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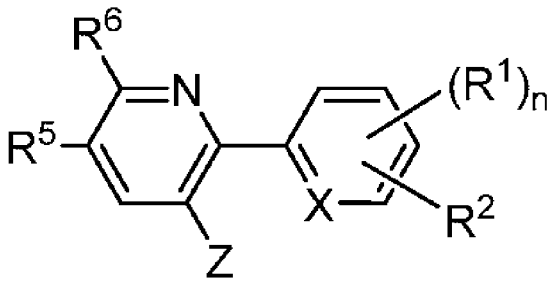
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(54) Title: GDF-8 INHIBITORS



(I)

(57) Abstract: Described are GCF-8 inhibitors of the formula (I), and pharmaceutically acceptable salts thereof, wherein n, R^1, R^2, R^5, R^6, X and Z are defined herein. Also provided are pharmaceutical compositions comprising the same. Such compounds and compositions are useful in methods for inhibiting GDF-8 in a cell and methods for treating a patient suffering from a disease or disorder, wherein the patient would therapeutically benefit from an increase in mass or strength of muscle tissue.

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GDF-8 INHIBITORS

CROSS-REFERENCE TO RELATED APPLICATIONS

[0001] This application claims the benefit of priority of U.S. Provisional Patent Application serial no. 61/710,449, filed October 5, 2012, which is hereby incorporated herein by reference in its entirety.

BACKGROUND OF THE DISCLOSURE

Field of the disclosure

[0002] This disclosure relates to pharmaceutically active compounds and methods for their use. In particular the disclosure relates to kinase inhibitors. In one aspect the compounds also inhibit the signaling of cytokines such as TGF-β1, Growth Differentiation Factor-8 (GDF-8) and other members of the TGF-βs, activins, inhibins, bone morphogenetic proteins and Mullerian-inhibiting substance, that signal through a family of transmembrane kinase receptors. The inhibitors are useful for treating inflammatory disorders, such as inflammatory or obstructive airway diseases, such as pulmonary hypertension, pulmonary fibrosis, liver fibrosis; and cancer. The inhibitors are particularly useful for diagnosing, preventing, or treating human or animal disorders in which an increase in muscle tissue would be therapeutically beneficial. Exemplary disorders include neuromuscular disorders (*e.g.*, muscular dystrophy and muscle atrophy), congestive obstructive pulmonary disease, muscle wasting syndrome, sarcopenia, and cachexia; adipose tissue disorders (such as obesity); type 2 diabetes; and bone degenerative disease (such as osteoporosis).

Summary of the Related Art

[0003] Growth and Differentiation Factor-8 (GDF-8), also known as myostatin, and TGF-β1 are a members of the Transforming Growth Factor-beta (TGF-β) superfamily of structurally related growth factors, all of which possess physiologically important growth-regulatory and morphogenetic properties (Kingsley *et al.* (1994) Genes Dev., 8: 133-46; Hoodless *et al.* (1998) Curr. Topics Microbiol. Immunol., 228: 235-72). For example, activation of TGF-β1 signaling and expansion of extracellular matrix are early and persistent contributors to the development and progression of fibrotic disorders, such as involved in chronic renal disease and vascular disease. Border W. A., et al, N. Engl. J. Med., 1994; 331(19), 1286-92. GDF-8 is a negative regulator of skeletal muscle mass, and there is considerable interest in identifying factors which regulate its biological activity. For example, GDF-8 is highly expressed in the developing and adult skeletal muscle. The GDF-8 null mutation in transgenic

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mice is characterized by a marked hypertrophy and hyperplasia of the skeletal muscle (McPherron *et al.* (1997) Nature, 387: 83-90). Similar increases in skeletal muscle mass are evident in naturally occurring mutations of GDF-8 in cattle (Ashmore *et al.* (1974) Growth, 38: 501 507; Swatland and Kieffer (1994) J. Anim. Sci., 38: 752-757; McPherron and Lee (1997) Proc. Natl. Acad. Sci. USA, 94: 12457-12461; and Kambadur *et al.* (1997) Genome Res., 7: 910-915). Since GDF-8 is expressed in both developing and adult muscles, it is not clear whether it regulates muscle mass during development or in adults. Thus, the question of whether or not GDF-8 regulates muscle mass in adults is important from a scientific and therapeutic perspective. Recent studies have also shown that muscle wasting associated with HIV-infection in humans is accompanied by increases in GDF-8 protein expression (Gonzalez-Cadavid *et al.* (1998) PNAS, 95: 14938-43). In addition, GDF-8 can modulate the production of muscle-specific enzymes (*e.g.*, creatine kinase) and modulate myoblast cell proliferation (WO 00/43781).

[0004] A number of human and animal disorders are associated with loss or functional impairment of muscle tissue, including muscular dystrophy, muscle atrophy, congestive obstructive pulmonary disease, muscle wasting syndrome, sarcopenia, and cachexia. To date, very few reliable or effective therapies exist for these disorders. However, the terrible symptoms associated with these disorders may be substantially reduced by employing therapies that increase the amount of muscle tissue in patients suffering from the disorders. While not curing the conditions, such therapies would significantly improve the quality of life for these patients and could ameliorate some of the effects of these diseases. Thus, there is a need in the art to identify new therapies that may contribute to an overall increase in muscle tissue in patients suffering from these disorders.

[0005] In addition to its growth-regulatory and morphogenetic properties in skeletal muscle, GDF-8 may also be involved in a number of other physiological processes, including glucose homeostasis in the development of type 2 diabetes and adipose tissue disorders, such as obesity. For example, GDF-8 modulates pre-adipocyte differentiation to adipocytes (Kim *et al.* (2001) BBRC, 281: 902-906).

[0006] There are also a number of conditions associated with a loss of bone, including osteoporosis, especially in the elderly and/or postmenopausal women. Currently available therapies for these conditions work by inhibiting bone resorption. A therapy that promotes new bone formation would be a desirable alternative to or addition to, these therapies.

[0007] Like TGF-β-1, -2, and -3, the GDF-8 protein is synthesized as a precursor protein consisting of an amino-terminal propeptide and a carboxy-terminal mature domain

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(McPherron and Lee, (1997) Proc. Natl. Acad. Sci. USA, 94: 12457-12461). Before cleavage, the precursor GDF-8 protein forms a homodimer. The amino-terminal propeptide is then cleaved from the mature domain. The cleaved propeptide may remain noncovalently bound to the mature domain dimer, inactivating its biological activity (Miyazono *et al.* (1988) J. Biol. Chem., 263: 6407-6415; Wakefield *et al.* (1988) J. Biol. Chem., 263; 7646-7654; and Brown *et al.* (1990) Growth Factors, 3: 35-43). It is believed that two GDF-8 propeptides bind to the GDF-8 mature dimer (Thies *et al.* (2001) Growth Factors, 18: 251-259). Due to this inactivating property, the propeptide is known as the "latency-associated peptide" (LAP), and the complex of mature domain and propeptide is commonly referred to as the "small latent complex" (Gentry and Nash (1990) Biochemistry, 29: 6851-6857; Derynck *et al.* (1995) Nature, 316: 701-705; and Massague (1990) Ann. Rev. Cell Biol., 12: 597-641). Other proteins are also known to bind to GDF-8 or structurally related proteins and inhibit their biological activity. Such inhibitory proteins include follistatin, and potentially, follistatin-related proteins (Gamer *et al.* (1999) Dev. Biol., 208: 222-232). The mature domain is believed to be active as a homodimer when the propeptide is removed.

[0008] GDF-8 is highly conserved in sequence and in function across species. The amino acid sequence of murine and human GDF-8 is identical, as is the pattern of mRNA expression (McPherron *et al.* (1997) Nature 387: 83-90; Gonzalez-Cadavid *et al.* (1998) Proc. Natl. Acad. Sci. USA 95: 14938-14943). This conservation of sequence and function suggests that inhibition of GDF-8 in humans is likely to have a similar effect to inhibition of GDF-8 in mice.

[0009] GDF-8 is involved in the regulation of many critical biological processes. Due to its key function in these processes, GDF-8 may be a desirable target for therapeutic intervention.

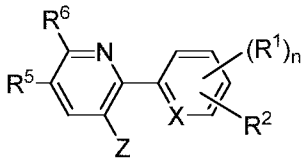
[0010] For example, US Patent No. 7,320,789, shows that GDF-8 antibodies in mouse models canincrease muscle strength (*e.g.*, for treating sarcopenia). increase muscle mass and strength in dystrophic muscle (*e.g.*, for treating Duchenne's muscular dystrophy), increase bone mass and bone density (*e.g.*, for prevention and treatment of osteoporosis), augment bone healing (*e.g.*, for treating an established muscle or bone degenerative disease (*e.g.*, fracture repair and spine fusion, preventing the decline in bone mass, microarchitecture and strength associated with estrogen deficiency, increasing trabecular bone density), and are useful for treatment of metabolic disorders such as type 2 diabetes, impaired glucose tolerance, metabolic syndrome (*e.g.*, syndrome X), insulin resistance induced by trauma (*e.g.*, burns), and adipose tissue disorders (*e.g.*, obesity).

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[0011] In particular, therapeutic agents that inhibit the activity of GDF-8 may be used to treat human or animal disorders in which an increase in muscle tissue would be therapeutically beneficial, particularly muscle and adipose tissue disorders, bone degenerative diseases, neuromuscular disorders, and diabetes, as discussed above.

SUMMARY OF THE DISCLOSURE

[0012] In one aspect, the invention provides compounds of the formula (I),



(I)

or a pharmaceutically acceptable salt thereof, wherein

X is C(H) or N;

R^1 and R^2 are independently halo or C_{1-6} alkyl;

each R^a is independently R or, two R^a together with the nitrogen atom to which they are attached form a morpholinyl optionally substituted with 1-4 R groups;

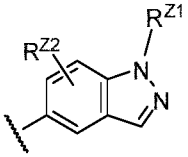
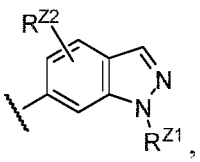
each R^b is independently halogen, cyano, oxo, C_{1-6}alkyl, C_{1-6}haloalkyl, or -OR;

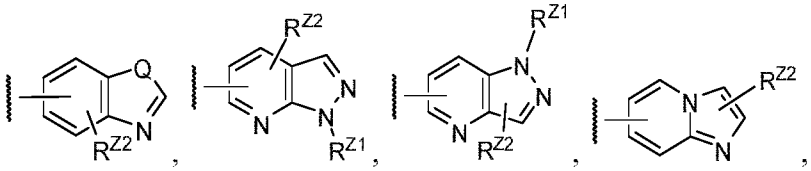
m is 0, 1 or 2;

n is 1, 2, 3 or 4;

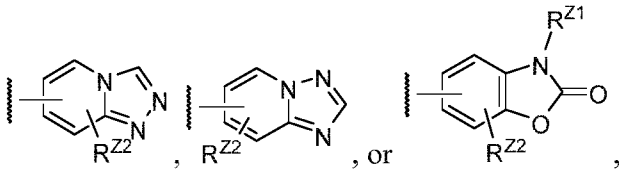
R^5 and R^6 are each independently hydrogen, halogen, C_{1-6}alkyl optionally substituted with 1-3 R^b, C_{1-6}haloalkyl, -OR, -SR, -NR^aR^a, -OC(O)R, -C(O)NR^aR^a, -OC(O)NR^aR^a, -C(O)OR, -N(R)C(O)R, or -N(R)$S(O)_2$R, or a ring selected from morpholinyl, piperidynyl and piperizinyl, each ring optionally substituted with one or two R^b;

or R^5 and R^6 are optionally taken together with the atoms to which they are attached form a morpholinyl optionally substituted with oxo and/or C_{1-6}alkyl;

Z is  or  ,



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wherein

Q is –O-, -S-, or –N(R^{Z1})-; and

R^{Z1} is hydrogen, C_{1-6}alkyl, C_{1-6}haloalkyl, or -C_{1-6}alkyl-R^Z; and

R^{Z2} is hydrogen, halogen, or C_{1-6}alkyl,

wherein R^Z is cyano, -CF_3, -OR, -SR, -NR^aR^a, -C(O)R, -C(O)OR, -C(O)NR^aR^a, -$S(O)_2NR^aR^a$, -$S(O)_2R^0$, -OC(O)R, -N(R)C(O)R, -OC(O)OR, -OC(O)NR^aR^a, -N(R)C(O)OR, -N(R)C(O)NR^aR^a, -N(R)$S(O)_2$R, or -$OP(O)(OR)_2$;

wherein each R is independently hydrogen, C_{1-6}alkyl, C_{1-6}haloalkyl, cyclopropyl, tetrahydropyran, phenyl, pyridyl, pyrazolyl, morpholinyl(C_{1-6}alkyl), piperizinyl(C_{1-6}alkyl), phenyl(C_{1-6}alkyl), pyridyl(C_{1-6}alkyl), thiazolyl(C_{1-6}alkyl), pyrazole(C_{1-6} alkyl), pyrrolo[2,3-b]pyridin-5-yl(C_{1-6}alkyl), quinolinyl(C_{1-6}alkyl), 3H-imidazo[4,5-b]pyridinyl)(C_{1-6}alkyl) or imidazol(C_{1-6}alkyl),each optionally substituted by 1-5 groups that are each independently R^b, -OR^0, -SR^0, -$N(R^0)_2$, -C(O)R^0, -C(O)OR^0, -C(O)$N(R^0)_2$,-$S(O)_2N(R^0)_2$,-OC(O)R^0, -$N(R^0)$C(O)R^0, -OC(O)OR^0, -$O(CH_2)_m$C(O)$N(R^0)_2$, -$N(R^0)$C(O)OR^0, -$N(R^0)$C(O)$N(R^0)_2$, or -$N(R^0)S(O)_2R^0$

and each R^0 is independently hydrogen, C_{1-6}haloalkyl, C_{1-6}alkyl optionally substituted with 1-3 R^b, C_{3-8}cycloalkyl optionally substituted with one or two R^b or, alternatively two R^0 together with a nitrogen atom to which they are bound form a morpholinyl, piperidynyl or piperizinyl, each optionally substituted with 0-3 R^b and R groups.

[0013] In another aspect, the invention provides pharmaceutical compositions comprising a compound or pharmaceutically acceptable salt of a compound according to formula (I) and a pharmaceutically acceptable carrier, excipient, or diluent.

[0014] In another aspect, the invention provides methods for inhibiting GDF-8 in a cell comprising contacting the cell with an effective amount of a compound or pharmaceutically acceptable salt of a compound according to formula (I) or a pharmaceutical composition comprising a compound or pharmaceutically acceptable salt of a compound according to formula (I) and a pharmaceutically acceptable carrier, excipient, or diluent.

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[0015] In another aspect, the invention provides methods for treating a patient suffering from a disease or disorder that would be improved or alleviated by inhibition of GDF-8, wherein the patient would therapeutically benefit from an increase in mass or strength of muscle tissue, comprising administering to a patient a therapeutically effective amount of a compound or pharmaceutically acceptable salt of a compound according to formula (I) or a pharmaceutical composition comprising a compound or pharmaceutically acceptable salt of a compound according to formula (I) and a pharmaceutically acceptable carrier, excipient, or diluent.

[0016] Herein described are methods for increasing muscle mass in a mammal comprising administering a therapeutically effective amount of a compound or pharmaceutically acceptable salt of a compound according to formula (I) or a pharmaceutical composition comprising a compound or pharmaceutically acceptable saltof a compound according to formula (I) and a pharmaceutically acceptable carrier, excipient, or diluent.

[0017] Also described are methods for increasing muscle strength in a mammal comprising administering a therapeutically effective amount of a compound or pharmaceutically acceptable salt according to formula (I) or a pharmaceutical composition comprising a compound or pharmaceutically acceptable salt according to formula (I) and a pharmaceutically acceptable carrier, excipient, or diluent.

[0017a] Also described are methods for increasing trabecular bone density in a patient in need thereof, comprising administering a therapeutically effective amount of a compound or pharmaceutically acceptable salt according to formula (I) or a pharmaceutical composition comprising a compound or pharmaceutically acceptable salt according to formula (I) and a pharmaceutically acceptable carrier, excipient, or diluent.

[0017b] The term "comprising" as used in this specification and claims means "consisting at least in part of". When interpreting statements in this specification and claims which include the term "comprising", other features besides the features prefaced by this term in each statement can also be present. Related terms such as "comprise" and "comprised" are to be interpreted in similar manner.

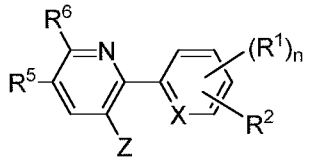
[0018] In this specification where reference has been made to patent specifications, other external documents, or other sources of information, this is generally for the purpose of providing a context for discussing the features of the invention. Unless specifically stated otherwise, reference to such external documents is not to be construed as an admission that such documents, or such sources of information, in any jurisdiction, are prior art, or form part

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of the common general knowledge in the art.The invention is defined in the claims. However, the disclosure preceding the claims may refer to additional methods and other subject matter outside the scope of the present claims. This disclosure is retained for technical purposes.

DETAILED DESCRIPTION OF THE DISCLOSURE

[0019] Described herein are pharmaceutical compounds of formula (I),



(I)

and pharmaceutically acceptable salts thereof, wherein

X is C(H) or N;

R^1 and R^2 are each independently hydrogen, halogen, cyano, nitro, C_{1-6}alkyl, C_{1-6}haloalkyl, C_{3-8}cycloalkyl, C_{3-8}cycloalkenyl, heterocyclyl, aryl, heteroaryl, $-R^{10}$, or $-C_{1-6}$alkyl-R^{10}, wherein R^{10} is -OR, -SR, $-NR^aR^a$, -C(O)R, -C(O)OR, $-C(O)NR^aR^a$, $-S(O)_2NR^aR^a$, -OC(O)R, -N(R)C(O)R, -OC(O)OR, $-O(CH_2)_mC(O)NR^aR^a$, $-N(R)C(O)OR$, $-N(R)C(O)NR^aR^a$, $-N(R)S(O)_2NR^aR^a$ or $-N(R)S(O)_2R$;

or when R^1 and R^2 are attached to adjacent carbon atoms they are optionally taken together with the atoms to which they are attached to form a 5- or 6-membered ring optionally substituted with one or two groups that are each independently halogen, oxo, oxime, imino, C_{1-6}alkyl, C_{1-6}haloalkyl, or $-R^{10}$;

each R^a is independently R or, two R^a together with the nitrogen atom to which they are attached form a 3-8 membered heterocyclyl group, optionally including 1-4 additional heteroatoms selected from O, N and S and optionally substituted with 1-4 R groups;

each R^b is independently halogen, cyano, oxo, C_{1-6}alkyl, C_{1-6}haloalkyl, or -OR;

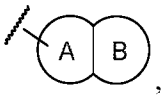
m is 0, 1 or 2;

n is 1, 2, 3 or 4;

R^5 and R^6 are each independently hydrogen, halogen, C_{1-6}alkyl optionally substituted with 1-3 R^b, C_{1-6}haloalkyl, C_{3-8}cycloalkyl optionally substituted with one or two R^b, heteroaryl optionally substituted with one or two R^b, aryl optionally substituted with one or two R^b, heterocyclyl(C_{1-6}alkyl) optionally substituted with one or two R^b, -OR, -SR, $-NR^aR^a$, -OC(O)R, $-C(O)NR^aR^a$,

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-OC(O)NR^{a}R^a, -C(O)OR, -N(R)C(O)R, -N(R)S(O)$_2$R, or R^5 and R^6 are optionally taken together with the atoms to which they are attached to form a 5- or 6-membered ring optionally including 1-3 additional heteroatoms selected from O, N and S and optionally substituted with 1-4 R^b;

Z is (a) a fused bicyclic ring of the formula, 

, wherein

ring A is a phenyl or 5- or 6-membered heteroaryl,

ring B is a 5- or 6-membered heterocyclyl or 5- or 6-membered heteroaryl;

or (b) pyridinyl or pyrimidinyl,

wherein

Z is optionally substituted by one or two groups that are each independently halogen, C$_{1-6}$alkyl, C$_{1-6}$haloalkyl, C$_{3-8}$cycloalkyl, heterocyclyl, aryl, heteroaryl, C$_{3-8}$cycloalkyl(C$_{1-6}$alkyl), heterocyclyl(C$_{1-6}$alkyl), aryl(C$_{1-6}$alkyl), heteroaryl(C$_{1-6}$alkyl), -R^Z,or -C$_{1-6}$alkyl-R^Z, wherein the C$_{3-8}$cycloalkyl, heterocyclyl, aryl, heteroaryl, C$_{3-8}$cycloalkyl(C$_{1-6}$alkyl), heterocyclyl(C$_{1-6}$alkyl), aryl(C$_{1-6}$alkyl), and heteroaryl(C$_{1-6}$alkyl) are each optionally substituted by one or two groups that are each independently halogen, C$_{1-6}$alkyl, or –R^Z;

and R^Z is cyano, -CF$_3$, -OR, -SR, -NR^{a}R^a, -C(O)R, -C(O)OR, -C(O)NR^{a}R^a, -S(O)$_2$NR^{a}R^a, -S(O)$_2$R^0, -OC(O)R, -N(R)C(O)R, -OC(O)OR, -OC(O)NR^{a}R^a, -N(R)C(O)OR, -N(R)C(O)NR^{a}R^a, -N(R)S(O)$_2$R, or -OP(O)(OR)$_2$;

or Z is (c) phenyl substituted with 1, 2, 3, 4, or 5 groups that are each independently a halogen;

wherein each R is independently hydrogen, C$_{1-6}$alkyl, C$_{1-6}$haloalkyl, C$_{3-8}$cycloalkyl, heterocyclyl, aryl, heteroaryl, heteroaryl(heteroaryl)-, heterocyclyl(aryl)-, heteroaryl(heterocyclyl)-, C$_{3-8}$cycloalkyl(C$_{1-6}$alkyl), heterocyclyl(C$_{1-6}$alkyl), aryl(C$_{1-6}$alkyl), or heteroaryl(C$_{1-6}$alkyl), each optionally substituted by 1-5 groups that are each independently R^b, -OR^0, -SR^0, -N(R^0)$_2$, -C(O)R^0, -C(O)OR^0, -C(O)N(R^0)$_2$,-S(O)$_2$N(R^0)$_2$,-OC(O)R^0, -N(R^0)C(O)R^0, -OC(O)OR^0, -O(CH$_2$)$_m$C(O)N(R^0)$_2$, -N(R^0)C(O)OR^0, -N(R^0)C(O)N(R^0)$_2$, or -N(R^0)S(O)$_2$R^0;

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and each R^0 is independently hydrogen, C_{1-6}haloalkyl, C_{1-6}alkyl optionally substituted with 1-3 R^b, C_{3-8}cycloalkyl optionally substituted with one or two R^b or, alternatively two R^0 together with a nitrogen atom to which they are bound (for example when R is $-C(O)N(R^0)_2$) form a 3-8 membered heterocyclyl group, optionally including 1-4 additional heteroatoms selected from O, N and S and optionally substituted with 0-3 R^b and R groups.

[0020] For example, in one embodiment, the disclosure provides pharmaceutically active compounds and pharmaceutically acceptable salts thereof as described above, in which

n is 1;

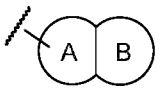
X is C(H) or N;

R^1 and R^2 are each independently hydrogen, halogen, cyano, nitro, C_{1-6}alkyl, C_{1-6}haloalkyl, C_{3-8}cycloalkyl, C_{3-8}cycloalkenyl, heterocyclyl, aryl, heteroaryl, $-R^{10}$, or $-C_{1-6}$alkyl-R^{10}, wherein R^{10} is -OR, -SR, $-NR^aR^a$, -C(O)R, -C(O)OR, $-C(O)NR^aR^a$, $-S(O)_2NR^aR^a$, -OC(O)R, -N(R)C(O)R, -OC(O)OR, $-OC(O)NR^aR^a$, -N(R)C(O)OR, $-N(R)C(O)NR^aR^a$, or $-N(R)S(O)_2R$;

or when R^1 and R^2 are attached to adjacent carbon atoms they are optionally taken together with the atoms to which they are attached to form a 5- or 6-membered heteroaryl group optionally substituted with one or two groups that are each independently halogen, C_{1-6}alkyl, C_{1-6}haloalkyl, or $-R^{10}$;

each R^a is independently R or, two R^a together with the nitrogen atom to which they are attached form a 3-8 membered heterocyclyl group, optionally including 1-4 additional heteroatoms selected from O, N and S and optionally substituted with 1-4 R groups;

R^5 and R^6 are each independently hydrogen, halogen, C_{1-6}alkyl, C_{1-6}haloalkyl, C_{3-8}cycloalkyl, -OR, -SR, $-NR^aR^a$, -OC(O)R, -N(R)C(O)R, or $-N(R)S(O)_2R$;

Z is (a) a fused bicyclic ring of the formula, 

, wherein

ring A is a phenyl or 5- or 6-membered heteroaryl,

ring B is a 5- or 6-membered heterocyclyl or 5- or 6-membered heteroaryl, and

wherein

Z is optionally substituted by one or two groups that are each independently halogen, C_{1-6}alkyl, C_{1-6}haloalkyl, C_{3-8}cycloalkyl, heterocyclyl, aryl, heteroaryl, C_{3-8}cycloalkyl(C_{1-6}alkyl),

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heterocyclyl(C_{1-6}alkyl), aryl(C_{1-6}alkyl), heteroaryl(C_{1-6}alkyl), -R^Z, or -C_{1-6}alkyl-R^Z, wherein the C_{3-8}cycloalkyl, heterocyclyl, aryl, heteroaryl, C_{3-8}cycloalkyl(C_{1-6}alkyl), heterocyclyl(C_{1-6}alkyl), aryl(C_{1-6}alkyl), and heteroaryl(C_{1-6}alkyl) are each optionally substituted by one or two groups that are each independently halogen, C_{1-6}alkyl, or -R^Z;

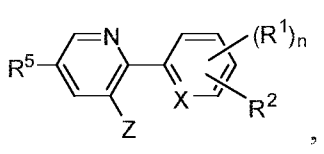
and R^Z is -OR, -SR, -NR^aR^a, -C(O)R, -C(O)OR, -$C(O)NR^aR^a$, -$S(O)_2NR^aR^a$, -OC(O)R, -N(R)C(O)R, -OC(O)OR, -$OC(O)NR^aR^a$, -N(R)C(O)OR, -$N(R)C(O)NR^aR^a$, -$N(R)S(O)_2R$, or -$OP(O)(OR)_2$;

or (b) phenyl substituted with 1, 2, 3, 4, or 5 groups that are each independently a halogen;

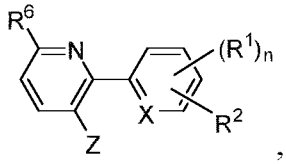
and each R is independently hydrogen or C_{1-6}alkyl, C_{1-6}haloalkyl, C_{3-8}cycloalkyl, heterocyclyl, aryl, heteroaryl, C_{3-8}cycloalkyl(C_{1-6}alkyl), heterocyclyl(C_{1-6}alkyl), aryl(C_{1-6}alkyl), or heteroaryl(C_{1-6}alkyl), each optionally substituted by one or two groups that are each independently -OR^0, -SR^0, -$N(R^0)_2$, -$C(O)R^0$, -$C(O)OR^0$, -$C(O)N(R^0)_2$,-$S(O)_2N(R^0)_2$, -$OC(O)R^0$, -$N(R^0)C(O)R^0$, -$OC(O)OR^0$, -$OC(O)N(R^0)_2$, -$N(R^0)C(O)OR^0$, -$N(R^0)C(O)N(R^0)_2$, or -$N(R^0)S(O)_2R^0$, wherein each R^0 is independently hydrogen or C_{1-6}alkyl.

[0021] The disclosure further comprises subgenera of formula (I) in which the substituents are selected as <u>any and all</u> combinations of one or more of structural formula (I), n, R^1, R^2, R^5, R^6, X, Z, R^a, R^b, R^Z R and R^0 as defined herein, including without limitation, the following:

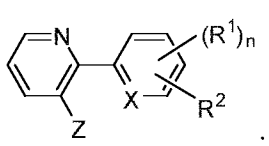
[0022] <u>Structural Formula I is one of formulae (Ia) – (Ih):</u>



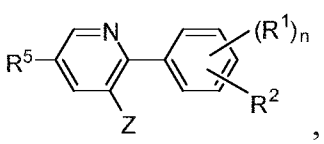
(Ia)



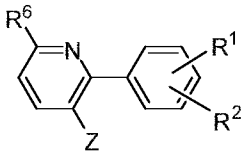
(Ib)



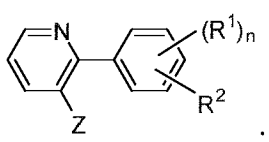
(Ic)



(Id)

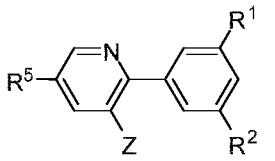


(Ie)

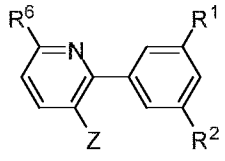


(If)

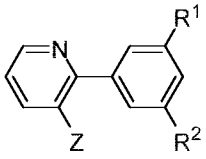
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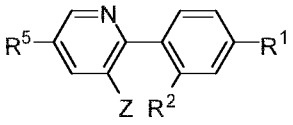
(Ig)



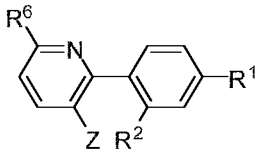
(Ih)



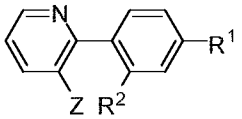
(Ii)



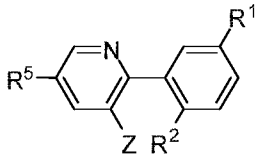
(Ij)



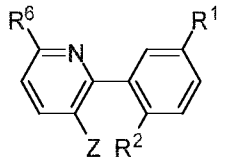
(Ik)



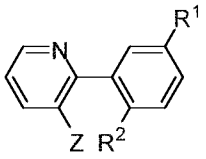
(Il)



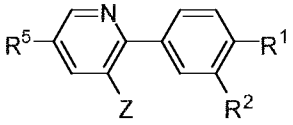
(Im)



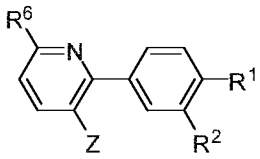
(In)



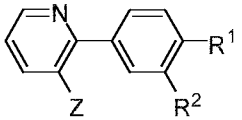
(Io)



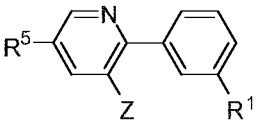
(Ip)



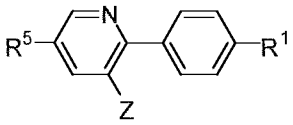
(Iq)



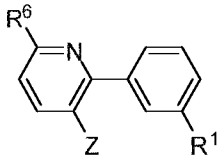
(Ir)



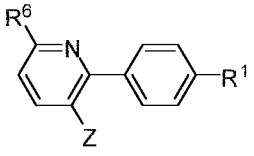
(Is)



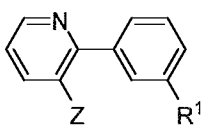
(It)



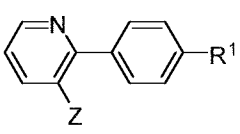
(Iu)



(Iv)



(Iw)



(Ix)

[0023] **X in any of formulae (I), (Ia), and (Ib) is selected from one of the following groups (1a) – (1b):**

(1a) X is C(H).

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(1b) X is N.

[0024] **<u>R^1 in any of formulae (I) and (Ia) - (Ix) is selected from one of the following groups (2a) – (2m):</u>**

(2a) R^1 is hydrogen, halogen, cyano, nitro, C_{1-6}alkyl, C_{1-6}haloalkyl, C_{3-8}cycloalkyl, C_{3-8}cycloalkenyl, heterocyclyl, aryl, heteroaryl, each optionally substituted with one two or three R, $-R^{10}$, or $-C_{1-6}$alkyl-R^{10}, wherein R^{10} is -OR, -SR, $-NR_2$, -C(O)R, -C(O)OR, $-C(O)NR_2$, $-S(O)_2NR_2$, -OC(O)R, -N(R)C(O)R, -OC(O)OR, $-OC(O)NR_2$, -N(R)C(O)OR, $-N(R)C(O)NR_2$, or $-N(R)S(O)_2R$.

(2b) R^1 is hydrogen, halogen, cyano, C_{1-6}alkyl, C_{1-6}haloalkyl, C_{3-8}cycloalkyl, C_{3-8}cycloalkenyl, $-R^{10}$, or $-C_{1-6}$alkyl-R^{10}, wherein R^{10} is -OR, -SR, $-NR_2$, -C(O)R, -C(O)OR, $-C(O)NR_2$, $-S(O)_2NR_2$, -OC(O)R, -N(R)C(O)R,or $-N(R)S(O)_2R$.

(2c) R^1 is hydrogen, halogen, cyano,C_{1-6}alkyl, C_{1-6}haloalkyl, C_{3-8}cycloalkyl, C_{3-8}cycloalkenyl, $-R^{10}$, or $-C_{1-6}$alkyl-R^{10}, wherein R^{10} is $-OR^{11}$, $-N(R^{11})_2$, $-C(O)N(R^{11})_2$, $-S(O)_2N(R^{11})_2$, or $-N(R^{11})S(O)_2R^{11}$, wherein each R^{11} is hydrogen or C_{1-6}alkyl.

(2d) R^1 is hydrogen, halogen, cyano,C_{1-4}alkyl, C_{1-4}haloalkyl, C_{3-6}cycloalkyl, C_{3-6}cycloalkenyl, $-C_{1-6}$alkyl–OR^{11},–OR^{11}, $-N(R^{11})_2$, $-C(O)N(R^{11})_2$, $-S(O)_2N(R^{11})_2$, or $-N(R^{11})S(O)_2R^{11}$, wherein each R^{11} is hydrogen or C_{1-6}alkyl.

(2e) R^1 is fluoro, cyano, methyl, ethyl, isopropyl, t-butyl, trifluoromethyl, hydroxy, methoxy, isopropoxy, benzyloxy, cyclopropyl, cyclopentyl, cyclopentenyl, phenyl, amino, dimethylamino, methylsulfonylamino, aminocarbonyl, dimethylaminocarbonyl, n-propylaminocarbonyl, aminosulfonyl, or hydroxymethyl.

(2f) R^1 is halogen or C_{1-6}alkyl.

(2g) R^1 is halogen or C_{1-4}alkyl.

(2h) R^1 is halogen or methyl.

(2i) R^1 is fluoro or methyl.

(2j) R^1 is fluoro.

(2k) R^1 is methyl.

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(2l) R^1 is hydrogen, halogen, cyano, nitro, C_{1-6}alkyl, C_{1-6}haloalkyl, C_{3-8}cycloalkyl, C_{3-8}cycloalkenyl, heterocyclyl, aryl, heteroaryl, $-R^{10}$, or $-C_{1-6}$alkyl-R^{10}, wherein R^{10} is -OR, -SR, $-NR_2$, -C(O)R, -C(O)OR, $-C(O)NR_2$, $-S(O)_2NR_2$, -OC(O)R, -N(R)C(O)R, -OC(O)OR, $-OC(O)NR_2$, -N(R)C(O)OR, $-N(R)C(O)NR_2$, or $-N(R)S(O)_2R$.

(2m) any one of (2a) – (2d) and (2l), where R^1 is not hydrogen.

[0025] **R^2 in any of formulae (I) and (Ia) - (Ir) is selected from one of the following groups (3a) – (3m):**

(3a) R^2 is hydrogen, halogen, cyano, nitro, C_{1-6}alkyl, C_{1-6}haloalkyl, C_{3-8}cycloalkyl, C_{3-8}cycloalkenyl, heterocyclyl, aryl, heteroaryl, $-R^{10}$, or $-C_{1-6}$alkyl-R^{10}, wherein R^{10} is -OR, -SR, $-NR_2$, -C(O)R, -C(O)OR, $-C(O)NR_2$, $-S(O)_2NR_2$, -OC(O)R, -N(R)C(O)R , -OC(O)OR, $-OC(O)NR_2$, -N(R)C(O)OR, $-N(R)C(O)NR_2$, or $-N(R)S(O)_2R$.

(3b) R^2 is hydrogen, halogen, cyano,C_{1-6}alkyl, C_{1-6}haloalkyl, C_{3-8}cycloalkyl, C_{3-8}cycloalkenyl, $-R^{10}$, or $-C_{1-6}$alkyl-R^{10}, wherein R^{10} is -OR, -SR, $-NR_2$, -C(O)R, -C(O)OR, $-C(O)NR_2$, $-S(O)_2NR_2$, -OC(O)R, -N(R)C(O)R,or $-N(R)S(O)_2R$.

(3c) R^2 is hydrogen, halogen, cyano,C_{1-6}alkyl, C_{1-6}haloalkyl, C_{3-8}cycloalkyl, C_{3-8}cycloalkenyl, $-R^{10}$, or $-C_{1-6}$alkyl-R^{10}, wherein R^{10} is $-OR^{11}$, $-N(R^{11})_2$, $-C(O)N(R^{11})_2$, $-S(O)_2N(R^{11})_2$, or $-N(R^{11})S(O)_2R^{11}$,wherein each R^{11} is hydrogen or C_{1-6}alkyl

(3d) R^2 is hydrogen, halogen, cyano,C_{1-4}alkyl, C_{1-4}haloalkyl, C_{3-6}cycloalkyl, C_{3-6}cycloalkenyl, $-C_{1-6}$alkyl-OR^{11}, $-OR^{11}$, $-N(R^{11})_2$, $-C(O)N(R^{11})_2$, $-S(O)_2N(R^{11})_2$, or $-N(R^{11})S(O)_2R^{11}$, wherein each R^{11} is hydrogen or C_{1-6}alkyl.

(3e) R^2 is fluoro, cyano, methyl, ethyl, isopropyl, t-butyl, trifluoromethyl, hydroxy, methoxy, isopropoxy, benzyloxy, cyclopropyl, cyclopentyl, cyclopentenyl, phenyl, amino, dimethylamino, methylsulfonylamino, aminocarbonyl, dimethylaminocarbonyl, n-propylaminocarbonyl, aminosulfonyl, or hydroxymethyl.

(3f) R^2 is halogen or C_{1-6}alkyl.

(3g) R^2 is halogen or C_{1-4}alkyl.

(3h) R^2 is halogen or methyl.

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(3i) R^2 is fluoro or methyl.

(3j) R^2 is fluoro.

(3k) R^2 is methyl.

(3l) R^2 is hydrogen, halogen, cyano, nitro, C_{1-6}alkyl, C_{1-6}haloalkyl, C_{3-8}cycloalkyl, C_{3-8}cycloalkenyl, heterocyclyl, aryl, heteroaryl, $-R^{10}$, or $-C_{1-6}$alkyl-R^{10}, wherein R^{10} is -OR, -SR, $-NR_2$, -C(O)R, -C(O)OR, $-C(O)NR_2$, $-S(O)_2NR_2$, -OC(O)R, -N(R)C(O)R, -OC(O)OR, $-OC(O)NR_2$, -N(R)C(O)OR, $-N(R)C(O)NR_2$, or $-N(R)S(O)_2R$.

(3m) any one of (3a) - (3d) and (3l) where where R^2 is not hydrogen.

[0026] **R^1 and R^2 in any of formulae (I) and (Ia) - (Ir) are selected from one of the following groups (4a) – (4j):**

(4a) R^1 and R^2 are each independently hydrogen, halogen, cyano, nitro, C_{1-6}alkyl, C_{1-6}haloalkyl, C_{3-8}cycloalkyl, C_{3-8}cycloalkenyl, heterocyclyl, aryl, heteroaryl, $-R^{10}$, or $-C_{1-6}$alkyl-R^{10}, wherein R^{10} is -OR, -SR, $-NR_2$, -C(O)R, -C(O)OR, $-C(O)NR_2$, $-S(O)_2NR_2$, -OC(O)R, -N(R)C(O)R, -OC(O)OR, $-OC(O)NR_2$, -N(R)C(O)OR, $-N(R)C(O)NR_2$, or $-N(R)S(O)_2R$.

(4b) R^1 and R^2 are each independently hydrogen, halogen, cyano, C_{1-6}alkyl, C_{1-6}haloalkyl, C_{3-8}cycloalkyl, C_{3-8}cycloalkenyl, $-R^{10}$, or $-C_{1-6}$alkyl-R^{10}, wherein R^{10} is -OR, -SR, $-NR_2$, -C(O)R, -C(O)OR, $-C(O)NR_2$, $-S(O)_2NR_2$, -OC(O)R, -N(R)C(O)R, or $-N(R)S(O)_2R$.

(4c) R^1 and R^2 are each independently hydrogen, halogen, cyano, C_{1-6}alkyl, C_{1-6}haloalkyl, C_{3-8}cycloalkyl, C_{3-8}cycloalkenyl, $-R^{10}$, or $-C_{1-6}$alkyl-R^{10}, wherein R^{10} is $-OR^{11}$, $-N(R^{11})_2$, $-C(O)N(R^{11})_2$, $-S(O)_2N(R^{11})_2$, or $-N(R^{11})S(O)_2R^{11}$,wherein each R^{11} is hydrogen or C_{1-6}alkyl.

(4d) R^1 and R^2 are each independently hydrogen, halogen, cyano, C_{1-4}alkyl, C_{1-4}haloalkyl, C_{3-6}cycloalkyl, C_{3-6}cycloalkenyl, $-C_{1-6}$alkyl-OR^{11}, $-OR^{11}$, $-N(R^{11})_2$, $-C(O)N(R^{11})_2$, $-S(O)_2N(R^{11})_2$, or $-N(R^{11})S(O)_2R^{11}$, wherein each R^{11} is hydrogen or C_{1-6}alkyl.

(4e) R^1 and R^2 are each independently hydrogen, fluoro, cyano, methyl, ethyl, isopropyl, t-butyl, trifluoromethyl, hydroxy, methoxy, isopropoxy, benzyloxy, cyclopropyl, cyclopentyl, cyclopentenyl, phenyl, amino, dimethylamino, methylsulfonylamino,

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aminocarbonyl, dimethylaminocarbonyl, n-propylaminocarbonyl, aminosulfonyl, or hydroxymethyl.

(4f) R^1 and R^2 are each independently hydrogen, halogen, or C_{1-6}alkyl.

(4g) R^1 is fluoro and R^2 is methyl.

(4h) any one of (4a) – (4f), where R^1 is not hydrogen.

(4i) any one of (4a) - (4f), where R^2 is not hydrogen.

(4j) any one of (4a) – (4f), where neither R^1 nor R^2 is hydrogen.

[0027] <u>R^5 in any of formulae (I) and (Ia) - (Ix) is selected from one of the following groups (5a) – (5r):</u>

(5a) R^5 is hydrogen, halogen, C_{1-6}alkyl, -OR, $-NR^aR^a$, -N(R)C(O)R, or $-N(R)S(O)_2R$.

(5b) R^5 is hydrogen, halogen, C_{1-6}alkyl,$-OR^{50}$, $-NR^{50}R^{50}$, $-N(R^{50})C(O)R^{50}$, or $-N(R^{50})S(O)_2R^{50}$, wherein each R^{50} is independently hydrogen or C_{1-6}alkyl.

(5c) R^5 is hydrogen, halogen, C_{1-4}alkyl,$-OR^{50}$, $-NR^{50}R^{50}$, $-N(R^{50})C(O)R^{50}$, or $-N(R^{50})S(O)_2R^{50}$, wherein each R^{50} is independently hydrogen or C_{1-4}alkyl.

(5d) R^5 is hydrogen, fluoro, chloro, methyl, methoxy, ethoxy, amino, acetylamino, or methylsulfonylamino.

(5e) R^5 is fluoro or chloro.

(5f) R^5 is fluoro.

(5g) R^5 is chloro.

(5h) R^5 is methyl.

(5i) R^5 is methoxy or ethoxy.

(5j) R^5 is amino, acetylamino, or methylsulfonylamino.

(5k) R^5 is hydrogen.

(5l) R^5 is $-NR^aR^a$.

(5m) R^5 is -N(R)CO(R).

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(5n) R^5 is heteroaryl optionally substituted with one or two R^b or aryl optionally substituted with one or two R^b.

(5o) R^5 is C_{3-8}cycloalkyl optionally substituted with one or two R^b or heterocyclyl(C_{1-6}alkyl) optionally substituted with one or two R^b.

(5p) R^5 is hydrogen, halogen, C_{1-6}alkyl, C_{1-6}haloalkyl, C_{3-8}cycloalkyl, -OR, -SR, $-NR^aR^a$, -OC(O)R, -N(R)C(O)R, or $-N(R)S(O)_2R$.

(5q) R^5 is hydrogen, halogen, C_{1-6}alkyl optionally substituted with 1-3 R^b, C_{1-6}haloalkyl, C_{3-8}cycloalkyl optionally substituted with one or two R^b, heteroaryl optionally substituted with one or two R^b, -OR, -SR, $-NR^aR^a$, -OC(O)R, $-C(O)NR^aR^a$, $-OC(O)NR^aR^a$, -C(O)OR, -N(R)C(O)R, $-N(R)S(O)_2R$.

(5r) any one of (5a) – (5d), (5p) and (5q), where R^5 is not hydrogen.

[0028] **R^6 in any of formulae (I) and (Ia) - (Ix) is selected from one of the following groups (6a) – (6n):**

(6a) R^6 is hydrogen, halogen, C_{1-6}alkyl, -OR, $-NR^aR^a$, -N(R)C(O)R, or $-N(R)S(O)_2R$.

(6b) R^6 is hydrogen, halogen, C_{1-6}alkyl,$-OR^{60}$, $-NR^{60}R^{60}$, $-N(R^{60})C(O)R^{60}$, or $-N(R^{60})S(O)_2R^{60}$, wherein each R^{60} is independently hydrogen or C_{1-6}alkyl.

(6c) R^6 is hydrogen, halogen, C_{1-4}alkyl,$-OR^{60}$, $-NR^{60}R^{60}$, $-N(R^{60})C(O)R^{60}$, or $-N(R^{60})S(O)_2R^{60}$, wherein each R^{60} is independently hydrogen or C_{1-4}alkyl.

(6d) R^6 is hydrogen, fluoro, chloro, methyl, methoxy, ethoxy, amino, acetylamino, or methylsulfonylamino.

(6e) R^6 is fluoro or chloro.

(6f) R^6 is fluoro.

(6g) R^6 is chloro.

(6h) R^6 is methyl.

(6i) R^6 is methoxy or ethoxy.

(6j) R^6 is amino, acetylamino, or methylsulfonylamino.

(6k) R^6 is hydrogen.

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(6l) R^6 is hydrogen, halogen, C_{1-6}alkyl, C_{1-6}haloalkyl, C_{3-8}cycloalkyl, -OR, -SR, $-NR^aR^a$, -OC(O)R, -N(R)C(O)R, or $-N(R)S(O)_2R$.

(6m) R^6 is hydrogen, halogen, C_{1-6}alkyl optionally substituted with 1-3 R^b, C_{1-6}haloalkyl, C_{3-8}cycloalkyl optionally substituted with one or two R^b, heteroaryl optionally substituted with one or two R^b, -OR, -SR, $-NR^aR^a$, -OC(O)R, $-C(O)NR^aR^a$, $-OC(O)NR^aR^a$, -C(O)OR, -N(R)C(O)R, $-N(R)S(O)_2R$.

(6n) any one of (6a) – (6d), (6l) and (6m), where R^6 is not hydrogen.

[0029] <u>R^5 and R^6 in any of formulae (I) and (Ia) - (Ix) are selected from one of the following groups (7a) – (7u):</u>

(7a) R^5 and R^6 are each independently hydrogen, halogen, C_{1-6}alkyl, -OR, $-NR^aR^a$, -N(R)C(O)R, or $-N(R)S(O)_2R$.

(7b) one of R^5 and R^6 is hydrogen, and the other is halogen, C_{1-6}alkyl, -OR, $-NR^aR^a$, -N(R)C(O)R, or $-N(R)S(O)_2R$.

(7c) R^5 and R^6 are each independently hydrogen, halogen, C_{1-6}alkyl, $-OR^7$, $-NR^7R^7$, $-N(R^7)C(O)R^7$, or $-N(R^7)S(O)_2R^7$, wherein each R^7 is independently hydrogen or C_{1-6}alkyl.

(7d) one of R^5 and R^6 is hydrogen, and the other is halogen, C_{1-6}alkyl, $-OR^7$, $-NR^7R^7$, $-N(R^7)C(O)R^7$, or $-N(R^7)S(O)_2R^7$, wherein each R^7 is independently hydrogen or C_{1-6}alkyl.

(7e) R^5 and R^6 are each independently hydrogen, halogen, C_{1-4}alkyl, $-OR^7$, $-NR^7R^7$, $-N(R^7)C(O)R^7$, or $-N(R^7)S(O)_2R^7$, wherein each R^7 is independently hydrogen or C_{1-4}alkyl.

(7f) one of R^5 and R^6 is hydrogen, and the other is halogen, C_{1-4}alkyl, $-OR^7$, $-NR^7R^7$, $-N(R^7)C(O)R^7$, or $-N(R^7)S(O)_2R^7$, wherein each R^7 is independently hydrogen or C_{1-4}alkyl.

(7g) R^5 and R^6 are each independently hydrogen, fluoro, chloro, methyl, methoxy, ethoxy, amino, acetylamino, or methylsulfonylamino.

(7h) one of R^5 and R^6 is hydrogen, and the other is fluoro, chloro, methyl, methoxy, ethoxy, amino, acetylamino, or methylsulfonylamino.

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(7i) any one of (7a) – (7h),where one of R^5 and R^6 is not hydrogen.

(7j) R^5 and R^6 are each hydrogen.

(7k) one of R^5 and R^6 is hydrogen, and the other is fluoro, or chloro.

(7l) one of R^5 and R^6 is hydrogen, and the other is methyl.

(7m) one of R^5 and R^6 is hydrogen, and the other is methoxy or ethoxy.

(7n) one of R^5 and R^6 is hydrogen, and the other is amino, acetylamino, or methylsulfonylamino.

(7o) one of R^5 and R^6 is hydrogen, and the other is amino.

(7p) one of R^5 and R^6 is hydrogen, and the other is acetylamino.

(7q) one of R^5 and R^6 is hydrogen, and the other is methylsulfonylamino.

(7r) R^5 and R^6 are each independently hydrogen, halogen, $C_{1\text{-}6}$alkyl optionally substituted with 1-3 R^b, $C_{1\text{-}6}$haloalkyl, $C_{3\text{-}8}$cycloalkyl optionally substituted with one or two R^b, heteroaryl optionally substituted with one or two R^b, -OR, -SR, $-NR^aR^a$, $-OC(O)R$, $-C(O)NR^aR^a$, $-OC(O)NR^aR^a$, -C(O)OR, -N(R)C(O)R, $-N(R)S(O)_2R$, or R^5 and R^6 are optionally taken together with the atoms to which they are attached to form a 5- or 6-membered ring optionally including 1-3 additional heteroatoms selected from O, N and S and optionally substituted with 1-4 R^b.

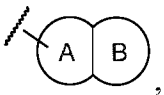
(7s) R^5 and R^6 are taken together with the atoms to which they are attached to form a 5- or 6-membered ring optionally including 1-3 additional heteroatoms selected from O, N and S and optionally substituted with 1-4 R^b.

(7t) R^5 and R^6 are each independently hydrogen, halogen, $C_{1\text{-}6}$alkyl, $C_{1\text{-}6}$haloalkyl, $C_{3\text{-}8}$cycloalkyl, -OR, -SR, $-NR^aR^a$, $-OC(O)R$, -N(R)C(O)R, or $-N(R)S(O)_2R$.

(7u) any one of (7r) and (7t),where one of R^5 and R^6 is not hydrogen.

[0030] **Z in any of formulae (I) and (Ia) - (Ix) is selected from one of the following groups (8a) – (8tt):**

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(8a) Z is a fused bicyclic ring of the formula, 

, wherein ring A is a phenyl or pyridyl ring; and ring B is a 5- or 6-membered heterocyclyl or 5- or 6-membered heteroaryl, wherein Z is optionally substituted as in formula (I).

(8b) Z is as in (8a), wherein ring B is a 5- or 6-membered heterocyclyl.

(8c) Z is as in (8a), wherein ring B is a 5-membered heterocyclyl.

(8d) Z is as in (8a), wherein ring B is a 6-membered heterocyclyl.

(8e) Z is as in (8a), wherein ring B is a 5- or 6-membered heteroaryl.

(8f) Z is as in (8a), wherein ring B is a 5-membered heteroaryl.

(8g) Z is as in (8a), wherein ring B is a thienyl, pyrrolyl, furanyl, imidazolyl, pyrazolyl, thiazolyl, or oxazolyl ring.

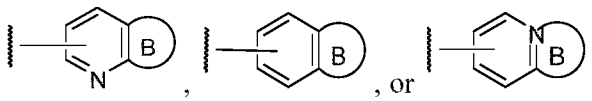
(8h) Z is as in (8a), wherein ring B is a 6-membered heteroaryl.

(8i) Z is as in (8a), wherein ring B is a pyridyl, pyrimidinyl, or pyrazinyl ring.

(8j) Z is as in any one of (8a) – (8i), wherein ring A is a phenyl ring.

(8k) Z is as in any one of (8a) – (8i), wherein ring A is a pyridyl ring.

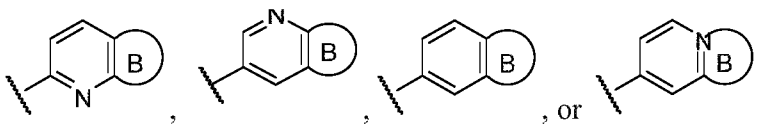
(8l) Z is of the formula,



, , or ,

wherein each is optionally substituted by one or two groups that are each independently halogen, C_{1-6}alkyl, C_{1-6}haloalkyl, C_{3-8}cycloalkyl, heterocyclyl, aryl, heteroaryl, C_{3-8}cycloalkyl(C_{1-6}alkyl), heterocyclyl(C_{1-6}alkyl), aryl(C_{1-6}alkyl), heteroaryl(C_{1-6}alkyl), $-R^Z$, or $-C_{1-6}$alkyl-R^Z,wherein the C_{3-8}cycloalkyl, heterocyclyl, aryl, heteroaryl, C_{3-8}cycloalkyl(C_{1-6}alkyl), heterocyclyl(C_{1-6}alkyl), aryl(C_{1-6}alkyl), and heteroaryl(C_{1-6}alkyl) are each optionally substituted by one to four groups that are each independently C_{1-6}alkyl or $-R^Z$.

(8m) Z is of the formula,

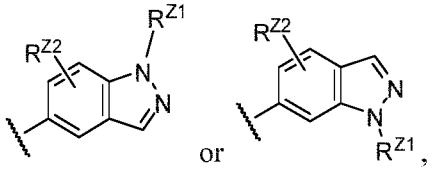


, , , or ,

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wherein each is optionally substituted by one or two groups that are each independently halogen, C_{1-6}alkyl, C_{1-6}haloalkyl, C_{3-8}cycloalkyl, heterocyclyl, aryl, heteroaryl, C_{3-8}cycloalkyl(C_{1-6}alkyl), heterocyclyl(C_{1-6}alkyl), aryl(C_{1-6}alkyl), heteroaryl(C_{1-6}alkyl), $-R^Z$,or $-C_{1-6}$alkyl-R^Z,wherein the C_{3-8}cycloalkyl, heterocyclyl, aryl, heteroaryl, C_{3-8}cycloalkyl(C_{1-6}alkyl), heterocyclyl(C_{1-6}alkyl), aryl(C_{1-6}alkyl), and heteroaryl(C_{1-6}alkyl) are each optionally substituted by one to four groups that are each independently C_{1-6}alkyl or $-R^Z$.

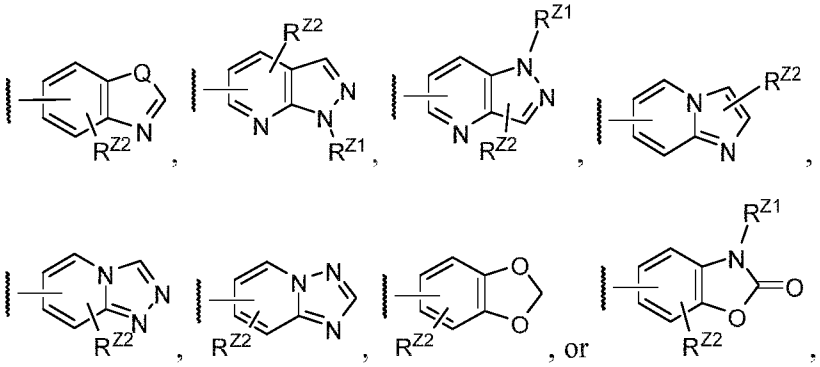
(8n) Z is of the formula,



wherein R^{Z1} is hydrogen, C_{1-6}alkyl, C_{1-6}haloalkyl, C_{3-8}cycloalkyl or $-C_{1-6}$alkyl-R^Z; and

R^{Z2} is hydrogen, halogen, C_{1-6}haloalkyl, C_{3-8}cycloalkyl or C_{1-6}alkyl, wherein the C_{3-8}cycloalkyl for R^{Z1} and R^{Z2} are optionally substituted with one or two halogen, C_{1-6}alkyl, or $-R^Z$.

(8o) Z is of the formula,

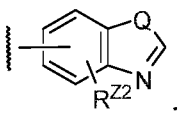


wherein

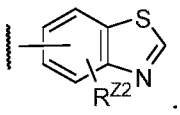
Q is –O-, -S-, or $-N(R^{Z1})$-; and

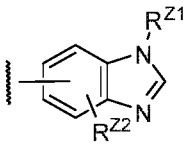
R^{Z1} is hydrogen, C_{1-6}alkyl, C_{1-6}haloalkyl, or $-C_{1-6}$alkyl-R^Z; and

R^{Z2} is hydrogen, halogen, or C_{1-6}alkyl.

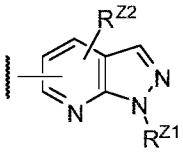
(8p) As in (8o), where Z is of the formula,  .

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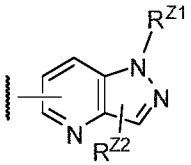
(8q) As in (8o), where Z is of the formula,  .



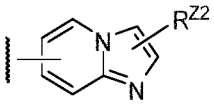
(8r) As in (8o), where Z is of the formula, .



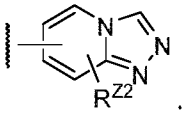
(8s) As in (8o), where Z is of the formula, .



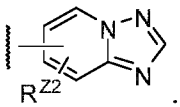
(8t) As in (8o), where Z is of the formula, .



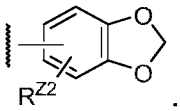
(8u) As in (8o), where Z is of the formula, .



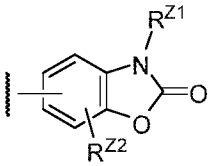
(8v) As in (8o), where Z is of the formula, .



(8w) As in (8o), where Z is of the formula, .



(8x) As in (8o), where Z is of the formula, .



(8y) As in (8o), where Z isof the formula, .

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(8z) As in any one of (8n), (8r) – (8t), and (8y), where R^{Z1} is hydrogen, C_{1-6}alkyl, C_{1-6}haloalkyl, C_{3-8}cycloalkyl, heterocyclyl, aryl, heteroaryl, C_{3-8}cycloalkyl(C_{1-6}alkyl), heterocyclyl(C_{1-6}alkyl), aryl(C_{1-6}alkyl), heteroaryl(C_{1-6}alkyl), -R^{Z3},-C_{1-6}alkyl-R^{Z3}, or -C_{1-6}alkyl-R^{Z4}, whereinR^{Z3} is -C(O)R, -C(O)OR, -C(O)NR_2, or -$S(O)_2NR_2$; and R^{Z4} is -OR, -SR, -NR_2, -OC(O)R, -N(R)C(O)R, -OC(O)OR, -OC(O)NR_2, -N(R)C(O)OR, -N(R)C(O)NR_2, -N(R)$S(O)_2$R, or -OP(O)$(OR)_2$.

(8aa) As in any one of (8n), (8r) – (8t), and (8y), where R^{Z1} is hydrogen, C_{1-6}alkyl, C_{1-6}haloalkyl, C_{3-8}cycloalkyl, heterocyclyl, aryl, heteroaryl, C_{3-8}cycloalkyl(C_{1-6}alkyl), heterocyclyl(C_{1-6}alkyl), aryl(C_{1-6}alkyl), heteroaryl(C_{1-6}alkyl), -R^{Z3},-C_{1-6}alkyl-R^{Z3}, or -C_{1-6}alkyl-R^{Z4}, whereinR^{Z3} is -C(O)R^{Z6}, -C(O)OR^{Z6}, -C(O)NR^{Z6}_2, or -$S(O)_2NR^{Z5}_2$; and R^{Z4} is -OR^{Z5}, -SR^{Z5}, -NR^{Z5}_2, -OC(O)R^{Z5}, -N(R^{Z5})C(O)R^{Z5}, -OC(O)OR^{Z5}, -OC(O)NR^{Z5}_2, -N(R^{Z5})C(O)OR^{Z5}, -N(R^{Z5})C(O)NR^{Z5}_2, -N(R^{Z5})$S(O)_2R^{Z5}$, or -OP(O)$(OR^{Z5})_2$, and wherein each R^{Z5} is independently hydrogen or C_{1-6}alkyl; and each R^{Z6} is independently hydrogen or C_{1-6}alkyl, C_{3-8}cycloalkyl(C_{1-6}alkyl), heterocyclyl(C_{1-6}alkyl), aryl(C_{1-6}alkyl), or heteroaryl(C_{1-6}alkyl), each optionally substituted by one or two groups that are each independently -OR^0, -SR^0, -N$(R^0)_2$, -C(O)R^0, -C(O)OR^0, -C(O)N$(R^0)_2$,-$S(O)_2N(R^0)_2$, -OC(O)R^0, -N(R^0)C(O)R^0, -OC(O)OR^0, -OC(O)N$(R^0)_2$, -N(R^0)C(O)OR^0, -N(R^0)C(O)N$(R^0)_2$, or -N(R^0)$S(O)_2R^0$, wherein eachR^0 is independently hydrogen or C_{1-6}alkyl.

(8bb) As in any one of (8n), (8r) – (8t), and (8y), where R^{Z1} is hydrogen, C_{1-6}alkyl, heterocyclyl, heterocyclyl(C_{1-6}alkyl), -C_{1-6}alkyl-R^{Z4}, or -C(O)OR^{Z6}, wherein R^{Z4} is -OR^{Z5}, -SR^{Z5}, -NR^{Z5}_2, -OC(O)R^{Z5}, -N(R^{Z5})C(O)R^{Z5}, -OC(O)OR^{Z5}, -OC(O)NR^{Z5}_2, -N(R^{Z5})C(O)OR^{Z5}, -N(R^{Z5})C(O)NR^{Z5}_2, -N(R^{Z5})$S(O)_2R^{Z5}$, or -OP(O)$(OR^{Z5})_2$, wherein the heterocyclyl and heterocyclyl(C_{1-6}alkyl) are each optionally substituted by one or two groups that are each independently halogen or C_{1-6}alkyl; andwhereineach R^{Z5} is independently hydrogen or C_{1-6}alkyl; and each R^{Z6} is independently hydrogen or C_{1-6}alkyl, C_{3-8}cycloalkyl(C_{1-6}alkyl), heterocyclyl(C_{1-6}alkyl), aryl(C_{1-6}alkyl), or heteroaryl(C_{1-6}alkyl), each optionally substituted by -OR^0, -SR^0, -N$(R^0)_2$, -C(O)R^0, -C(O)OR^0, or -C(O)N$(R^0)_2$,wherein eachR^0 is independently hydrogen or C_{1-6}alkyl.

(8cc) As in any one of (8n), (8r) – (8t), and (8y), where R^{Z1} is hydrogen, C_{1-6}alkyl, heterocyclyl, heterocyclyl(C_{1-6}alkyl), -C_{1-6}alkyl-OR^{Z5}, -C_{1-6}alkyl-OP(O)$(OR^{Z5})_2$, or -C(O)OR^{Z6}, wherein the heterocyclyl and heterocyclyl(C_{1-6}alkyl) are each

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optionally substituted by one or two C_{1-6}alkyl groups; andwhereineach R^{Z5} is independently hydrogen or C_{1-6}alkyl; each R^{Z6} is independently hydrogen or C_{1-6}alkyl or heteroaryl(C_{1-6}alkyl), each optionally substituted by -OR^0, or-$N(R^0)_2$,wherein each R^0 is independently hydrogen or C_{1-6}alkyl.

(8dd) As in any one of (8n), (8r) – (8t), and (8y), where R^{Z1} is hydrogen, methyl, ethyl, isopropyl, 2-(morpholin-4-yl)ethyl, 2-(4-methylpiperazin-1-yl)ethyl, 3-hydroxypropyl, 3-ethoxypropyl, 4-tetrahydropyranyl,N-methylpiperidin-4-yl, -CH_2-$OP(O)(OH)_2$,or 3-(indol-3-yl)-2-aminopropyloxycarbonyl.

(8ee) As in any one of (8n) - (8dd), where R^{Z2} is hydrogen or halogen.

(8ff) As in any one of (8n) - (8dd), where R^{Z2} is hydrogen or C_{1-6}alkyl.

(8gg) As in any one of (8n) - (8dd), where R^{Z2} is hydrogen or methyl.

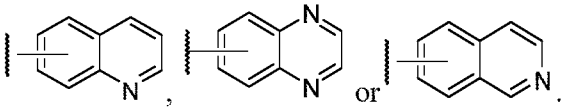
(8hh) As in any one of (8n) - (8dd), where R^{Z2} is hydrogen.

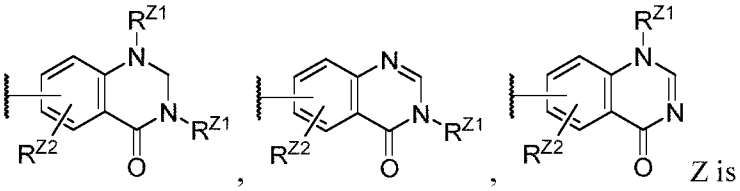
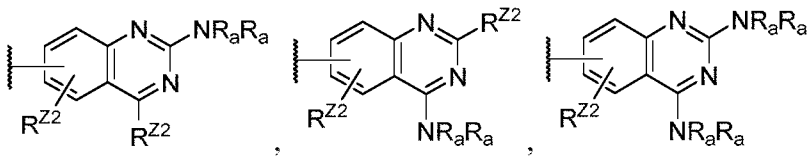
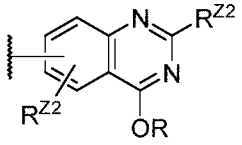
(8ii) Z is halophenyl (e.g., 4-halophenyl).

(8jj) Z is dihalophenyl.

(8kk) Z is fluorophenyl.

(8ll) Z is 4-fluorophenyl.

(8mm) Z is 

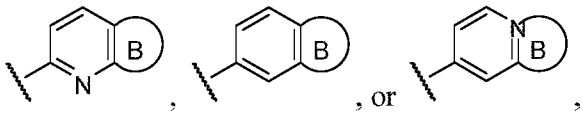
(8nn) Z is  , , Z is  , , , 

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(8oo) In any one of (8nn) and (8oo), R^{Z1} independently is for each occurrence hydrogen, C_{1-6}alkyl, C_{1-6}haloalkyl, C_{3-8}cycloalkyl or -C_{1-6}alkyl-R^Z, wherein the C_{3-8}cycloalkyl are optionally substituted by one or two halogen, C_{1-6}alkyl, or -R^Z.

(8pp) In any one of (8nn) – (8pp), R^{Z2} independently is for each occurrence hydrogen, halogen, C_{1-6}alkyl, C_{1-6}haloalkyl, C_{3-8}cycloalkyl, -R^Z, or -C_{1-6}alkyl-R^Z, wherein the C_{3-8}cycloalkyl are optionally substituted by one or two halogen, C_{1-6}alkyl, or -R^Z.

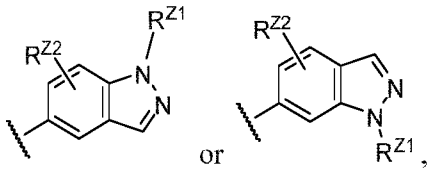
(8qq) Z is of the formula,



, , or ,

wherein each is optionally substituted by one or two groups that are each independently halogen, C_{1-6}alkyl, C_{1-6}haloalkyl, C_{3-8}cycloalkyl, heterocyclyl, aryl, heteroaryl, C_{3-8}cycloalkyl(C_{1-6}alkyl), heterocyclyl(C_{1-6}alkyl), aryl(C_{1-6}alkyl), heteroaryl(C_{1-6}alkyl), -R^Z,or -C_{1-6}alkyl-R^Z,wherein the C_{3-8}cycloalkyl, heterocyclyl, aryl, heteroaryl, C_{3-8}cycloalkyl(C_{1-6}alkyl), heterocyclyl(C_{1-6}alkyl), aryl(C_{1-6}alkyl), and heteroaryl(C_{1-6}alkyl) are each optionally substituted by one to four groups that are each independently C_{1-6}alkyl or –R^Z.

(8rr) Z is of the formula,



wherein R^{Z1} is hydrogen, C_{1-6}alkyl, C_{1-6}haloalkyl, or -C_{1-6}alkyl-R^Z; and R^{Z2} is hydrogen, halogen, or C_{1-6}alkyl.

(8ss) Z is pyridinyl.

(8tt) Z is pyrimidinyl, optionally substituted by one or two groups that are each independently halogen, C_{1-6}alkyl, C_{1-6}haloalkyl, C_{3-8}cycloalkyl, heterocyclyl, aryl, heteroaryl, C_{3-8}cycloalkyl(C_{1-6}alkyl), heterocyclyl(C_{1-6}alkyl), aryl(C_{1-6}alkyl), heteroaryl(C_{1-6}alkyl), -R^Z,or -C_{1-6}alkyl-R^Z, wherein the C_{3-8}cycloalkyl, heterocyclyl, aryl, heteroaryl, C_{3-8}cycloalkyl(C_{1-6}alkyl), heterocyclyl(C_{1-6}alkyl), aryl(C_{1-6}alkyl), and heteroaryl(C_{1-6}alkyl) are each optionally substituted by one or two groups that are each independently halogen, C_{1-6}alkyl, or –R^Z; and R^Z is cyano, -CF_3, -OR, -SR,

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$-NR^aR^a$, -C(O)R, -C(O)OR, $-C(O)NR^aR^a$, $-S(O)_2NR^aR^a$, $-S(O)_2R^0$, -OC(O)R, -N(R)C(O)R, -OC(O)OR, $-OC(O)NR^aR^a$, -N(R)C(O)OR, $-N(R)C(O)NR^aR^a$, $-N(R)S(O)_2R$, or $-OP(O)(OR)_2$.

[0031] **In in any of formulae (I) and (Ia) - (If) is selected from one of the following definitions (9a) – (9c):**

(9a) n is 1.

(9b) n is 1, 2 or 3.

(9c) n is 1 or 2.

[0032] The compounds of formulae (I) and (Ia) - (Ix) described above are useful as kinase inhibitors and/or inhibitors of cytokine signaling. Exemplary kinases inhibited by the presently disclosed compounds formulae I and (Ia) – (Ix) include, without limitation, ACVR1; ACVR1B (ALK-4); ACVR1C; ACVR2A; ACVR2B; ACVRL1; BMPR1A; BMPR1B; BMPR2; TGFBR1 (ALK-5), PI3K and MAP4K4 (HGK). Exemplary cytokines, the signaling of which is inhibited by the present compounds of formulae (I), include, without limitation, TGF-β superfamily, including TGF-β1 and GDF-8. In one aspect the present compounds are selective for one or more kinase and/or cytokine signaling pathway. For example, exemplary compounds inhibit TGF-β1 signaling, GDF-8 signaling, or both. In one aspect the present compounds inhibit GDF-8 signaling preferentially to TGF-β1 signaling, such that GDF8 signaling is inhibited at least about 1.5-fold more potently or from about 1.1-fold to about 25-fold more potently. In one embodiment certain compounds inhibit GDF8 signaling at least about 5-fold more potently, such as from about 8-fold to about 50-fold, or at least about 10-fold more potently, such as from about 15-fold to about 300-fold more potently.

[0033] Exemplary compounds of formulae I and (Ia - Ix) (e.g., Compounds 63, 389, 448, 456, 460, 494and 818)inhibit MAP4K4 with an IC50 of less than about 500 nM. Such compounds are particularly useful in muscle disorders, such as cachexia and sarcopenia as MAP4K4 acts as a suppressor of skeletal muscle differentiation. See, Wang M, Amano SU, Flach RJ, Chawla A, Aouadi M, Czech P. Molecular and cellular biology. 2013 Feb;33(4):678-87.

[0034] In particular the present compounds can be use to treat disorders, such as pulmonary hypertension, chronic renal disease, acute renal disease, wound healing, arthritis,

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osteoporosis, kidney disease, congestive heart failure, ulcers, ocular disorders, corneal wounds, diabetic nephropathy, impaired neurological function, Alzheimer's disease, atherosclerosis, peritoneal and sub-dermal adhesion, kidney fibrosis, lung fibrosis, including idiopathic pulmonary fibrosis, and liver fibrosis, hepatitis B, hepatitis C, alcohol-induced hepatitis, cancer, haemochromatosis, primary biliary cirrhosis, restenosis, retroperitoneal fibrosis, mesenteric fibrosis, endometriosis, keloids, cancer, abnormal bone function, inflammatory disorders, scarring and photaging of the skin.

[0035] Particular proliferative diseases that can be treated with the present compounds include those selected from a benign or malignant tumor, carcinoma of the brain, kidney, liver, adrenal gland, bladder, breast, stomach, gastric tumors, ovaries, colon, rectum, prostate, pancreas, lung, vagina or thyroid, sarcoma, glioblastomas, multiple myeloma or gastrointestinal cancer, especially colon carcinoma or colorectal adenoma or a tumor of the neck and head, an epidermal hyperproliferation, melanoma, psoriasis, prostate hyperplasia, a neoplasia, a neoplasia of epithelial character, leukemias and lymphomas, a mammary carcinoma or a leukemia. Other diseases include Cowden syndrome, Lhermitte-Dudos disease and Bannayan-Zonana syndrome, or diseases in which the PI3K/PKB pathway is aberrantly activated.

[0036] The compounds of Formula (I) described herein also include isotopically labeled compounds where one or more atoms have an atomic mass different from the atomic mass conventionally found in nature. Examples of isotopes that may be incorporated into the compounds disclosed herein include, but are not limited to, ^{2}H, ^{3}H, ^{11}C, ^{13}C, ^{14}C, ^{15}N, ^{18}O, ^{17}O, ^{18}F etc. Thus, the disclosed compounds may be enriched in one or more of these isotopes relative to the natural abundance of such isotope. As is known to those of skill in the art, such isotopically enriched compounds are useful for a variety of purposes. For example, substitution with heavier isotopes such as deuterium (^{2}H) may afford certain therapeutic advantages that result from greater metabolic stability. Substitution with positron emitting isotopes, such as 18F can be useful in Positron Emission Tomography (PET) studies. By way of example, deuterium (^{2}H) has a natural abundance of about 0.015%. Accordingly, for approximately every 6,500 hydrogen atoms occurring in nature, there is one deuterium atom. Specifically contemplated herein are compounds enriched in deuterium at one or more positions. Thus, deuterium containing compounds of the disclosure have deuterium at one or more positions (as the case may be) in an abundance of greater than 0.015%.

[0037] Particular embodiments of this aspect of the disclosure include compounds of any one of the Formula (I), each as defined in each of the following rows, wherein each entry is a

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group number as defined above (*e.g.*, (1b) refers to X is N), and a dash "-" indicates that the variable is as defined for formula (I) or defined according to any one of the applicable variable definitions (**1a**)-(**8ll**) [*e.g.*, when X is a dash, it can be either *as* defined for Formula (I) or any one of definitions (**1a**)-(**1b**)]:

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Formula (I)	R^1& R^2	R^5& R^6	Z
(Id)	(4a)	(7a)	(8a)
(Id)	(4a)	(7a)	(8n)
(Id)	(4a)	(7a)	(8rr)
(Id)	(4a)	(7a)	(8o)
(Id)	(4a)	(7g)	(8a)
(Id)	(4a)	(7g)	(8n)
(Id)	(4a)	(7g)	(8rr)
(Id)	(4a)	(7g)	(8o)
(Id)	(4a)	(7i)	(8a)
(Id)	(4a)	(7i)	(8n)
(Id)	(4a)	(7i)	(8rr)
(Id)	(4a)	(7i)	(8o)
(Id)	(4d)	(7a)	(8a)
(Id)	(4d)	(7a)	(8n)
(Id)	(4d)	(7a)	(8rr)
(Id)	(4d)	(7a)	(8o)
(Id)	(4d)	(7g)	(8a)
(Id)	(4d)	(7g)	(8n)
(Id)	(4d)	(7g)	(8rr)
(Id)	(4d)	(7g)	(8o)
(Id)	(4d)	(7i)	(8a)
(Id)	(4d)	(7i)	(8n)
(Id)	(4d)	(7i)	(8rr)
(Id)	(4d)	(7i)	(8o)
(Id)	(4e)	(7a)	(8a)
(Id)	(4e)	(7a)	(8n)
(Id)	(4e)	(7a)	(8rr)
(Id)	(4e)	(7a)	(8o)
(Id)	(4e)	(7g)	(8a)

Formula (I)	R^1& R^2	R^5& R^6	Z
(Id)	(4e)	(7g)	(8n)
(Id)	(4e)	(7g)	(8rr)
(Id)	(4e)	(7g)	(8o)
(Id)	(4e)	(7i)	(8a)
(Id)	(4e)	(7i)	(8n)
(Id)	(4e)	(7i)	(8rr)
(Id)	(4e)	(7i)	(8o)
(Id)	(4f)	(7a)	(8a)
(Id)	(4f)	(7a)	(8n)
(Id)	(4f)	(7a)	(8rr)
(Id)	(4f)	(7a)	(8o)
(Id)	(4f)	(7g)	(8a)
(Id)	(4f)	(7g)	(8n)
(Id)	(4f)	(7g)	(8rr)
(Id)	(4f)	(7g)	(8o)
(Id)	(4f)	(7i)	(8a)
(Id)	(4f)	(7i)	(8n)
(Id)	(4f)	(7i)	(8rr)
(Id)	(4f)	(7i)	(8o)
(Id)	(4g)	(7a)	(8a)
(Id)	(4g)	(7a)	(8n)
(Id)	(4g)	(7a)	(8rr)
(Id)	(4g)	(7a)	(8o)
(Id)	(4g)	(7g)	(8a)
(Id)	(4g)	(7g)	(8n)
(Id)	(4g)	(7g)	(8rr)
(Id)	(4g)	(7g)	(8o)
(Id)	(4g)	(7i)	(8a)
(Id)	(4g)	(7i)	(8n)

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Formula (I)	R^1& R^2	R^5& R^6	Z
(Id)	(4g)	(7i)	(8rr)
(Id)	(4g)	(7i)	(8o)
(Id)	(2j), (3k)	(7a)	(8a)
(Id)	(2j), (3k)	(7a)	(8n)
(Id)	(2j), (3k)	(7a)	(8rr)
(Id)	(2j), (3k)	(7a)	(8o)
(Id)	(2j), (3k)	(7g)	(8a)
(Id)	(2j), (3k)	(7g)	(8n)
(Id)	(2j), (3k)	(7g)	(8rr)
(Id)	(2j), (3k)	(7g)	(8o)
(Id)	(2j), (3k)	(7i)	(8a)
(Id)	(2j), (3k)	(7i)	(8n)
(Id)	(2j), (3k)	(7i)	(8rr)
(Id)	(2j), (3k)	(7i)	(8o)
(Ie)	(4a)	(7a)	(8a)
(Ie)	(4a)	(7a)	(8n)
(Ie)	(4a)	(7a)	(8rr)
(Ie)	(4a)	(7a)	(8o)
(Ie)	(4a)	(7g)	(8a)
(Ie)	(4a)	(7g)	(8n)
(Ie)	(4a)	(7g)	(8rr)
(Ie)	(4a)	(7g)	(8o)
(Ie)	(4a)	(7i)	(8a)
(Ie)	(4a)	(7i)	(8n)
(Ie)	(4a)	(7i)	(8rr)
(Ie)	(4a)	(7i)	(8o)
(Ie)	(4d)	(7a)	(8a)
(Ie)	(4d)	(7a)	(8n)
(Ie)	(4d)	(7a)	(8rr)

Formula (I)	R^1& R^2	R^5& R^6	Z
(Ie)	(4d)	(7a)	(8o)
(Ie)	(4d)	(7g)	(8a)
(Ie)	(4d)	(7g)	(8n)
(Ie)	(4d)	(7g)	(8rr)
(Ie)	(4d)	(7g)	(8o)
(Ie)	(4d)	(7i)	(8a)
(Ie)	(4d)	(7i)	(8n)
(Ie)	(4d)	(7i)	(8rr)
(Ie)	(4d)	(7i)	(8o)
(Ie)	(4e)	(7a)	(8a)
(Ie)	(4e)	(7a)	(8n)
(Ie)	(4e)	(7a)	(8rr)
(Ie)	(4e)	(7a)	(8o)
(Ie)	(4e)	(7g)	(8a)
(Ie)	(4e)	(7g)	(8n)
(Ie)	(4e)	(7g)	(8n)
(Ie)	(4e)	(7g)	(8o)
(Ie)	(4e)	(7i)	(8a)
(Ie)	(4e)	(7i)	(8n)
(Ie)	(4e)	(7g)	(8rr)
(Ie)	(4e)	(7i)	(8o)
(Ie)	(4f)	(7a)	(8a)
(Ie)	(4f)	(7a)	(8n)
(Ie)	(4f)	(7a)	(8rr)
(Ie)	(4f)	(7a)	(8o)
(Ie)	(4f)	(7g)	(8a)
(Ie)	(4f)	(7g)	(8n)
(Ie)	(4f)	(7g)	(8rr)
(Ie)	(4f)	(7g)	(8o)

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Formula (I)	R^1& R^2	R^5& R^6	Z
(Ie)	(4f)	(7i)	(8a)
(Ie)	(4f)	(7i)	(8n)
(Ie)	(4f)	(7i)	(8rr)
(Ie)	(4f)	(7i)	(8o)
(Ie)	(4g)	(7a)	(8a)
(Ie)	(4g)	(7a)	(8n)
(Ie)	(4g)	(7a)	(8rr)
(Ie)	(4g)	(7a)	(8o)
(Ie)	(4g)	(7g)	(8a)
(Ie)	(4g)	(7g)	(8n)
(Ie)	(4g)	(7g)	(8rr)
(Ie)	(4g)	(7g)	(8o)
(Ie)	(4g)	(7i)	(8a)
(Ie)	(4g)	(7i)	(8n)
(Ie)	(4g)	(7i)	(8rr)
(Ie)	(4g)	(7i)	(8o)
(Ie)	(2j), (3k)	(7a)	(8a)
(Ie)	(2j), (3k)	(7a)	(8n)
(Ie)	(2j), (3k)	(7a)	(8rr)
(Ie)	(2j), (3k)	(7a)	(8o)
(Ie)	(2j), (3k)	(7g)	(8a)
(Ie)	(2j), (3k)	(7g)	(8n)
(Ie)	(2j), (3k)	(7g)	(8rr)
(Ie)	(2j), (3k)	(7g)	(8o)
(Ie)	(2j), (3k)	(7i)	(8a)
(Ie)	(2j), (3k)	(7i)	(8n)
(Ie)	(2j), (3k)	(7i)	(8rr)
(Ie)	(2j), (3k)	(7i)	(8o)
(If)	(4a)	-	(8a)

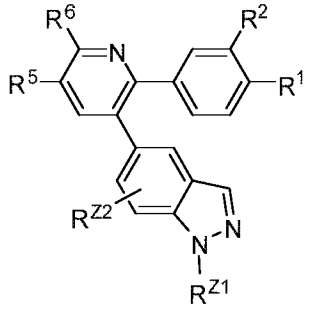
Formula (I)	R^1& R^2	R^5& R^6	Z
(If)	(4a)	-	(8n)
(If)	(4a)	-	(8rr)
(If)	(4a)	-	(8o)
(If)	(4d)	-	(8a)
(If)	(4d)	-	(8n)
(If)	(4d)	-	(8rr)
(If)	(4d)	-	(8o)
(If)	(4e)	-	(8a)
(If)	(4e)	-	(8n)
(If)	(4e)	-	(8rr)
(If)	(4e)	-	(8o)
(If)	(4f)	-	(8a)
(If)	(4f)	-	(8n)
(If)	(4f)	-	(8rr)
(If)	(4f)	-	(8o)
(If)	(4g)	-	(8a)
(If)	(4g)	-	(8n)
(If)	(4g)	-	(8rr)
(If)	(4g)	-	(8o)
(If)	(2j), (3k)	-	(8a)
(If)	(2j), (3k)	-	(8n)
(If)	(2j), (3k)	-	(8rr)
(If)	(2j), (3k)	-	(8o)
(Ir)	(4a)	-	(8a)
(Ir)	(4a)	-	(8n)
(Ir)	(4a)	-	(8rr)
(Ir)	(4a)	-	(8o)
(Ir)	(4d)	-	(8a)
(Ir)	(4d)	-	(8n)

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Formula (I)	R^1& R^2	R^5& R^6	Z
(Ir)	(4d)	-	(8rr)
(Ir)	(4d)	-	(8o)
(Ir)	(4e)	-	(8a)
(Ir)	(4e)	-	(8n)
(Ir)	(4e)	-	(8rr)
(Ir)	(4e)	-	(8o)
(Ir)	(4f)	-	(8a)
(Ir)	(4f)	-	(8n)
(Ir)	(4f)	-	(8rr)
(Ir)	(4f)	-	(8o)
(Ir)	(4g)	-	(8a)
(Ir)	(4g)	-	(8n)
(Ir)	(4g)	-	(8rr)
(Ir)	(4g)	-	(8o)
(Ir)	(2j), (3k)	-	(8a)
(Ir)	(2j), (3k)	-	(8n)
(Ir)	(2j), (3k)	-	(8rr)
(Ir)	(2j), (3k)	-	(8o)

[0038] In certain such embodiments, n is 1.

