[2-fluoro-5-methyl-3-[[4-(oxetan-3-

yl)piperazin-1-yl]methyl]phenyl]-1,2,4-triazol-3-amine
3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-morpholino-benzonitrile
1-(3-fluoro-4-methyl-phenyl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
4-methyl-6-morpholino-N-[1-[3-(trifluoromethyl)phenyl]-1,2,4-triazol-3-yl]pyridin-2-amine
5-methyl-N1-oxazol-2-yl-N3-(1-phenyl-1,2,4-triazol-3-yl)benzene-1,3-diamine
N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-[4-(methoxymethyl)-1-piperidyl]-4-(trifluoromethyl)pyridin-2-amine
1-(3,4-difluorophenyl)-N-[3-methyl-5-[[4-(oxetan-3-yl)piperazin-1-yl]methyl]phenyl]-1,2,4-triazol-3-amine
1-(4-methoxyphenyl)-N-[3-methyl-5-(3-morpholinoazetidin-1-yl)phenyl]-1,2,4-triazol-3-amine
3-[4-(oxetan-3-yl)piperazin-1-yl]-5-[[1-(3-pyridyl)-1,2,4-triazol-3-yl]amino]benzonitrile
6-(2,3,3a,4,6,6a-hexahydrofuro[2,3-c]pyrrol-5-yl)-N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-4-methyl-pyridin-2-amine
1-(3,4-difluorophenyl)-N-[3-methyl-5-(2-morpholinoethoxy)phenyl]-1,2,4-triazol-3-amine
3,5-dimethyl-5-[3-methyl-5-[(1-pyrazin-2-yl-1,2,4-triazol-3-yl)amino]phenyl]oxazolidin-2-one
N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-fluoro-4-[4-(oxetan-3-yl)piperazin-1-yl]pyridin-2-amine
N-[1-[3-(difluoromethyl)phenyl]-1,2,4-triazol-3-yl]-4-methyl-6-[4-(oxetan-3-yl)piperazin-1-yl]pyridin-2-amine
4-(difluoromethyl)-N-[1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]-6-[4-(3-methyloxetan-3-yl)piperazin-1-yl]pyridin-2-amine
1-(3,5-difluorophenyl)-N-[3-[4-(3-methoxypropyl)piperazin-1-yl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-methyl-5-(1-oxa-8-azaspiro[4.5]decan-8-yl)phenyl]-1,2,4-triazol-3-amine
2-[3-fluoro-5-[3-[3-(3-fluoroazetidin-1-yl)-5-methyl-anilino]-1,2,4-triazol-1-yl]anilino]ethanol
2-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-6-[4-(oxetan-3-yl)piperazin-1-yl]pyridine-4-carbonitrile
N-[3-methyl-5-(4-morpholino-1-piperidyl)phenyl]-1-[3-(trifluoromethyl)phenyl]-1,2,4-triazol-3-amine
N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-[4-(2-methoxyethyl)-1-piperidyl]-4-methyl-pyridin-2-amine
1-(3,5-difluorophenyl)-N-(2-fluoro-5-methyl-3-tetrahydrofuran-3-yloxy-phenyl)-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-[3-[(2R,6R)-2,6-

dimethylmorpholin-4-yl]azetidin-1-yl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
N1-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N3-(2-morpholinoethyl)benzene-1,3-diamine
N-[1-(3-chloro-4-fluoro-phenyl)-1,2,4-triazol-3-yl]-4-(difluoromethyl)-6-[4-(oxetan-3-yl)piperazin-1-yl]pyridin-2-amine
4-(1,1-difluoroethyl)-N-[1-(3-fluorophenyl)-1,2,4-triazol-3-yl]-6-[4-(oxetan-3-yl)piperazin-1-yl]pyridin-2-amine
N1-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N3-(3-morpholinocyclobutyl)benzene-1,3-diamine
N-[3-isopropoxy-2-methyl-5-[4-(oxetan-3-yl)piperaN3zin-1-yl]phenyl]-1-(2-pyridyl)-1,2,4-triazol-3-amine
N-[3-chloro-5-(3-morpholinoazetidin-1-yl)phenyl]-1-pyrimidin-4-yl-1,2,4-triazol-3-amine
[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-[4-(oxetan-3-yl)piperazin-1-yl]methanone
1-(3,4-difluorophenyl)-N-[3-methyl-5-(tetrahydrofuran-3-ylmethoxy)phenyl]-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-methyl-5-[3-(morpholinomethyl)azetidin-1-yl]phenyl]-1,2,4-triazol-3-amine
4-(difluoromethyl)-6-morpholino-N-[1-[3-(trifluoromethyl)phenyl]-1,2,4-triazol-3-yl]pyridin-2-amine
N-[3,5-bis(3-morpholinoazetidin-1-yl)phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-2-fluoro-5-methyl-N1-(3-methyloxetan-3-yl)benzene-1,3-diamine
1-(3,5-difluorophenyl)-N-[2-fluoro-3-methyl-5-(3-morpholinoazetidin-1-yl)phenyl]-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-methyl-5-(3-methyl-4-morpholino-pyrrolidin-1-yl)phenyl]-1,2,4-triazol-3-amine
N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-N1-[2-(3-ethylmorpholin-4-yl)ethyl]-5-methyl-benzene-1,3-diamine
N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-N1-[1-(2-fluoroethyl)-3-piperidyl]-5-methyl-benzene-1,3-diamine
2-[1-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-4-piperidyl]ethanol
2-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperazin-1-yl]cyclopentanol
N-[3-(2,2,3,3,4,4,5,5,6,6-decadeuterio-1-piperidyl)-5-(difluoromethyl)phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
3-[1-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-4-piperidyl]propan-1-ol

2-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperazin-1-yl]cyclohexanol
1-[1-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-4-piperidyl]piperidin-4-ol
N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N1-[1-(2-morpholinoethyl)pyrrolidin-3-yl]benzene-1,3-diamine
N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N1-[1-(oxetan-3-yl)azetidin-3-yl]benzene-1,3-diamine
N1-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-N3-[2-(2,6-dimethylmorpholin-4-yl)propyl]-5-methyl-benzene-1,3-diamine
cyclopropyl-[2,2,3,3,5,5,6,6-octadeuterio-4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-(trifluoromethyl)phenyl]piperazin-1-yl]methanone
N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N1-(3-pyrrolidin-1-yltetrahydropyran-4-yl)benzene-1,3-diamine
1-(3,5-difluorophenyl)-N-[3-methyl-5-[2,2,3,3,5,5,6,6-octadeuterio-4-(1,4-dioxan-2-ylmethyl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
N-(2-fluoro-5-methyl-3-tetrahydrofuran-3-yloxy-phenyl)-1-(3-fluorophenyl)-1,2,4-triazol-3-amine
1-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-anilino]-N,N-dimethyl-cyclobutanecarboxamide
1-(3,5-difluorophenyl)-N-[3-methyl-5-(2-tetrahydrofuran-2-ylmorpholin-4-yl)phenyl]-1,2,4-triazol-3-amine
N-[3-(1,4-diazabicyclo[3.2.1]octan-4-yl)-5-methyl-phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-methyl-5-(3-tetrahydrofuran-3-ylazetidin-1-yl)phenyl]-1,2,4-triazol-3-amine
N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N1-[1-(tetrahydrofuran-2-ylmethyl)-4-piperidyl]benzene-1,3-diamine
1-(3,5-difluorophenyl)-N-[3-methyl-5-[4-(1-methyl-3-piperidyl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-N1-[(4-ethylmorpholin-2-yl)methyl]-5-methyl-benzene-1,3-diamine
N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-N1-[1-(2-methoxyethyl)pyrrolidin-3-yl]-5-methyl-benzene-1,3-diamine
N1-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N3-[(2-morpholinocyclopentyl)methyl]benzene-1,3-diamine
1-(3,5-difluorophenyl)-N-[3-[4-(3-methoxypropyl)-1,4-diazepan-1-yl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-N1-(1-ethyl-3-piperidyl)-5-methyl-benzene-1,3-diamine
N-[3-[4-(3-deuteriooxetan-3-yl)piperazin-1-yl]-5-methyl-