[0039] In one particular embodiment, the compound of formula (I) is according to the formula,



(II)

or pharmaceutically acceptable salts thereof, wherein

R^1 and R^2 are each independently hydrogen, halogen, cyano, nitro, C_{1-6}alkyl, C_{1-6}haloalkyl, C_{3-8}cycloalkyl, C_{3-8}cycloalkenyl, heterocyclyl, aryl, heteroaryl, $-R^{10}$, or $-C_{1-6}$alkyl-R^{10}, whereinR^{10} is -OR, -SR, $-NR_2$, -C(O)R, -C(O)OR, $-C(O)NR_2$, $-S(O)_2NR_2$, -OC(O)R, -N(R)C(O)R, -OC(O)OR, $-OC(O)NR_2$, -N(R)C(O)OR, $-N(R)C(O)NR_2$, or $-N(R)S(O)_2R$;

R^5 and R^6 are each independently hydrogen, halogen, C_{1-6}alkyl, C_{1-6}haloalkyl, C_{3-8}cycloalkyl, -OR, -SR, $-NR_2$, -OC(O)R, -N(R)C(O)R, or $-N(R)S(O)_2R$;

R^{Z1} is hydrogen, C_{1-6}alkyl, C_{1-6}haloalkyl, C_{3-8}cycloalkyl, heterocyclyl, aryl, heteroaryl, C_{3-8}cycloalkyl(C_{1-6}alkyl), heterocyclyl(C_{1-6}alkyl), aryl(C_{1-6}alkyl), heteroaryl(C_{1-6}alkyl), $-R^{Z3}$,-C_{1-6}alkyl-R^{Z3}, or -C_{1-6}alkyl-R^{Z4}, wherein the C_{3-8}cycloalkyl, heterocyclyl, aryl, heteroaryl, C_{3-8}cycloalkyl(C_{1-6}alkyl),

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heterocyclyl(C_{1-6}alkyl), aryl(C_{1-6}alkyl), and heteroaryl(C_{1-6}alkyl) are each optionally substituted by one or two groups that are each independently halogen, C_{1-6}alkyl, $-R^{Z3}$, or $-R^{Z4}$, wherein

R^{Z3} is -C(O)R, -C(O)OR, $-C(O)NR_2$, or $-S(O)_2NR_2$; and

R^{Z4} is -OR, -SR, $-NR_2$, -OC(O)R, -N(R)C(O)R, -OC(O)OR, $-OC(O)NR_2$, -N(R)C(O)OR, $-N(R)C(O)NR_2$, $-N(R)S(O)_2R$, or $-OP(O)(OR)_2$;

R^{Z2} is hydrogen, halogen, or C_{1-6}alkyl;

and each R is independently hydrogen or C_{1-6}alkyl, C_{1-6}haloalkyl, C_{3-8}cycloalkyl, heterocyclyl, aryl, heteroaryl, C_{3-8}cycloalkyl(C_{1-6}alkyl), heterocyclyl(C_{1-6}alkyl), aryl(C_{1-6}alkyl), or heteroaryl(C_{1-6}alkyl), each optionally substituted by one or two groups that are each independently $-OR^0$, $-SR^0$, $-N(R^0)_2$, $-C(O)R^0$, $-C(O)OR^0$, $-C(O)N(R^0)_2$,$-S(O)_2N(R^0)_2$,$-OC(O)R^0$, $-N(R^0)C(O)R^0$, $-OC(O)OR^0$, $-OC(O)N(R^0)_2$, $-N(R^0)C(O)OR^0$, $-N(R^0)C(O)N(R^0)_2$, or $-N(R^0)S(O)_2R^0$, wherein eachR^0 is independently hydrogen or C_{1-6}alkyl.

[0040] The disclosure further comprises subgenera of formula (II) in which the substituents are selected as any and all combinations of one or more of R^1, R^2, R^5, R^6, R^{Z1}, and R^{Z2} as defined herein, including without limitation, the following:

[0041] R^1 is selected from one of the following groups (9a) – (9k):

(9a) R^1 is hydrogen, halogen, cyano,C_{1-6}alkyl, C_{1-6}haloalkyl, C_{3-8}cycloalkyl, C_{3-8}cycloalkenyl, $-R^{10}$, or $-C_{1-6}$alkyl-R^{10}, wherein R^{10} is -OR, -SR, $-NR_2$, -C(O)R, -C(O)OR, $-C(O)NR_2$, $-S(O)_2NR_2$, -OC(O)R, -N(R)C(O)R, or $-N(R)S(O)_2R$.

(9b) R^1 is hydrogen, halogen, cyano,C_{1-6}alkyl, C_{1-6}haloalkyl, C_{3-8}cycloalkyl, C_{3-8}cycloalkenyl, $-R^{10}$, or $-C_{1-6}$alkyl-R^{10}, wherein R^{10} is $-OR^{11}$, $-N(R^{11})_2$, $-C(O)N(R^{11})_2$, $-S(O)_2N(R^{11})_2$, or $-N(R^{11})S(O)_2R^{11}$,wherein each R^{11} is hydrogen or C_{1-6}alkyl.

(9c) R^1 is hydrogen, halogen, cyano,C_{1-4}alkyl, C_{1-4}haloalkyl, C_{3-6}cycloalkyl, C_{3-6}cycloalkenyl, $-C_{1-6}$alkyl–OR^{11},–OR^{11}, $-N(R^{11})_2$, $-C(O)N(R^{11})_2$, $-S(O)_2N(R^{11})_2$, or $-N(R^{11})S(O)_2R^{11}$, wherein each R^{11} is hydrogen or C_{1-6}alkyl.

(9d) R^1 is fluoro, cyano, methyl, ethyl, isopropyl, t-butyl, trifluoromethyl, hydroxy, methoxy, isopropoxy, benzyloxy, cyclopropyl, cyclopentyl, cyclopentenyl, phenyl, amino,

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dimethylamino, methylsulfonylamino, aminocarbonyl, dimethylaminocarbonyl, n-propylaminocarbonyl, aminosulfonyl, or hydroxymethyl.

(9e) R^1 is halogen or C_{1-6}alkyl.

(9f) R^1 is halogen or C_{1-4}alkyl.

(9g) R^1 is halogen or methyl.

(9h) R^1 is fluoro or methyl.

(9i) R^1 is fluoro.

(9j) R^1 is methyl.

(9k) any one of (9a) – (9c), where R^1 is not hydrogen.

[0042] **<u>R^2 is selected from one of the following groups (10a) – (10k):</u>**

(10a) R^2 is hydrogen, halogen, cyano,C_{1-6}alkyl, C_{1-6}haloalkyl, C_{3-8}cycloalkyl, C_{3-8}cycloalkenyl, $-R^{10}$, or $-C_{1-6}$alkyl-R^{10}, wherein R^{10} is -OR, -SR, $-NR_2$, -C(O)R, -C(O)OR, $-C(O)NR_2$, $-S(O)_2NR_2$, -OC(O)R, -N(R)C(O)R,or -N(R)$S(O)_2$R.

(10b) R^2 is hydrogen, halogen, cyano,C_{1-6}alkyl, C_{1-6}haloalkyl, C_{3-8}cycloalkyl, C_{3-8}cycloalkenyl, $-R^{10}$, or $-C_{1-6}$alkyl-R^{10}, wherein R^{10} is $-OR^{11}$, $-N(R^{11})_2$, $-C(O)N(R^{11})_2$, $-S(O)_2N(R^{11})_2$, or $-N(R^{11})S(O)_2R^{11}$,wherein each R^{11} is hydrogen or C_{1-6}alkyl.

(10c) R^2 is hydrogen, halogen, cyano,C_{1-4}alkyl, C_{1-4}haloalkyl, C_{3-6}cycloalkyl, C_{3-6}cycloalkenyl, $-C_{1-6}$alkyl–OR^{11},–OR^{11}, $-N(R^{11})_2$, $-C(O)N(R^{11})_2$, $-S(O)_2N(R^{11})_2$, or $-N(R^{11})S(O)_2R^{11}$, wherein each R^{11} is hydrogen or C_{1-6}alkyl.

(10d) R^2 is fluoro, cyano, methyl, ethyl, isopropyl, t-butyl, trifluoromethyl, hydroxy, methoxy, isopropoxy, benzyloxy, cyclopropyl, cyclopentyl, cyclopentenyl, phenyl, amino, dimethylamino, methylsulfonylamino, aminocarbonyl, dimethylaminocarbonyl, n-propylaminocarbonyl, aminosulfonyl, or hydroxymethyl.

(10e) R^2 is halogen or C_{1-6}alkyl.

(10f) R^2 is halogen or C_{1-4}alkyl.

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(10g) R^2 is halogen or methyl.

(10h) R^2 is fluoro or methyl.

(10i) R^2 is fluoro.

(10j) R^2 is methyl.

(10k) As in any of (10a) - (10c), in which R^2 is not hydrogen.

[0043] **<u>R^1 and R^2 are selected from one of the following groups (11a) – (11i):</u>**

(11a) R^1 and R^2 are each independently hydrogen, halogen, cyano,C_{1-6}alkyl, C_{1-6}haloalkyl, C_{3-8}cycloalkyl, C_{3-8}cycloalkenyl, $-R^{10}$, or $-C_{1-6}$alkyl-R^{10}, wherein R^{10} is -OR, -SR, $-NR_2$, -C(O)R, -C(O)OR, $-C(O)NR_2$, $-S(O)_2NR_2$, -OC(O)R, -N(R)C(O)R,or $-N(R)S(O)_2R$.

(11b) R^1 and R^2 are each independently hydrogen, halogen, cyano,C_{1-6}alkyl, C_{1-6}haloalkyl, C_{3-8}cycloalkyl, C_{3-8}cycloalkenyl, $-R^{10}$, or $-C_{1-6}$alkyl-R^{10}, wherein R^{10} is $-OR^{11}$, $-N(R^{11})_2$, $-C(O)N(R^{11})_2$, $-S(O)_2N(R^{11})_2$, or $-N(R^{11})S(O)_2R^{11}$,wherein each R^{11} is hydrogen or C_{1-6}alkyl.

(11c) R^1 and R^2 are each independently hydrogen, halogen, cyano,C_{1-4}alkyl, C_{1-4}haloalkyl, C_{3-6}cycloalkyl, C_{3-6}cycloalkenyl, $-C_{1-6}$alkyl-OR^{11}, $-OR^{11}$, $-N(R^{11})_2$, $-C(O)N(R^{11})_2$, $-S(O)_2N(R^{11})_2$, or $-N(R^{11})S(O)_2R^{11}$,wherein each R^{11} is hydrogen or C_{1-6}alkyl.

(11d) R^1 and R^2 are each independently hydrogen, fluoro, cyano, methyl, ethyl, isopropyl, t-butyl, trifluoromethyl, hydroxy, methoxy, isopropoxy, benzyloxy, cyclopropyl, cyclopentyl, cyclopentenyl, phenyl, amino, dimethylamino, methylsulfonylamino, aminocarbonyl, dimethylaminocarbonyl, n-propylaminocarbonyl, aminosulfonyl, or hydroxymethyl.

(11e) R^1 and R^2 are each independently hydrogen, halogen, or C_{1-6}alkyl.

(11f) R^1 is fluoro and R^2 is methyl.

(11g) any one of (11a) – (11e), where R^1 is not hydrogen.

(11h) any one of (11a) - (11e), where R^2 is not hydrogen.

(11i) any one of (11a) – (11e), where neither R^1 nor R^2 is hydrogen.

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[0044] **R^5 is selected from one of the following groups (12a) – (12k):**

(12a) R^5 is hydrogen, halogen, C_{1-6}alkyl,-OR^{50}, -$NR^{50}R^{50}$, -$N(R^{50})C(O)R^{50}$, or -$N(R^{50})S(O)_2R^{50}$, wherein each R^{50} is independently hydrogen or C_{1-6}alkyl.

(12b) R^5 is hydrogen, halogen, C_{1-4}alkyl,-OR^{50}, -$NR^{50}R^{50}$, -$N(R^{50})C(O)R^{50}$, or -$N(R^{50})S(O)_2R^{50}$, wherein each R^{50} is independently hydrogen or C_{1-4}alkyl.

(12c) R^5 is hydrogen, fluoro, chloro, methyl, methoxy, ethoxy, amino, acetylamino, or methylsulfonylamino

(12d) R^5 is fluoro or chloro

(12e) R^5 is fluoro

(12f) R^5 is chloro

(12g) R^5 is methyl

(12h) R^5 is methoxy or ethoxy

(12i) R^5 is amino, acetylamino, or methylsulfonylamino

(12j) R^5 is hydrogen.

(12k) any one of (12a) – (12i), where R^5 is not hydrogen.

[0045] **R^6 is selected from one of the following groups (13a) – (13k):**

(13a) R^6 is hydrogen, halogen, C_{1-6}alkyl,-OR^{60}, -$NR^{60}R^{60}$, -$N(R^{60})C(O)R^{60}$, or -$N(R^{60})S(O)_2R^{60}$, wherein each R^{60} is independently hydrogen or C_{1-6}alkyl.

(13b) R^6 is hydrogen, halogen, C_{1-4}alkyl,-OR^{60}, -$NR^{60}R^{60}$, -$N(R^{60})C(O)R^{60}$, or -$N(R^{60})S(O)_2R^{60}$, wherein each R^{60} is independently hydrogen or C_{1-4}alkyl.

(13c) R^6 is hydrogen, fluoro, chloro, methyl, methoxy, ethoxy, amino, acetylamino, or methylsulfonylamino

(13d) R^6 is fluoro or chloro

(13e) R^6 is fluoro

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(13f) R^6 is chloro

(13g) R^6 is methyl

(13h) R^6 is methoxy or ethoxy

(13i) R^6 is amino, acetylamino, or methylsulfonylamino

(13j) R^6 is hydrogen.

(13k) any one of (13a) – (13j), where R^6 is not hydrogen.

[0046] **R^5 and R^6 are selected from one of the following groups (14a) – (14o):**

(14a) R^5 and R^6 are each independently hydrogen, halogen, C_{1-6}alkyl, $-OR^7$, $-NR^7R^7$, $-N(R^7)C(O)R^7$, or $-N(R^7)S(O)_2R^7$, wherein each R^7 is independently hydrogen or C_{1-6}alkyl.

(14b) one of R^5 and R^6 is hydrogen, and the other is halogen, C_{1-6}alkyl, $-OR^7$, $-NR^7R^7$, $-N(R^7)C(O)R^7$, or $-N(R^7)S(O)_2R^7$, wherein each R^7 is independently hydrogen or C_{1-6}alkyl.

(14c) R^5 and R^6 are each independently hydrogen, halogen, C_{1-4}alkyl, $-OR^7$, $-NR^7R^7$, $-N(R^7)C(O)R^7$, or $-N(R^7)S(O)_2R^7$, wherein each R^7 is independently hydrogen or C_{1-4}alkyl.

(14d) one of R^5 and R^6 is hydrogen, and the other is halogen, C_{1-4}alkyl, $-OR^7$, $-NR^7R^7$, $-N(R^7)C(O)R^7$, or $-N(R^7)S(O)_2R^7$, wherein each R^7 is independently hydrogen or C_{1-4}alkyl.

(14e) R^5 and R^6 are each independently hydrogen, fluoro, chloro, methyl, methoxy, ethoxy, amino, acetylamino, or methylsulfonylamino

(14f) one of R^5 and R^6 is hydrogen, and the other is fluoro, chloro, methyl, methoxy, ethoxy, amino, acetylamino, or methylsulfonylamino

(14g) any one of (14a) – (14f),where one of R^5 and R^6 is not hydrogen.

(14h) R^5 and R^6 are each hydrogen

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(14i) one of R^5 and R^6 is hydrogen, and the other is fluoro, or chloro

(14j) one of R^5 and R^6 is hydrogen, and the other is methyl

(14k) one of R^5 and R^6 is hydrogen, and the other is methoxy or ethoxy

(14l) one of R^5 and R^6 is hydrogen, and the other is amino, acetylamino, or methylsulfonylamino

(14m) one of R^5 and R^6 is hydrogen, and the other is amino

(14n) one of R^5 and R^6 is hydrogen, and the other is acetylamino

(14o) one of R^5 and R^6 is hydrogen, and the other is methylsulfonylamino

[0047] **R^{Z1} is selected from one of the following groups (15a) – (15j):**

(15a) R^{Z1} is hydrogen, C_{1-6}alkyl, C_{1-6}haloalkyl, C_{3-8}cycloalkyl, heterocyclyl, aryl, heteroaryl, C_{3-8}cycloalkyl(C_{1-6}alkyl), heterocyclyl(C_{1-6}alkyl), aryl(C_{1-6}alkyl), heteroaryl(C_{1-6}alkyl), -R^{Z3},-C_{1-6}alkyl-R^{Z3}, or -C_{1-6}alkyl-R^{Z4}, whereinR^{Z3} is -C(O)R, -C(O)OR, -C(O)NR_2, or -$S(O)_2NR_2$; andR^{Z4} is -OR, -SR, -NR_2, -OC(O)R, -N(R)C(O)R, -OC(O)OR, -OC(O)NR_2, -N(R)C(O)OR, -N(R)C(O)NR_2, -N(R)$S(O)_2$R, or -OP(O)$(OR)_2$.

(15b) R^{Z1} is hydrogen,C_{1-6}alkyl, C_{1-6}haloalkyl, C_{3-8}cycloalkyl, heterocyclyl, aryl, heteroaryl, C_{3-8}cycloalkyl(C_{1-6}alkyl), heterocyclyl(C_{1-6}alkyl), aryl(C_{1-6}alkyl), heteroaryl(C_{1-6}alkyl), -R^{Z3},-C_{1-6}alkyl-R^{Z3}, or -C_{1-6}alkyl-R^{Z4}, whereinR^{Z3} is -C(O)R^{Z6}, -C(O)OR^{Z6}, -C(O)$NR^{Z6}{}_2$, or -$S(O)_2NR^{Z5}{}_2$; and R^{Z4} is -OR^{Z5}, -SR^{Z5}, -$NR^{Z5}{}_2$, -OC(O)R^{Z5}, -N(R^{Z5})C(O)R^{Z5}, -OC(O)OR^{Z5}, -OC(O)$NR^{Z5}{}_2$, -N(R^{Z5})C(O)OR^{Z5}, -N(R^{Z5})C(O)$NR^{Z5}{}_2$, -N(R^{Z5})$S(O)_2R^{Z5}$, or -OP(O)$(OR^{Z5})_2$, and whereineach R^{Z5} is independently hydrogen or C_{1-6}alkyl; and each R^{Z6} is independently hydrogen or C_{1-6}alkyl, C_{3-8}cycloalkyl(C_{1-6}alkyl), heterocyclyl(C_{1-6}alkyl), aryl(C_{1-6}alkyl), or heteroaryl(C_{1-6}alkyl), each optionally substituted by one or two groups that are each independently -OR^0, -SR^0, -$N(R^0)_2$, -C(O)R^0, -C(O)OR^0, -C(O)$N(R^0)_2$,-$S(O)_2N(R^0)_2$,-OC(O)R^0, -N(R^0)C(O)R^0, -OC(O)OR^0, -OC(O)$N(R^0)_2$, -N(R^0)C(O)OR^0, -N(R^0)C(O)$N(R^0)_2$, or -N(R^0)$S(O)_2R^0$, wherein each R^0 is independently hydrogen or C_{1-6}alkyl.

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(15c) R^{Z1} is hydrogen, C_{1-6}alkyl, heterocyclyl, heterocyclyl(C_{1-6}alkyl), -C_{1-6}alkyl-R^{Z4}, or -$C(O)OR^{Z6}$, wherein R^{Z4} is -OR^{Z5}, -SR^{Z5}, -NR^{Z5}_2, -$OC(O)R^{Z5}$, -$N(R^{Z5})C(O)R^{Z5}$, -$OC(O)OR^{Z5}$, -$OC(O)NR^{Z5}_2$, -$N(R^{Z5})C(O)OR^{Z5}$, -$N(R^{Z5})C(O)NR^{Z5}_2$, -$N(R^{Z5})S(O)_2R^{Z5}$, or -$OP(O)(OR^{Z5})_2$, wherein the heterocyclyl and heterocyclyl(C_{1-6}alkyl) are each optionally substituted by one or two groups that are each independently halogen or C_{1-6}alkyl; and wherein each R^{Z5} is independently hydrogen or C_{1-6}alkyl; and each R^{Z6} is independently hydrogen or C_{1-6}alkyl, C_{3-8}cycloalkyl(C_{1-6}alkyl), heterocyclyl(C_{1-6}alkyl), aryl(C_{1-6}alkyl), or heteroaryl(C_{1-6}alkyl), each optionally substituted by -OR^0, -SR^0, -$N(R^0)_2$, -$C(O)R^0$, -$C(O)OR^0$, or -$C(O)N(R^0)_2$, wherein each R^0 is independently hydrogen or C_{1-6}alkyl.

(15d) R^{Z1} is hydrogen, C_{1-6}alkyl, heterocyclyl, heterocyclyl(C_{1-6}alkyl), -C_{1-6}alkyl-OR^{Z5}, or -C_{1-6}alkyl-$OP(O)(OR^{Z5})_2$, or -$C(O)OR^{Z6}$, wherein the heterocyclyl and heterocyclyl(C_{1-6}alkyl) are each optionally substituted by one or two C_{1-6}alkyl groups; andwhereineach R^{Z5} is independently hydrogen or C_{1-6}alkyl;each R^{Z6} is independently hydrogen or C_{1-6}alkylor heteroaryl(C_{1-6}alkyl), each optionally substituted by–OR^0, or-$N(R^0)_2$,wherein eachR^0 is independently hydrogen or C_{1-6}alkyl.

(15e) R^{Z1} is hydrogen, methyl, ethyl, isopropyl, 2-(morpholin-4-yl)ethyl, 2-(4-methylpiperazin-1-yl)ethyl, 3-hydroxypropyl, 3-ethoxypropyl, 4-tetrahydropyranyl,N-methylpiperidin-4-yl, -CH_2-$OP(O)(OH)_2$, or 3-(indol-3-yl)-2-aminopropyloxycarbonyl.

(15f) R^{Z1} is hydrogen, methyl, or 3-(indol-3-yl)-2-aminopropyloxycarbonyl.

(15g) R^{Z1} is hydrogen or methyl.

(15h) R^{Z1} is hydrogen.

(15i) R^{Z1} is methyl.

(15j) R^{Z1} is 3-(indol-3-yl)-2-aminopropyloxycarbonyl.

[0048] **<u>R^{Z2} is selected from one of the following groups (16a) – (16d):</u>**

(16a) R^{Z2} is hydrogen or halogen

(16b) R^{Z2} is hydrogen or C_{1-6}alkyl;

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(16c) R^{Z2} is hydrogen or methyl;

(16d) R^{Z2} is hydrogen

[0049] Particular embodiments of this aspect of the disclosure include compounds of any one of the Formula (II), each as defined in each of the following rows, wherein each entry is a group number as defined above, and a dash "-" indicates that the variable is as defined for formula (II) or defined according to any one of the applicable variable definitions (**9a**)-(**16d**) [*e.g.*, when $R^{Z1}$is a dash, it can be either as defined for Formula (II) or any one of definitions (**15a**)-(**15j**)]:

R^1& R^2	R^5& R^6	R^{Z1}	R^{Z2}
(11d)	(14e)	(15e)	(16a)
(11d)	(14e)	(15e)	(16b)
(11d)	(14e)	(15g)	(16a)
(11d)	(14e)	(15g)	(16b)
(11d)	(14f)	(15e)	(16a)
(11d)	(14f)	(15e)	(16b)
(11d)	(14f)	(15g)	(16a)
(11d)	(14f)	(15g)	(16b)
(11d)	(14h)	(15e)	(16a)
(11d)	(14h)	(15e)	(16b)
(11d)	(14h)	(15g)	(16a)
(11d)	(14h)	(15g)	(16b)
(11d)	(14i)	(15e)	(16a)
(11d)	(14i)	(15e)	(16b)
(11d)	(14i)	(15g)	(16a)
(11d)	(14i)	(15g)	(16b)
(11e)	(14e)	(15e)	(16a)
(11e)	(14e)	(15e)	(16b)
(11e)	(14e)	(15g)	(16a)
(11e)	(14e)	(15g)	(16b)
(11e)	(14f)	(15e)	(16a)

R^1& R^2	R^5& R^6	R^{Z1}	R^{Z2}
(11e)	(14f)	(15e)	(16b)
(11e)	(14f)	(15g)	(16a)
(11e)	(14f)	(15g)	(16b)
(11e)	(14h)	(15e)	(16a)
(11e)	(14h)	(15e)	(16b)
(11e)	(14h)	(15g)	(16a)
(11e)	(14h)	(15g)	(16b)
(11e)	(14i)	(15e)	(16a)
(11e)	(14i)	(15e)	(16b)
(11e)	(14i)	(15g)	(16a)
(11e)	(14i)	(15g)	(16b)
(11f)	(14e)	(15e)	(16a)
(11f)	(14e)	(15e)	(16b)
(11f)	(14e)	(15g)	(16a)
(11f)	(14e)	(15g)	(16b)
(11f)	(14f)	(15e)	(16a)
(11f)	(14f)	(15e)	(16b)
(11f)	(14f)	(15g)	(16a)
(11f)	(14f)	(15g)	(16b)
(11f)	(14h)	(15e)	(16a)
(11f)	(14h)	(15e)	(16b)

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R^1& R^2	R^5& R^6	R^{Z1}	R^{Z2}
(11f)	(14h)	(15g)	(16a)
(11f)	(14h)	(15g)	(16b)
(11f)	(14i)	(15e)	(16a)
(11f)	(14i)	(15e)	(16b)
(11f)	(14i)	(15g)	(16a)
(11f)	(14i)	(15g)	(16b)

[0050] In certain embodiments of the compounds as described throughout this disclosure, Z is optionally substituted by one or two groups that are each independently halogen, C_{1-6}alkyl, C_{1-6}haloalkyl, -R^Z,or -C_{1-6}alkyl-R^Z, wherein each and R^Z is cyano, -CF_3, -OR, -SR, -NR^aR^a, -C(O)R, -C(O)OR, -C(O)NR^aR^a, -$S(O)_2NR^aR^a$, -$S(O)_2R^0$, -OC(O)R, -N(R)C(O)R, -OC(O)OR, -OC(O)NR^aR^a, -N(R)C(O)OR, -N(R)C(O)NR^aR^a, -N(R)$S(O)_2$R, or -OP(O)$(OR)_2$. In certain such embodiments, each R is independently hydrogen, C_{1-6}alkyl, or C_{1-6}haloalkyl, each optionally substituted by 1-3 groups that are each independently R^b, -OR^0, -SR^0, -$N(R^0)_2$, -C(O)R^0, -C(O)OR^0, -C(O)$N(R^0)_2$,-$S(O)_2N(R^0)_2$,-OC(O)R^0, -$N(R^0)$C(O)R^0, -OC(O)OR^0, -$O(CH_2)_m$C(O)$N(R^0)_2$, -$N(R^0)$C(O)OR^0, -$N(R^0)$C(O)$N(R^0)_2$, or -$N(R^0)S(O)_2R^0$, in which each R^b is independently halogen, cyano, oxo, C_{1-6}alkyl, C_{1-6}haloalkyl or -OR^{13}, in which R^{13} is hydrogen, C_{1-6}alkyl or C_{1-6}haloalkyl, each optionally substituted by 1-3 groups that are each independently halogen, cyano, oxo, C_{1-6}alkyl, C_{1-6}haloalkyl, -OR^0, -SR^0, -$N(R^0)_2$, -C(O)R^0, -C(O)OR^0, -C(O)$N(R^0)_2$, -$S(O)_2N(R^0)_2$,-OC(O)R^0, -$N(R^0)$C(O)R^0, -OC(O)OR^0, -$O(CH_2)_m$C(O)$N(R^0)_2$, -$N(R^0)$C(O)OR^0, -$N(R^0)$C(O)$N(R^0)_2$, or -$N(R^0)S(O)_2R^0$, in which each R^0 is independently hydrogen, C_{1-6}haloalkyl or C_{1-6}alkyl optionally substituted with 1-3 R^{b0}, in which each R^{b0} is independently independently halogen, cyano or oxo.

[0051] In certain embodiments of the compounds as described throughout this disclosure, each R^a is independently hydrogen, C_{1-6}alkyl or C_{1-6}haloalkyl, each optionally substituted by 1-3 groups that are each independently R^b, –OR^0, -SR^0, -$N(R^0)_2$, -C(O)R^0, -C(O)OR^0, -C(O)$N(R^0)_2$,-$S(O)_2N(R^0)_2$,-OC(O)R^0, -$N(R^0)$C(O)R^0, -OC(O)OR^0, -$O(CH_2)_m$C(O)$N(R^0)_2$, -$N(R^0)$C(O)OR^0, -$N(R^0)$C(O)$N(R^0)_2$, or -$N(R^0)S(O)_2R^0$, or two R^a together with the nitrogen atom to which they are attached form a 3-8 membered heterocyclyl group, optionally including 1-4 additional heteroatoms selected from O, N and S and optionally substituted with 1-4 R groups.

[0052] In certain embodiments of the compounds as described throughout this disclosure, each R^b is independently halogen, cyano, oxo, C_{1-6}alkyl, C_{1-6}haloalkyl or -OR^{11}, in which R^{12} is

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hydrogen, C_{1-6}alkyl, C_{1-6}haloalkyl, C_{3-8}cycloalkyl, heterocyclyl, aryl, heteroaryl, C_{3-8}cycloalkyl(C_{1-6}alkyl), heterocyclyl(C_{1-6}alkyl), aryl(C_{1-6}alkyl), or heteroaryl(C_{1-6}alkyl), each optionally substituted by 1-3 groups that are each independently halogen, cyano, oxo, C_{1-6}alkyl, C_{1-6}haloalkyl, $-OR^0$, $-SR^0$, $-N(R^0)_2$, $-C(O)R^0$, $-C(O)OR^0$, $-C(O)N(R^0)_2$, $-S(O)_2N(R^0)_2$,$-OC(O)R^0$, $-N(R^0)C(O)R^0$, $-OC(O)OR^0$, $-O(CH_2)_mC(O)N(R^0)_2$, $-N(R^0)C(O)OR^0$, $-N(R^0)C(O)N(R^0)_2$, or $-N(R^0)S(O)_2R^0$, in which each R^0 is independently hydrogen, C_{1-6}haloalkyl, C_{1-6}alkyl optionally substituted with 1-3 R^{b0}, C_{3-8}cycloalkyl optionally substituted with one or two R^{b0} or, alternatively two R^0 together with a nitrogen atom to which they are bound (for example when R is $-C(O)N(R^0)_2$) form a 3-8 membered heterocyclyl group, optionally including 1-4 additional heteroatoms selected from O, N and S and optionally substituted with 0-3 R^{b0}, in which each R^{b0} is independently independently halogen, cyano or oxo.

[0053] In certain embodiments of the compounds as described throughout this disclosure, each R^b is independently halogen, cyano, oxo, C_{1-6}alkyl, C_{1-6}haloalkyl or $-OR^{13}$, in which R^{13} is hydrogen, C_{1-6}alkyl or C_{1-6}haloalkyl, each optionally substituted by 1-3 groups that are each independently halogen, cyano, oxo, C_{1-6}alkyl, C_{1-6}haloalkyl, $-OR^0$, $-SR^0$, $-N(R^0)_2$, $-C(O)R^0$, $-C(O)OR^0$, $-C(O)N(R^0)_2$, $-S(O)_2N(R^0)_2$,$-OC(O)R^0$, $-N(R^0)C(O)R^0$, $-OC(O)OR^0$, $-O(CH_2)_mC(O)N(R^0)_2$, $-N(R^0)C(O)OR^0$, $-N(R^0)C(O)N(R^0)_2$, or $-N(R^0)S(O)_2R^0$, in which each R^0 is independently hydrogen, C_{1-6}haloalkyl or C_{1-6}alkyl optionally substituted with 1-3 R^{b0}, in which each R^{b0} is independently independently halogen, cyano or oxo.

[0054] In certain embodiments of the compounds as described throughout this disclosure, each R is independently hydrogen, C_{1-6}alkyl, C_{1-6}haloalkyl, C_{3-8}cycloalkyl, heterocyclyl, aryl, heteroaryl, C_{3-8}cycloalkyl(C_{1-6}alkyl), heterocyclyl(C_{1-6}alkyl), aryl(C_{1-6}alkyl), or heteroaryl(C_{1-6}alkyl), each optionally substituted by 1-3 groups that are each independently R^b, $-OR^0$, $-SR^0$, $-N(R^0)_2$, $-C(O)R^0$, $-C(O)OR^0$, $-C(O)N(R^0)_2$, $-S(O)_2N(R^0)_2$,$-OC(O)R^0$, $-N(R^0)C(O)R^0$, $-OC(O)OR^0$, $-O(CH_2)_mC(O)N(R^0)_2$, $-N(R^0)C(O)OR^0$, $-N(R^0)C(O)N(R^0)_2$, or $-N(R^0)S(O)_2R^0$. In certain such embodiments, each R^0 is hydrogen, C_{1-6}haloalkyl or C_{1-6}alkyl.

[0055] In certain embodiments of the compounds as described throughout this disclosure, each R is independently hydrogen, C_{1-6}alkyl, or C_{1-6}haloalkyl, each optionally substituted by 1-3 groups that are each independently R^b, $-OR^0$, $-SR^0$, $-N(R^0)_2$, $-C(O)R^0$, $-C(O)OR^0$, $-C(O)N(R^0)_2$,$-S(O)_2N(R^0)_2$,$-OC(O)R^0$, $-N(R^0)C(O)R^0$, $-OC(O)OR^0$, $-O(CH_2)_mC(O)N(R^0)_2$,

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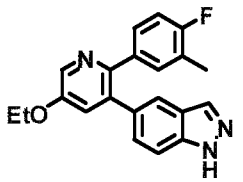
$-N(R^0)C(O)OR^0$, $-N(R^0)C(O)N(R^0)_2$, or $-N(R^0)S(O)_2R^0$, in which each R^b is independently halogen, cyano, oxo, C_{1-6}alkyl, C_{1-6}haloalkyl or $-OR^{13}$, in which R^{13} is hydrogen, C_{1-6}alkyl or C_{1-6}haloalkyl, each optionally substituted by 1-3 groups that are each independently halogen, cyano, oxo, C_{1-6}alkyl, C_{1-6}haloalkyl, $-OR^0$, $-SR^0$, $-N(R^0)_2$, $-C(O)R^0$, $-C(O)OR^0$, $-C(O)N(R^0)_2$, $-S(O)_2N(R^0)_2$,$-OC(O)R^0$, $-N(R^0)C(O)R^0$, $-OC(O)OR^0$, $-O(CH_2)_mC(O)N(R^0)_2$, $-N(R^0)C(O)OR^0$, $-N(R^0)C(O)N(R^0)_2$, or $-N(R^0)S(O)_2R^0$, in which each R^0 is independently hydrogen, C_{1-6}haloalkyl or C_{1-6}alkyl optionally substituted with 1-3 R^{b0}, in which each R^{b0} is independently independently halogen, cyano or oxo.

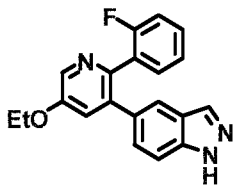
[0056] In certain embodiments of the compounds as described throughout this disclosure, R^0 is independently hydrogen, C_{1-6}haloalkyl, or C_{1-6}alkyl optionally substituted with 1-3 R^{b0}, in which each R^{b0} is independently independently halogen, cyano or oxo.

[0057] In certain embodiments of the compounds as described throughout this disclosure, each C_{1-6}(halo)alkyl is a C_{1-3}(halo)alkyl. In other embodiments of the compounds as described throughout this disclosure, each C_{1-6}(halo)alkyl is a C_{1-2}(halo)alkyl.

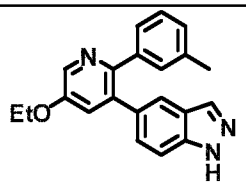
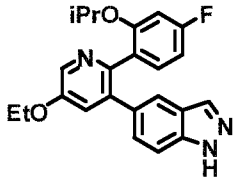
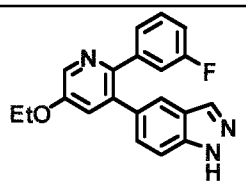
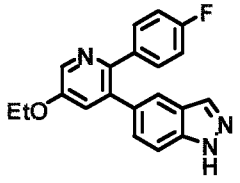
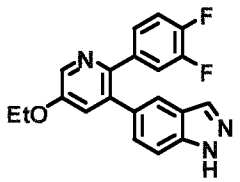
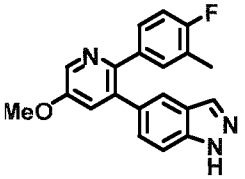
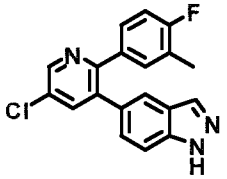
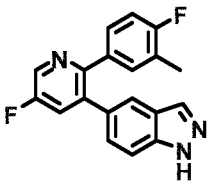
[0058] In certain embodiments of the compounds as described throughout this disclosure, when R^1 and R^2 are attached to adjacent carbon atoms they are optionally taken together with the atoms to which they are attached to form a 5- or 6-membered ring optionally substituted with one or two groups that are each independently halogen, oxo, oxime, imino, C_{1-6}alkyl, C_{1-6}haloalkyl, or $-R^{10}$. The ring can be for example, an aryl ring (e.g., a benzo) or a 5- or 6-membered heteroaryl ring (e.g. a pyrido or a thieno). When the ring is a heteroaryl ring, it can include 1 or 2 heteroatoms selected from N, O and S. In other embodiments, the ring is a cycloalkylene (e.g., 5 or 6 membered), or a heterocyclyl ring (e.g., 5 or 6 membered) including 1 or 2 heteroatoms selected from N, O and S.

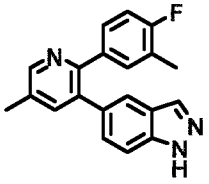
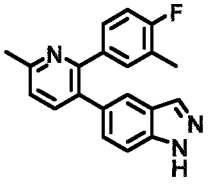
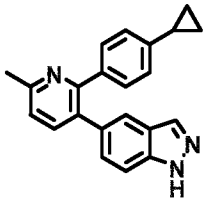
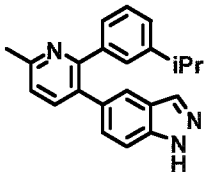
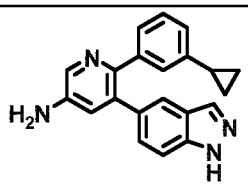
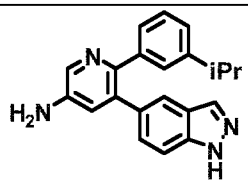
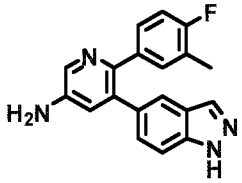
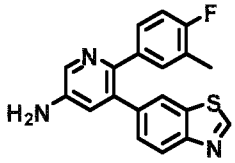
[0059] In certain embodiments, the compound of formula (I) is one of the compounds of **Table 1**, optionally provided as a salt (e.g., the salt indicated in the Table):

Cpd #	Structure	Salt
1		TFA(2)

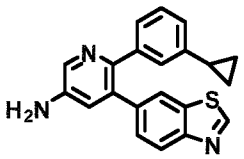
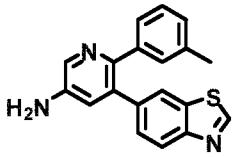
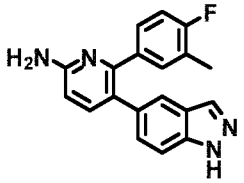
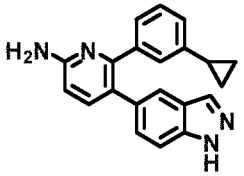
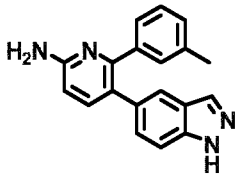
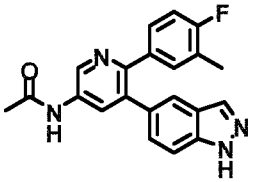
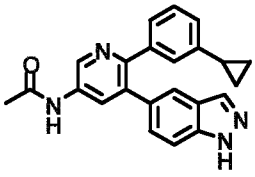
Cpd #	Structure	Salt
2		TFA(2)

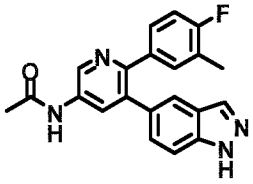
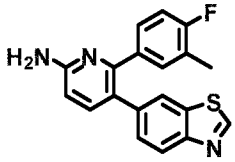
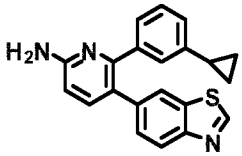
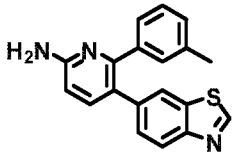
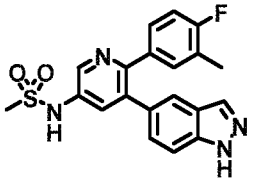
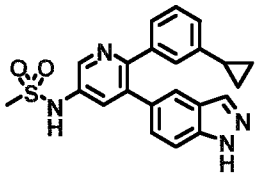
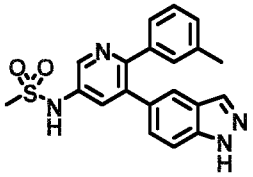
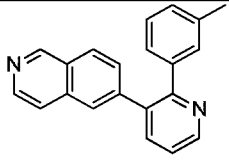
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Cpd #	Structure	Salt
3		Formic Acid(2)
4		Formic Acid(2)
5		Formic Acid(2)
6		TFA(2)
7		TFA(2)
8		Formic Acid(2)
9		TFA(2)
10		TFA(2)

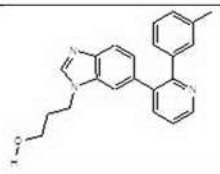
Cpd #	Structure	Salt
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12		TFA(2)
13		TFA(2)
14		TFA(2)
15		TFA(3)
16		TFA(3)
17		Formic Acid(3)
18		TFA(3)

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Cpd #	Structure	Salt
19		TFA(3)
20		TFA(3)
21		TFA(3)
22		TFA(3)
23		TFA(3)
24		TFA(2)
25		TFA(2)

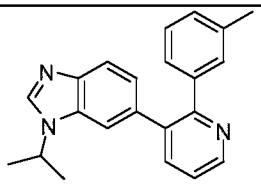
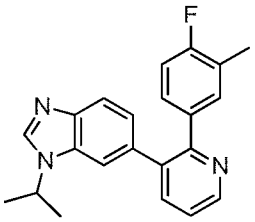
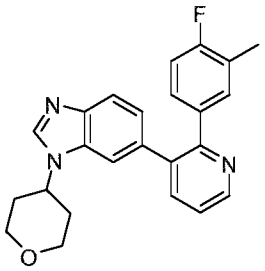
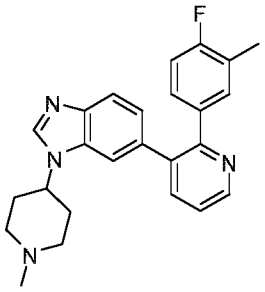
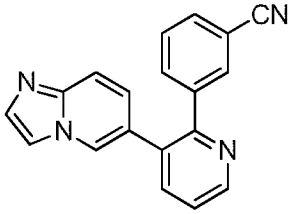
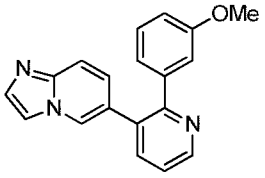
Cpd #	Structure	Salt
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27		TFA(3)
28		TFA(3)
29		TFA(3)
30		TFA(2)
31		TFA(2)
32		TFA(2)
33		Parent

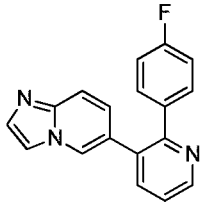
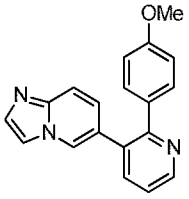
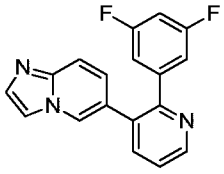
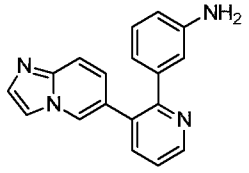
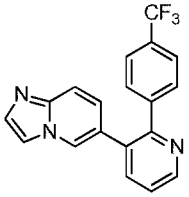
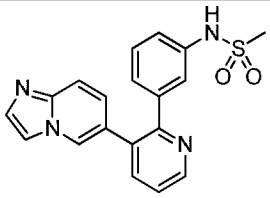
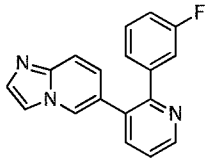
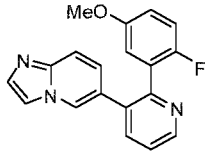
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Cpd #	Structure	Salt
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35		Parent
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39		Parent

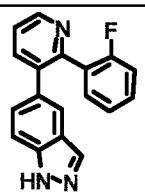
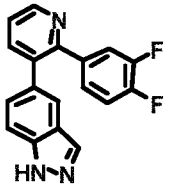
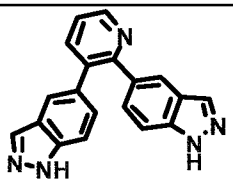
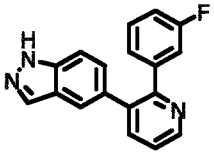
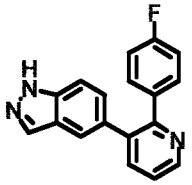
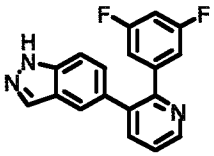
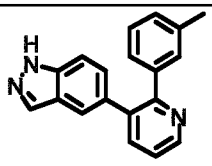
Cpd #	Structure	Salt
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46		Parent

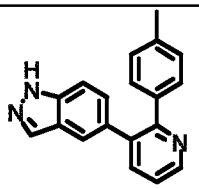
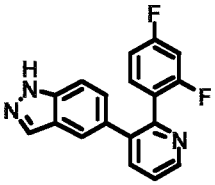
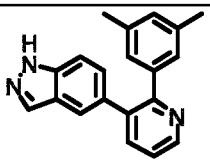
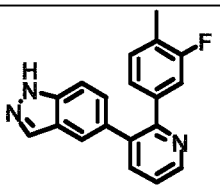
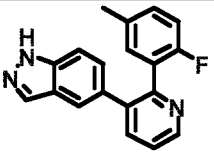
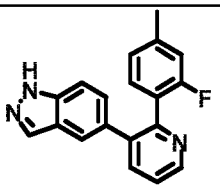
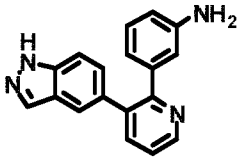
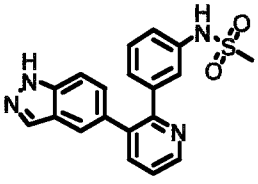
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Cpd #	Structure	Salt
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52		Parent

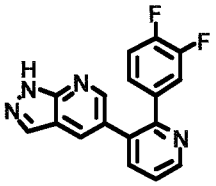
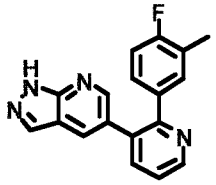
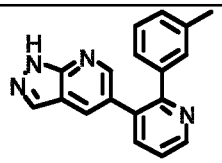
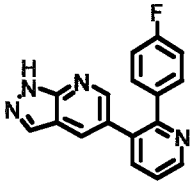
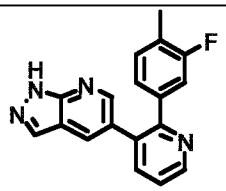
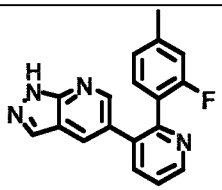
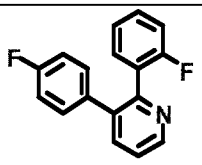
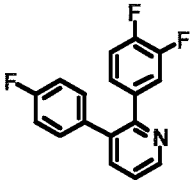
Cpd #	Structure	Salt
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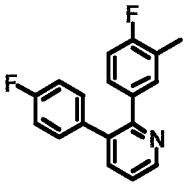
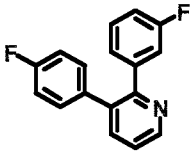
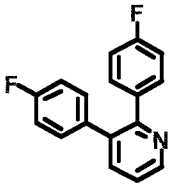
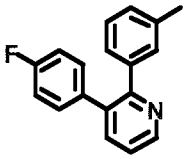
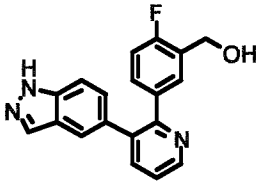
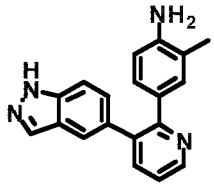
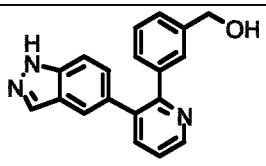
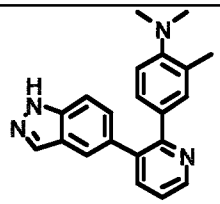
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Cpd #	Structure	Salt
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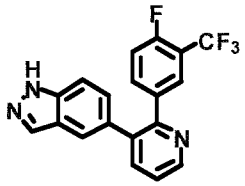
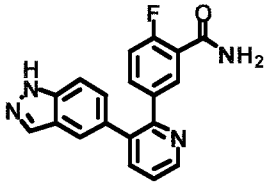
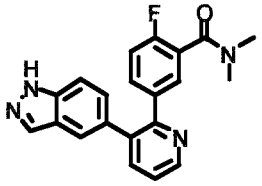
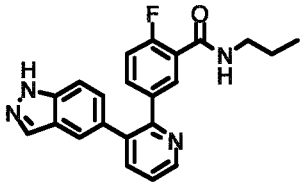
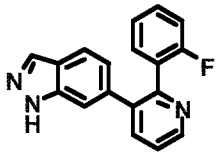
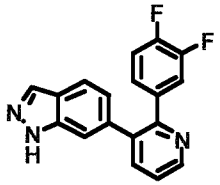
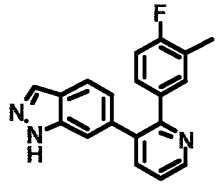
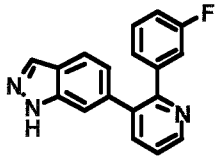
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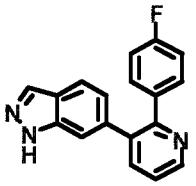
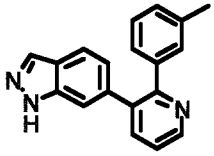
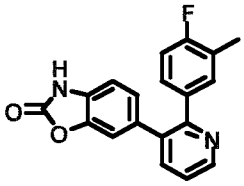
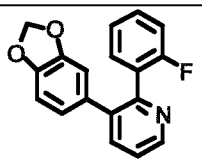
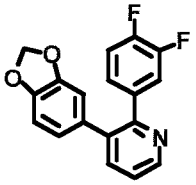
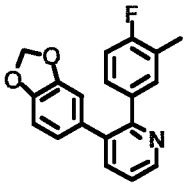
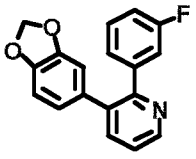
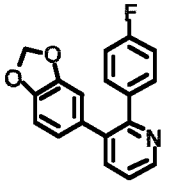
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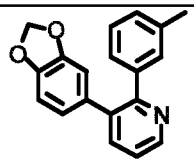
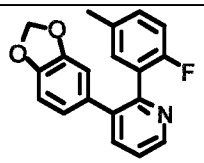
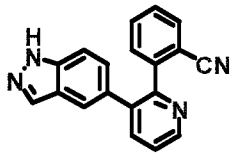
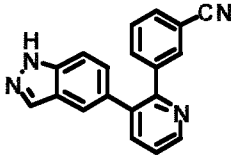
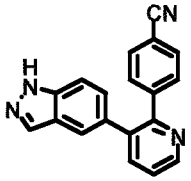
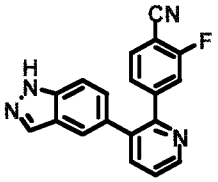
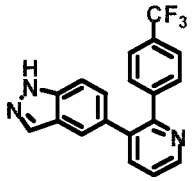
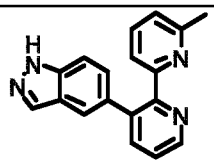
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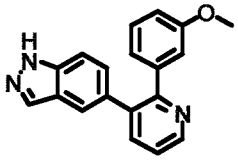
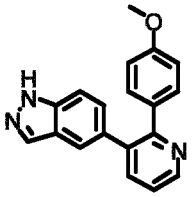
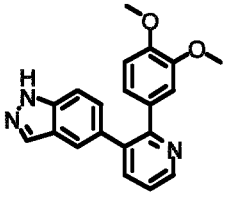
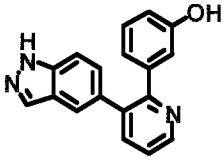
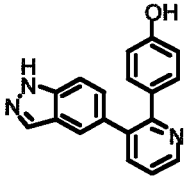
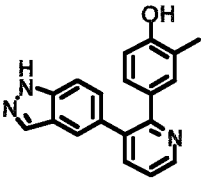
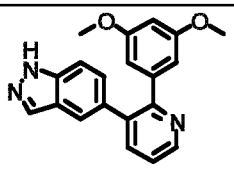
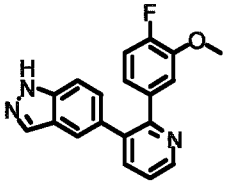
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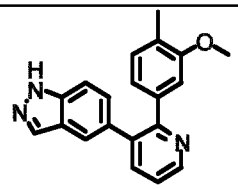
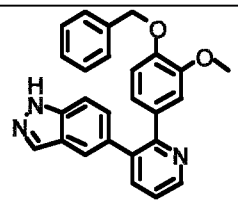
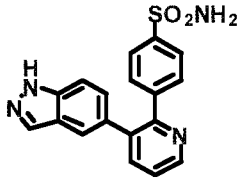
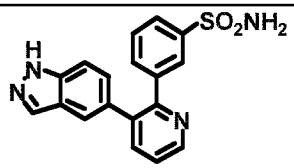
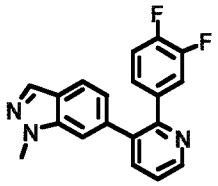
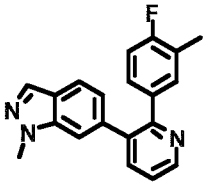
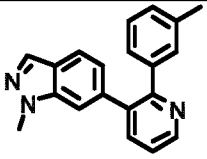
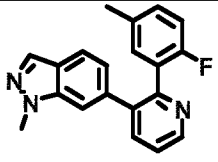
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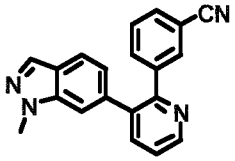
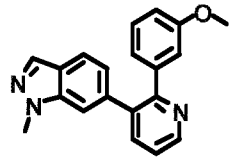
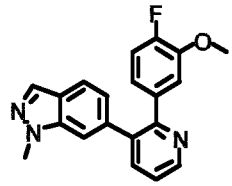
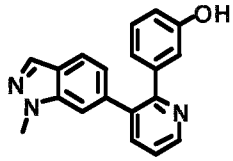
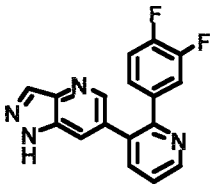
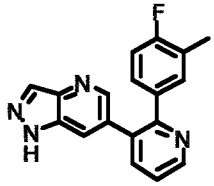
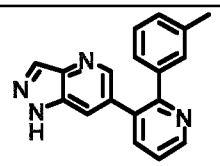
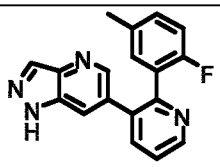
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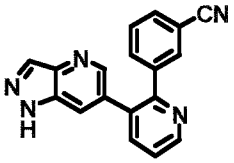
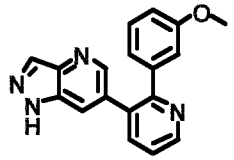
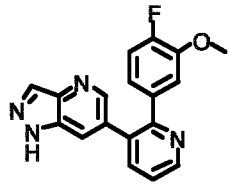
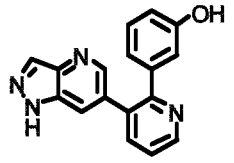
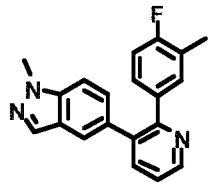
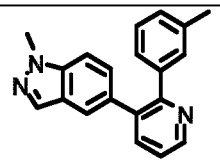
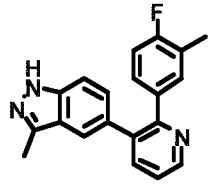
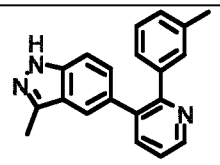
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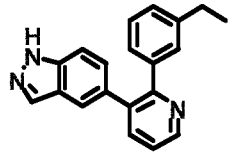
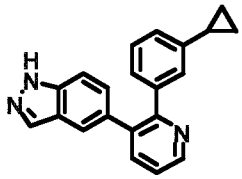
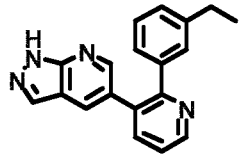
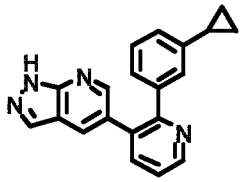
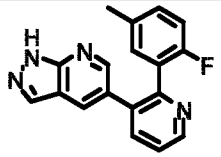
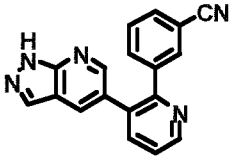
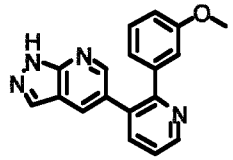
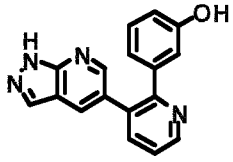
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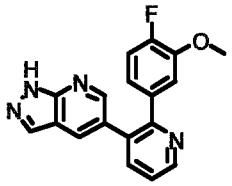
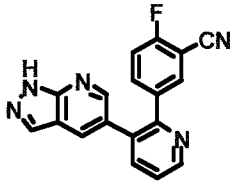
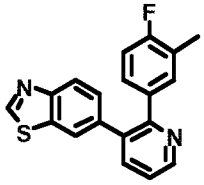
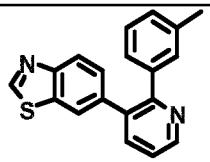
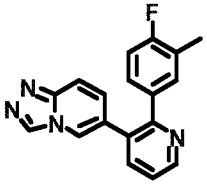
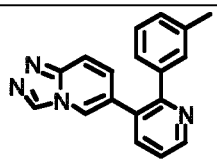
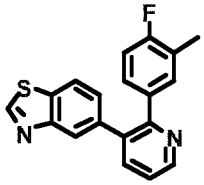
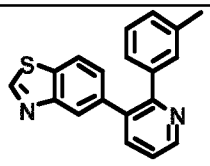
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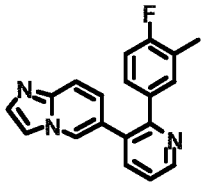
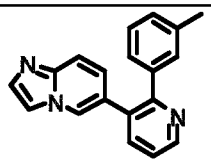
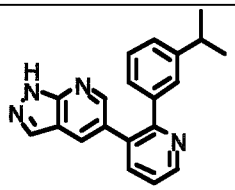
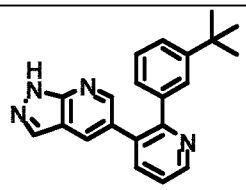
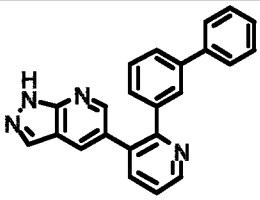
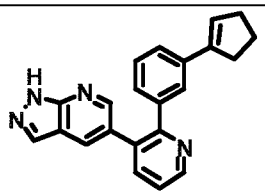
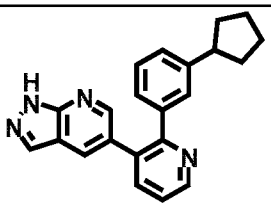
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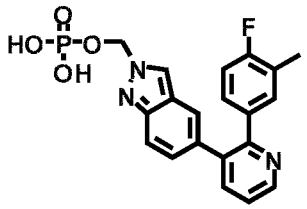
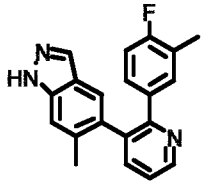
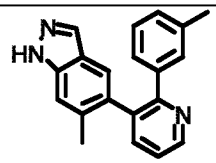
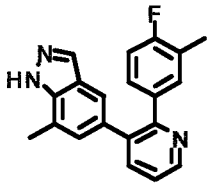
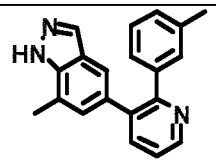
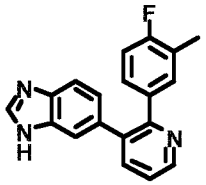
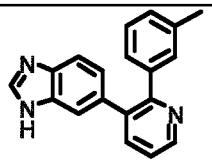
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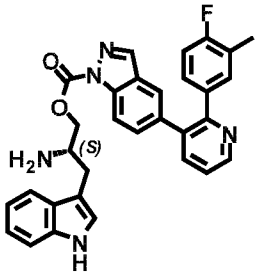
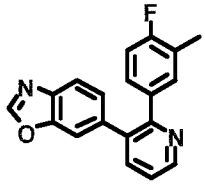
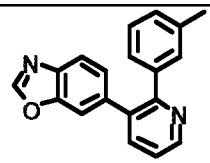
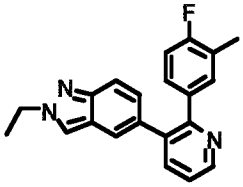
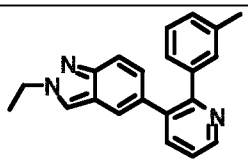
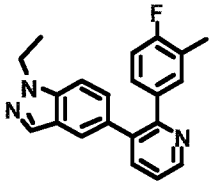
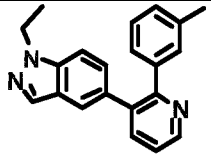
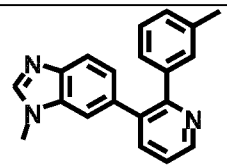
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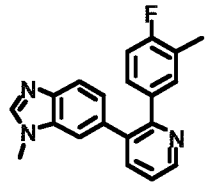
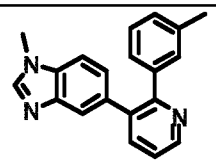
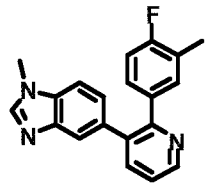
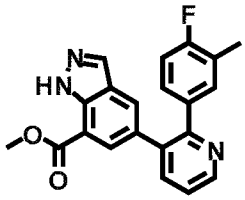
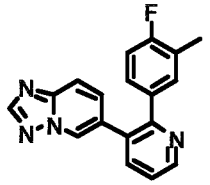
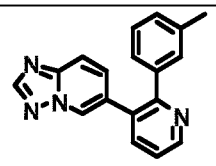
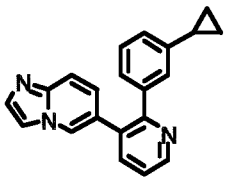
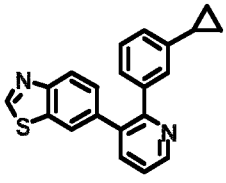
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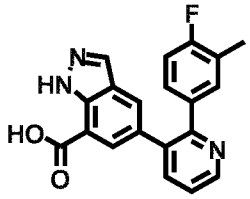
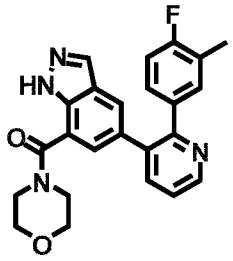
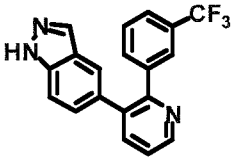
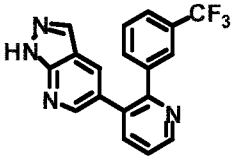
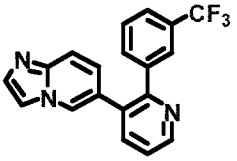
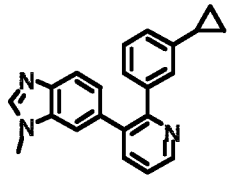
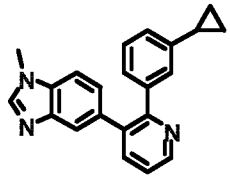
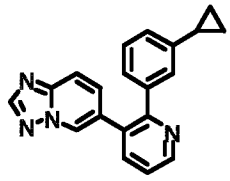
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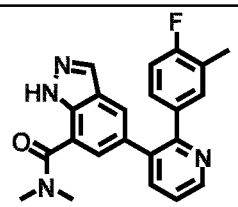
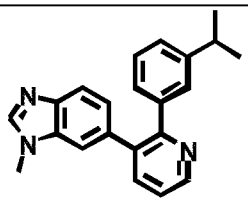
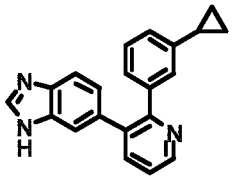
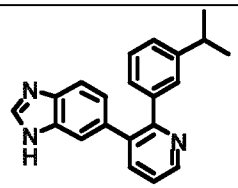
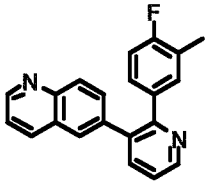
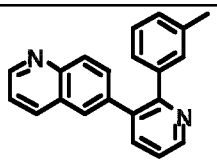
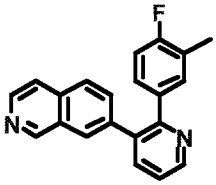
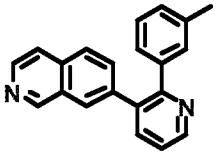
Cpd #	Structure	Salt
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186		Parent

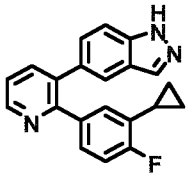
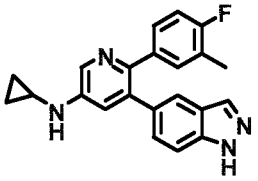
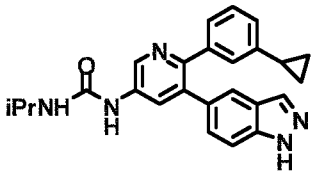
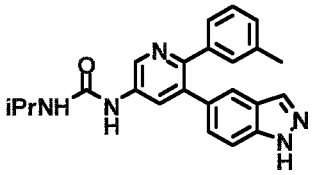
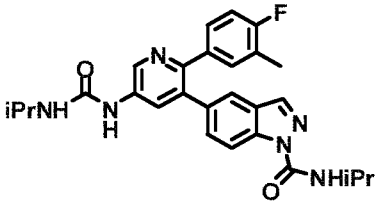
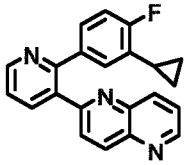
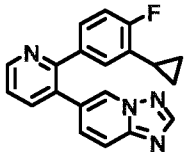
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Cpd #	Structure	Salt
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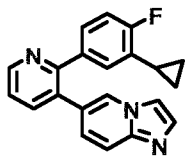
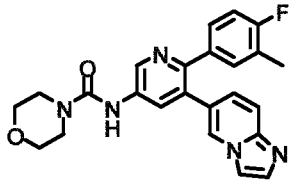
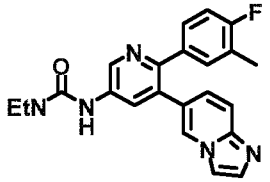
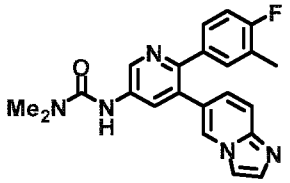
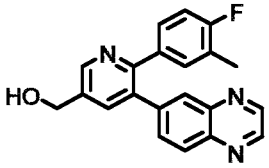
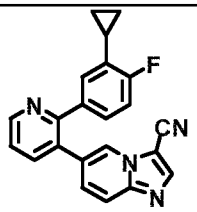
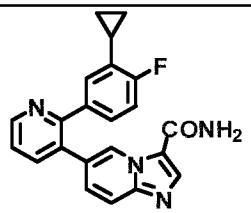
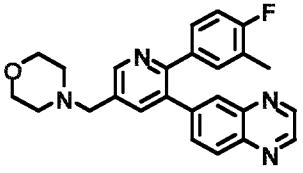
Cpd #	Structure	Salt
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202		Parent

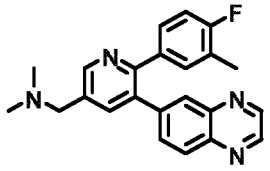
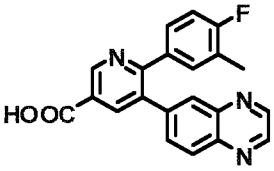
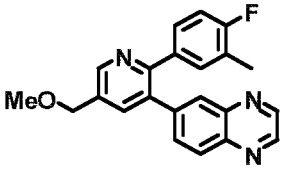
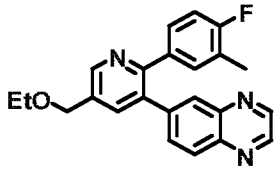
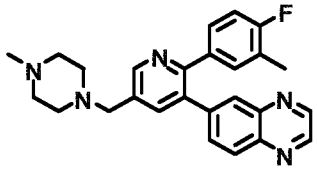
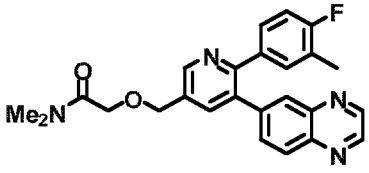
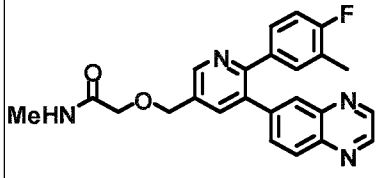
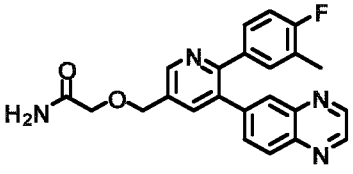
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Cpd #	Structure	Salt
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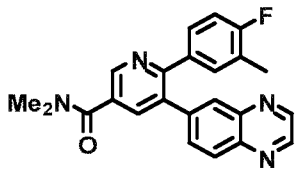
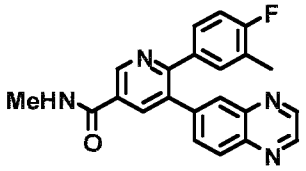
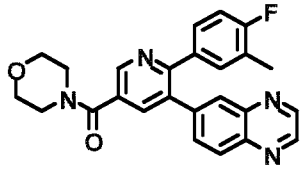
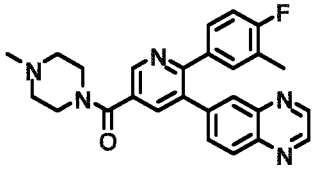
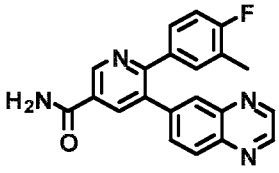
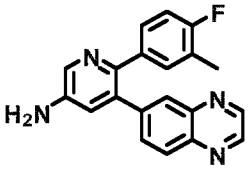
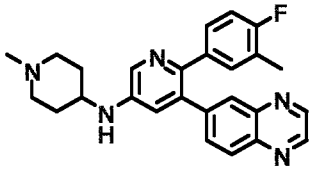
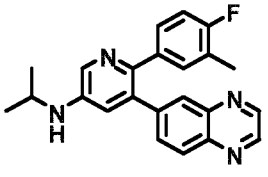
Cpd #	Structure	Salt
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212		TFA(3)
213		TFA(2)
214		TFA(2)
215		TFA(2)
216		TFA(2)
217		TFA(2)

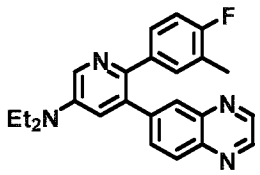
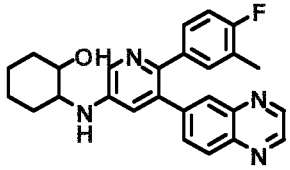
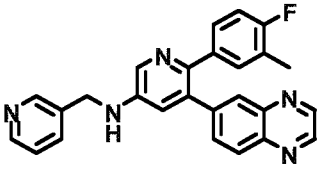
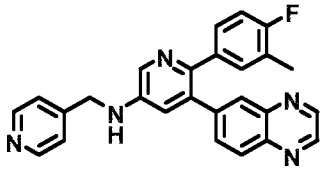
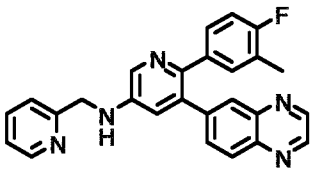
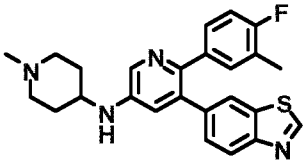
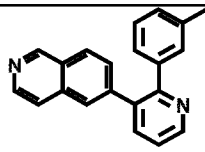
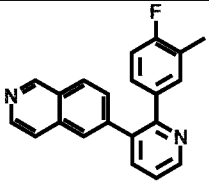
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Cpd #	Structure	Salt
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219		TFA(3)
220		TFA(3)
221		TFA(3)
222		Parent
223		TFA(3)
224		TFA(3)
225		TFA(2)

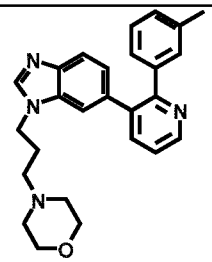
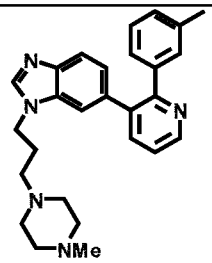
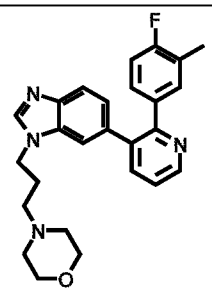
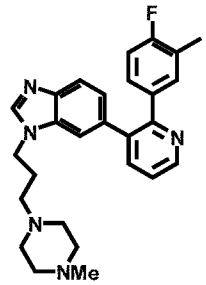
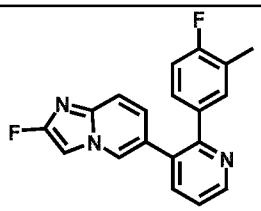
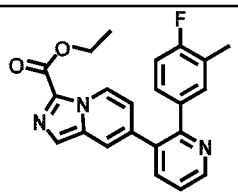
Cpd #	Structure	Salt
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229		TFA
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231		TFA
232		TFA(2)
233		TFA(3)

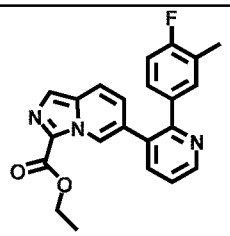
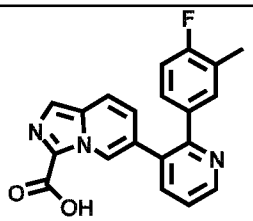
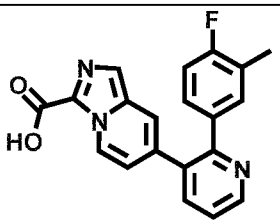
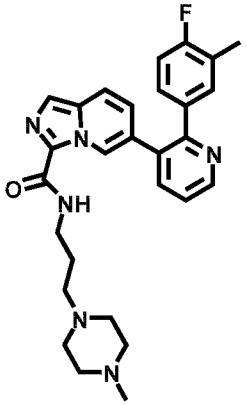
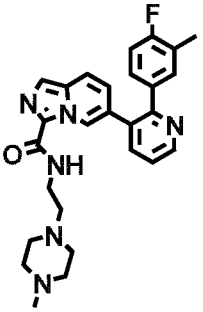
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Cpd #	Structure	Salt
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236		TFA
237		TFA(2)
238		TFA(2)
239		TFA(2)
240		TFA(3)
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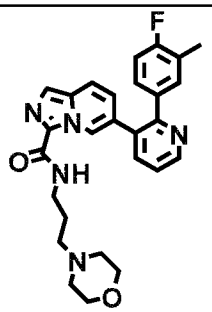
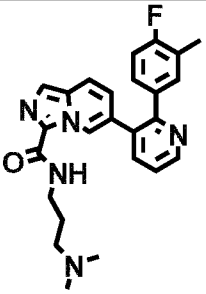
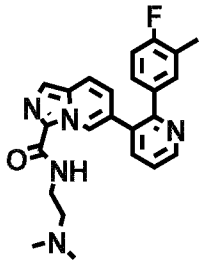
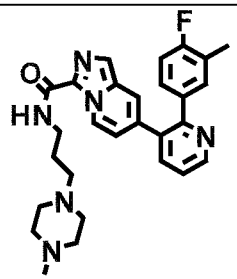
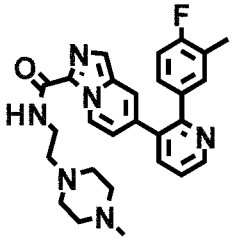
Cpd #	Structure	Salt
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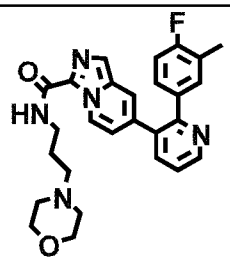
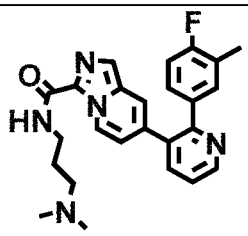
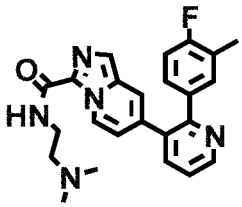
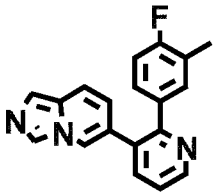
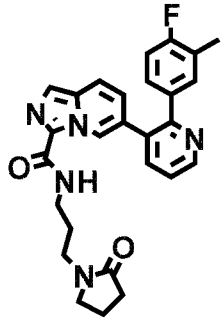
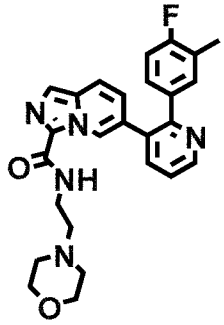
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Cpd #	Structure	Salt
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255		Parent