phenyl]-1-phenyl-1,2,4-triazol-3-amine
N1-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N3-(3,3,3-trifluoro-2-morpholino-propyl)benzene-1,3-diamine
1-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperazin-1-yl]-3-ethoxy-propan-2-ol
1-(3,5-difluorophenyl)-N-[3-methyl-5-(1-oxa-7-azaspiro[3.4]octan-7-yl)phenyl]-1,2,4-triazol-3-amine
2-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-2-methyl-piperazin-1-yl]ethanol
N1-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-N3-[(4-isobutylmorpholin-2-yl)methyl]-5-methyl-benzene-1,3-diamine
2-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperazin-1-yl]butan-1-ol
1-cyclopentyl-4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperazin-2-one
1-(3,5-difluorophenyl)-N-[3-(3,7-dioxa-10-azaspiro[5.6]dodecan-10-yl)-5-methyl-phenyl]-1,2,4-triazol-3-amine
N-(2-fluoro-5-methyl-3-tetrahydrofuran-3-yloxy-phenyl)-1-phenyl-1,2,4-triazol-3-amine
N-[2-fluoro-5-methyl-3-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(2-pyridyl)-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[2,3-dimethyl-5-(oxetan-3-ylmethoxy)phenyl]-1,2,4-triazol-3-amine
1-cyclobutyl-3-[3-methyl-5-[(1-phenyl-1,2,4-triazol-3-yl)amino]phenyl]urea
1-(3,5-difluorophenyl)-N-[3-methyl-5-(3-morpholino-1-piperidyl)phenyl]-1,2,4-triazol-3-amine
N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N1-(2-morpholinocyclopentyl)benzene-1,3-diamine
N-(2-fluoro-5-methyl-3-tetrahydrofuran-3-yloxy-phenyl)-1-(3-fluorophenyl)-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-methyl-5-[4-(tetrahydrofuran-2-ylmethyl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-2-fluoro-5-methyl-N1-(oxetan-3-yl)benzene-1,3-diamine
1-(3,5-difluorophenyl)-N-[3-methyl-5-(2,2,3,3,5,5,6,6-octadeuteriopiperazin-1-yl)phenyl]-1,2,4-triazol-3-amine
N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-N1-[2-(2-ethylmorpholin-4-yl)ethyl]-5-methyl-benzene-1,3-diamine
N1-(2-cyclopropyltetrahydropyran-4-yl)-N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-benzene-1,3-diamine
1-(3,5-difluorophenyl)-N-[3-methyl-5-[(2S)-2-

(morpholinomethyl)pyrrolidin-1-yl]phenyl]-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-methyl-5-(2-methyl-4-morpholino-pyrrolidin-1-yl)phenyl]-1,2,4-triazol-3-amine
2-[1-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]azetidin-3-yl]acetonitrile
2-[2,2,3,3,5,5,6,6-octadeuterio-4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperazin-1-yl]ethanol
[3-acetoxy-2-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-2-fluoro-5-methyl-phenyl]piperazin-1-yl]propyl] acetate
1-(3,5-difluorophenyl)-N-[3-methyl-5-(7-oxa-1-azaspiro[3.5]nonan-1-yl)phenyl]-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-methyl-5-[3-methyl-4-(1-methyl-4-piperidyl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-methyl-5-(2,2,3,3,5,5,6,6-octadeuterio-4-tetrahydropyran-3-yl-piperazin-1-yl)phenyl]-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-[2-(isopropoxymethyl)morpholin-4-yl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
N1-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N3-[2-(2-methylmorpholin-4-yl)ethyl]benzene-1,3-diamine
N-[3-[4-(1-deuterio-1-methyl-ethyl)piperazin-1-yl]-5-methyl-phenyl]-1-phenyl-1,2,4-triazol-3-amine
N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-N1-[1-(2-methoxyethyl)-4-piperidyl]-5-methyl-benzene-1,3-diamine
N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N1-(1-methyl-2-morpholino-ethyl)benzene-1,3-diamine
N1-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-N3-(1,4-dioxan-2-ylmethyl)-5-methyl-benzene-1,3-diamine
1-(3,5-difluorophenyl)-N-[3-[4-[2-(dimethylamino)ethoxy]-1-piperidyl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-anilino]-1-(2-methoxyethyl)pyrrolidin-2-one
1-(3,5-difluorophenyl)-N-[3-methyl-5-[2,2,3,3,5,5,6,6-octadeuterio-4-(2,2-difluoroethyl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-methyl-5-[4-(tetrahydrofuran-3-ylmethyl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
N1-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-N3-[[1-(methoxymethyl)cyclopropyl]methyl]-5-methyl-benzene-1,3-diamine
1-[[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-anilino]methyl]-N,N-dimethyl-

cyclopentanecarboxamide
N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N1-(2-morpholinocyclohexyl)benzene-1,3-diamine
N1-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N3-[2-(1-oxo-1,4-thiazinan-4-yl)ethyl]benzene-1,3-diamine
1-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-2-methyl-piperazin-1-yl]-2-methyl-propan-2-ol
N3-(cyclopropylmethyl)-N1-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-benzene-1,3-diamine
N1-(1-cyclobutyl-4-piperidyl)-N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-2-fluoro-5-methyl-benzene-1,3-diamine
1-(3,5-difluorophenyl)-N-[3-methyl-5-[4-(2-pyrrolidin-1-ylethyl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-methyl-5-[4-(3-pyrrolidin-1-ylpropyl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
2-[3-[[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-anilino]methyl]azetidin-1-yl]propane-1,3-diol
1-(3,5-difluorophenyl)-N-[3-methyl-5-(2,2,3,3,5,5,6,6-octadeuterio-4-ethyl-piperazin-1-yl)phenyl]-1,2,4-triazol-3-amine
1-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-N,N-dimethyl-piperidin-4-amine
1-(3,5-difluorophenyl)-N-[3-[4-(1,4-dioxan-2-ylmethyl)piperazin-1-yl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-[4-(2-fluoroethoxy)-1-piperidyl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
N1-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N3-[(1-morpholinocyclopropyl)methyl]benzene-1,3-diamine
N-(2-fluoro-5-methyl-3-tetrahydrofuran-3-yloxy-phenyl)-1-pyrazin-2-yl-1,2,4-triazol-3-amine
N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-4-fluoro-5-methyl-N1-tetrahydrofuran-3-yl-benzene-1,3-diamine
1-(3,5-difluorophenyl)-N-[3-methyl-5-[2-[(4-methylpiperazin-1-yl)methyl]morpholin-4-yl]phenyl]-1,2,4-triazol-3-amine
N-[2-fluoro-5-methyl-3-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(3-fluorophenyl)-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-methyl-5-[4-(1-methyl-4-piperidyl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
1-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperazin-1-yl]butan-2-ol
2-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]morpholin-2-yl]ethanol
2,2,2-trideuterio-1-[2,2,3,3,5,5,6,6-octadeuterio-4-[3-[[1-(3,5-

difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperazin-1-yl]ethanone
N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N1-[1-(morpholinomethyl)propyl]benzene-1,3-diamine
cyclopropyl-[2,2,3,3,5,5,6,6-octadeuterio-4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperazin-1-yl]methanone
1-(3,5-difluorophenyl)-N-[3-[4-(2-ethoxyethoxy)-1-piperidyl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-N1-[2-(3-ethylmorpholin-4-yl)ethyl]-2-fluoro-5-methyl-benzene-1,3-diamine
2-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperazin-1-yl]propan-1-ol
2-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-4,5-dimethyl-phenoxy]-1-pyrrolidin-1-yl-ethanone
N-[3-(2-isopropyl-2,6-diazaspiro[3.3]heptan-6-yl)-5-methyl-phenyl]-1-(3-pyridyl)-1,2,4-triazol-3-amine
N-[3-(2-isopropyl-2,6-diazaspiro[3.3]heptan-6-yl)-5-methyl-phenyl]-1-pyrazin-2-yl-1,2,4-triazol-3-amine
N3-[(4-cyclopropylmorpholin-2-yl)methyl]-N1-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-benzene-1,3-diamine
N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-2-fluoro-N1,5-dimethyl-N1-(oxetan-3-yl)benzene-1,3-diamine
N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-N1-(2,2-dimethyltetrahydropyran-4-yl)-5-methyl-benzene-1,3-diamine
1-[3-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-4,5-dimethyl-phenoxy]azetidin-1-yl]ethanone
1-(3,5-difluorophenyl)-N-[3-methyl-5-(2-tetrahydrofuran-2-ylmorpholin-4-yl)phenyl]-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-[4-(2-ethoxyethyl)piperazin-1-yl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-N1-[2-(5-ethyl-2-methyl-morpholin-4-yl)ethyl]-5-methyl-benzene-1,3-diamine
1-(3,5-difluorophenyl)-N-[3-methyl-5-[3-(4-methylpiperazin-1-yl)azetidin-1-yl]phenyl]-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-(4-ethoxy-1-piperidyl)-5-methyl-phenyl]-1,2,4-triazol-3-amine
1-(3,4-difluorophenyl)-N-[3-(2-isopropyl-2,6-diazaspiro[3.3]heptan-6-yl)-5-methyl-phenyl]-1,2,4-triazol-3-amine
1-(3,4-difluorophenyl)-N-(2-fluoro-5-methyl-3-tetrahydrofuran-3-yloxy-phenyl)-1,2,4-triazol-3-amine

1-(3,5-difluorophenyl)-N-[3-methyl-5-[2,2,3,3,5,5,6,6-octadeuterio-4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
6-(cyclopropylmethoxy)-N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-4-methyl-pyridin-2-amine
N-[3-(3,4,4a,5,7,7a-hexahydro-2H-furo[3,4-b]pyridin-1-yl)-5-methyl-phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-methyl-5-(2,2,3,3,5,5,6,6-octadeuteriomorpholin-4-yl)phenyl]-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-methyl-5-[3-(morpholinomethyl)pyrrolidin-1-yl]phenyl]-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-methyl-5-[4-(morpholinomethyl)-1-piperidyl]phenyl]-1,2,4-triazol-3-amine
N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N1-(2-morpholinobutyl)benzene-1,3-diamine
1-(3,5-difluorophenyl)-N-[3-methyl-5-[(3S)-3-pyrrolidin-1-ylpyrrolidin-1-yl]phenyl]-1,2,4-triazol-3-amine
N-[3-[4-[2-(diethylamino)ethyl]piperazin-1-yl]-5-methyl-phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-methyl-5-[4-(3-methylmorpholin-4-yl)-1-piperidyl]phenyl]-1,2,4-triazol-3-amine
2-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-2-fluoro-5-methyl-phenyl]piperazin-1-yl]propane-1,3-diol
3-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperazin-1-yl]propan-1-ol
[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperazin-1-yl]-tetrahydropyran-4-yl-methanone
N1-[1-(2,2-difluoroethyl)-4-piperidyl]-N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-benzene-1,3-diamine
2-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-anilino]-1-pyrrolidin-1-yl-ethanone
N-[3-(4-cyclobutyl-2,2,3,3,5,5,6,6-octadeuterio-piperazin-1-yl)-5-methyl-phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
1-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperazin-1-yl]propan-2-ol
1-(3,5-difluorophenyl)-N-[3-(5-ethyl-2,5-diazabicyclo[2.2.1]heptan-2-yl)-5-methyl-phenyl]-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-methyl-5-[2,2,3,3,5,5,6,6-octadeuterio-4-(3-deuteriooxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine

1-(3,4-difluorophenyl)-N-[2-fluoro-5-methyl-3-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-4-methyl-6-tetrahydropyran-3-yloxy-pyridin-2-amine
1-(3,5-difluorophenyl)-N-[3-[4-(4-methoxybutyl)piperazin-1-yl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
N-(2-fluoro-5-methyl-3-tetrahydrofuran-3-yloxy-phenyl)-1-phenyl-1,2,4-triazol-3-amine
N1-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-N3-[2-(2,5-dimethylmorpholin-4-yl)ethyl]-5-methyl-benzene-1,3-diamine
N1-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N3-[(3-methyl-4,5-dihydroisoxazol-5-yl)methyl]benzene-1,3-diamine
1-(3,5-difluorophenyl)-N-[3-[4-(2-isopropoxyethyl)piperazin-1-yl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-(4-methoxy-1-piperidyl)-5-methyl-phenyl]-1,2,4-triazol-3-amine
N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-2-fluoro-5-methyl-N1-(2-morpholinocyclopentyl)benzene-1,3-diamine
N3-[2-(cyclobutoxy)ethyl]-N1-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-benzene-1,3-diamine
1-(3,4-difluorophenyl)-N-(2-fluoro-5-methyl-3-tetrahydrofuran-3-yloxy-phenyl)-1,2,4-triazol-3-amine
1-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-4-pyrrolidin-1-yl-pyrrolidin-3-ol
1-(3,5-difluorophenyl)-N-[3-[4-(2-methoxyethyl)-3-methyl-piperazin-1-yl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-methyl-5-[2-(morpholinomethyl)pyrrolidin-1-yl]phenyl]-1,2,4-triazol-3-amine
N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N1-(1-tetrahydropyran-4-yl-4-piperidyl)benzene-1,3-diamine
1-(3,5-difluorophenyl)-N-[3-methyl-5-(4-tetrahydrofuran-3-yloxy-1-piperidyl)phenyl]-1,2,4-triazol-3-amine
2-[[1-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-4-piperidyl]-methyl-amino]ethanol
N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-4-fluoro-5-methyl-N1-(3-methyloxetan-3-yl)benzene-1,3-diamine
N-[3-[2-(diethylaminomethyl)morpholin-4-yl]-5-methyl-phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-[2-[(dimethylamino)methyl]morpholin-4-yl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-(4-isopropoxy-1-piperidyl)-5-

methyl-phenyl]-1,2,4-triazol-3-amine
N1-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N3-[(3-pyrrolidin-1-yloxetan-3-yl)methyl]benzene-1,3-diamine
N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-4-fluoro-5-methyl-N1-tetrahydropyran-4-yl-benzene-1,3-diamine
N-[2-fluoro-5-methyl-3-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(3-pyridyl)-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-methyl-5-[4-(2-methylmorpholin-4-yl)-1-piperidyl]phenyl]-1,2,4-triazol-3-amine
1-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-3-methyl-piperazin-1-yl]-2-methyl-propan-2-ol
1-(3,5-difluorophenyl)-N-[3-[4-(4-ethylpiperazin-1-yl)-1-piperidyl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
2-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-1-methyl-piperazin-2-yl]ethanol
1-(3,5-difluorophenyl)-N-[3-methyl-5-[2-(pyrrolidin-1-ylmethyl)morpholin-4-yl]phenyl]-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-(2-fluoro-5-methyl-3-tetrahydrofuran-3-yloxy-phenyl)-1,2,4-triazol-3-amine
N1-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-N3-[(1-methoxycyclobutyl)methyl]-5-methyl-benzene-1,3-diamine
1-(3,5-difluorophenyl)-N-[3-[2-(2-methoxyethyl)morpholin-4-yl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
1-[3-[[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-anilino]methyl]azetidin-1-yl]ethanone
N-[3-(2,2,3,3,4,4,5,5,6,6-decadeuterio-1-piperidyl)-5-(trifluoromethyl)phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
2-[2-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperazin-1-yl]ethoxy]ethanol
N-[3-(2-isopropyl-2,6-diazaspiro[3.3]heptan-6-yl)-5-methyl-phenyl]-1-phenyl-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-methyl-5-(4-oxa-7-azaspiro[2.5]octan-7-yl)phenyl]-1,2,4-triazol-3-amine
2-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperazin-1-yl]ethanol
1-(3,5-difluorophenyl)-N-[3-methyl-5-(3-methylsulfonylazetidin-1-yl)phenyl]-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-methyl-5-(4-pyrrolidin-1-yl-1-piperidyl)phenyl]-1,2,4-triazol-3-amine
N-[3-[4-(3-deuteriotetrahydrofuran-3-yl)piperazin-1-yl]-5-methyl-phenyl]-1-phenyl-1,2,4-triazol-3-amine
1-[1-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]pyrrolidin-3-yl]pyrrolidin-3-ol