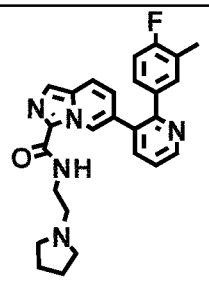
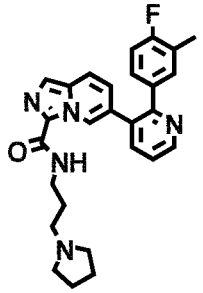
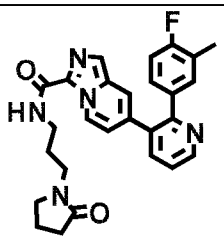
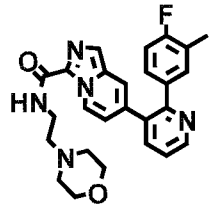
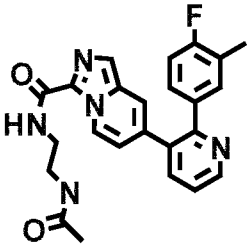
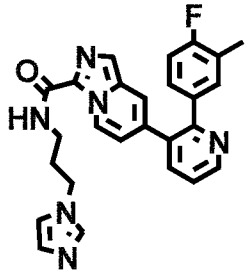
Cpd #	Structure	Salt
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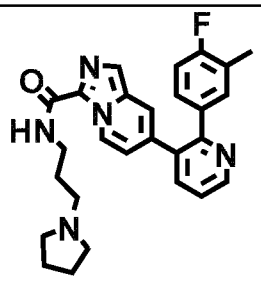
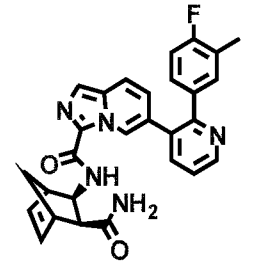
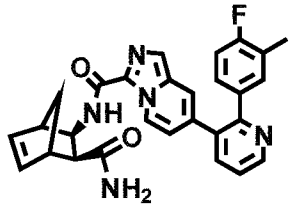
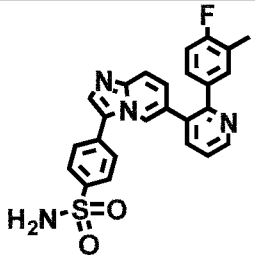
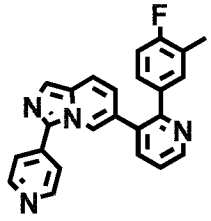
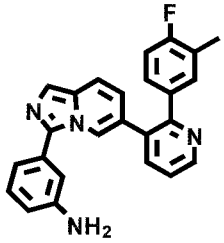
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Cpd #	Structure	Salt
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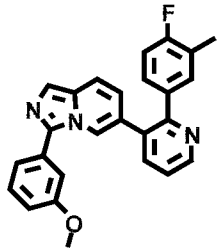
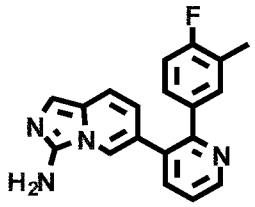
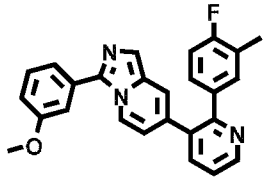
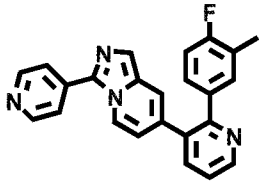
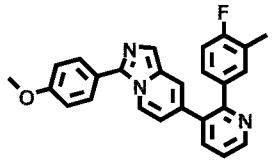
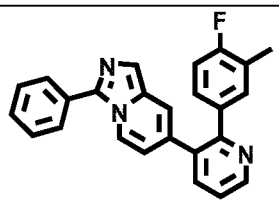
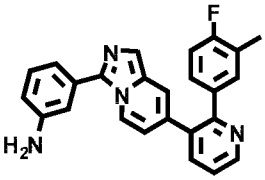
Cpd #	Structure	Salt
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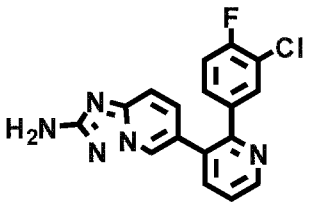
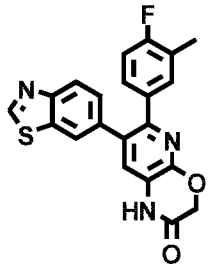
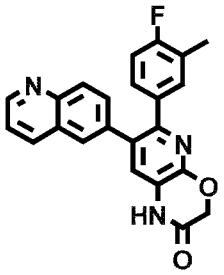
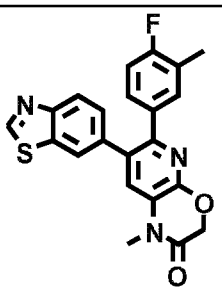
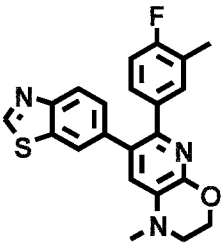
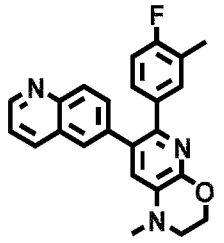
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Cpd #	Structure	Salt
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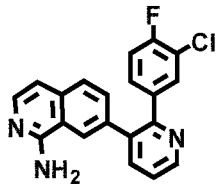
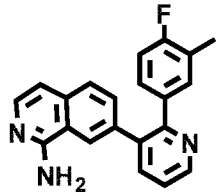
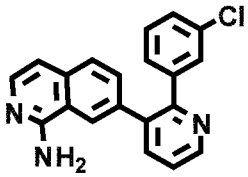
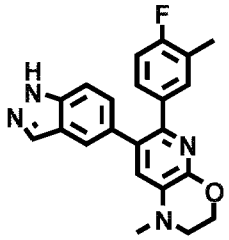
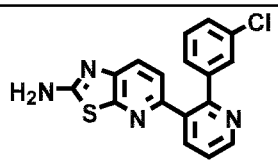
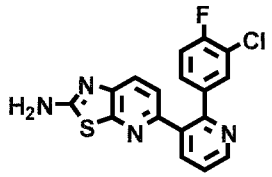
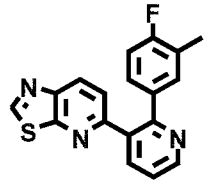
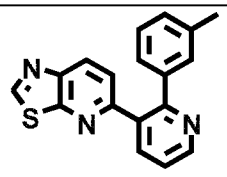
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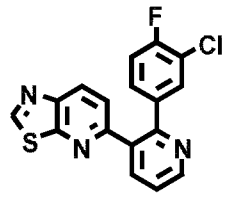
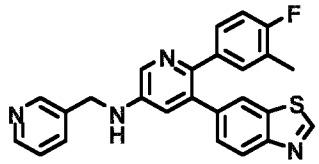
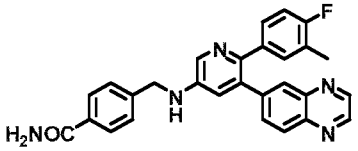
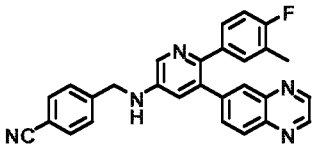
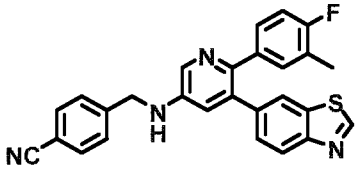
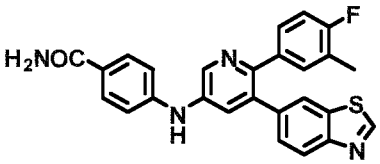
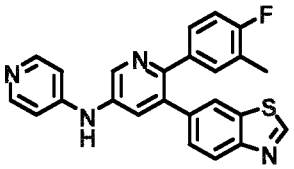
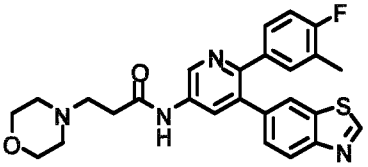
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Cpd #	Structure	Salt
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290		Parent

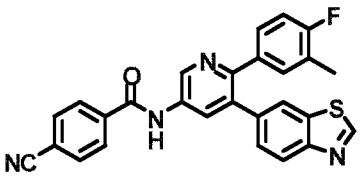
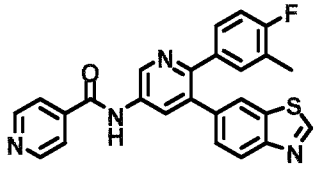
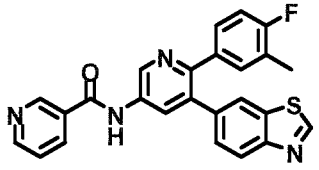
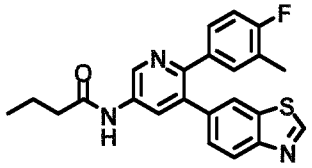
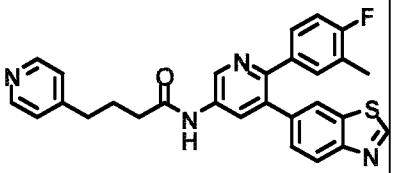
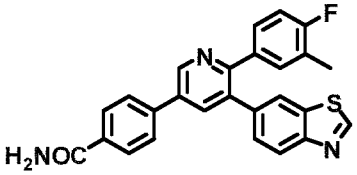
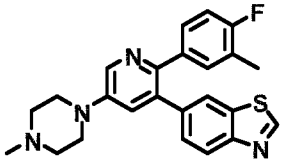
Cpd #	Structure	Salt
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292		Parent
296		Parent
294		Parent
295		Parent
296		Parent

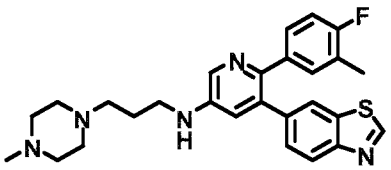
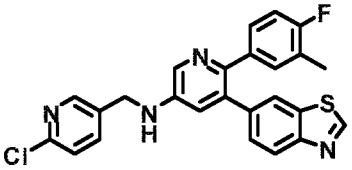
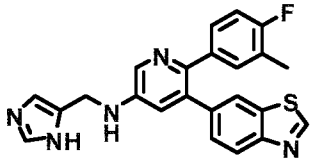
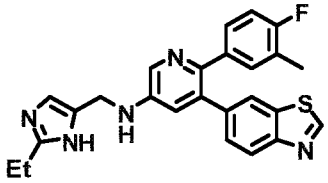
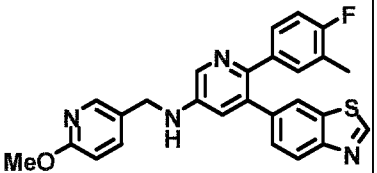
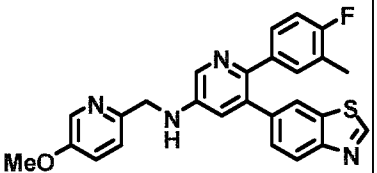
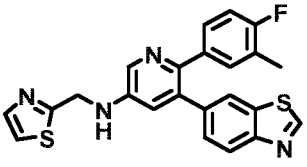
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Cpd #	Structure	Salt
297		Parent
298		Parent
299		Parent
300		Parent
301		Parent
302		Parent
303		Parent
304		Parent

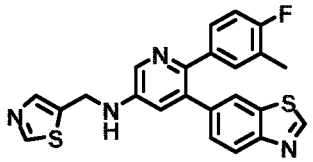
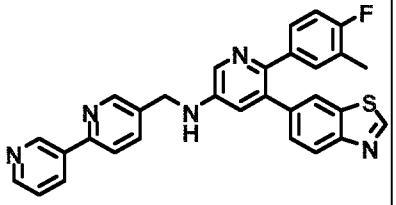
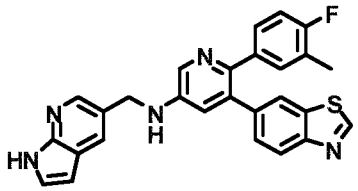
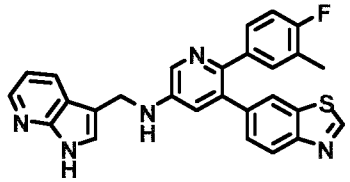
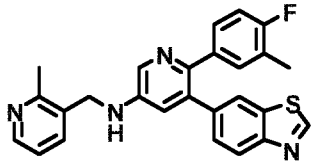
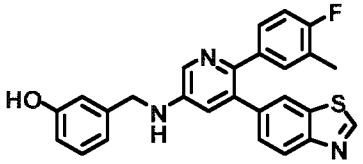
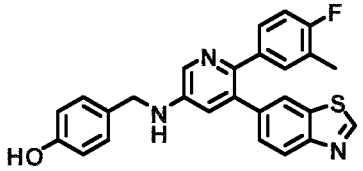
Cpd #	Structure	Salt
305		Parent
306		TFA(3)
307		Parent
308		Formic Acid(2)
309		Formic Acid(2)
310		TFA(2)
311		Formic Acid(3)
312		Formic Acid(2)

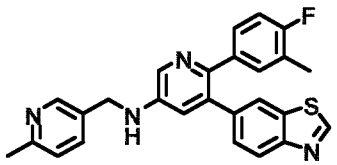
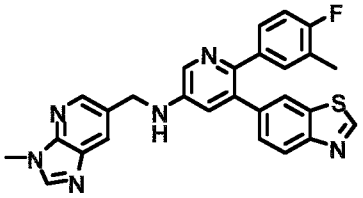
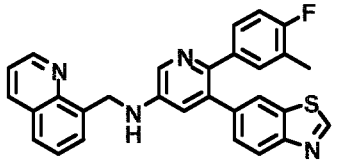
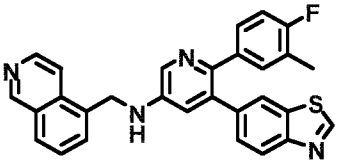
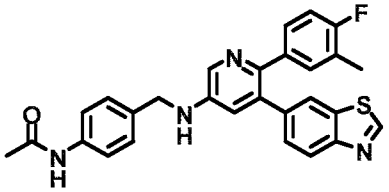
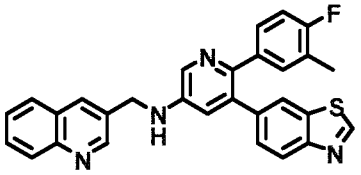
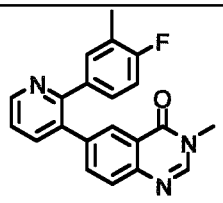
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Cpd #	Structure	Salt
313		Formic Acid(1)
314		Formic Acid(2)
315		Formic Acid(2)
316		Formic Acid(2)
317		Formic Acid(2)
318		Formic Acid(2)
319		Formic Acid(3)

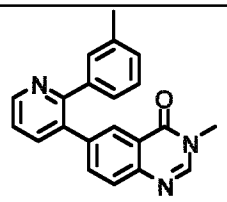
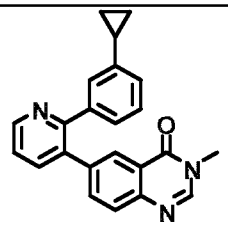
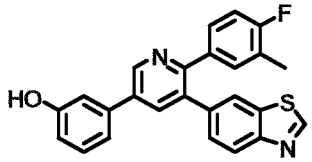
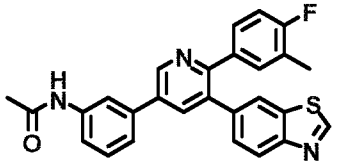
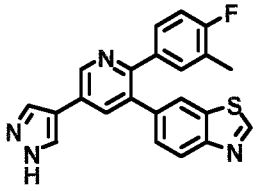
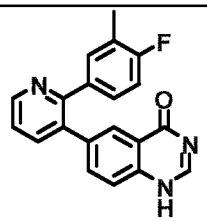
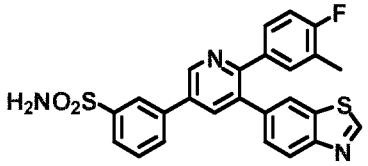
Cpd #	Structure	Salt
320		Formic Acid(3)
321		TFA(3)
322		Formic Acid(3)
323		Formic Acid(3)
324		Formic Acid(3)
325		Formic Acid(3)
326		Formic Acid(3)

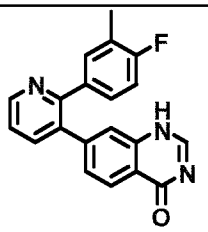
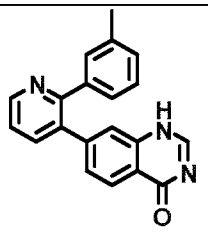
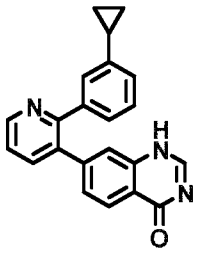
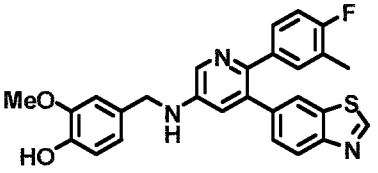
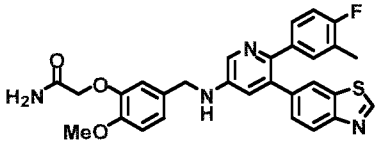
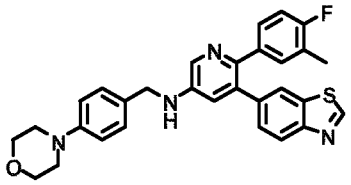
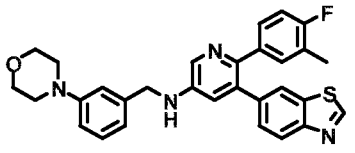
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Cpd #	Structure	Salt
327		Formic Acid(3)
328		TFA(3)
329		Formic Acid(3)
330		Formic Acid(3)
331		Formic Acid(3)
332		Formic Acid(3)
333		Formic Acid(2)

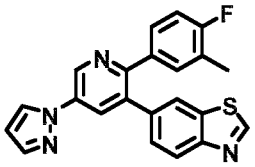
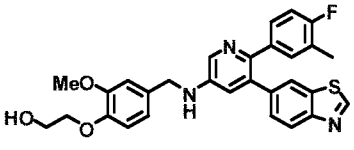
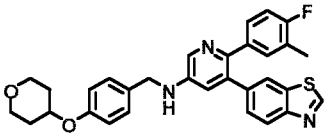
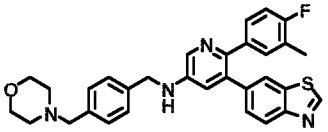
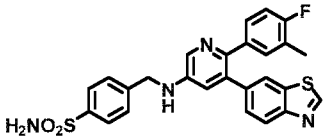
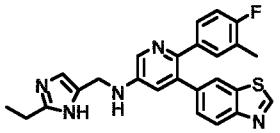
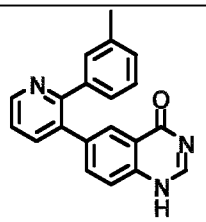
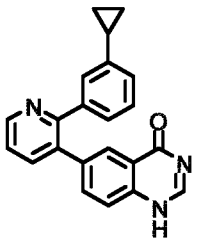
Cpd #	Structure	Salt
334		Formic Acid(2)
335		Formic Acid(3)
336		Formic Acid(3)
337		Formic Acid(3)
338		Formic Acid(3)
339		Formic Acid(3)
340		Formic Acid(2)

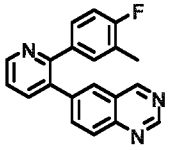
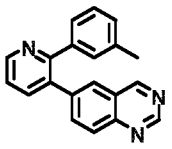
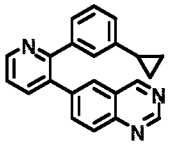
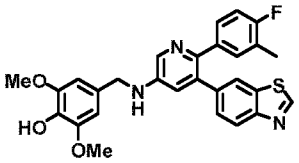
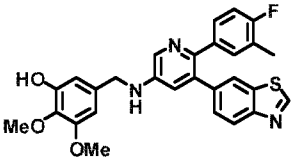
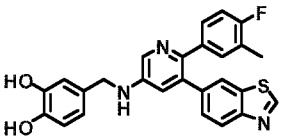
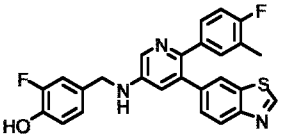
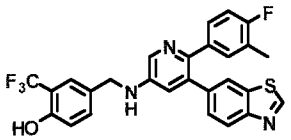
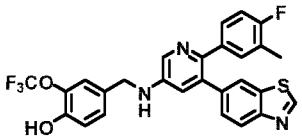
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Cpd #	Structure	Salt
341		Formic Acid(2)
342		Formic Acid(2)
343		TFA(2)
344		TFA(2)
345		TFA(3)
346		Formic Acid(2)
347		Formic Acid(3)

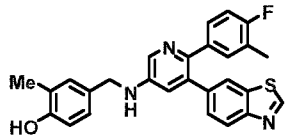
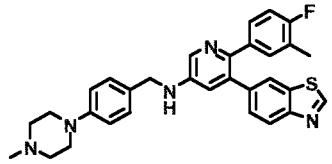
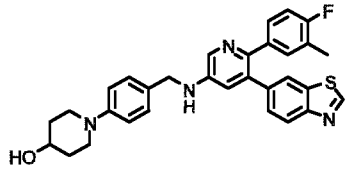
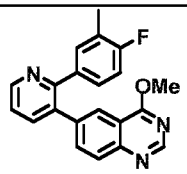
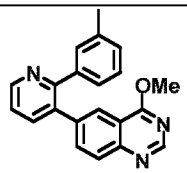
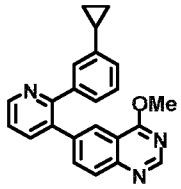
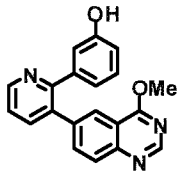
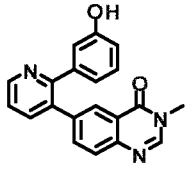
Cpd #	Structure	Salt
348		TFA(2)
349		TFA(2)
350		TFA(2)
351		Formic Acid(3)
352		Formic Acid(3)
353		Formic Acid(3)
354		Formic Acid(3)

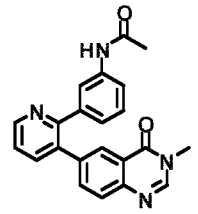
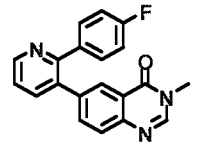
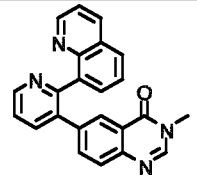
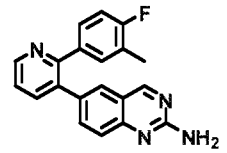
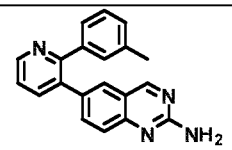
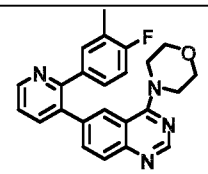
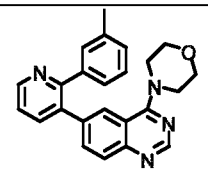
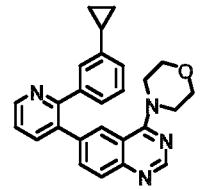
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Cpd #	Structure	Salt
355		Formic Acid(3)
356		Formic Acid(3)
357		Formic Acid(3)
358		Formic Acid(3)
359		Formic Acid(3)
360		TFA(3)
361		Formic Acid(2)
362		Formic Acid(2)

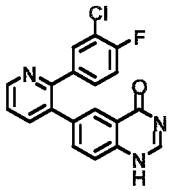
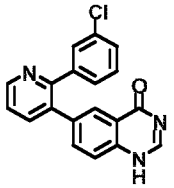
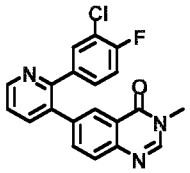
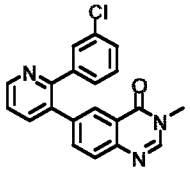
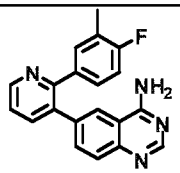
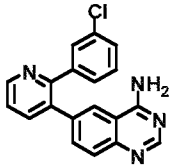
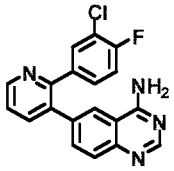
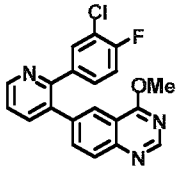
Cpd #	Structure	Salt
363		TFA(3)
364		TFA(3)
365		TFA(3)
366		Formic Acid(3)
367		Formic Acid(3)
368		Formic Acid(3)
369		Formic Acid(3)
370		Formic Acid(3)
371		Formic Acid(3)

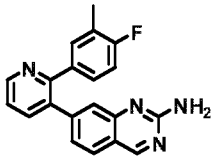
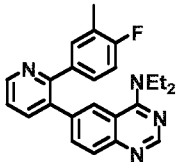
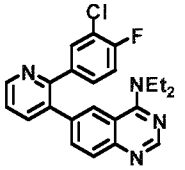
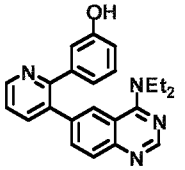
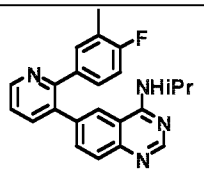
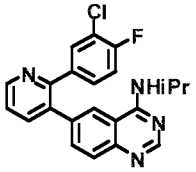
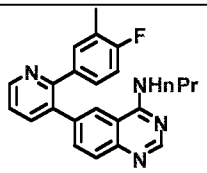
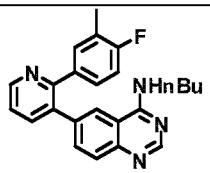
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Cpd #	Structure	Salt
372		Formic Acid(3)
373		Formic Acid(3)
374		Formic Acid(3)
375		Formic Acid(2)
376		Formic Acid(2)
377		Formic Acid(2)
378		Formic Acid(2)
379		Formic Acid(2)

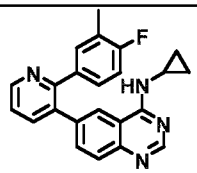
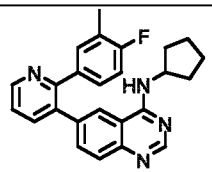
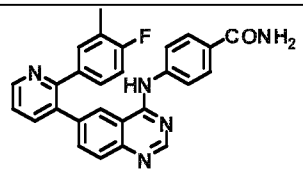
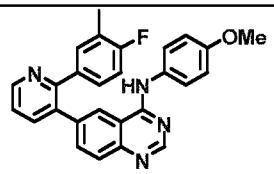
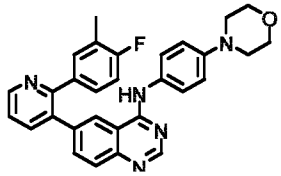
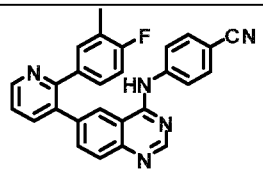
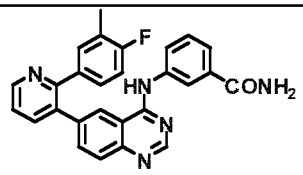
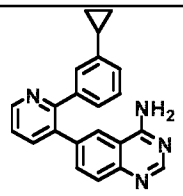
Cpd #	Structure	Salt
380		Formic Acid(2)
381		Formic Acid(2)
382		Formic Acid(2)
383		Formic Acid(3)
384		Formic Acid(3)
385		TFA(3)
386		TFA(3)
387		TFA(3)

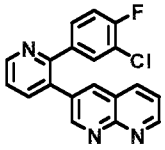
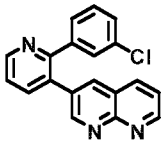
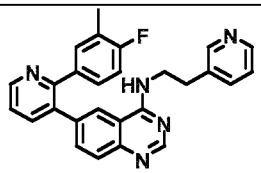
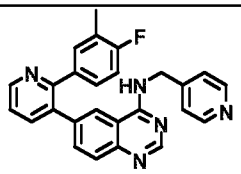
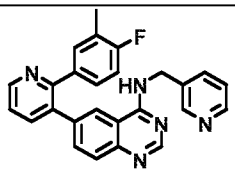
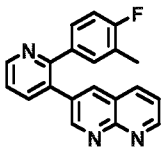
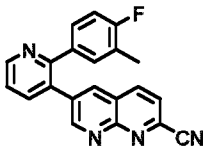
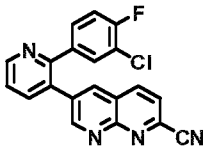
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Cpd #	Structure	Salt
388		Parent
389		Parent
390		TFA(2)
391		TFA(2)
392		Formic Acid(3)
393		Formic Acid(3)
394		Formic Acid(3)
395		Formic Acid(2)

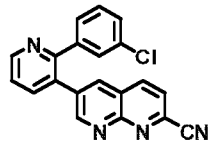
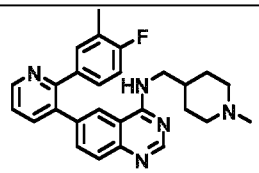
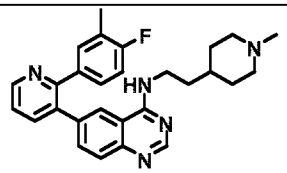
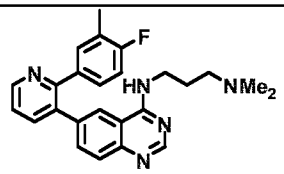
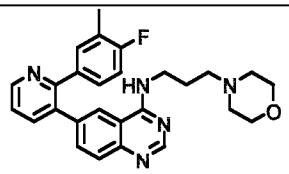
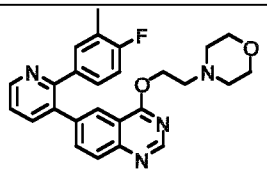
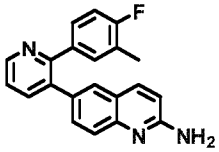
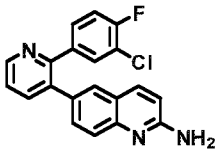
Cpd #	Structure	Salt
396		Formic Acid(2)
397		Formic Acid(3)
398		Formic Acid(3)
399		Formic Acid(3)
400		Formic Acid(3)
401		Formic Acid(3)
402		Formic Acid(3)
403		Formic Acid(3)

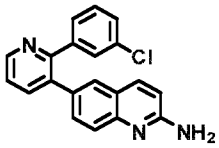
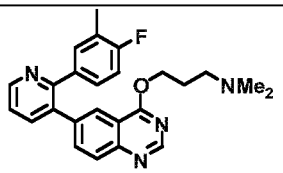
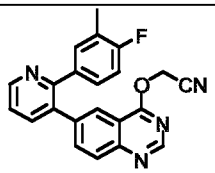
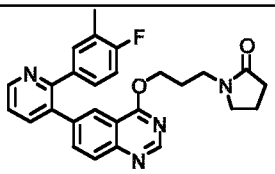
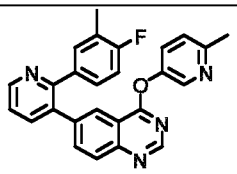
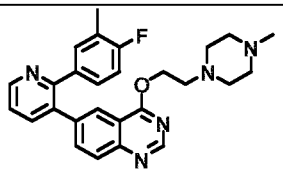
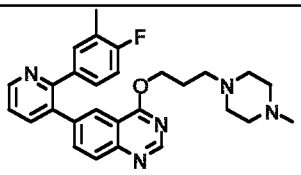
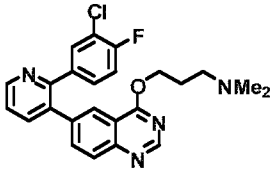
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Cpd #	Structure	Salt
404		Formic Acid(3)
405		Formic Acid(3)
406		Formic Acid(3)
407		Formic Acid(3)
408		Formic Acid(3)
409		Formic Acid(2)
410		Formic Acid(2)
411		Formic Acid(3)

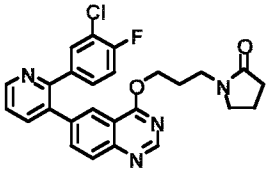
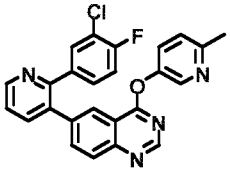
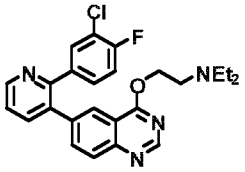
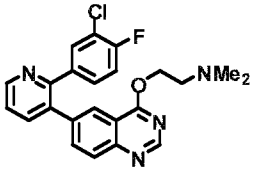
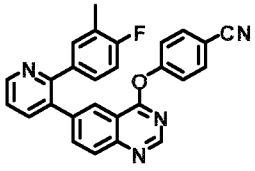
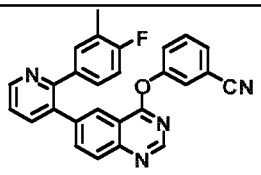
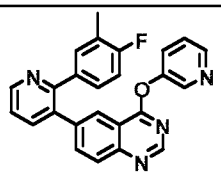
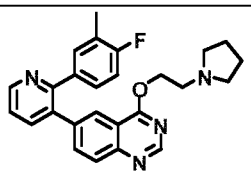
Cpd #	Structure	Salt
412		Formic Acid(3)
413		Formic Acid(3)
414		Formic Acid(3)
415		Formic Acid(3)
416		Formic Acid(3)
417		Formic Acid(3)
418		Formic Acid(2)
419		Formic Acid(2)

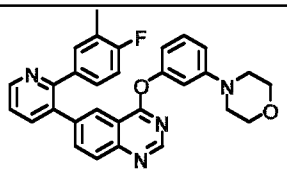
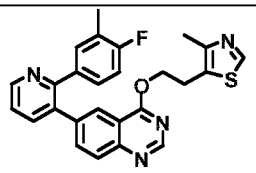
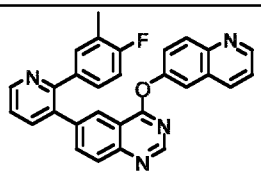
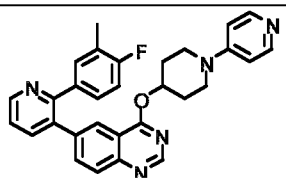
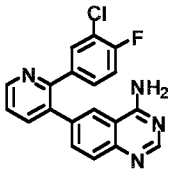
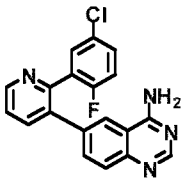
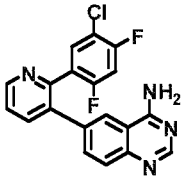
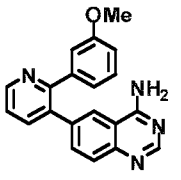
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Cpd #	Structure	Salt
420		Formic Acid(2)
421		Formic Acid(3)
422		Formic Acid(3)
423		TFA(3)
424		TFA(3)
425		Formic Acid(3)
426		Formic Acid(2)
427		Formic Acid(2)

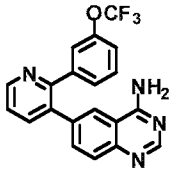
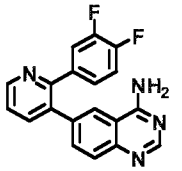
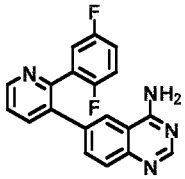
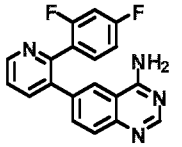
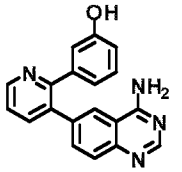
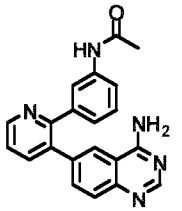
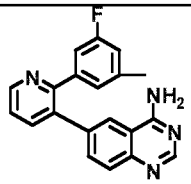
Cpd #	Structure	Salt
428		Formic Acid(2)
429		Formic Acid(3)
430		Formic Acid(3)
431		Formic Acid(2)
432		Formic Acid(3)
433		Formic Acid(3)
434		Formic Acid(3)
435		Formic Acid(3)

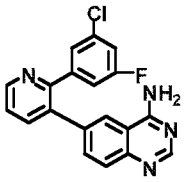
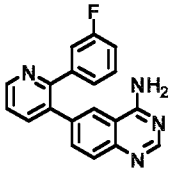
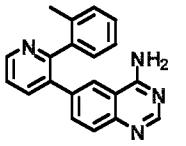
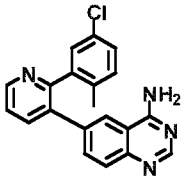
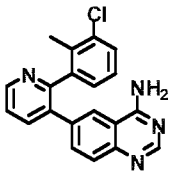
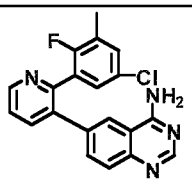
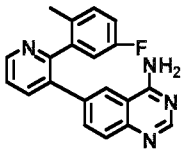
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Cpd #	Structure	Salt
436		Formic Acid(3)
437		Formic Acid(3)
438		Formic Acid(3)
439		Formic Acid(3)
440		Formic Acid(2)
441		Formic Acid(2)
442		Formic Acid(3)
443		Formic Acid(3)

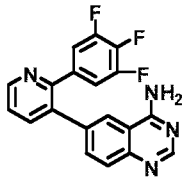
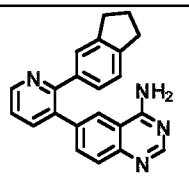
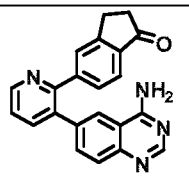
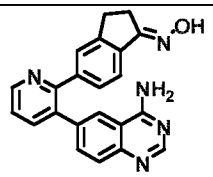
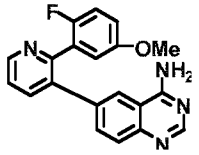
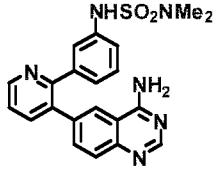
Cpd #	Structure	Salt
444		Formic Acid(3)
445		Formic Acid(3)
446		Formic Acid(3)
447		Formic Acid(3)
448		Parent
449		TFA(3)
450		TFA(3)
451		TFA(3)

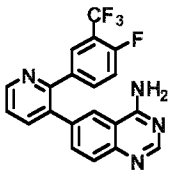
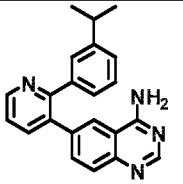
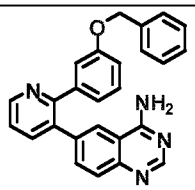
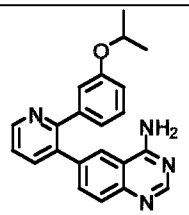
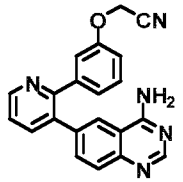
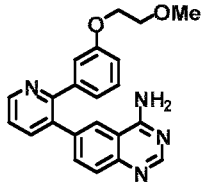
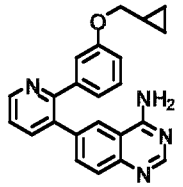
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Cpd #	Structure	Salt
452		TFA(3)
453		TFA(3)
454		TFA(3)
455		TFA(3)
456		TFA(3)
457		TFA(3)
458		Formic Acid(3)
459		Formic Acid(3)

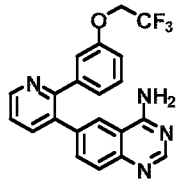
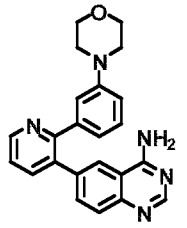
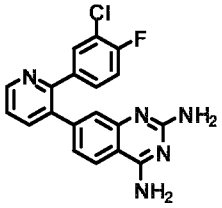
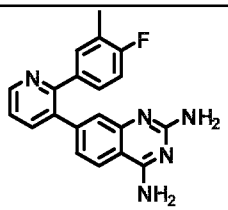
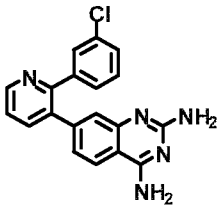
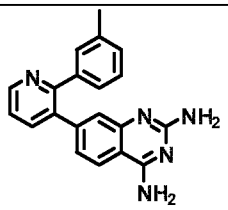
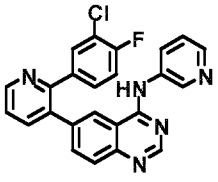
Cpd #	Structure	Salt
460		Formic Acid(3)
461		Formic Acid(3)
462		Formic Acid(3)
463		Formic Acid(3)
464		Formic Acid(3)
465		Formic Acid(3)
466		Formic Acid(3)
467		Formic Acid(3)

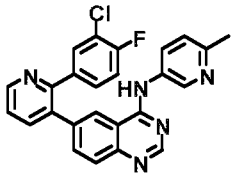
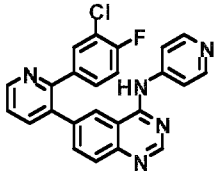
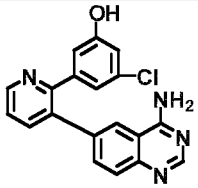
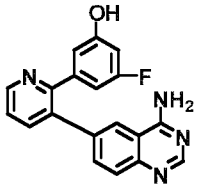
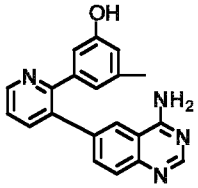
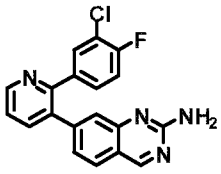
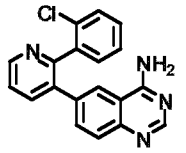
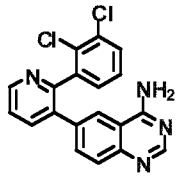
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Cpd #	Structure	Salt
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469		Formic Acid(3)
470		Formic Acid(3)
471		Formic Acid(3)
472		Formic Acid(3)
473		Formic Acid(3)
474		Formic Acid(3)
475		Formic Acid(3)

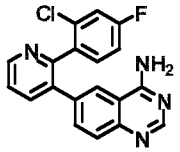
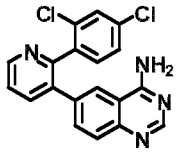
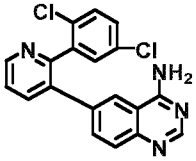
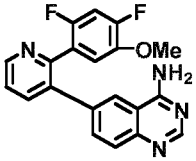
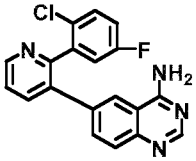
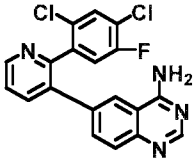
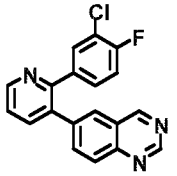
Cpd #	Structure	Salt
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477		Formic Acid(3)
478		Formic Acid(3)
479		Formic Acid(3)
480		Formic Acid(3)
481		Formic Acid(3)
482		Formic Acid(3)
483		Formic Acid(3)

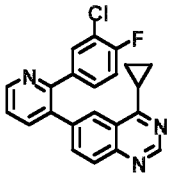
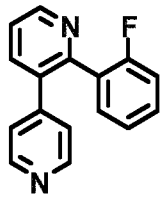
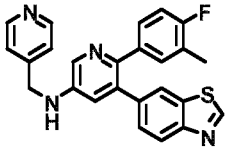
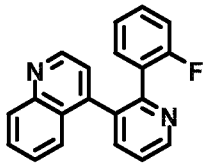
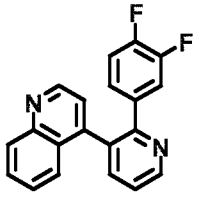
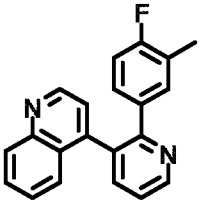
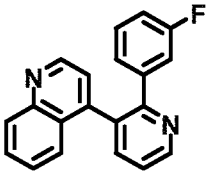
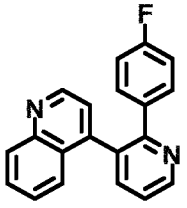
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Cpd #	Structure	Salt
484		Formic Acid(3)
485		Formic Acid(3)
486		Formic Acid(3)
487		Formic Acid(3)
488		Formic Acid(3)
489		Formic Acid(3)
490		Formic Acid(3)

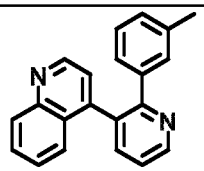
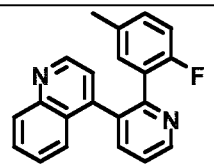
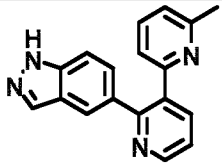
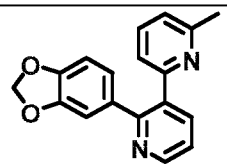
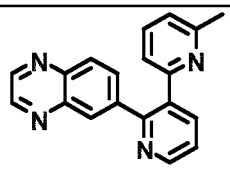
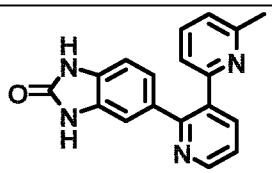
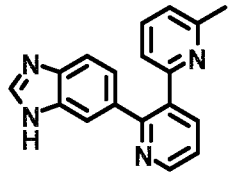
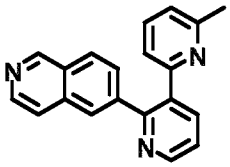
Cpd #	Structure	Salt
491		Parent
492		Formic Acid(3)
493		Formic Acid(3)
494		Formic Acid(3)
495		Formic Acid(3)
496		Parent
497		Formic Acid(3)
498		Formic Acid(3)

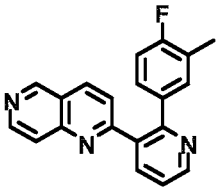
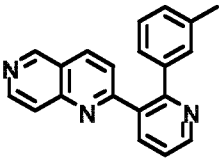
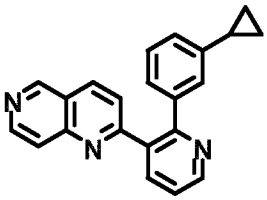
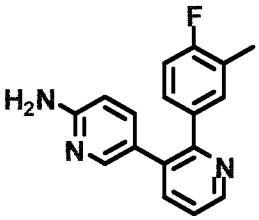
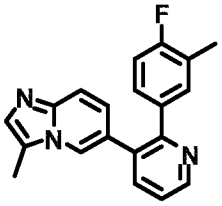
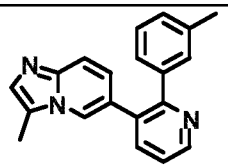
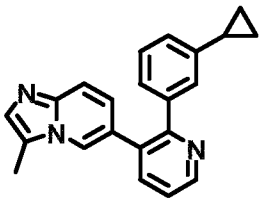
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Cpd #	Structure	Salt
499		Formic Acid(3)
500		Formic Acid(3)
501		Formic Acid(3)
502		Formic Acid(3)
503		Formic Acid(3)
504		Formic Acid(3)
505		Formic Acid(3)
506		Formic Acid(2)

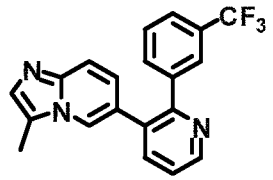
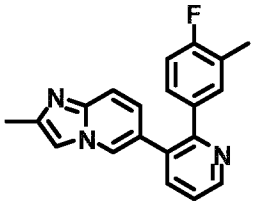
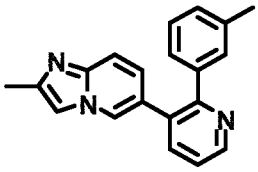
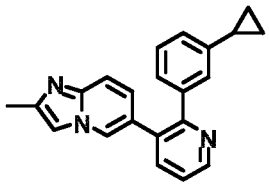
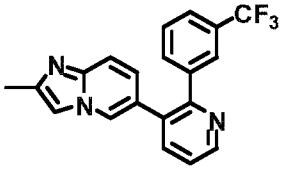
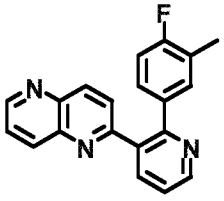
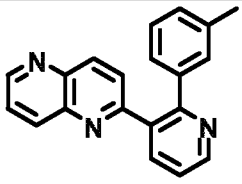
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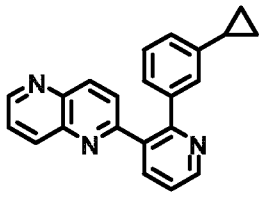
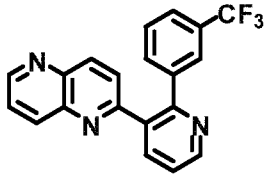
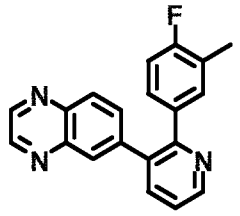
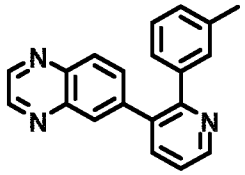
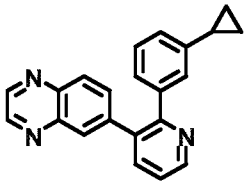
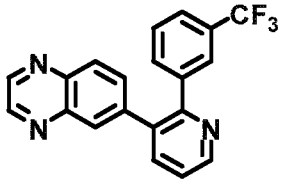
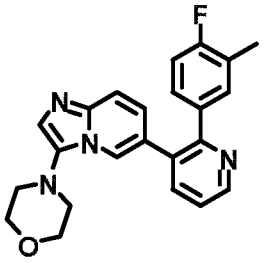
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Cpd #	Structure	Salt
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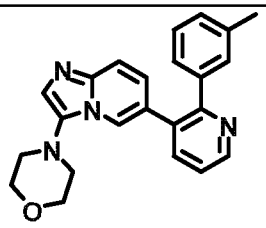
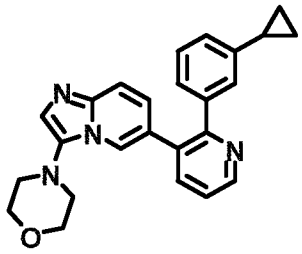
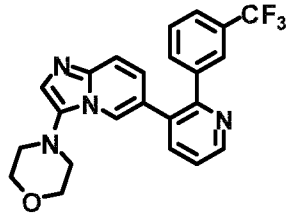
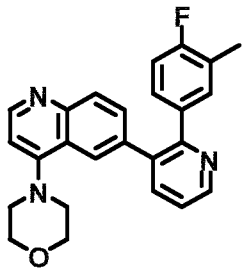
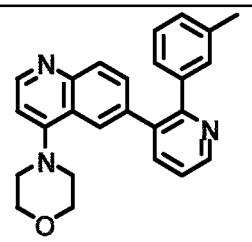
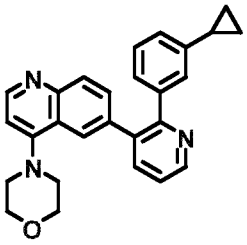
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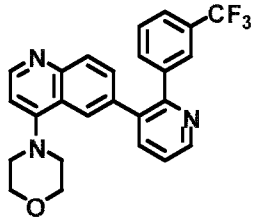
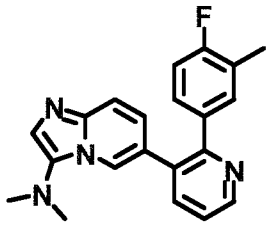
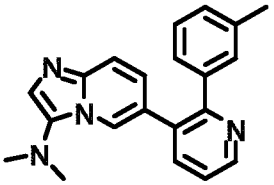
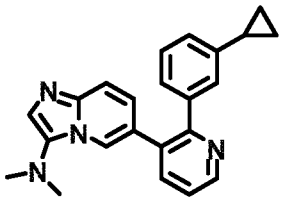
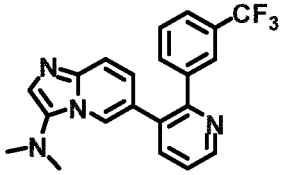
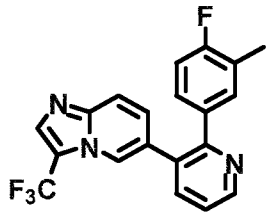
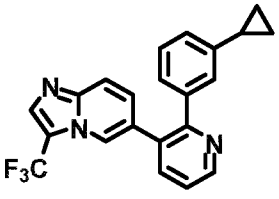
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Cpd #	Structure	Salt
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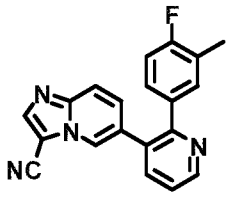
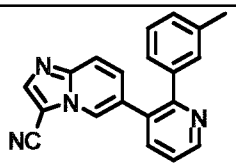
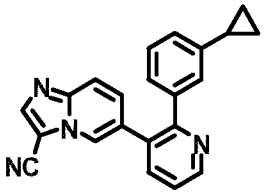
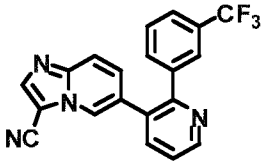
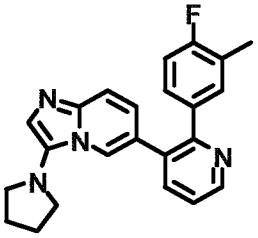
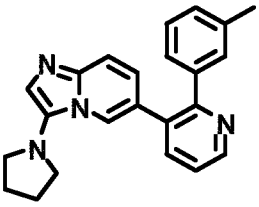
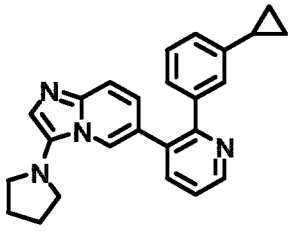
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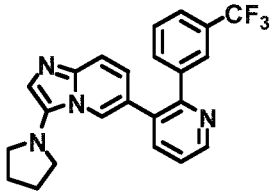
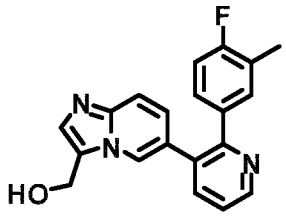
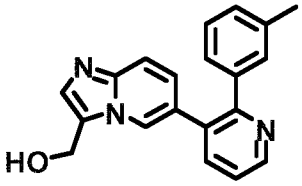
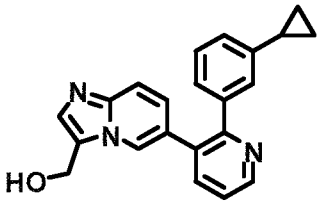
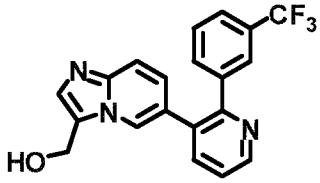
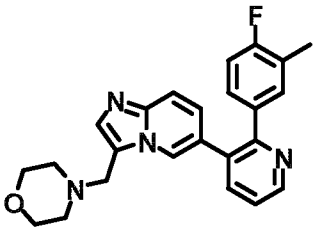
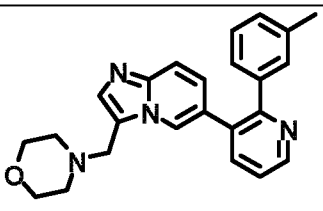
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Cpd #	Structure	Salt
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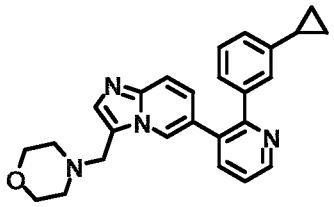
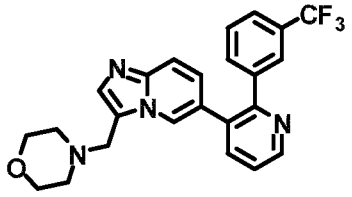
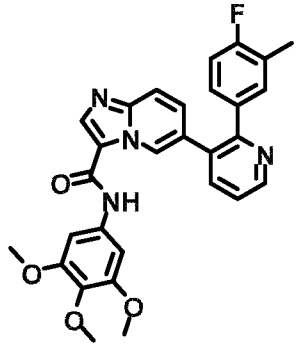
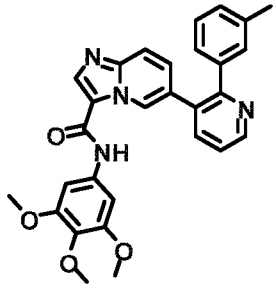
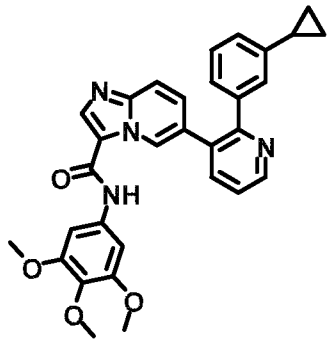
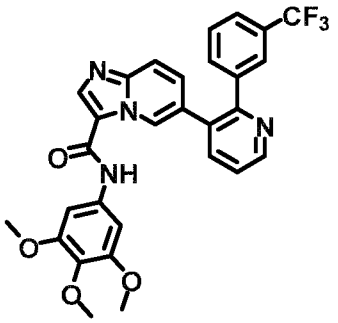
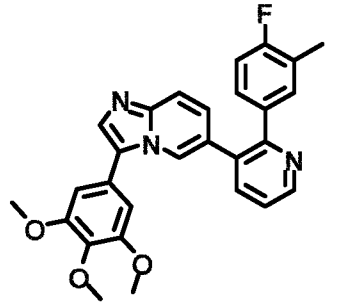
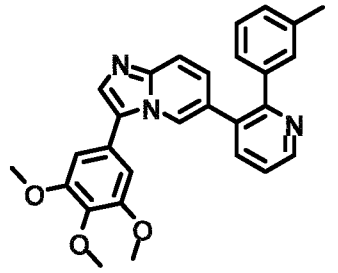
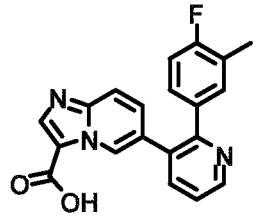
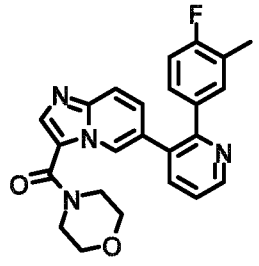
Cpd #	Structure	Salt
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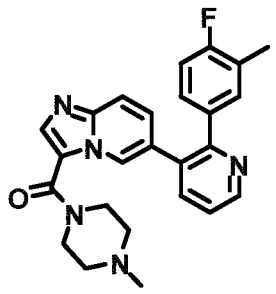
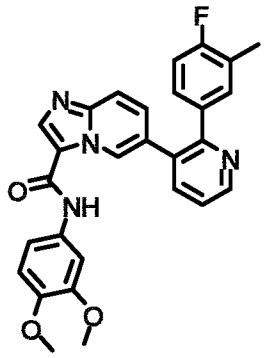
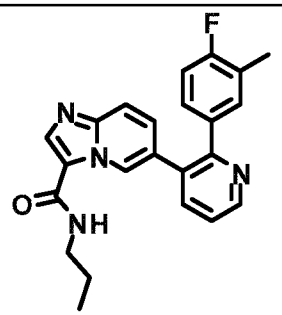
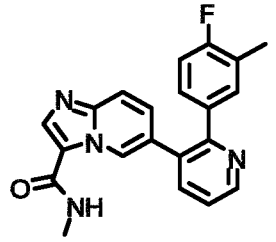
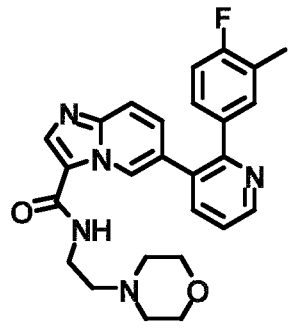
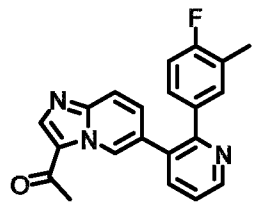
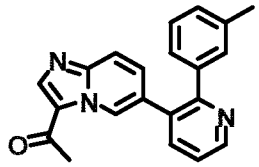
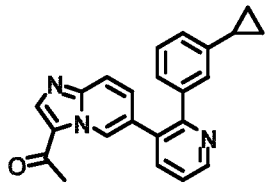
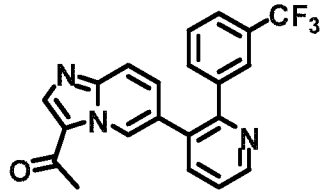
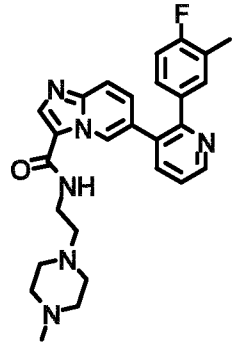
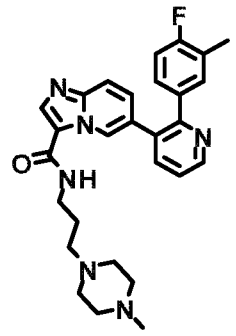
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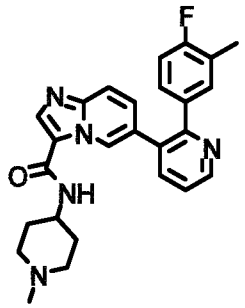
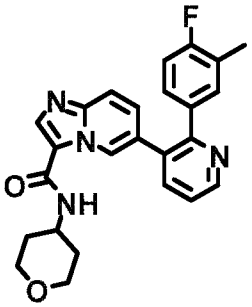
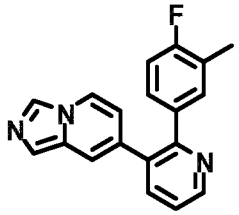
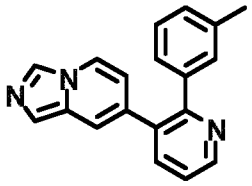
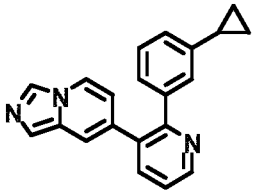
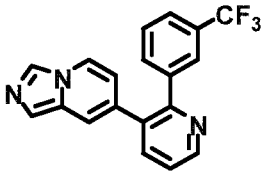
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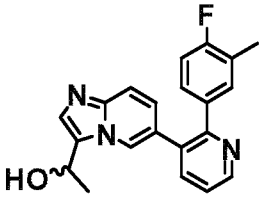
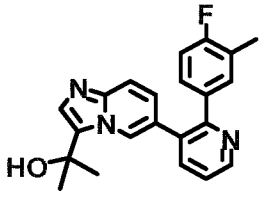
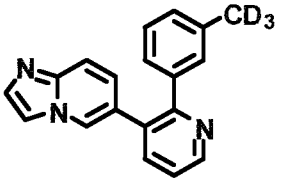
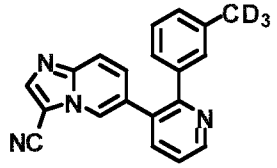
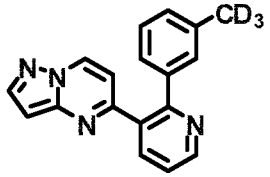
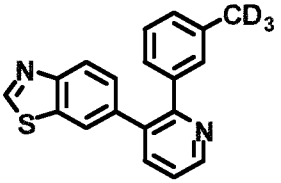
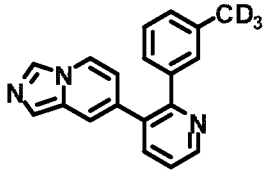
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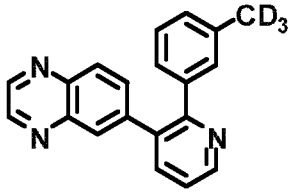
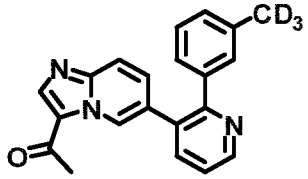
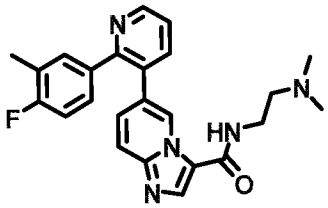
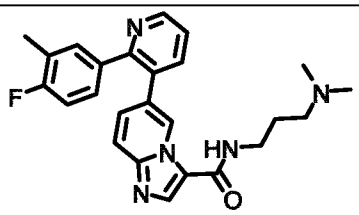
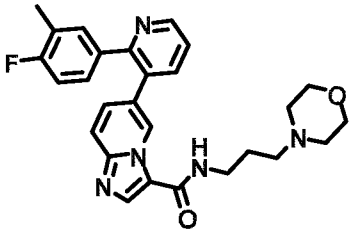
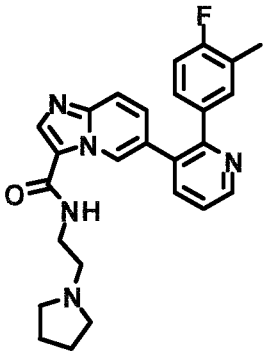
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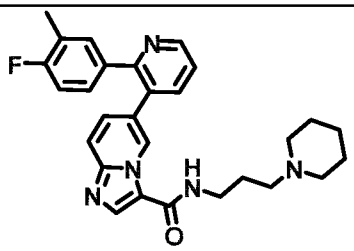
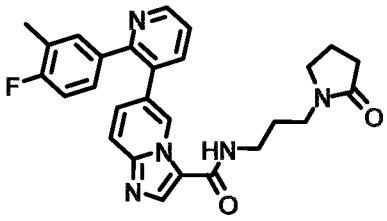
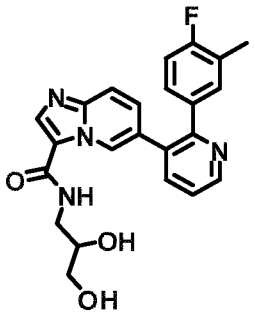
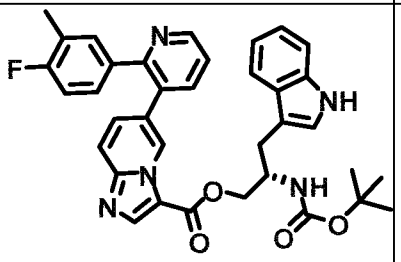
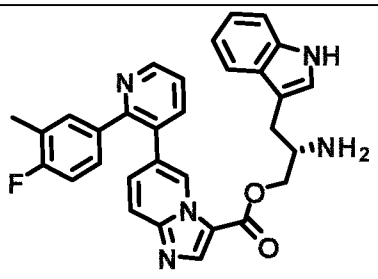
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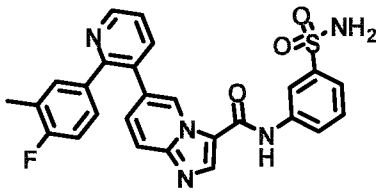
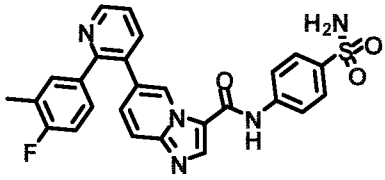
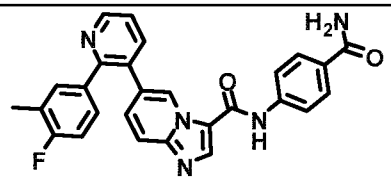
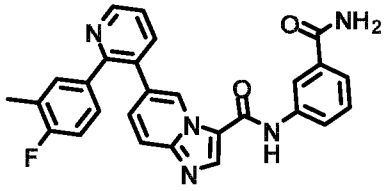
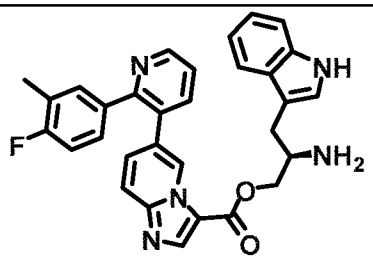
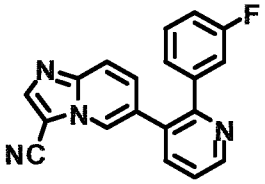
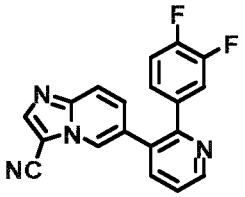
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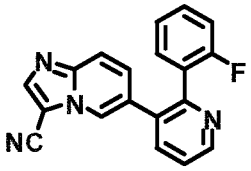
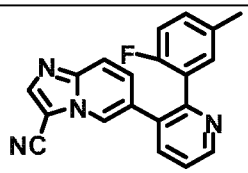
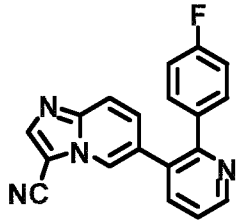
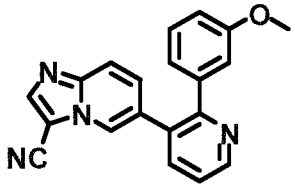
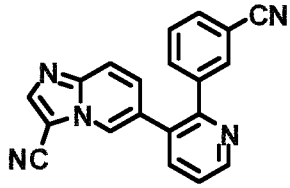
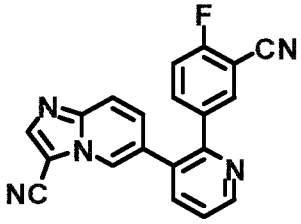
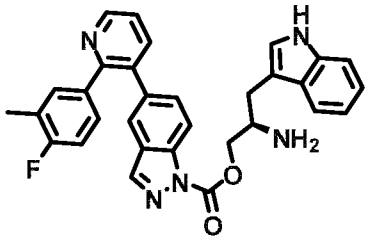
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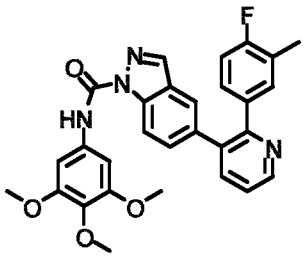
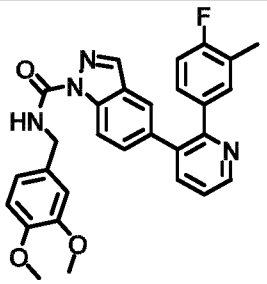
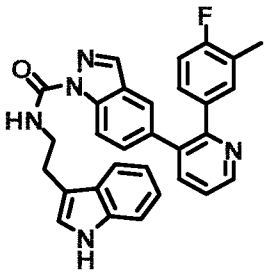
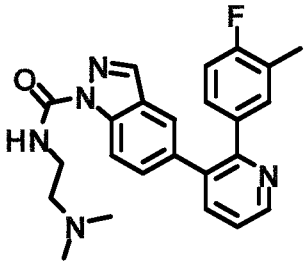
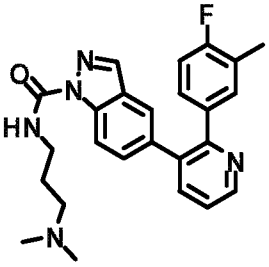
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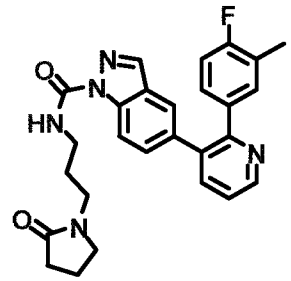
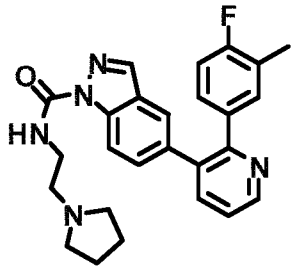
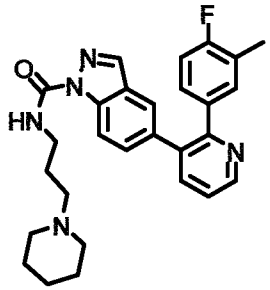
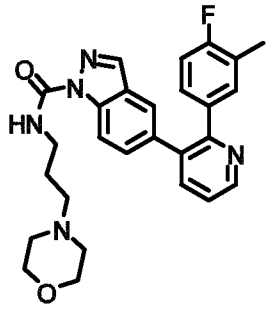
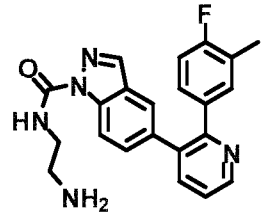
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619		Parent
620		Formic Acid(1)
621		Parent
622		Parent

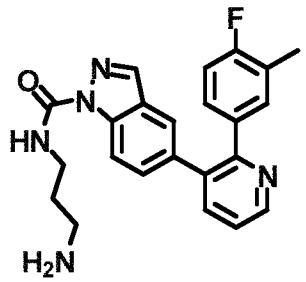
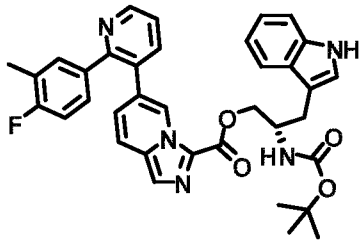
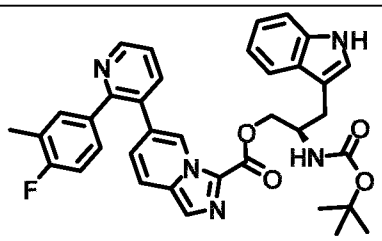
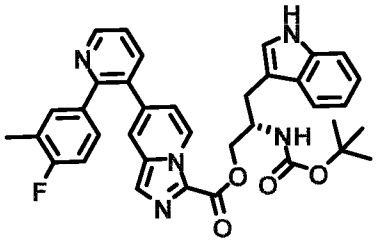
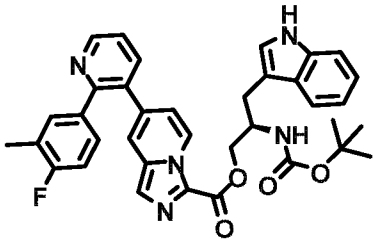
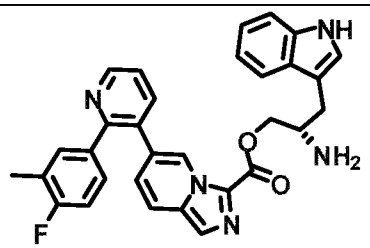
Cpd #	Structure	Salt
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624		Parent
625		Parent
626		Parent
627		Parent
628		Parent
629		TFA

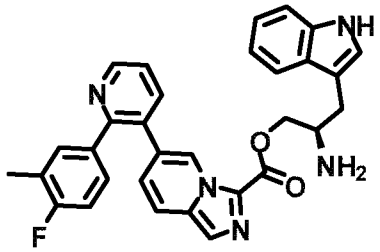
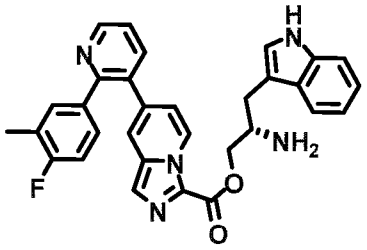
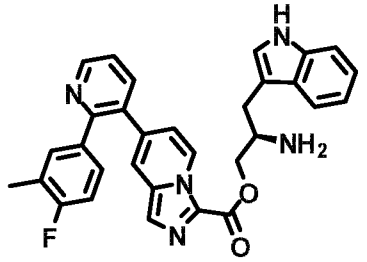
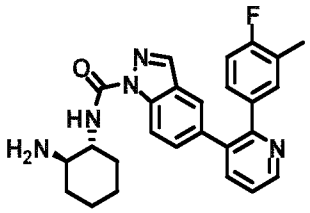
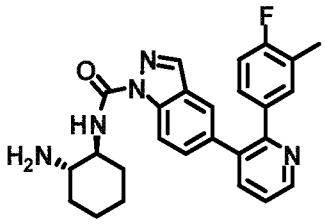
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Cpd #	Structure	Salt
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631		Parent
632		Parent
633		Parent
634		Parent

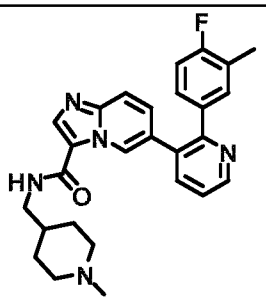
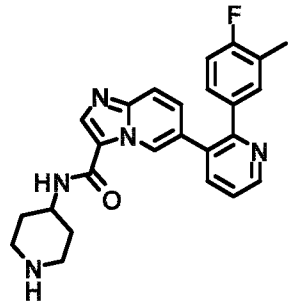
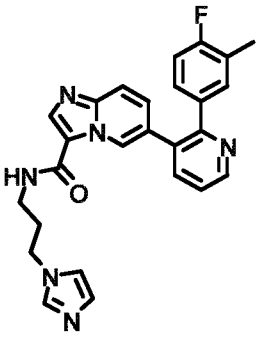
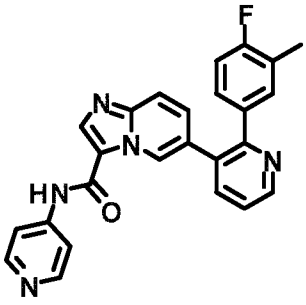
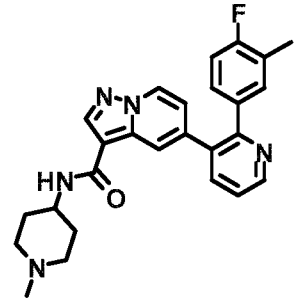
Cpd #	Structure	Salt
635		Parent
636		Parent
637		Parent
638		Parent
639		Parent

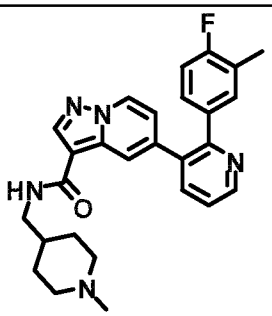
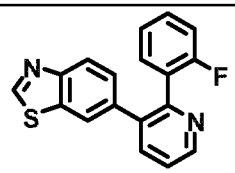
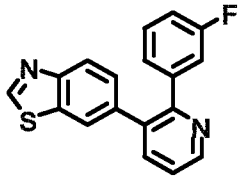
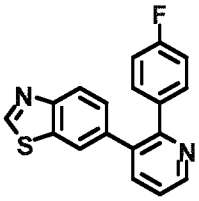
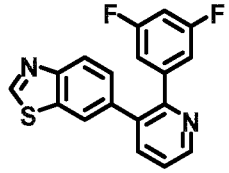
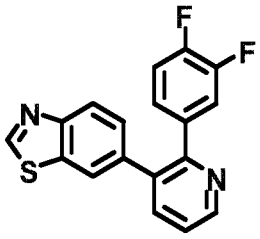
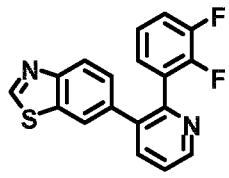
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Cpd #	Structure	Salt
640		Parent
641		Parent
642		Parent
643		Parent
644		Parent
645		Formic Acid(1)

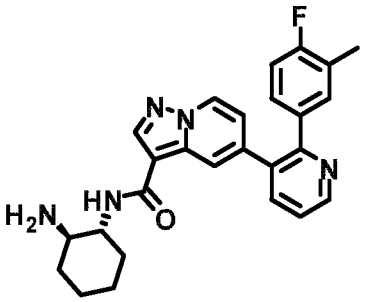
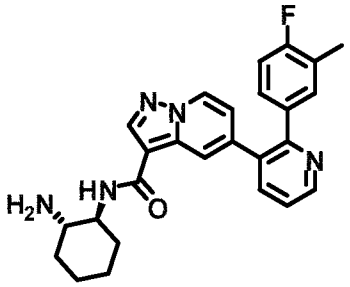
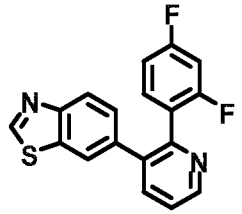
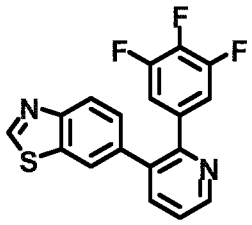
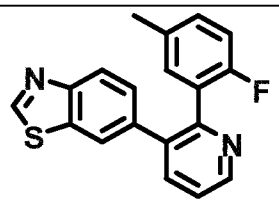
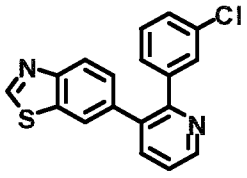
Cpd #	Structure	Salt
646		Formic Acid(1)
647		Formic Acid(1)
648		Parent
649		HCl
650		HCl

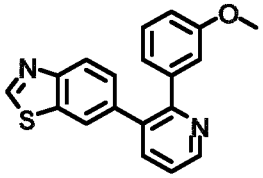
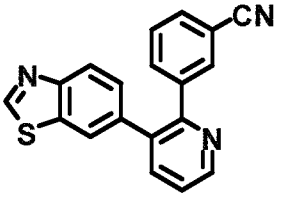
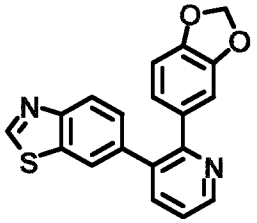
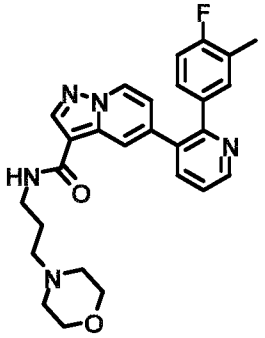
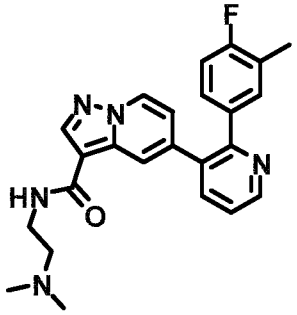
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Cpd #	Structure	Salt
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652		Parent
653		Parent
654		Parent
655		Parent

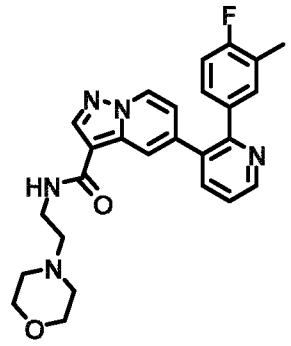
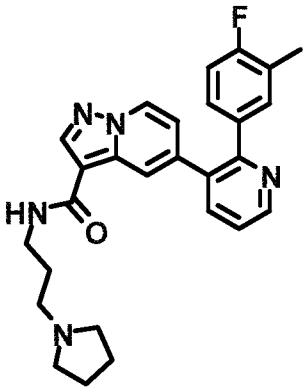
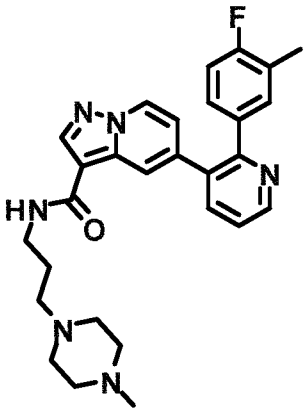
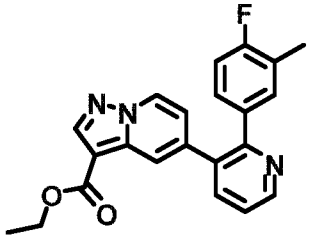
Cpd #	Structure	Salt
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658		Parent
659		Parent
660		Parent
661		Parent
662		Parent

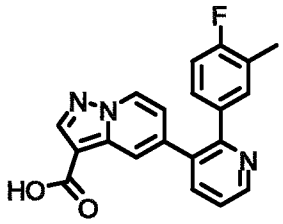
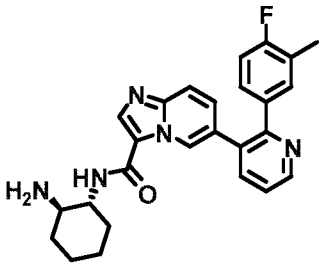
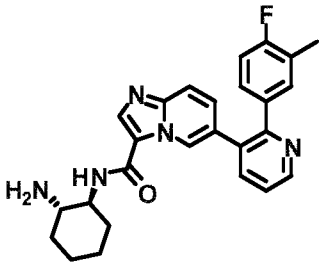
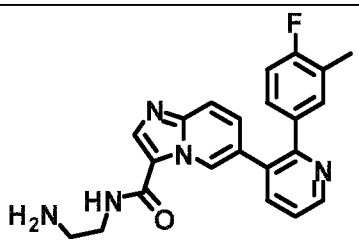
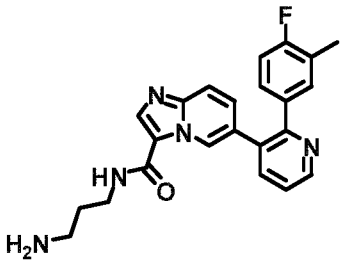
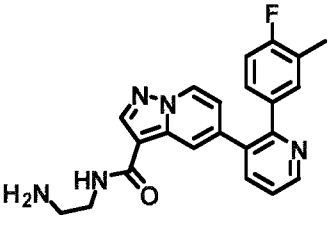
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Cpd #	Structure	Salt
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665		Parent
666		Parent
667		Parent
668		Parent

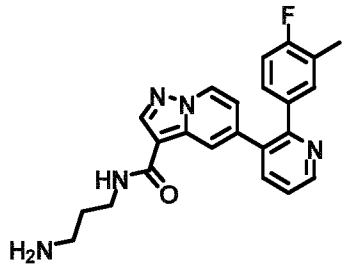
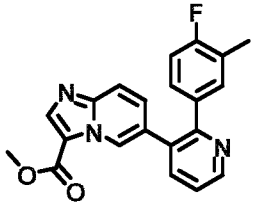
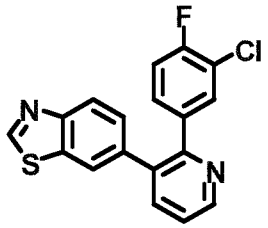
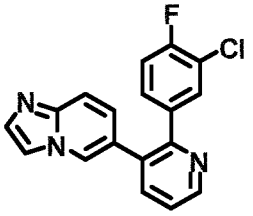
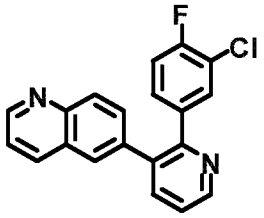
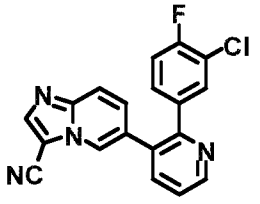
Cpd #	Structure	Salt
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670		Parent
671		Parent
672		Parent
673		Parent