cyclopropyl-[2,2,3,3,5,5,6,6-octadeuterio-4-[3-(difluoromethyl)-5-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]phenyl]piperazin-1-yl]methanone
1-(3,5-difluorophenyl)-N-[3-methyl-5-(2,2,3,3,5,5,6,6-octadeuterio-4-tetrahydrofuran-3-yl-piperazin-1-yl)phenyl]-1,2,4-triazol-3-amine
2-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-2-fluoro-5-methyl-phenyl]piperazin-1-yl]ethanol
1-[2,2,3,3,5,5,6,6-octadeuterio-4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperazin-1-yl]ethanone
N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N1-[1-(tetrahydrofuran-3-ylmethyl)-4-piperidyl]benzene-1,3-diamine
N1-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N3-[(1-tetrahydropyran-4-yl-4-piperidyl)methyl]benzene-1,3-diamine
1-(3,5-difluorophenyl)-N-[3-methyl-5-[4-[(1-methyl-3-piperidyl)methyl]piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-N1-(2,6-dioxaspiro[4.5]decan-9-yl)-5-methyl-benzene-1,3-diamine
5-methyl-N1-(5-methyloxazol-2-yl)-N3-(1-phenyl-1,2,4-triazol-3-yl)benzene-1,3-diamine
N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-N1-[1-(2-methoxyethyl)-3-piperidyl]-5-methyl-benzene-1,3-diamine
1-(3,5-difluorophenyl)-N-[3-methyl-5-[2-(methylsulfonylmethyl)pyrrolidin-1-yl]phenyl]-1,2,4-triazol-3-amine
N-(2-fluoro-5-methyl-3-tetrahydrofuran-3-yloxy-phenyl)-1-phenyl-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-(2-fluoro-5-methyl-3-tetrahydrofuran-3-yloxy-phenyl)-1,2,4-triazol-3-amine
1-[1-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-4-piperidyl]piperidin-3-ol
1-(3,4-difluorophenyl)-N-(2-fluoro-5-methyl-3-tetrahydrofuran-3-yloxy-phenyl)-1,2,4-triazol-3-amine
1-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-2-ethyl-piperazin-1-yl]propan-2-ol
1-(3,5-difluorophenyl)-N-[3-methyl-5-(8-oxa-4-azabicyclo[4.2.0]octan-4-yl)phenyl]-1,2,4-triazol-3-amine
N-[3-methyl-5-[(1-phenyl-1,2,4-triazol-3-yl)amino]phenyl]cyclopropanecarboxamide
N1-(1-cyclopropylethyl)-N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-benzene-1,3-diamine
1-(3,5-difluorophenyl)-N-[3-methyl-5-(3-pyrrolidin-1-

ylpyrrolidin-1-yl)phenyl]-1,2,4-triazol-3-amine
N-(2-fluoro-5-methyl-3-tetrahydrofuran-3-yloxy-phenyl)-1-(3-fluorophenyl)-1,2,4-triazol-3-amine
N1-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N3-[(4-methylmorpholin-3-yl)methyl]benzene-1,3-diamine
1-(3,5-difluorophenyl)-N-[3-[4-(2-ethoxyethyl)-3-methyl-piperazin-1-yl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N1-(1-tetrahydrofuran-3-yl-4-piperidyl)benzene-1,3-diamine
N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-N1-(2-methoxycyclopentyl)-5-methyl-benzene-1,3-diamine
1-(3,4-difluorophenyl)-N-[3-methyl-5-(2,2,3,3,5,5,6,6-octadeuteriomorpholin-4-yl)phenyl]-1,2,4-triazol-3-amine
4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-1-(2-methoxyethyl)piperazin-2-one
1-(3,5-difluorophenyl)-N-[3-[4-(4-methoxy-1-piperidyl)-1-piperidyl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
N-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-4-methyl-6-(oxetan-3-ylmethoxy)pyridin-2-amine
N1-(1-cyclobutyl-4-piperidyl)-N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-benzene-1,3-diamine
1-(3-fluorophenyl)-N-[3-(2-isopropyl-2,6-diazaspiro[3.3]heptan-6-yl)-5-methyl-phenyl]-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[2-fluoro-3-(2-isopropyl-2,6-diazaspiro[3.3]heptan-6-yl)-5-methyl-phenyl]-1,2,4-triazol-3-amine
[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]morpholin-2-yl]methanol
1-(3,5-difluorophenyl)-N-[3-methyl-5-[4-(2-pyrrolidin-1-ylethoxy)-1-piperidyl]phenyl]-1,2,4-triazol-3-amine
1-[3-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-anilino]-3-methyl-azetidin-1-yl]-2-methoxy-ethanone
1-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-3-methyl-azetidine-3-carbonitrile
[1-[[1-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]pyrrolidin-2-yl]methyl]pyrrolidin-2-yl]methanol
1-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]piperazin-1-yl]-3-(dimethylamino)propan-2-ol
[1-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]-3-fluoro-azetidin-3-yl]methanol
5-deuterio-1-(3,5-difluorophenyl)-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
1-(3,5-difluorophenyl)-N-[3-(2-isopropyl-2,6-

diazaspiro[3.3]heptan-6-yl)-5-methyl-phenyl]-1,2,4-triazol-3-amine
N1-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-5-methyl-N3-[2-(3-methylmorpholin-4-yl)ethyl]benzene-1,3-diamine
1-(3,5-difluorophenyl)-N-[3-methyl-5-(3-tetrahydrofuran-3-ylpyrrolidin-1-yl)phenyl]-1,2,4-triazol-3-amine
tert-butyl 3-[[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-anilino]methyl]azetidine-1-carboxylate
N-[2-fluoro-5-methyl-3-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-phenyl-1,2,4-triazol-3-amine
N-[3-methyl-5-[4-[1,2,2,2-tetradeuterio-1-(trideuteriomethyl)ethyl]piperazin-1-yl]phenyl]-1-phenyl-1,2,4-triazol-3-amine
N-[3-[2-(cyclopropylmethoxymethyl)morpholin-4-yl]-5-methyl-phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
N-[5-deuterio-1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]-4-(difluoromethyl)-6-[4-(oxetan-3-yl)piperazin-1-yl]pyridin-2-amine
N-[5-deuterio-1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]-6-[4-(oxetan-3-yl)piperazin-1-yl]-4-(trifluoromethyl)pyridin-2-amine
N-[5-deuterio-1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-4-(difluoromethyl)-6-[4-(oxetan-3-yl)piperazin-1-yl]pyridin-2-amine
5-deuterio-N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-[3-(trifluoromethyl)phenyl]-1,2,4-triazol-3-amine
2-[1-[3-[[5-deuterio-1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-methyl-phenyl]azetidin-3-yl]propan-2-ol
2-cyclopropyl-N-[5-deuterio-1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-6-morpholino-pyridin-4-amine
2-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-4,5-dimethyl-phenoxy]-1-morpholino-ethanone
N-[3-(2,2,3,3,4,4,5,5,6,6-decadeuterio-1-piperidyl)-5-(trifluoromethyl)phenyl]-1-(3,4-difluorophenyl)-1,2,4-triazol-3-amine
cyclopropyl-[2,2,3,3,5,5,6,6-octadeuterio-4-[3-(difluoromethyl)-5-[[1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]amino]phenyl]piperazin-1-yl]methanone
1-(3,5-difluorophenyl)-N-[3-methyl-5-[3-(2,2,3,3,5,5,6,6-octadeuteriomorpholin-4-yl)azetidin-1-yl]phenyl]-1,2,4-triazol-3-amine
1-(3,4-difluorophenyl)-N-[3-methyl-5-[3-(2,2,3,3,5,5,6,6-octadeuteriomorpholin-4-yl)azetidin-1-yl]phenyl]-1,2,4-triazol-3-amine
N-[3-(2,2,3,3,4,4,5,5,6,6-decadeuterio-1-piperidyl)-5-

(difluoromethyl)phenyl]-1-(3,4-difluorophenyl)-1,2,4-triazol-3-amine
cyclopropyl-[2,2,3,3,5,5,6,6-octadeuterio-4-[3-[[1-(3,4-difluorophenyl)-1,2,4-triazol-3-yl]amino]-5-(trifluoromethyl)phenyl]piperazin-1-yl]methanone
N-[3-(2,2,3,3,4,4,5,5,6,6-decadeuterio-1-piperidyl)-5-(trifluoromethyl)phenyl]-1-(3-fluorophenyl)-1,2,4-triazol-3-amine
N-[3-(2,2,3,3,4,4,5,5,6,6-decadeuterio-1-piperidyl)-5-(difluoromethyl)phenyl]-1-(3-fluorophenyl)-1,2,4-triazol-3-amine
cyclopropyl-[2,2,3,3,5,5,6,6-octadeuterio-4-[3-[[1-(3-fluorophenyl)-1,2,4-triazol-3-yl]amino]-5-(trifluoromethyl)phenyl]piperazin-1-yl]methanone
cyclopropyl-[2,2,3,3,5,5,6,6-octadeuterio-4-[3-(difluoromethyl)-5-[[1-(3-fluorophenyl)-1,2,4-triazol-3-yl]amino]phenyl]piperazin-1-yl]methanone
N-[3-(2,2,3,3,4,4,5,5,6,6-decadeuterio-1-piperidyl)-5-(trifluoromethyl)phenyl]-1-pyrazin-2-yl-1,2,4-triazol-3-amine
N-[3-(2,2,3,3,4,4,5,5,6,6-decadeuterio-1-piperidyl)-5-(difluoromethyl)phenyl]-1-pyrazin-2-yl-1,2,4-triazol-3-amine
cyclopropyl-[2,2,3,3,5,5,6,6-octadeuterio-4-[3-(difluoromethyl)-5-[(1-pyrazin-2-yl-1,2,4-triazol-3-yl)amino]phenyl]piperazin-1-yl]methanone
N-[3-methyl-5-[4-(oxetan-3-yl)piperazin-1-yl]phenyl]-1-(2,3,4,5,6-pentadeuteriophenyl)-1,2,4-triazol-3-amine
N3-benzyl-N1-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-2-fluoro-5-methyl-benzene-1,3-diamine
N-[3-[4-(3-deuteriooxetan-3-yl)piperazin-1-yl]-5-methyl-phenyl]-1-(3,5-difluorophenyl)-1,2,4-triazol-3-amine
N-[3-methyl-5-(2,2,3,3,5,5,6,6-octadeuterio-4-methyl-piperazin-1-yl)phenyl]-1-phenyl-1,2,4-triazol-3-amine
[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-2-fluoro-5-methyl-phenyl]piperazin-1-yl]-tetrahydropyran-4-yl-methanone
1-(3,5-difluorophenyl)-N-[2-fluoro-5-methyl-3-[3-methyl-4-(1-methyl-4-piperidyl)piperazin-1-yl]phenyl]-1,2,4-triazol-3-amine
N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-N1-[2-(2-ethylmorpholin-4-yl)ethyl]-2-fluoro-5-methyl-benzene-1,3-diamine
N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-2-fluoro-5-methyl-N1-[1-(tetrahydrofuran-3-ylmethyl)-4-piperidyl]benzene-1,3-diamine
N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-2-fluoro-5-

methyl-N1-[1-(tetrahydrofuran-2-ylmethyl)-4-piperidyl]benzene-1,3-diamine
1-(3,5-difluorophenyl)-N-[2-fluoro-5-methyl-3-[3-(4-methylpiperazin-1-yl)azetidin-1-yl]phenyl]-1,2,4-triazol-3-amine
N3-[(4-cyclopropylmorpholin-2-yl)methyl]-N1-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-2-fluoro-5-methyl-benzene-1,3-diamine
N3-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-2-fluoro-5-methyl-N1-(1-methyl-2-morpholino-ethyl)benzene-1,3-diamine
1-(3,5-difluorophenyl)-N-[2-fluoro-3-[2-(isopropoxymethyl)morpholin-4-yl]-5-methyl-phenyl]-1,2,4-triazol-3-amine
N1-[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]-2-fluoro-5-methyl-N3-[(1-morpholinocyclopropyl)methyl]benzene-1,3-diamine
1-(3,5-difluorophenyl)-N-[2-fluoro-5-methyl-3-(3-tetrahydrofuran-3-ylazetidin-1-yl)phenyl]-1,2,4-triazol-3-amine
1-[4-[3-[[1-(3,5-difluorophenyl)-1,2,4-triazol-3-yl]amino]-2-fluoro-5-methyl-phenyl]-2-methyl-piperazin-1-yl]-2-methyl-propan-2-ol

.

67. A pharmaceutical composition comprising a pharmaceutically acceptable carrier and a compound of any of claims 1-66, or 87, or a pharmaceutically acceptable salt thereof.

68. A method of treating a disease or disorder selected from a demyelinating disease, central pontine myelinolysis, a nerve injury disease or disorder, a leukoencephalopathy, and a leukodystrophy, comprising: administering to a patient in need thereof a therapeutically effective amount of a compound or pharmaceutical composition thereof of any of claims 1-67, or 87, or a pharmaceutically acceptable salt thereof.

69. The method of claim 68, wherein the disease or disorder is a demyelinating disease selected from multiple sclerosis, acute disseminated encephalomyelitis, neuromyelitis optica, optic neuritis, and transverse myelitis.

70. The method of claim 69, wherein the demyelinating disease is multiple sclerosis.

71. The method of claim 70, wherein the type of multiple sclerosis is primary progressive multiple sclerosis, relapsing-remitting multiple sclerosis, secondary progressive multiple sclerosis or progressive relapsing multiple sclerosis.

72. The method of claim 68, wherein the disease or disorder is a leukodystrophy selected from the group consisting of adrenoleukodystrophy, Alexander's disease, Pelizaeus Merzbacher disease, Globoid cell Leucodystrophy (Krabbe's disease), cerebrotendineous xanthomatosis, hereditary CNS demyelinating disease, metachromatic leukodystrophy, Canavan disease, adrenoleukodystrophy, Refsum disease, and xenobefantosis.

73. The method of claim 68, wherein the disease or disorder is a leukoencephalopathy selected from progressive multifocal leukoencephalopathy.

74. The method of claim 68, wherein the disease or disorder is a nerve injury disease or disorder selected from spinal cord injury, cerebral palsey, periventricular leukomalacia and Wallerian degeneration.

75. A method of promoting remyelination of demyelinated axons comprising administering to a patient in need thereof a therapeutically effective amount of a compound or pharmaceutical composition thereof of any of claims 1-67, or claim 87, or a pharmaceutically acceptable salt thereof.

76. A method of differentiating endogenous oligodendrocyte precursor cells, comprising administering to a patient in need thereof a therapeutically effective amount of a compound or pharmaceutical composition thereof of any of claims 1-67, or 87, or a pharmaceutically acceptable salt thereof.

77. A method of treating, preventing, or reducing the severity of one or more symptoms of multiple sclerosis or another neurodegenerative disease comprising administering to a patient in

need thereof a therapeutically effective amount of a compound or pharmaceutical composition thereof of any of claims 1-67, or 87, or a pharmaceutically acceptable salt thereof.

78. The method of claim 77, wherein the one or more symptoms of multiple sclerosis or another neurodegernative disease is selected from auditory impairment, optic neuritis, decreased visual acuity, diplopia, nystagmus, ocular dysmetria, internuclear ophthalmoplegia, movement and sound phosphenes, afferent pupillary defect, paresis, monoparesis, paraparesis, hemiparesis, quadraparesis, plegia, paraplegia, hemiplegia, tetraplegia, quadraplegia, spasticity, dysarthria, motor dysfunction, walking impairment, muscle atrophy, spasms, cramps, hypotonia, clonus, myoclonus, myokymia, restless leg syndrome, gait disturbances, footdrop, dysfunctional reflexes, paraesthesia, anaesthesia, neuralgia, neuropathic and neurogenic pain, L'hermitte's, proprioceptive dysfunction, trigeminal neuralgia, ataxia, intention tremor, dysmetria, vestibular ataxia, vertigo, speech ataxia, dystonia, disability progression, dysdiadochokinesia, frequent micturation, bladder spasticity, flaccid bladder, detrusor- sphincter dyssynergia, erectile dysfunction or anorgasmy.