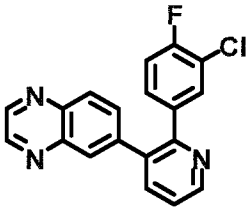
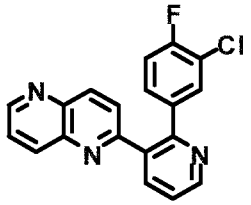
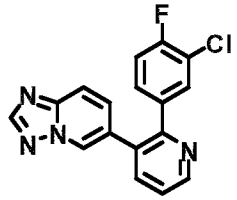
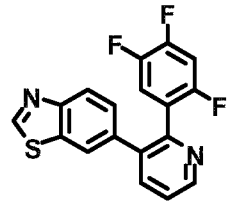
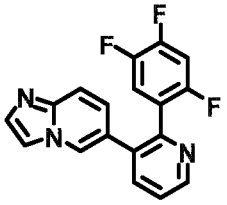
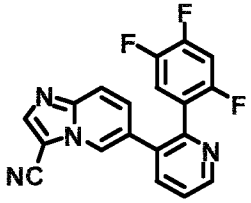
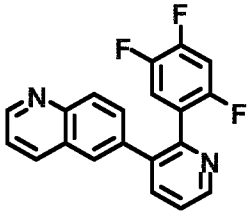
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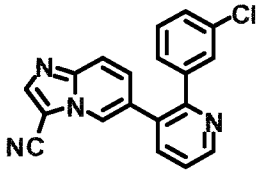
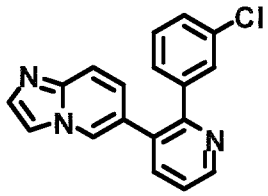
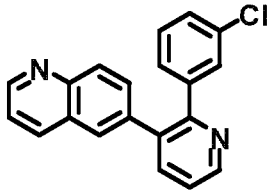
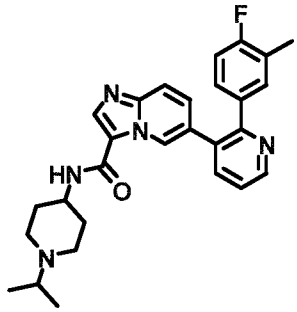
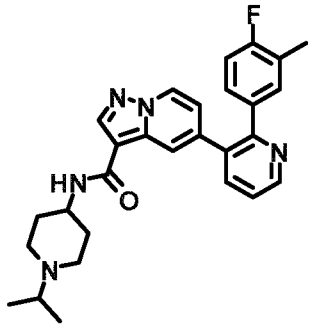
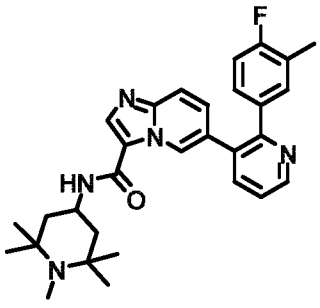
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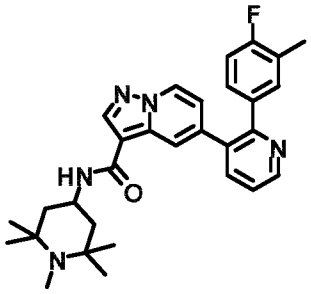
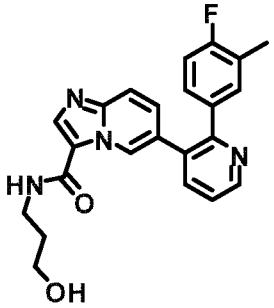
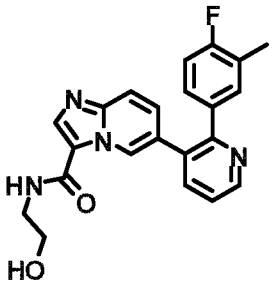
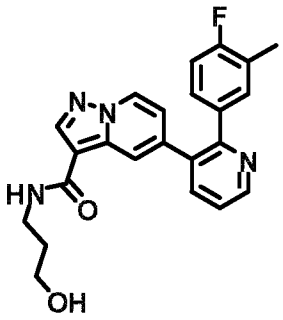
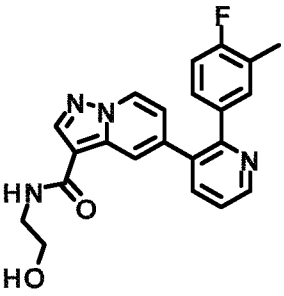
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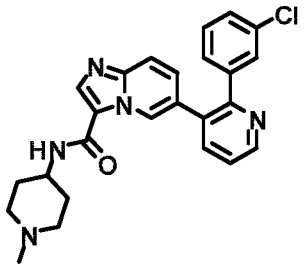
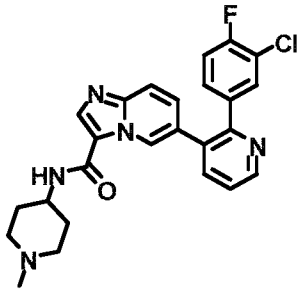
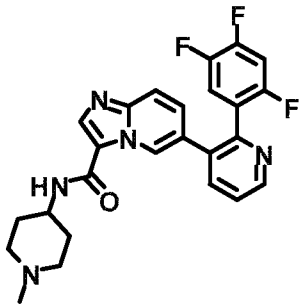
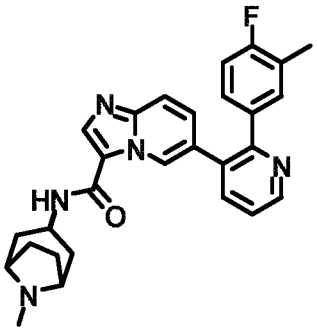
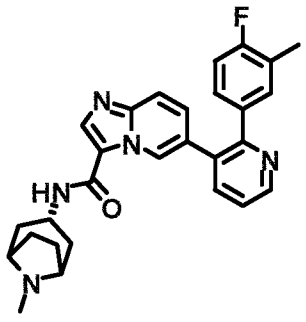
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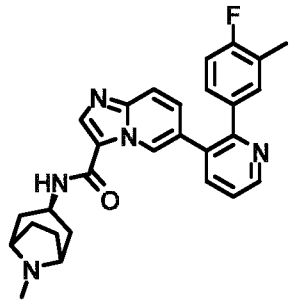
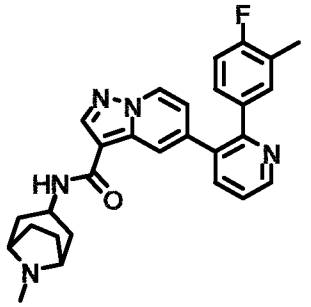
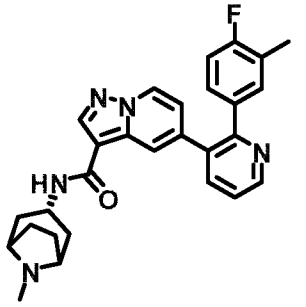
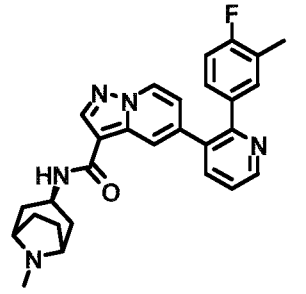
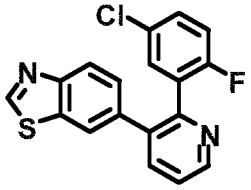
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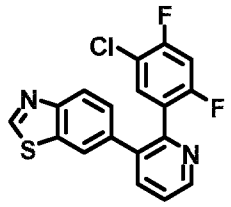
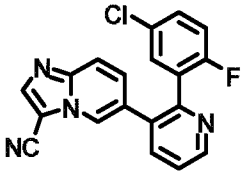
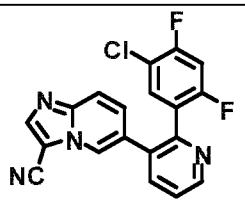
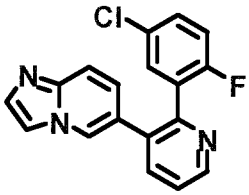
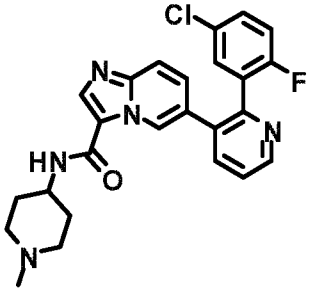
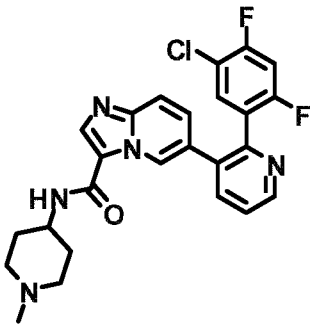
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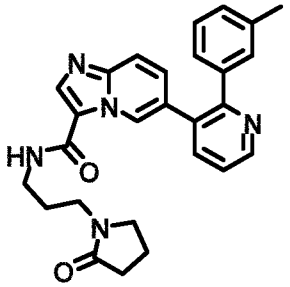
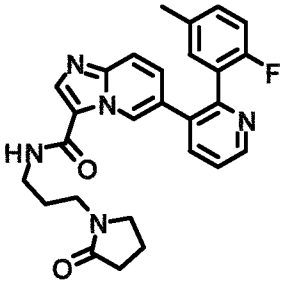
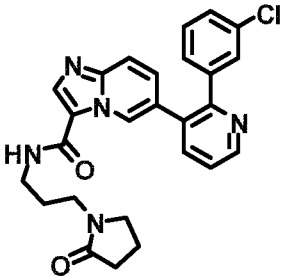
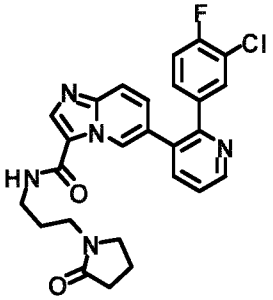
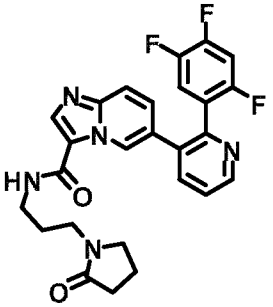
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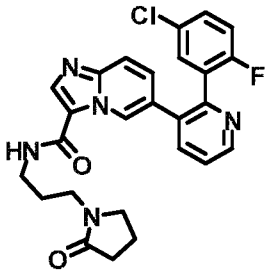
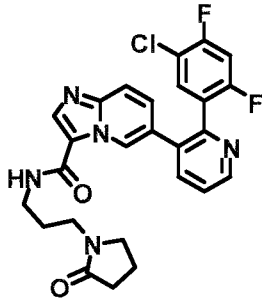
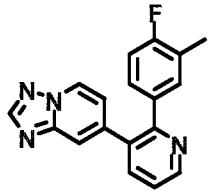
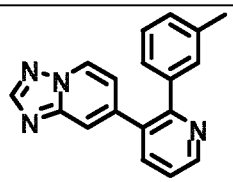
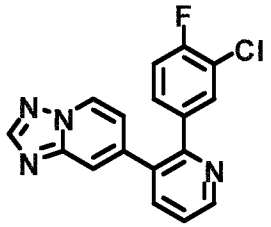
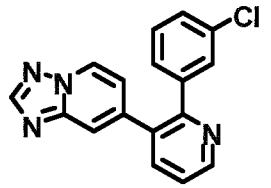
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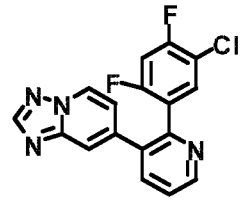
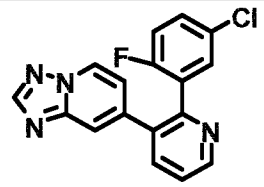
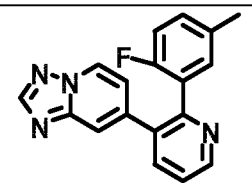
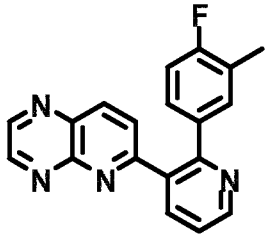
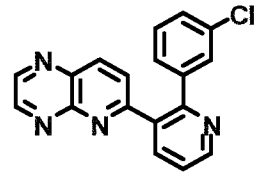
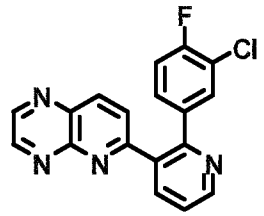
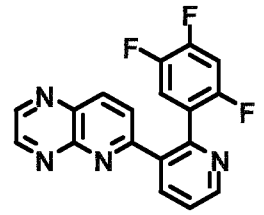
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Cpd #	Structure	Salt
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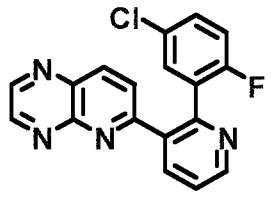
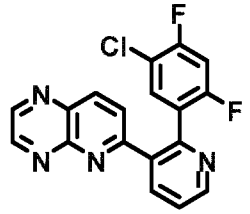
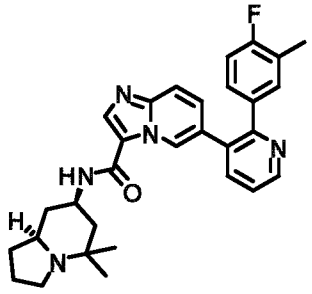
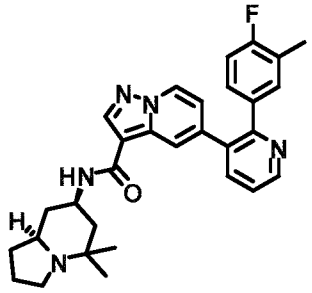
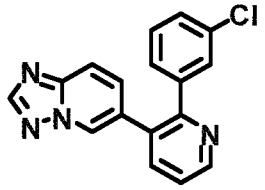
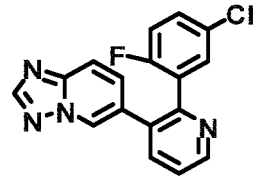
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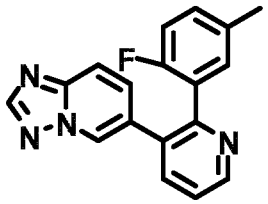
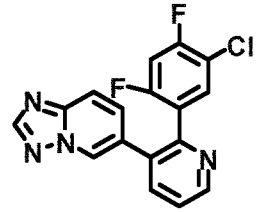
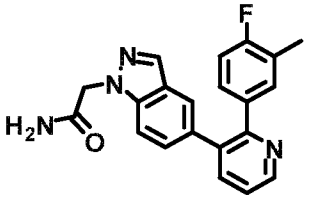
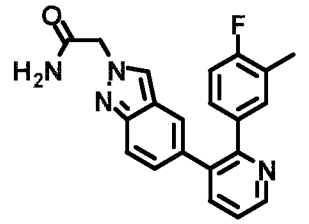
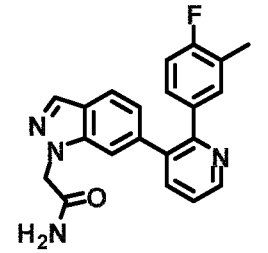
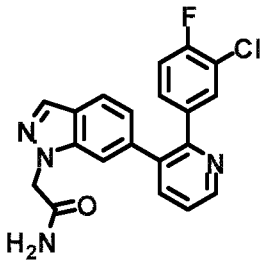
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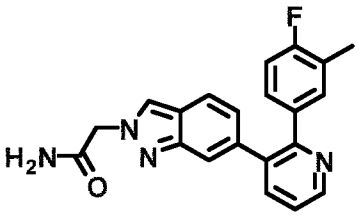
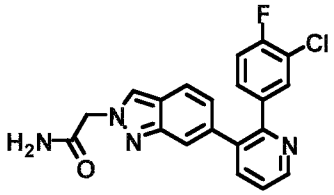
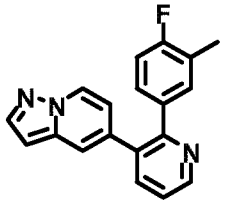
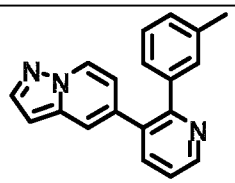
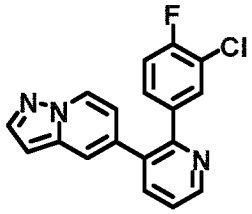
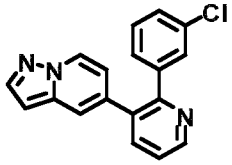
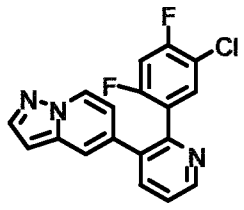
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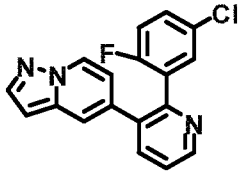
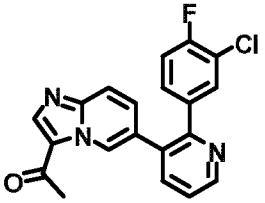
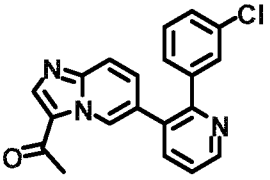
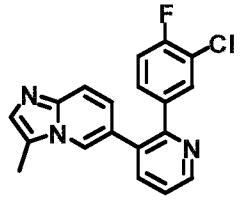
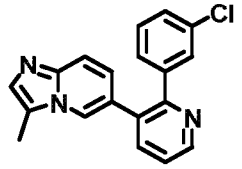
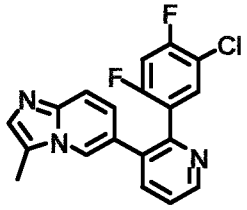
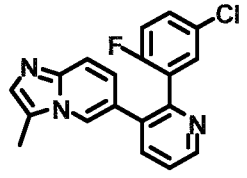
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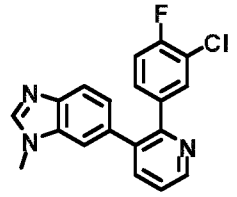
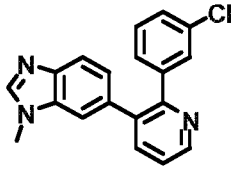
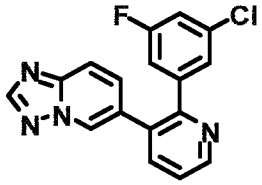
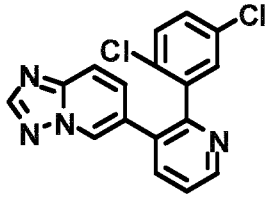
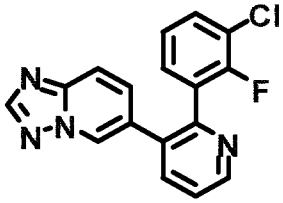
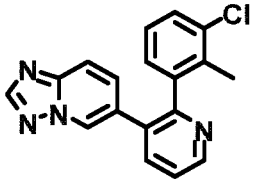
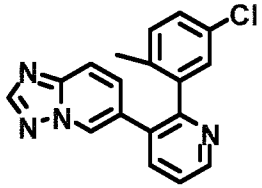
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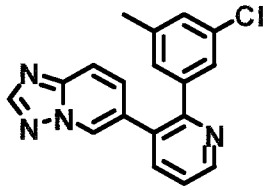
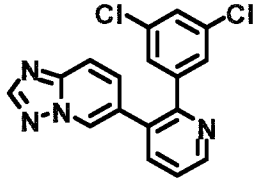
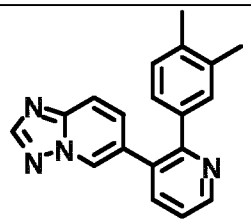
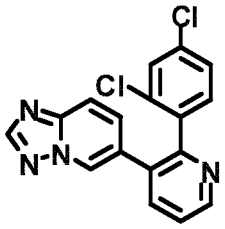
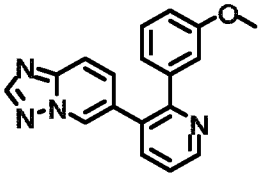
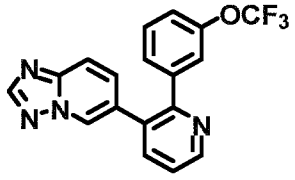
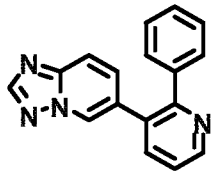
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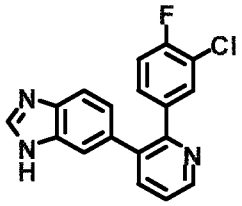
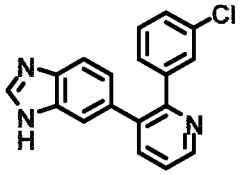
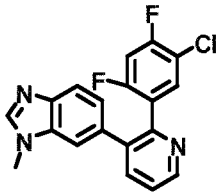
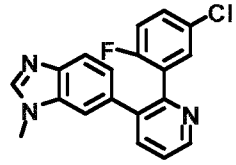
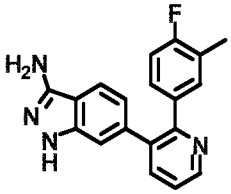
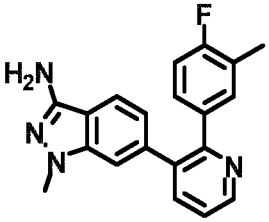
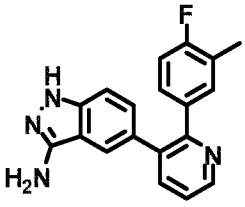
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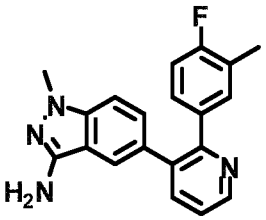
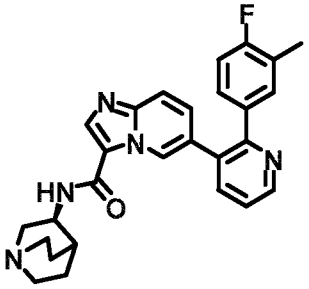
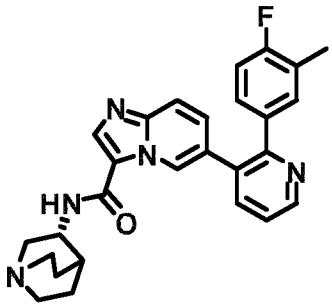
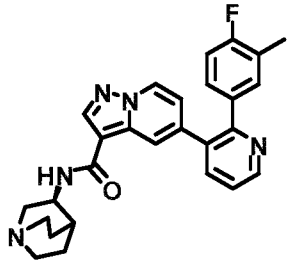
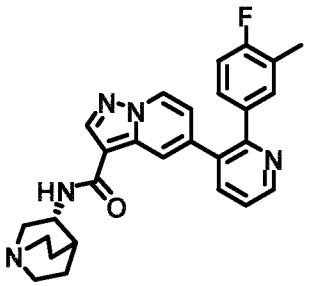
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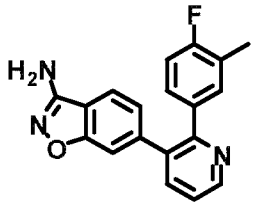
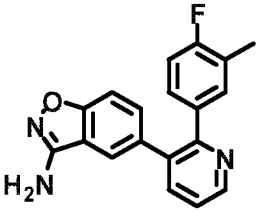
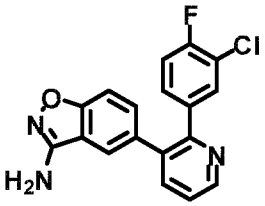
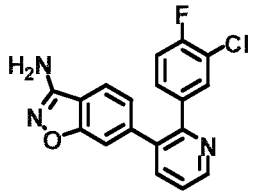
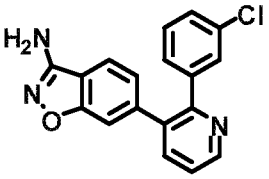
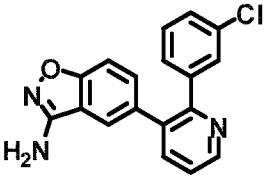
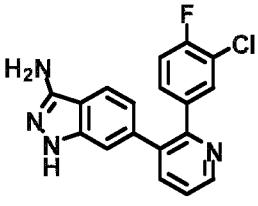
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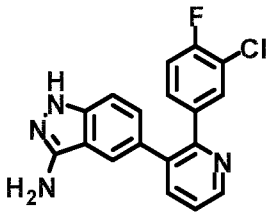
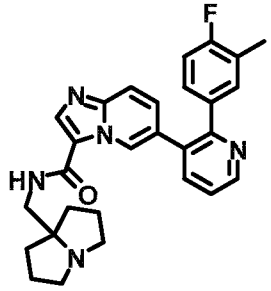
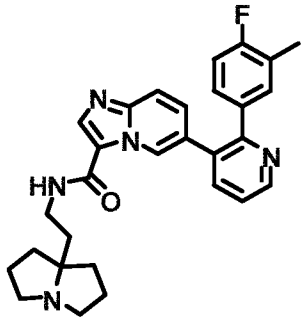
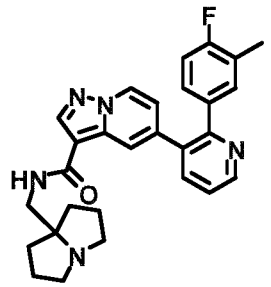
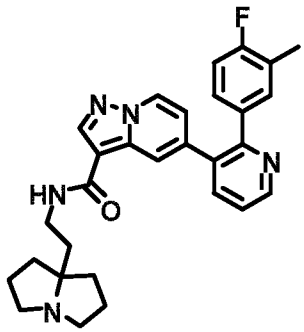
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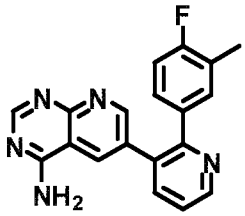
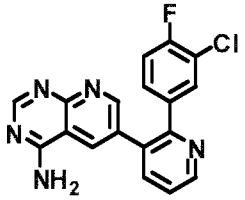
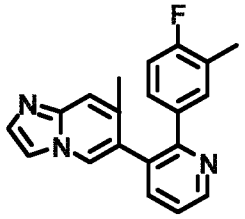
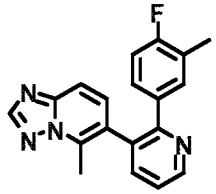
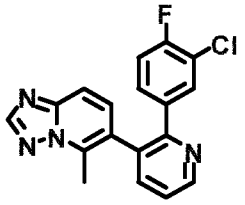
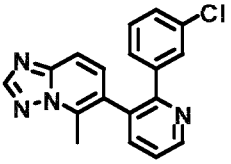
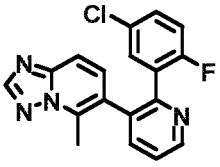
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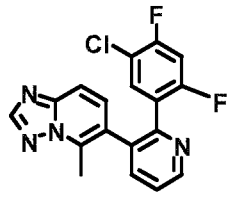
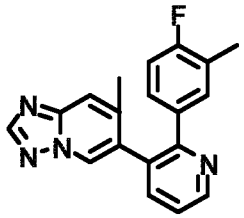
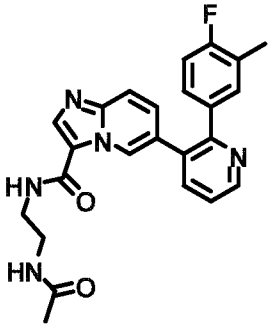
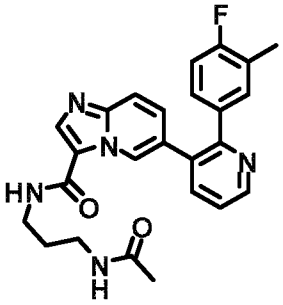
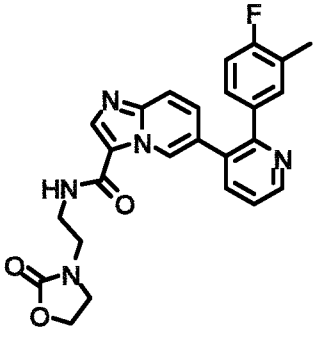
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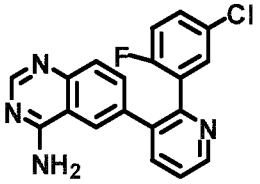
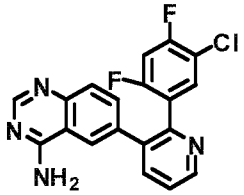
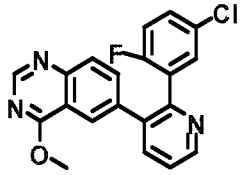
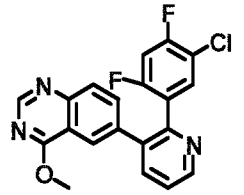
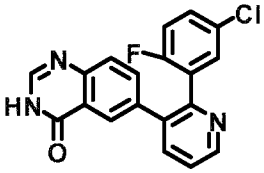
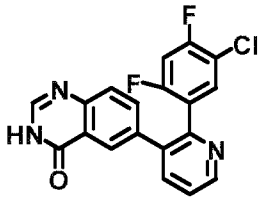
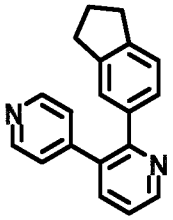
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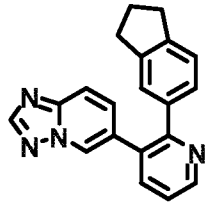
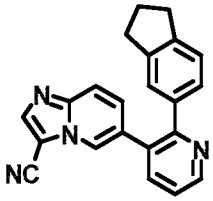
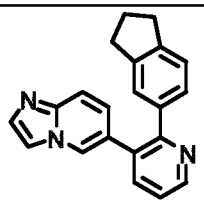
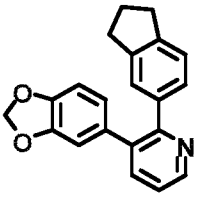
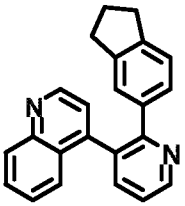
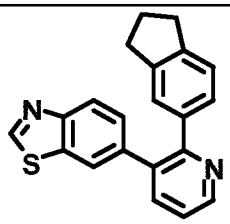
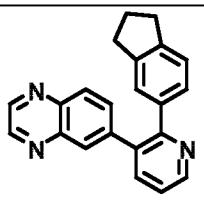
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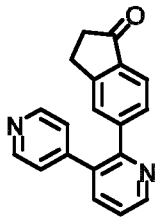
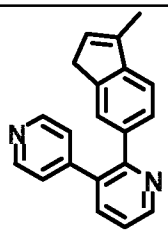
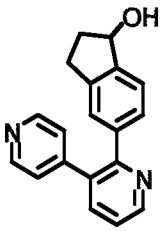
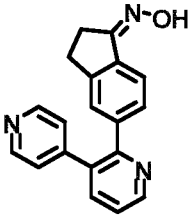
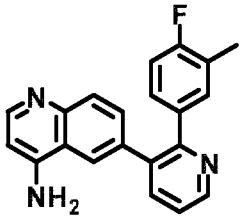
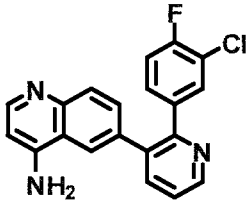
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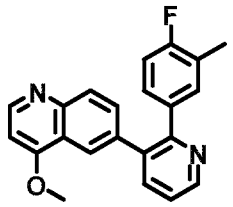
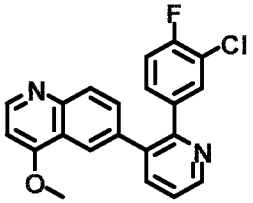
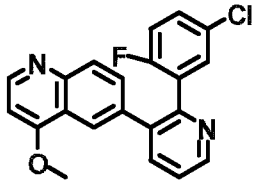
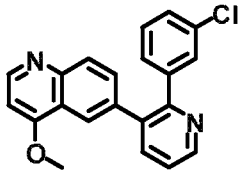
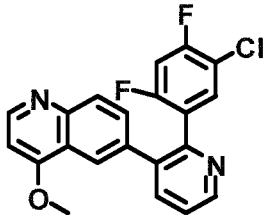
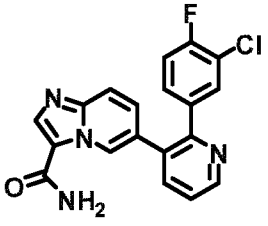
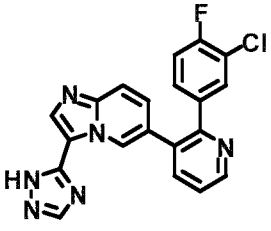
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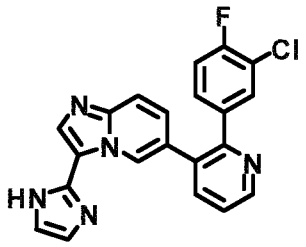
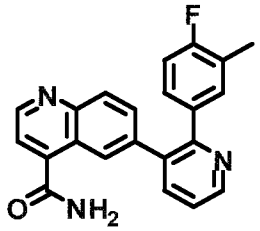
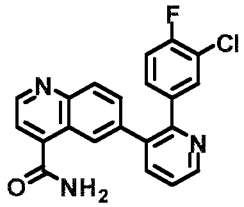
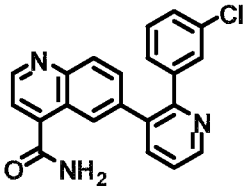
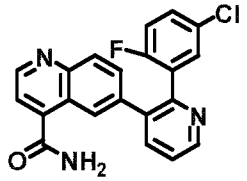
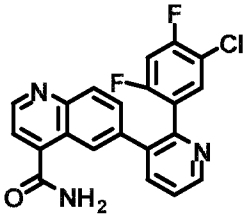
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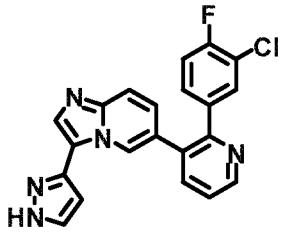
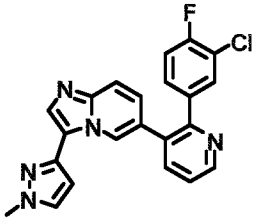
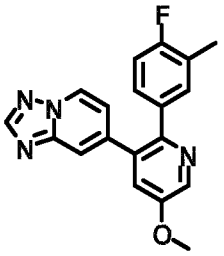
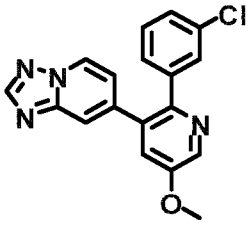
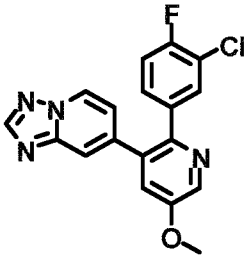
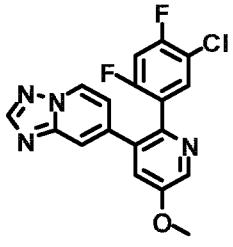
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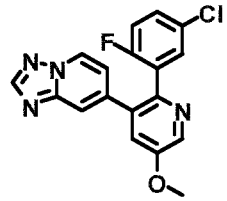
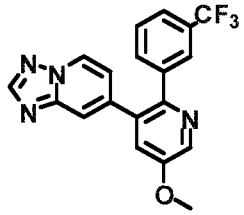
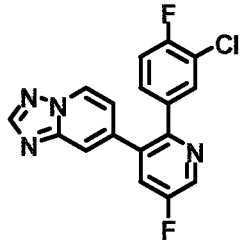
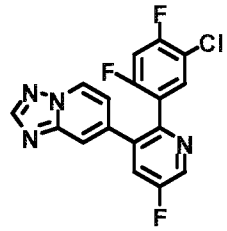
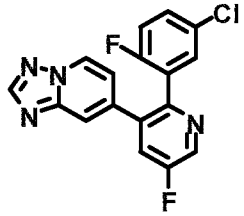
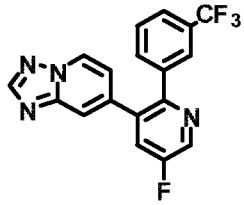
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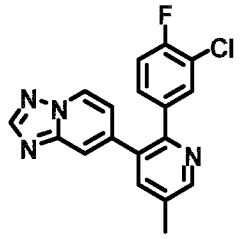
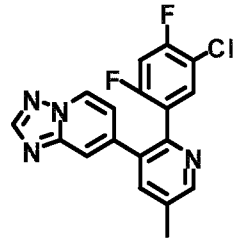
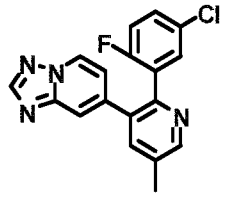
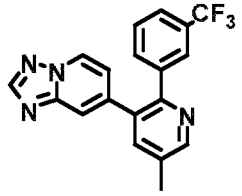
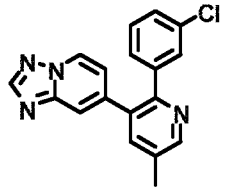
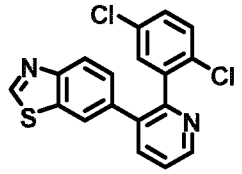
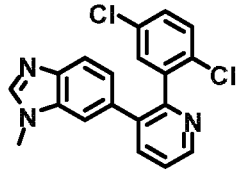
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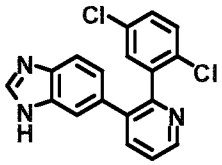
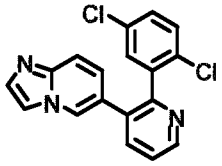
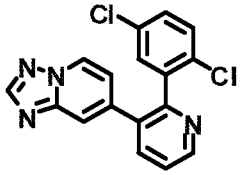
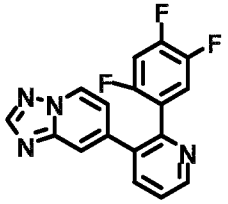
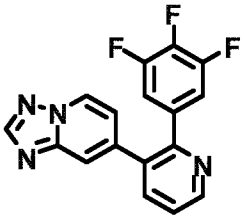
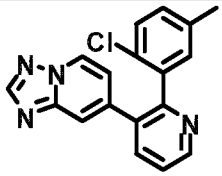
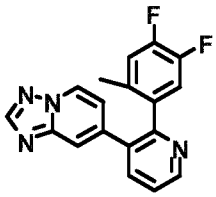
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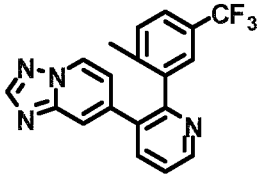
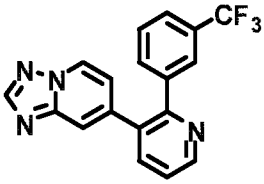
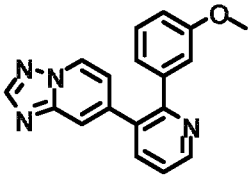
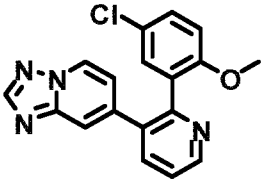
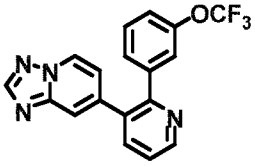
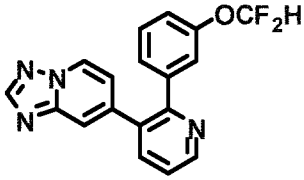
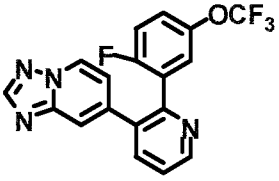
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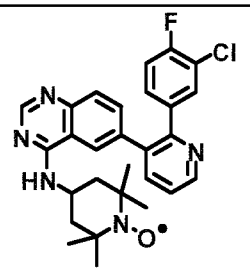
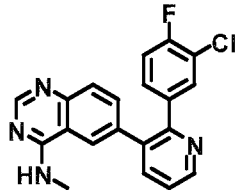
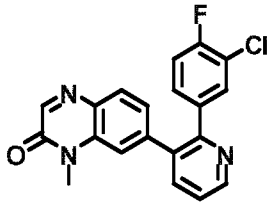
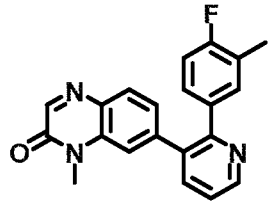
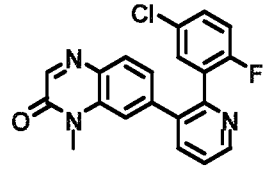
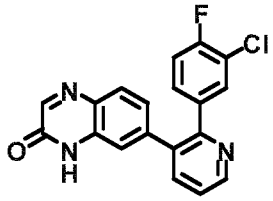
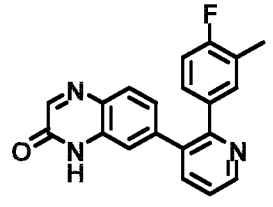
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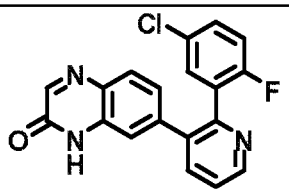
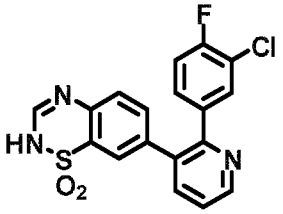
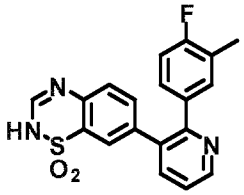
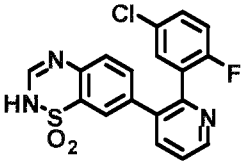
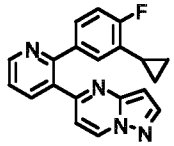
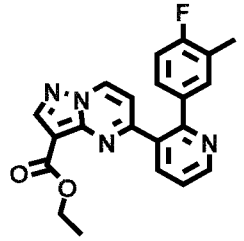
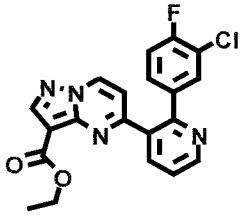
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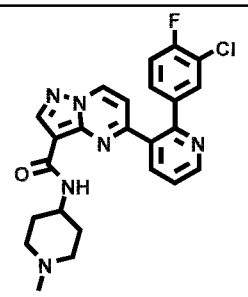
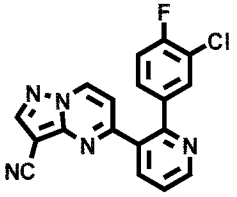
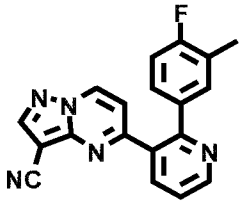
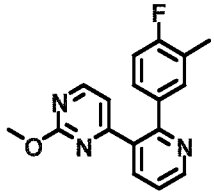
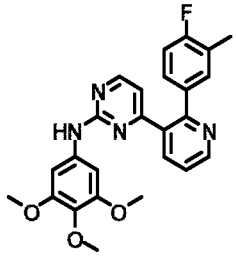
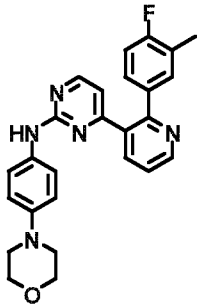
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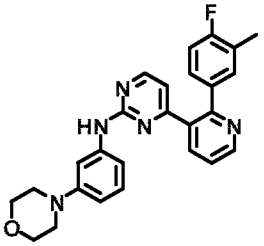
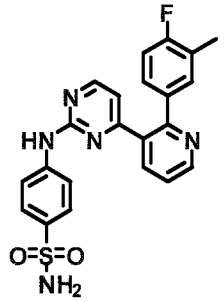
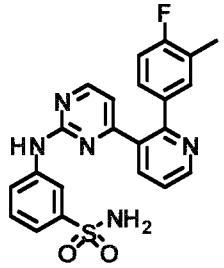
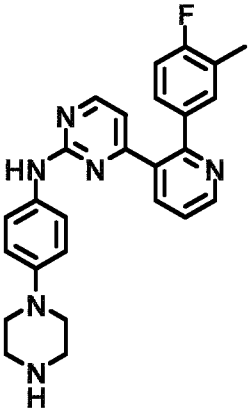
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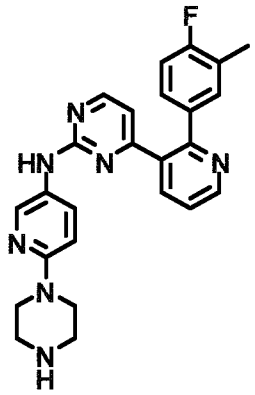
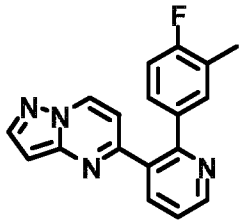
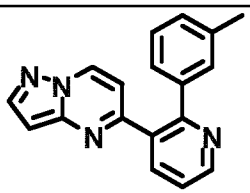
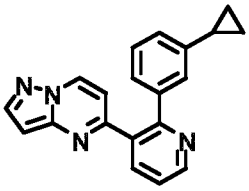
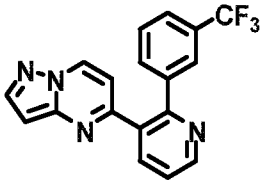
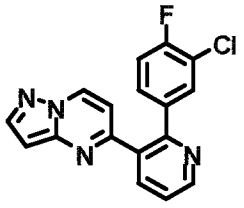
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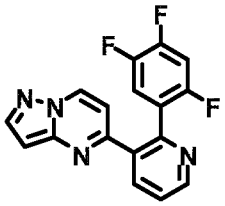
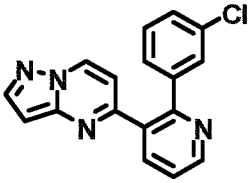
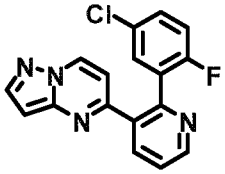
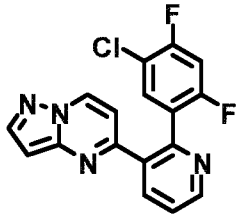
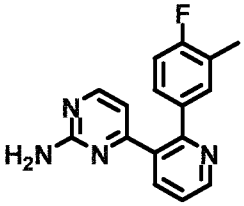
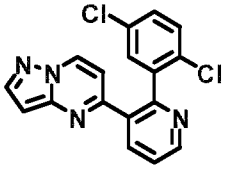
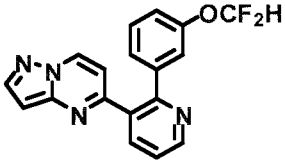
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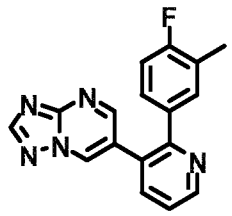
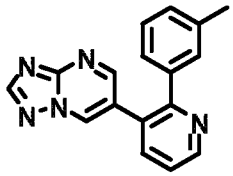
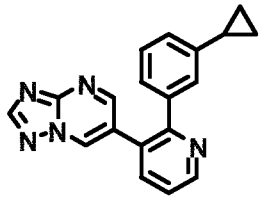
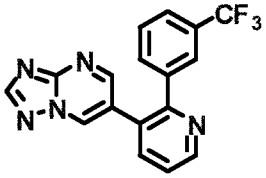
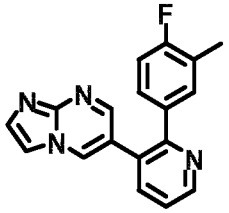
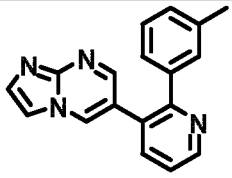
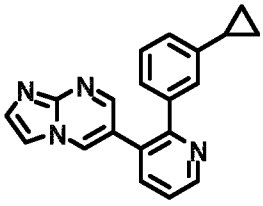
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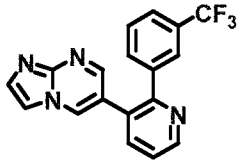
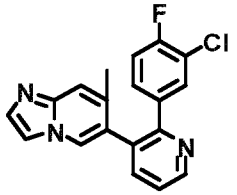
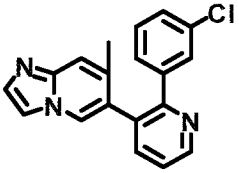
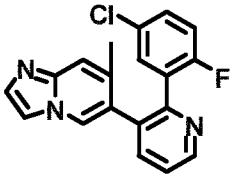
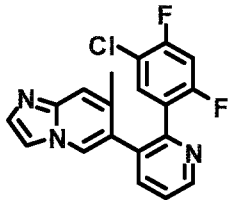
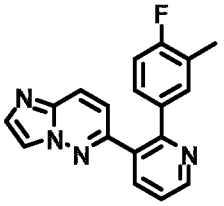
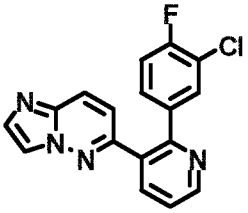
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Cpd #	Structure	Salt
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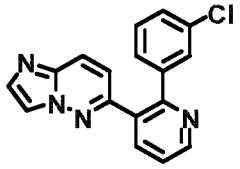
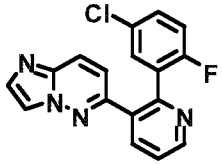
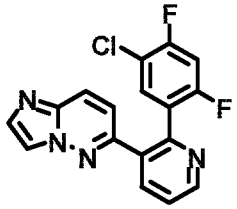
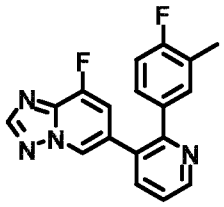
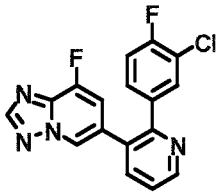
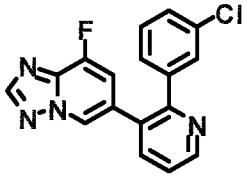
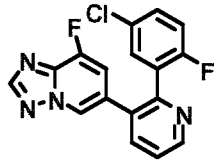
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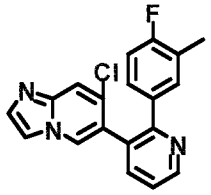
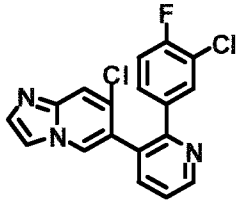
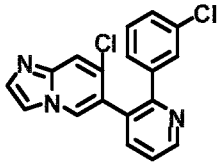
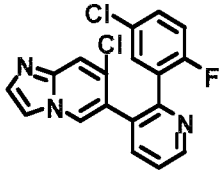
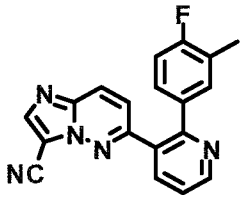
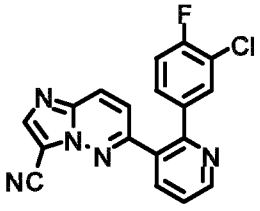
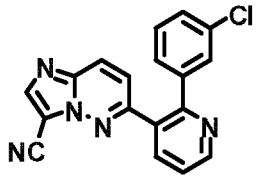
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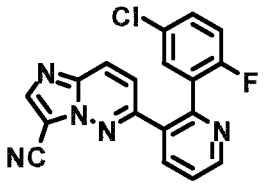
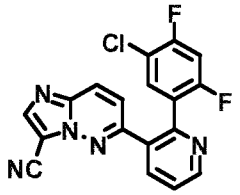
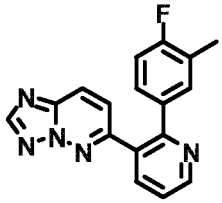
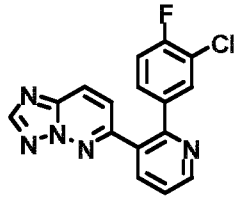
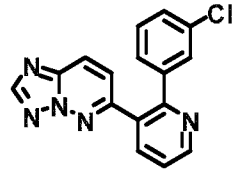
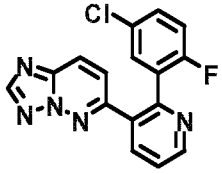
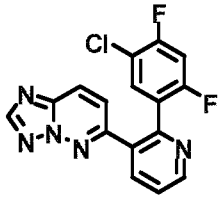
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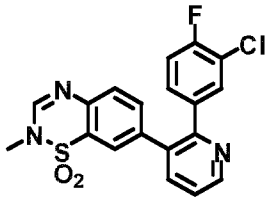
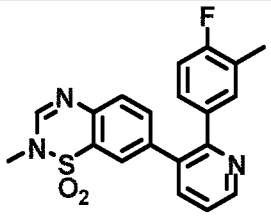
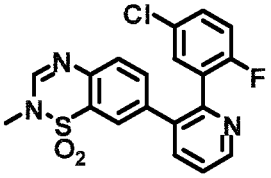
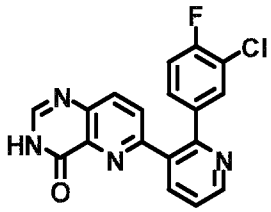
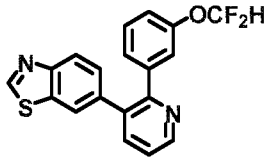
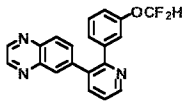
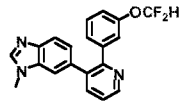
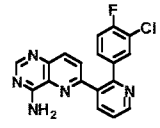
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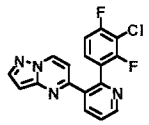
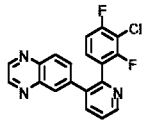
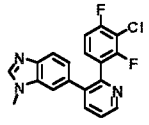
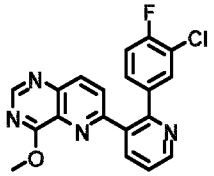
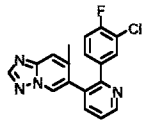
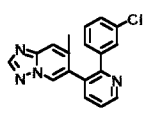
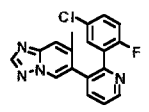
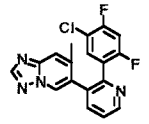
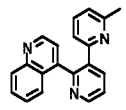
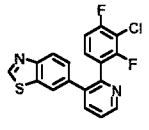
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944		Parent
945		Parent
946		Parent
947		Parent
948		Parent
949		Parent

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Cpd #	Structure	Salt
950		Parent
951		Parent
952		Parent
953		Parent
954		Parent
955		Parent
956		Parent

Cpd #	Structure	Salt
957		Parent
958		Parent
959		Parent
960		Parent
961		Parent
962		Parent
963		Parent
964		Parent

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Cpd #	Structure	Salt
965		Parent
966		Parent
967		Parent
968		Parent
969		Parent
970		Parent
971		Parent
972		Parent
973		Parent
974		Parent

Cpd #	Structure	Salt
TFA = trifluoroacetate salt		
(2) = bis(acid) salt		
(3) = tris(acid) salt		
Parent = free base compound		

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General Synthetic Methodologies

[0060] Many general references providing commonly known chemical synthetic schemes and conditions useful for synthesizing the disclosed compounds are available (see, e.g., Smith and March, March's Advanced Organic Chemistry: Reactions, Mechanisms, and Structure, Fifth Edition, Wiley-Interscience, 2001; or Vogel, A Textbook of Practical Organic Chemistry, Including Qualitative Organic Analysis, Fourth Edition, New York: Longman, 1978).

[0061] Compounds as described herein can be purified by any of the means known in the art, including chromatographic means, such as HPLC, preparative thin layer chromatography, flash column chromatography and ion exchange chromatography. Any suitable stationary phase can be used, including normal and reversed phases as well as ionic resins. Most typically the disclosed compounds are purified via silica gel and/or alumina chromatography. See, e.g., Introduction to Modern Liquid Chromatography, 2nd Edition, ed. L. R. Snyder and J. J. Kirkland, John Wiley and Sons, 1979; and Thin Layer Chromatography, ed E. Stahl, Springer-Verlag, New York, 1969.

[0062] During any of the processes for preparation of the subject compounds, it may be necessary and/or desirable to protect sensitive or reactive groups on any of the molecules concerned. This may be achieved by means of conventional protecting groups as described in standard works, such as J. F. W. McOmie, "Protective Groups in Organic Chemistry", Plenum Press, London and New York 1973, in T. W. Greene and P. G. M. Wuts, "Protective Groups in Organic Synthesis", Third edition, Wiley, New York 1999, in "The Peptides"; Volume 3 (editors: E. Gross and J. Meienhofer), Academic Press, London and New York 1981, in "Methoden der organischen Chemie", Houben-Weyl, 4.sup.th edition, Vol. 15/l, Georg Thieme Verlag, Stuttgart 1974, in H.-D. Jakubke and H. Jescheit, "Aminosauren, Peptide, Proteine", Verlag Chemie, Weinheim, Deerfield Beach, and Basel 1982, and/or in Jochen Lehmann, "Chemie der Kohlenhydrate: Monosaccharide and Derivate", Georg Thieme Verlag, Stuttgart 1974. The protecting groups may be removed at a convenient subsequent stage using methods known from the art.

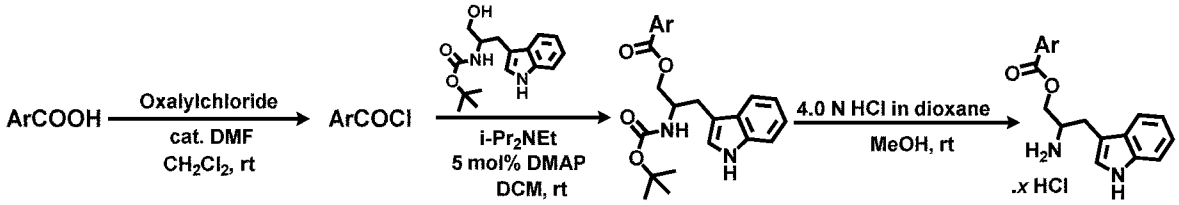
[0063] The compounds disclosed herein can be made using procedures familiar to the person of ordinary skill in the art and as described herein. For example, compounds of structural formula (I) can be prepared according to Schemes 1-49, or analogous synthetic schemes.

[0064] As is known to those of skill in the art of organic synthesis, esters and amides can be formed from the corresponding acids, alcohols and amines by conventional techniques. By way of example, organic acids can be converted to the corresponding acid chloride by

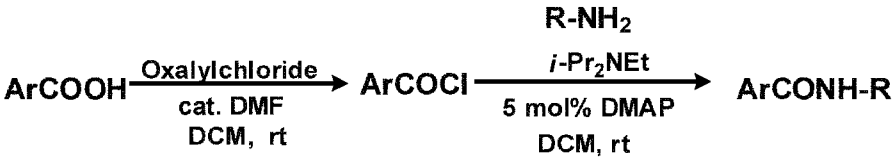
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reaction with oxalyl chloride. Acid chlorides can then be reacted with alcohols or amines to form the desired ester or amide, as shown in Schemes 1-4. Alternatively, activating reagents like HATU, TBTU or HBTU can be used in to condense an amine can be condensed with an organic acid to form the corresponding amide, as shown in Scheme 5.

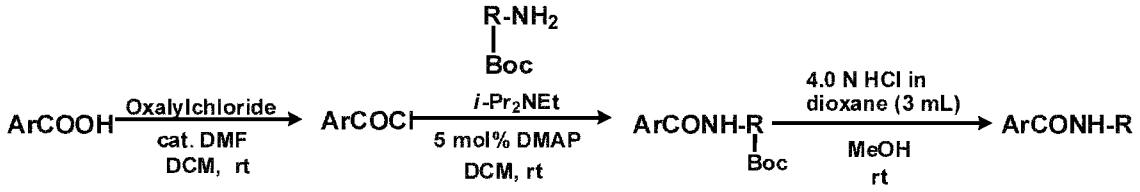
Scheme 1

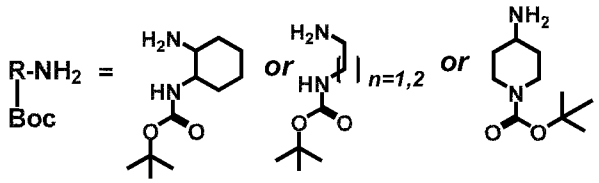


Scheme 2



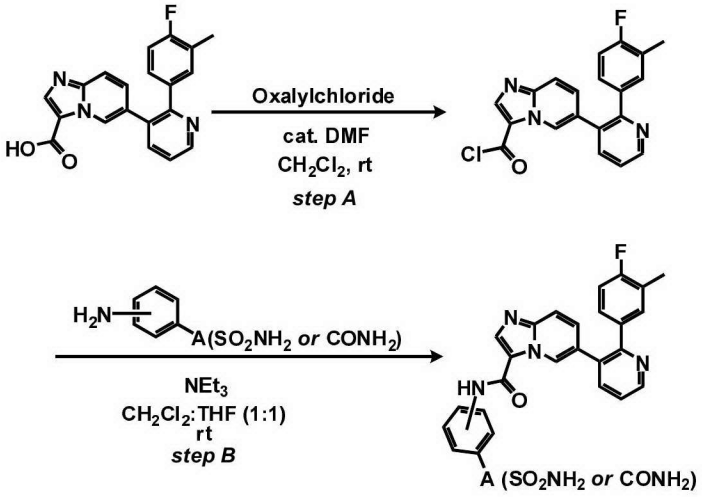
Scheme 3



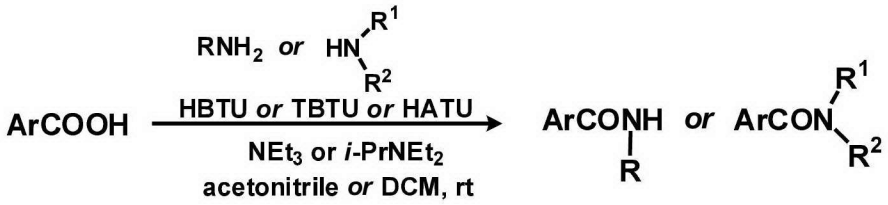


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Scheme 4

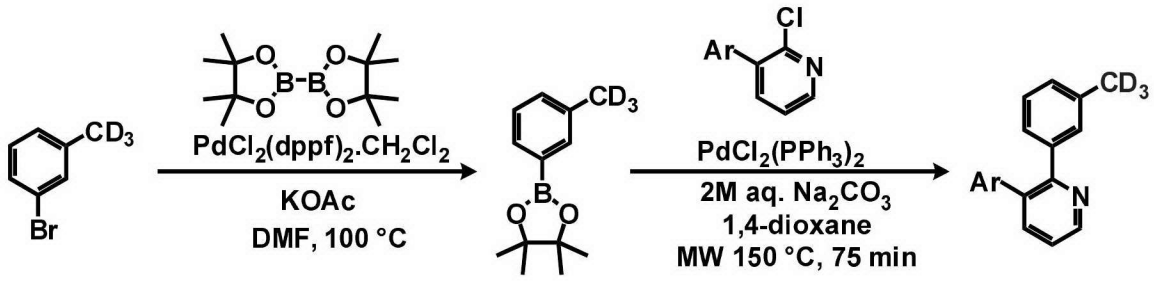


Scheme 5



[0065] Boronate coupling reactions can be used to make certain compounds described herein, e.g., in the formation of (hetero)aryl-(hetero)aryl bonds. For example, compounds such as compounds 601-606 can be prepared as shown in Scheme 6.

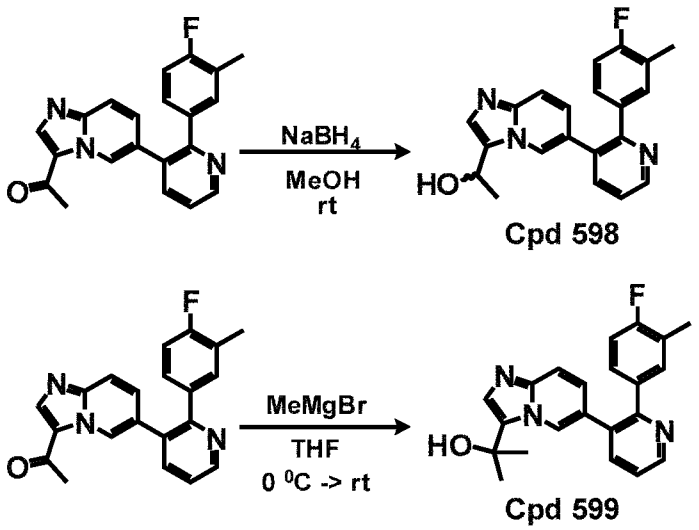
Scheme 6



[0066] Compounds such as compounds 598 and 599 can be made using reduction and Grignard addition, respectively, as shown in Scheme 7.

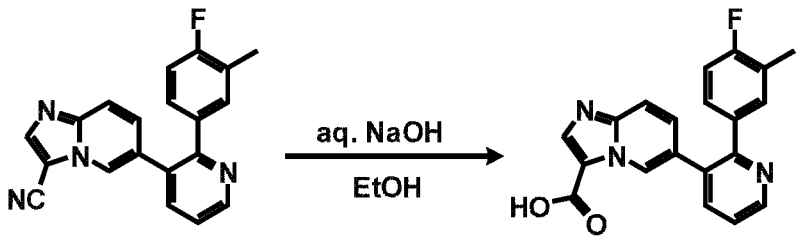
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Scheme 7



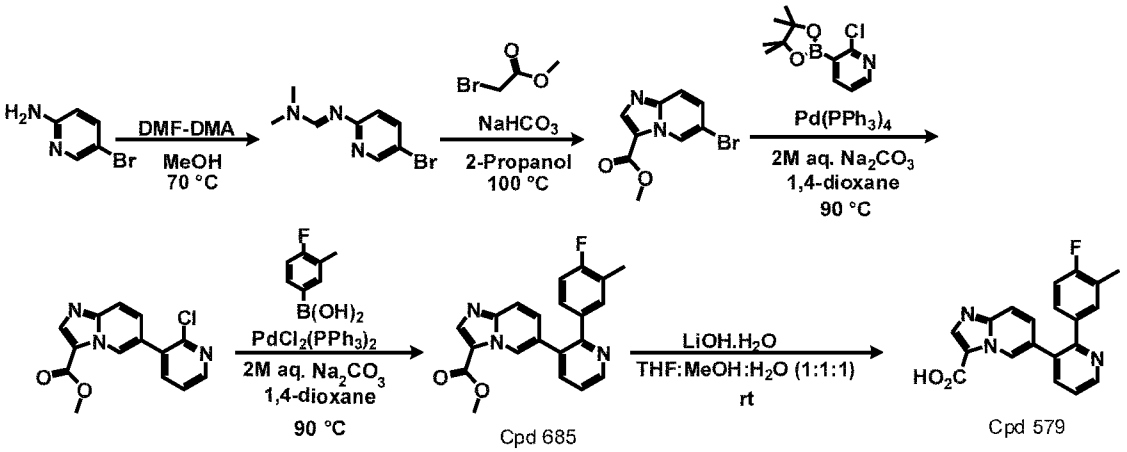
[0067] Carboxylic acids can be formed by hydrolysis of the corresponding nitrile, as shown for Compound 579 in Scheme 8. Carboxylic esters (e.g., compounds 677 and 685) can be prepared and hydrolyzed to the corresponding acid (e.g., compound 579) as shown in Schemes 9 and 10. The person of ordinary skill in the art will appreciate that a wide variety of other compounds described herein can be made using the general synthetic paths of Schemes 9 and 10, suitably adapted to provide the desired functional groups in the final molecule.

Scheme 8

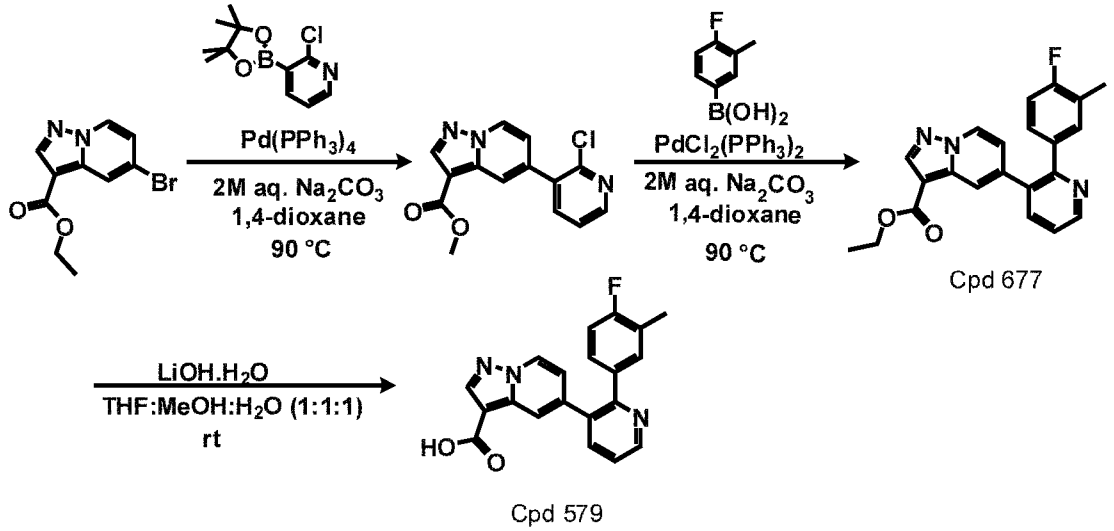


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Scheme 9

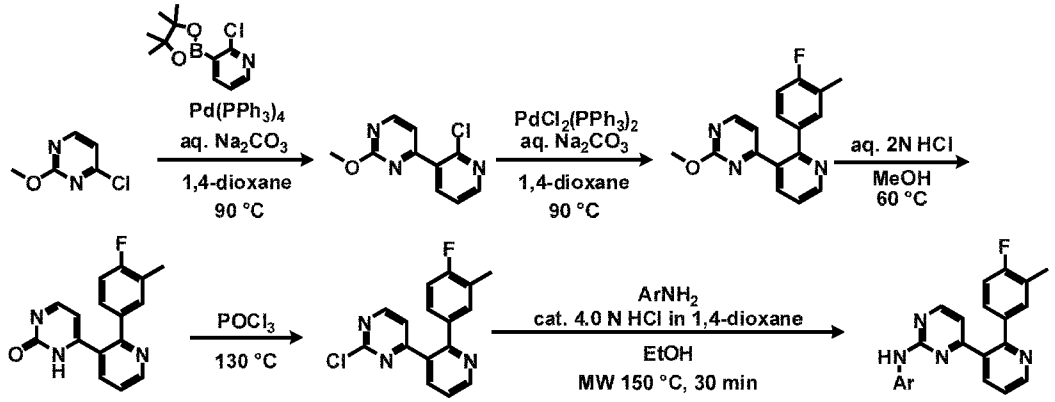


Scheme 10



[0068] Pyrimidinyl compounds can be made via the reaction sequence shown in Scheme 11.

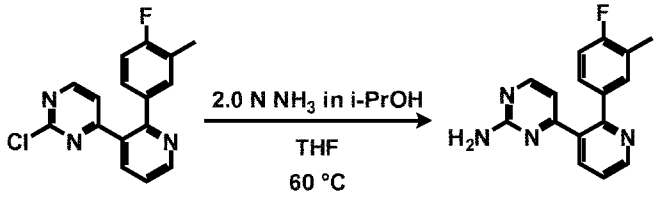
Scheme 11



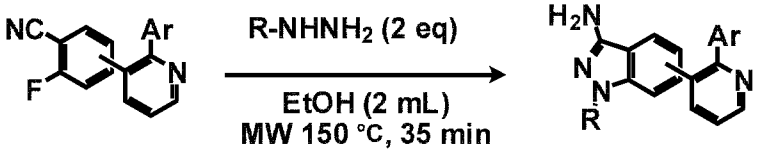
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[0069] Various additional compounds of the disclosure can be made using the reactions shown in Schemes 12-27.

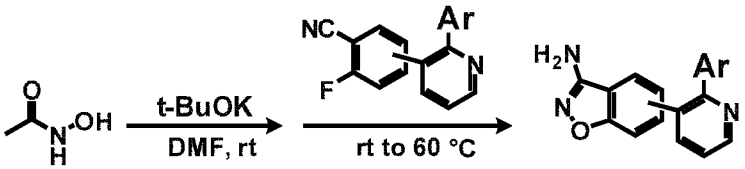
Scheme 12



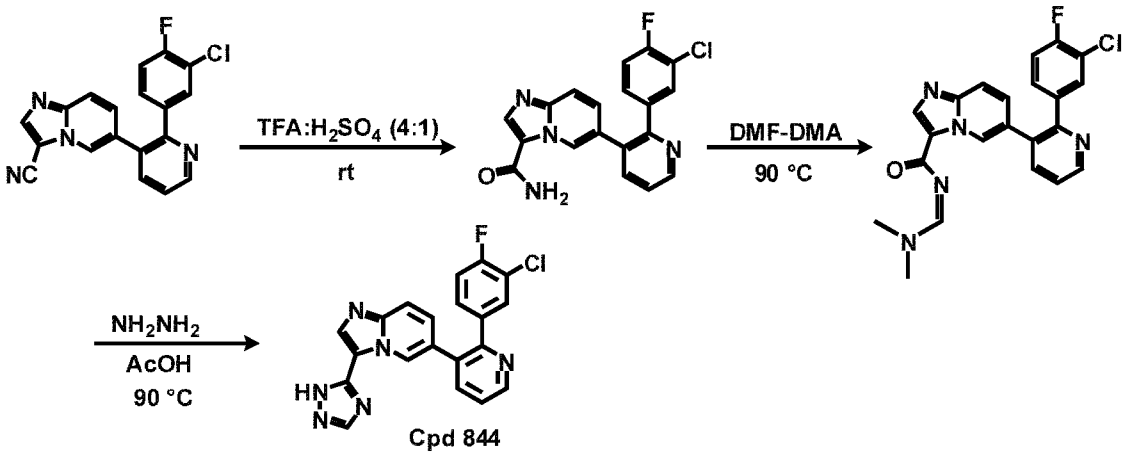
Scheme 13



Scheme 14

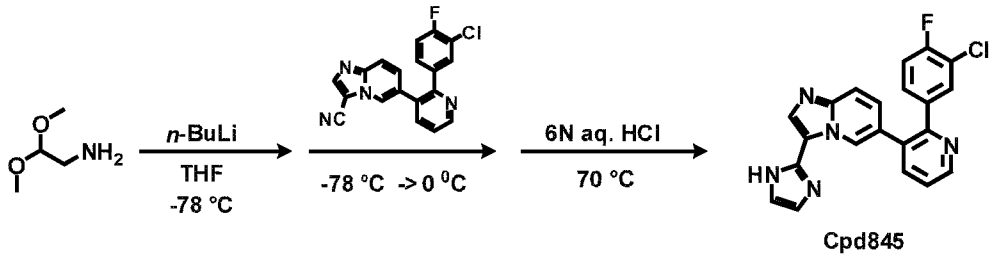


Scheme 15

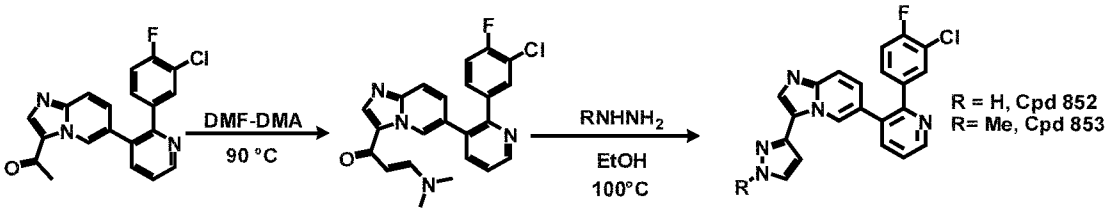


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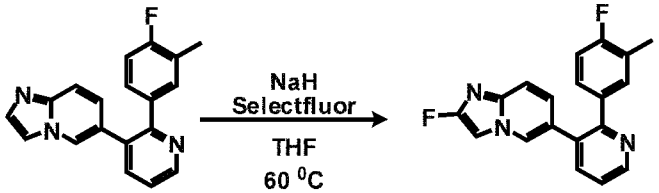
Scheme 16



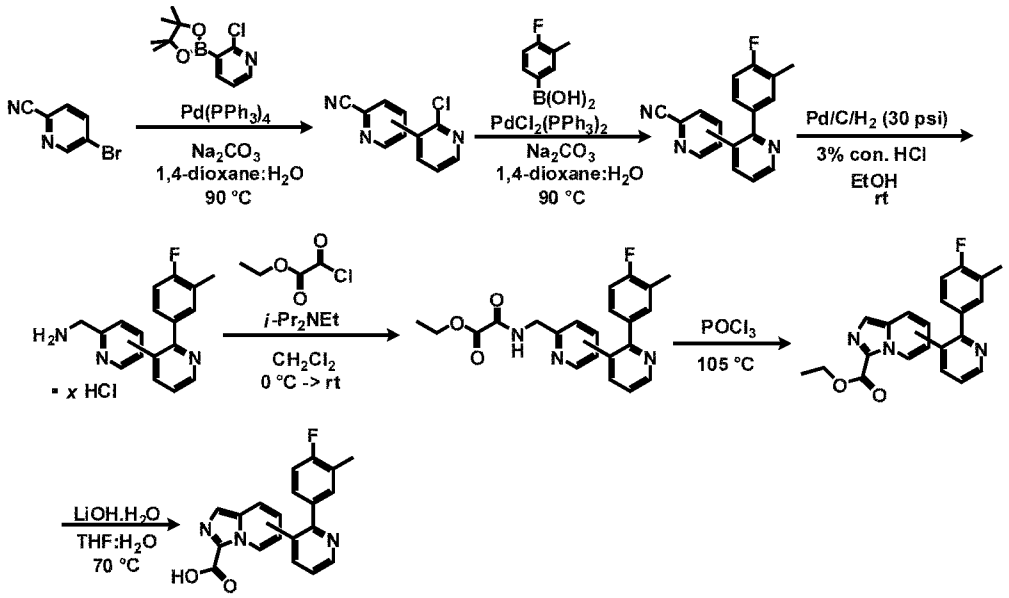
Scheme 17



Scheme 18

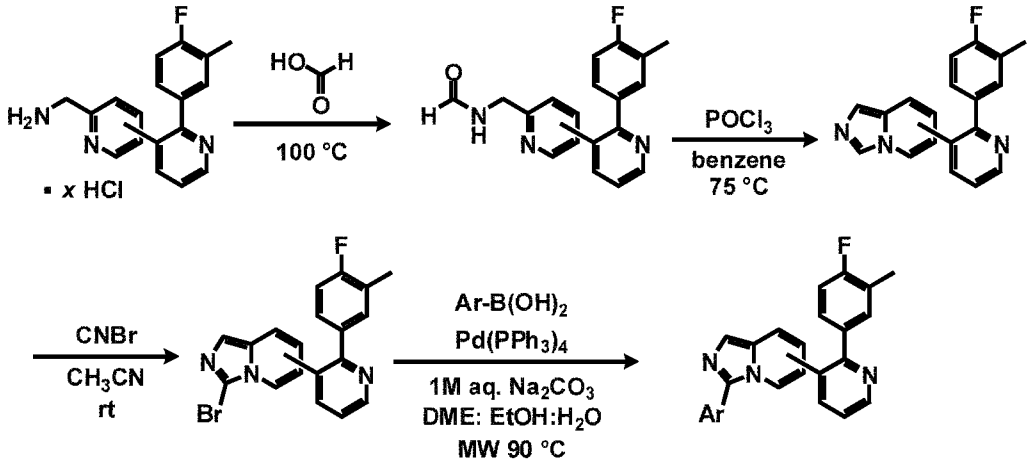


Scheme 19

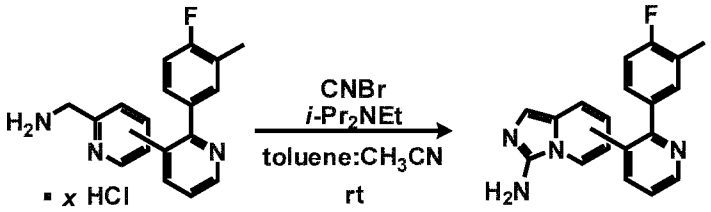


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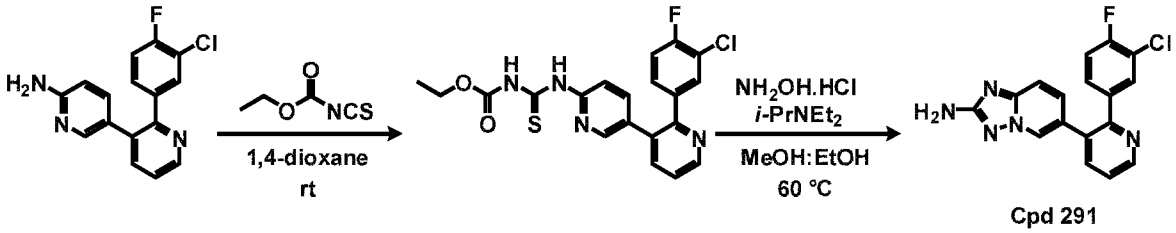
Scheme 20



Scheme 21

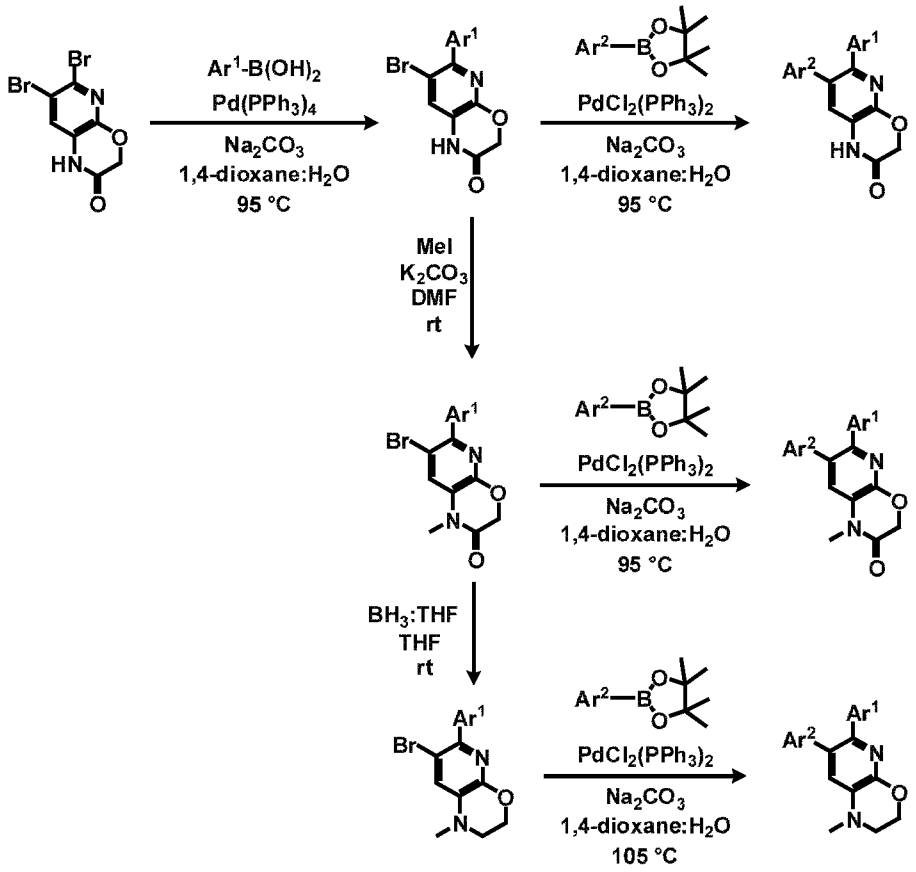


Scheme 22

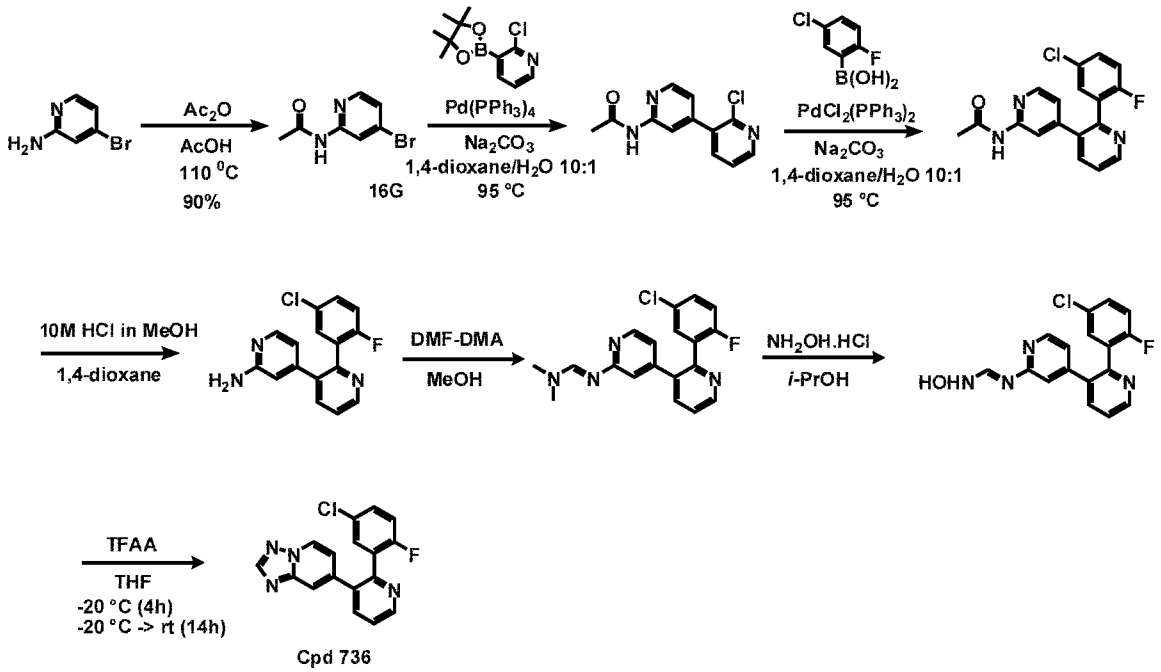


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Scheme 23

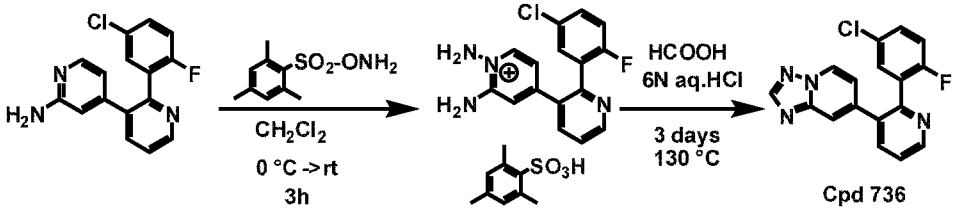


Scheme 24

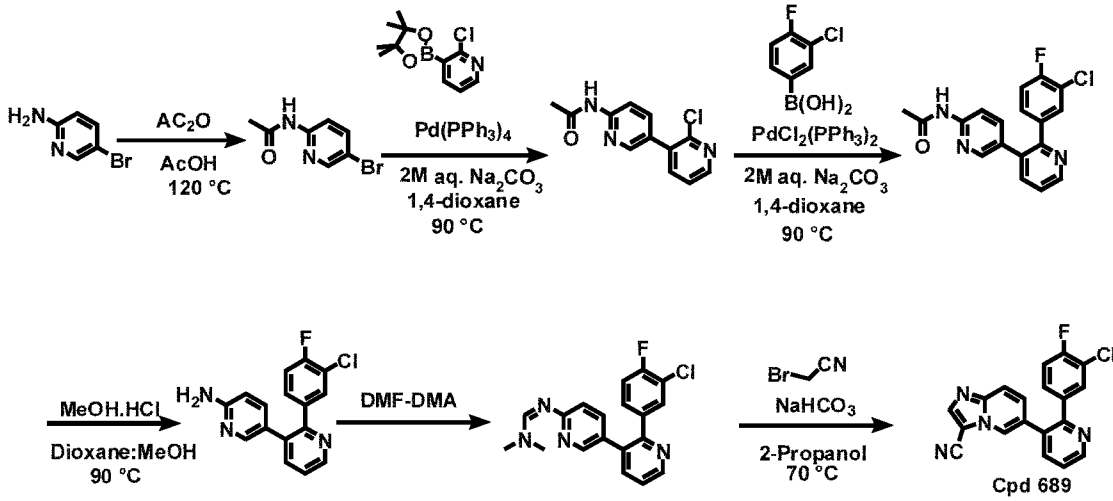


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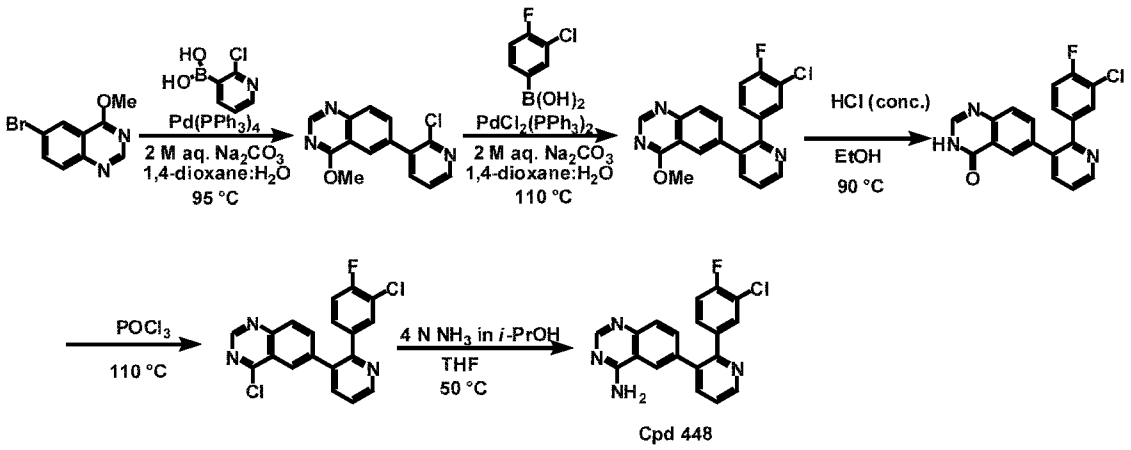
Scheme 25



Scheme 26



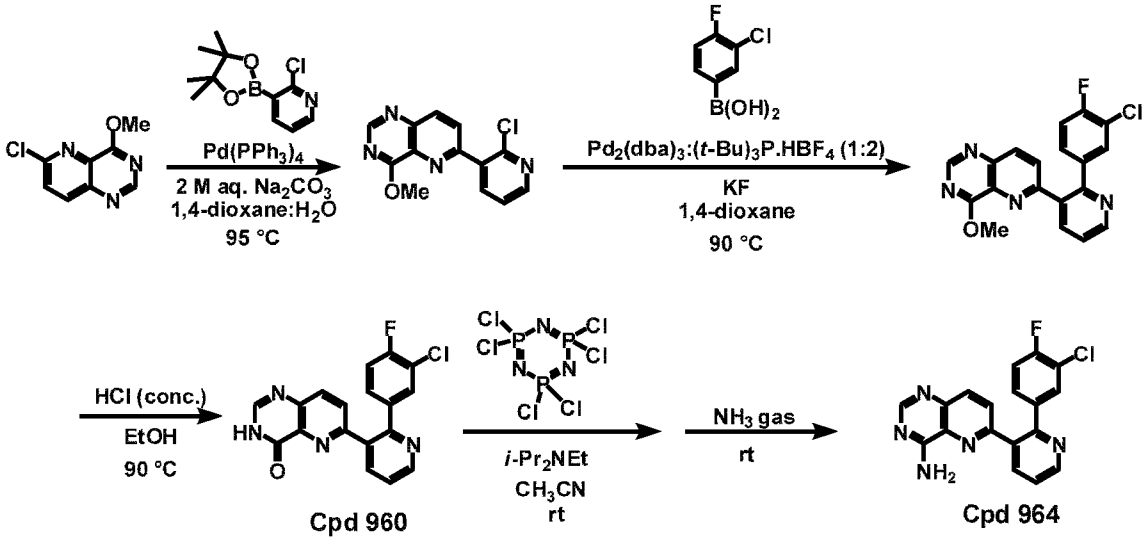
Scheme 27



[0070] The conditions for boronate cross-coupling to form Compound 448 were ineffective when the corresponding bromopyrido[3,2-d]pyrimidine was used. Scheme 28 provides an alternative route to the pyrido[3,2-d]pyrimidine products.