79. The method of claim 68, wherein the disease or disorder is selected from spinal cord injury, stroke, multiple sclerosis, progressive multifocal leukoencephalopathy, congenital hypomyelination, encephalomyelitis, acute disseminated encephalomyelitis, central pontine myelolysis, hypoxic demyelination, ischemic demyelination, neuromyelitis optics, adrenoleukodystrophy, Alexander's disease, Niemann-Pick disease, Pelizaeus Merzbacher disease, periventricular leukomalatia, globoid cell leucodystrophy (Krabbe's disease), Wallerian degeneration, optic neuritis, transverse myelitis, amylotrophic lateral sclerosis (Lou Gehrig's diseae), Huntington's disease, Alzheimer's disease, Parkinson's disease, Tay-Sacks disease, Gaucher's disease, Hurler Syndrome, traumatic brain injury, post radiation injury, neurologic complications of chemotherapy, neuropathy, acute ischemic optic neuropathy, neuromyelitis optica, vitamin B12 deficiency, isolated vitamin E deficiency syndrome, Bassen-Kornzweig syndrome, Leber's hereditary optic atrophy/Leber congenital amaurosis, Marchiafava-Bignami syndrome, metachromatic leukodystrophy, acute hemorrhagic leukoencephalitis, trigeminal neuralgia, Bell's palsy, schizophrenia, cerebral ischemia, multiple system atrophy, traumatic

glaucoma, tropical spastic paraparesis/human T-lymphotropic virus 1 (HTLV-1) associated myelopathy, essential tremor or osmotic hyponatremia.

80. A compound or pharmaceutical composition thereof of any of claims 1-67, or 87, or a pharmaceutically acceptable salt thereof, for use in the manufacture of a medicament for the treatment of a disease or disorder selected from a demyelinating disease, central pontine myelinolysis, a nerve injury disease or disorder, a leukoencephalopathy, a leukodystrophy, or multiple sclerosis; or for the promotion of remyelination of demyelinated axons; or for the differentiation of endogenous oligodendrocyte precursor cells.

81. A compound or pharmaceutical composition thereof of any of claims 1-67, or 87, or a pharmaceutically acceptable salt thereof, for use in treating or lessening the severity of, in a subject, a disease or disorder selected from a demyelinating disease, central pontine myelinolysis, a nerve injury disease or disorder, a leukoencephalopathy, a leukodystrophy, or multiple sclerosis; or for use in promoting remyelination of demyelinated axons; or for use in differentiating endogenous oligodendrocyte precursor cells.

82. A kit comprising the compound of any one of claims 1 to 66, or 87 or the pharmaceutical composition of claim 67, and instructions for use thereof.

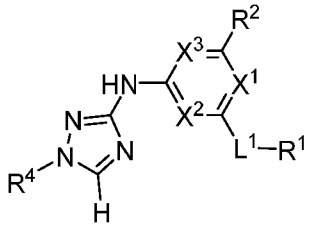
83. The kit of claim 82, further comprising one or more additional therapeutic agent(s).

84. The kit of claim 82 or 83, wherein the compound of any one of claims 1 to 66, or 87 or the pharmaceutical composition of claim 67 and the one or more additional therapeutic agent(s) are in separate containers.

85. The kit of claim 82 or 83 wherein the compound of any one of claims 1 to 66, or 87 or the pharmaceutical composition of claim 67 and the one or more additional therapeutic agent(s) are in the same container.

86. The kit of claim 84 or 85, wherein the container is a bottle, vial, or blister pack, or combinations thereof.

87. A compound of formula (I'), or a pharmaceutically acceptable salt thereof,



(I')

wherein:

X^1 is CH or N;

X^2 is CR^{X2} or N;

X^3 is CR^3 or N;

where R^3 and R^{X2} are each independently selected from the group consisting of hydrogen, halogen, C_{1-4}alkyl, C_{1-4}haloalkyl, –OC_{1-4}alkyl, and cyano;

provided that X^1, X^2, and X^3 are not simultaneously N, X^2 and X^3 are not simultaneously N, and X^1 and X^3 are not simultaneously N;

L^1 is a bond, –O–, –NR^5–, –NR^5–C_{1-4}alkylene–, –O–C_{1-4}alkylene–, –C_{1-4}alkylene–, –C(O)–, –NR^5C(O)–, –OC(O)–, –NR^5C(O)NR^5–, –NR^5C(O)O–, –NR^5–C_{1-4}alkylene–C(O)–, –O–C_{1-4}alkylene–C(O)–, –C_{1-4}alkylene–C(O)–, –NR^5C(O)–C_{1-4}alkylene–, –OC(O)–C_{1-4}alkylene–, –NR^5C(O)NR^5–C_{1-4}alkylene–, –NR^5C(O)O–C_{1-4}alkylene–, or –NR^5–C_{1-4}alkylene–O–, wherein each R^5 is independently hydrogen or C_{1-4}alkyl, and the C_{1-4}alkylene of –NR^5–C_{1-4}alkylene–, –O–C_{1-4}alkylene–, –C_{1-4}alkylene–, NR^5–C_{1-4}alkylene–C(O)–, –O–C_{1-4}alkylene–C(O)–, –C_{1-4}alkylene–C(O)–, –NR^5C(O)–C_{1-4}alkylene–, –OC(O)–C_{1-4}alkylene–, –NR^5C(O)NR^5–C_{1-4}alkylene–, –NR^5C(O)O–C_{1-4}alkylene–, or –NR^5–C_{1-4}alkylene–O– is optionally substituted with 1-6 halogens;

R^1 is –G^1–L^2–R^6, –G^1–L^2–R^7, G^2, G^3, G^4, G^5, G^6, G^7, or –≡–G^5;

G^1 is

i) a 4- to 8-membered monocyclic heterocycle containing 1-2 heteroatoms independently selected from oxygen, nitrogen, and sulfur, the monocyclic heterocycle optionally containing

one double bond and/or a C_{1-3}alkylene bridge between two non-adjacent ring atoms, G^1 being optionally substituted with 1-4 substituents independently selected from the group consisting of C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, hydroxyl, and oxo; or

ii) a 3- to 8-membered cycloalkyl optionally substituted with 1-4 substituents independently selected from the group consisting of C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, hydroxyl, and oxo;

L^2 is a bond, a –C_{1-6}alkylene–, –C(O)–, –O–, or –$NR^{5'}$–, wherein the –C_{1-6}alkylene– is optionally substituted with 1-6 halogens and 1-2 C_1alkylene units of the –C_{1-6}alkylene– are optionally replaced with –C(O)–, –O–, or –$NR^{5'}$–, wherein each $R^{5'}$ is independently hydrogen or C_{1-4}alkyl;

R^6 is

a) a 4- to 8-membered monocyclic heterocycle containing 1-2 heteroatoms independently selected from oxygen, nitrogen, and sulfur, the monocyclic heterocycle optionally containing one double bond and/or a C_{1-3}alkylene bridge between two non-adjacent ring atoms and being optionally substituted with 1-4 substituents independently selected from the group consisting of C_{1-4}alkyl, C_{1-4}haloalkyl, –$CH_2S(O)_2$phenyl, halogen, hydroxyl, oxo, –OC_{1-4}alkyl, –C_{1-6}alkylene–OC_{1-4}alkyl, and –C_{1-6}alkylene–OH;

b) a 5- or 6-membered monocyclic heteroaryl containing 1-3 heteroatoms independently selected from nitrogen, oxygen, and sulfur, the monocyclic heteroaryl being optionally substituted with 1-3 substituents independently selected from the group consisting of C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, hydroxyl, –OC_{1-4}alkyl, –C_{1-6}alkylene–OC_{1-4}alkyl, and –C_{1-6}alkylene–OH;

c) a 7- to 12-membered spiro heterocycle comprising a first ring and a second ring, the first ring being a 4- to 8-membered monocyclic heterocycle containing 1-2 heteroatoms independently selected from nitrogen and oxygen and being attached to L^2, the second ring being a C_{3-8}cycloalkyl or a 4- to 8-membered monocyclic heterocycle containing 1-2 oxygen atoms wherein two atoms of the second ring are attached to one carbon of the first ring to form a spirocycle optionally substituted with 1-4 substituents independently selected from the group consisting of C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, hydroxyl, oxo, –OC_{1-4}alkyl, –C_{1-6}alkylene–OC_{1-4}alkyl, and –C_{1-6}alkylene–OH; or

d) a 7- to 12-membered fused bicyclic heterocycle containing 1-3 heteroatoms independently selected from oxygen, nitrogen, and sulfur and being optionally substituted

with 1-4 substituents independently selected from the group consisting of C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, hydroxyl, oxo, –OC_{1-4}alkyl, –C_{1-6}alkylene–OC_{1-4}alkyl, and –C_{1-6}alkylene–OH;

R^7 is

a) a 3- to 8-membered cycloalkyl optionally substituted with 1-4 substituents independently selected from the group consisting of C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, hydroxyl, –C(O)OC_{1-4}alkyl, –C(O)OH, oxo, –OC_{1-4}alkyl, –C_{1-6}alkylene–OC_{1-4}alkyl, and –C_{1-6}alkylene–OH; or

b) phenyl optionally substituted with 1-4 substituents independently selected from the group consisting of C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, hydroxyl, –C(O)OC_{1-4}alkyl, –C(O)OH, –OC_{1-4}alkyl, –C_{1-6}alkylene–OC_{1-4}alkyl, and –C_{1-6}alkylene–OH;

G^2 is a 4- to 8-membered monocyclic heterocycle containing 1-3 heteroatoms independently selected from oxygen, nitrogen, and sulfur, the monocyclic heterocycle optionally containing one double bond and/or a C_{1-3}alkylene bridge between two non-adjacent ring atoms, G^2 being optionally substituted with 1-4 substituents independently selected from the group consisting of C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, hydroxyl, oxo, cyano, –C_{1-6}alkylene–cyano, –C(O)C_{1-4}alkyl, –C(O)–C_{1-6}alkylene–OC_{1-4}alkyl, –C(O)–C_{1-6}alkylene–OH, –C(O)C_{3-6}cycloalkyl, –C(O)OC_{1-4}alkyl, –C(O)OC_{1-4}haloalkyl, –C(O)NH_2, –C(O)NH(C_{1-4}alkyl), –C(O)N(C_{1-4}alkyl)(C_{1-4}alkyl), –C(O)NH(–C_{1-6}alkylene–OC_{1-4}alkyl), –C(O)N(C_{1-4}alkyl)(–C_{1-6}alkylene–OC_{1-4}alkyl), –C(O)NH(–C_{1-6}alkylene–OH), –C(O)N(C_{1-4}alkyl)(–C_{1-6}alkylene–OH), –NH(–C_{1-6}alkylene–OC_{1-4}alkyl), –N(C_{1-4}alkyl)(–C_{1-6}alkylene–OC_{1-4}alkyl), –NH(–C_{1-6}alkylene–OH), –N(C_{1-4}alkyl)(–C_{1-6}alkylene–OH), –C(O)C_{1-4}haloalkyl, –OC_{1-4}alkyl, –OC_{1-4}haloalkyl, –C_{1-6}alkylene–OC_{1-4}alkyl, –C_{1-6}alkylene–OH, –C_{1-6}alkylene–NH_2, –C_{1-6}alkylene–NH(C_{1-4}alkyl), –C_{1-6}alkylene–N(C_{1-4}alkyl)(C_{1-4}alkyl), –O–C_{1-6}alkylene–NH_2, –O–C_{1-6}alkylene–NH(C_{1-4}alkyl), –O–C_{1-6}alkylene–N(C_{1-4}alkyl)(C_{1-4}alkyl), –O–C_{1-6}alkylene–OC_{1-4}alkyl, –O–C_{1-6}alkylene–OH, –C_{1-4}alkylene–O–C_{1-4}alkylene–OC_{1-4}alkyl, –C_{1-4}alkylene–O–C_{1-4}alkylene–OH, –C_{1-4}alkylene–C(O)OC_{1-4}alkyl, –C_{1-4}alkylene–C(O)OH, –NHC(O)(C_{1-4}alkyl), –N(C_{1-4}alkyl)C(O)(C_{1-4}alkyl), –NH_2, –NH(C_{1-4}alkyl), –N(C_{1-4}alkyl)(C_{1-4}alkyl), –$S(O)_{1-2}C_{1-4}$alkyl, –C_{1-6}alkylene–$S(O)_{1-2}C_{1-4}$alkyl, and a –C_{1-6}alkylene substituted by 2 groups independently selected from hydroxyl, –OC(O)C_{1-4}alkyl, –OC_{1-4}alkyl, –NH_2, –NH(C_{1-4}alkyl), and –N(C_{1-4}alkyl)(C_{1-4}alkyl);

G^3 is a 7- to 12-membered spiro heterocycle comprising a first ring and a second ring, the first ring being a 4- to 8-membered monocyclic heterocycle containing 1-2 heteroatoms independently selected from nitrogen and oxygen and being attached to L^1, the second ring being a C_{3-8}cycloalkyl or a 4- to 8-membered monocyclic heterocycle containing 1-2 oxygen atoms wherein two atoms of the second ring are attached to one carbon of the first ring to form a spirocycle, and wherein G^3 is optionally substituted with 1-4 substituents independently selected from the group consisting of C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, hydroxyl, and oxo;

G^4 is a 7- to 12-membered fused bicyclic heterocycle containing 1-3 heteroatoms independently selected from oxygen, nitrogen, and sulfur, G^4 being optionally substituted with 1-4 substituents independently selected from the group consisting of C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, hydroxyl, and oxo;

G^5 is 3- to 8-membered cycloalkyl optionally substituted with 1-4 substituents independently selected from the group consisting of C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, hydroxyl, oxo, cyano, –C(O)C_{1-4}alkyl, –C(O)C_{3-6}cycloalkyl, –C(O)OC_{1-4}alkyl, –C(O)OC_{1-4}haloalkyl, –C(O)NH_2, –C(O)NH(C_{1-4}alkyl), –C(O)N(C_{1-4}alkyl)(C_{1-4}alkyl), –C(O)NH(–C_{1-6}alkylene–OC_{1-4}alkyl), –C(O)N(C_{1-4}alkyl)(–C_{1-6}alkylene–OC_{1-4}alkyl), –C(O)NH(–C_{1-6}alkylene–OH), –C(O)N(C_{1-4}alkyl)(–C_{1-6}alkylene–OH), –NH(–C_{1-6}alkylene–OC_{1-4}alkyl), –N(C_{1-4}alkyl)(–C_{1-6}alkylene–OC_{1-4}alkyl), –NH(–C_{1-6}alkylene–OH), –N(C_{1-4}alkyl)(–C_{1-6}alkylene–OH), –C(O)C_{1-4}haloalkyl, –OC_{1-4}alkyl, –C_{1-4}alkylene–OC_{1-4}alkyl, –C_{1-6}alkylene–OH, –C_{1-6}alkylene substituted by 2 groups independently selected from hydroxyl and –OC(O)C_{1-4}alkyl, –C_{1-4}alkylene–C(O)OC_{1-4}alkyl, –C_{1-4}alkylene–C(O)OH, –NHC(O)(C_{1-4}alkyl), –N(C_{1-4}alkyl)C(O)(C_{1-4}alkyl), –NH_2, –NH(C_{1-4}alkyl), and –N(C_{1-4}alkyl)(C_{1-4}alkyl);

G^6 is a monocyclic or bicyclic heteroaryl containing 1-4 heteroatoms independently selected from oxygen, nitrogen, and sulfur, G^6 being optionally substituted with 1-4 substituents independently selected from the group consisting of C_{1-4}alkyl, C_{1-4}haloalkyl, halogen, hydroxyl, cyano, phenyl, –C(O)C_{1-4}alkyl, –C(O)C_{3-6}cycloalkyl, –C(O)OC_{1-4}alkyl, –C(O)OC_{1-4}haloalkyl, –C(O)NH_2, –C(O)NH(C_{1-4}alkyl), –C(O)N(C_{1-4}alkyl)(C_{1-4}alkyl), –C(O)NH(–C_{1-6}alkylene–OC_{1-4}alkyl), –C(O)N(C_{1-4}alkyl)(–C_{1-6}alkylene–OC_{1-4}alkyl), –C(O)NH(–C_{1-6}alkylene–OH), –C(O)N(C_{1-4}alkyl)(–C_{1-6}alkylene–OH), –NH(–C_{1-6}alkylene–OC_{1-4}alkyl), –N(C_{1-4}alkyl)(–C_{1-6}alkylene–OC_{1-4}alkyl), –NH(–C_{1-6}alkylene–OH), –N(C_{1-4}alkyl)(–C_{1-}