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Scheme 28



[0071] One of skill in the art can adapt the reaction sequences of Schemes 1-28 to fit the desired target molecule. Of course, in certain situations one of skill in the art will use different reagents to affect one or more of the individual steps or to use protected versions of certain of the substituents. Additionally, one skilled in the art would recognize that the compounds described herein can be synthesized using different routes altogether.

2-Chloro-3-(hetero)arylpyridine compounds

[0072] In another aspect, the present disclosure includes novel intermediates useful to prepare the present kinase inhibitors as well as other pharmaceutically effective compounds as is readily apparent to those of skill in the art of medicinal chemistry. For example, the 2-chloro-3-(hetero)arylpyridine compounds described herein are suitable for cross coupling, by for example, palladium mediated chemistry, such as a Suzuki reaction, to form the kinase inhibitors disclosed herein as well as other novel biologically active compounds. 2-Chloro-3-(hetero)arylpyridine compounds according to this aspect of the disclosure are described throughout the present specification.

Methods of Treating Disease

[0073] The compounds of the present disclosure are useful to prevent, diagnose, andtreat various medical disorders in humans or animals. The compounds are used to inhibit or reduce one or more activities associated with the GDF protein, relative to a GDF protein not bound by the same compounds. Optionally, the compounds inhibit or reduce one or more of the activities of mature GDF-8 (regardless of whether in monomeric form, active dimeric form,

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or complexed in a GDF-8 latent complex) relative to a mature GDF-8 protein that is not bound by the same compounds. In an embodiment, the activity of the mature GDF-8 protein, when bound by one or more of the presently disclosed compounds, is inhibited at least 50%, optionally at least 60, 62, 64, 66, 68, 70, 72, 72, 76, 78, 80, 82, 84, 86, or 88%, optionally at least 90, 91, 92, 93, or 94%, and optionally at least 95% to 100% relative to a mature GDF-8 protein that is not bound by one or more of the presently disclosed compounds.

[0074] The medical disorder being diagnosed, treated, or prevented by the presently disclosed compounds is optionally a muscle and neuromuscular disorder; an adipose tissue disorder such as obesity; type 2 diabetes, impaired glucose tolerance, metabolic syndromes (*e.g.*, syndrome X), insulin resistance induced by trauma such as burns; or bone degenerative disease such as osteoporosis. The medical condition is optionally a muscle or neuromuscular disorder, such as muscular dystrophy, muscle atrophy, congestive obstructive pulmonary disease, muscle wasting syndrome, sarcopenia, or cachexia and disorders associated with a loss of bone, which include osteoporosis, especially in the elderly and/or postmenopausal women, glucocorticoid-induced osteoporosis, osteopenia, and osteoporosis-related fractures. Other target metabolic bone diseases and disorders amendable to treatment with GDF-8 inhibitors of the disclosure include low bone mass due to chronic glucocorticoid therapy, premature gonadal failure, androgen suppression, vitamin D deficiency, secondary hyperparathyroidism, nutritional deficiencies, and anorexia nervosa. The antibodies are optionally used to prevent, diagnose, or treat such medical disorders in mammals, optionally in humans.

[0075] The compounds or compositions of the present disclosure are administered in therapeutically effective amounts. As used herein, an "effective amount" of the antibody is a dosage which is sufficient to reduce the activity of GDF proteins to achieve a desired biological outcome (*e.g.*, increasing muscle mass or strength). Generally, a therapeutically effective amount may vary with the subject's age, condition, and sex, as well as the severity of the medical condition in the subject. The dosage may be determined by a physician and adjusted, as necessary, to suit observed effects of the treatment. Generally, the compositions are administered so that compounds are given at a dose between 1 μg/kg and 20 mg/kg. Optionally, the compounds are given as a bolus dose, to maximize the circulating levels of compounds for the greatest length of time after the dose. Continuous infusion may also be used after the bolus dose.

[0076] The methods of treating, diagnosing, or preventing the above medical conditions with the presently disclosed compounds can also be used on other proteins in the TGF-β

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superfamily. Many of these proteins, *e.g.*, BMP-11, are related in structure to GDF-8. Accordingly, in another embodiment, the disclosure comprises methods of treating the aforementioned disorders by administering to a subject acompound capable of inhibiting BMP-11 or activin, either alone or in combination with other TGF-β inhibitors, such as a neutralizing antibody against GDF-8.

[0077] Accordingly, herein described are methods for inhibiting GDF-8 in a cell comprising contacting the cell with an effective amount of a compound or pharmaceutically acceptable salt of formula (I) or (II) or any embodiment thereof, or a pharmaceutical composition comprising the same. In another aspect, thedisclosure comprises methods for treating a patient suffering from a disease or disorder, wherein the patient would therapeutically benefit from an increase in mass or strength of muscle tissue, comprising administering to a patient a therapeutically effective amount of a compound or pharmaceutically acceptable salt of formula (I) or (II) or any embodiment thereof, or a pharmaceutical composition comprising the same. The disease or disorder can be a muscular disorder, adipose tissue disorder, neuromuscular disorders, metabolic disorder, diabetes, or bone degenerative disorder. In certain embodiments, the disease or disorder is a muscular disorder, such as, but not limited to, muscular dystrophy, muscle atrophy, congestive obstructive pulmonary disease, muscle wasting syndrome, sarcopenia, or cachexia. In certain other embodiments,the disease or disorder is muscular dystrophy.In other embodiments, the disease or disorder is obesity, type 2 diabetes, impaired glucose tolerance, syndrome X, insulin resistance induced by trauma, or osteoporosis. In particular embodiments,the disease or disorder is osteoporosis.

[0078] In yet other embodiments, the disease or disorder is low bone mass due to chronic glucocorticoid therapy, premature gonadal failure, androgen suppression, vitamin D deficiency, secondary hyperparathyroidism, nutritional deficiencies, and anorexia nervosa.

[0079] In another aspect, the disclosure comprises methods for increasing muscle mass in a mammal comprising administering a therapeutically effective amount of a compound or pharmaceutically acceptable salt of formula (I) or (II) or any embodiment thereof, or a pharmaceutical composition comprising the same. In another aspect, the disclosure comprises methods for increasing muscle strength in a mammal comprising administering a therapeutically effective amount of a compound or pharmaceutically acceptable salt of formula (I) or (II) or any embodiment thereof, or a pharmaceutical composition comprising the same. In another aspect, the disclosure comprisesmethodsfor increasing trabecular bone density in a patient in need thereof, comprising administering a therapeutically effective amount of a compound or pharmaceutically acceptable salt of formula (I) or (II) or any

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embodiment thereof, or a pharmaceutical composition comprising the same. In any of the preceding methods and embodiments, thereof, the subject can be a mammal. As used herein, the terms"individual" or "patient"or "subject" are used interchangeably, and refers to any animal, including mammals, preferably mice, rats, other odents, rabbits, dogs, cats, swine, cattle, sheep, horses, or primates, and most preferably humans.

Pharmaceutical Formulations and Dosage Forms

[0080] The pharmaceutical compositions described herein generally comprise a combination of a compound described herein and a pharmaceutically acceptable carrier, diluent, or excipient. Such compositions are substantially free of non-pharmaceutically acceptable components, *i.e.*, contain amounts of non-pharmaceutically acceptable components lower than permitted by US regulatory requirements at the time of filing this application. In some embodiments of this aspect, if the compound is dissolved or suspended in water, the composition further optionally comprises an additional pharmaceutically acceptable carrier, diluent, or excipient. In other embodiments, the pharmaceutical compositions described herein are solid pharmaceutical compositions (*e.g.*, tablet, capsules, *etc.*).

[0081] These compositions can be prepared in a manner well known in the pharmaceutical art, and can be administered by a variety of routes, depending upon whether local or systemic treatment is desired and upon the area to be treated. Administration may be topical (including ophthalmic and to mucous membranes including intranasal, vaginal and rectal delivery), pulmonary (*e.g.*, by inhalation or insufflation of powders or aerosols, including by nebulizer; intratracheal, intranasal, epidermal and transdermal), ocular, oral or parenteral. Methods for ocular delivery can include topical administration (eye drops), subconjunctival, periocular or intravitreal injection or introduction by balloon catheter or ophthalmic inserts surgically placed in the conjunctival sac. Parenteral administration includes intravenous, intraarterial, subcutaneous, intraperitoneal or intramuscular injection or infusion; or intracranial, *e.g.*, intrathecal or intraventricular, administration. Parenteral administration can be in the form of a single bolus dose, or may be, for example, by a continuous perfusion pump. Pharmaceutical compositions and formulations for topical administration may include transdermal patches, ointments, lotions, creams, gels, drops, suppositories, sprays, liquids and powders. Conventional pharmaceutical carriers, aqueous, powder or oily bases, thickeners and the like may be necessary or desirable.

[0082] Also, pharmaceutical compositions can contain, as the active ingredient, one or more of the compounds described herein above in combination with one or more pharmaceutically

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acceptable carriers. In making the compositions described herein, the active ingredient is typically mixed with an excipient, diluted by an excipient or enclosed within such a carrier in the form of, for example, a capsule, sachet, paper, or other container. When the excipient serves as a diluent, it can be a solid, semi-solid, or liquid material, which acts as a vehicle, carrier or medium for the active ingredient. Thus, the compositions can be in the form of tablets, pills, powders, lozenges, sachets, cachets, elixirs, suspensions, emulsions, solutions, syrups, aerosols (as a solid or in a liquid medium), ointments containing, for example, up to 10% by weight of the active compound, soft and hard gelatin capsules, suppositories, sterile injectable solutions, and sterile packaged powders.

[0083] In preparing a formulation, the active compound can be milled to provide the appropriate particle size prior to combining with the other ingredients. If the active compound is substantially insoluble, it can be milled to a particle size of less than 200 mesh. If the active compound is substantially water soluble, the particle size can be adjusted by milling to provide a substantially uniform distribution in the formulation, *e.g.* about 40 mesh.

[0084] Some examples of suitable excipients include lactose, dextrose, sucrose, sorbitol, mannitol, starches, gum acacia, calcium phosphate, alginates, tragacanth, gelatin, calcium silicate, microcrystalline cellulose, polyvinylpyrrolidone, cellulose, water, syrup, and methyl cellulose. The formulations can additionally include: lubricating agents such as talc, magnesium stearate, and mineral oil; wetting agents; emulsifying and suspending agents; preserving agents such as methyl- and propylhydroxy-benzoates; sweetening agents; and flavoring agents. The compositions described herein can be formulated so as to provide quick, sustained or delayed release of the active ingredient after administration to the patient by employing procedures known in the art.

[0085] The compositions can be formulated in a unit dosage form, each dosage containing from about 5 to about 100 mg, more usually about 10 to about 30 mg, of the active ingredient. The term "unit dosage forms" refers to physically discrete units suitable as unitary dosages for human subjects and other mammals, each unit containing a predetermined quantity of active material calculated to produce the desired therapeutic effect, in association with a suitable pharmaceutical excipient.

[0086] The active compound can be effective over a wide dosage range and is generally administered in a pharmaceutically effective amount. It will be understood, however, that the amount of the compound actually administered will usually be determined by a physician, according to the relevant circumstances, including the condition to be treated, the chosen

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route of administration, the actual compound administered, the age, weight, and response of the individual patient, the severity of the patient's symptoms, and the like.

[0087] For preparing solid compositions such as tablets, the principal active ingredient is mixed with a pharmaceutical excipient to form a solid preformulation composition containing a homogeneous mixture of a compound described herein. When referring to these preformulation compositions as homogeneous, the active ingredient is typically dispersed evenly throughout the composition so that the composition can be readily subdivided into equally effective unit dosage forms such as tablets, pills and capsules. This solid preformulation is then subdivided into unit dosage forms of the type described above containing from, for example, 0.1 to about 500 mg of the active ingredient of a compound described herein.

[0088] The tablets or pills can be coated or otherwise compounded to provide a dosage form affording the advantage of prolonged action. For example, the tablet or pill can comprise an inner dosage and an outer dosage component, the latter being in the form of an envelope over the former. The two components can be separated by an enteric layer which serves to resist disintegration in the stomach and permit the inner component to pass intact into the duodenum or to be delayed in release. A variety of materials can be used for such enteric layers or coatings, such materials including a number of polymeric acids and mixtures of polymeric acids with such materials as shellac, cetyl alcohol, and cellulose acetate.

[0089] The liquid forms in which the compounds and compositions can be incorporated for administration orally or by injection include aqueous solutions, suitably flavored syrups, aqueous or oil suspensions, and flavored emulsions with edible oils such as cottonseed oil, sesame oil, coconut oil, or peanut oil, as well as elixirs and similar pharmaceutical vehicles.

[0090] Compositions for inhalation or insufflation include solutions and suspensions in pharmaceutically acceptable, aqueous or organic solvents, or mixtures thereof, and powders. The liquid or solid compositions may contain suitable pharmaceutically acceptable excipients as described *supra*. In some embodiments, the compositions are administered by the oral or nasal respiratory route for local or systemic effect. Compositions in can be nebulized by use of inert gases. Nebulized solutions may be breathed directly from the nebulizing device or the nebulizing device can be attached to a face masks tent, or intermittent positive pressure breathing machine. Solution, suspension, or powder compositions can be administered orally or nasally from devices which deliver the formulation in an appropriate manner.

[0091] The amount of compound or composition administered to a patient will vary depending upon what is being administered, the purpose of the administration, such as

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prophylaxis or therapy, the state of the patient, the manner of administration, and the like. In therapeutic applications, compositions can be administered to a patient already suffering from a disease in an amount sufficient to cure or at least partially arrest the symptoms of the disease and its complications. Effective doses will depend on the disease condition being treated as well as by the judgment of the attending clinician depending upon factors such as the severity of the disease, the age, weight and general condition of the patient, and the like.

[0092] The compositions administered to a patient can be in the form of pharmaceutical compositions described above. These compositions can be sterilized by conventional sterilization techniques, or may be sterile filtered. Aqueous solutions can be packaged for use as is, or lyophilized, the lyophilized preparation being combined with a sterile aqueous carrier prior to administration. The pH of the compound preparations typically will be between 3 and 11, more preferably from 5 to 9 and most preferably from 7 to 8. It will be understood that use of certain of the foregoing excipients, carriers, or stabilizers will result in the formation of pharmaceutical salts.

[0093] The therapeutic dosage of the compounds can vary according to, for example, the particular use for which the treatment is made, the manner of administration of the compound, the health and condition of the patient, and the judgment of the prescribing physician. The proportion or concentration of a compound described herein in a pharmaceutical composition can vary depending upon a number of factors including dosage, chemical characteristics (*e.g.*, hydrophobicity), and the route of administration. For example, the compounds described herein can be provided in an aqueous physiological buffer solution containing about 0.1 to about 10% w/v of the compound for parenteral administration. Some typical dose ranges are from about 1 μg/kg to about 1 g/kg of body weight per day. In some embodiments, the dose range is from about 0.01 mg/kg to about 100 mg/kg of body weight per day. The dosage is likely to depend on such variables as the type and extent of progression of the disease or disorder, the overall health status of the particular patient, the relative biological efficacy of the compound selected, formulation of the excipient, and its route of administration. Effective doses can be extrapolated from dose-response curves derived from *in vitro* or animal model test systems.

[0094] The compounds described herein can also be formulated in combination with one or more additional active ingredients which can include any pharmaceutical agent such as anti-viral agents, vaccines, antibodies, immune enhancers, immune suppressants, anti-inflammatory agents and the like.

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Definitions

[0095] As is understood by those of skill in the art, compounds of the formulae presented herein, such as but not limited to formula I above, may have asymmetric centers and accordingly include stereoisomeric forms (e.g., enantiomers, diastereomers, etc.) of compounds. And in addition compounds of the formulae presented herein encompass pharmaceutically acceptable salts, solvates, for example hydrates, and the like having such formulae. Likewise, the term "compound" as used herein is understood to include pharmaceutically acceptable salts, solvates, hydrates and the like of such compounds.

[0096] Terms used herein may be preceded and/or followed by a single dash, "-", or a double dash, "=", to indicate the bond order of the bond between the named substituent and its parent moiety; a single dash indicates a single bond and a double dash indicates a double bond or a pair of single bonds in the case of a spiro-substituent. In the absence of a single or double dash it is understood that a single bond is formed between the substituent and its parent moiety; further, substituents are intended to be read "left to right" unless a dash indicates otherwise.For example, C_1-C_6alkoxycarbonyloxy and -OC(O)C_1-C_6alkyl indicate the same functionality; similarly arylalkyl, arylalkyl-, and –alkylaryl indicate the same functionality.

[0097] Further, certain terms herein may be used as both monovalent and divalent linking radicals as would be familiar to those skilled in the art, and by their presentation linking between two other moieties.For example, an alkyl group can be both a monovalent radical or divalent radical; in the latter case, it would be apparent to one skilled in the art that an additional hydrogen atom is removed from a monovalent alkyl radical to provide a suitable divalent moiety.

[0098] The term "alkenyl" as used herein, means a straight or branched chain hydrocarbon containing from 2 to 10 carbons, unless otherwise specified, and containing at least one carbon-carbon double bond.Representative examples of alkenyl include, but are not limited to, ethenyl, 2-propenyl, 2-methyl-2-propenyl, 3-butenyl, 4-pentenyl, 5-hexenyl, 2-heptenyl, 2-methyl-1-heptenyl, 3-decenyl, and 3,7-dimethylocta-2,6-dienyl.

[0099] 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, 2-propoxy, butoxy, tert-butoxy, pentyloxy, and hexyloxy.

[0100] The term "alkyl" as used herein, means a straight or branched chain hydrocarbon containing from 1 to 10 carbon atoms, unless otherwise specified. Representative examples of alkyl include, but are not limited to, methyl, ethyl, n-propyl, iso-propyl, n-butyl, sec-butyl,

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iso-butyl, 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.When an "alkyl" group is a linking group between two other moieties, then it may also be a straight or branched chain; examples include, but are not limited to $-CH_2-$, $-CH_2CH_2-$, $-CH_2CH_2CHC(CH_3)-$, $-CH_2CH(CH_2CH_3)CH_2-$.

[0101] The term "aryl," as used herein, means a phenyl (*i.e.*, monocyclic aryl), or a bicyclic ring system containing at least one phenyl ring or an aromatic bicyclic ring containing only carbon atoms in the aromatic bicyclic ring system. The bicyclic aryl can be azulenyl, naphthyl, or a phenyl fused to a monocyclic cycloalkyl, a monocyclic cycloalkenyl, or a monocyclic heterocyclyl. The bicyclic aryl is attached to the parent molecular moiety through any carbon atom contained within the phenyl portion of the bicyclic system, or any carbon atom with the napthyl or azulenyl ring. The fused monocyclic cycloalkyl or monocyclic heterocyclyl portions of the bicyclic aryl are optionally substituted with one or two oxo and/or thia groups.Representative examples of the bicyclic aryls include, but are not limited to, azulenyl, naphthyl, dihydroinden-1-yl, dihydroinden-2-yl, dihydroinden-3-yl, dihydroinden-4-yl, 2,3-dihydroindol-4-yl, 2,3-dihydroindol-5-yl, 2,3-dihydroindol-6-yl, 2,3-dihydroindol-7-yl, inden-1-yl, inden-2-yl, inden-3-yl, inden-4-yl, dihydronaphthalen-2-yl, dihydronaphthalen-3-yl, dihydronaphthalen-4-yl, dihydronaphthalen-1-yl, 5,6,7,8-tetrahydronaphthalen-1-yl, 5,6,7,8-tetrahydronaphthalen-2-yl, 2,3-dihydrobenzofuran-4-yl, 2,3-dihydrobenzofuran-5-yl, 2,3-dihydrobenzofuran-6-yl, 2,3-dihydrobenzofuran-7-yl, benzo[d][1,3]dioxol-4-yl, benzo[d][1,3]dioxol-5-yl, 2H-chromen-2-on-5-yl, 2H-chromen-2-on-6-yl, 2H-chromen-2-on-7-yl, 2H-chromen-2-on-8-yl, isoindoline-1,3-dion-4-yl, isoindoline-1,3-dion-5-yl, inden-1-on-4-yl, inden-1-on-5-yl, inden-1-on-6-yl, inden-1-on-7-yl, 2,3-dihydrobenzo[b][1,4]dioxan-5-yl, 2,3-dihydrobenzo[b][1,4]dioxan-6-yl, 2H-benzo[b][1,4]oxazin3(4H)-on-5-yl, 2H-benzo[b][1,4]oxazin3(4H)-on-6-yl, 2H-benzo[b][1,4]oxazin3(4H)-on-7-yl, 2H-benzo[b][1,4]oxazin3(4H)-on-8-yl, benzo[d]oxazin-2(3H)-on-5-yl, benzo[d]oxazin-2(3H)-on-6-yl, benzo[d]oxazin-2(3H)-on-7-yl, benzo[d]oxazin-2(3H)-on-8-yl, quinazolin-4(3H)-on-5-yl, quinazolin-4(3H)-on-6-yl, quinazolin-4(3H)-on-7-yl, quinazolin-4(3H)-on-8-yl, quinoxalin-2(1H)-on-5-yl, quinoxalin-2(1H)-on-6-yl, quinoxalin-2(1H)-on-7-yl, quinoxalin-2(1H)-on-8-yl, benzo[d]thiazol-2(3H)-on-4-yl, benzo[d]thiazol-2(3H)-on-5-yl, benzo[d]thiazol-2(3H)-on-6-yl, and, benzo[d]thiazol-2(3H)-on-7-yl. In certain embodiments, the bicyclic aryl is (i) naphthyl or (ii) a phenyl ring fused to either a 5 or 6 membered

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monocyclic cycloalkyl, a 5 or 6 membered monocyclic cycloalkenyl, or a 5 or 6 membered monocyclic heterocyclyl, wherein the fused cycloalkyl, cycloalkenyl, and heterocyclyl groups are optionally substituted with one or two groups which are independently oxo or thia.

[0102] The term "arylalkyl,""-alkylaryl," and "arylalkyl-" as used herein, means an aryl group, as defined herein, appended to the parent molecular moiety through an alkyl group, as defined herein. Representative examples of arylalkyl include, but are not limited to, benzyl, 2-phenylethyl, 3-phenylpropyl, and 2-naphth-2-ylethyl.

[0103] The terms "cyano" and "nitrile" as used herein, mean a -CN group.

[0104] The term "cycloalkyl" as used herein, means a monocyclic or a bicyclic cycloalkyl ring system. Monocyclic ring systems are cyclic hydrocarbon groups containing from 3 to 8 carbon atoms, where such groups can be saturated or unsaturated, but not aromatic. In certain embodiments, cycloalkyl groups are fully saturated.Examples of monocyclic cycloalkyls include cyclopropyl, cyclobutyl, cyclopentyl, cyclopentenyl, cyclohexyl, cyclohexenyl, cycloheptyl, and cyclooctyl. Bicyclic cycloalkyl ring systems are bridged monocyclic rings or fused bicyclic rings. Bridged monocyclic rings contain a monocyclic cycloalkyl ring where two non-adjacent carbon atoms of the monocyclic ring are linked by an alkylene bridge of between one and three additional carbon atoms (*i.e.*, a bridging group of the form $-(CH_2)_w-$, where w is 1, 2, or 3). Representative examples of bicyclic ring systems include, but are not limited to, bicyclo[3.1.1]heptane, bicyclo[2.2.1]heptane, bicyclo[2.2.2]octane, bicyclo[3.2.2]nonane, bicyclo[3.3.1]nonane, and bicyclo[4.2.1]nonane. Fused bicyclic cycloalkyl ring systems contain a monocyclic cycloalkyl ring fused to either a phenyl, a monocyclic cycloalkyl, a monocyclic cycloalkenyl, a monocyclic heterocyclyl, or a monocyclic heteroaryl. The bridged or fused bicyclic cycloalkyl is attached to the parent molecular moiety through any carbon atom contained within the monocyclic cycloalkyl ring.Cycloalkyl groups are optionally substituted with one or two groups which are independently oxo or thia. In certain embodiments, the fused bicyclic cycloalkyl is a 5 or 6 membered monocyclic cycloalkyl ring fused to either a phenyl ring, a 5 or 6 membered monocyclic cycloalkyl, a 5 or 6 membered monocyclic cycloalkenyl, a 5 or 6 membered monocyclic heterocyclyl, or a 5 or 6 membered monocyclic heteroaryl, wherein the fused bicyclic cycloalkyl is optionally substituted by one or two groups which are independently oxo or thia.

[0105] "Cycloalkenyl" as used herein refers to a monocyclic or a bicyclic cycloalkenyl ring system.Monocyclic ring systems are cyclic hydrocarbon groups containing from 3 to 8 carbon atoms, where such groups are unsaturated (*i.e.*, containing at least one annular

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carbon-carbon double bond), but not aromatic. Examples of monocyclic ring systems include cyclopentenyl and cyclohexenyl.Bicyclic cycloalkenyl rings are bridged monocyclic rings or a fused bicyclic rings.Bridged monocyclic rings contain a monocyclic cycloalkenyl ring where two non-adjacent carbon atoms of the monocyclic ring are linked by an alkylene bridge of between one and three additional carbon atoms (*i.e.*, a bridging group of the form $-(CH_2)_w-$, where w is 1, 2, or 3). Representative examples of bicyclic cycloalkenyls include, but are not limited to, norbornenyl and bicyclo[2.2.2]oct-2-enyl.Fused bicyclic cycloalkenyl ring systems contain a monocyclic cycloalkenyl ring fused to either a phenyl, a monocyclic cycloalkyl, a monocyclic cycloalkenyl, a monocyclic heterocyclyl, or a monocyclic heteroaryl. The bridged or fused bicyclic cycloalkenyl is attached to the parent molecular moiety through any carbon atom contained within the monocyclic cycloalkenyl ring.Cycloalkenyl groups are optionally substituted with one or two groups which are independently oxo or thia.

[0106] The term "halo" or "halogen" as used herein, means -Cl, -Br, -I or -F.

[0107] The term "haloalkyl" as used herein, means at least one halogen, as defined herein, appended to the parent molecular moiety through an alkyl group, as defined herein. In certain examples, a haloalkyl can comprise one to five halogen atoms, or one to three halogen atoms.Representative examples of haloalkyl include, but are not limited to, chloromethyl, 2-fluoroethyl, trifluoromethyl, pentafluoroethyl, and 2-chloro-3-fluoropentyl.

[0108] The term "heteroaryl," as used herein, means a monocyclic heteroaryl or a bicyclic ring system containing at least one heteroaromatic ring wherein the heteroatom(s) are selected from O, N, and S. The monocyclic heteroaryl can be a 5 or 6 membered ring. The 5 membered ring consists of two double bonds and one, two, three or four nitrogen atoms and optionally one oxygen or sulfur atom. The 6 membered ring consists of three double bonds and one, two, three or four nitrogen atoms. The 5 or 6 membered heteroaryl is connected to the parent molecular moiety through any carbon atom or any nitrogen atom contained within the heteroaryl. Representative examples of monocyclic heteroaryl include, but are not limited to, furyl, imidazolyl, isoxazolyl, isothiazolyl, oxadiazolyl, oxazolyl, pyridinyl, pyridazinyl, pyrimidinyl, pyrazinyl, pyrazolyl, pyrrolyl, tetrazolyl, thiadiazolyl, thiazolyl, thienyl, triazolyl, and triazinyl. The bicyclic heteroaryl consists of a monocyclic heteroaryl fused to a phenyl, a monocyclic cycloalkyl, a monocyclic cycloalkenyl, a monocyclic heterocyclyl, or a monocyclic heteroaryl. The fused cycloalkyl or heterocyclyl portion of the bicyclic heteroaryl group is optionally substituted with one or two groups which are independently oxo or thia. When the bicyclic heteroaryl contains a fused cycloalkyl, cycloalkenyl, or

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heterocyclyl ring, then the bicyclic heteroaryl group is connected to the parent molecular moiety through any carbon or nitrogen atom contained within the monocyclic heteroaryl portion of the bicyclic ring system. When the bicyclic heteroaryl is a monocyclic heteroaryl fused to a phenyl ring or a monocyclic heteroaryl, then the bicyclic heteroaryl group is connected to the parent molecular moiety through any carbon atom or nitrogen atom within the bicyclic ring system. Representative examples of bicyclic heteroaryl include, but are not limited to, benzimidazolyl, benzofuranyl, benzothienyl, benzoxadiazolyl, benzoxathiadiazolyl, benzothiazolyl, cinnolinyl, 5,6-dihydroquinolin-2-yl, 5,6-dihydroisoquinolin-1-yl, furopyridinyl, indazolyl, indolyl, isoquinolinyl, naphthyridinyl, quinolinyl, purinyl, 5,6,7,8-tetrahydroquinolin-2-yl, 5,6,7,8-tetrahydroquinolin-3-yl, 5,6,7,8-tetrahydroquinolin-4-yl, 5,6,7,8-tetrahydroisoquinolin-1-yl, thienopyridinyl, 4,5,6,7-tetrahydrobenzo[c][1,2,5]oxadiazolyl, and 6,7-dihydrobenzo[c][1,2,5]oxadiazol-4(5H)-onyl. In certain embodiments, the fused bicyclic heteroaryl is a 5 or 6 membered monocyclic heteroaryl ring fused to either a phenyl ring, a 5 or 6 membered monocyclic cycloalkyl, a 5 or 6 membered monocyclic cycloalkenyl, a 5 or 6 membered monocyclic heterocyclyl, or a 5 or 6 membered monocyclic heteroaryl, wherein the fused cycloalkyl, cycloalkenyl, and heterocyclyl groups are optionally substituted with one or two groups which are independently oxo or thia.

[0109] The term "heteroarylalkyl" and "-alkylheteroaryl" as used herein, means a heteroaryl, as defined herein, appended to the parent molecular moiety through an alkyl group, as defined herein. Such groups are indicated herein subgenerically for example by "heteroaryl(C_{1-6}alkyl) to indicate a heteroaryl moiety linked to the parent molecule through a C_{1-6}alkyl group, such as a methylene, ethylene, propylene moiety or the like. Representative examples of heteroarylalkyl include, but are not limited to, fur-3-ylmethyl, 1H-imidazol-2-ylmethyl, 1H-imidazol-4-ylmethyl, 1-(pyridin-4-yl)ethyl, pyridin-3-ylmethyl, pyridin-4-ylmethyl, pyrimidin-5-ylmethyl, 2-(pyrimidin-2-yl)propyl, thien-2-ylmethyl, and thien-3-ylmethyl.

[0110] The term "heterocyclyl" as used herein, means a monocyclic heterocycle or a bicyclic heterocycle. The monocyclic heterocycle is a 3, 4, 5, 6 or 7 membered ring containing at least one heteroatom independently selected from the group consisting of O, N, and S where the ring issaturated or unsaturated, but not aromatic. The 3 or 4 membered ring contains 1 heteroatom selected from the group consisting of O, N and S. The 5 membered ring can contain zero or one double bond and one, two or three heteroatoms selected from the group consisting of O, N and S. The 6 or 7 membered ring contains zero, one or two double bonds

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and one, two or three heteroatoms selected from the group consisting of O, N and S. The monocyclic heterocycle is connected to the parent molecular moiety through any carbon atom or any nitrogen atom contained within the monocyclic heterocycle. Representative examples of monocyclic heterocycle include, but are not limited to, azetidinyl, azepanyl, aziridinyl, diazepanyl, 1,3-dioxanyl, 1,3-dioxolanyl, 1,3-dithiolanyl, 1,3-dithianyl, imidazolinyl, imidazolidinyl, isothiazolinyl, isothiazolidinyl, isoxazolinyl, isoxazolidinyl, morpholinyl, oxadiazolinyl, oxadiazolidinyl, oxazolinyl, oxazolidinyl, piperazinyl, piperidinyl, pyranyl, pyrazolinyl, pyrazolidinyl, pyrrolinyl, pyrrolidinyl, tetrahydrofuranyl, tetrahydrothienyl, thiadiazolinyl, thiadiazolidinyl, thiazolinyl, thiazolidinyl, thiomorpholinyl,1,1-dioxidothiomorpholinyl (thiomorpholine sulfone), thiopyranyl, and trithianyl. The bicyclic heterocycle is a monocyclic heterocycle fused to either a phenyl, a monocyclic cycloalkyl, a monocyclic cycloalkenyl, a monocyclic heterocycle, or a monocyclic heteroaryl. The bicyclic heterocycle is connected to the parent molecular moiety through any carbon atom or any nitrogen atom contained within the monocyclic heterocycle portion of the bicyclic ring system. Representative examples of bicyclic heterocyclyls include, but are not limited to, 2,3-dihydrobenzofuran-2-yl, 2,3-dihydrobenzofuran-3-yl, indolin-1-yl, indolin-2-yl, indolin-3-yl, 2,3-dihydrobenzothien-2-yl, decahydroquinolinyl,decahydroisoquinolinyl, octahydro-1H-indolyl, and octahydrobenzofuranyl.Heterocyclyl groups are optionally substituted with one or two groups which are independently oxo or thia. In certain embodiments, the bicyclic heterocyclyl is a 5 or 6 membered monocyclic heterocyclyl ring fused to phenyl ring, a 5 or 6 membered monocyclic cycloalkyl, a 5 or 6 membered monocyclic cycloalkenyl, a 5 or 6 membered monocyclic heterocyclyl, or a 5 or 6 membered monocyclic heteroaryl, wherein the bicyclic heterocyclyl is optionally substituted by one or two groups which are independently oxo or thia.

[0111] The term "hydroxy" as used herein, means an -OH group.

[0112] The term "nitro" as used herein, means a $-NO_2$ group.

[0113] The term "oxo" as used herein means a =O group.

[0114] The term "saturated" as used herein means the referenced chemical structure does not contain any multiple carbon-carbon bonds.For example, a saturated cycloalkyl group as defined herein includes cyclohexyl, cyclopropyl, and the like.

[0115] The term "thia" as used herein means a =S group.

[0116] The term "unsaturated" as used herein means the referenced chemical structure contains at least one multiple carbon-carbon bond, but is not aromatic.For example, a

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unsaturated cycloalkyl group as defined herein includes cyclohexenyl, cyclopentenyl, cyclohexadienyl, and the like.

[0117] As used herein, the term "cell" is meant to refer to a cell that is *in vitro*, ex vivo or *in vivo*. In some embodiments, an ex vivo cell can be part of a tissue sample excised from an organism such as a mammal. In some embodiments, an *in vitro* cell can be a cell in a cell culture. In some embodiments, an *in vivo* cell is a cell living in an organism such as a mammal.

[0118] As used herein, the term "contacting" refers to the bringing together of indicated moieties in an *in vitro* system or an *in vivo* system. For example, "contacting" a kinase with a compoundincludes the administration of a compound described herein to an individual or patient, such as a human, having the kinase (such as Alk4 or Alk5), as well as, for example, introducing a compoundinto a sample containing a cellular or purified preparation containing the kinase.

[0119] As used herein, the phrase "therapeutically effective amount" refers to the amount of active compound or pharmaceutical agent that elicits the biological or medicinal response that is being sought in a tissue, system, animal, individual or human by a researcher, veterinarian, medical doctor or other clinician.

[0120] In certain embodiments, a therapeutically effective amount can be an amount suitable for (1) preventing the disease; for example, preventing a disease, condition or disorder in an individual who may be predisposed to the disease, condition or disorder but does not yet experience or display the pathology or symptomatology of the disease; (2) inhibiting the disease; for example, inhibiting a disease, condition or disorder in an individual who is experiencing or displaying the pathology or symptomatology of the disease, condition or disorder; or (3) ameliorating the disease; for example, ameliorating a disease, condition or disorder in an individual who is experiencing or displaying the pathology or symptomatology of the disease, condition or disorder (*i.e.*, reversing the pathology and/or symptomatology) such as decreasing the severity of disease.

[0121] As used here, the terms "treatment" and "treating" means (i) ameliorating the referenced disease state, for example, ameliorating a disease, condition or disorder in an individual who is experiencing or displaying the pathology or symptomatology of the disease, condition or disorder (*i.e.*, reversing or improving the pathology and/or symptomatology) such as decreasing the severity of disease; (ii) eliciting the biological or medicinal response that is being sought in a tissue, system, animal, individual or human by a researcher, veterinarian, medical doctor or other clinician; or (iii) inhibiting the referenced disease state;

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for example, inhibiting a disease, condition or disorder in an individual who is experiencing or displaying the pathology or symptomatology of the disease, condition or disorder.

[0122] As used herein, the phrase "pharmaceutically acceptable salt" refers to both pharmaceutically acceptable acid and base addition salts and solvates.Such pharmaceutically acceptable salts include salts of inorganic and organic acids. Examples of inorganic salts include, without limitation, those formed from hydrochloric, phosphoric, hydrobromic, sulfuric, sulfinic andhydroiodicacids. Examples of organic acids suitable for the formation of pharmaceutically acceptable salts of the presently disclosed compounds include acetic, formic, fumaric, glutaric, glycolic, trifluoroacetic, benzenesulfonic, ethanesulfonic, toluenesulfonic, methanesulfonic, nitric, benzoic, camphor sulfonic, citric, cinnamic, oxalic, tartaric, maleic, malonic, mandelic, pamoic, propionic, pyruvic and xinafoic acids, and the like.Non-toxic pharmaceutical base addition salts include salts formed from baseswith inorganic and organic counterions. By way of example suitable inorganic counterions include sodium, potassium, calcium, ammonium, sulfate and the like.Pharmaceutically acceptable organic bases for the formation of base addition salts include, without limitation, arginine, choline, ethylenediamine, histidine, lysine, methylglucamine, piperazine, triethanolamine and tris(hydroxymethyl)aminomethane (tris). Those skilled in the art will recognize a wide variety of non-toxic pharmaceutically acceptable addition salts. For additional pharmaceutically acceptable salts, see, M.Berge,et al., "PharmaceuticalSalts,"J.Pharm.Sci.,1977;66:1-19whichis incorporatedhereinbyreference.

EXAMPLES

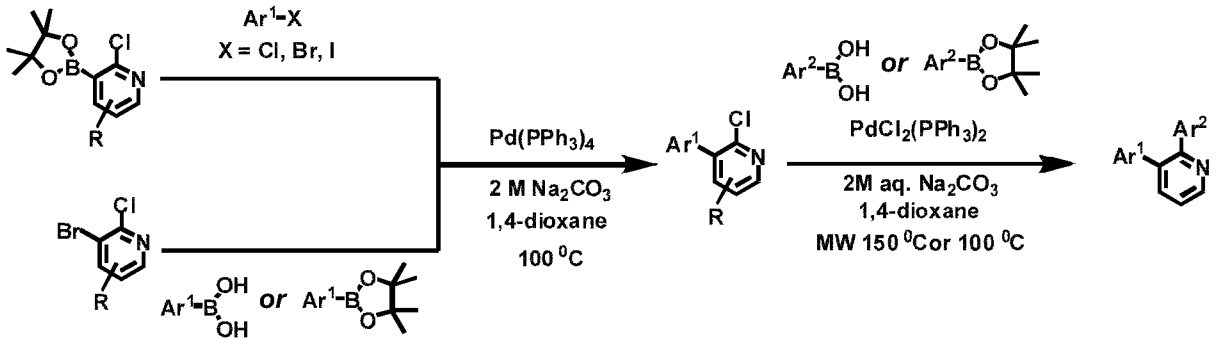
[0123] The following abbreviations and/or acronyms are used within the examples:

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Ph	Phenyl
aq.	Aqueous
MW	microwave
LC/MS or LCMS	Liquid chromatograph, mass spectrometry
TFA	Trifluoroacetic acid
EtOAc	Ethyl acetate
MeOH	Methanol
DMSO	Dimethylsulfoxide
Et	Ethyl
TLC	Thin layer chromatography
THF	Tetrahydrofuran
rt	Room temperature
DMF	N,N-dimethylformamide
dppf	1,1′-Bis(diphenylphosphino)-ferrocene

HPLC	High-performance liquid chromatography
DMA	N,N-dimethylacetamide
EtOH	Ethanol
dba	dibenzylideneacetone
Me	Methyl
Ac2O	Acetic anhydride
OAc	acetate
HBTU	O-Benzotriazole-N,N,N',N'-tetramethyl-uronium-hexafluoro-phosphate
t-BuOMe	Tert-butyl methyl ether
AcOH	Acetic acid
DMAP	4-dimethylaminopyridine
iPr_2NEt	di(isopropyl)ethylamine
NBS	N-bromosuccinimide

Example 1 General Synthetic Scheme A



Example 2 General LC/MS Methods

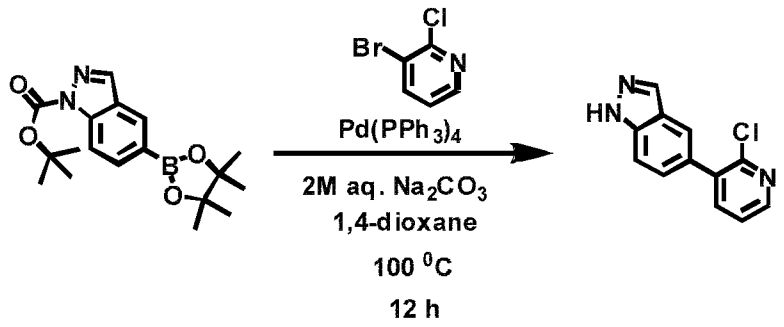
[0124] LC/MS: room temperature (A or B)

Method A: Column: Luna 5µ C8 (100 X 4.6 mm), Flow rate 1.0 ml/min, Mobile phase:A: H_2O 0.05% TFA, B: CH_3CN 0.05% TFA

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Method B: Column: Gemini 5μ C18 (100 X 4.6 mm), Flow rate 1.5 ml/min, Mobile phase:A: H_2O 0.05% HCOOH, B: CH_3CN 0.05% HCOOH

Example 3 5-(2-Chloropyridin-3-yl)-1H-indazole



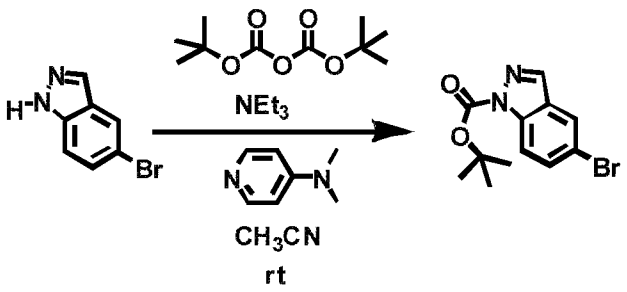
[0125] A single necked round bottom flask equipped with a magnetic stir bar was charged with 1-Boc-indazole-5-boronic acid pinacol ester (3.0 g, 8.7 mmol), 3-bromo-2-chloropyridine (2.0 g, 10.4 mmol), $Pd(PPh_3)_4$ (1 g, 0.86 mmol) and 2M aq. Na_2CO_3 (10 mL, 20 mmol) and 1,4-dioxane under nitrogen atmosphere. The reaction flask was fitted with a reflux condenser containing three-way stopcock equipped with argon filled balloon. The reaction contents were stirred and air was removed from the closed reaction system by vacuum and back filled with argon. Following three cycles of degassing, the reaction mixture was heated at 100 ^{0}C (oil-bath) under argon. Initial clear heterogeneous reaction mixture turned to clear biphasic off-yellow solution.After 12 h with no additional change in the proportion of the product, as analyzed by LC/MS, the reaction mixture was cooled to room temperature. Upon concentration of the reaction mixture, EtOAc/water (200 mL / 100 mL) was transferred to the concentrate and stirred for 30 min. The organic layer was separated and the aqueous layer extracted with EtOAc (2 X 75 mL).

$MgSO_4$ and Celite® were added to combined organic layers, stirred for 20 min and the contents suction filtered. The filter cake was washed with EtOAc (100 mL) and the combined filtrates concentrated by rotary evaporator under vacuum. The crude concentrate was dissolved in in 1% MeOH/CH_2Cl_2 and absorbed on silica gel (20 g) by evaporating the solvent followed by drying.Subsequent purification by flash silica gel columnpurification of the dry powder (Combiflash® companion system® with RediSep® silica gel column 120 g, 30-70%EtOAc/hexanes eluting solvent) provided 5-(2-chloropyridin-3-yl)-1H-indazole (1.0 g, 50%) as a white crystalline solid after concentration of the desired product fractions. ^{1}H NMR (DMSO-d6): δ 13.2 (s, 1H), 8.41 (dd, 1H, J = 1.8 and 4.7 Hz), 8.13 (s, 1H), 7.90 (dd, 1H, J = 1.7

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and 4.7 Hz), 7.84 (s, 1H), 7.62 (d, 1H, J = 8.8 Hz), 7.51 (dd, 1H, J = 4.7 and 7.3 Hz), 7.42 (dd, 1H, J = 1.4 and 8.5 Hz).LCMS: 95 %, MS (m/e) 230 (MH^+).

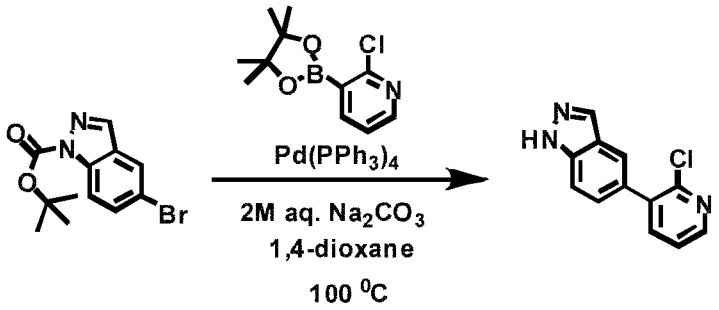
Example 4 *tert*-Butyl 5-bromo-1H-indazole-1-carboxylate



[0126] A single necked round bottom flask containing a with a magnetic stir bar was charged with 5-bromo-1H-indazole (3.0 g, 15.2 mmol), di-*tert*-butyl dicarbonate (4.2 g, 19.2 mmol) and acetonitrile (30 mL) under a mild stream of nitrogen at room temperature. Triethylamine (1.8 g, 2.5 mL, 17.7 mmol) was added in one portion to the above stirred homogeneous solution followed by portions-wise addition of 4-(dimethylamino)pyridine (2.2 g, 18 mmol) over a period of 15 min. The homogenous off-brown clear reaction mixture was stirred at room temperature under nitrogen and the progress of reaction monitored by TLC (50% EtOAc/hexanes). Stirring was discontinued after 3h and the reaction mixture concentrated by rotary evaporator under vacuum. A clear viscous liquid was obtained and dissolved in EtOAc/hexanes (7:3, 200 mL), and diluted with water (75 mL). Organic layer was separated and the aqueous layer extracted with EtOAc/hexanes (1:1, 125 mL). The combined organic layers were washed with water (100 mL) followed by 1N aq. HCl (2 x 75 mL) to remove 4-(dimethylamino)pyridine. The combined organic layers were washed with water (2 X 75 mL), saturated aq. $NaHCO_3$ (2 X 75 mL) and saturated aqueous NaCl. Separated organic layers were dried over anhydrous $MgSO_4$, filtered, concentrated and dried under vacuum to provide *tert*-butyl 5-bromo-1H-indazole-carboxylate (4.5 g, purity 97%) as a pale yellow viscous liquid which was used without further purification. ^1H NMR (DMSO-d6): δ 8.36 (d, 1H, J = 0.8 Hz), 8.11 (app d, 1H, J = 0.8 Hz), 8.00 (d, 1H, J = 8.8 Hz), 7.71 (app dd, 1H, J = 0.8 and 8.8 Hz), 1.62 (s, 9H). LCMS: 97 %, MS (m/e) 241 (MH^+-*t*-Bu).

Example 5 5-(2-Chloropyridin-3-yl)-1H-indazole

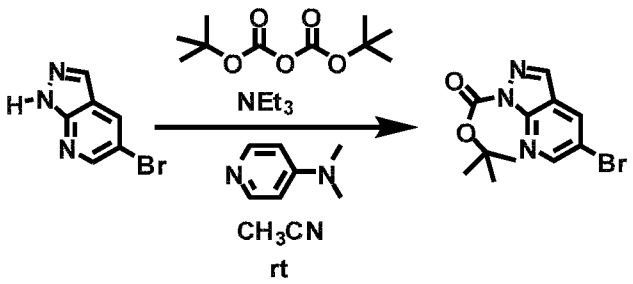
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[0127] A single necked round bottom flask (250 mL) equipped with a magnetic stir bar was charged with *tert*-butyl 5-bromo-1H-indazole-carboxylate (4.0 g, 13.4 mmol) dissolved in 1,4-dioxane (130 mL), 2-chloro-3-pyridine boronic acid pinacol ester (4 g, 16.7 mmol), $Pd(PPh_3)_4$ (1.5 g, 1.3 mmol) and 2M aq.Na_2CO_3 (20 mL, 40 mmol) under nitrogen atmosphere. The rubber septum was replaced with reflux condenser containing three-way stopcock equipped with argon filled balloon. The reaction contents were stirred and air was removed from the closed reaction system by vacuum and back filled with argon. Following three cycles of degassing, the reaction mixture was heated at 100 ^{0}C (oil-bath) under argon. Inflated argon balloon was emptied, refilled with argon and remounted in the course of reaction. The initial pale yellow heterogeneous reaction mixture turned to clear biphasic off-brown solution. After 18 h with no additional change in the proportion of the product (62%) as analyzed by LC/MS, the reaction mixture was cooled to room temperature. Upon concentration of the reaction mixture, EtOAc/water (200 mL / 75 mL) was transferred to the concentrate and stirred for 30 min. The organic layer was separated and the aqueous layer extracted with EtOAc (100 mL X 2). $MgSO_4$ (20 g) and Celite® (20 g) were added to combined organic layers and the contents suction filtered after stirring for 1 h. The filter cake was washed with EtOAc (300 mL) and the combined filtrates concentrated by rotary evaporator under vacuum. The crude concentrate was dissolved in in 1% MeOH/CH_2Cl_2 and absorbed on silica gel (20 g) by evaporating the solvent followed by drying.Subsequent purification by flash silica gel columnpurification of the dry powder (Combiflash® companion system® with RediSep® silica gel column 120 g, 30-70%EtOAC/hexanes eluting solvent) provided 5-(2-chloropyridin-3-yl)-1H-indazole (1.5 g, 47%) as a white crystalline solid after concentration of the desired product fractions. ^{1}H NMR (DMSO-d6): δ 13.2 (s, 1H), 8.41 (dd, 1H, J = 1.8 and 4.7 Hz), 8.13 (s, 1H), 7.90 (dd, 1H, J = 1.7 and 4.7 Hz), 7.84 (s, 1H), 7.62 (d, 1H, J = 8.8 Hz), 7.51 (dd, 1H, J = 4.7 and 7.3 Hz), 7.42 (dd, 1H, J = 1.4 and 8.5 Hz).LCMS: 95 %, MS (m/e) 230 (MH^+).

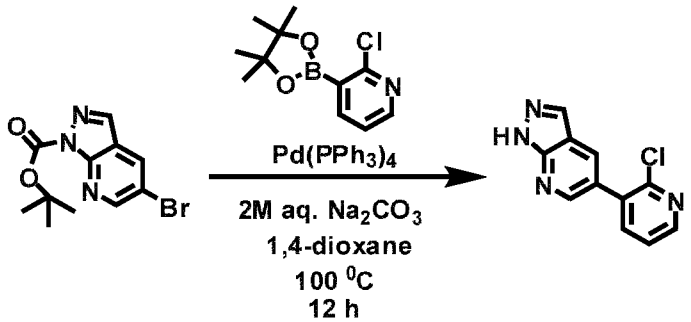
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Example 6 *tert*-Butyl 5-bromo-1H-pyrazolo[3,4-b]pyridine-1-carboxylate



[0128] A single necked round bottom flask equipped with a magnetic stir bar was charged with 5-bromo-1H-pyrazolo[3,4-b]pyridine (1.0 g, 5 mmol), di-*tert*-butyl dicarbonate (1.4 g, 6.4 mmol) and acetonitrile (10 mL) under a mild stream of nitrogen at room temperature. Triethylamine (0.72 g, 1.0 mL, 7.1 mmol) was added in one portion to the above stirred homogeneous solution followed by portions-wise addition of 4-(dimethylamino)pyridine (0.74 g, 6.05 mmol) over a period of 15 min. The homogenous reaction mixture was stirred at room temperature and the progress of reaction was monitored by TLC (50% EtOAc/hexanes). Stirring was discontinued after 3h, the reaction mixture concentrated and diluted with water (25 mL). The resultant off-brown solid was filtered and suction dried to provide the desired tert-butyl 5-bromo-1H-pyrazolo[3,4-b]pyridine-1-carboxylate (1.4 g, 93%). The material obtained was used in the next step without further purification. ^{1}H NMR (DMSO-d6): δ 8.77 (d, 1H, J = 2.0 Hz), 8.62 (d, 1H, J = 2.0 Hz), 8.39 (s, 1H), 1.60 (s, 9H). (dd, 1H, J = 1.8 and 4.7 Hz), 8.13 (s, 1H), 7.90 (dd, 1H, J = 1.7 and 4.7 Hz), 7.84 (s, 1H), 7.62 (d, 1H, J = 8.8 Hz), 7.51 (dd, 1H, J = 4.7 and 7.3 Hz), 7.42 (dd, 1H, J = 1.4 and 8.5 Hz). LCMS: 97 %, MS (m/e) 226 (MH^+-*t*-Bu).

Example 7 5-(2-Chloropyridin-3-yl)-1H- pyrazolo[3,4-b]pyridine

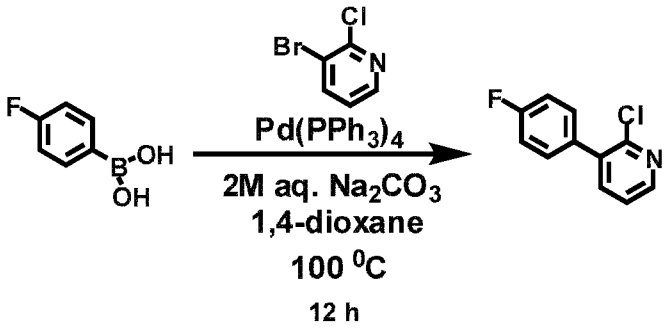


[0129] 5-(2-chloropyridin-3-yl)-1H-pyrazolo[3,4-b]pyridine was prepared in the similar to the preparation of 5-(2-chloropyridin-3-yl)-1H-indazole by heating the mixture of *tert*-butyl 5-bromo-1H-pyrazolo[3,4-b]pyridine-1-carboxylate (2.0 g, 6.7 mmol), 2-chloro-3-pyridine boronic

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acid pinacol ester (1.9 g, 8.0 mmol), $Pd(PPh_3)_4$ (770 mg, 67 mmol), 1,4-dioxane (40 mL) and2M aq.Na_2CO_3 (9 mL, 18 mmol) under argon atmosphere. After 12 h, the reaction mixture was cooled to room temperature and concentrated. The crude concentrate was diluted with EtOAc/water (200 mL / 100 mL), allowed to stir for 30 min and the heterogeneous solution was filtered. The filter cake was washed with EtOAc (200 mL) and water (75 mL) successively. The filter cake thus obtained was analyzed as the desired product (0.55 g) and dissolved in a mixture of THF/MeOH (2:1, 50 mL). The homogeneous solution was passed through a pad of Celite® and the filtrate concentrated to provide desired product as a crystalline solid (0.45 g).Organic layer from combined filtrates was separated, stirred with $MgSO_4$/Celite® for 20 min and filtered. The filtrate was concentrated and subjected to flash silica gel column purification (Combiflash® companion system® with RediSep® silica gel column 12g , 30-50-90EtOAC/hexanes eluting solvent gradient upon dry loading the sample by absorbing on silica gel) to obtain another 0.4 g of 5-(2-chloropyridin-3-yl)-1H-pyrazolo[3,4-b]pyridine. Total yield: 52%.^{1}H NMR (DMSO-d6): δ 13.83 (s, 1H), 8.59 (d, 1H, J = 2.0 Hz), 8.45 (dd, 1H, J = 1.7 and 4.7 Hz), 8.36 (d, 1H, J = 2.0 Hz), 8.21 (s, 1H), 8.00 (dd, 1H, J = 1.7 and 7.7 Hz), 7.59 (dd, 1H, J = 4.7 and 7.7 Hz).LCMS: rt 5.20 min (A), purity 94 %, MS (m/e) 231 (MH^+).

Example 8 2-Chloro-3-(4-fluorophenyl)pyridine

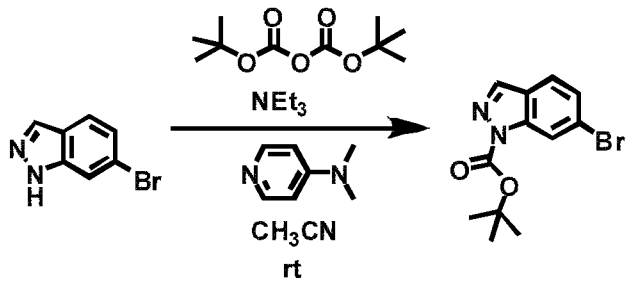


[0130] 2-Chloro-3-(4-fluorophenyl)pyridine was synthesized analogous to the reaction conditions used in the preparation of 5-(2-chloropyridin-3-yl)-1H-indazole by heating the mixture of 4-fluorophenyl boronic acid (3.0 g, 21.4 mmol), 3-bromo-2-chloropyridine (4.9 g, 25.7 mmol), $Pd(PPh_3)_4$ (1.6 g, 1.3 mmol) and 2M aq. Na_2CO_3 (25 mL, 50 mmol) in 1,4-dioxane (125 mL) under argon atmosphere for 12 h. LC/MS indicated three products with MH^+ 208, 254 and 268. Upon work-up of the reaction mixture, as discussed in the preparation of5-(2-chloropyridin-3-yl)-1H-indazole, the crude concentrated was purified by flash silica gel column

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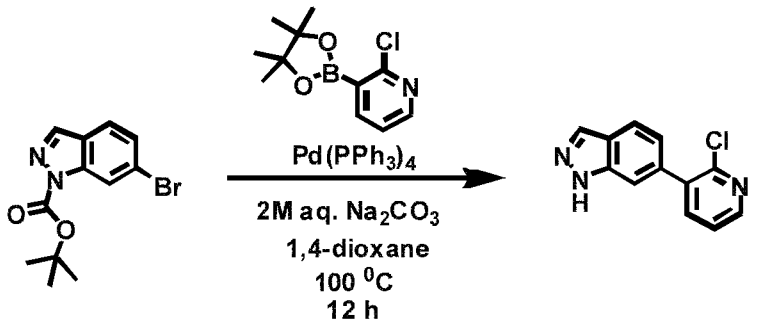
chromatography [Combiflash® companion system® with RediSep® silica gel column 120 g , 10-50%EtOAC/hexanes eluting solvent gradient upon liquid loading on to column].Two fractions containing the desired product were identified and concentrated.2-Chloro-3-(4-fluorophenyl)pyridine was isolated as a crystalline solid (888 mg, 16%) from the fraction containing 2, 3-bis(4-fluorophenyl)pyridine bysuspending the semi solid fraction mixture in 10% EtOAc/hexanes and filtered .^{1}H NMR (DMSO-d6): δ 8.41 (dd, 1H, J = 1.8 and 4.7 Hz), 7.86 (dd, 1H, J = 2.0 and 7.6 Hz), 7.54-7.48 (m, 3H), 7.34-7.31 (m, 2H).^{19}F NMR (DMSO-d6): δ -114.06 (s). LCMS: rt 7.50 min (A), purity 99 %, MS (m/e) 208 (MH^+).

Example 9 *tert*-Butyl 6-bromo-1H-indazole-1-carboxylate



[0131] Analogous to the preparation and work-up procedure of*tert*-butyl 5-bromo-1H-indazole-carboxylate,*tert*-butyl 6-bromo-1H-indazole-carboxylate was obtained by the reaction of 6-bromo-1H-indazole (5.0 g, 25.4 mmol), di-*tert*-butyl dicarbonate (7.2 g, 32.9 mmol), triethylamine (3.6 g, 1.0 mL, 35.7 mmol) and 4-(dimethylamino)pyridine (3.1 g, 25 mmol) in acetonitrile (40 mL) under a mild stream of nitrogen at room temperature. *tert*-butyl 6-bromo-1H-indazole-carboxylate (7.5 g, 97%) as a pale yellow viscous liquid which was used without further purification. ^{1}H NMR (DMSO-d6): δ 8.36 (d, 1H, J = 0.8 Hz), 8.11 (app d, 1H, J = 0.8 Hz), 8.00 (d, 1H, J = 8.8 Hz), 7.71 (app dd, 1H, J = 0.8 and 8.8 Hz), 1.62 (s, 9H). LCMS: 97 %, MS (m/e) 241 (MH^+-*t*-Bu).

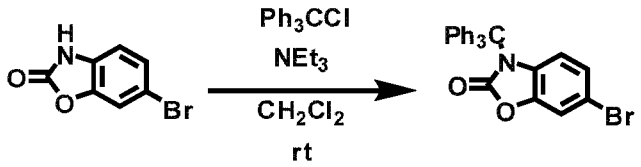
Example 10 6-(2-Chloropyridin-3-yl)-1H-indazole



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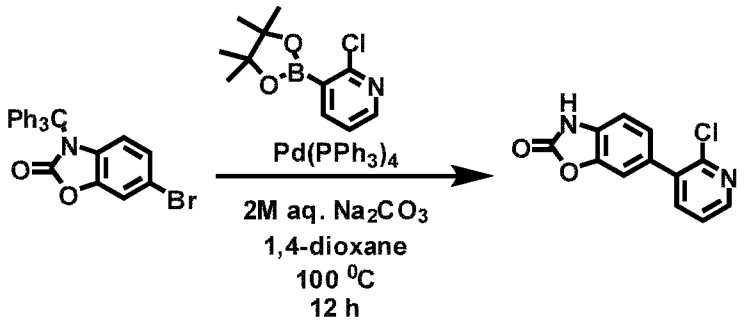
[0132] Analogous to the reaction conditons and work-up procdures used in thepreparation of 5-(2-chloropyridin-3-yl)-1H-indazole, 5-(2-chloropyridin-3-yl)-1H-indazole was obtained by heating the mixture of *tert*-butyl 6-bromo-1H-indazole-carboxylate (7.3 g, 24.6 mmol), 2-chloro-3-pyridine boronic acid pinacol ester (7.0 g, 29.5 mmol), $Pd(PPh_3)_4$ (2 g, 1.7 mmol) andaq.Na_2CO_3 (44 mL, 88 mmol) in 1,4-dioxane (200 mL) under argon atmosphere. The crude concentrate that was obtained after the extractive work-up dissolved in CH_2Cl_2, adsorbed on to silica gel and dried.Subsequent purification by flash silica gel column chromatography (Combiflash® companion system® with RediSep® silica gel column 120 g , 30-70%EtOAC/hexanes eluting solvent gradient upon dry powder loading) provided5-(2-chloropyridin-3-yl)-1H-indazole as a white solid (4.2 g, 74%) . ^1H NMR (DMSO-d6): δ 13.21 (s, 1H), 8.43 (dd, 1H, J = 1.7 and 4.7 Hz), 8.12 (s, 1H), 7.93 (dd, 1H, J = 1.7 and 7.6 Hz), 7.84 (d, 1H, J = 8.5 Hz), 7.59 (s, 1H), 7.52 (dd, 1H, J = 4.7 and 7.6 Hz), 7.17 (d, 1H, J = 8.5 Hz).LCMS: rt 6.17 min (A), purity 98 %, MS (m/e) 230 (MH^+).

Example 11 1-Trityl-6-bromo-2-benzoxazilinone



[0133] Triethylamine (0.72 g, 1.0 mL, 7.1 mmol) was added to a stirring a mixture of 6-bromo-2benzoxazilinone (0.89 g, 4.2 mmol) and tritylchloride (1.21 g, 4.4 mmol) in CH_2Cl_2 (10 mL) for a period of 10 min. The reaction was monitored by TLC (silica gel) and concentrated after 1h. The concentrate was diluted with water and sonicated to form a heterogeneous solution. The resulting off-white solid was suction filtered and dried to provide 1-trityl-6-bromo-2-benzoxazilinone (2.0 g). LCMS: rt 9.45 min (A), purity 96 %, MS (m/e) 456 (MH^+).

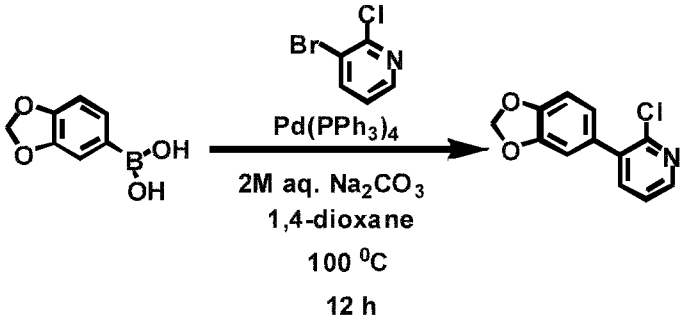
Example 12 6-(2-chloropyridin-3-yl)benzo[d]oxazol-2(3H)-one



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[0134] Analogous to the preparation of 5-(2-chloropyridin-3-yl)-1H-indazole,6-(2-chloropyridin-3-yl)benzo[d]oxazol-2(3H)-one was prepared by heating the mixture of 1-trityl-6-bromo-2-benzoxazilinone (2.0 g, 4.4 mmol), 2-chloro-3-pyridine boronic acid pinacol ester (1.3 g, 5.4 mmol), $Pd(PPh_3)_4$ (0.5 g, 0.43 mmol) and 2M aq. Na_2CO_3 (8 mL, 16 mmol) in 1,4-dioxane (75 mL) under argon atmosphere for 12 h. LC/MS indicated three products with MH^+ 489, 245 and 566. Extractive work-up followed by flash silica gel column purification (Combiflash® companion system® with RediSep® silica gel column 40 g , 20-70%EtOAC/hexanes eluting solvent gradient upon dry loadingthe concentrate absorbed on silica gel) provided 6-(2-chloropyridin-3-yl)benzo[d]oxazol-2(3H)-one (0.44 g, 38%) as an off-white solid after concentration of the respective product fractions.LCMS: rt 5.85 min (A), purity 94 %, MS (m/e) 247 (MH^+).

Example 13 3-(Benzo[d][1,3]dioxol-6-yl)-2-chloropyridine

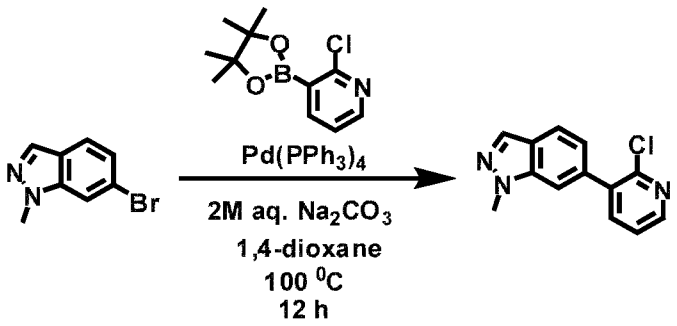


[0135] Analogous to the preparation of 5-(2-chloropyridin-3-yl)-1H-indazole,3-(benzo[d][1,3]dioxol-6-yl)-2-chloropyridinewas prepared by heating the mixture of 3,4-(methylenedioxy)phenyl boronic acid (3.0 g, 18.1 mmol), 3-bromo-2-chloropyridine (4.2 g, 1.8 mmol), $Pd(PPh_3)_4$ (1.2 g, 1.0 mmol) and 2M aq. Na_2CO_3 (27 mL, 54 mmol) in 1,4-dioxane (125 mL) under argon atmosphere for 12 h. LC/MS indicated three products. The crude concentrate that was obtained after the extractive work-up dissolved in CH_2Cl_2, adsorbed on to silica gel and dried.Subsequent purification by flash silica gel column chromatography (Combiflash® companion system® with RediSep® silica gel column 120 g, 30-70%EtOAC/hexanes eluting solvent gradient upon dry powder loading) provided 3-(benzo[d][1,3]dioxol-6-yl)-2-chloropyridine as a white solid 2.3 g, (38%) .^{1}H NMR (DMSO-d6): δ 8.38 (dd, 1H, J = 1.7 and 4.7 Hz), 7.82 (dd, 1H, J = 2.0 and 7.6 Hz), 7.47 (dd, 1H, J = 4.7 and 7.6 Hz), 7.05 (d, 1H, J = 1.7

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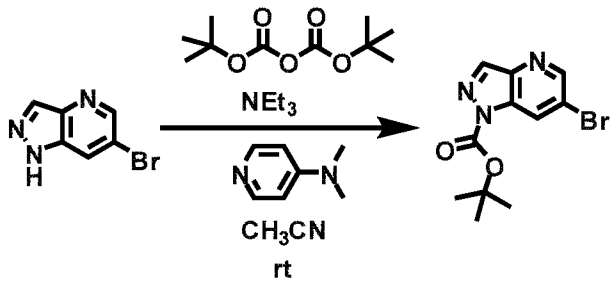
Hz), 7.01 (d, 1H, J = 7.9 Hz), 6.90 (dd, 1H, J = 1.7 and 7.9 Hz), 6.07 (s, 2H LCMS: rt 7.27 min (A), purity 96 %, MS (m/e) 234 (MH^+).

Example 14 6-(2-Chloropyridin-3-yl)-1-methyl-1H-indazole



[0136] Analogous to the preparation of 5-(2-chloropyridin-3-yl)-1H-indazole,6-(-2-chloropyridin-3-yl)-1-methyl-1H-indazole was prepared by heating the mixture of 6-bromo-1-methyl-1H-indazole (2.0 g, 9.5 mmol), 2-chloro-3-pyridine boronic acid pinacol ester (2.2 g, 9.4 mmol), $Pd(PPh_3)_4$ (0.54 g, 0.46 mmol) and 2M aq. Na_2CO_3 (14 mL, 28 mmol) in 1,4-dioxane (75 mL) under argon atmosphere for 12 h. Upon extractive work-up as discussed in the preparation of of 5-(2-chloropyridin-3-yl)-1H-indazole with CH_2Cl_2 and purification of the concentrate by flash silica gel column chromatography (Combiflash® companion system® with RediSep® silica gel column 40 g, 30-50%EtOAC/hexanes eluting solvent gradient upon dry loadingthe concentrate absorbed on silica gel) provided 6-(-2-chloropyridin-3-yl)-1-methyl-1H-indazole as a white solid (1.8 g, 77%).^{1}H NMR (DMSO-d6): δ 8.45 (dd, 1H, J = 1.7 and 4.7 Hz), 8.09 (s, 1H), 7.94 (dd, 1H, J = 2.0 and 7.6 Hz), 7.82 (d, 1H, J = 8.5 Hz), 7.74 (s, 1H), 7.54 (dd, 1H, J = 4.7 and 7.6 Hz),7.22 (d, 1H, J = 8.5 Hz), 4.06 (s, 3H).LCMS: rt 6.80 min (A), purity 97 %, MS (m/e) 244 (MH^+).

Example 15 *tert*-Butyl 6-bromo-1H-pyrazolo[4,3-b]pyridine-1-carboxylate

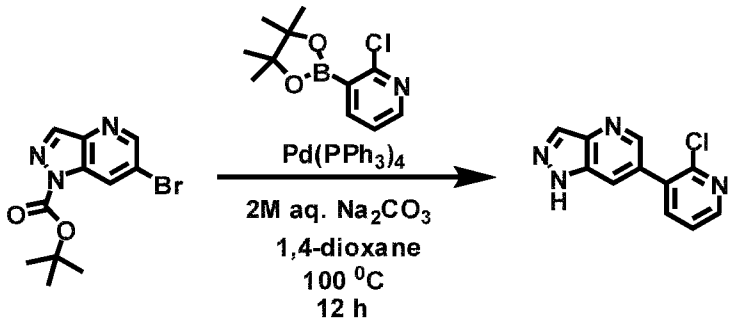


[0137] Analogous to the preparation of*tert*-butyl 5-bromo-1H-pyrazolo[3,4-b]pyridine-1-carboxylate, 6-bromo-1H-pyrazolo[4,3-b]pyridine (2.0 g, 10.10 mmol) was reacted with di-*tert*-

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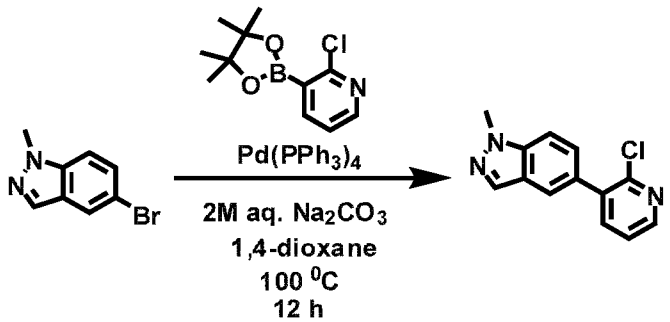
butyl dicarbonate (2.8 g, 13.10 mmol), NEt_3 (1.44 g, 2.0 mL, 14 mmol) and 4-(dimethylamino)pyridine in acetonitrile (20 mL) for 3h.Work-up as discussed previously in the preparation of tert-butyl 5-bromo-1H-pyrazolo[3,4-b]pyridine-1-carboxylate provided desired product of *tert*-butyl 6-bromo-1H-pyrazolo[4,3-b]pyridine-1-carboxylate as a brown solid (2.8 g, 93%).

Example 16 6-(2-Chloropyridin-3-yl)-1H- pyrazolo[4,3-b]pyridine



[0138] 6-(2-Chloropyridin-3-yl)-1H-pyrazolo[4,3-b]pyridine was synthesized in the similar manner to the preparation of 5-(2-chloropyridin-3-yl)-1H-pyrazolo[3,4-b]pyridine by heating the mixture of *tert*-butyl 6-bromo-1H-pyrazolo[4,3-b]pyridine-1-carboxylate (2.5 g, 8.4 mmol), 2-chloro-3-pyridine boronic acid pinacol ester (2.2 g, 9.2 mmol), $Pd(PPh_3)_4$ (610 mg, 0.52 mmol) and 2M aq.Na_2CO_3 (12 mL, 24 mmol) in 1,4-dioxane (40 mL).Upon work-up of the reaction mixture similar to 5-(2-chloropyridin-3-yl)-1H-pyrazolo[3,4-b]pyridine provided 6-(2-chloropyridin-3-yl)-1H-pyrazolo[4,3-b]pyridine as an off-white crystalline solid.^{1}H NMR (DMSO-d6): δ 13.50 (s, 1H), 8.57 (app s, 1H), 8.48 (dd, 1H, J = 1.7 and 4.7 Hz), 8.35 (s, 1H), 8.12 (s, 1H), 8.02 (dd, 1H, J = 1.7 and 7.6 Hz), 7.60 (dd, 1H, J = 4.7 and 7.7 Hz).LCMS: rt 4.50 min (A), purity 94 %, MS (m/e) 231 (MH^+).

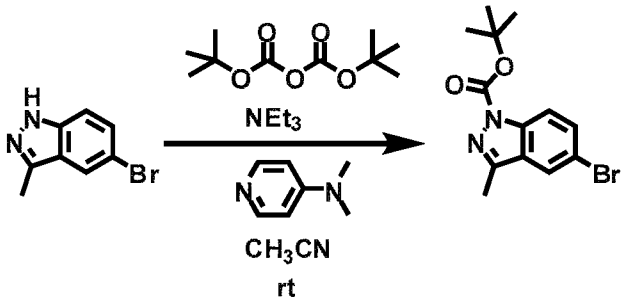
Example 17 6-(2-Chloropyridin-3-yl)-1-methyl-1H-indazole



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[0139] Analogous to the preparation of 5-(2-chloropyridin-3-yl)-1H-indazole,5-(2-chloropyridin-3-yl)-1-methyl-1H-indazole was prepared by heating the mixture of 5-bromo-1-methyl-1H-indazole (1.0 g, 4.7 mmol), 2-chloro-3-pyridine boronic acid pinacol ester (1.2 g, 5.2 mmol), $Pd(PPh_3)_4$ (270 mg, 0.23 mmol) and 2M aq. Na_2CO_3 (6 mL, 12 mmol) in 1,4-dioxane (75 mL) under argon atmosphere for 12 h. Upon work-up as discussed in the preparation of of 5-(2-chloropyridin-3-yl)-1H-indazole and purification of the concentrate by flash silica gel column chromatography [Combiflash® companion system® with RediSep® silica gel column 40 g, 30-50%EtOAc/hexanes eluting solvent gradient upon dry loadingthe concentrate absorbed on silica gel] provided 5-(2-chloropyridin-3-yl)-1methyl-1H-indazole as white solid (0.84 g, 73%).^{1}H NMR (DMSO-d6): δ 8.41 (dd, 1H, J = 1.7 and 4.7 Hz), 8.10 (s, 1H), 7.90 (dd, 1H, J = 1.7 and 7.6 Hz), 7.83 (app t, 1H, J = 0.6 Hz), 7.72 (dd, 1H, J = 0.6 and 8.8 Hz), 7.51 (dd, 1H, J = 4.7 and 7.6 Hz), 7.48 (dd, 1H, J = 1.7 and 8.8 Hz), 4.07 (s, 3H).LCMS: rt 6.73 min (A), purity 99 %, MS (m/e) 244 (MH^+).

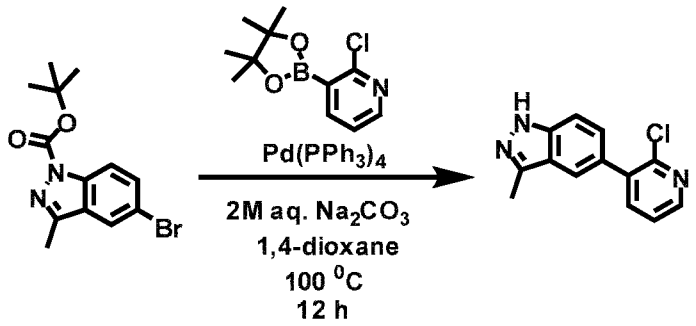
Example 18 *tert*-Butyl 5-bromo-3-methyl-1H-indazole-1-carboxylate



[0140] Analogous to the preparation *tert*-butyl 5-bromo-1H-pyrazolo[3,4-b]pyridine-1-carboxylate,*tert*-butyl 5-bromo-3-methyl-1H-indazole-1-carboxylate was prepared by reacting 5-bromo-3-methyl-1H-indazole (1.0g, 4.7 mmol), di-*tert*-butyl dicarbonate (1.2 g, 6.4 mmol), NEt_3 (0.72g, 1.0 mL, 7.1 mmol) and 4-(dimethylamino)pyridine (0.57 g, 4.7 mmol). The reaction mixture was concentrated and diluted with water. The resultant solid was collected by filtration and suction dried to provide tert-butyl 5-bromo-3-methyl-1H-indazole-1-carboxylate (1.5 g, 97%) as a white solid. ^{1}H NMR (DMSO-d6): δ 8.10 (d, 1H, J = 1.8 Hz), 7.96 (d, 1H, J = 9.1 Hz), 7.71 (dd, 1H, J = 1.8 and 9.1 Hz), 2.49 (s, 3H), 1.61 (s, 9H).LCMS: 97 %, MS (m/e) 254 (MH^+-*t*-Bu).

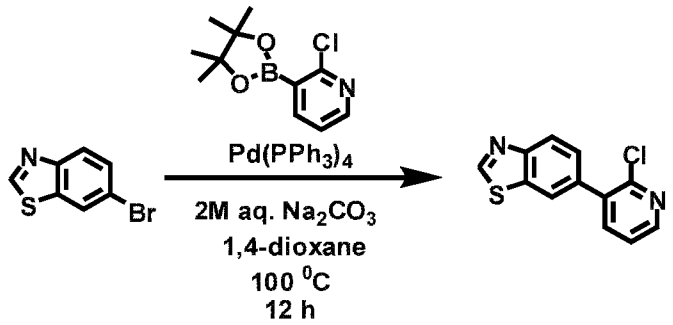
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Example 19 5-(2-Chloropyridin-3-yl)-3-methyl-1H-indazole



[0141] 5-(2-Chloropyridin-3-yl)-3-methyl-1H-indazole was synthesized in the similar manner to the preparation of 5-(2-chloropyridin-3-yl)-1H-indazole by heating the mixture of tert-butyl 5-bromo-3-methyl-1H-indazole-1-carboxylate (1.5 g, 4.8 mmol), 2-chloro-3-pyridine boronic acid pinacol ester (1.3 g, 5.3 mmol), $Pd(PPh_3)_4$ (390 mg, 0.33 mmol) and 2M aq.Na_2CO_3 (7 mL, 14 mmol) in 1,4-dioxane (40 mL).Upon work-up and purification procedure used in the prepartion of 5-(2-chloropyridin-3-yl)-1H-indazole provided 5-(2-chloropyridin-3-yl)-3-methyl-1H-indazole (0.52, 43%) as a white crystalline solid.^{1}H NMR (DMSO-d6): δ 12.75 (s, 1H), 8.41 (dd, 1H, J = 1.7 and 4.7 Hz), 7.91 (dd, 1H, J = 1.7 and 7.6 Hz), 7.77 (s, 1H), 7.52 (d, 1H, J = 8.5 Hz), 7.51 (dd, 1H, J = 4.7 and 7.6 Hz), 7.41 (dd, 1H, J = 1.4 and 8.5 Hz), 2.49 (s, 3H).LCMS: rt 6.28 min (A), purity 97 %, MS (m/e) 244.

Example 20 6-(2-Chloropyridin-3-yl)benzo[d]thiazole

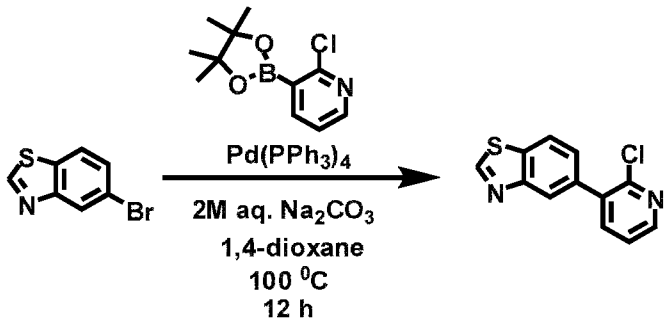


[0142] 6-(2-Chloropyridin-3-yl)benzo[d]thiazole was prepared analogous to 5-(2-chloropyridin-3-yl)-1H-indazole by heating the mixture of 6-bromobenzo[d]thiazole (2.0 g, 9.3 mmol), 2-chloro-3-pyridine boronic acid pinacol ester (2.7 g, 11.2 mmol), $Pd(PPh_3)_4$ (500 mg, 0.43 mmol) and 2M aq.Na_2CO_3 (14 mL, 28 mmol) in 1,4-dioxane (100 mL). The reaction mixture was concentrated at the completion of the reaction (12h) and diluted with CH_2Cl_2 (200 mL/100 mL). Mixed organic layers were stirred with $MgSO_4$ and Celite® for 30min. The slurry was suction

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filtered and concentrated by rotary evaporator under vacuum. The crude concentrate was dissolved in CH_2Cl_2, adsorbed on silica gel and dried. The dry powder thus obtained was purified by silica gel flash column chromatography (Combiflash® companion system® with RediSep® silica gel column 40 g, 30-70% EtOAC/hexanes eluting solvent gradient).6-(2-chloropyridin-3-yl)benzo[d]thiazole (1.9 g, 82%) was obtained as a white solid upon concentration of theproduct fractions.^{1}H NMR (DMSO-d6): δ 9.46 (s, 1H), 8.46 (dd, 1H, J = 1,7 and 4.7 Hz), 8.30 (d, 1H, J = 1.4 Hz), 8.17 (d, 1H, J = 8.2 Hz), 7.96 (dd, 1H, J = 1.7 and 7.6 Hz), 7.63 (dd, 1H, J = 1.4 and 8.5 Hz), 7.53 (dd, 1H, J = 4.7 and 7.6 Hz). LCMS: rt 6.71 min (A), purity 99 %,MS (m/e) 247.

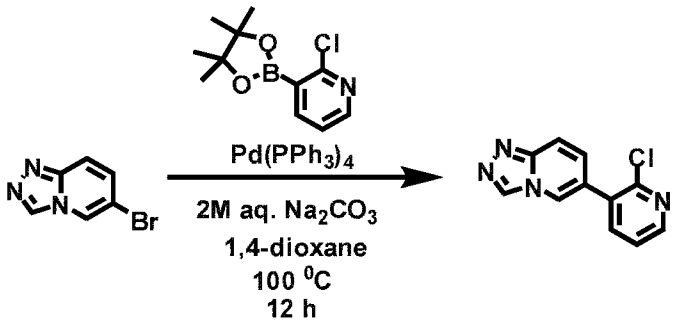
Example 21 5-(2-Chloropyridin-3-yl)benzo[d]thiazole



[0143] 5-(2-chloropyridin-3-yl)benzo[d]thiazole was prepared in the similar manner of 6-(2-chloropyridin-3-yl)benzo[d]thiazole by heating the mixture of 5-bromobenzothiazole (1.0 g, 4.67 mmol), 2-chloro-3-pyridine boronic acid pinacol ester (1.34 g, 5.6 mmol), $Pd(PPh_3)_4$ (250 mg, 0.22 mmol) and 2M aq.Na_2CO_3 (7 mL, 14 mmol) in 1,4-dioxane (50 mL).Upon work-up and purification protocol used in the preparation of 6-(2-chloropyridin-3-yl)benzo[d]thiazole provided 5-(2-chloropyridin-3-yl)benzo[d]thiazoleas a white solid (820 mg, 70%).^{1}H NMR (DMSO-d6): δ 9.46 (s, 1H), 8.45 (dd, 1H, J = 2.0 and 4.9 Hz), 8.27 (d, 1H, J = 8.5 Hz), 8.17 (app s, 1H), 7.97 (dd, 1H, J = 1.7 and 7.6 Hz), 7.58 (d, 1H, J = 8.5 Hz), 7.53 (dd, 1H, J = 4.7 and 7.6 Hz), LCMS: rt 6.73 min (A), purity 99 %, MS (m/e) 247.

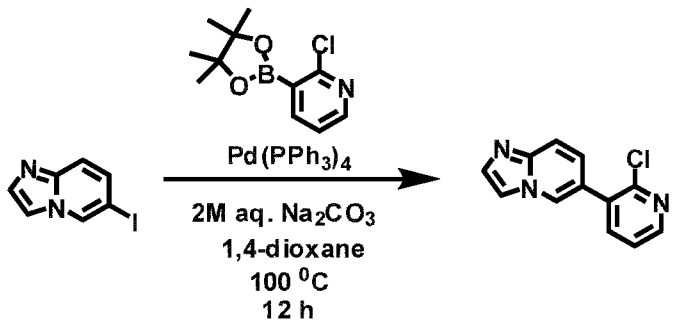
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Example 22 6-(2-Chloropyridin-3-yl)-[1,2,4]triazolo[4,3-a]pyridine



[0144] 6-(2-chloropyridin-3-yl)-[1,2,4]triazolo[4,3-a]pyridine was synthesized in the similar to 6-(2-chloropyridin-3-yl)benzo[d]thiazole from 6-bromo[1,2,4]triazolo[4,3a]pyridine (1.0 g, 5.0 mmol), 2-chloro-3-pyridine boronic acid pinacol ester (1.45 g, 6.0 mmol), $Pd(PPh_3)_4$ (300 mg, 0.26 mmol) and 2M aq.Na_2CO_3 (8mL, 16 mmol) in 1,4-dioxane (50 mL).Workup of the reaction mixture was carriedout by sequential steps of concentrating the reaction mixture, extraction with CH_2Cl_2, drying over $MgSO_4$/ Celite®, filtration and concentration. Thus obtained crude residue was dissolved in CH_2Cl_2 (10 mL) and the homogeneous solution stirred with 50% EtOAc/hexanes (40 mL). The resulting off-white precipitate was collected by filtration and dried to provide 6-(2-chloropyridin-3-yl)-[1,2,4]triazolo[4,3-a]pyridine (0.68 g, 58%).^{1}H NMR (DMSO-d6): δ 8.29 (s, 1H), 8.74 (s, 1H), 8.50 (dd, 1H, J = 1.7 and 4.7 Hz), 8.01 (dd, 1H, J = 1.7 and 7.6 Hz), 7.87 (d, 1H, J = 9.7 Hz), 7.58 (dd, 1H, J = 4.7 and 7.6 Hz), 7.51 (dd, 1H, J = 1.4 and 9.7 Hz).LCMS: rt 3.90 min (A), purity 99 %, MS (m/e) 231 (MH^+).

Example 23 6-(2-Chloropyridin-3-yl)imidazo[1,2-a]pyridine

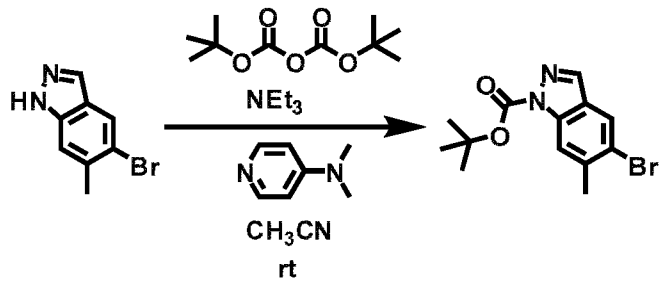


[0145] 6-(2-Chloropyridin-3-yl)imidazo[1,2-a]pyridine was synthesized in the similar to 6-(2-chloropyridin-3-yl)benzo[d]thiazole from 6-iodo-imidazo[1,2-a]pyridine (1.0 g, 4.1 mmol), 2-chloro-3-pyridine boronic acid pinacol ester (1.2 g, 5.0 mmol), $Pd(PPh_3)_4$ (250 mg, 0.22 mmol) and 2M aq.Na_2CO_3 (7 mL, 12 mmol) in 1,4-dioxane (50 mL).Workup of the reaction mixture

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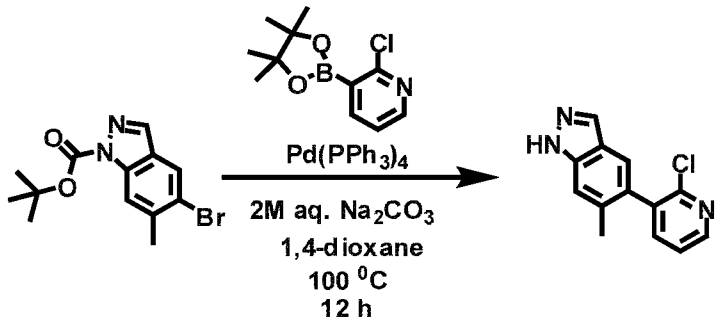
was carriedout by sequential steps of concentrating the reaction mixture, extraction with CH_2Cl_2, drying over $MgSO_4$/ Celite®, filtration and concentration. The crude residue was stirred in 50% EtOAc/hexanes (40 mL). The resulting white precipitate was filtered and dried to provide 6-(2-chloropyridin-3-yl)imidazo[1,2-a]pyridine (0.52 g, 55%).^{1}H NMR (DMSO-d6): δ 8.73 (dd, 1H, J = 0.8 and 1.8 Hz), 8.47 (dd, 1H, J = 2.0 and 4.7 Hz), 8.00 (dd, 1H, J = 2.0 and 7.6 Hz), 7.98 (s, 1H), 7.64 (d, 1H, J = 8.8 Hz),7.63 (s, 1H), 7.56 (dd, 1H, J = 4.7 and 7.6 Hz), 7.33 (dd, 1H, J = 1.8 and 8.8 Hz), Hz).LCMS: rt 3.16 min (A), purity 99 %, MS (m/e) 230 (MH^+).

Example 24 *tert*-Butyl 5-bromo-6-methyl-1H-indazole-1-carboxylate



[0146] Analogous to the preparation *tert*-butyl 5-bromo-3-methyl-1H-indazole-1-carboxylate,*tert*-Butyl 5-bromo-6-methyl-1H-indazole-1-carboxylate was prepared by reacting 5-bromo-6-methyl-1H-indazole (1.0 g, 4.7 mmol), di-*tert*-butyl dicarbonate (1.2 g, 6.4 mmol), NEt_3 (0.72 g, 1.0 mL, 7.2 mmol) and 4-(dimethylamino)pyridine (0.57 g, 4.7 mmol). The reaction mixture was concentrated and diluted with water. The resultant precipitate was collected by filtration to obtain the desired product (1.4 g, 95%).^{1}H LCMS: 97 %, MS (m/e) (MH^+-*t*-Bu).

Example 25 5-(2-Chloropyridin-3-yl)-6-methyl-1H-indazole

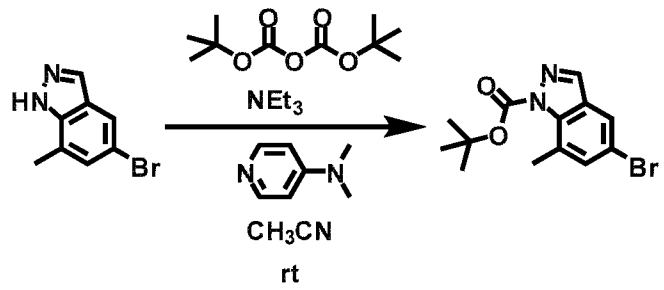


[0147] 5-(2-Chloropyridin-3-yl)-6-methyl-1H-indazole was synthesized in the similar manner to the preparation of 5-(2-chloropyridin-3-yl)-1H-indazole by heating the mixture of *tert*-butyl 5-bromo-6-methyl-1H-indazole-1-carboxylate (1.4 g, 4.5 mmol), 2-chloro-3-pyridine boronic acid pinacol ester (1.4 g, 5.9 mmol), $Pd(PPh_3)_4$ (370 mg, 0.32 mmol) and 2M aq.Na_2CO_3 (7 mL, 14

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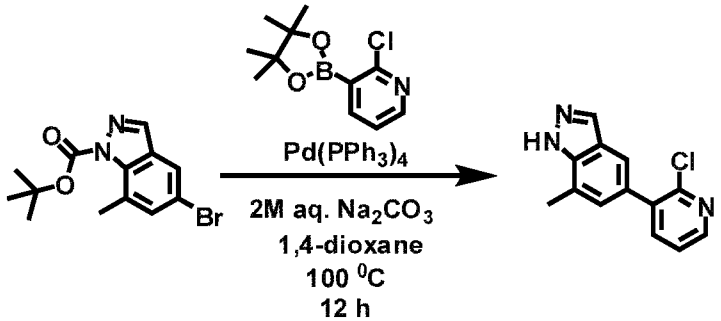
mmol) in 1,4-dioxane (40 mL).Upon work-up and purification procedure used in the preparation of 5-(2-chloropyridin-3-yl)-1H-indazole provided 5-(2-chloropyridin-3-yl)-6-methyl-1H-indazole as a white solid (780 mg, 67%). ^{1}H NMR (DMSO-d6): δ 13.02 (s, 1H), 8.44 (dt, 1H, J = 1.7 and 4.7 Hz), 8.01 (s, 1H), 7.81 (dt, 1H, J = 1.7 and 7.6 Hz), 7.53 (s, 1H), 7.51-7.48 (m, 1H), 7.45 (s, 1H), 2.12 (s, 3H).LCMS: rt 6.30 min (A), purity 98 %, MS (m/e) 244.

Example 26 tert-Butyl 5-bromo-7-methyl-1H-indazole-1-carboxylate



[0148] Analogous to the preparation *tert*-butyl 5-bromo-3-methyl-1H-indazole-1-carboxylate,*tert*-butyl 5-bromo-7-methyl-1H-indazole-1-carboxylate was prepared by reacting 5-bromo-7-methyl-1H-indazole (1.0 g, 4.7 mmol), di-*tert*-butyl dicarbonate (1.2 g, 6.4 mmol), NEt_3 (0.72 g, 1.0 mL, 7.2 mmol) and 4-(dimethylamino)pyridine (0.57 g, 4.7 mmol). The reaction mixture was concentrated, diluted with water (30 mL) and extracted with 50% EtOAc/hexanes (140 mL). Organic layer was washed with aq. 1N HCl, (15 mL), water (2 X 50 mL), aq. $NaHCO_3$ (2 X 30 mL) and saturated aq. NaCl (30 mL) successively, stirred with $MgSO_4$, filtered and concentrated to obtain tert-butyl 5-bromo-7-methyl-1H-indazole-1-carboxylate as a viscous liquid. The material thus obtained was used in the next step.

Example 27 5-(2-Chloropyridin-3-yl)-6-methyl-1H-indazole

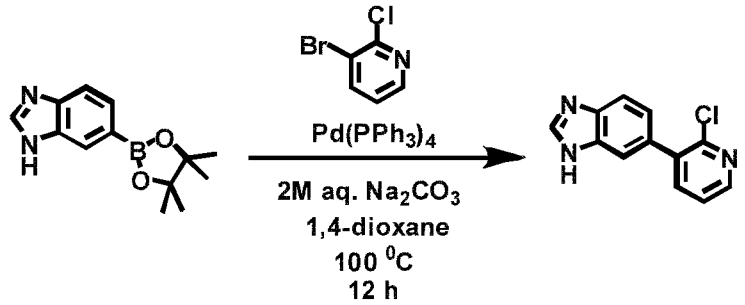


[0100] 5-(2-Chloropyridin-3-yl)-7-methyl-1H-indazole was synthesized in the similar manner to the preparation of 5-(2-chloropyridin-3-yl)-1H-indazole by heating the mixture of tert-butyl 5-bromo-6-methyl-1H-indazole-1-carboxylate (1.4 g, 4.5 mmol), 2-chloro-3-pyridine boronic acid

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pinacol ester (1.4 g, 5.9 mmol), $Pd(PPh_3)_4$ (370 mg, 0.32 mmol) and 2M aq.Na_2CO_3 (7 mL, 14 mmol) in 1,4-dioxane (40 mL).Upon work-up and purification procedure used in the preparation of 5-(2-chloropyridin-3-yl)-1H-indazole provided white solid of 5-(2-chloropyridin-3-yl)-7-methyl-1H-indazole(840 mg, 73%). ^{1}H NMR (DMSO-d6): δ 13.28 (s, 1H), 8.40 (dd, 1H, J = 1.7 and 4.7 Hz), 8.11 (app d, 1H, J = 1.2 Hz), 7.87 (dd, 1H, J = 1.7 and 7.6 Hz), 7.64 (s, 1H), 7.49 (dd, 1H, J = 4.7 and 7.6 Hz), 7.19 (s, 1H), 2.54 (s, 3H).LCMS: rt 6.41 min (A), purity 98 %, MS (m/e) 244 (MH^+)

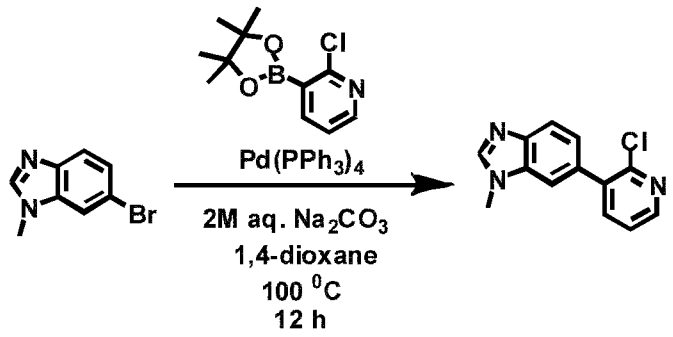
Example 28 6-(2-Chloropyridin-3-yl)-1H-benzimidazole



[0149] 6-(2-Chloropyridin-3-yl)-1H-benzimidazolewas synthesized in the similar manner to the preparation of 5-(2-chloropyridin-3-yl)-1H-indazole by heating the mixture of3-bromo-2-chloropyridine (0.70 g, 3.63 mmol), 1H-benzimidazole-5-boronic acid pinacol ester (0.8 g, 3.27 mmole), $Pd(PPh_3)_4$ (330 mg, 0.28 mmol) and 2M aq.Na_2CO_3 (4mL, 8 mmol) in 1,4-dioxane (30 mL).Upon extractive work-up with CH_2Cl_2 and purification of the concentrate by flash silica gel column chromatography (Combiflash® companion system® with RediSep® silica gel column 24 g, 3% MeOH/EtOAc as a eluting solvent upon dry loadingthe concentrate absorbed on silica gel) provided 6-(2-chloropyridin-3-yl)-1H-benzimidazole (200 mg, 26%).^{1}H NMR (DMSO-d6): δ 12.57 (s, 1H), 8.95 (dd, 1H, J = 1.7 and 4.9 Hz), 8.28 (s, 1H), 7.90 (dd, 1H, J = 1.7 and 7.6 Hz), 7.70-7.62 (m, 2H), 7.58 (dd, 1H, J = 4.9 and 7.6 Hz), 7.29-7.27 (app s, 1H).LCMS: rt 3.71 min (A), purity 96 %, MS (m/e) 230 (MH^+).

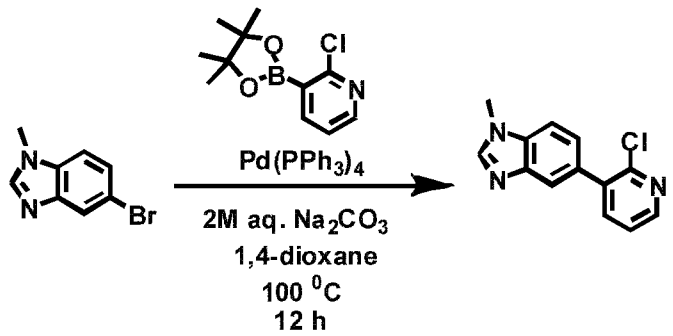
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Example 29 6-(2-Chloropyridin-3-yl)-1H-benzimidazole



[0150] 6-(2-Chloropyridin-3-yl)-1-methyl-1H-benzimidazolewas synthesized in the similar manner to the preparation of 5-(2-chloropyridin-3-yl)-1H-indazole by heating the mixture of6-bromo-1-methyl-1H-benzimidazole (1.0 g, 4.7 mmole), 2-chloro-3-pyridine boronic acid pinacol ester (1.4 g, 5.8 mmol), $Pd(PPh_3)_4$ (330 mg, 0.28 mmol) and 2M aq.Na_2CO_3 (7mL, 14 mmol) in 1,4-dioxane (60 mL).Upon extractive work-up with CH_2Cl_2 and purification (Combiflash® companion system® with RediSep® silica gel column 24 g, 3% MeOH/EtOAc as a eluting solvent) provided off-white solid of6-(2-chloropyridin-3-yl)-1H-benzimidazole (430 mg, 36%).^{1}H NMR (DMSO-d6): δ 8.42 (dd, 1H, J = 1.7 and 4.7 Hz), 8.24 (s, 1H), 7.91 (dd, 1H, J = 1.7 and 7.6 Hz), 7.60 (d, 1H, J = 8.8 Hz), 7.67 (app d, 1H, J = 0.7 Hz),7.53 (dd, 1H, J = J = 4.7 and 7.6 Hz), 7.29 (dd, 1H, J = 1.7 and 8.8 Hz), 3.86 (s, 3H LCMS: rt 3.85 min (A), purity 94 %, MS (m/e) 244 (MH^+)

Example 30 5-(2-Chloropyridin-3-yl)-1H-benzimidazole

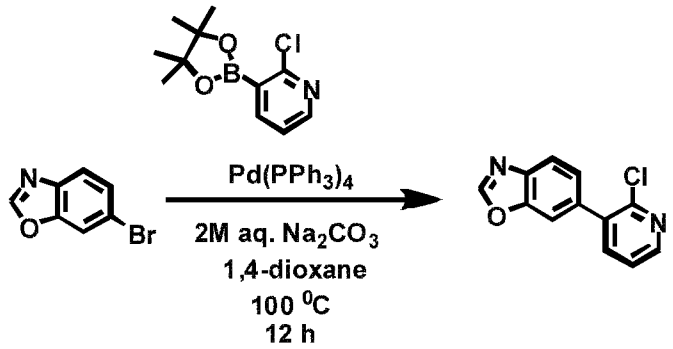


[0151] 5-(2-Chloropyridin-3-yl)-1-methyl-1H-benzimidazole was synthesized in the similar manner to the preparation of 6-(2-Chloropyridin-3-yl)-1-methyl-1H-benzimidazole.^{1}H NMR (DMSO-d6): δ 8.41 (dd, 1H, J = 1.7 and 4.7 Hz), 8.25 (s, 1H), 7.89 (dd, 1H, J = 1.7 and 7.6 Hz), 7.71 (app d, 1H, J = 0.7 Hz), 7.65 (d, 1H, J = 8.5 Hz), 7.51 (dd, 1H, J = J = 4.7 and 7.6 Hz), 7.35

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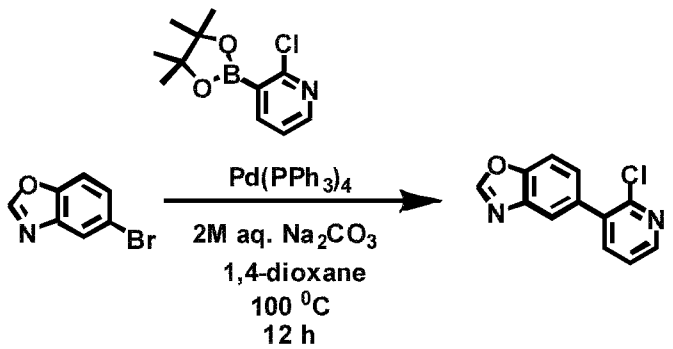
(dd, 1H, J = 1.4 and 8.5 Hz), 3.86 (s, 3H).LCMS: rt 3.86 min (A), purity 93 %,MS (m/e) 244 (MH^+)

Example 31 6-(2-Chloropyridin-3-yl)benzoxazole



[0152] Analogous to the preparation of 5-(2-chloropyridin-3-yl)-1H-indazole, 6-(2-chloropyridin-3-yl)benzoxazole was prepared by heating the mixture of 6-bromo-benzoxazole (1.0 g, 5.1 mmol), 2-chloro-3-pyridine boronic acid pinacol ester (1.5 g, 6.6 mmol), $Pd(PPh_3)_4$ (400 mg, 0.34 mmol) and2M aq.Na_2CO_3 (8 mL, 16 mmol) in 1,4-dioxane (40 mL).Upon extractive work-up with CH_2Cl_2 and purification (Combiflash® companion system® with RediSep® silica gel column 24 g, 30-50% EtOAc/hexanes as a eluting solvent) provided off-white solid f 6-(2-chloropyridin-3-yl)benzoxazole(440 mg, 37%).^{1}H NMR (DMSO-d6): δ 8.82 (s, 1H), 8.45 (dd, 1H, J = 1.7 and 4.7 Hz), 7.95-7.92 (m, 2H), 7.89 (d, 1H, J = 8.5 Hz), 7.55 (dd, 1H, J = 4.7 and 7.6 Hz), 7.49 (d, 1H, J = 8.5 Hz).LCMS: rt 5.93 min (B), purity 99 %, MS (m/e) 231 (MH^+)

Example 32 5-(2-Chloropyridin-3-yl)benzoxazole

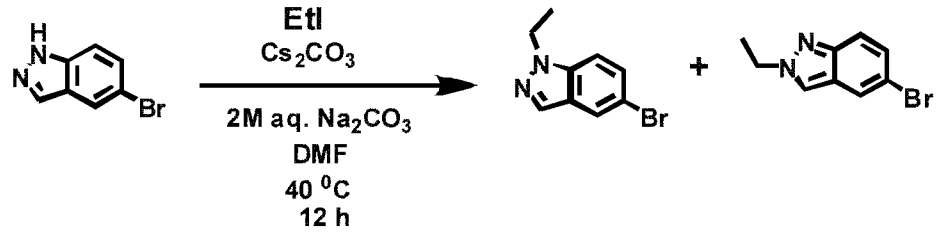


[0153] 5-(2-Chloropyridin-3-yl)benzoxazole (310 mg, 25%) was prepared in the identical manner to the preparation of 6-(2-chloropyridin-3-yl)benzoxazole.^{1}H NMR (DMSO-d6): δ 8.82

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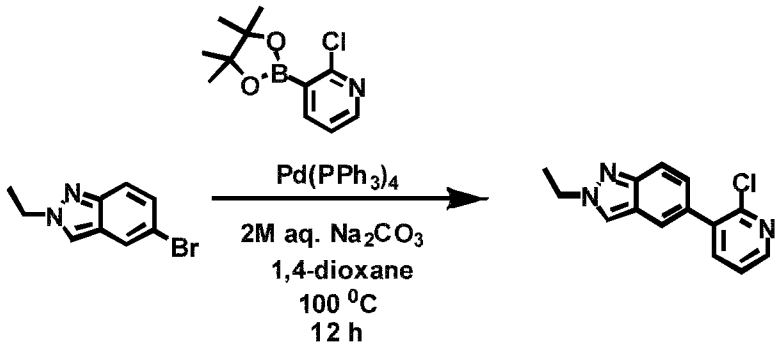
(s, 1H), 8.44 (dd, 1H, J = 1.7 and 4.7 Hz), 7.95-7.90 (m, 2H), 7.87 (d, 1H, J = 8.5 Hz), 7.55-7.50 (m, 2H).LCMS: rt 5.96 min (A), purity 94 %, MS (m/e) 231 (MH^+).

Example 33 Preparation of 5-bromo-1-ethyl-1H-indazole and 5-bromo-2-ethyl-2H-indazole



[0154] A stirred mixture of 5-bromo-1H-indazole (2.0 g, 10.1 mmol), iodoethane (2.0, 12.8 mmol), Cs_2CO_3 (4.0 g, 12.27 mmol) was heated in dry DMF at 40 ^{0}C for 12h under argon. The reaction mixture was cooled, diluted with water and EtOAc.Aqueous layer was discarded and the organic layer was washed with water and aq. NaCl successively.Collected organic layer was stirred with $MgSO_4$ for 10 min, filtered and concentrated. The well separated (on TLC) regio-isomers were isolated by flash silica gel column chromatography (combiflash 0-30-50% EtOAc/hexanes, 80 g).5-Bromo-1-ethyl-1H-indazole (1.2 g, liquid, 52%). LCMS: rt 7.58 min (A), purity 99 %, MS (m/e) 231 (MH^+). 5-bromo-2-ethyl-2H-indazole (900 mg, liquid, 39%). LCMS: rt 6.98 min (A), purity 97 %, MS (m/e) 227 (MH^+).

Example 34 5-(2-Chloropyridin-3-yl)-2-ethyl-2H-indazole

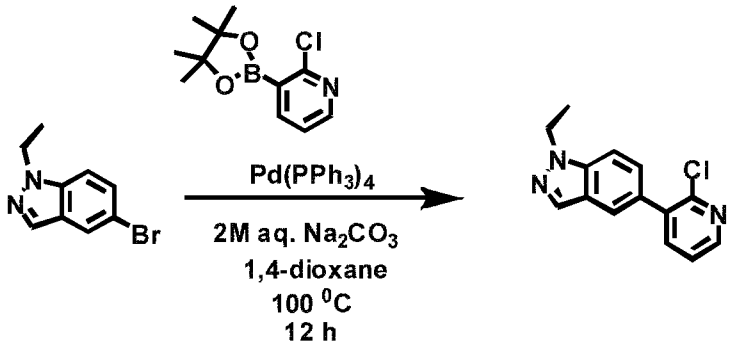


[0155] Analogous to the preparation of 5-(2-chloropyridin-3-yl)-1H-indazole, 5-(2-chloropyridin-3-yl)-2-ethyl-2H-indazole was prepared by the heating the mixture of 5-bromo-2-ethyl-2H-indazole (0.90 g, 3.98 mmol), 2-chloro-3-pyridine boronic acid pinacol ester (1.1 g, 4.60 mmol), $Pd(PPh_3)_4$ (300 mg, 0.34 mmol) and2M aq.Na_2CO_3 (5 mL, 10 mmol) in 1,4-dioxane (40 mL).5-(2-chloropyridin-3-yl)-2-ethyl-2H-indazole (732 mg, 70%) was isolated as an off-white solid after the workup and purificaiton by flash silica gel purification.^{1}H NMR (DMSO-

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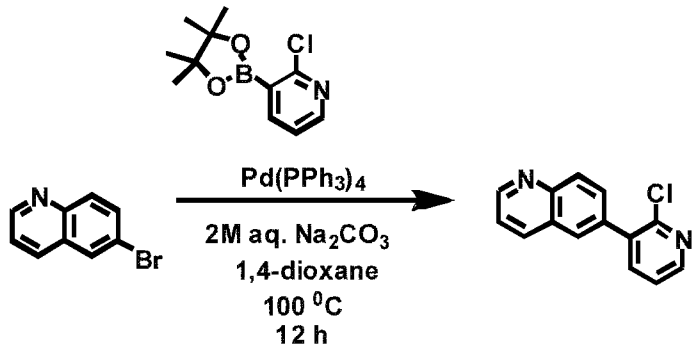
d6): δ 8.45 (s, 1H),8.40 (dd, 1H, J = 1.7 and 4.7 Hz), 7.89 (dd, 1H, J = 1.7 and 7.6 Hz), 7.76 (s, 1H), 7.67 (d, 1H, J = 9.1 Hz), 7.49 (dd, 1H, J = 4.9 and 7.5 Hz), 7.29 (d, 1H, J = 9.1 Hz), 4.46 (qt, 2H, J = 7.3 Hz), 1.40 (t, 3H, J = 7.3 Hz).LCMS: rt 6.51 min (A), purity 98 %, MS (m/e) 258 (MH^+).

Example 35 5-(2-Chloropyridin-3-yl)-1-ethyl-2H-indazole



[0156] Analogous to the preparation of 5-(2-chloropyridin-3-yl)-1H-indazole, 5-(2-chloropyridin-3-yl)-1-ethyl-1H-indazole was prepared by heating the mixture of 5-bromo-1-ethyl-1H-indazole (0.90 g, 3.98 mmol), 2-chloro-3-pyridine boronic acid pinacol ester (1.1 g, 4.60 mmol), $Pd(PPh_3)_4$ (300 mg, 0.34 mmol) and2M aq.Na_2CO_3 (5mL, 10 mmol) in 1,4-dioxane (40 mL).5-(2-chloropyridin-3-yl)-1-ethyl-1H-indazole (842 mg, 82%) was isolated as an off-white solid after the workup and flash silica gel purification.^{1}H NMR (DMSO-d6): δ 8.41 (dd, 1H, J = 1.7 and 4.7 Hz), 8.11 (s, 1H), 7.92 (dd, 1H, J = 1.7 and 7.6 Hz), 7.83 (app t, 1H, J = 0.6 Hz), 7.73 (dd, 1H, J = 0.6 and 8.8 Hz), 7.51 (dd, 1H, J = 4.7 and 7.6 Hz), 7.46 (dd, 1H, J = 1.7 and 8.8 Hz), 4.46 (qt, 2H, J = 7.3 Hz), 1.40 (t, 3H, J = 7.3 Hz).LCMS: rt 5.46 min (B), purity 97 %, MS (m/e) 258 (MH^+).

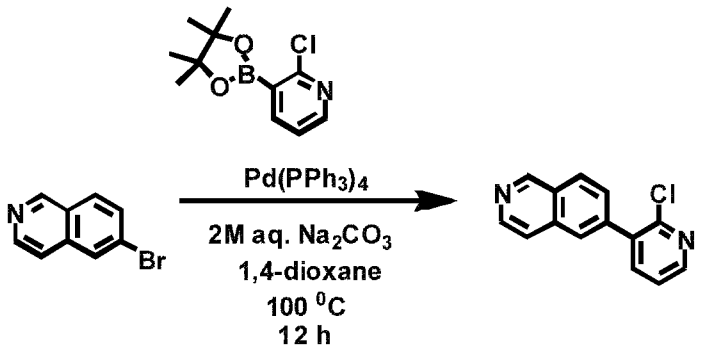
Example 36 6-(2-Chloropyridin-3-yl)quinoline



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[0157] Analogous to the preparation of 5-(2-chloropyridin-3-yl)-1H-indazole, 6-(2-chloropyridin-3-yl)quinoline was prepared by heating the mixture of 6-bromoquinoline (1.0 g, 4.8 mmol), 2-chloro-3-pyridine boronic acid pinacol ester (1.5 g, 6.20 mmol), Pd(PPh3)4 (330 mg, 0.28 mmol) and2M aq.Na_2CO_3 (7 mL, 14 mmol) in 1,4-dioxane (40 mL).6-(2-Chloropyridin-3-yl)quinoline was isolated as a white solid (670 mg,) following the extractive work-up with CH_2Cl_2 and purification by flash silica gel purification.^{1}H NMR (DMSO-d6): δ 8.95 (dd, 1H, J = 1.2 and 4.4 Hz), 8.49 (dd, 1H, J = 1.7 and 4.7 Hz),8.43 (d, 1H, J = 8.5 Hz), 8.11-8.09 (app m , 2H), 8.01 (dd, 1H, J = 1.7 and 7.6 Hz), 7.86 (dd, 1H, J = 1.4 and 8.8 Hz), 7.61-7.55 (m, 2H).LCMS: rt 4.13 min (A), purity 99 %, MS (m/e) 241 (MH^+).

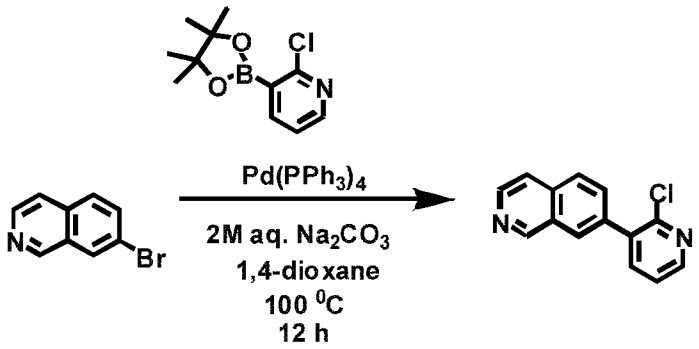
Example 37 6-(2-Chloropyridin-3-yl)isoquinoline



[0158] Analogous to the preparation of 5-(2-chloropyridin-3-yl)-1H-indazole, 6-(2-chloropyridin-3-yl)quinoline was prepared by heating the mixture of 6-bromoisoquinoline (1.0 g, 4.8 mmol), 2-chloro-3-pyridine boronic acid pinacol ester (1.5 g, 6.2 mmol), $Pd(PPh_3)_4$ (330 mg, 0.28 mmol) and2M aq.Na_2CO_3 (7 mL, 14 mmol) in 1,4-dioxane (40 mL).6-(2-Chloropyridin-3-yl)quinoline was isolated as a white solid (670 mg, 57%) upon work-up and purification by flash silica gel column purificaiton. ^{1}H NMR (DMSO-d6): δ 9.37 (s, 1H), 8.55 (dd, 1H, J = 1.4 and 5.5 Hz), 8.50-8.48 (m, 1H), 8.22 (d, 1H, J = 8.5 Hz), 8.07 (s, 1H), 8.01 (dt, 1H, J = 1.2 and 7.6 Hz), 7.88 (d, 1H, J = 5.8 Hz), 7.78 (dd, 1H, J = 0.4 and 8.5 Hz), 7.58 (dd, 1H, J = 4.7 and 7.3 Hz).LCMS: rt 4.01 min (A), purity 97 %, MS (m/e) 241 (MH^+).

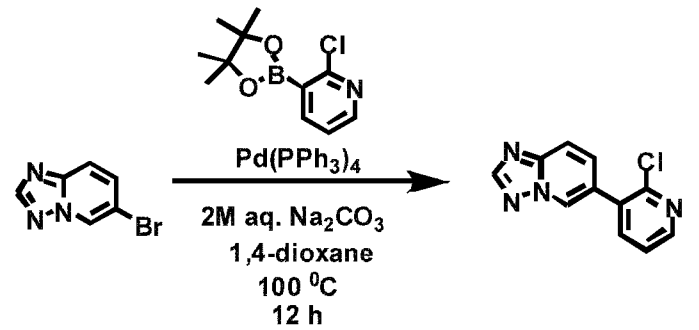
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Example 38 7-(2-Chloropyridin-3-yl)isoquinoline



[0159] Analogous to the preparation of 5-(2-chloropyridin-3-yl)-1H-indazole, 7-(2-chloropyridin-3-yl)isoquinoline was prepared by heating the mixture of 7-bromoisoquinoline (1.0 g, 4.8 mmol), 2-chloro-3-pyridine boronic acid pinacol ester (1.5 g, 6.20 mmol), $Pd(PPh_3)_4$ (330 mg, 0.28 mmol) and2M aq.Na_2CO_3 (7 mL, 14 mmol) in 1,4-dioxane (40 mL).6-(2-Chloropyridin-3-yl)quinoline was isolated as a white solid (820 mg, 70%) after the CH_2Cl_2 work-up and silica gel flash column purification.^{1}H NMR (DMSO-d6): δ 9.37 (s, 1H), 8.55 (d, 1H, J = 5.8 Hz), 8.49 (dd, 1H, J = 1.7 and 4.7 Hz), 8.23 (s, 1H), 8.07 (d, 1H, J = 7.5 Hz), 8.02 (dd, 1H, J = 1.7 and 7.6 Hz), 7.91-7.88 (m, 2H), 7.58 (dd, 1H, J = 4.7 and 7.6 Hz).LCMS: rt 3.96 min (A), purity 95 %, MS (m/e) 241 (MH^+).

Example 39 6-(2-Chloropyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine

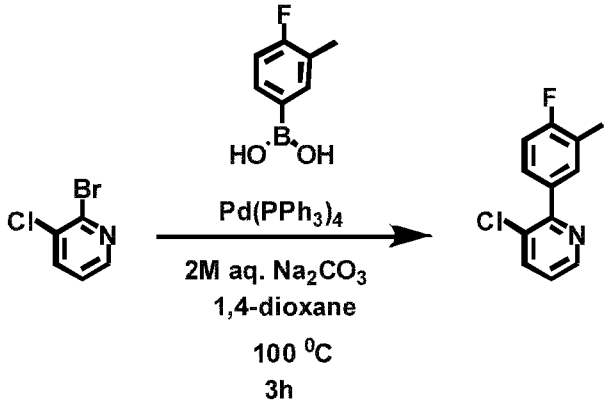


[0160] 6-(2-Chloropyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine was synthesized in the similar to 6-(2-chloropyridin-3-yl)benzo[d]thiazole from 6-bromo[1,2,4]triazolo[1,5-a]pyridine (1.0 g, 5.0 mmol), 2-chloro-3-pyridine boronic acid pinacol ester (1.45 g, 6.0 mmol), $Pd(PPh_3)_4$ (300 mg, 0.26 mmol) and 2M aq.Na_2CO_3 (8mL, 16 mmol) in 1,4-dioxane (50 mL).Upon workup, the crude residue was dissolved in CH_2Cl_2 (10 mL) and stirred with 50% EtOAc/hexanes (40 mL). The resulting off-white precipitate was filtered and dried to provide 6-(2-chloropyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine (0.68 g, 58%).^{1}H NMR (DMSO-d6): δ 9.18 (dd, 1H, J = 0.86and

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1.7 Hz), 8.57 (s, 1H), 8.50 (dd, 1H, J = 1.7 and 4.9 Hz), 8.05 (dd, 1H, J = 2.0 and 7.8 Hz), 7.94 (dd, 1H, J = 0.9 and 9.1 Hz), 7.80 (dd, 1H, J = 1.7 and 9.1 Hz), 7.59 (dd, 1H, J = 4.7 and 7.6 Hz).LCMS: rt 5.00 min (A), purity 97 %, MS (m/e) 231.

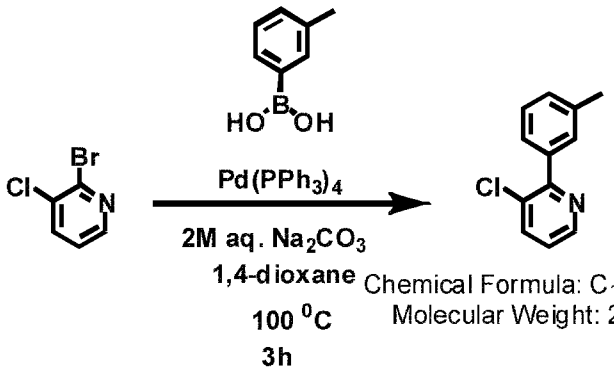
Example 40 3-Chloro-2-(4-fluoro-3-methylphenyl)pyridine



[0161] 3-Chloro-2-(4-fluoro-3-methylphenyl)pyridine was synthesized analogous to the reaction conditions used in the preparation of 5-(2-chloropyridin-3-yl)-1H-indazole by heating the mixture of 4-fluoro-3-methylphenyl boronic acid (1.0 g, 6.5 mmol), 2-bromo-3-chloropyridine (1.4 g, 7.1 mmol), $Pd(PPh_3)_4$ (0.45 g, 0.38 mmol) and 2M aq. Na_2CO_3 (8 mL, 16 mmol) in 1,4-dioxane (125 mL) under argon atmosphere for 3 h. Upon work-up of the reaction mixture, as discussed in the preparation of5-(2-chloropyridin-3-yl)-1H-indazole, the crude concentrate was purified by flash silica gel column chromatography [Combiflash® companion system® with RediSep® silica gel column 40 g , 10-30%EtOAC/hexanes eluting solvent gradient upon liquid loading on to column] to obtain 3-chloro-2-(4-fluoro-3-methylphenyl)pyridine as a white solid (790 mg, 54%).^{1}H NMR (DMSO-d6): δ 8.60 (dd, 1H, J = 1.4and 4.7 Hz),Hz), 8.02 (dd, 1H, J = 1.4 and 8.2 Hz), 7.57 (app d, 1H, J = 7.3 Hz), 7.54-7.49 (m, 1H), 7.42 (dd, 1H, J = 4.7 and 8.2 Hz), 7.23 (t, 1H, J = 8.8 Hz), 2.28 (s, 3H).LCMS: 97 %, MS (m/e) 222.

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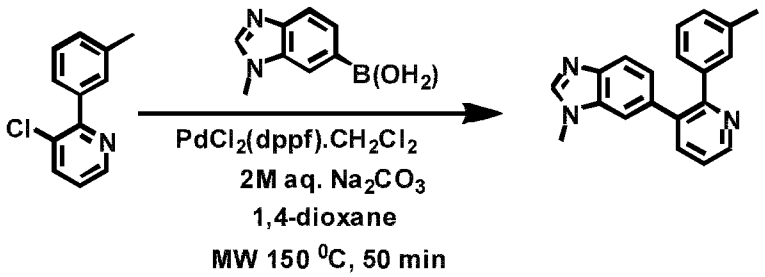
Example 41 3-Chloro-2-(3-methylphenyl)pyridine



Chemical Formula: $C_{12}H_{10}ClN$
Molecular Weight: 203.67

[0162] 3-Chloro-2-(3-methylphenyl)pyridine was synthesized analogous to the reaction conditions used in the preparation of 5-(2-chloropyridin-3-yl)-1H-indazole by heating the mixture of 3-methylphenyl boronic acid (1.76 g, 12.9 mmol), 2-bromo-3-chloropyridine (2.7 g, 14.2 mmol), $Pd(PPh_3)_4$ (0.9 g, 0.77 mmol) and 2M aq. Na_2CO_3 (16 mL, 32 mmol) in 1,4-dioxane (125 mL) under argon atmosphere for 3 h. Upon work-up of the reaction mixture, as discussed in the preparation of5-(2-chloropyridin-3-yl)-1H-indazole, the crude concentrate was purified by flash silica gel column chromatography [Combiflash® companion system® with RediSep® silica gel column 40 g , 10-30%EtOAC/hexanes eluting solvent gradient upon liquid loading on to column] to obtain 3-chloro-2-(3-methylphenyl)pyridine (1.62 g, 61%) as a clear liquid.^{1}H NMR (DMSO-d6): δ 8.60 (dd, 1H, J = 1.4and 4.7 Hz), 8.00 (dd, 1H, J= 1.4 and 8.2 Hz), 7.44-7.37 (m, 3H), 7.25 (app d, 1H, J = 8.2 Hz), 2.36 (s, 3H).LCMS: 97 %, MS (m/e) 204.

Example 42 1-methyl-6-(2-m-tolylpyridin-3-yl)-1H-benzo[d]imidazole (Compound 186)



[0163] 1,4-Dioxane (3 mL) was transferred to a microwave vial (Smith Creator®) containing 3-chloro-2-(3-methylphenyl)pyridine (100 mg, 0.49 mmol), 1-methyl-1H-benzimidazole boronic acid (95 mg, 0.54 mmol), $PdCl_2(dppf)_2.CH_2Cl_2$ (35 mg, 0.042 mmol) and 2M aq. Na_2CO_3 (0.6 mL, 1.2 mmol).Slow stream of argon was bubbled through the heterogeneous red solution while stirring the reaction mixture. The vial was capped and heated in a microwave at 150 ^{0}C for 50

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min. Progress of the reaction was analyzed by LC/MS. The reaction mixture was passed through a pad of Celite® and washed the pad with EtOAc (10 mL). The filtrate was concentrated and purified by preparative HPLC.Subsequently, product fractions were concentrated, diluted with water, neutralized with aq. $NaHCO_3$ and extracted with EtOAc.Organic layer was dried over anhydrous Na_2SO_4, filtered and concentrated. The concentrate was dissolved in acetonitrile/water (1:1, 15 mL) and allowed to freeze by external cooling in dry ice/acetone.Lyophilization of the frozen residue resulted in an off-white solid of 1-methyl-6-(2-m-tolylpyridin-3-yl)-1H-benzo[d]imidazole.^{1}H NMR (DMSO-d6): δ 8.64 (dd, 1H, J = 1.7 and 4.7 Hz), 8.16 (s, 1H), 7.87 (dd, 1H, J = 1.7 and 7.6 Hz), 7.51 (d, 1H, J = 1.5 Hz), 7.47-7.43 (m, 2H), 7.27 (s, 1H), 7.03-6.97 (m, 2H), 6.90 (app d, 1H, J = 6.7 Hz), 6.85 (dd, 1H, J = 8.5 Hz), 3.76 (s, 3H), 2.19 (s, 3H).^{19}F NMR (DMSO-d6): δ -114.29 (s).LCMS: rt 3.16 min (A), purity 97 %, MS (m/e) 300 (MH^+).

Example 43

[0164] The following analogs are prepared by the reaction of respective 3-chloro-2-arylpyridine and benzimidazole boronic acids by identical reaction conditions and followed by compound purification procedure as discussed with the previous reaction.

[0165] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-1-methyl-1H-benzo[d]imidazole (Compound 187). ^{1}H NMR (DMSO-d6): δ 8.63 (dd, 1H, J = 1.7 and 4.7 Hz), 8.17 (s, 1H), 7.86 (dd, 1H, J = 1.7 and 7.6 Hz), 7.53 (s, 1H), 7.48 (d, 1H, J = 8.2 Hz), 7.46 (dd, 1H, J = 4.7 and 7.9 Hz), 7.38 (d, 1H, J = 7.9 Hz), 6.92-6.83 (m, 3H), 3.77 (s, 3H), 2.12 (s, 3H).^{19}F NMR (DMSO-d6): δ -118.91 (s).LCMS: rt 3.51 min (A), purity 97 %, MS (m/e) 318 (MH^+).

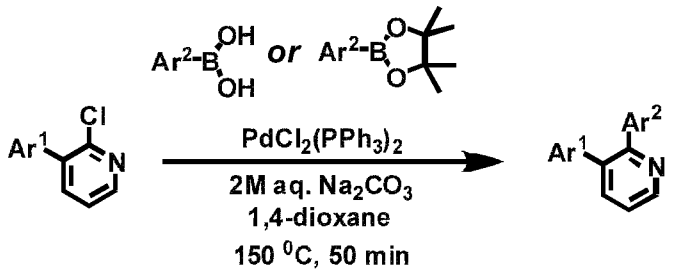
[0166] 1-Methyl-5-(2-m-tolylpyridin-3-yl)-1H-benzo[d]imidazole (Compound 188). ^{1}H NMR (DMSO-d6): δ 8.62 (dd, 1H, J = 1.4 and 4.7 Hz), 8.15 (s, 1H), 7.82 (dd, 1H, J = 1.4 and 7.6 Hz), 7.48-7.40 (m, 3H), 7.28 (s, 1H), 7.02-6.98 (m, 3H), 6.88 (d, 1H, J = 7.2 Hz), 3.79 (s, 3H), 2.19 (s, 3H).^{19}F NMR (DMSO-d6): δ -118.91 (s).LCMS: rt 3.26 min (A), purity 97 %, MS (m/e) 300 (MH^+).

[0167] 5-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-1-methyl-1H-benzo[d]imidazole (Compound 189). ^{1}H NMR (DMSO-d6): δ 8.62 (dd, 1H, J = 1.7 and 4.7 Hz), 8.16 (s, 1H), 7.82 (dd, 1H, J = 1.7 and 7.6 Hz), 7.49-7.37 (m, 4H), 7.00 (dd, 1H, J = 1.4 and 8.5 Hz), 6.91-6.83 (m,

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2H), 3.80 (s, 3H), 2.13 (s, 3H). ^{19}F NMR (DMSO-d6): δ -119.03 (s).LCMS: rt 3.68 min (A), MS (m/e) 318 (MH^+).

Example 44 General synthetic method B:



[0168] 1,4-Dioxane (3 mL) was transferred to a microwave vial (Smith Creator®) containing 2-chloro-3-arylpyridine (1eq), respective arylboronic acid/or pinacol ester (1.2-1.3 eq).$PdCl_2(PPh_3)_2$ (0.1 eq),and 2M aq. Na_2CO_3 (2.2 eq).Slow stream of argon was bubbled through the heterogeneous solution while stirring the reaction mixture. The vial was capped and heated in a microwave at 150 ^0C for 50 min. Progress of the reaction was analyzed by LC/MS.At the end of the microwave heating, the reaction mixture was passed through a pad of Celite® and washed the pad with EtOAc (10 mL). The filtrate was concentrated and purified by preparative HPLC.Subsequently, product fractions were concentrated, diluted with water, neutralized with aq. $NaHCO_3$ and extracted with EtOAc.Organic layer was dried over anhydrous Na_2SO_4, polish filtered and concentrated. The concentrate was dissolved in acetonitrile/water (1:1, 15 mL) and allowed to freeze by external cooling in dry ice/acetone.Lyophilization of the frozen residue provided respective analogs for further characterization.

[0169] The following compounds were prepared as described in Example 44 by use of the appropriate arylboronic acid/or pinacol ester:

[0170] 5-(5-Ethoxy-2-(4-fluoro-3-methylphenyl)pyridin-3-yl)-1H-indazole (Compound 1). ^1H NMR (CD_3OD, 300 MHz): 8.43 (s, 1H), 8.06 (s, 1H), 8.00 (m, 1H), 7.77 (bs, 1H), 7.47 (m, 1H), 7.35 (m, 1H), 7.15-7.05 (m, 2H), 6.99 (m, 1H), 4.34 (q, 2H), 2.17 (s, 3H), 1.51 (t, 3H); MS (ES) 348.32 (M+H);

[0171] 5-(5-Ethoxy-2-(2-fluorophenyl)pyridin-3-yl)-1H-indazole (Compound 2). ^1H NMR (CD_3OD, 300 MHz): 8.12 (s, 1H), 8.05 (bs, 1H), 7.87 (s, 1H), 7.67-7.44 (m, 7H), 6.99 (m, 1H), 4.17 (q, 2H), 1.43 (t, 3H); MS (ES) 334.32 (M+H);

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[0172] 5-(5-Ethoxy-2-m-tolylpyridin-3-yl)-1H-indazole (Compound 3). ^{1}H NMR (CD_3OD, 300 MHz): 8.41 (s, 1H), 8.03 (s, 1H), 8.01 (m, 1H), 7.73 (s, 1H), 7.45 (m, 1H), 7.32- 7.08 (m, 4H), 7.02 (m, 1H), 4.38 (q, 2H), 2.13 (s, 3H), 1.48 (t, 3H); MS (ES) 330.33 (M+H);

[0173] 5-(5-Ethoxy-2-(4-fluoro-2-isopropoxyphenyl)pyridin-3-yl)-1H-indazole (Compound 4). ^{1}H NMR (CD_3OD, 300 MHz): 8.13 (s, 1H), 8.08 (s, 1H), 8.01 (m, 1H), 7.77 (bs, 1H), 7.49 (m, 1H), 7.36 (m, 1H), 7.11-7.02 (m, 3H), 4.34 (q, 2H), 4.23 (t, 1H), 1.48 (t, 3H), 1.41 (d, 6H); MS (ES) 392.36 (M+H);

[0174] 5-(5-Ethoxy-2-(3-fluorophenyl)pyridin-3-yl)-1H-indazole (Compound 5). ^{1}H NMR (CD_3OD, 300 MHz): 8.29 (m, 1H), 8.01 (s, 1H), 7.68 (s, 1H), 7.46 (m, 2H), 7.17 (m, 2H), 6.99-6.94 (m, 3H), 4.22 (q, 2H), 1.46 (t, 3H); MS (ES) 334.34 (M+H);

[0175] 5-(5-Ethoxy-2-(4-fluorophenyl)pyridin-3-yl)-1H-indazole (Compound 6). ^{1}H NMR (CD_3OD, 300 MHz): 8.40 (m, 1H), 8.06 (s, 1H), 8.01 (m, 1H), 7.82 (bs, 1H), 7.44(m, 1H), 7.26 (m, 2H), 7.12 (m, 2H), 7.03 (m, 1H), 4.34 (q, 2H), 1.51 (t, 3H); MS (ES) 334.33 (M+H);

[0176] 5-(5-Ethoxy-2-(3, 4-difluorophenyl)pyridin-3-yl)-1H-indazole (Compound 7). ^{1}H NMR (CD_3OD, 300 MHz): 8.53 (s, 1H), 8.02 (s, 1H), 7.96 (m, 1H), 7.77 (bs, 1H), 7.43 (m, 1H), 7.38 (m, 1H), 7.12 (m, 2H), 7.02 (m, 1H), 4.36 (q, 2H), 1.49 (t, 3H); MS (ES) 352.31 (M+H);

[0177] 5-(2-(4-Fluoro-3-methylphenyl)-5-methoxypyridin-3-yl)-1H-indazole (Compound 8). ^{1}H NMR (CD_3OD, 300 MHz): 8.28 (bs, 1H), 8.02 (s, 1H), 7.64-7.39 (m, 3H), 7.18 (m, 1H), 7.09 (m, 1H), 6.96 (m, 1H), 6.80 (m, 1H), 3.95 (s, 3H), 2.11 (s, 3H); MS (ES) 334.46 (M+H);

[0178] 5-(5-Chloro-2-(4-fluoro-3-methylphenyl)pyridin-3-yl)-1H-indazole (Compound 9). ^{1}H NMR (CD_3OD, 300 MHz): 8.59 (bs, 1H), 8.02 (m, 1H), 7.94 (m, 1H), 7.70 (s, 1H), 7.59 (m, 1H), 7.25 (m, 1H), 7.12 (m, 1H), 7.02 (m, 1H), 6.84 (m, 1H), 2.12 (s, 3H); MS (ES) 338.29 (M+H);

[0179] 5-(5-Fluoro-2-(4-fluoro-3-methylphenyl)pyridin-3-yl)-1H-indazole (Compound 10). ^{1}H NMR (CD_3OD, 300 MHz): 8.50 (bs, 1H), 8.03 (s, 1H), 7.76 (m, 1H), 7.70 (m, 1H), 7.41 (m, 1H), 7.20 (m, 1H), 7.12 (m, 1H), 7.01 (m, 1H), 6.84 (m, 1H), 2.12 (s, 3H); MS (ES) 322.31 (M+H);

[0180] 5-(2-(4-Fluoro-3-methylphenyl)-5-methylpyridin-3-yl)-1H-indazole (Compound 11). ^{1}H NMR (CD_3OD, 300 MHz): 8.67 (bs, 1H), 8.53 (s, 1H), 8.07 (s, 1H), 7.79 (m, 1H), 7.51 (m, 1H), 7.36 (m, 1H), 7.17 (m, 2H), 7.02 (m, 1H), 2.65 (s, 3H), 2.20 (s, 3H); MS (ES) 318.36 (M+H);

[0181] 5-(2-(4-Fluoro-3-methylphenyl)-6-methylpyridin-3-yl)-1H-indazole (Compound 12). ^{1}H NMR (CD_3OD, 300 MHz): 8.53 (m, 1H), 8.06 (s, 1H), 7.90 (m, 1H), 7.75 (bs, 1H), 7.49 (m, 1H), 7.41 (m, 1H), 7.19-7.02 (m, 3H), 2.86 (s, 3H), 2.22 (s, 3H); MS (ES) 318.30 (M+H);

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[0182] 5-(2-(4-Cyclopropylphenyl)-6-methylpyridin-3-yl)-1H-indazole (Compound 13). ^{1}H NMR (CD_3OD, 300 MHz): 8.49 (m, 1H), 8.04 (s, 1H), 7.89 (m, 1H), 7.74 (s, 1H), 7.47 (m, 1H), 7.28 (m, 2H), 7.10 (m, 3H), 2.86 (s, 3H), 1.92 (m, 1H), 1.03 (m, 2H), 0.69 (m, 2H); MS (ES) 326.28 (M+H);

[0183] 5-(2-(3-Isopropylphenyl)-6-methylpyridin-3-yl)-1H-indazole (Compound 14). ^{1}H NMR (CD_3OD, 300 MHz): 8.55 (m, 1H), 8.03 (s, 1H), 7.92 (m, 1H), 7.72 (s, 1H), 7.47-7.35 (m, 4H), 7.13 (m, 2H), 2.87 (s, 3H), 2.75 (t, 1H), 0.98 (3H), 0.96 (3H); MS (ES) 328.31 (M+H);

[0184] 6-(3-Cyclopropylphenyl)-5-(1H-indazol-5-yl)pyridin-3-amine (Compound 15). ^{1}H NMR (CD_3OD, 300 MHz): 8.01 (m, 2H), 7.60 (s, 1H), 7.36 (m, 1H), 7.25 (m, 1H), 7.06-6.93 (m, 4H), 6.76 (s, 1H), 1.69 (m, 1H), 0.73(m, 2H), 0.27 (m, 2H); MS (ES) 327.27 (M+H);

[0185] 5-(1H-Indazol-5-yl)-6-(3-isopropylphenyl)pyridin-3-amine (Compound 16). ^{1}H NMR (CD_3OD, 300 MHz): 8.03 (m, 1H), 8.00 (s, 1H), 7.80 (m, 1H), 7.71 (m, 1H), 7.47 (m, 1H), 7.32-7.10 (m, 4H), 7.00 (s, 1H), 2.65 (t, 1H), 0.94 (d, 6H); MS (ES) 329.29 (M+H);

[0186] 6-(4-Fluoro-3-methylphenyl)-5-(1H-indazol-5-yl)pyridin-3-amine (Compound 17). ^{1}H NMR (CD_3OD, 300 MHz): 8.82 (m, 1H), 8.41 (s, 1H), 8.20 (m, 1H), 8.01 (m, 2H), 7.62 (m, 1H), 7.32 (m, 1H), 7.05-6.82 (m, 2H), 2.12 (s, 3H); MS (ES) 319.12 (M+H);

[0187] 5-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)pyridin-3-amine (Compound 18). ^{1}H NMR (CD_3OD, 300 MHz): 9.21 (s, 1H), 8.05 (s, 1H), 7.92 (m, 2H), 7.62 (m, 1H), 7.29 (m, 1H), 7.26-7.17 (m, 1H), 6.88 (m, 1H), 6.77 (m, 1H), 2.12 (s, 3H); MS (ES) 336.25 (M+H);

[0188] 5-(Benzo[d]thiazol-6-yl)-6-(3-cyclopropylphenyl)pyridin-3-amine (Compound 19). ^{1}H NMR (CD_3OD, 300 MHz): 9.21 (s, 1H), 8.05 (m, 1H), 7.91 (m, 1H), 7.84 (s, 1H), 7.29 (m, 1H), 7.25 (m, 1H), 7.08-6.93 (m, 3H), 6.75 (s, 1H), 1.70 (m, 1H), 0.73 (m, 2H), 0.27 (m, 2H); MS (ES) 344.28 (M+H);

[0189] 5-(Benzo[d]thiazol-6-yl)-6-m-tolylpyridin-3-amine (Compound 20). ^{1}H NMR (CD_3OD, 300 MHz): 9.21 (s, 1H), 8.06 (s, 1H), 7.91 (s, 1H), 8.84 (m, 1H), 7.29-7.01 (m, 5H), 6.90 (m, 1H), 2.19 (s, 3H); MS (ES) 318.28 (M+H);

[0190] 6-(4-Fluoro-3-methylphenyl)-5-(1H-indazol-5-yl)pyridin-2-amine (Compound 21). ^{1}H NMR (CD_3OD, 300 MHz): 8.10 (m, 1H), 8.01 (s, 1H), 7.66 (s, 1H), 7.42 (m, 1H), 7.31 (m, 1H), 7.13-7.00 (m, 3H), 6.96 (m, 1H), 2.19 (s, 3H); MS (ES) 319.25 (M+H);

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[0191] 6-(3-Cyclopropylphenyl)-5-(1H-indazol-5-yl)pyridin-2-amine (Compound 22). ^{1}H NMR (CD_3OD, 300 MHz): 8.09 (m, 1H), 8.00 (s, 1H), 7.63 (s, 1H), 7.44 (m, 1H), 7.27-7.11 (m, 5H), 6.95 (s, 1H), 1.80 (m, 1H), 0.84 (m, 2H), 0.37 (m, 2H); MS (ES) 327.28 (M+H);

[0192] 5-(1H-Indazol-5-yl)-6-m-tolylpyridin-2-amine (Compound 23). ^{1}H NMR (CD_3OD, 300 MHz): 8.10 (m, 1H), 8.07 (s, 1H), 7.65 (s, 1H), 7.42 (m, 1H), 7.24 (m, 3H), 7.08 (m, 3H), 2.27 (s, 3H); MS (ES) 301.26 (M+H);

[0193] N-(6-(4-Fluoro-3-methylphenyl)-5-(1H-indazol-5-yl)pyridin-3-yl)acetamide (Compound 24). ^{1}H NMR (CD_3OD, 300 MHz): 9.21 (s, 1H), 8.38 (m, 1H), 8.07 (s, 1H), 7.76 (s, 1H), 7.50 (m, 1H), 7.32 (m, 1H), 7.11 (m, 2H), 6.98 (m, 1H), 2.25 (s, 3H), 2.18 (s, 3H); MS (ES) 361.21 (M+H);

[0194] N-(6-(3-Cyclopropylphenyl)-5-(1H-indazol-5-yl)pyridin-3-yl)acetamide (Compound 25). ^{1}H NMR (CD_3OD, 300 MHz): 9.24 (s, 1H), 8.38 (m, 1H), 8.06 (s, 1H), 7.74 (m, 1H), 7.49 (m, 1H), 7.26-7.11 (m, 3H), 6.95 (s, 1H), 2.25 (s, 3H), 1.82 (m, 1H), 0.85 (m, 2H), 0.37 (m, 2H); MS (ES) 369.27 (M+H);

[0195] N-(5-(1H-Indazol-5-yl)-6-m-tolylpyridin-3-yl)acetamide (Compound 26). ^{1}H NMR (CD_3OD, 300 MHz): 9.25 (s, 1H), 8.40 (m, 1H), 8.05 (s, 1H), 7.74 (s, 1H), 7.48 (m, 1H), 7.24-7.06 (m, 4H), 2.26 (s, 3H), 2.25 (s, 3H); MS (ES) 343.27 (M+H);

[0196] 5-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)pyridin-2-amine (Compound 27). ^{1}H NMR (CD_3OD, 300 MHz): 9.26 (s, 1H), 8.11(m, 1H), 8.08 (s, 1H), 7.95 (m, 1H), 7.35 (m, 2H), 7.11 (m, 2H), 7.01 (m, 1H), 2.20 (s, 3H); MS (ES) 336.26 (M+H);

[0197] 5-(Benzo[d]thiazol-6-yl)-6-(3-cyclopropylphenyl)pyridin-2-amine (Compound 28). ^{1}H NMR (CD_3OD, 300 MHz): 9.26 (s, 1H), 8.12 (m, 1H), 8.09 (m, 1H), 7.92 (s, 1H), 7.30-7.10 (m, 4H), 6.97 (s, 1H), 1.81 (m, 1H), 0.85 (m, 2H), 0.38 (m, 2H); MS (ES) 344.33 (M+H);

[0198] 5-(Benzo[d]thiazol-6-yl)-6-m-tolylpyridin-2-amine (Compound 29). ^{1}H NMR (CD_3OD, 300 MHz): 9.25 (s, 1H), 8.12 (m, 1H), 7.95 (m, 2H), 7.31-7.10 (m, 3H), 7.08 (m, 2H), 2.28 (s, 3H); MS (ES) 318.31 (M+H);

[0199] N-(6-(4-Fluoro-3-methylphenyl)-5-(1H-indazol-5-yl)pyridin-3-yl)methanesulfonamide (Compound 30). ^{1}H NMR (CD_3OD, 300 MHz): 8.57 (s, 1H), 8.06 (s, 1H), 8.02 (m, 1H), 7.74 (m, 1H), 7.48 (m, 2H), 7.29 (m, 1H), 7.11 (m, 1H), 6.93 (m, 1H), 3.19 (s, 3H), 2.16 (s, 3H); MS (ES) 397.21 (M+H);

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[0200] N-(6-(3-Cyclopropylphenyl)-5-(1H-indazol-5-yl)pyridin-3-yl) methanesulfonamide (Compound 31). ^{1}H NMR (CD_3OD, 300 MHz): 8.58 (s, 1H), 8.08 (m, 2H), 7.72 (s, 1H), 7.47 (m, 1H), 7.21-7.10 (m, 4H), 6.92 (s, 1H), 3.20 (s, 3H), 1.77 (m, 1H), 0.81 (m, 2H), 0.34 (m, 2H); MS (ES) 405.26 (M+H);

[0201] N-(5-(1H-Indazol-5-yl)-6-m-tolylpyridin-3-yl) methanesulfonamide (Compound 32). ^{1}H NMR (CD_3OD, 300 MHz): 8.61 (s, 1H), 8.15 (m, 1H), 8.05 (s, 1H), 7.74 (s, 1H), 7.48 (m, 1H), 7.44 (m, 1H), 7.23-7.05 (m, 4H), 3.23 (s, 3H), 2.26 (s, 3H); MS (ES) 379.25 (M+H).

[0202] 6-(2-*m*-Tolylpyridin-3-yl)isoquinoline (Compound 33). ^{1}H NMR (DMSO-d6): δ 9.77 (s, 1H), 9.03 – 8.73 (m, 1H), 8.65 (d, J = 6.4 Hz, 1H), 8.34 (dd, J = 18.1, 8.1 Hz, 3H), 8.22 – 8.03 (m, 1H), 7.67 (ddd, J = 7.8, 5.0, 1.2 Hz, 1H), 7.58 (dd, J = 8.6, 1.4 Hz, 1H), 7.27 (s, 1H), 7.07 (dt, J = 15.0, 7.5 Hz, 2H), 6.95 (d, J = 7.5 Hz, 1H), 2.17 (s, 3H).MS (m/e): 297 (MH^+).

[0203] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)isoquinoline (Compound 34). ^{1}H NMR (DMSO-d6): δ 9.79 (s, 1H), 8.83 – 8.72 (m, 1H), 8.66 (d, J = 6.4 Hz, 1H), 8.45 – 8.22 (m, 3H), 8.09 (dd, J = 7.8, 1.5 Hz, 1H), 7.71 – 7.51 (m, 2H), 7.38 (d, J = 7.4 Hz, 1H), 6.97 – 6.88 (m, 2H), 2.09 (s, 3H). MS (m/e): 315 (MH^+).

[0204] 6-(2-(3-Fluorophenyl)pyridin-3-yl)imidazo[1,2-a]pyridine (Compound 59). ^{1}H NMR (DMSO-d6): δ 9.05 – 8.98 (m, 1H), 8.79 (dd, J = 4.8, 1.6 Hz, 1H), 8.37 – 8.30 (m, 1H), 8.20 (d, J = 2.1 Hz, 1H), 8.02 (dd, J = 7.8, 1.7 Hz, 1H), 7.85 (d, J = 9.3 Hz, 1H), 7.67 – 7.47 (m, 2H), 7.42 – 7.23 (m, 2H), 7.23 – 7.07 (m, 2H). MS (m/e): 290 (MH^+).

[0205] N-(3-(3-(Imidazo[1,2-a]pyridin-6-yl)pyridin-2-yl)phenyl)methylsulphonamide (Compound 58). ^{1}H NMR (DMSO-d6): δ 9.63 (s, 1H), 9.02 (s, 1H), 8.79 (dd, J = 4.8, 1.2 Hz, 1H), 8.33 (d, J = 2.0 Hz, 1H), 8.19 (d, J = 2.0 Hz, 1H), 8.01 (dd, J = 7.8, 1.3 Hz, 1H), 7.85 (d, J = 9.4 Hz, 1H), 7.60 (dd, J = 7.8, 4.8 Hz, 1H), 7.46 (dd, J = 9.3, 1.2 Hz, 1H), 7.33 (d, J = 5.9 Hz, 2H), 7.12 (dd, J = 10.0, 6.8 Hz, 2H), 2.71 (s, 3H).MS (m/e): 366 (MH^+).

[0206] 3-(3-(Imidazo[1,2-a]pyridin-6-yl)pyridin-2-yl)benzenamine (Compound 56). ^{1}H NMR (DMSO-d6): δ 9.04 (d, J = 3.5 Hz, 1H), 8.80 (dd, J = 4.8, 0.7 Hz, 1H), 8.34 (d, J = 2.1 Hz, 1H), 8.24 – 8.16 (m, 1H), 8.03 (dd, J = 7.8, 0.9 Hz, 1H), 7.85 (d, J = 9.3 Hz, 1H), 7.62 (dd, J = 7.5, 5.1 Hz, 1H), 7.47 (dd, J = 9.3, 0.9 Hz, 1H), 7.39 – 7.09 (m, 4H). MS (m/e): 287 (MH^+).

[0207] 6-(2-(3,5-Difluorophenyl)pyridin-3-yl)imidazo[1,2-a]pyridine (Compound 55). ^{1}H NMR (DMSO-d6): δ 9.04 – 8.96 (m, 1H), 8.78 (dd, J = 4.8, 1.6 Hz, 1H), 8.35 (d, J = 2.0 Hz, 1H), 8.21

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(d, J = 2.1 Hz, 1H), 8.03 (dd, J = 7.8, 1.6 Hz, 1H), 7.88 (d, J = 9.3 Hz, 1H), 7.60 (ddd, J = 11.0, 8.6, 3.2 Hz, 2H), 7.27 – 6.96 (m, 3H). MS (m/e): 308 (MH^+).

[0208] 6-(2-(4-Trifluoromethyl)phenyl)pyridin-3-yl)imidazo[1,2-a]pyridine (Compound 57). ^1H NMR (DMSO-d6): δ 9.04 (s, 1H), 8.82 (dd, J = 4.7, 1.1 Hz, 1H), 8.34 (s, 1H), 8.20 (d, J = 2.0 Hz, 1H), 8.04 (dd, J = 7.8, 1.1 Hz, 1H), 7.85 (d, J = 9.3 Hz, 1H), 7.72 – 7.54 (m, 5H), 7.50 (d, J = 9.3 Hz, 1H). MS (m/e): 340 (MH^+).

[0209] 6-(2-(4-Methoxyphenyl)pyridin-3-yl)imidazo[1,2-a]pyridine (Compound 54). ^1H NMR (DMSO-d6): δ 9.03 (s, 1H), 8.79 (dd, J = 4.9, 1.5 Hz, 1H), 8.35 (d, J = 1.5 Hz, 1H), 8.20 (d, J = 2.0 Hz, 1H), 8.08 (dd, J = 7.8, 1.5 Hz, 1H), 7.85 (d, J = 9.3 Hz, 1H), 7.64 (dd, J = 7.8, 5.0 Hz, 1H), 7.48 (dd, J = 9.3, 1.5 Hz, 1H), 7.40 – 7.30 (m, 2H), 6.86 (d, J = 8.8 Hz, 2H), 3.72 (s, 3H). MS (m/e): 302 (MH^+).

[0210] 6-(2-(3-Methoxyphenyl)pyridin-3-yl)imidazo[1,2-a]pyridine (Compound 52). ^1H NMR (DMSO-d6): δ 8.98 (s, 1H), 8.78 (d, J = 4.8 Hz, 1H), 8.30 (s, 1H), 8.17 (d, J = 1.0 Hz, 1H), 8.05 (d, J = 7.2 Hz, 1H), 7.81 (d, J = 9.3 Hz, 1H), 7.63 (dd, J = 7.8, 4.9 Hz, 1H), 7.50 (d, J = 9.4 Hz, 1H), 7.16 (t, J = 7.9 Hz, 1H), 7.02 (s, 1H), 6.94 – 6.77 (m, 3H), 3.64 (s, 3H). MS (m/e): 302 (MH^+).

[0211] 3-(3-(Imidazo[1,2-a]pyridin-6-yl)pyridin-2-yl)benzonitrile (Compound 51). ^1H NMR (DMSO-d6): δ 9.01 (s, 1H), 8.81 (dd, J = 4.8, 1.6 Hz, 1H), 8.33 (d, J = 2.0 Hz, 1H), 8.20 (d, J = 2.1 Hz, 1H), 8.03 (dd, J = 7.8, 1.6 Hz, 1H), 7.91 (d, J = 1.6 Hz, 1H), 7.88 – 7.74 (m, 2H), 7.66 – 7.60 (m, 2H), 7.56 – 7.37 (m, 2H). MS (m/e): 297

[0212] 6-(2-(4-Fluorophenyl)pyridin-3-yl)imidazo[1,2-a]pyridine (Compound 53). ^1H NMR (DMSO-d6): δ 9.01 (s, 1H), 8.78 (dd, J = 4.8, 1.5 Hz, 1H), 8.37 – 8.30 (m, 1H), 8.20 (d, J = 1.2 Hz, 1H), 8.02 (d, J = 7.7 Hz, 1H), 7.84 (d, J = 9.4 Hz, 1H), 7.60 (dd, J = 7.8, 4.9 Hz, 1H), 7.54 – 7.38 (m, 3H), 7.11 (t, J = 8.7 Hz, 2H). MS (m/e): 290 (MH^+).