$_{6}$alkylene–OH), –C(O)C$_{1-4}$haloalkyl, –OC$_{1-4}$alkyl, –C$_{1-4}$alkylene–OC$_{1-4}$alkyl, –C$_{1-6}$alkylene–OH, –C$_{1-6}$alkylene substituted by 2 groups independently selected from hydroxyl and –OC(O)C$_{1-4}$alkyl, –C$_{1-4}$alkylene–C(O)OC$_{1-4}$alkyl, –C$_{1-4}$alkylene–C(O)OH, –NHC(O)(C$_{1-4}$alkyl), –N(C$_{1-4}$alkyl)C(O)(C$_{1-4}$alkyl), –NH$_2$, –NH(C$_{1-4}$alkyl), and –N(C$_{1-4}$alkyl)(C$_{1-4}$alkyl); G^7 is aryl optionally substituted with 1-4 substituents independently selected from the group consisting of C$_{1-4}$alkyl, C$_{1-4}$haloalkyl, halogen, hydroxyl, cyano, phenyl, –C(O)C$_{1-4}$alkyl, –C(O)C$_{3-6}$cycloalkyl, –C(O)OC$_{1-4}$alkyl, –C(O)OC$_{1-4}$haloalkyl, –C(O)NH$_2$, –C(O)NH(C$_{1-4}$alkyl), –C(O)N(C$_{1-4}$alkyl)(C$_{1-4}$alkyl), –C(O)NH(–C$_{1-6}$alkylene–OC$_{1-4}$alkyl), –C(O)N(C$_{1-4}$alkyl)(–C$_{1-6}$alkylene–OC$_{1-4}$alkyl), –C(O)NH(–C$_{1-6}$alkylene–OH), –C(O)N(C$_{1-4}$alkyl)(–C$_{1-6}$alkylene–OH), –NH(–C$_{1-6}$alkylene–OC$_{1-4}$alkyl), –N(C$_{1-4}$alkyl)(–C$_{1-6}$alkylene–OC$_{1-4}$alkyl), –NH(–C$_{1-6}$alkylene–OH), –N(C$_{1-4}$alkyl)(–C$_{1-6}$alkylene–OH), –C(O)C$_{1-4}$haloalkyl, –OC$_{1-4}$alkyl, –C$_{1-4}$alkylene–OC$_{1-4}$alkyl, –C$_{1-6}$alkylene–OH, –C$_{1-6}$alkylene substituted by 2 groups independently selected from hydroxyl and –OC(O)C$_{1-4}$alkyl, –C$_{1-4}$alkylene–C(O)OC$_{1-4}$alkyl, –C$_{1-4}$alkylene–C(O)OH, –NHC(O)(C$_{1-4}$alkyl), –N(C$_{1-4}$alkyl)C(O)(C$_{1-4}$alkyl), –NH$_2$, –NH(C$_{1-4}$alkyl), and –N(C$_{1-4}$alkyl)(C$_{1-4}$alkyl);

R^2 is C$_{1-4}$alkyl, C$_{1-4}$haloalkyl, halogen, hydroxyl, cyano, –S(O)$_2$C$_{1-4}$alkyl, –S(O)C$_{1-4}$alkyl, –SC$_{1-4}$alkyl, –OC$_{1-4}$alkyl, –OC$_{1-4}$haloalkyl, –C(O)C$_{1-4}$alkyl, –C(O)OC$_{1-4}$alkyl, –C(O)NH$_2$, –C(O)NH(C$_{1-4}$alkyl), –C(O)N(C$_{1-4}$alkyl)(C$_{1-4}$alkyl), –C$_{1-4}$alkylene–OC$_{1-4}$alkyl, –C$_{1-4}$alkylene–OH, or G^{10}, G^{10} being a C$_{3-6}$cycloalkyl, C$_{5-6}$cycloalkenyl, or a 4- to 8-membered monocyclic heterocycle containing 1 to 2 heteroatoms independently selected from nitrogen and oxygen and optionally containing 1 double bond, G^{10} being optionally substituted with 1-2 substituents independently selected from oxo, halogen, C$_{1-4}$alkyl, C$_{1-4}$haloalkyl, and G^{20}, G^{20} being a C$_{3-6}$cycloalkyl or a 4- to 8-membered monocyclic heterocycle containing 1 to 2 heteroatoms independently selected from nitrogen and oxygen, G^{20} being optionally substituted with 1-4 substituents independently selected from the group consisting of C$_{1-4}$alkyl, C$_{1-4}$haloalkyl, halogen, hydroxyl, and oxo; and

R^4 is phenyl or a 6-membered heteroaryl containing 1-3 nitrogen atoms, R^4 being optionally substituted with 1-3 substituents independently selected from the group consisting of halogen, hydroxyl, cyano, –S(O)$_2$C$_{1-4}$alkyl, –S(O)C$_{1-4}$alkyl, –SC$_{1-4}$alkyl, C$_{1-4}$alkyl, C$_{1-4}$haloalkyl, –OC$_{1-4}$alkyl, –OC$_{1-4}$haloalkyl, –C$_{1-4}$alkylene–OC$_{1-4}$alkyl, –C$_{1-4}$alkylene–N(C$_{1-4}$alkyl)(C$_{1-4}$alkyl), –NH(C$_{1-4}$alkylene–OC$_{1-4}$alkyl), –NH(C$_{1-4}$alkylene–OH), –N(C$_{1-4}$alkyl)(C$_{1-4}$alkylene–OC$_{1-4}$alkyl),

–N(C_{1-4}alkyl)(C_{1-4}alkylene–OH), –NH_2, –NH(C_{1-4}alkyl), –N(C_{1-4}alkyl)(C_{1-4}alkyl), C_{3-6}cycloalkyl, C_{5-6}cycloalkenyl, or a 4- to 8-membered monocyclic heterocycle containing 1-2 nitrogen atoms, the C_{3-6}cycloalkyl, the C_{5-6}cycloalkenyl, and the 4- to 8-membered monocyclic heterocycle being independently optionally substituted with 1-2 substituents independently selected from the group consisting of halogen, hydroxyl, –OC_{1-4}alkyl, C_{1-4}alkyl, C_{1-4}haloalkyl, –C_{1-4}alkylene–OC_{1-4}alkyl, and –C_{1-4}alkylene–OH.

INTERNATIONAL SEARCH REPORT

International application No
PCT/US2016/035847

A. CLASSIFICATION OF SUBJECT MATTER
INV. A61K31/4196 A61P25/28 C07D405/14 C07D401/04 C07D401/12
C07D403/04 C07D403/14 C07D405/12 C07D249/14
ADD.
According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)
C07D

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practicable, search terms used)

EPO-Internal, WPI Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	WO 2005/013982 A1 (VERTEX PHARMA [US]; ARNOST MICHAEL J [US]; BEMIS GUY W [US]; DAVIES RO) 17 February 2005 (2005-02-17) page 76; claim 1; examples I-105 page 16, paragraph 56 -----	1-87
A	EP 1 921 073 A1 (ESTEVE LABOR DR [ES]) 14 May 2008 (2008-05-14) page 3, paragraph 11; claim 1 -----	1-87
X,P	WO 2015/094997 A1 (MERCK SHARP & DOHME [US]; MACHACEK MICHELLE R [US]; ROMEO ERIC T [US];) 25 June 2015 (2015-06-25) page 50; example 2.14 -----	1,2, 13-15, 25, 54-56, 58,59, 61,87

☐ Further documents are listed in the continuation of Box C.

☒ See patent family annex.

* Special categories of cited documents :

"A" document defining the general state of the art which is not considered to be of particular relevance

"E" earlier application or patent but published on or after the international filing date

"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)

"O" document referring to an oral disclosure, use, exhibition or other means

"P" document published prior to the international filing date but later than the priority date claimed

"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art

"&" document member of the same patent family

Date of the actual completion of the international search	Date of mailing of the international search report
13 September 2016	24/10/2016

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INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No
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摘要

本發明涉及式 I 和 I'的三唑化合物或其藥學上可接受的鹽，其可用作脫髓鞘疾病的調節劑：本發明還提供了包含本發明化合物的藥學上可接受的組合物，使用該組合物的方法及其試劑盒 各種脫髓鞘和神經退行性疾病，包括多發性硬化症。