[0213] 5-(2-(2-Fluorophenyl)pyridin-3-yl)-1H-indazole (Compound 61). ^1H NMR (DMSO-d6): δ 13.05 (s, 1H), 8.66 (dd, 1H, J = 1.4 and 4.7 Hz), 7.98 (s, 1H), 7.90 (dd, 1H, J = 1.4 and 7.6 Hz), 7.56 (app s, 1H), 7.51 (dd, 1H, J = 4.7 and 7.9 Hz), 7.44-7.32 (m, 2H), 7.31-7.27 (m, 1H), 7.16 (dt, 1H, J = 0.8 and 7.6 Hz), 7.01 (dd, 1H, J = 1.4 and 8.5 Hz), 6.96 (app t, 1H, J = 8.5 Hz).^{19}F NMR (DMSO-d6): δ -118.91.LCMS: rt 4.65 min (A), purity 97 %, MS (m/e) 290 (MH^+).

[0214] 5-(2-(3,4-Difluorophenyl)pyridin-3-yl)-1H-indazole (Compound 62). LCMS: rt 5.20 min (A), purity 98 %, MS (m/e) 308 (MH^+).

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[0215] 5-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-1H-indazole (Compound 63). ^{1}H NMR (DMSO-d6): δ 13.05 (s, 1H), 8.62 (dd, 1H, J = 0.5 and 4.7 Hz), 8.04 (s, 1H), 7.83 (d, 1H, J = 7.6 Hz), 7.66 (s, 1H), 7.45-7.34 (app m, 3H), 7.02 (d, 1H, J = 8.5 Hz), 6.96-6.85 (m, 2H), 2.11 (s, 3H). ^{19}F NMR (DMSO-d6): δ -118.91. LCMS: rt 4.87 min (A), purity 99 % MS (m/e) 304 (MH^+).

[0216] 5-(3-(1H-Indazol-5-yl)pyridin-2-yl)-1H-indazole (Compound 64). ^{1}H NMR (DMSO-d6): δ 13.04 (s, 1H), 12.99 (s, 1H), 8.66 (dd, 1H, J = 1.2 and 4.7 Hz), 8.01 (s, 1H), 7.95 (s, 1H), 7.85 (dd, 1H, J = 1.2 and 7.9 Hz), 7.74 (s, 1H), 7.69 (s, 1H), 7.44 (dd, 1H, J = 4.7 and 7.9 Hz), 7.34 (d, 1H, J = 8.8 Hz), 7.30 (d, 1H, J = 8.8 Hz), 7.22 (dd, 1H, J = 1.4 and 8.8 Hz), 7.01 (d, 1H, J = 8.8 Hz).LCMS: rt 3.87 min (A),purity 97 %, MS (m/e) 312 (MH^+).

[0217] 5-[2-(3-Fluorophenyl)pyridin-3-yl]-1H-indazole (Compound 65). ^{1}H NMR (DMSO-d6): δ 13.10 (s, 1H), 8.68 (dd, 1H, J = 1.4 and 4.4 Hz), 8.05 (s, 1H), 7.92 (dd, 1H, J = 1.4 and 7.9 Hz), 7.67 (s, 1H), 7.53 (dd, 1H, J = 4.9 and 7.6 Hz), 7.43 (d, 1H, J = 8.5 Hz), 7.26-7.13 (m, 1H), 7.14-7.02 (m, 4H).^{19}F NMR (DMSO-d6): δ -113.58 (qt, J = 8.6 Hz) (s). LCMS: rt 4.73 min (A), purity 99 %, MS (m/e) 290 (MH^+).

[0218] 5-[2-(4-Fluorophenyl)pyridin-3-yl]-1H-indazole (Compound 66). ^{1}H NMR (DMSO-d6): δ 13.12 (s, 1H), 8.65 (dd, 1H, J = 1.7 and 4.7 Hz), 8.04 (s, 1H), 7.89 (dd, 1H, J = 1.7 and 7.9 Hz), 7.66 (s, 1H), 7.48 (dd, 1H, J = 4.7 and 7.6 Hz), 7.41 (d, 1H, J = 8.2 Hz), 7.34-7.29 (m, 2H), 7.07-6.99 (m, 3H).^{19}F NMR (DMSO-d6): δ -114.12 (qt, J = 8.6 Hz) (s). LCMS: rt 4.53 min (A), purity 97 %, MS (m/e) 290 (MH^+).

[0219] 5-[2-(3,5-Difluorophenyl)pyridin-3-yl]-1H-indazole (Compound 67). ^{1}H NMR (DMSO-d6): δ 13.12 (s, 1H), 8.65 (dd, 1H, J = 1.7 and 4.7 Hz), 8.07 (s, 1H), 7.89 (dd, 1H, J = 1.7 and 7.6 Hz), 7.68 (s, 1H), 7.54 (dd, 1H, J = 4.7 and 7.6 Hz), 7.46 (d, 1H, J = 8.2 Hz), 7.14-7.04 (m, 2H), 6.94-6.90 (m, 2H).^{19}F NMR (DMSO-d6): δ -110.30 (t, J = 7.8 Hz)) . LCMS: rt 5.62 min (A), purity 97 %, MS (m/e) 308 (MH^+).

[0220] 5-(2-*m*-Tolylpyridin-3-yl)-1H-indazole (Compound 68). ^{1}H NMR (DMSO-d6): δ 13.12 (s, 1H), 8.70 (dd, 1H, J = 1.7 and 4.7 Hz), 8.06-8.03 (m, 2H), 7.67 (s, 1H), 7.60 (dd, 1H, J = 4.7 and 7.6 Hz), 7.42 (d, 1H, J = 7.8 Hz), 7.28 (s, 1H), 7.08-6.94 (m, 4H), 2.19 (s, 3H).LCMS: rt 4.62 min (A), purity 97 %, MS (m/e) 286 (MH^+).

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[0221] 5-(2-*p*-Tolylpyridin-3-yl)-1H-indazole (Compound 69). ^{1}H NMR (DMSO-d6): δ 13.38 (s, 1H), 8.64 (dd, 1H, J = 1.7 and 4.9 Hz), 8.03 (s, 1H), 7.86 (dd, 1H, J = 1.4 and 7.6 Hz), 7.65 (s, 1H), 7.46 (dd, 1H, J = 4.9 and 7.6 Hz), 7.39 (d, 1H, J = 8.8 Hz), 7.18 (d, 2H, J = 8.2 Hz), 7.03-6.99 (m, 3H), 2.21 (s, 3H).LCMS: rt 4.65 min (A), purity 97 %, MS (m/e) 286 (MH^+).

[0222] 5-[2-(2,4-Difluorophenyl)pyridin-3-yl]-1H-indazole (Compound 70). ^{1}H NMR (DMSO-d6): δ 13.28 (s, 1H), 8.67 (dd, 1H, J = 1.4 and 4.8 Hz), 8.01 (s, 1H), 7.94 (dd, 1H, J = 1.4 and 7.9 Hz), 7.58-7.44 (m, 3H), 7.40 (d, 1H, J = 8.5 Hz), 7.10-7.00 (app m, 3 H).^{19}F NMR (DMSO-d6): δ -110.06 (q, J = 8.6 Hz), -110.56 (qt, J = 8.6 Hz) LCMS: rt 5.07 min (A), purity 97 %, MS (m/e) 308 (MH^+).

[0223] 5-[2-(3,5-Dimethylphenyl)pyridin-3-yl]-1H-indazole (Compound 71). ^{1}H NMR (DMSO-d6): δ 13.38 (s, 1H), 8.73 (dd, 1H, J = 1.4 and 4.9 Hz), 8.15 (dd, 1H, J = 1.7 and 7.9 Hz), 8.06 (s, 1H), 7.69 (app t, 2H, J = 6.7 Hz), 7.86 (dd, 1H, J = 1.4 and 7.6 Hz), 7.43 (d, 1H, J = 8.5 Hz), 7.03 (dd, 1H, J = 1.7 and 8.8 Hz), 6.94 (s, 3H), 2.09 (s, 6H).LCMS: rt 4.93 min (A), purity 97 %, MS (m/e) 300 (MH^+).

[0224] 5-(2-(3-Fluoro-4-methylphenyl)pyridin-3-yl)-1H-indazole (Compound 72). ^{1}H NMR (DMSO-d6): δ 8.72 (dd, 1H, J = 1.4 and 4.9 Hz), 8.07 (d, 1H, J = 0.8 Hz), 8.06 (dd, 1H, J = 1.4 and 7.9 Hz), 7.69 (app d, 1H, J = 0.8 Hz), 7.62 (dd, 1H, J = 4.9 and 7.9 Hz), 7.44 (d, 1H, J = 8.8Hz), 7.15-7.09 (m, 2H), 7.04 (dd, 1H, J = 1.7 and 8.5 Hz), 6.97 (dd, 1H, J = 1.7 and 7.9 Hz), 2.19 (s, 3H).LCMS: rt 4.98 min (A), purity 97 %, MS (m/e) 304 (MH^+).

[0225] 5-(2-(2-Fluoro-5-methylphenyl)pyridin-3-yl)-1H-indazole (Compound 73). ^{1}H NMR (DMSO-d6): δ 13.28 (s, 1H), 8.72 (dd, 1H, J = 1.4 and 4.7 Hz), 8.05 (dd, 1H, J = 1.4 and 7.9 Hz), 8.01 (s, 1H), 7.64 (dd, 1H, J = 4.9 and 7.9 Hz), 7.60 (s, 1H), 7.39 (d, 1H, J = 8.8 Hz), 7.32 (d, 1H, J = 1.8 and 8.8 Hz), 7.17-7.14 (m, 1H), 7.07 (dd, 1H, J = 1.7 and 8.5 Hz), 6.86 (app t, 1H, J = 7.6 Hz), 2.25 (s, 3H).^{19}F NMR (DMSO-d6): δ -119.68.LCMS: rt 4.95 min (A), purity 97 %, MS (m/e) 304 (MH^+).

[0226] 5-(2-(2-Fluoro-4-methylphenyl)pyridin-3-yl)-1H-indazole (Compound 74). ^{1}H NMR (DMSO-d6): δ 13.43 (s, 1H), 8.73 (dd, 1H, J = 1.4 and 4.9 Hz), 8.11 (dd, 1H, J = 1.4 and 7.9 Hz), 8.02 (s, 1H), 7.69 (dd, 1H, J = 4.9 and 7.9 Hz), 7.61 (s, 1H), 7.40 (d, 1H, J = 8.8 Hz), 7.33 (t, 1H, J = 7.6 Hz), 7.06 (dd, 1H, J = 1.4 and 8.5 Hz), 7.01 (d, 1H, J = 7.6 Hz), 6.86 (d, 1H, J = 11 Hz), 2.25 (s, 3H).^{19}F NMR (DMSO-d6): δ -115.46 (dd, J = 7.6 and 11 Hz).LCMS: rt 4.88 min (A), purity 97 %, MS (m/e) 304 (MH^+).

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[0227] 5-(2-(3-Aminophenyl)pyridin-3-yl)-1H-indazole (Compound 75). LCMS: rt 3.43 min (A), purity 97 %, MS (m/e) 287 (MH^+).

[0228] 5-(2-(3-Methylsulfphonylaminophenyl)pyridin-3-yl)-1H-indazole (Compound 76). ^1H NMR (DMSO-d6): δ 9.67 (s, 1H), 8.72 (dd, 1H, J = 1.4 and 4.9 Hz), 8.06 (d,1 H, J = 7.9 Hz), 8.05 (s, 1H), 7.67 (s, 1H), 7.64 (dd, 1H, J = 4.9 and 7.6 Hz), 7.42 (d, 1H, J = 8.5 Hz), 7.21 (d, 1H, J = 7.9 Hz), 7.18 (s, 1H), 7.10-7.02 (m, 3H), 2.56 (s, 3H).LCMS: rt 3.97 min (A), purity 97 %, MS (m/e) 365(MH^+).

[0229] 5-(2-(3,4-Difluorophenyl)pyridin-3-yl)-1H-pyrazolo[3,4-b]pyridine (Compound 77). ^1H NMR (DMSO-d6): δ 13.65 (s, 1H), 8.70 (d, 1H, J = 4.7 Hz), 8.22 (s, 1H), 8.14 (s, 2H), 7.96 (d, 1H, J = 7.6 Hz), 7.53 (dd, 1H, J = 4.7 and 7.9 Hz), 7.39 (app t, 1H, J = 9.7 Hz), 7.29 (qt, 1H, J = 8.6 Hz), 7.03-6.98 (m, 1H). ^{19}F NMR (DMSO-d6): δ -138.69-138.84 (app m), -139.47-139.55 (app m). LCMS: rt 4.26 min (B), purity 97 %, MS (m/e) 309 (MH^+).

[0230] 5-(2-(4-Fluoro-3-methylphenyl) pyridin-3-yl)-1H-pyrazolo[3,4-b]pyridine (Compound 78). ^1H NMR (DMSO-d6): δ 13.65 (s, 1H), 8.67 (d, 1H, J = 4.7 Hz), 8.18 (d, 1H, J = 2.0 Hz), 8.12 (d, 2H, J = 2.0 Hz), 7.92 (d, 1H, J = 7.6 Hz), 7.49 (dd, 1H, J = 4.7 and 7.6 Hz), 7.35 (d, 1H, J = 7.6 Hz), 6.93 (d, 2H, J = 7.9 Hz), 2.12 (s, 3H).^{19}F NMR (DMSO-d6): δ -118.53 (s).LCMS: rt 3.81 min (B), purity 97 %, MS (m/e) 305 (MH^+).

[0231] 5-(2-*m*-Tolylpyridin-3-yl)-1H-pyrazolo[3,4-b]pyridine (Compound 79). ^1H NMR (DMSO-d6): δ 13.65 (s, 1H), 8.67 (d, 1H, J = 4.7 Hz), 8.16 (s, 1H), 8.11 (s, 2H),7.92 (d, 1H, J = 6.7 Hz), 7.49 (dd, 1H, J = 4.7 and 7.6 Hz), 7.23 (s, 1H), 7.05 (s, 1H), 7.04 (s, 1H), 6.91 (app d, 1H, J = 6.7 Hz), 2.19 (s, 3H).^{19}F NMR (DMSO-d6): δ -118.91 (s).LCMS: rt 3.29 min (B), purity 97 %, MS (m/e) 287 (MH^+).

[0232] 5-(2-(4-Fluorophenyl)pyridin-3-yl)-1H-pyrazolo[3,4-b]pyridine (Compound 80). ^1H NMR (DMSO-d6): δ 13.65 (s, 1H), 8.65 (dd, 1H, J = 1.4 and 4.7 Hz), 8.17 (s, 1H), 8.12 (s, 2H), 7.93 (dd, 1H, J = 1.4 and 7.6 Hz), 7.51 (dd, 1H, J = 4.7 and 7.9 Hz), 7.30 (app d, 1H, J = 4.7 and 8.8 Hz), 7.07 (app t, 2H, J = 8.8 Hz).^{19}F NMR (DMSO-d6): δ -114.06 (s).LCMS: rt 3.42 min (B), purity 97 %, MS (m/e) 291 (MH^+).

[0233] 5-(2-(3-Fluoro-4-methylphenyl)pyridin-3-yl)-1H-pyrazolo[3,4-b]pyridine (Compound 81). LCMS: rt 4.17 min (B), purity 97 %, MS (m/e) 305 (MH^+).

[0234] 5-(2-(2-Fluoro-4-methylphenyl)pyridin-3-yl)-1H-pyrazolo[3,4-b]pyridine (Compound 82). LCMS: rt 4.07 min (B), purity 97 %, MS (m/e) 305 (MH^+).

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[0235] 2-(2-Fluorophenyl)-3-(4-flurophenyl)pyridine (Compound 83). LCMS: rt 5.89 min (B), purity 97 %, MS (m/e) 268 (MH^+).

[0236] 2-(3,4-Difluorophenyl)-3-(4-flurophenyl)pyridine (Compound 84). LCMS: rt 6.52 min (B), purity 97 %, MS (m/e) 286 (MH^+).

[0237] 2-(4-Fluoro-3-methylphenyl)-3-(4-flurophenyl)pyridine (Compound 85). ^1H NMR (DMSO-d6): δ 8.77 (dd, 1H, J = 1.4 and 5.3 Hz), 8.19 (d, 1H, J = 7.6 Hz), 7.77 (dd, 1H, J = 5.3 and 7.6 Hz), 7.36 (d, 1H, J = 7.2 Hz), 7.26-7.15 (m, 4H), 7.08 (app d, 2H, J = 8.5 Hz), 2.16 (s, 3H).^{19}F NMR (DMSO-d6): δ -113.94 (s), -116.31 (s).LCMS: 6.10 min (B), purity 97 %, MS (m/e) 282 (MH^+).

[0238] 2-(3-Fluorophenyl)-3-(4-flurophenyl)pyridine (Compound 86). LCMS: rt 6.11 min (B), purity 97 %, MS (m/e) 268 (MH^+).

[0239] 2,3-Bis-(4-fluorophenyl)pyridine (Compound 87). LCMS: rt 5.70 min (B), purity 97 %, MS (m/e) 268 (MH^+).

[0240] 3-(4-Fluorophenyl)-2-*m*-tolylpyridine (Compound 88). LCMS: rt 5.70 min (B), purity97 %, MS (m/e) 264 (MH^+).

[0241] (5-(3-(1H-Indazol-5-yl)pyridin-2-yl)-2-fluorophenyl)methanol (Compound 89). ^1H NMR (DMSO-d6): δ 8.64 (dd, 1H, J = 1.4 and 4.7 Hz), 8.04 (s, 1H), 7.84 (dd, 1H, J = 1.4 and 7.6 Hz), 7.67-7.64 (app m, 2H), 7.44 (d, 1H, J = 4.7 and 7.6 Hz), 7.42 (d, 1H, J = 8.8 Hz), 7.01 (dd, 1H, J = 1.4 and 8.8 Hz), 6.98-6.93 (m, 1H), 6.87 (t, 1H, J = 8.8 Hz), 5.20 (t, 1H, J = 5.5 Hz), 4.45 (d, 2H, J = 5.5 Hz).^{19}F NMR (DMSO-d6): δ -118.91 (s).LCMS: rt 2.87 min (B), purity 97 %, MS (m/e) 320 (MH^+).

[0242] 4-(3-(1H-Indazol-5-yl)pyridin-2-yl)-2-methylbenzenamine (Compound 90). LCMS: rt 2.22 min (B), purity 97 %, MS (m/e) 301(MH^+).

[0243] [3-(3-(1H-Indazol-5-yl)pyridin-2-yl)phenyl]methanol (Compound 91). ^1H NMR (DMSO-d6): δ 13.1 (s, 1H), 8.63 (dd, 1H, J = 1.4 and 4.7 Hz), 8.02 (s, 1H), 7.83 (dd, 1H, J = 1.7 and 7.9 Hz), 7.65 (s, 1H), 7.46-7.37 (m, 3H), 7.16 (d, 1H, J = 7.6 Hz), 7.04 (d, 1H, J = 7.9 Hz), 7.01 (dd, 1H, J = 1.4 and 7.9 Hz), 6.95 (d, 1H, J = 7.6 Hz), 5.13 (bs, 1H), 4.38 (s, 2H).LCMS: rt 2.54 min (B), purity 97 %, MS (m/e) 302 (MH^+).

[0244] 4-(3-(1H-Indazol-5-yl)pyridin-2-yl)-N,N,2-trimethylbenzenamine (Compound 92). ^1H NMR (DMSO-d6): δ 13.01 (s, 1H), 8.58 (dd, 1H, J = 0.4 and 4.4 Hz), 8.04 (s,1 H), 7.77 (d, 1H, J = 7.6 Hz), 7.67 (s, 1H), 7.41 (app d, 1H, J = 7.6 Hz), 7.37 (dd, 1H, J = 4.8 and 7.6 Hz), 7.25 (s,

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1H). 7.03 (d, 1H, J = 8.5 Hz), 6.90 (app d 1H, J =7.6 Hz), 6.72 (d, 1H, J = 8.5 Hz), 2.55 (s, 6H), 2.11 (s, 3H). LCMS: rt 2.39 min (B), purity 97 %, MS (m/e) 329 (MH^+).

[0245] 5-(2-(4-Fluoro-3-(trifluoromethyl)phenyl)pyridin-3-yl)-1H-indazole (Compound 93). ^1H NMR (DMSO-d6): δ 13.1 (s, 1H), 8.70 (dd, 1H, J = 1.4 and 4.7 Hz), 8.06 (s, 1H), 7.94 (dd, 1H, J = 1.7 and 7.9 Hz), 7.70 (app s, 2H), 7.56 (d, 1H, J = 7.9 Hz), 7.54 (d, 1H, J = 7.9 Hz), 7.45 (d, 1H, j = 8.8 Hz), 7.33 (app t, 1H, J = 8.8 Hz), 7.05 (dd, 1H, J 1.5 and 8.5 Hz).^{19}F NMR (DMSO-d6): δ -117.12 (app m), -60.34 (d, 1H, J = 15 Hz).LCMS: rt 6.22 min (A), purity 97 %, MS (m/e) 358 (MH^+).

[0246] 2-Fluoro-5-(3-(1H-indazol-5-yl)pyridin-2-yl)benzamide (Compound 94). LCMS: rt 3.07 min (A), purity 97 %, MS (m/e) 333 (MH^+).

[0247] 2-Fluoro-5-(3-(1H-indazol-5-yl)pyridin-2-yl)-N,N-dimethylbenzamide (Compound 95). LCMS: rt 3.42 min (A), purity 97 %, MS (m/e) 361 (MH^+).

[0248] 5-(3-(1H-Indazol-5-yl)pyridin-2-yl)-2-fluoro-N-propylbenzamide (Compound 96). LCMS: rt 4.06 min (A), purity 97 %, MS (m/e) 375 (MH^+).

[0249] 6-(2-(2-Fluorophenyl)pyridin-3-yl)-1H-indazole (Compound 97). LCMS: rt 4.50 min (A), purity 97 %, MS (m/e) 290 (MH^+).

[0250] 6-(2-(3,4-Difluorophenyl)pyridin-3-yl)-1H-indazole (Compound 98). LCMS: rt 5.53 min (A), purity97 %, MS (m/e) 308 (MH^+).

[0251] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-1H-indazole (Compound 99). ^1H NMR (DMSO-d6): δ 13.02 (s, 1H), 8.65 (d, 1H, J = 4.7 Hz), 8.03 (d, 1H, J = 0.5 Hz), 7.87 (d, 1H, J = 7.6 Hz), 7.64 (d, 1H, J = 8.2 Hz), 7.46 (dd, 1H, J = 4.7 and 7.6 Hz), 7.38 (app s, 2H), 6.95-6.93 (m, 1H), 6.90 (d, 1H, J = 8.2 Hz), 6.83 (d, 1H, J = 8.5 Hz), 2.11 (s, 3H).^{19}F NMR (DMSO-d6): δ -118.78 (s).LCMS: rt 4.60 min (A), purity 97 %, MS (m/e) 304 (MH^+).

[0252] 6-(2-(3-Fluorophenyl)pyridin-3-yl)-1H-indazole (Compound 100). LCMS: rt 4.70 min (A), purity97 %, MS (m/e) 290 (MH^+).

[0253] 6-(2-(4-Fluorophenyl)pyridin-3-yl)-1H-indazole (Compound 101). ^1H NMR (DMSO-d6): δ 13.10 (s, 1H), 8.67 (dd, 1H, J = 1.7 and 4.7 Hz), 8.03 (s, 1H), 7.88 (dd, 1H, J = 1.4 and 7.6 Hz), 7.64 (d, 1H, J = 7.2 Hz), 7.48 (dd, 1H, J = 4.9 and 7.9 Hz), 7.38 (s, 1H), 7.34-7.30 (m, 2H), 7.04 (app t, 2H, J = 8.8 Hz), 6.83 (d, 1H, J = 8.5 Hz).^{19}F NMR (DMSO-d6): δ -114.29 (s).LCMS: rt 4.27 min, purity 97 %, MS (m/e) 290 (MH^+).

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[0254] 6-(2-*m*-Tolylpyridin-3-yl)-1H-indazole (Compound 102). LCMS: rt 4.00 min (A), purity 97 %, MS (m/e) 286 (MH^+).

[0255] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)benzo[d]oxazol-2(3H)-one (Compound 103). LCMS: rt 4.68 min (A), purity 97 %, MS (m/e) 321 (MH^+).

[0256] 3-(Benzo[d][1,3]dioxol-6-yl)-2-(2-fluorophenyl)pyridine (Compound 104). LCMS: rt 5.39 min (B), purity 97 %, MS (m/e) 294 (MH^+).

[0257] 3-(Benzo[d][1,3]dioxol-6-yl)-2-(3,4-difluorophenyl)pyridine (Compound 105). LCMS: rt 6.10 min (B), purity 97 %, MS (m/e) 312 (MH^+).

[0258] 3-(Benzo[d][1,3]dioxol-6-yl)-2-(4-fluoro-3-methylphenyl)pyridine (Compound 106). ^1H NMR (DMSO-d6): δ 8.71 (dd, 1H, J = 1.4 and 4.9 Hz), 8.05 (d, 1H, J = 7.6 Hz), 7.65 (dd, 1H, J = 4.9 and 7.9 Hz), 7.39 (d, 1H, J = 7.6 Hz), 7.07 (d, 2H, J = 7.6 Hz), 6.87 (d, 1H, J = 8.2 Hz), 6.76 (d, 1H, J = 1.4 Hz), 6.63 (dd, 1H, J = 1.4 and 8.2 Hz), 6.01 (s, 2H), 2.19 (s, 3H).^{19}F NMR (DMSO-d6): δ -114.29 (s).LCMS: rt 5.43 min (B), purity 97 %, MS (m/e) 308 (MH^+).

[0259] 3-(Benzo[d][1,3]dioxol-6-yl)-2-(3-fluorophenyl)pyridine (Compound 107). LCMS: rt 5.61 min (B), purity 97 %, MS (m/e) 294 (MH^+).

[0260] 3-(Benzo[d][1,3]dioxol-6-yl)-2-(4-fluorophenyl)pyridine (Compound 108). LCMS: rt 5.10 min (B), purity 97 %, MS (m/e) 294 (MH^+).

[0261] 3-(Benzo[d][1,3]dioxol-6-yl)-2-m-tolylpyridine (Compound 109). LCMS: rt 4.78 min (B), purity 97 %, MS (m/e) 290 (MH^+).

[0262] 3-(Benzo[d][1,3]dioxol-6-yl)-2-(2-fluoro-5-methylphenyl)pyridine (Compound 110). LCMS: rt 5.79 min (B), purity 97 %, MS (m/e) 308 (MH^+).

[0263] 2-(3-(1H-Indazol-5-yl)pyridin-2-yl)benzonitrile (Compound 111). LCMS: rt 4.28 min (B), purity 97 %, MS (m/e) 297 (MH^+).

[0264] 3-(3-(1H-Indazol-5-yl)pyridin-2-yl)benzonitrile (Compound 112). LCMS: rt 4.42min (B), purity 97 %, MS (m/e) 297 (MH^+).

[0265] 4-(3-(1H-Indazol-5-yl)pyridin-2-yl)benzonitrile (Compound 113). LCMS: rt4.50 min (B), purity 97 %, MS (m/e) 297 (MH^+).

[0266] 4-(3-(1H-Indazol-5-yl)pyridin-2-yl)-2-fluorobenzonitrile (Compound 114). LCMS: rt5.11 min (B), purity 97 %, MS (m/e) 315 (MH^+).

[0267] 5-(2-(4-(Trifluoromethyl)phenyl)pyridin-3-yl)-1H-indazole (Compound 115). ^1H NMR (DMSO-d6): δ 133.18 (s, 1H), 8.69 (dd, 1H, J = 1.4 and 4.7 Hz), 8.04 (s, 1H), 7.90 (dd, 1H, J =

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1.4 and 7.9 Hz), 7.68 (s, 1H), 7.59-7.47 (m, 5H), 7.42 (d, 1H, J = 8.8 Hz), 7.02 (dd, 1H, J = 1.4 and 8.8 Hz).LCMS: rt 5.47 min (B), purity 97%, MS (m/e) 340 (MH^+).

[0268] 5-(2-(3-Methoxyphenyl)pyridin-3-yl)-1H-indazole (Compound 117). ^1H NMR (DMSO-d6): δ 13.02 (s, 1H), 8.64 (dd, 1H, J = 1.4 and 4.8 Hz), 8.03 (s, 1H), 7.84 (d, 1H, J = 7.9 Hz), 7.65 (s, 1H), 7.45 (dd, 1H, J = 4.7 and 7.6 Hz), 7.40 (d, 1H, J = 8.5 Hz)7.08 (t, 1H, J = 7.9 Hz), 7.03 (d, 1H, J = 8.5 Hz), 6.86 (m, 3H), 3.68 (s, 3H).LCMS: rt 3.49 min (B), purity 97%, MS (m/e) 302 (MH^+).

[0269] 5-(2-(4-Methoxyphenyl)pyridin-3-yl)-1H-indazole (Compound 118). LCMS: rt 2.99 min (B), purity 97%, MS (m/e) 302 (MH^+).

[0270] 5-(2-(3,4-Dimethoxyphenyl)pyridin-3-yl)-1H-indazole (Compound 119). LCMS: rt 2.85 min (B), purity 97%, MS (m/e) 332 (MH^+).

[0271] 3-(3-(1H-Indazol-5-yl)pyridin-2-yl)phenol (Compound 120). ^1H NMR (DMSO-d6): δ 13.10 (s, 1H), 8.61 (dd, 1H, J = 1.7 and 4.7 Hz), 8.03 (s, 1H), 7.82 (dd, 1H, J = 1.7 and 7.6 Hz), 7.65 (s, 1H), 7.43 (dd, 1H, J = 4.7 and 7.6 Hz), 7.39 (d, 1H, J = 8.2 Hz), 7.02 (dd, 1H, J = 1.4 and 8.5 Hz), 6.94 (t, 1H, J = 7.6 Hz), 6.77 (s, 1H), 6.59 (dd, 2H, J = 2.0 and 7.6 Hz).LCMS: rt 2.63 min (B), purity 97%, MS (m/e) 288 (MH^+).

[0272] 4-(3-(1H-Indazol-5-yl)pyridin-2-yl)phenol (Compound 121). LCMS: rt 2.27 min (B), purity 97%, MS (m/e) 288 (MH^+).

[0273] 4-(3-(1H-Indazol-5-yl)pyridin-2-yl)-2-methylphenol (Compound 122). LCMS: rt 2.42 min (B), purity 97%,MS (m/e) 302 (MH^+).

[0274] 5-(2-(3,5-dimethoxyphenyl)pyridin-3-yl)-1H-indazole (Compound 123). LCMS: rt 3.79 min (B), purity 97%, MS (m/e) 332 (MH^+).

[0275] 5-(2-(4-Fluoro-3-methoxyphenyl)pyridin-3-yl)-1H-indazole (Compound 124). ^1H NMR (DMSO-d6): δ 13.01 (s, 1H), 8.65 (dd, 1H, J = 4.4 Hz), 8.04 (s, 1H), 7.85 (dd, 1H, J = 1.7 and 7.9 Hz), 7.67 (s, 1H), 7.45 (dd, 1H, J = 4.7 and 7.9 Hz), 7.44 (d, 1H, J = 8.2 Hz), 7.07-6.97 (m, 3H), 6.82-6.77 (m, 1H), 3.49 (s, 3H).^{19}F NMR (DMSO-d6): δ -117.70 (q, H = 4.2 Hz).LCMS: rt 3.95 min (B), purity 97%, MS (m/e) 320 (MH^+).

[0276] 5-(2-(3-Methoxy-4-methylphenyl)pyridin-3-yl)-1H-indazole (Compound 125). LCMS: rt 4.86 min (B), purity 97%, MS (m/e) 316 (MH^+).

[0277] 5-(2-(4-(Benzyloxy)-3-methoxyphenyl)pyridin-3-yl)-1H-indazole (Compound 126). ^1H NMR (DMSO-d6): δ 13.01 (s, 1H), 8.61 (d, 1H, J = 4.7 Hz), 8.05 (s, 1H), 7.79 (d, 1H, J = 7.9

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Hz), 7.67 (s, 1H), 7.43-7.29 (m, 7H), 7.04 (d, 1H, J = 9.1 Hz), 6.91 (s, 1H), 6.87 (d, 1H, J = 7.9 Hz), 6.78 (d, 1H, J = 9.1 Hz), 4.98 (s, 2H), 3.49 (s, 3H).LCMS: rt 4.51 min (B), purity 97%, MS (m/e) 408 (MH^+).

[0278] 5-(2-(4-Aminosulfonylphenyl)pyridin-3-yl)-1H-indazole (Compound 127). LCMS: rt 3.40 min (B), purity 97%, MS (m/e) 351 (MH^+).

[0279] 5-(2-(3-Aminosulfonylphenyl)pyridin-3-yl)-1H-indazole (Compound 128). LCMS: rt 3.36 min (B), purity 97%, MS (m/e) 351 (MH^+).

[0280] 6-(2-(3,4-Difluorophenyl)pyridin-3-yl)-1-methyl-1H-indazole (Compound 129). LCMS: rt 6.10min (A), purity 97 %, MS (m/e) 322 (MH^+).

[0281] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-1-methyl-1H-indazole (Compound 130). ^1H NMR (DMSO-d6): δ 8.72(dd, 1H, J = 1.4 and 4.9 Hz), 8.04 (dd, 1H, J = 1.4 and 7.9 Hz), 8.01 (s, 1H), 7.67 (s, 1H), 7.60 (dd, 2H, J = 4.8 and 7.9 Hz), 7.41 (d, 1H, J = 7.6 Hz), 7.01-6.99 (m, 2H), 6.74 (d, 1H, J = 8.2 Hz), 4.00 (s, 3H), 2.13 (s, 3H).^{19}F NMR (DMSO-d6): δ -117.88 (s).LCMS: rt 5.55 min (A), purity 97 %, MS (m/e) 318 (MH^+).

[0282] 1-Methyl-6-(2-m-tolylpyridin-3-yl)-1H-indazole (Compound 131). LCMS: rt 5.25 min (A), purity 97 %, MS (m/e) 300 (MH^+).

[0283] 6-(2-(2-Fluoro-5-methylphenyl)pyridin-3-yl)-1-methyl-1H-indazole (Compound 132). LCMS: rt 5.78 min (A), purity 97 %, MS (m/e) 318 (MH^+).

[0284] 3-(3-(1-Methyl-1H-indazol-6-yl)pyridin-2-yl)benzonitrile (Compound 133). LCMS: rt 5.86 min (A), purity 97 %, MS (m/e) 311 (MH^+).

[0285] 6-(2-(3-methoxyphenyl)pyridin-3-yl)-1-methyl-1H-indazole (Compound 134). LCMS: rt 5.10 min (A), purity 97 %, MS (m/e) 316 (MH^+).

[0286] 6-(2-(4-Fluoro-3-methoxyphenyl)pyridin-3-yl)-1-methyl-1H-indazole (Compound 135). LCMS: rt 5.41 min (A), purity 97 %, MS (m/e) 334 (MH^+).

[0287] 3-(3-(1-Methyl-1H-indazol-6-yl)pyridin-2-yl)phenol (Compound 136). LCMS: rt 4.41 min (A), purity 97 %, MS (m/e) 302 (MH^+).

[0288] 6-(2-(3,4-Difluorophenyl)pyridin-3-yl)-1H-pyrazolo[4,3-b]pyridine (Compound 137). LCMS: rt 4.83 min (A), purity 97 %, MS (m/e) 309 (MH^+).

[0289] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-1H-pyrazolo[4,3-b]pyridine (Compound 138). ^1H NMR (DMSO-d6): δ 8.75 (dd, 1H, J = 1.5 and 5.1 Hz), 8.27 (app s 1H), 8.19 (d, 1H, J = 4.8 Hz), 8.09 (dd, 1H, J = 1.5 and 4.8 Hz), 7.93 (t, 1H, J = 0.79 Hz), 7.62 (dd, 1H, J = 4.8 and

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8.1 Hz), 7.39 (d, 1H, J = 0.79 Hz), 7.62 (dd, 1H, J = 4.8 and 8.1 Hz), 6.98 -6.92 (m, 2H), 2.13 (s, 3H).LCMS: rt 4.35 min (A), purity 97 %, MS (m/e) 305 (MH^+).

[0290] 6-(2-*m*-Tolylpyridin-3-yl)-1H-pyrazolo[4,3-b]pyridine (Compound 139). LCMS: rt 4.00 min (A), purity 97 %, MS (m/e) 287 (MH^+).

[0291] 6-(2-(2-Fluoro-5-methylphenyl)pyridin-3-yl)-1H-pyrazolo[4,3-b]pyridine (Compound 140). LCMS: rt 4.60 min (A), purity 97 %, MS (m/e) 305 (MH^+).

[0292] 3-(3-(1H-Pyrazolo[4,3-b]pyridin-6-yl)pyridin-2-yl)benzonitrile (Compound 141). LCMS: rt 4.48 min, purity 97 %, MS (m/e) 298 (MH^+).

[0293] 6-(2-(3-Methoxyphenyl)pyridin-3-yl)-1H-pyrazolo[4,3-b]pyridine (Compound 142). LCMS: rt 3.90 min (A), purity 97 %, MS (m/e) 303 (MH^+).

[0294] 6-(2-(4-Fluoro-3-methoxyphenyl)pyridin-3-yl)-1H-pyrazolo[4,3-b]pyridine (Compound 143). LCMS: rt 4.21 min (A), purity 97 %, MS (m/e) 321 (MH^+).

[0295] 3-(3-(1H-Pyrazolo[4,3-b]pyridin-6-yl)pyridin-2-yl)phenol (Compound 144). LCMS: rt 3.11 min (A), purity 97 %, MS (m/e) 289 (MH^+).

[0296] 5-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-1-methyl-1H-indazole (Compound 145). ^1H NMR (DMSO-d6): δ 8.71 (dd, 1H, J = 0.4 and 4.9 Hz), 8.06 (dd, 1H, J = 1.4 and 7.9 Hz), 8.03 (s, 1H), 7.68 (s, 1H), 7.63 (dd, 1H, J = 4.9 and 7.9 Hz), 7.53 (d, 1H, J = 8.8 Hz), 7.41 (d, 1H, J = 7.9 Hz), 7.07 (dd, 1H, J = 1.4 and 8.8 Hz), 6.97-6.95 (m, 2H), 4.01 (s, 3H), 2.14 (s, 3H).^{19}F NMR (DMSO-d6): δ -118.70 (s).LCMS: rt 5.36 min (A), purity 97 %, MS (m/e) 318 (MH^+).

[0297] 1-Methyl-5-(2-m-tolylpyridin-3-yl)-1H-indazole (Compound 146). LCMS: rt 5.11 min (A), purity 97 %, MS (m/e) 300 (MH^+).

[0298] 5-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-3-methyl-1H-indazole (Compound 147). ^1H NMR (DMSO-d6): δ 8.69 (dd, 1H, J = 1.4 and 4.7 Hz), 8.07 (dd, 1H, J = 1.7 and 7.9 Hz), 7.68 (s, 1H), 7.63-7.58 (m, 1H), 7.38 (d, 1H, J = 7.3 Hz), 7.30 (d, 1H, J = 8.8 Hz), 6.99-6.91 (m, 3H), 2.44 (s, 3H), 2.13 (s, 3H).^{19}F NMR (DMSO-d6): δ -117.70 (s).LCMS: rt 5.01 min (A), purity 97 %, MS (m/e) 318 (MH^+).

[0299] 3-Methyl-5-(2-m-tolylpyridin-3-yl)-1H-indazole (Compound 148). LCMS: rt 4.78 min (A), purity 97 %, MS (m/e) 300 (MH^+).

[0300] 5-(2-(3-Ethylphenyl)pyridin-3-yl)-1H-indazole (Compound 149). ^1H NMR (DMSO-d6): δ 8.64 (dd, 1H, J = 1.4 and 4.7 Hz), 8.02 (s, 1H), 7.84 (dd, 1H, J = 1.4 and 7.6 Hz), 7.63 (s, 1H),

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7.44 (dd, 1H, J = 4.9 and 8.7 Hz), 7.39 (d, 1H, J = 8.8 Hz), 7.10 (s, 1H), 7.09 (s, 1H), 7.06-7.04 (m, 1H), 7.01 (dd, 1H, J = 1.4 and 8.7 Hz), 2.42 (qt, 2H, J = 7.6 Hz), 0.86 (t, 3H, J = 7.6 Hz).LCMS: rt 4.93 min (A), purity 97 %, MS (m/e) 300 (MH^+).

[0301] 5-(2-(3-Cyclopropylphenyl)pyridin-3-yl)-1H-indazole (Compound 150). ^1H NMR (DMSO-d6): δ 13.02 (s, 1H), 8.63 (dd, 1H, J = 1.4 and 4.8 Hz), 8.03 (s, 1H), 7.82 (dd, 1H, J = 7.6 Hz), 7.62 (s, 1H), 7.43 (dd, 1H, J = 4.8 and 7.6 Hz), 7.40 (d, 1H, J = 7.9 Hz), 7.07 (s, 1H), 7.05 (s, 1H), 7.02-6.94 (m, 2H), 6.86 (s, 1H), 1.76-1.67 (m, 1H), 0.76-0.68 (m, 2H), 0.24-0.19 (m, 2H).LCMS: rt 4.96 min (A), purity 97 %, MS (m/e) 312 (MH^+).

[0302] 5-(2-(3-Ethylphenyl)pyridin-3-yl)-1H-pyrazolo[3,4-b]pyridine (Compound 151). ^1H NMR (DMSO-d6): δ 13.58 (s, 1H), 8.69 (dd, 1H, J = 1.4 and 4.7 Hz), 8.15 (dd, 1H, J = 0.5 and 2.0 Hz), 7.93 (dd, 1H, J = 1.4 and 7.9 Hz), 7.50 (d, 1H, J = 4.8 and 7.9 Hz), 7.16-7.05 (m, 4H), 2.41 (qt, 2H, J = 7.3 Hz), 0.86 (t, 3H, J = 7.3 Hz).LCMS: rt 4.48 min (A), purity 97 %, MS (m/e) 301 (MH^+).

[0303] 5-(2-(3-Cyclopropylphenyl)pyridin-3-yl)-1H-pyrazolo[3,4-b]pyridine (Compound 152). ^1H NMR (DMSO-d6): δ 13.6 (s, 1H), 8.75 (dd, 1H, J = 1.4 and 4.7 Hz), 8.19 (d, 1H, J = 7.9 Hz), 8.17 (d, 1H, J = 5.3 Hz), 7.98 (d, 1H, J = 7.6 Hz), 7.56 (dd, 1H, J == 4.8 and 7.9 Hz), 7.18-7.04 (m, 3H), 6.92 (s, 1H), 1.85-1.78 (m, 2H), 0.84-0.78 (m, 2H), 0.33-0.12 (m, 2H).LCMS: rt 4.56 min, purity 97 %, MS (m/e) 313 (MH^+).

[0304] 5-(2-(2-Fluoro-5-methylphenyl)pyridin-3-yl)-1H-pyrazolo[3,4-b]pyridine (Compound 153). ^1H NMR (DMSO-d6): δ 13.6 (s, 1H), 8.70 (dd, 1H, J = 1.4 and 4.7 Hz), 8.22 (d, 1H, J = 1.7 Hz), 8.08 (d, 1H, J = 1.2 Hz), 8.03 (d, 1H, J = 1.4 Hz), 7.96 (dd, 1H, J = 1.4 and 7.9 Hz), 7.56 (dd, 1H, J = 4.9 and 7.9 Hz), 7.35 (dd, 1H, 1.4 and 4.7 Hz), 7.15-7.11 (m, 1H), 6.81 (t, 1H, J = 8.8 Hz), 2.27 (s, 3H).^{19}F NMR (DMSO-d6): δ -120.40 (s).LCMS: rt 4.71 min (A), purity 97 %, MS (m/e) 305 (MH^+).

[0305] 3-(3-(1H-Pyrazolo[3,4-b]pyridin-5-yl)pyridin-2-yl)benzonitrile (Compound 154). LCMS: rt 4.61 min (A), purity 97 %, MS (m/e) 298 (MH^+).

[0306] 5-(2-(3-Methoxyphenyl)pyridin-3-yl)-1H-pyrazolo[3,4-b]pyridine (Compound 155). ^1H NMR (DMSO-d6): δ 13.58 (s, 1H), 8.71 (dd, 1H, J = 1.7 and 4.7 Hz), 8.18 (d, 1H, J = 2.0 Hz), 8.13 (d, 1H, J = 2.0 Hz), 8.12 (s, 1H), 7.97 (dd, 1H, J = 1.7 and 7.6 Hz), 7.54 (dd, 1H, J = 4.6 and 7.6 Hz), 7.12 (t, 1H, J = 7.9 Hz), 6.89-6.88 (app m, 1H), 6.82 (dd, 1H, J = 2.3 and 8.5 Hz), 6.78 (d, 1H, J = 8.5 Hz), 3.56 (s, 3H).LCMS: rt 3.96 min (A), purity 97 %, MS (m/e) 303 (MH^+).

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[0307] 3-(3-(1H-Pyrazolo[3,4-b]pyridin-5-yl)pyridin-2-yl)phenol (Compound 156). LCMS: rt 3.30 min, purity 97 %, MS (m/e) 289 (MH^+).

[0308] 5-(2-(4-Fluoro-3-methoxyphenyl)pyridin-3-yl)-1H-pyrazolo[3,4-b]pyridine (Compound 157). LCMS: rt 4.26 min (A), purity 97 %, MS (m/e) 321 (MH^+).

[0309] 5-(3-(1H-Pyrazolo[3,4-b]pyridin-5-yl)pyridin-2-yl)-2-fluorobenzonitrile (Compound 158). LCMS: rt 5.18 min (A), purity97 %, MS (m/e) 316 (MH^+).

[0310] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)benzo[d]thiazole (Compound 159). ^1H NMR (DMSO-d6): δ 9.37 (d, 1H, J = 2.1 Hz), 8.66 (app d, 1H, J = 4.7 Hz), 8.08 (s, 1H), 7.96 (d, 1H, J = 8.5 Hz), 7.88 (d, 1H, J = 7.6 Hz), 7.48 (dd, 1H, J = 4.7 and 7.6 Hz), 7.36 (d, 1H, J = 7.9 Hz), 7.22 (dd,1H, J = 0.88 and 8.5 Hz), 6.93-6.87 (m, 2H), 2.11 (s, 3H). ^{19}F NMR (DMSO-d6): δ -118.59 (s).LCMS: rt 5.51 min (A), purity 97 %, MS (m/e) 321 (MH^+).

[0311] 6-(2-*m*-Tolylpyridin-3-yl)benzo[d]thiazole (Compound 160). ^1H NMR (DMSO-d6): δ 9.36 (d, 1H, J = 2.1 Hz), 8.67 (app d, 1H, J = 4.7 Hz), 8.07 (s, 1H), 7.93 (d, 1H, J = 8.2 Hz), 7.88 (d, 1H, J = 8.2 Hz), 7.47 (dd, 1H, J = 4.9 and 7.9 Hz), 7.25-7.20 (m, 2H), 7.03-6.99 (m, 2H), 6.91 (d, 1H, J = 4.9 Hz), 2.18 (s, 3H).LCMS: rt 5.15 min (A), purity 97 %, MS (m/e) 303 (MH^+).

[0312] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-[1,2,4]triazolo[4,3-a]pyridine (Compound 161). ^1H NMR (DMSO-d6): δ 9.24 (s, 1H), 8.71 (dd, 1H, J = 1.4 and 4.7 Hz), 8.63 (s, 1H), 7.93 (d, 1H, J = 7.6 Hz), 7.61 (d, 1H, J = 9.4 Hz), 7.52 (dd, 1H, J = 4.7 and 7.7 Hz), 7.43 (d, 1H, J = 7.6 Hz), 7.12-7.08 (m, 1H), 6.99 (app t, 1H, J = 9.3 Hz), 6.90 (d, 1H, J = 9.7 Hz), 2.16 (s, 3H).^{19}F NMR (DMSO-d6): δ -117.98 (s). LCMS: rt 4.20 min (A), purity 97 %, MS (m/e) 305 (MH^+).

[0313] 6-(2-*m*-Tolylpyridin-3-yl)-[1,2,4]triazolo[4,3-a]pyridine (Compound 162). ^1H NMR (DMSO-d6): δ 9.23 (s, 1H), 8.72 (dd, 1H, J = 1.4 and 7.9 Hz), 8.62 (s, 1H), 7.93 (dd, 1H, J = 1.4 and 7.9 Hz), 7.58 (d, 1H, J = 9.4 Hz), 7.51 (dd, 1H, J = 4.9 and 7.9 Hz), 7.32 (s, 1H), 7.12 (app d, 1H, J = 4.9 Hz), 7.11 (s, 1H), 7.07-7.05 (app m, 1H), 6.89 (dd, 1H, J = 1.4 and 8.7 Hz), 2.23 (s, 3H).LCMS: rt 3.76 min (A), purity 97 %, MS (m/e) 287 (MH^+).

[0314] 5-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)benzo[d]thiazole (Compound 163). ^1H NMR (DMSO-d6): δ 9.38 (d, 1H, J = 1.7 Hz), 8.66 (dd, 1H, J = 1.4 and 4.7 Hz), 8.06 (d, 1H, J = 8.5 Hz), 7.95 (s, 1H), 7.90 (dd, 1H, J = 1.4 and 7.9 Hz), 7.49 (dd, 1H, J = 4.7 and 7.9 Hz), 7.37 (d, 1H, J = 7.6 Hz), 7.20 (d, 1H, J = 8.2 Hz), 6.97-6.87 (m, 2H), 2.12 (s, 3H).^{19}F NMR (DMSO-d6): δ -118.65 (s).LCMS: rt 5.56 min (A), purity 97 %, MS (m/e) 321 (MH^+).

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[0315] 5-(2-*m*-Tolylpyridin-3-yl)benzo[d]thiazole (Compound 164). LCMS: rt 5.23 min (A), purity 97 %, MS (m/e) 303 (MH^+).

[0316] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,2-a]pyridine (Compound 165). ^1H NMR (DMSO-d6): δ 8.69 (s, 2H), 8.02 (s, 1H), 7.92 (d, 1H, J = 1.5 and 7.6 Hz), 7.72 (s, 1H), 7.52-7.49 (m, 2H), 7.44 (d, 1H, J = 7.2 Hz), 7.09-7.04 (m, 1H), 7.00-6.94 (m, 2H), 2.16 (s, 3H).^{19}F NMR (DMSO-d6): δ -118.16 (s).LCMS: rt 3.56 min (A), purity 97 %, MS (m/e) 304 (MH^+).

[0317] 6-(2-*m*-Tolylpyridin-3-yl)imidazo[1,2-a]pyridine (Compound 166). ^1H NMR (DMSO-d6): δ 8.68 (d, 1H, J = 5.7 Hz), 8.57 (s, 1H), 7.92-7.89 (m, 2H), 7.55 (s, 1H), 7.48 (dd, 1H, J = 4.9 and 8.1 Hz), 7.38 (d, 1H, J = 8.3 Hz), 7.31 (s, 1H), 7.10-7.04 (app m, 2H), 7.04-7.02 (app m, 1H), 6.79 (d, 1H, J = 8.4 Hz), 2.22 (s, 3H).LCMS: rt 3.05 min (A), purity 97 %, MS (m/e) 286 (MH^+).

[0318] 5-(2-(3-Isopropylphenyl)pyridin-3-yl)-1H-pyrazolo[3,4-b]pyridine (Compound 167). LCMS: rt 4.81 min (A), purity 97 %, MS (m/e) 315 (MH^+).

[0319] 5-(2-(3-*tert*-Butylphenyl)pyridin-3-yl)-1H-pyrazolo[3,4-b]pyridine (Compound 168). LCMS: rt 5.08 min (A), purity 97 %, MS (m/e) 329 (MH^+).

[0320] 5-(2-(3-Biphenyl)pyridin-3-yl)-1H-pyrazolo[3,4-b]pyridine (Compound 169). LCMS: rt 5.30 min (A), purity 97 %, MS (m/e) 349 (MH^+).

[0321] 5-(2-(3-Cyclopentenylphenyl)pyridin-3-yl)-1H-pyrazolo[3,4-b]pyridine (Compound 170). LCMS: rt 5.33 min (A), purity 97 %, MS (m/e) 339 (MH^+).

[0322] 5-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-6-methyl-1H-indazole (Compound 173). ^1H NMR (DMSO-d6): δ 8.75 (dd, 1H, J = 1.4 and 4.9 Hz), 7.98 (s, 1H), 7.93 (dd, 1H, J = 1.4 and 7.6 Hz), 7.61 (dd, 1H, J = 4.9 and 7.6 Hz), 7.53 (s, 1H), 7.37 (d, 1H, J = 7.6 Hz), 7.33 (s, 1H), 7.02-6.97 (m, 1H), 6.98 (t, 1H, J = 8.8 Hz), 2.07 (s, 3H), 1.93 (s, 3H). ^{19}F NMR (DMSO-d6): δ -117.35 (s).LCMS: rt 5.01 min(A), purity 97 %, MS (m/e) 318 (MH^+).

[0323] 6-Methyl-5-(2-*m*-tolylpyridin-3-yl)-1H-indazole (Compound 174). LCMS: rt 4.73 min (A), purity 97 %, MS (m/e) 300 (MH^+).

[0324] 5-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-7-methyl-1H-indazole (Compound 175). ^1H NMR (DMSO-d6): δ 8.69 (d, 1H, J = 1.7 and 4.9 Hz), 8.08 (d, 1H, J = 7.6 Hz), 8.02 (s, 1H), 7.64 (app t, 1H, J = 7.6 Hz), 7.43-7.41 (app m, 2H), 7.01-6.91 (m, 3H), 2.40 (s, 3H), 2.13 (s, 3H). ^{19}F NMR (DMSO-d6): δ -117.30 (s).LCMS: rt 4.80 min, purity 97 %, MS (m/e) 318 (MH^+).

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[0325] 7-Methyl-5-(2-*m*-tolylpyridin-3-yl)-1H-indazole (Compound 176). ^{1}H NMR (DMSO-d6): δ 13.04 (s, 1H), 8.73 (d, 1H, J = 2.8 Hz), 8.14 (d, 1H, J = 7.9 Hz), 8.00 (s, 1H), 7.69 (dd, 1H, J = 4.9 and 7.9 Hz), 7.41 (s, 1H), 7.31 (s, 1H), 7.14-7.06 (m, 2H), 6.97 (d, 1H, J = 7.2 Hz), 6.90 (s, 1H), 2.38 (s, 3H), 2.21 (s, 3H).LCMS: rt 5.03 min (A), purity 97 %, MS (m/e) 300 (MH^+).

[0326] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-1H-benzo[d]imidazole (Compound 177). ^{1}H NMR (DMSO-d6): δ 8.62 (d, 1H, J = 0.2 and 3.7 Hz),8.24 (s, 1H), 7.83 (dd, 1H, J = 1.5 and 7.6 Hz), 7.49 (d, 1H, = 8.1 Hz), 7.45 (d, 1H, J = 4.7 Hz), 7.43-7.42 (m, 1H), 7.36 (d, 1H, J = 7.3 Hz), 6.96-6.84 (m, 3H), 2.11 (s, 3H).).^{19}F NMR (DMSO-d6): δ -118.99 (s).LCMS: rt 3.41 min, purity 97 %, MS (m/e) 304 (MH^+).

[0327] 6-(2-*m*-Tolylpyridin-3-yl)-1H-benzo[d]imidazole (Compound 178). ^{1}H NMR (DMSO-d6): δ 8.64 (d, 1H, J = 5.1 Hz), 8.42 (s, 1H), 7.84 (d, 1H, J = 7.3 Hz), 7.51 (d, 1H, J = 8.5 Hz), 7.44 (dd, 1H, J = 4.5 and 7.5 Hz), 7.26 (s, 1H), 7.02 (s, 2H), 6.98 (d, 1H, J = 7.6 Hz), 6.90 (d, 1H, J = 7.3 Hz), 2.18 (s, 3H).LCMS: rt 1.75 min (A), purity 97 %, MS (m/e) 286 (MH^+).

[0328] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)benzo[d]oxazole (Compound 180). ^{1}H NMR (DMSO-d6): δ 8.71 (d, 1H, J = 2.0 Hz), 8.66 (app d, 1H, J = 2.8 Hz), 7.87 (d, 1H, J = 7.3 Hz), 7.69 (d, 1H, J – 8.8 Hz), 7.68 (app s, 1H), 7.47 (dd, 1H, J = 4.8 and 7.9 Hz), 7.33 (d, 1H, J = 7.0 Hz), 7.12 (dd, 1H, J = 1.7 and 8.4 Hz), 6.93-6.87 (m, 2H), 2.12 (s, 3H). ^{19}F NMR (DMSO-d6): δ -118.61 (s).LCMS: rt 5.33 min (A), purity 97 %, MS (m/e) 305 (MH^+).

[0329] 6-(2-*m*-Tolylpyridin-3-yl)benzo[d]oxazole (Compound 181). LCMS: rt 4.90 min (A), purity 92 %, MS (m/e) 287 (MH^+).

[0330] 2-Ethyl-5-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)-2H-indazole (Compound 182). ^{1}H NMR (DMSO-d6): δ 8.69 (d, 1H, J = 4.9 Hz), 8.37 (s, 1H), 8.02 (d, 1H, J = 7.9 Hz), 7.63 (s, 1H), 7.60 (dd, 1H, J = 5.2 and 7.6 Hz), 7.45 (app t 2H, J = 9.1 Hz), 7.02-6.92 (app m, 2H), 6.88 (d, 1H, J = 8.1 Hz), 4.35 (qt, 2H, J = 7.0 Hz), 2.14 (s, 3H), 1.48 (t, 3H, J = 7.0 Hz). ^{19}F NMR (DMSO-d6): δ -117.62 (s).LCMS: rt 5.30 min (A), purity 97 %, MS (m/e) 332 (MH^+).

[0331] 2-Ethyl-5-(2-*m*-tolylpyridin-3-yl)-2H-indazole (Compound 183). LCMS: rt 5.06 min (A), purity 97 %, MS (m/e) 314 (MH^+).

[0332] 1-Ethyl-5-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)-1H-indazole (Compound 184). ^{1}H NMR (DMSO-d6): δ 8.70 (dd, 1H, J = 1.7 and 4. 9Hz), 8.08 -8.04 (m, 2H), 7.68 (d, 1H, J = 0.9 Hz), 7.66-7.55 (m, 1H), 7.57 (d, 1H, J = 8.8 Hz), 7.40 (d, 1H, J = 7.9 Hz), 7.05 (dd, 1H, J = 1.8 and 8.8 Hz), 7.03-6.92 (m, 2H), 4.39 (qt, 2H, J – 7.0 Hz), 2.13 (s, 3H), 1.35 (t, 3H, J = 7.3

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Hz).^{19}F NMR (DMSO-d6): δ -117.42 (s).LCMS: rt 5.71 min(A), purity 97 %, MS (m/e) 332 (MH^+).

[0333] 1-Ethyl-5-(2-*m*-tolylpyridin-3-yl)-1H-indazole (Compound 185). LCMS: rt 5.43 min (A), purity 97 %, MS (m/e) 314 (MH^+).

[0334] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine (Compound 191). ^{1}H NMR (DMSO-d6): δ 9.01 (t, 1H, J = 0.77 Hz), 8.71 (dd, 1H, J = 1.7 and 4.7 Hz), 8.50 (s, 1H), 8.00 (dd, 1H, J = 1.7 and 7.9 Hz), 7.70 (dd, 1H, J = 1.7 and 9.1 Hz), 7.51 (dd, 1H, J = 4.6 and 7.6 Hz), 7.43 (dd, 1H, J = 1.7 and 7.9 Hz), 7.23 (dd, 1H, J = 1.7 and 9.3 Hz), 7.08-7.04 (m, 1H), 6.97 (app t, 1H, J = 9.3 Hz), 2.16 (s, 3H).^{19}F NMR (DMSO-d6): δ -118.12 (s).LCMS: 4.76 min (A), purity 97 %, MS (m/e) 305 (MH^+).

[0335] 6-(2-*m*-Tolylpyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine (Compound 192). ^{1}H NMR (DMSO-d6): δ 8.98 (s, 1H), 8.72 (dd, 1H, J = 1.7 and 4.7 Hz), 8.49 (s, 1H), 8.01 (d, 1H, J = 1.7 and 7.9 Hz), 7.68 (d, 1H, J = 9.2 Hz), 7.54-7.49 (m, 1H), 7.30 (s, 1H), 7.23 (dd, 1H, J = 1.4 and 7.6 Hz), 7.10-7.09 (app m, 2H), 7.03-7.02 (m, 1H), 2.22 (s, 3H).^{19}F NMR (DMSO-d6): δ -118.31 (s).LCMS: rt 4.31 min (A), purity 95 %, MS (m/e) 287 (MH^+).

[0336] 6-(2-(3-Cyclopropylphenyl)pyridin-3-yl)H-imidazo[1,2-a]pyridine (Compound 193). ^{1}H NMR (DMSO-d6): δ 8.68 (dd, 1H, J = 1.7 and 4.7 Hz), 8.53 (app s, 1H), 7.91 (dd, 1H, J = 1.6 and 9.3 Hz), 7.90 (s, 1H), 7.53 (d, 1H, J = 1.2 Hz), 7.46 (dd, 1H, J = 4.6 and 7.6 Hz), 7.38 (dd, 1H, J = 9.3 Hz), 7.12-7.04 (m, 2H), 7.02-6.99 (m, 2H), 6.78 (dd, 1H, J = 1.7 and 9.3 Hz), 1.81-1.76 (m, 1H), 0.79-0.75 (m, 2H), 0.36-0.32 (m, 2H).LCMS: rt 4.73 min (A), purity 97 %, MS (m/e) 312 (MH^+).

[0337] 6-(2-(3-Cyclopropylphenyl)pyridin-3-yl)benzo[d]thiazole (Compound 194). ^{1}H NMR (DMSO-d6): δ 9.19 (s, 1H),8.68 (dd, 1H, J = 1.4 and 4.7 Hz), 8.05 (d, 1H, J = 1.2 Hz), 7.96 (d, 1H, J = 8.5 Hz), 7.86 (app dd, 1H, J = 1.4 and 7.6 Hz), 7.47 (dd, 1H, J = 4.7 and 7.6 Hz), 7.21 (dd, 1H, J = 1.7 and 7.6 Hz), 7.09-7.07 (m, 2H), 6.99-6.97 (m, 1H), 6.84 (s, 1H), 1.76-1.71 (m, 1H), 0.75-0.69 (m, 2H), 0.24-0.19 (m, 2H).LCMS: rt 5.53 min (A), purity 97 %, MS (m/e) 329 (MH^+).

[0338] 5-(2-(3-(Trifluoromethyl)phenyl)pyridin-3-yl)-1H-indazole (Compound 197). ^{1}H NMR (DMSO-d6): δ 13.02 (s, 1H), 8.70 (dd, 1H, J = 1.4 and 4.7 Hz), 8.04 (s, 1H), 7.91 (dd, 1H, J = 0.7 and 7.6 Hz), 7.68 (s, 1H), 7.63 (s, 1H), 7.58 (d, 1H, J = 8.2 Hz), 7.54-7.50 (m, 2H), 7.50-7.41

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(m, 2H), 7.03 (d, 1H, J = 8.5 Hz).^{19}F NMR (DMSO-d6): δ -61.47.LCMS: rt 5.78 min (A), purity 97 %, MS (m/e) 340 (MH^+).

[0339] 5-(2-(3-(Trifluoromethyl)phenyl)pyridin-3-yl)-1H-pyrazolo[3,4-b]pyridine (Compound 198). ^{1}H NMR (DMSO-d6): δ 13.65 (s, 1H), 8.75 (dd, 1H, J = 1.7 and 4.7 Hz), 8.20 (d, 1H, J = 2.0 Hz), 8.15 (d, 1H, J = 1.4 Hz), 8.12 (s, 1H), 7.99 (d, 1H, J = 1.4 and 7.9 Hz), 7.64-7.44 (m, 5H).^{19}F NMR (DMSO-d6): δ -61.40.LCMS: rt 5.55 min (A), purity 97 %, MS (m/e) 341 (MH^+).

[0340] 6-(2-(3-(Trifluoromethyl)phenyl)pyridin-3-yl)H-imidazo[1,2-a]pyridine (Compound 199). ^{1}H NMR (DMSO-d6): δ 8.62 (dd, 1H, J = 1.4 and 4.7 Hz), 8.06 (s, 1H), 7.65 (dd, 1H, J = 1.7 and 8.2 Hz), 7.46-7.42 (m, 3H), 7.05-7.04 (m, 2H), 6.97-6.95 (m, 1H), 6.90 (s, 1H), 6.85 (dd, 1H, J = 1.4 and 8.2 Hz), 3.86 (s, 3H), 1.76-1.69 (m, 1H), 0.84-0.70 (m, 2H), 0.28-0.23 (m, 2H).LCMS: rt 4.68 min (A), purity 97 %, MS (m/e) 340 (MH^+).

[0341] 6-(2-(3-Cyclopropylphenyl)pyridin-3-yl)-1-methyl-1H-benzo[d]imidazole (Compound 200). ^{1}H NMR (DMSO-d6): δ 8.63 (dd, 1H, J = 1.4 and 4.7 Hz), 8.12 (s, 1H), 7.85 (dd, 1H, J = 1.7 and 8.2 Hz), 7.48 -7.42 (m, 3H), 7.05-7.04 (app m, 2H), 6.97-6.95 (m, 1H), 6.90 (s, 1H), 6.85 (dd, 1H, J = 1.4 and 8.2 Hz), 3.73 (s, 3H), 1.78-1.69 (m, 1H), 0.76-0.70 (m, 2H), 0.28-0.23 (m, 2H).LCMS: rt 3.68 min (A), purity 97 %, MS (m/e) 326 (MH^+).

[0342] 5-(2-(3-Cyclopropylphenyl)pyridin-3-yl)-1-methyl-1H-benzo[d]imidazole (Compound 201). ^{1}H NMR (DMSO-d6): δ 8.63 (dd, 1H, J = 1.4 and 4.7 Hz), 8.16 (s, 1H), 7.82 (dd, 1H, J = 1.4 and 7.6 Hz), 7.82 (dd, 1H, J = 1.4 and 7.6 Hz), 7.47-7.41 (m, 3H), 7.05-6.67 (m, 5H), 3.81 (s, 3H), 1.78-1.71 (m, 1H), 0.78-0.72 (m, 2H), 0.31-0.26 (m, 3H).LCMS: rt 3.76 min (A), purity 97 %, MS (m/e) 326 (MH^+).

[0343] 6-(2-(3-Cyclopropylphenyl)pyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine (Compound 202). ^{1}H NMR (DMSO-d6): δ 8.96 (s, 3H), 8.72 (dd, 1H, J = 1.7 and 4.9 Hz), 8.50 (s, 1H), 7.99 (dd, 1H, J = 1.4 and 7.6 Hz), 7.69 (dd, 1H, J = 0.9 and 9.1 Hz), 7.52 (dd, 1H, J = 4.7 and 7.7 Hz), 7.24 (dd, 1H, J = 0.8 and 9.1 Hz), 7.14 (m, 2H), 7.03 (s, 1H), 6.98 (m, 1H), 1.78-1.71 (m, 1H), 0.82-0.72 (m, 2H), 0.31-0.30 (m, 2H).LCMS: rt 4.93 min (A), purity 97 %, MS (m/e) 313 (MH^+).

[0344] 6-(2-(3-Isopropylphenyl)pyridin-3-yl)-1-methyl-1H-benzo[d]imidazole (Compound 204). LCMS: rt 4.16 min (A), purity 97 %, MS (m/e) 328 (MH^+).

[0345] 6-(2-(3-Cyclopropylphenyl)pyridin-3-yl)-1H-benzo[d]imidazole (Compound 205). LCMS: rt 3.80 min (A), purity 97 %, MS (m/e) 312 (MH^+).

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[0346] 6-(2-(3-Isopropylphenyl)pyridin-3-yl)-1H-benzo[d]imidazole (Compound 206). LCMS: rt 4.06 min (A), purity 97 %, MS (m/e) 314 (MH^+).

[0347] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)quinoline (Compound 207). ^1H NMR (DMSO-d6): δ 9.11 (dd, 1H, J = 1.4 and 4.7 Hz), 8.77 (dd, 2H, J = 1.4 and 4.9 Hz), 8.20 (d, 1H, 1.4 Hz), 8.13-8.08 (m, 2H), 7.84 (dd, 1H, J = 4.8 and 8.2 Hz), 7.66-7.59 (m, 2H), 7.40 (d, 1H, J = 7.6 Hz), 6.99-6.90 (m, 2H), 2.12 (s, 3H).^{19}F NMR (DMSO-d6): δ -117.48 (s).LCMS: rt 4.23 min (A), purity 97 %, MS (m/e) 315 (MH^+).

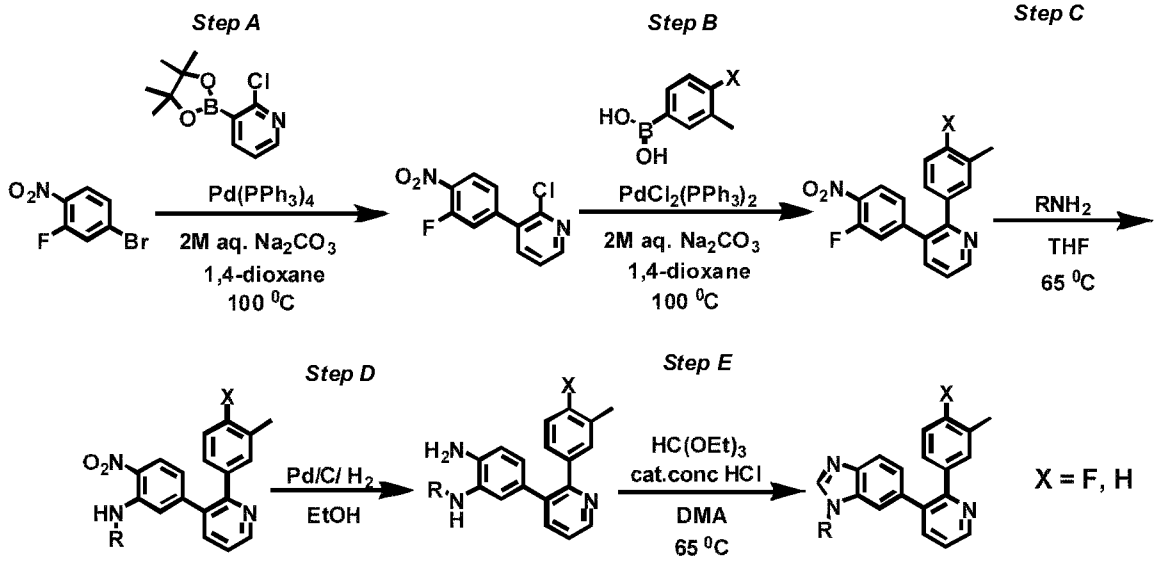
[0348] 6-(2-*m*-Tolylpyridin-3-yl)quinoline (Compound 208). ^1H NMR (300 MHz, DMSO-d_6): δ 9.02 (dd, J = 4.6, 1.6 Hz, 1H), 8.75 (dd, J = 4.9, 1.7 Hz, 1H), 8.59 (d, J = 7.7 Hz, 1H), 8.09 (d, J = 1.9 Hz, 1H), 8.06 (dd, J = 7.8, 1.7 Hz, 1H), 8.01 (d, J = 8.8 Hz, 1H), 7.72 (dd, J = 8.3, 4.6 Hz, 1H), 7.61 (dd, J = 7.8, 4.9 Hz, 1H), 7.53 (dd, J = 8.8, 2.0 Hz, 1H), 7.28 (dd, J = 1.5, 0.9 Hz, 1H), 7.14 – 7.01 (m, 2H), 6.96 (ddd, J = 6.4, 2.5, 1.4 Hz, 1H), 2.18 (s, 3H). LCMS: rt 3.88 min (A), purity 99 %, MS (m/e) 297 (MH^+).

[0349] 7-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)isoquinoline (Compound 209). LCMS: rt 4.12 min (A), purity97 %, MS (m/e) 315 (MH^+).

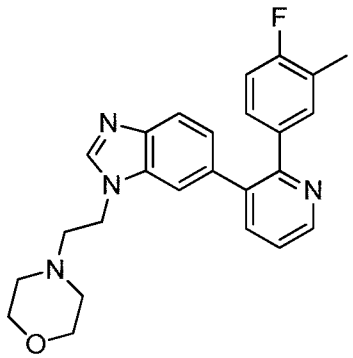
[0350] 7-(2-*m*-Tolylpyridin-3-yl)isoquinoline (Compound 210). ^1H NMR (300 MHz, DMSO-d_6): δ 9.69 (s, 1H), 8.79 (dd, J = 4.9, 1.6 Hz, 1H), 8.64 (d, J = 6.3 Hz, 1H), 8.45 (s, 1H), 8.30 (s, 1H), 8.08 (s, 2H), 7.84 – 7.50 (m, 2H), 7.28 (s, 1H), 7.07 (dt, J = 14.8, 7.5 Hz, 2H), 6.96 (d, J = 7.2 Hz, 1H), 2.19 (s, 3H). LCMS: rt 3.75 min (A), purity 99 %, MS (m/e) 296 (MH^+).

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Example 45 Synthetic scheme towards the preparation of 6-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)-1-(subtituted)-1H-benzo[d]imidazole



Example 46 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-1-(2-morpholinoethyl)-1H-benzo[d]imidazole (Compound 35)



[0351] Step C: 5-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(2-morpholinoethyl)-2-nitrobenzenamine

[0352] To solution of2-(4-fluoro-3-methylphenyl)-3-(3-fluoro-4-nitrophenyl)pyridine (100 mg)in 2 mL THF was added 2-morpholinoethanamine (64 uL)and K_2CO_3 (76 mg). The reaction was heated at 60 ^{0}C for 15 hours in a sealed vial. The reaction mixture was cooled to room temperature, concentrated by rotary evaporation under vacuum and partitioned the concentrate between CH_2Cl_2/water. The organic layer was separated, dried with anhydrous Na_2SO_4, filtered and evaporated. The crude residue of 5-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)-N-(2-morpholinoethyl)-2-nitrobenzenamine was used in the next step with no further purification.

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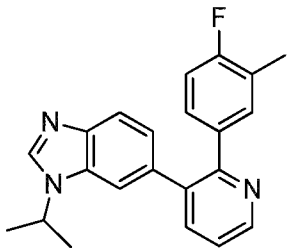
[0353] Step D: 5-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(2-morpholinoethyl)-2-nitrobenzenamine

[0354] The above residue of 5-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)-N-(2-morpholinoethyl)-2-nitrobenzenamine was dissolved in 2 mL EtOH and charged with Pd/C (25 mg) and the the reaction was hydrogenated overnight under a hydrogen balloon atmosphere. The reaction was filtered through a bed of celite and evaporated.Subsequently the crude containing 5-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)-N-(2-morpholinoethyl)-2-nitrobenzenamine was used in the next with no further purification.

[0355] Step E: 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-1-(2-morpholinoethyl)-1H-benzo[d]imidazole

[0356] The above residue containing 5-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)-N-(2-morpholinoethyl)-2-nitrobenzenamine was added DMA (1 mL), triethyl orthoformate (250 uL) and treated with one drop of concentrated HCl. The homogeneous solution was heated at 65 ^{0}C for 15 hours in a sealed vial. The reaction was cooled and the volatiles were removed with a stream of nitrogen gas. The residue was triturated with aq. $NaHCO_3$, resulting solid collected by filtration and purified by HPLC to yield 25 mg of the desired product6-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)-1-(2-morpholinoethyl)-1H-benzo[d]imidazole.^{1}H NMR (DMSO-d6): δ 8.58 (dd, J = 4.7, 1.6 Hz, 1H), 8.15 (s, 1H), 7.84 (dd, J = 7.8, 1.6 Hz, 1H), 7.56 (d, J = 8.3 Hz, 1H), 7.43 (dd, J = 7.8, 4.7 Hz, 1H), 7.28 (d, J = 4.8 Hz, 2H), 7.05 (dd, J = 8.3, 1.5 Hz, 1H), 7.03 – 6.75 (m, 2H), 4.19 (t, J = 6.4 Hz, 2H), 3.55 – 3.36 (m, 4H), 2.44 – 2.15 (m, 6H), 2.06 (s, 3H). MS (m/e): 417 (MH^+).

Example 47 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-1-isopropyl-1H-benzo[d]imidazole (Compound 48)

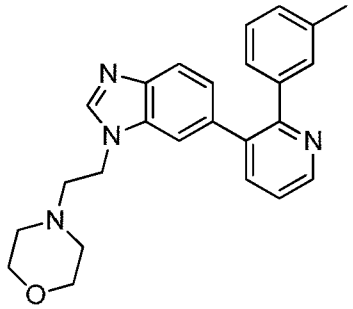


[0357] In like manner to the preparation of 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-1-(2-morpholinoethyl)-1H-benzo[d]imidazole, the reaction of 2-(4-fluoro-3-methylphenyl)-3-(3-fluoro-4-nitrophenyl)pyridine and propan-2-amine yielded6-(2-(4-Fluoro-3-

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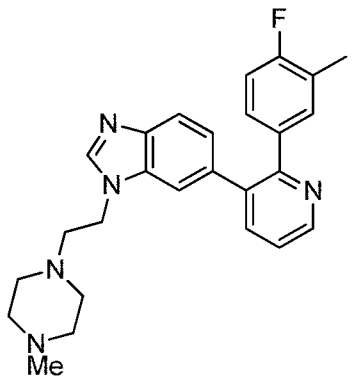
methylphenyl)pyridin-3-yl)-1-isopropyl-1H-benzo[d]imidazole.^{1}H NMR (DMSO-d6): δ 9.58 (s, 1H), 8.75 (d, J = 4.9 Hz, 1H), 8.11 (d, J = 7.8 Hz, 1H), 7.89 (s, 1H), 7.79 (d, J = 8.5 Hz, 1H), 7.65 (dd, J = 7.8, 5.0 Hz, 1H), 7.43 – 7.29 (m, 2H), 7.15 – 6.87 (m, 2H), 4.98 – 4.79 (m, 1H), 2.11 (s, 3H), 1.48 (d, J = 6.6 Hz, 6H). MS (m/e): 346 (MH^+).

Example 48 1-(2-Morpholinoethyl)-6-(2-m-tolylpyridin-3-yl)-1H-benzo[d]imidazole (Compound 36)



[0358] In like manner to the preparation of 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-1-(2-morpholinoethyl)-1H-benzo[d]imidazole, the reaction of 2-(3-methylphenyl)-3-(3-fluoro-4-nitrophenyl)pyridine and 2-morpholinoethanamine yielded1-(2-Morpholinoethyl)-6-(2-m-tolylpyridin-3-yl)-1H-benzo[d]imidazole.^{1}H NMR (DMSO-d6): δ 9.46 (s, 1H), 8.81 (dd, J = 5.0, 1.3 Hz, 1H), 8.23 (dd, J = 7.8, 1.3 Hz, 1H), 8.05 (s, 1H), 7.89 – 7.62 (m, 2H), 7.33 (s, 1H), 7.18 – 7.07 (m, 3H), 6.96 (d, J = 7.3 Hz, 1H), 4.88 (m, 2H), 3.84 (s, 4H), 3.62 (m, 2H), 3.34 (s, 4H) 2.22 (s, 3H). MS (m/e): 399 (MH^+).

Example 49 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-1-(2-(4-methylpiperazin-1-yl)ethyl)-1H-benzo[d]imidazole (Compound 37)

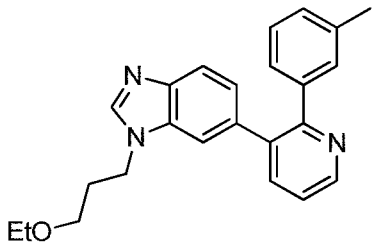


[0359] In like manner to the preparation of 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-1-(2-morpholinoethyl)-1H-benzo[d]imidazole, the reaction of 2-(4-fluoro-3-methylphenyl)-3-(3-

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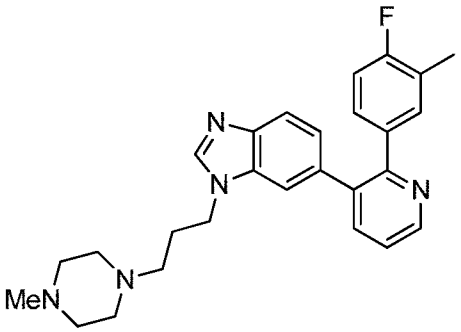
fluoro-4-nitrophenyl)pyridine and 2-(4-methylpiperazin-1-yl)ethanamine yielded6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-1-(2-(4-methylpiperazin-1-yl)ethyl)-1H-benzo[d]imidazole.^{1}H NMR (DMSO-d6): δ 9.52 (d, J = 1.3 Hz, 1H), 8.89 – 8.65 (m, 1H), 8.19 (dd, J = 7.8, 1.6 Hz, 1H), 7.95 (s, 1H), 7.89 – 7.65 (m, 2H), 7.46 – 7.20 (m, 2H), 7.11 (t, J = 7.7 Hz, 1H), 6.95 (d, J = 5.7 Hz, 1H), 4.55 (s, 2H), 2.82 – 2.75 (m, 10H), 2.52 (s, 3H), 2.20 (s, 3H). MS (m/e): 430 (MH^+).

Example 50 1-(3-Ethoxypropyl)-6-(2-m-tolylpyridin-3-yl)-1H-benzo[d]imidazole (Compound 39)



[0360] In like manner to the preparation of 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-1-(2-morpholinoethyl)-1H-benzo[d]imidazole, the reaction of 2-(3-methylphenyl)-3-(3-fluoro-4-nitrophenyl)pyridine and 3-ethoxypropan-1-amine yielded1-(3-Ethoxypropyl)-6-(2-m-tolylpyridin-3-yl)-1H-benzo[d]imidazole.^{1}H NMR (DMSO-d6): δ 9.55 (d, J = 1.2 Hz, 1H), 8.97 – 8.67 (m, 1H), 8.32 – 8.13 (m, 1H), 7.86 (s, 1H), 7.82 – 7.66 (m, 2H), 7.36 (d, J = 8.6 Hz, 1H), 7.25 (s, 1H), 7.21 – 7.01 (m, 2H), 6.96 (d, J = 6.4 Hz, 1H), 4.45 (t, J = 6.7 Hz, 2H), 3.43 – 3.10 (m, 4H), 2.19 (s, 3H), 2.00 – 1.78 (m, 2H), 0.95 (td, J = 7.0, 1.1 Hz, 3H). MS (m/e): 372 (MH^+).

Example 51 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-1-(3-(4-methylpiperazin-1-yl)propyl)-1H-benzo[d]imidazole (Compound 40)

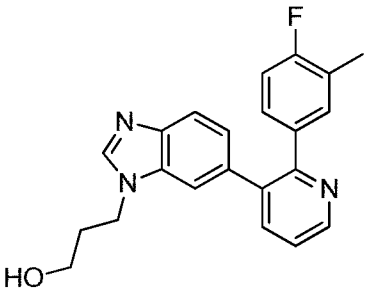


[0361] In like manner to the preparation of 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-1-(2-morpholinoethyl)-1H-benzo[d]imidazole, the reaction of 2-(4-fluoro-3-methylphenyl)-3-(3-

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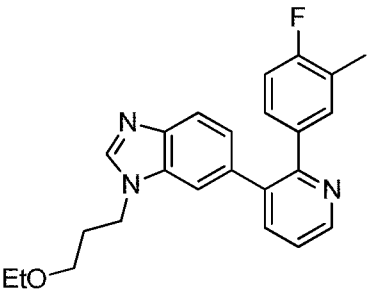
fluoro-4-nitrophenyl)pyridine and 2-(4-methylpiperazin-1-yl)ethanamine yielded6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-1-(2-(4-methylpiperazin-1-yl)ethyl)-1H-benzo[d]imidazole.^{1}H NMR (DMSO-d6): δ 9.42 (s, 1H), 8.79 (d, *J* = 5.4 Hz, 1H), 8.74 – 7.84 (m, 1H), 7.75 (d, *J* = 8.6 Hz, 2H), 7.35 (d, *J* = 8.5 Hz, 1H), 7.07 – 6.63 (m, 2H), 4.55 (s, 2H), 3.15 (s, 2H), 2.93 – 2.86 (m, 8H), 2.78 (s, 2H), 2.52 (s, 3H), 2.09 (s, 3H). MS (m/e): 444 (MH^+).

Example 52 3-(6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-1H-benzo[d]imidazol-1-yl)propan-1-ol (Compound 41)



[0362] In like manner to the preparation of 6-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)-1-(2-morpholinoethyl)-1H-benzo[d]imidazole, the reaction of 2-(4-fluoro-3-methylphenyl)-3-(3-fluoro-4-nitrophenyl)pyridine and 3-aminopropan-1-ol yielded3-(6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-1H-benzo[d]imidazol-1-yl)propan-1-ol.^{1}H NMR (DMSO-d6): δ 9.51 (s, 1H), 8.78 (dd, *J* = 5.1, 1.5 Hz, 1H), 8.37 – 8.13 (m, 1H), 7.87 (s, 1H), 7.82 – 7.69 (m, 2H), 7.35 (dd, *J* = 8.5, 1.4 Hz, 2H), 6.98 (dd, *J* = 9.5, 5.7 Hz, 2H), 3.35 (t, *J* = 5.7 Hz, 2H), 2.52 (s, 2H), 2.10 (s, 3H), 1.95 – 1.62 (m, 2H). MS (m/e): 362 (MH^+).

Example 53 1-(3-Ethoxypropyl)-6-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)-1H-benzo[d]imidazole (Compound 42)

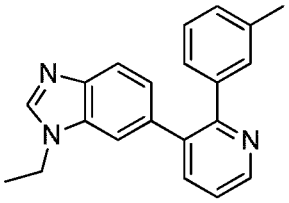


[0363] In like manner to the preparation of 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-1-(2-morpholinoethyl)-1H-benzo[d]imidazole, the reaction of 2-(4-fluoro-3-methylphenyl)-3-(3-fluoro-4-nitrophenyl)pyridine and 3-ethoxypropan-1-amine yielded1-(3-ethoxypropyl)-6-(2-(4-

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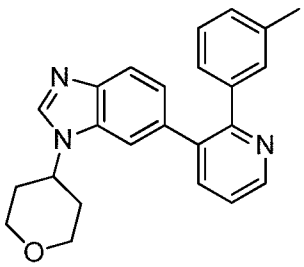
Fluoro-3-methylphenyl)pyridin-3-yl)-1H-benzo[d]imidazole.^{1}H NMR (DMSO-d6): δ 9.55 (d, *J* = 1.3 Hz, 1H), 8.83 – 8.65 (m, 1H), 8.10 (dd, *J* = 7.8, 1.6 Hz, 1H), 7.87 (s, 1H), 7.80 (d, *J* = 8.5 Hz, 1H), 7.72 – 7.58 (m, 1H), 7.46 – 7.26 (m, 2H), 6.96 (t, *J* = 7.2 Hz, 2H), 4.46 (t, *J* = 6.5 Hz, 2H), 3.32 – 3.25 (m, 4H), 2.11 (s, 3H), 2.02 – 1.74 (m, 2H), 0.96 (t, *J* = 7.0, 3H). MS (m/e): 390 (MH^+).

Example 54 1-Ethyl-6-(2-m-tolylpyridin-3-yl)-1H-benzo[d]imidazole (Compound 43)



[0364] In like manner to the preparation of 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-1-(2-morpholinoethyl)-1H-benzo[d]imidazole, the reaction of 2-(3-methylphenyl)-3-(3-fluoro-4-nitrophenyl)pyridine and ethanamine yielded 1-Ethyl-6-(2-m-tolylpyridin-3-yl)-1H-benzo[d]imidazole^{1}H NMR (DMSO-d6): δ 9.53 (s, 1H), 8.77 (dd, *J* = 5.0, 1.5 Hz, 1H), 8.13 (dd, *J* = 7.8, 1.5 Hz, 1H), 7.92 (s, 1H), 7.76 (d, *J* = 8.6 Hz, 1H), 7.67 (dd, *J* = 7.8, 5.0 Hz, 1H), 7.43 – 7.19 (m, 2H), 7.16 – 6.97 (m, 2H), 6.93 (d, *J* = 6.6 Hz, 1H), 4.42 (q, *J* = 7.2 Hz, 2H), 2.20 (s, 3H), 1.35 (t, *J* = 7.2 Hz, 3H). MS (m/e): 314 (MH^+).

Example 55 1-(Tetrahydro-2H-pyran-4-yl)-6-(2-m-tolylpyridin-3-yl)-1H-benzo[d]imidazole (Compound 44)

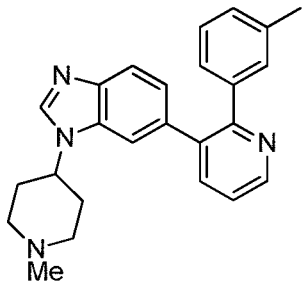


[0365] In like manner to the preparation of 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-1-(2-morpholinoethyl)-1H-benzo[d]imidazole, the reaction of 2-(3-methylphenyl)-3-(3-fluoro-4-nitrophenyl)pyridine and tetrahydro-2H-pyran-4-amine yielded 1-(tetrahydro-2H-pyran-4-yl)-6-(2-m-tolylpyridin-3-yl)-1H-benzo[d]imidazole^{1}H NMR (DMSO-d6): δ 9.59 (d, *J* = 1.3 Hz, 1H), 8.90 – 8.68 (m, 1H), 8.27 – 8.11 (m, 1H), 7.96 (s, 1H), 7.89 – 7.63 (m, 2H), 7.75 – 7.61 (m, 1H),

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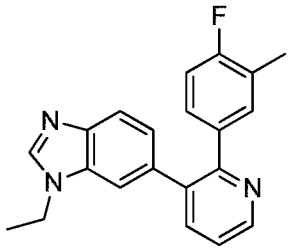
7.38 (d, J = 8.6 Hz, 1H), 7.30 (s, 1H), 7.19 – 6.98 (m, 2H), 6.92 (d, J = 7.1 Hz, 1H), 4.82 (s, 1H), 3.98 (m, 2H), 3.47 (m, 2H), 2.21 (s, 3H), 1.96 (bs, 4H). MS (m/e): 370 (MH^+).

Example 56 1-(1-Methylpiperidin-4-yl)-6-(2-m-tolylpyridin-3-yl)-1H-benzo[d]imidazole (Compound 45)



[0366] In like manner to the preparation of 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-1-(2-morpholinoethyl)-1H-benzo[d]imidazole, the reaction of 2-(3-methylphenyl)-3-(3-fluoro-4-nitrophenyl)pyridine and 1-methylpiperidin-4-amine yielded 1-(1-Methylpiperidin-4-yl)-6-(2-m-tolylpyridin-3-yl)-1H-benzo[d]imidazole.^{1}H NMR (DMSO-d6): δ 9.43 (s, 1H), 8.78 (d, J = 3.9 Hz, 1H), 8.15 (d, J = 7.2 Hz, 1H), 7.94 (s, 1H), 7.76 (d, J = 8.5 Hz, 1H), 7.68 (dd, J = 7.7, 5.0 Hz, 1H), 7.43 – 7.19 (m, 2H), 7.08 (d, J = 7.2 Hz, 2H), 6.93 (d, J = 6.9 Hz, 1H), 4.87 (s, 1H), 3.62 (m, 2H), 3.17 (m, 2H), 2.85 (s, 3H), 2.26 (s, 4H), 2.20 (s, 3H). MS (m/e): 383 (MH^+).

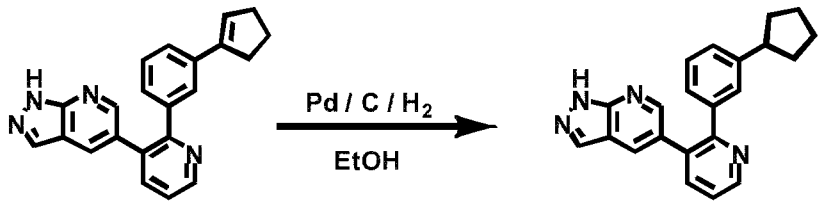
Example 57 1-Ethyl-6-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)-1H-benzo[d]imidazole (Compound 46)



[0367] In like manner to the preparation of 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-1-(2-morpholinoethyl)-1H-benzo[d]imidazole, the reaction of 2-(4-fluoro-3-methylphenyl)-3-(3-fluoro-4-nitrophenyl)pyridine and ethanamine yielded 1-Ethyl-6-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)-1H-benzo[d]imidazole.^{1}H NMR (DMSO-d6): δ 9.38 (s, 1H), 8.76 (d, J = 5.4 Hz, 1H), 8.38 (d, J = 7.8 Hz, 1H), 7.99 – 7.67 (m, 2H), 7.74 (d, J = 8.6 Hz, 1H), 7.34 (t, J = 6.1 Hz, 2H), 7.16 – 6.87 (m, 2H), 4.49 – 4.29 (m, 2H), 2.08 (s, 3H), 1.32 (t, J = 7.2 Hz, 3H). MS (m/e): 332 (MH^+).

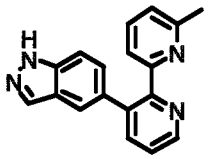
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Example 58 5-(2-(3-Cyclopentylphenyl)pyridin-3-yl)-1H-pyrazolo[3,4-b]pyridine (Compound 171)



[0368] 5-(2-(3-cyclopentenylphenyl)pyridin-3-yl)-1H-pyrazolo[3,4-b]pyridine (130 mg) was hydrogenated with Pd/C (15 mg) in EtOH (15 mL) over a period of 10 h under balloon H_2 after degassing and back filling the flask with the hydrogen. The reaction mixture was filtered through celite and purified by preparative HPLC to provide 5-(2-(3-cyclopentylphenyl)pyridin-3-yl)-1H-pyrazolo[3,4-b]pyridine as a white solid.^{1}H NMR (DMSO-d6): δ 8.84 (d, 1H, J = 4.7 Hz), 8.41 (d, 1H, J = 7.9 Hz), 8.16 (app s , 2H), 8.14 (app s, 1H), 7.89 (app t, 1H, J = 7.5 Hz), 7.23 (app s, 2H), 7.22-7.20 (m, 1H), 7.08 (s, 1H), 2.80 (q, 1H, J = 8.2 Hz), 1.75-1.68 (m, 2H), 1.48-1.39 (m, 4H), 1.17-1.07 (m, 2H).LCMS: rt 5.13 min (A), purity 97 %, MS (m/e) 341 (MH^+).

Example 59 5-(2-(6-Methylpyridin-2-yl)pyridin-3-yl)-1H-indazole (Compound 116)

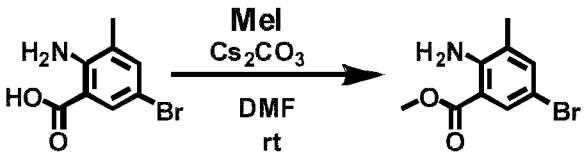


[0369] A vial with a piercable teflon cap containing a magetic stir was was charged with 5-[2-(4-fluoro-3-methylphenyl)pyridin-3-yl]-1H-indazole (0.2 g, 0.87 mmol), $Pd_2(dba)_3$(30 mg, 0.03 mmol) and 2-dicyclohexylphosphino-2′,4′,6′-triisopropylbiphenyl (XPHOS, 60 mg,0.13 mmol) under argon atmosphere.6-Methyl-2-pyridylzinc bromide (0.5 M in THF, 4.0 mL, 2 mmol) wasadded to above reactants and degassed under vacuum.After three degas cycles, the reaction mixture was heated at 65 ^{0}C under argon.After 6 h, the reaction mixture was cooled to the room temperature and diluted with Rochelle salt (5 mL) and concentrated to remove the volatiles. The concentrated aqueous solution was diluted with EtOAc (30 mL) and separated the organic layer.Aqueous solution was further extracted with EtOAc (30 mL). Combined organic layers washed with aq. NaCl (10 mL), stirred over $MgSO_4$, and filtered through a pad of Florosil/celite. The filtrate was concentrated and purified by preparative HPLC. The collected fractions were

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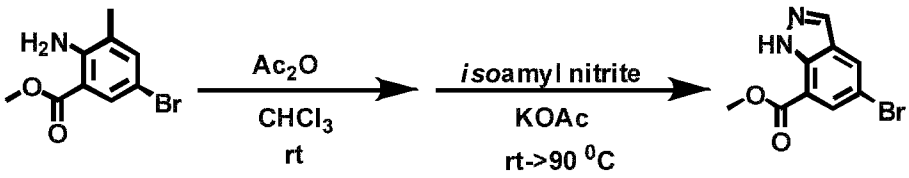
concentrated, diluted with water and neutralized with aq. $NaHCO_3$. The resultant solid formed was collected by filtration and dried to provide 5-(2-(6-Methylpyridin-2-yl)pyridin-3-yl)-1H-indazole (118 mg, 47%) as a white solid.^{1}H NMR (DMSO-d6): δ 13.01 (s, 1H), 8.63 (dd, 1H, J = 0.8 and 4.7 Hz), 7.99 (s, 1H), 7.89 (d, 1H, J = 7.9 Hz), 7.57 (app d, 2H, J = 8.5 Hz), 7.51 (dd, 1H, J = 4.9 and 7.6 Hz), 7.34 (d, 1H, J = 8.8 Hz), 7.26 (d, 1H, J = 7.6 Hz), 7.07 (d, 1H, J = 7.6 Hz), 6.97 (d, 1H, J = 8.8 Hz), 2.15 (s, 3H).LCMS: rt 2.13 min (B), purity 97 %, MS (m/e) 287 (MH^+).

Example 60 Methyl 2-Amino-5-bromo-3methylbenzoate



[0370] 2-Amino-5-bromo-3-methylbenzoic acid (5.0 g, 21.7 mmol)in dry DMF (35 mL) was stirredwith Cs_2CO_3 (10.62 g, 32.6 mmol) at room temperature for 1h under nitrogen.Iodomethane (3.4 g, 1.5 mL, 23.95 mmol) in dry DMF (7 ml) was added dropwise to the above stirring reaction mixture over a period of 30 min and continued the reaction for 24 h.Reaction mixture was diluted with water (200 mL) and stirred to observe hetergeneous suspension. The purple solid was collected by filtration and dried to obtain methyl 2-amino-5-bromo-3-methylbenzoate (4.72 g, 89%).^{1}H NMR (DMSO-d6): δ 7.67 (app d, 1H, J = 2.1 Hz), 7.34 (s, 1H), 6.60 (s, 2H), 3.78 (s, 3H), 2.09 (s, 3H).LCMS: rt 7.98 min (A), purity 99 %, MS (m/e) 245 (MH^+).

Example 61 Methyl 5-bromo-1H-indazole-7-carboxylate

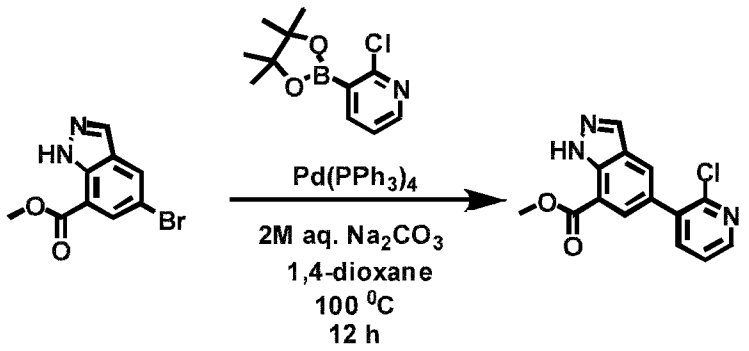


[0371] Acetic anhydride (4.5 g, 4.1 mL, 44 mmol) was added dropwise to the homogenous solution ofmethyl 2-amino-5-bromo-3-methylbenzoate (4.72 g, 19 mmol) in chloroform (55 mL) over a period of 20 min at room temperature and allowed to stir for 1h.Potassium acetate (5.7 g, 58 mmol) and isoamyl nitrate (6.6 g, 7.6 mL, 57 mmol) were added at once to the reaction mixture under nitrogen. The clear reaction mixture turned to dark upon heating at 90 ^{0}C. The reaction mixture was cooled to room temperature after overnight reflux , concentrated, diluted with water and stirred. The beige solid formed was collected by filtration and dried to obtain

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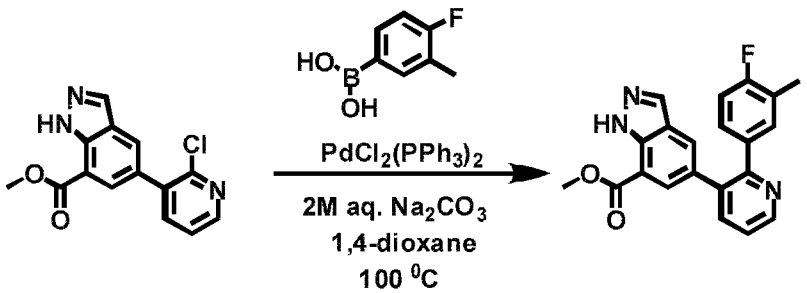
methyl 5-bromo-1H-indazole-7-carboxylate(2.1 g , 42%).^{1}H NMR (DMSO-d6): δ 13.44 (s, 1H), 8.33 (s, 1H), 8.21 (s, 1H), 7.99 (s, 1H), 3.95 (s, 3H).LCMS: rt 6.86 min (A), purity 99 %, MS (m/e) 255 (MH^+).

Example 62 Methyl 5-(2-chloropyridin-3-yl)-1H-indazole-7-carboxylate



[0372] Analogous to the preparation of 5-(2-chloropyridin-3-yl)-1H-indazole, methyl 5-(2-chloropyridin-3-yl)-1H-indazole-7-carboxylate was prepared by heating the mixture ofmethyl 5-bromo-1H-indazole-7-carboxylate (2.0 g, 7.8 mmol), 2-chloro-3-pyridine boronic acid pinacol ester (1.9 g, 7.9 mmol), $Pd(PPh_3)_4$ (540 mg, 0.46 mmol) and2M aq. Na_2CO_3 (8 mL, 16 mmol) in 1,4-dioxane (70 mL).Methyl 5-(2-chloropyridin-3-yl)-1H-indazole-7-carboxylate was isolated as a white solid (920 mg, 41%) upon work-up and purification genaralized in the preparation of 5-(2-chloropyridin-3-yl)-1H-indazole. ^{1}H NMR (DMSO-d6): δ 13.41 (s, 1H), 8.45 (d, 1H, J = 4.7 Hz), 8.31 (s, 1H), 8.21 (s, 1H), 7.97 (d, 1H, J = 7.3 Hz), 7.54 (dd, 1H, J = 4.7 and 7.3 Hz), 3.99 (s, 3H).LCMS: rt 6.41 min (A), purity 95 %, MS (m/e) 288 (MH^+)

Example 63 Methyl 5-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)-1H-indazole-7-carboxylate (Compound 190)

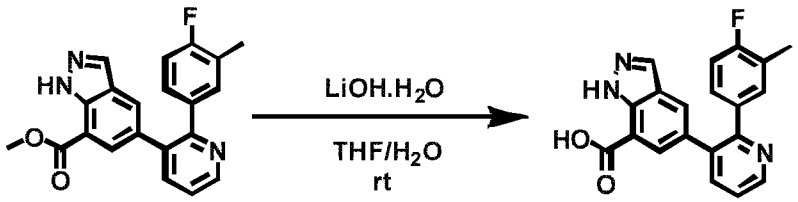


[0373] A single necked round bottom flask (100 mL) equipped with a magnetic stirring bar was charged with methyl 5-(2-chloropyridin-3-yl)-1H-indazole-7-carboxylate (0.88 g, 3.0 mmol), 4-fluoro-3-methylphenyl boronic acid (0.56 g, 3.63 mmol), $PdCl_2(PPh_3)_4$ (214 mg g, 1.0 mmol),

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1,4-dioxane (75 mL) and 2M aq.Na_2CO_3 (4.2 mL, 8.4 mmol mL) under argon atmosphere. The rubber septum was replaced with reflux condenser containing three-way stopcock. The system was then evacuated three times and back filled with argonand externally heated at 100 ^{0}C (oil bath) for 48h. The heterogeneous reaction mixture was allowed to cool to room temperature and concentrated under vacuum to remove the volatiles by rotary evaporator. The crude concentrate flask was charged with chloroform (100 mL)/water (30 mL) and stirred.Organic layer was separated and the aqueous layer further extracted with chloroform (75 mL).Combined organic layers were stirred over $MgSO_4$/Celite® for 20 min, suction filtered and filter cake washed with chloroform (30 mL). The filtrate collected was concentrated and purified by silica gel flash chromatography [Combiflash® companion system® with RediSep® silica gel column (24 g), solvent eluent gradient 30-50% EtOAc/hexanes] to obtain methyl 5-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)-1H-indazole-7-carboxylate (420 mg, 39%) as a white solid.^{1}H NMR (DMSO-d6): δ 13.1 (s, 1H), 8.62 (dd, 1H, J = 0.5 and 4.7 Hz), 8.04 (s, 1H), 7.83 (d, 1H, J = 7.6 Hz), 7.66 (s, 1H), 7.45-7.34 (app m, 3H), 7.02 (d, 1H, J = 8.5 Hz), 6.96-6.85 (m, 2H), 2.11 (s, 3H). ^{19}F NMR (DMSO-d6): δ -118.91. LCMS: rt 5.23 min (A), purity 93 %,MS (m/e) 362 (MH^+).

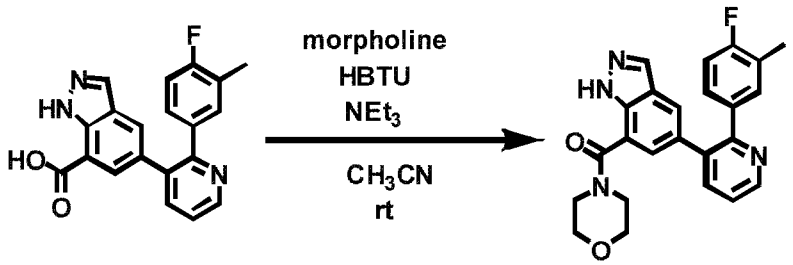
Example 64 5-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-1H-indazole-7-carboxylic acid (Compound 195)



[0374] Methyl 5-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)-1H-indazole-7-carboxylate (300 mg, 0.55 mmol) was saponified by LiOH (0.12 g,) in THF/H_2O (1:1, 8 mL) for 2 days. The reaction mixture was concentrated to dryness, diluted with water (4 mL) andneutralized by the addition of aq. 2N HCl. The solid formed after the neutralization was filtered and suction dried to obtain the title compound as a white solid (220 mg).^{1}H NMR (DMSO-d6): δ 13.15 (s, 1H),8.67 (app dd, 1H, J = 1.4 and 4.7 Hz), 8.17 (s, 1H), 7.97-7.92 (m, 2H), 7.68 (d, 1H, J = 1.7 Hz), 7.51 (dd, 1H, J = 4.7 and 7.6 Hz), 7.38 (d, 1H, 7.6 Hz), 6.93-6.90 (m, 2H), 2.12 (s, 3H).^{19}F NMR (DMSO-d6): δ -118.43(s).LCMS: 97 %, MS (m/e) 348 (MH^+).

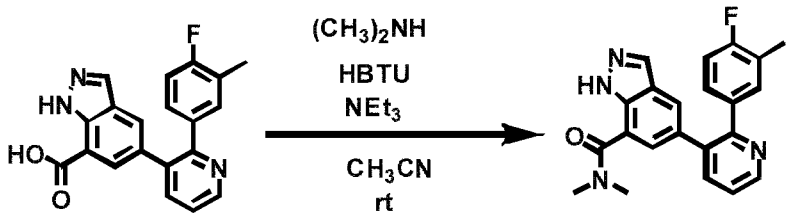
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Example 65 (5-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)-1H-indazol-7-yl)(morpholino)methanone (Compound 196)



[0375] A capped vial containing a stir-bar, was charged with 5-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)-1H-indazole-7-carboxylic acid (100 mg, 0.28 mmol), HBTU (140 mg, 0.36 mmol), morpholine (29 mg , 0.03 mL, 0.34 mmol), NEt_3 (57 mg, 0.08 mL, 0.57 mmol) and acetonitrile (3 ml) successively and stirred the contents at room temperature.After 12h, the reaction mixture was concentrated and diluted with EtOAc (15 mL)/H_2O (5 mL).Organic layer was separated, washed with brine, dried over anhydrous Na_2SO_4, concentrated and purified by preparative HPLC.Product fractions were concentrated, diluted with water and neutralized with aq. Na_2CO_3 solution. The resulting white precipitate was filtered and dried to obtain (5-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)-1H-indazol-7-yl)(morpholino)methanone.^{1}H NMR (DMSO-d6): δ 13.27 (s, 1H), 8.66 (d, 1H, J = 4.7 Hz), 8.17 (s, 1H), 7.97-7.92 (m, 2H), 7.48 (dd, 1H, J = 4.9 and 7.6 Hz), 7.33 (d, 1H, J = 7.8 Hz), 6.97-6.88 (m, 3H), 3.62-3.42 (m, 8H), 2.10 (s, 3H).^{19}F NMR (DMSO-d6): δ -118.69 (s).LCMS: rt 4.45 min (A), purity 97 %, MS (m/e) 417 (MH^+).

Example 66 5-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)-N,N-dimethyl-1H-indazole-7-carboxamide (Compound 203)

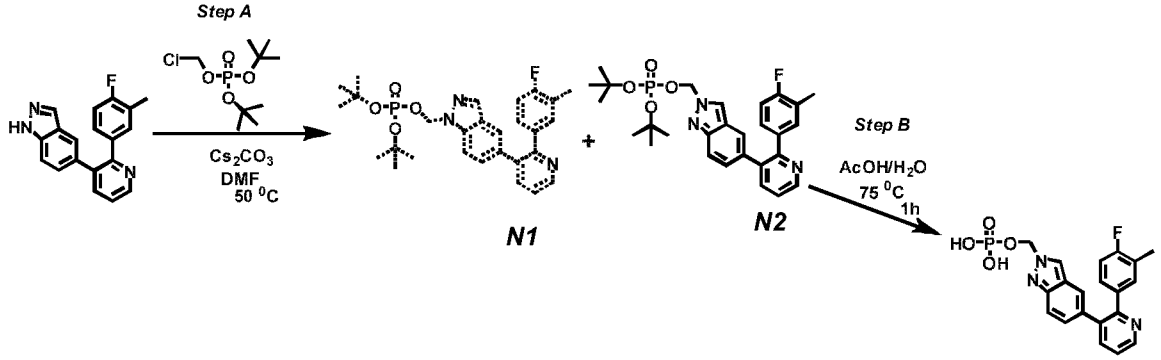


[0376] 5-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)-N,N-dimethyl-1H-indazole-7-carboxamide was prepared analogous to the preparation of 5-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)-1H-indazol-7-yl)(morpholino)methanone by the reaction of dimethyl amine (0.55 mL, 1.1 mmol, 2M in THF) with 5-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)-1H-indazole-7-carboxylic acid (100

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mg, 0.28 mmol), HBTU (140 mg, 0.36 mmol), NEt_3 (57 mg, 0.08 mL, 0.57 mmol) in acetonitrile (4 mL).Extractive work-up followed by preparative HPLC purification and neutralization procedure as discussed previously provided desired 5-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)-N,N-dimethyl-1H-indazole-7-carboxamide as a white solid.^{1}H NMR (DMSO-d6): δ 13.05 (s, 1H), 8.63 (dd, 1H, J = 1.7 and 4.7 Hz), 8.13 (s, 1H), 7.87 (dd, 1H, J = 1.4 and 7.6 Hz), 7.81 (s, 1H), 7.46 (dd, 1H, J = 4.7 and 7.6 Hz), 7.32 (d, 1H, J = 7.3 Hz), 7.02-6.98 (m, 1H), 6.95-6.89 (app m. 2H), 2.93 (br s, 3H), 2.57 (br s, 3H), 2.09 (s, 3H).^{19}F NMR (DMSO-d6): δ -118.91. LCMS: rt 4.63 min (A), purity 97 %, MS (m/e) 375 (MH^+).

Example 67 [5-(2-(4-Fluoro-3methylphenyl)pyridin-3-yl)-2H-indazol-2-yl]methyl dihydrogen phosphate (Compound 172)



[0377] *Step A*: Di-*tert*-butyl [5-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)-2H-indazol-2-yl]methyl phosphate.

[0378] Freshly prepared chloromethyl di-*tert*-butylphosphate (93% pure, 0.5 g, 1.93 mmol) dissolvedin anhydrous DMF (1 mL) was added in one portion to a heterogeneous stirring mixture of 5-[2-(4-fluoro-3-methylphenyl)pyridin-3-yl]-1H-indazole (0.5 g, 1.65 mmol), cesium carbonate (0.64 g, 1.96 mmol) and DMF (3 mL) at room temperature under argon. The contents were heated at 50 ^{0}C and the progress of the reaction monitored by LC/MS.After 24h, anlysis of the reaction indicated the consumption of 5-[2-(4-fluoro-3-methylphenyl)pyridin-3-yl]-1H-indazole (3%) with the generation of alkylated regio-isomeric product mixture of di-*tert*-butyl [5-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)-2H-indazol-2-yl]methyl phosphate (*N2*, 28%) and di-*tert*-butyl [5-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)-1H-indazol-1-yl]methyl phosphate (*N1*, 60%). The reaction mixture was diluted with EtOAc (15 mL), upon cooling to room temperature, stirred for 10 min and suction filtered. The filter cake was washed with EtOAc (15 mL) and discarded. Upon dilution of the filtrate with H_2O (20 mL)/t-BuOMe (30 mL), the aqueous layer

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was separated and the organic layer was washed with water (20 mL). The combined aqueous layers were re-extracted with a mixture of t-BuOMe/EtOAc (1/1, 30 mL). The combined organic layers were washed with saturated aq. NaCl (30 mL), stirred over $MgSO_4$, filtered and resulting filtrate evaporated under vacuum by rotary evaporator (water bath temperature 26-28 ^{0}C). The crude (1.2 g) product was subjected to purification by flash chromatography [Combiflash® companion system® with RediSep® silica gel column 40 g (pretreated with 5%NEt_3 in 30% EtOAc/hexanes followed by wash with 30% EtOAc/hexanes), 30/50/60/90% EtOAC/hexanes eluting solvent gradient upon liquid loading on to column]. Concentration of the fractions by rotary evaporator under vacuum provided the desired minor N2-regio-isomer, di-*tert*-butyl [5-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)-2H-indazol-2-yl]methyl phosphate, as a viscous material (110 mg, 12%). ^{1}H NMR (DMSO-d6): δ 8.63 (dd, 1H, J = 1.7 and 4.7 Hz), 8.51 (d, 1H, J = 0.9 Hz), 7.84 (dd, 1H, J = 1.8 and 8.0 Hz), 7.66 (d, 1H, J = 0.9 Hz), 7.52 (d, 1H, J = 8.8 Hz), 7.43 (dd, 1H, J = 4.5 and 7.6 Hz), 7.37 (d, 1H, J = 2.0 and 7.6 Hz), 7.01-6.85 (m, 3H), 6.10 (d, 2H, $^3J_{PH}$ = 11 Hz), 2.11 (s, 3H), 1.34 (s, 18 Hz). ^{31}P NMR (DMSO-d6): δ -11.9. LCMS: 94%, MS (m/e) 526 (MH^+).

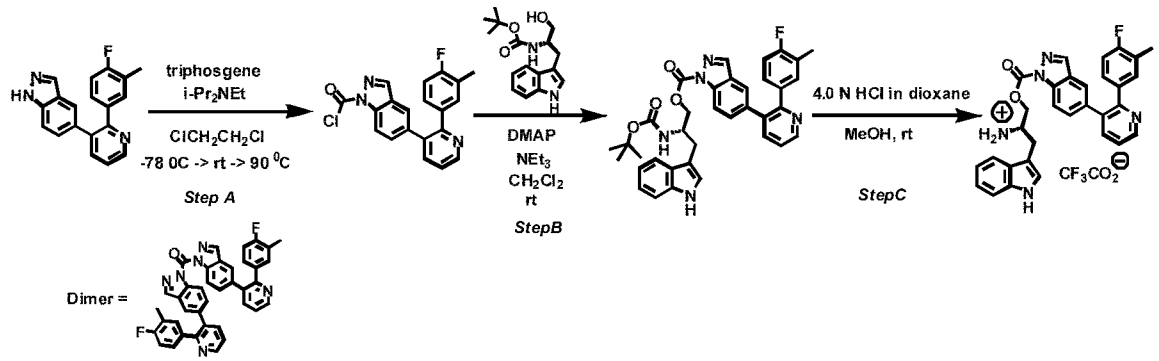
[0379] Step B: [5-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)-2H-indazol-2-yl]methyl dihydrogen phosphate

[0380] Di-*tert*-butyl [5-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)-2H-indazol-2-yl]methyl phosphate (*N2*, 100 mg, 0.21 mmol) was dissolved in AcOH:H_2O (0.5 mL, 4:1) and the clear homogenous solution heated at 60 ^{0}C.After 1h, analysis of the reaction mixture LC/MS indicated the 97% consumption of di-*tert*-butyl [5-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)-2H-indazol-2-yl]methyl phosphate leading to the desired product of [5-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)-2H-indazol-2-yl]methyl dihydrogen phosphate (AUC 85%).At this stage heating was discontinued and the reaction mixture was polish filtered by suction. Concentration of the filtrate by rotary evaporator under vacuum (water bath temp 26-28 ^{0}C) resulted in a thick viscous liquid which was diluted with acetone (7 mL). The white heterogenous suspension was stirred for 30 min and filtered. The filter cake was was washed with acetone (25 mL) and suction dried for a period of 1h. The collected filter cake was further processed by drying under high vacuum over P_2O_5 for 24 h to provide [5-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)-2H-indazol-2-yl]methyl dihydrogen phosphate (63 mg, 71%). ^{1}H NMR (DMSO-d6): δ 8.64 (dd, 1H, J = 0.5 and 4.7 Hz), 8.51 (s, 1H), 7.86 (d, 1H, J = 7.6 Hz), 7.70 (s, 1H), 7.50-7.41 (app m, 3H), 7.00-6.88 (m, 3H),

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6.05 (d, 2H, $^{3}J_{PH}$ = 10 Hz), 2.13 (s, 3H). ^{19}F NMR (DMSO-d6): δ -118.60. ^{31}P NMR (DMSO-d6): δ -2.63. LCMS: 97 %, MS (m/e) 414 (MH^+).

Example 68 (S)-2-Amino-3-(1H-indol-3-yl)propyl 5-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)-1H-indazole-1-carboxylate trifluoroacetic acid salt (Compound 179)



[0381] Step A: 5-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-1H-indazole-1-carbonyl chloride

[0382] A single neck round bottom flask with a stir bar was charged with 5-[2-(4-fluoro-3-methylphenyl)pyridin-3-yl]-1H-indazole (100 mg, 0.33 mmol) and triphosgene (120 mg, 0.40 mmol). The reaction flask was capped with a septum with a nitrogen inlet subseqently.Dichloroethane (3 mL) was transferred and cooled the reaction flask to -70 ^{0}C.*i*-Pr_2NEt (80 mg, 0.11 mL, 0.60 mmol) was added dropwise to the above stirring reaction mixture over a period 5 min and allowed to stir for 15 min after the competion of addition. The heterogenous suspension was allowed to warm to room temperature and heated at 90 ^{0}C.Analysis of the reaction by LC/MS after 18h of heating indicated the formation of 5-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)-1H-indazole-1-carbonyl chloride [rt 6.55 min (A), AUC 59%] andbis(5-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)-1H-indazol-1-yl)methanone [dimer,rt 6.16 min (A), 27%, MH+ 634]. The reaction mixture was cooled to room temperature and concentrated to dryness under vacuum by rotary evaporation.

[0383] *StepB:* (*S*)-tert-Butyl-1-(5-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)-1H-indazole-1-carboxyloyloxy)-3-(1H-indol-3-yl)propan-2-ylcarbamate

[0384] *N*-(*tert*-Butoxycarbonyl)-L-tryptophanol (100 mg, 33 mmol), DMAP (40 mg, 0.33 mmol) and CH_2Cl_2 (3 mL) were added sequentially to the above concentrate containing 5-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)-1H-indazole-1-carbonyl chloride under nitrogen atmosphere at room temperature.The resulting pale yellow heterogenous stiring solution was treated with NEt_3

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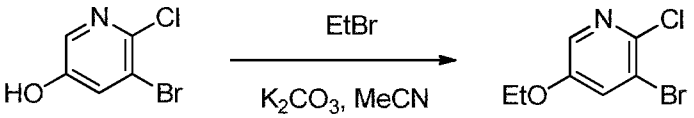
(0.5 mL) over a period of 5 min.The resulting clear dark reaction mixture was stirred for 30 min at room temperature.Analysis of the reaction mixture indicated the formation of desired product (*S*)-tert-butyl-1-(5-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)-1H-indazole-1-carboxyloyloxy)-3-(1H-indol-3-yl)propan-2-ylcarbamate [rt 7.10 min (A) AUC 56%) and dimer [rt 6.16 min (A), 20%, MH+ 634] with complete consumption of starting material.The reaction mixture was concentrated, diluted with EtOAc/H_2O (30 mL/10 mL) and separated the organic layer.Aqueous layer was re-extracted with EtOAc (15 mL), stirred the combined organic layers over $MgSO_4$ and filtred.Filtrate was concentrated and used in the next step with no further purification.

[0385] Step C: (S)-2-Amino-3-(1H-indol-3-yl)propyl 5-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)-1H-indazole-1-carboxylate trifluoroacetic acid salt

[0386] The above concentrate (220 mg) containing (*S*)-tert-butyl-1-(5-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)-1H-indazole-1-carboxyloyloxy)-3-(1H-indol-3-yl)propan-2-ylcarbamate dissolved in MeOH (2 mL) was treated with 4.0 N HCl (3 mL) at room temperature and stirred the resulting homogenous solution.After 1h, the reaction mixture was concentrated and purified by reverse phase preparative HPLC containing TFA as a modifier in the mobile phase of acetonitrile/water.The collected product fractions were allowed to freeze by external cooling in dry ice/acetone.The frozen residue was lyophilized to provide (S)-2-amino-3-(1H-indol-3-yl)propyl 5-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)-1H-indazole-1-carboxylate as an off-white solid (67 mg, 0.33%) as a TFA salt.^{1}H NMR (DMSO-d6): δ 11.04 (s, 1H), 8.68 (d, 1H, J = 4.5 Hz), 8.49 (s, 1H), 8.17 (br s, 3H), 7.99 (d, 1H, J = 8.5 Hz), 7.90 (d, 1H, J =7.9 Hz),7.80 (s, 1H), 7.60 (d, 1H, J = 7.9 Hz), 7.50 (dd, 1H, J = 4.5 and 7.9 Hz), 7.36-7.31 (app m, 4H), 7.09 (t, 1H, J – 7.9 Hz), 6.98 (t, 1H, J = 7.9 Hz), 6.92-6.86 (m, 3H), 4.62 (app d, 1H, J = 9.2 Hz), 4.52 (dd, 1H, J = J = 7.0 and 9.4 Hz), 3.92-3.87 (br s , 1H), 3.12 (d, 2H, J = 7.0 Hz), 2.13 (s, 3H). ^{19}F NMR (DMSO-d6): δ -118.91 (s) and -74.47(s).LCMS: rt 5.15 min (A), purity 94 %, MS (m/e) 520 (MH^+)-TFA.

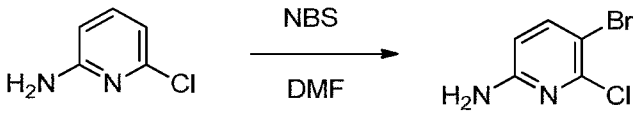
Example 69

[0387] Certain starting materials suitable for use in making the compounds described herein can be synthesized using the following reference syntheses.

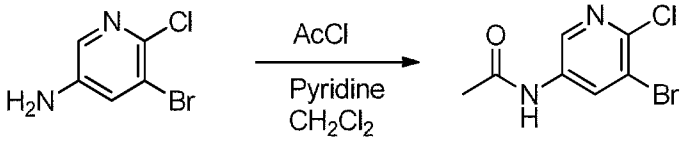


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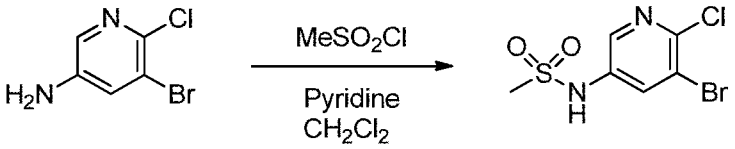
[0388] Synthesis, 16, 2551-2560 (2008).



[0389] Int'l Pat. App. Pub. no. 2009/027283



[0390] Int'l Pat. App. Pub. no. 2008/147822



[0391] Int'l Pat. App. Pub. no. 2008/078091

Example 70

[0392] Certain intermediates useful in making compounds of the disclosure (e.g., compounds 786-89, 795, 796 and 798-801) according to Schemes 12 and 13 were prepared. General procedures are first provided. Particular structures, compound names and synthetic data are provided thereafter.

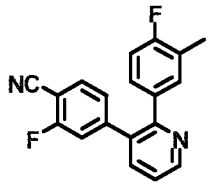
[0393] General procedure for the preparation of 5- or 6-(2-(aryl)pyridin-3-yl)-1H-indazol-3-amine: 2-Fluoro-4- or -5-(2-aryl)pyridin-3-yl)benzonitrile (75 mg) and EtOH (3 mL) were transferred to a microwave tube containing a stir bar. Corresponding hydrazine was added to the stirring solution, sealed the tube with the cap and heated at 150 ^{0}C in the microwave for 35 min. The homogeneous solution was concentrated and diluted with water. The resultant solid was collected by filtration and suction dried overnight. Samples that were not solids were purified by preparative HPLC and lyophilized the purified samples after the processing the samples to neutralization.

[0394] General procedure for 5 *or* 6-(2-(phenyl)pyridin-3-yl)benzo[d]isoxazol-3-amine: *t*-BuOK (0.077 g, 0.69 mmol) was added to a stirring solution of *N*-acetyl hydroxylamine (0.051 g, 0.69 mmol) in DMF (3 mL) at room temperature under argon in a screw capped vial (20 mL). After 20 min, corresponding 2-fluoro-4 *or* 5-(2-aryl)pyridin-3-yl)benzonitrile (1 eq) was added all at once to the heterogeneous suspension stirred for 30 min at rt. The pale yellow heterogeneous reaction mixture was stirred eventually at 60 ^{0}C. After 8h, the reaction mixture

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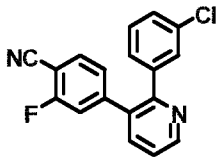
was diluted with water and solid formed was collected by filtration. Thus collected solid was purified by either crystallization in EtOAc or preparative HPLC. Preparative HPLC purified product samples were neutralized with aq. $NaHCO_3$, subsequently filtered the heterogeneous suspension and dried the collected solids.

[0395] 2-Fluoro-4-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)benzonitrile (intermediate for compounds 786, 787)



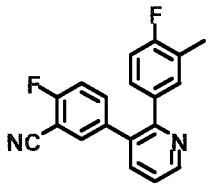
^{1}H NMR (300 MHz, DMSO-d_6) δ 8.70 (dd, J = 4.7, 1.7 Hz, 1H), 7.89 (dd, J = 7.8, 1.7 Hz, 1H), 7.84 (dd, J = 8.1, 7.0 Hz, 1H), 7.51 (dd, J = 7.8, 4.8 Hz, 1H), 7.46 (dd, J = 10.5, 1.5 Hz, 1H), 7.33 (dd, J = 7.4, 1.7 Hz, 2H), 7.14 (dd, J = 8.1, 1.6 Hz, 1H), 7.06 – 6.91 (m, 2H), 2.17 (d, J = 1.7 Hz, 5H). ^{19}F NMR (282 MHz, DMSO-d_6) δ -108.32 (dd, J = 10.5, 7.0 Hz), -118.03 (q, J = 7.8 Hz).

[0396] 4-(2-(3-Chlorophenyl)pyridin-3-yl)-2-fluorobenzonitrile (intermediate for compounds 798)



^{1}H NMR (300 MHz, DMSO-d_6): δ 8.74 (dd, J = 4.8, 1.7 Hz, 1H), 7.94 (dd, J = 7.8, 1.7 Hz, 1H), 7.87 (t, J = 7.5 Hz, 1H), 7.56 (dd, J = 7.8, 4.8 Hz, 1H), 7.49 (d, J = 10.6 Hz, 1H), 7.47 – 7.41 (m, 1H), 7.41 – 7.34 (m, 1H), 7.28 (t, J = 7.8 Hz, 1H), 7.18 (d, J = 8.1 Hz, 1H), 7.10 (d, J = 8.8 Hz, 1H). ^{19}F NMR (282 MHz, DMSO-d_6) δ -108.32 (dd, J = 10.5, 7.1 Hz).

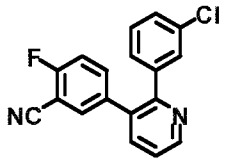
[0397] 2-Fluoro-5-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)benzonitrile (intermediate for compounds 795, 788, 789)



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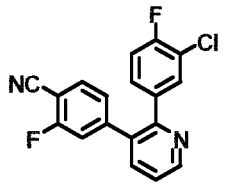
^{1}H NMR (300 MHz, DMSO-d_6): δ 8.68 (dd, J = 4.7, 1.7 Hz, 1H), 7.98 (s, 1H), 7.94 – 7.82 (m, 2H), 7.53 – 7.38 (m, 3H), 7.32 (d, J = 7.5 Hz, 1H), 7.00 (dd, J = 8.9, 3.1 Hz, 1H), 2.17 (d, J = 1.5 Hz, 3H). ^{19}F NMR (282 MHz, DMSO-d_6): δ -110.59 (q, J = 6.9 Hz), -118.29.

[0398] 5-(2-(3-Chlorophenyl)pyridin-3-yl)-2-fluorobenzonitrile (intermediate for compound 799)



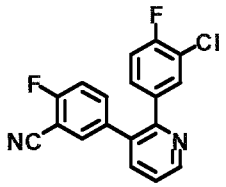
^{1}H NMR (300 MHz, DMSO-d_6): δ 8.71 (dd, J = 4.8, 1.6 Hz, 1H), 7.92 (dd, J = 7.8, 1.7 Hz, 1H), 7.90 – 7.86 (m, 1H), 7.58 – 7.44 (m, 3H), 7.44 – 7.33 (m, 2H), 7.27 (t, J = 7.8 Hz, 1H), 7.16 – 7.04 (m, 1H). ^{19}F NMR (282 MHz, DMSO-d_6) δ -110.39 (dt, J = 9.1, 5.9 Hz).

[0399] 4-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)-2-fluorobenzonitrile (intermediate for compound 800)



^{1}H NMR (300 MHz, DMSO-d_6) δ 8.73 (dd, J = 4.7, 1.6 Hz, 1H), 7.92 (dd, J = 7.8, 1.7 Hz, 1H), 7.87 (dd, J = 8.0, 7.0 Hz, 1H), 7.63 – 7.47 (m, 3H), 7.27 (t, J = 7.8 Hz, 1H), 7.18 (dd, J = 8.0, 1.5 Hz, 1H), 7.16 – 7.09 (m, 1H).^{19}F NMR (282 MHz, DMSO-d_6) δ -108.18 (dd, J = 10.5, 7.0 Hz), -116.79 (td, J = 8.6, 4.9 Hz).

[0400] 5-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)-2-fluorobenzonitrile (intermediate for compound 796, 801)



^{1}H NMR (300 MHz, DMSO-d_6): δ 8.71 (d, J = 1.6 Hz, 1H), 7.92 (t, J = 1.7 Hz, 1H), 7.90 (d, J = 1.7 Hz, 1H), 7.62 – 7.41 (m, 4H), 7.37 – 7.24 (m, 1H), 7.14 (ddd, J = 8.6, 4.8, 2.2 Hz, 1H).^{19}F NMR (282 MHz, DMSO-d_6): δ -110.28 (dt, J = 8.9, 5.9 Hz), -117.04 (ddd, J = 9.3, 7.3, 4.7 Hz).

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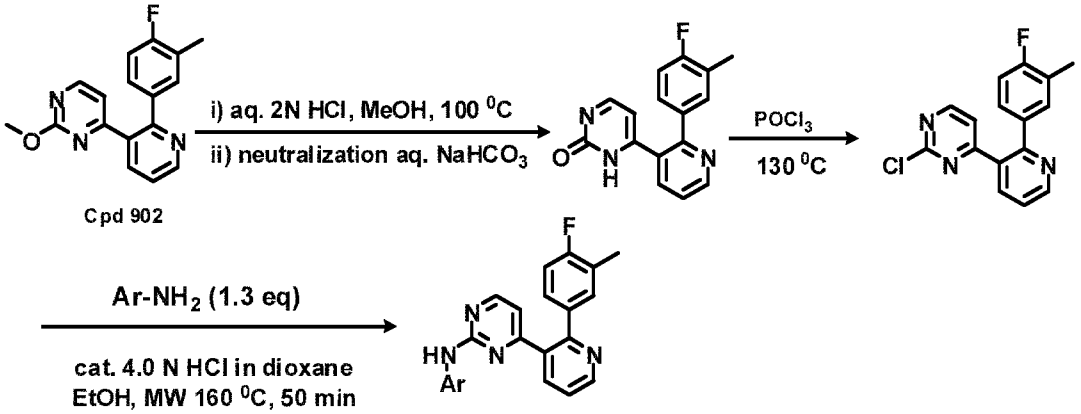
Example 73

[0401] Compound 291 was prepared according to the reaction sequence shown in Scheme 22. The starting amine, 2'-(3-chloro-4-fluorophenyl)-[3,3'-bipyridin]-6-amine, was prepared from N-(2'-(3-chloro-4-fluorophenyl)-[3,3'-bipyridin]-6-yl)acetamide (^{1}H NMR (300 MHz, DMSO-d_6) δ 10.51 (s, 1H), 8.67 (dd, J = 4.7, 1.7 Hz, 1H), 8.08 (dd, J = 2.5, 0.8 Hz, 1H), 8.02 (d, J = 8.6 Hz, 1H), 7.90 (dd, J = 7.8, 1.7 Hz, 1H), 7.60 (dd, J = 8.6, 2.5 Hz, 1H), 7.55 (dd, J = 7.4, 4.4 Hz, 1H), 7.51 (dd, J = 7.4, 4.4 Hz, 1H), 7.31 (t, J = 8.6 Hz, 1H), 7.18 (ddd, J = 8.6, 4.8, 2.2 Hz, 1H), 2.07 (s, 3H); ^{19}F NMR (282 MHz, DMSO-d_6) δ -117.24 (td, J = 8.3, 4.8 Hz)) via the following procedure: N-(2'-chloro-[3,3'-bipyridin]-6-yl)acetamide (2.5 g) and freshly prepared MeOH.HCl (10 mL) in 1,4-dioxane (35 mL) were heated to stir at 90 °C for 4h. The reaction mixture was concentrated and the crude residue was diluted with water (25 mL). The clear solution was neutralized with aq. $NaHCO_3$ and extracted into CH_2Cl_2 (2x125 mL). Work-up and drying of the pale yellow residue under high vacuum for 2 days provided 2'-(3-chloro-4-fluorophenyl)-[3,3'-bipyridin]-6-amine as a pale yellow solid. (1.9 g, purity: 96%). ^{1}H NMR (300 MHz, DMSO-d_6) δ 8.59 (dd, J = 4.8, 1.7 Hz, 1H), 7.79 (dd, J = 7.8, 1.7 Hz, 1H), 7.73 (d, J = 2.5 Hz, 1H), 7.53 (dd, J = 7.3, 2.1 Hz, 1H), 7.44 (dd, J = 7.8, 4.7 Hz, 1H), 7.33 (t, J = 8.6 Hz, 1H), 7.24 (ddd, J = 8.6, 4.9, 2.1 Hz, 1H), 7.12 (dd, J = 8.5, 2.5 Hz, 1H), 6.35 (dd, J = 8.6, 0.8 Hz, 1H), 6.04 (s, 2H).

Example 74

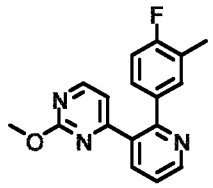
[0402] Compounds in which the Z group is an amine-substituted pyrimidine (e.g., Compounds 903-909 and 919) can be prepared via the reactions outlined in Scheme 29, described in more detail below:

Scheme 29



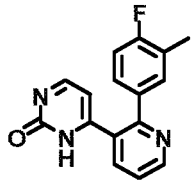
[0403] 4-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-2-methoxypyrimidine (Compound 207).

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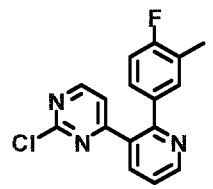
^{1}H NMR (300 MHz, DMSO-d_6): δ 8.74 (dd, J = 4.8, 1.7 Hz, 1H), 8.48 (d, J = 5.1 Hz, 1H), 8.08 (dd, J = 7.8, 1.7 Hz, 1H), 7.54 (dd, J = 7.8, 4.8 Hz, 1H), 7.34 (dd, J = 7.6, 2.1 Hz, 0H), 7.11 – 6.98 (m, 2H), 6.90 (d, J = 5.1 Hz, 1H), 3.77 (s,3H), 2.18 (app d, J = 2.1 Hz, 2H). ^{19}F NMR (282 MHz, DMSO-d_6): δ -118.09 (q, J = 8.0, 7.5 Hz). LCMS: rt 5.04 min (B), purity 99 %, MS (m/e) 296 (MH^+).

[0404] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)pyrimidin-2(1H)-one



Aqueous HCl (2N, 20 mL) was added to the stirring solution of 4-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)-2-methoxypyrimidine (1.3 g) in MeOH (10 mL) and heated at 90 ^{0}C for 12h. The reaction mixture was concentrated by rotary evaporator under reduced pressure and neutralized the resultant pale yellow viscous material with aq. $NaHCO_3$ solution. The slurry thus formed upon neutralization was stirred at room temperature for 20 min. The solid was collected by filtration, washed with water, suction dried. After 6h, the solid was further dried over P_2O_5 under high vacuum to provide 6-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)pyrimidin-2(1H)-one as a white solid (0.87 g). ^{1}H NMR (300 MHz, DMSO-d_6): δ 11.92 (s, 1H), 8.74 (dd, J = 4.8, 1.7 Hz, 1H), 7.98 (dd, J = 7.8, 1.7 Hz, 1H), 7.80 (app d, J = 6.0 Hz, 1H), 7.51 (dd, J = 7.8, 4.8 Hz, 1H), 7.43 (dd, J = 7.7, 2.1 Hz, 1H), 7.24 – 7.02 (m, 2H), 5.96 (d, J = 6.0 Hz, 1H), 2.22 (app s, 3H). ^{19}F NMR (282 MHz, DMSO-d_6) δ -117.63.

[0405] 2-Chloro-4-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)pyrimidine



6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)pyrimidin-2(1H)-one (0.83 g) and $POCl_3$ (3 mL) were heated at 130 ^{0}C under nitrogen. The reaction mixture was cooled to room temperature

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upon completion of the reaction (2h). The volatiles were removed and quenched the concentrate with ice. The semi-heterogeneous slurry was neutralized with aq. $NaHCO_3$ solution while stirring, warmed to room temperature and diluted with EtOAc (200 mL). The organic layer was separated, stirred over $MgSO_4$, filtered, concentrated and purified by flash chromatography (Combiflash® companion system® with RediSep® silica gel column 12 g and 10-50% EtOAc/Hexanes as eluting solvent) to obtain 2-chloro-4-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)pyrimidine as a clear viscous liquid.

[0406] General procedure for 4-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-arylpyrimidin-2-amine: 2-chloro-4-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)pyrimidine (1 eq), Ar-NH_2 (1.3 eq), EtOH (3 mL) and catalytic amount of 4.0 M HCl (0.02 mL) were added successively to a microwave tube containing a stir bar. The contents were stirred for 2 min and heated in the microwave at 160 ^{0}C for 50 min. The reaction mixture was concentrated and purified by preparative HPLC. The concentrated product fractions thus obtained as salts were neutralized with aq. $NaHCO_3$ solution and extracted into EtOAc. Organic layer was dried over anhydrous Na_2SO_4, filtered and concentrated. The concentrate was dissolved in acetonitrile/water (1:1) and lyophilized upon freezing the samples to obtain the desired compounds as solids.

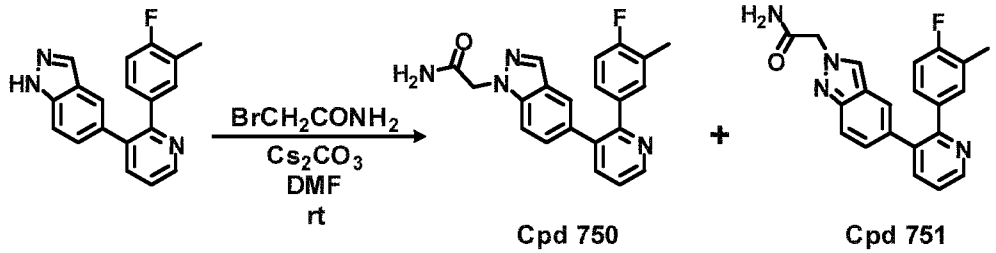
[0407] 4-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)pyrimidin-2-amine (Compound 919) was prepared as follows: A screw capped vial was charged with 2-chloro-4-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)pyrimidine (125 mg), 28% aq. Ammonia (3 mL), 1,4-dioxane (3 mL) and a stir bar. The vial was capped tightly, heated and stirred at 60 ^{0}C for 12h.. The heterogeneous solution was cooled to room temperature, diluted with water, filtered. The solid (90 mg) was suspended in 50% EtOAc/hexanes, stirred and heated at 80 ^{0}C for 30 min. Upon cooling to room temperature, the suspension was filtered and the solid was suction dried to provide 4-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)pyrimidin-2-amine as a white solid (73 mg). ^{1}H NMR (300 MHz, DMSO-d_6): δ 8.69 (dd, J = 4.7, 1.7 Hz, 1H), 8.07 (d, J = 5.0 Hz, 1H), 7.93 (dd, J = 7.8, 1.7 Hz, 1H), 7.47 (dd, J = 7.8, 4.8 Hz, 1H), 7.38 (dd, J = 7.4, 1.6 Hz, 1H), 7.18 – 6.95 (m, 2H), 6.70 (s, 2H), 6.20 (d, J = 5.0 Hz, 1H), 2.19 (s, 3H). ^{19}F NMR (282 MHz, DMSO-d_6): δ -118.16 (q, J = 7.7 Hz).LCMS: rt 4.40 min (A), purity 99 %, MS (m/e) 281 MH^+.

Example 75

[0408] N-alkyl indazole compounds (e.g., Compounds 750 and 751) can be prepared from the corresponding indazoles via the reaction outlined in Scheme 29, described in more detail below:

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Scheme 29



Cpd 750 Cpd 751

[0409] 2-(5-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-1H-indazol-1-yl)acetamide (Compound 750) and 2-(5-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)-2H-indazol-2-yl)acetamide (Compound 751). 5-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-1H-indazole (0.2 g, 0.66 mmol), 2-bromoacetamide (0.1 g, 0.72 mmol) and Cs_2CO_3 (0.25 g, 0.79 mmol) in dry DMF (2.5 mL) was stirred under argon in a screw capped vial at room temperature. The reaction mixture was diluted with water after 2 days and the resultant solid was collected by filtration. Individual alkylated indazole regio-isomers (2:1 ratio Cpd 750:751) were isolated from the crude solid by flash column chromatographic purification (Combiflash® companion system® with RediSep® silica gel column 12 g and 0-1.5% MeOH/EtOAc as an eluting solvent).

Example 76

[0410] 6- or 7-(2-(Aryl)pyridin-3-yl)imidazo[1,5-a]pyridine-3-carboxylic acids or esters via the reaction outlined in Scheme 19.

[0411] For example, ethyl 6-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,5-a]pyridine-3-carboxylate (Compound 256) and 6-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,5-a]pyridine-3-carboxylic acid (Compound 257) can be prepared using the intermediates and preparations described below:

[0412] 2'-(4-Fluoro-3-methylphenyl)-[3,3'-bipyridine]-6-carbonitrile. ^{1}H NMR (300 MHz, DMSO-d_6): δ 8.73 (dd, J = 4.7, 1.3 Hz, 1H), 8.52 (d, J = 1.9 Hz, 1H), 8.01 (d, J = 8.0 Hz, 1H), 7.96 (dd, J = 7.8, 1.3 Hz, 1H), 7.87 (dd, J = 8.0, 2.1 Hz, 1H), 7.54 (dd, J = 7.8, 4.8 Hz, 1H), 7.31 (d, J = 7.7 Hz, 1H), 7.06 – 6.93 (m, 2H), 2.16 (s, 3H). ^{19}F NMR (282 MHz, DMSO-d_6) δ -117.91 (q, J = 7.6, 6.9 Hz).

[0413] (2'-(4-Fluoro-3-methylphenyl)-[3,3'-bipyridin]-6-yl)methanamine. 2'-(4-Fluoro-3-methylphenyl)-[3,3'-bipyridine]-6-carbonitrile (2.2 g), Pd/C (0.4g) and conc.HCl (3 mL) in EtOH (100 mL) was hydrogenated in a par shaker at 40 psi overnight. The reaction mixture was filtered through Celite® and concentrated. The concentrate was treated with CH_2Cl_2 (120 mL)

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and sat. aq.$NaHCO_3$ (25mL). Organic layer was separated and the aqueous layer was re-extracted with CH_2Cl_2 (2 X 50 ml). Combined organic layers were stirred over anhydrous Na_2SO_4 for 30 min, filtered, concentrated and dried under high vacuum to obtain thick viscous liquid of (2'-(4-Fluoro-3-methylphenyl)-[3,3'-bipyridin]-6-yl)methanamine (2.1 g, purity 97%). ^1H NMR (300 MHz, DMSO-d_6): δ 8.66 (dd, J = 4.7, 1.6 Hz, 1H), 8.26 (s, 1H), 7.86 (d, J = 1.6 Hz, 1H), 7.54 (dd, J = 8.0, 2.2 Hz, 1H), 7.48 (dd, J = 7.7, 4.8 Hz, 1H), 7.37 (d, J = 8.1 Hz, 1H), 7.32 (d, J = 8.0 Hz, 1H), 6.97 (dd, J = 6.9, 1.5 Hz, 2H), 3.78 (s, 2H), 2.58 (br s, 2H), 2.16 (s, 3H).

[0414] Ethyl 2-(((2'-(4-fluoro-3-methylphenyl)-[3,3'-bipyridin]-6-yl)methyl)amino)-2-oxoacetate. To a stirring solution of (2'-(4-fluoro-3-methylphenyl)-[3,3'-bipyridin]-6-yl)methanamine (2.0g) in CH_2Cl_2 (30 mL) under nitrogen atmosphere, i-Pr_2NEt (2.6 mL) was added and stirred for 10 min at room temperature. Ethyl 2-chloro-2-oxoacetate (1.1 mL) as neat was added dropwise for 10 min. After 1h, the reaction mixture was concentrated, partitioned between CH_2Cl_2 (75 mL)/aq. NaCl (20 mL) and the organic layer was separated. Usual workup and purification by chromatography (Combiflash® companion system® with RediSep® silica gel column 40 g and 50-100% EtOAc/hexanes as an elutant) provided 1.4 g of ethyl 2-(((2'-(4-fluoro-3-methylphenyl)-[3,3'-bipyridin]-6-yl)methyl)amino)-2-oxoacetate as an off-white solid. ^1H NMR (300 MHz, DMSO-d_6): δ 9.41 (t, J = 6.2 Hz, 1H), 8.67 (dd, J = 4.7, 1.7 Hz, 1H), 8.30 (dd, J = 2.4, 0.9 Hz, 1H), 7.87 (dd, J = 7.8, 1.7 Hz, 1H), 7.56 (dd, J = 8.1, 2.3 Hz, 1H), 7.49 (dd, J = 7.8, 4.8 Hz, 1H), 7.29-7.22 (m, 2H), 6.98 (dd, J = 7.7, 1.1 Hz, 2H), 4.42 (d, J = 6.1 Hz, 2H), 4.23 (q, J = 7.1 Hz, 2H), 2.21 – 2.09 (m, 3H), 1.26 (t, J = 7.1 Hz, 3H).

[0415] Ethyl 6-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,5-a]pyridine-3-carboxylate (Compound 256). Ethyl 2-(((2'-(4-fluoro-3-methylphenyl)-[3,3'-bipyridin]-6-yl)methyl)amino)-2-oxoacetate (1.4g) and $POCl_3$ (15 mL) were stirred and heated at 105 ^{0}C under argon balloon. The reaction mixture was cooled to room temperature, added an additional amount of $POCl_3$ (10 mL) was added to the reaction mixture and heated at 90 ^{0}C for 2 days. The reaction mixture was cooled to room temperature and concentrated. Subsequently, ice/water solution was added to the crude residue followed by CH_2Cl_2 and aq. $NaHCO_3$. Upon allowing the contents warm to room temperature, organic layer was separated, stirred over Na_2SO_4, filtered and concentrated. Purification of the crude residue by flash column chromatography (Combiflash® companion system® with RediSep® silica gel column 40 g and 0-50-75% EtOAC/hexanes as an eluting

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solvent) provided 315 mg of Ethyl 6-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,5-a]pyridine-3-carboxylate as a white pale yellow solid.

[0416] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,5-a]pyridine-3-carboxylic acid (Compound 257). Ethyl 6-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,5-a]pyridine-3-carboxylate (2.1 g) and $LiOH.H_2O$ (400 mg) were stirred in THF/H_2O (1:1, 60 mL) at 70 ^0C for 2h. The reaction mixture was concentrated to dryness and diluted the pale yellow solid with water. The resulting semi-heterogeneous suspension was cooled in ice-bath, stirred and neutralized with aq. 3N HCl to pH 6. The solid aggregate was collected by filtration on Buchner funnel, washed with water and suction dried. Further processing the sample by drying over P_2O_5 in a vacuum desiccator provided the desired 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,5-a]pyridine-3-carboxylic acid (1.5 g, purity: 97%) as a pale yellow solid.

[0417] Similarly, ethyl 7-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,5-a]pyridine-3-carboxylate (Compound 255) and 7-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,5-a]pyridine-3-carboxylic acid (Compound 258) can be prepared using the intermediates described below:

[0418] 2-(4-Fluoro-3-methylphenyl)-[3,4'-bipyridine]-2'-carbonitrile. ^1H NMR (300 MHz, DMSO-d_6): δ 8.74 (dd, J = 4.8, 1.6 Hz, 1H), 8.63 (dd, J = 5.1, 0.7 Hz, 1H), 8.02 – 7.90 (m, 2H), 7.54 (dd, J = 7.8, 4.8 Hz, 1H), 7.45 (dd, J = 5.1, 1.7 Hz, 1H), 7.33 (d, J = 6.4 Hz, 1H), 7.09 – 6.94 (m, 2H), 2.17 (s, 3H). ^{19}F NMR (282 MHz, DMSO-d_6): δ -117.73 (q, J = 7.7, 7.2 Hz).

[0419] (2-(4-Fluoro-3-methylphenyl)-[3,4'-bipyridin]-2'-yl)methanamine. ^1H NMR (300 MHz, DMSO-d_6): δ 8.69 (dd, J = 4.7, 1.6 Hz, 1H), 8.34 (d, J = 3.8 Hz, 1H), 7.87 (d, J = 1.6 Hz, 1H), 7.50 (dd, J = 7.8, 4.7 Hz, 1H), 7.42 – 7.22 (m, 2H), 6.99-6.90 (m, 3H)), 3.76 (s, 2H), 3.28 (br s, 2H), 2.16 (s, 3H). ^{19}F NMR (282 MHz, DMSO-d_6): δ -118.23 (d, J = 7.8 Hz).3HCL: ^{19}F NMR (282 MHz, DMSO-d_6): δ 11.13 (s, 2H), 8.87 (d, J = 4.9 Hz, 3H), 8.54 (d, J = 5.3 Hz, 1H), 8.30 (d, J = 7.6 Hz, 1H), 8.06 – 7.80 (m, 2H), 7.43 (d, J = 6.9 Hz, 1H), 7.31 – 6.88 (m, 3H), 4.23 (d, J = 5.2 Hz, 3H), 2.18 (s, 3H).

[0420] Ethyl 2-(((2-(4-fluoro-3-methylphenyl)-[3,4'-bipyridin]-2'-yl)methyl)amino)-2-oxoacetate. ^1H NMR (300 MHz, DMSO-d_6): δ 9.29 (t, J = 6.0 Hz, 1H), 8.69 (d, J = 4.7 Hz, 1H), 8.42 (d, J = 5.0 Hz, 1H), 7.83 (d, J = 7.8 Hz, 1H), 7.50 (dd, J = 8.0, 4.5 Hz, 1H), 7.25 (d, J = 7.5 Hz, 1H), 7.14 (s, 1H), 7.07 (d, J = 5.0 Hz, 1H), 7.01 – 6.88 (m, 2H), 4.37 (d, J = 6.1 Hz, 2H), 4.23 (q, J = 6.9 Hz, 2H), 2.13 (s, 3H), 1.27 (t, J = 6.9 Hz, 3H).

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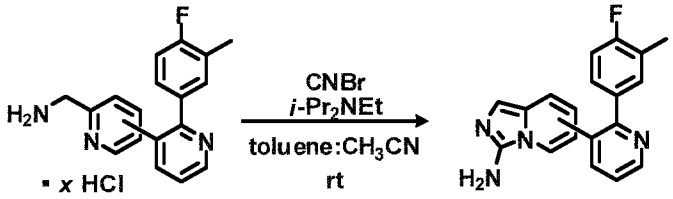
Example 75

[0421] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,5-a]pyridine (Compound 269) can be prepared via the reactions shown in the first two steps of Scheme 20: (2'-(4-Fluoro-3-methylphenyl)-[3,3'-bipyridin]-6-yl)methanamine (2.18 g) and formic acid (15 mL) were stirred and heated under nitrogen atmosphere at 100 ^{0}C. After 72h, the reaction mixture was concentrated and partitioned between CH_2Cl_2/aq. $NaHCO_3$. Usual work-up and purification by flash column chromatography (Combiflash® companion system® with RediSep® silica gel column 40 g and 50-100%EtOAC/hexanes as elutant) provided 630 mg of N-((2'-(4-fluoro-3-methylphenyl)-[3,3'-bipyridin]-6-yl)methyl)formamide as an off –white solid. N-((2'-(4-fluoro-3-methylphenyl)-[3,3'-bipyridin]-6-yl)methyl)formamide (630 mg) and $POCl_3$ (3 mL) were stirred and heated in benzene (20 mL) overnight at 75 ^{0}C. The reaction mixture was cooled to room temperature and concentrated. Subsequently, ice/water solution was added to the crude residue followed by CH_2Cl_2 and aq. $NaHCO_3$. Upon allowing the solution warm to room temperature, organic layer was separated, stirred over Na_2SO_4, filtered and concentrated. Purification of the crude residue by flash column chromatography (Combiflash® companion system® with RediSep® silica gel column 40 g and 2-8% MeOH/CH_2Cl_2 as an eluting solvent) provided 320 mg of 6-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,5-a]pyridine as an off-white solid.

Example 76

[0422] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,5-a]pyridin-3-amine (Compound 285) can be prepared via the reactions shown in Scheme 30, below:

Scheme 30



Cyanogen bromide (62 mg) in dry acetonitrile (1 mL) was added to a screw capped vial containing a stirring solution of (2'-(4-fluoro-3-methylphenyl)-[3,3'-bipyridin]-6-yl)methanamine.xHCl (120 mg), *i*-Pr_2NEt (0.2 mL) and anhydrous toluene. After 4h, the

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reaction mixture was concentrated and purified by reverse phase preparative HPLC conditions provided 6-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,5-a]pyridin-3-amine (28 mg).

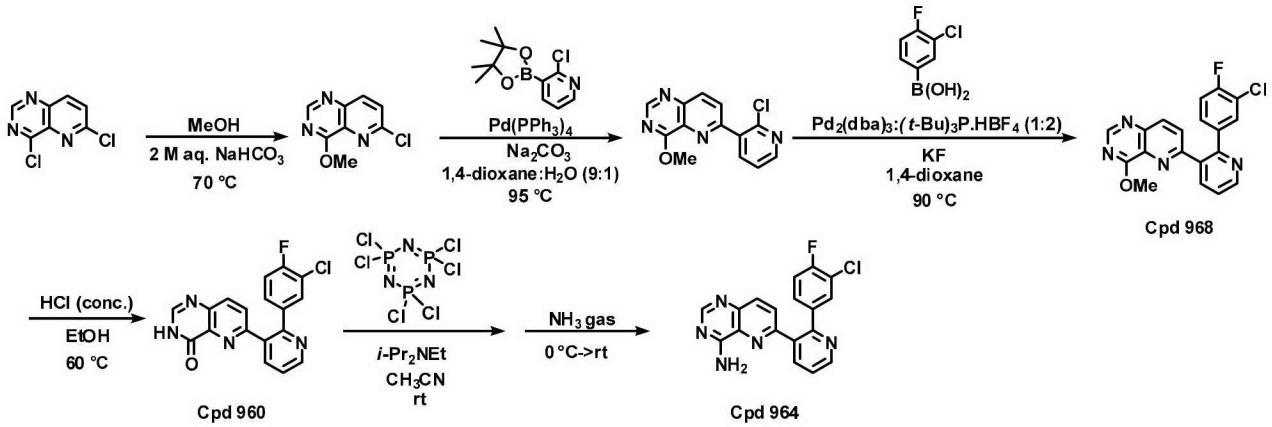
Example 77

[0423] 6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridin-2-amine (Compound 291) can be prepared via the reactions shown in Scheme 22: Ethoxycarbonyl isothiocyanate (66 mg) added to a screw capped vial containing 2'-(3-Chloro-4-fluorophenyl)-[3,3'-bipyridin]-6-amine (150 mg) and 1,4-dioxane (1.5 mL). After 6h, the reaction mixture was concentrated in the same vial to dryness and the residue was transferred to a microwave vial by dissolving in MeOH (1 mL) and EtOH (1 mL). Subsequently, hydroxylamine hydrochloride (35 mg) was added, capped the vial, introduced *i*-Pr_2NEt (87 μL) and heated at 150 ^{0}C. Reaction mixture was concentrated after overnight and diluted with water. The solid was collected by filtration and purified by reverse phase preparative HPLC conditions.

Example 78

[0424] Pyrido[3,2-d]pyrimidine compounds can be prepared via the reactions shown in Scheme 31, below:

Scheme 31



[0425] 6-Chloro-4-methoxypyrido[3,2-d]pyrimidine. 4,6-Dichloropyrido[3,2-d]pyrimidine (prepared from Int'l Pat. App. Pubs. nos.2005058913, 2011131741 and 201009469)(2.5 g, 12.4 mmol) and $NaHCO_3$ (3.1 g, 31 mmol) in MeOH (20 mL) were heated at 70 ^{0}C for 12h under nitrogen atmosphere. The reaction mixture was filtered and concentrated the filtrate. The crude concentrate was diluted with water and filtered. The suction dried solid was stirred in EtOAc (20

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mL) and filtered to obtain 6-chloro-4-methoxypyrido[3,2-d]pyrimidine (1.8 g) as an off-white solid. ^{1}H NMR (300 MHz, DMSO-d_6): δ 8.88 (s, 1H), 8.37 (d, J = 8.8 Hz, 1H), 8.00 (d, J = 8.8 Hz, 1H), 4.14 (s, 3H).

[0426] 6-(2-Chloropyridin-3-yl)-4-methoxypyrido[3,2-d]pyrimidine. A reaction flask was charged 6-chloro-4-methoxypyrido[3,2-d]pyrimidine (3.5 g, 17.8 mmol), 2-chloro-3-pyridineboronic acid pinacol ester (4.35 g, 18.2 mmol), Na_2CO_3 (4.0 g, 38.2 mmol) and 1,4-dioxane (100 mL) and a stir bar. The contents were degassed by vacuum and back filled with argon three times while stirring. Subsequently, $Pd(PPh_3)_3$ (0.87 g, 0.75 mmol) was added to the reaction contents, repeated degassing cycles and heated under argon at 98 °C. The heating was stopped after overnight, the yellow hot heterogeneous reaction mixture was suction filtered on a Buchner funnel and washed the cake with additional amount of dioxane (30 mL). The pale yellow clear filtrate solution was passed through a pad of Celite® and concentrated the filtrate. The crude pale yellow solid residue was partitioned between CH_2Cl_2 (150 mL)/water (50 mL). The organic layer was separated, dried over $MgSO_4$, filtered and concentrated. The crude concentrate was stirred in EtOAc (30 mL) and suction filtered. The filter cake was washed further with EtOAc (10 mL) and dried to obtain 1.6 g of 6-(2-chloropyridin-3-yl)-4-methoxypyrido[3,2-d]pyrimidine (purity: 95%) as a white solid. The filtrate was concentrated and purified the concentrate by flash column chromatography (Combiflash® companion system® with RediSep® silica gel column 40 g, 0-30-60% EtOAC/hexanes eluting solvent gradient) to obtain additional 0.65 g of titled compound. ^{1}H NMR (300 MHz, DMSO-d_6): δ 8.92 (s, 1H), 8.57 (dd, J = 4.8, 2.0 Hz, 1H), 8.45 (d, J = 8.7 Hz, 1H), 8.28 (d, J = 8.7 Hz, 1H), 8.13 (dd, J = 7.6, 2.0 Hz, 1H), 7.63 (dd, J = 7.6, 4.8 Hz, 1H), 4.16 (s, 3H).

[0427] 6-(2-(3-chloro-4-fluorophenyl)pyridin-3-yl)-4-methoxypyrido[3,2-d]pyrimidine (Compound 968). 6-(2-chloropyridin-3-yl)-4-methoxypyrido[3,2-d]pyrimidine (2.0 g, 7.3 mmol), 3-chloro-4-fluoro-phenylboronic acid (2.5 g, 14.3 mmol), KF (2.5 g, 43.0 mmol) and 1,4-dioxane (75 mL) and stir bar were added to a reaction flask. The contents were degassed by vacuum and back filled with argon three times while stirring. Subsequently, commercially available catalyst $Pd_2(dba)_3$.t-Bu_3P.HBF_4 (1:2) (1 g, 0.67 mmol) was added to the reaction contents, repeated degassing cycles and heated at 90 ^{0}C under argon. Colorimetric changes were observed after the introduction of catalyst and as the reaction progressed from pale pink to yellow to off-green slurries. After 6h, the reaction stirring was stopped, filtered the hot slurry

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and washed the cake with additional amount of dioxane (30 mL). The pale yellow clear filtrate solution was passed through a pad of Celite® and concentrated the filtrate. The crude solid residue was partitioned between CH_2Cl_2 (150 mL)/water (50 mL). The organic layer was separated, dried over $MgSO_4$, filtered and concentrated. The crude concentrate was stirred in 60% EtOAc/hexanes (30 mL), suction filtered and dried the solid to obtain 1.6 g of (purity: 95%) 6-(2-(3-chloro-4-fluorophenyl)pyridin-3-yl)-4-methoxypyrido[3,2-d]pyrimidine as an off-white solid. The filtrate was concentrated and purified the concentrate by flash column chromatography (Combiflash® companion system® with RediSep® silica gel column 40 g, 0-30-60% EtOAC/hexanes eluting solvent gradient) to obtain additional 0.65 of titled compound.

[0428] 6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)pyrido[3,2-d]pyrimidin-4(3H)-one (Compound 960). Conc. HCl (0.2 ml) was added to a stirring heterogeneous slurry of 6-(2-(3-chloro-4-fluorophenyl)pyridin-3-yl)-4-methoxypyrido[3,2-d]pyrimidine (2.2 g) in EtOH (25 mL) at room temperature and heated the slurry gradually to 60 ^{0}C. The pale yellow heterogeneous slurry transformed to homogeneous solution in 15 min and turned to heterogeneous slurry back again after 2h of heating. Heating and stirring was continued for 4h and cooled the reaction mixture to room temperature. The solid was collected by filtration and concentrated the filtrate. The solid was neutralized with aq.$NaHCO_3$, filtered and dried to obtain title compound as a white solid (1.3 g).

[0429] 6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)pyrido[3,2-d]pyrimidin-4-amine (Compound 964). 6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)pyrido[3,2-d]pyrimidin-4(3H)-one (100 mg, 0.28 mmol), phosphonitrilic chloride (100 mg, 0.28 mmol) and as stir bar were transferred to a vial, capped and placed under nitrogen atmosphere. Dry acetonitrile (3 mL) was transferred and allowed the contents to stir at room temperature. *i*-Pr_2NEt was added for 2 min to the heterogeneous slurry. Upon stirring the red homogeneous slurry for 2h, nitrogen balloon was replaced with NH_3 balloon and stirred. After stirring the contents for 6h, the reaction mixture was concentrated and the crude residue was partitioned between chloroform/water. Organic layer was removed and re-extracted the aqueous layer with chloroform. Usual workup and purification by preparative HPLC provided 6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)pyrido[3,2-d]pyrimidin-4-amine (16 mg) as a white solid.

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Example 79

[0430] 6,7-Diaryl-1H-pyrido[2,3-b][1,4]oxazin-2(3H)-ones (e.g., Compounds 292, 293, 294) and 6,7-diaryl-1-methyl-2,3-dihydro-1H-pyrido[2,3-b][1,4]oxazines (e.g., Compounds 295, 296, 300) can be prepared from 6,7-dibromo-2H-pyrido[3,2-b][1,4]oxazin-3(4H)-one (Int'l Pat. App. Publication no. 2011/077098) by adopting analogous Suzuki-Miyaura reaction conditions from previous examples, as shown in Scheme 23. Particular intermediates and reactions are described below.

[0431] 7-Bromo-6-(4-fluoro-3-methylphenyl)-2H-pyrido[3,2-b][1,4]oxazin-3(4H)-one. ^{1}H NMR (300 MHz, DMSO-d_6) δ 10.97 (s, 1H), 7.56 – 7.26 (m, 3H), 7.19 (dd, J = 9.8, 8.4 Hz, 1H), 4.83 (s, 2H), 2.26 (d, J = 2.0 Hz, 3H). ^{19}F NMR (282 MHz, DMSO-d_6) δ -117.58 (ddt, J = 8.5, 6.0, 3.0 Hz).

[0432] 7-Bromo-6-(4-fluoro-3-methylphenyl)-1-methyl-1H-pyrido[2,3-b][1,4]oxazin-2(3H)-one. ^{1}H NMR (300 MHz, DMSO-d_6): δ 7.84 (s, 1H), 7.59 – 7.34 (m, 2H), 7.20 (dd, J = 9.8, 8.5 Hz, 1H), 4.90 (s, 2H), 3.27 (s, 3H), 2.27 (d, J = 1.8 Hz, 3H). ^{19}F NMR (282 MHz, DMSO-d_6) δ -117.43 (m).

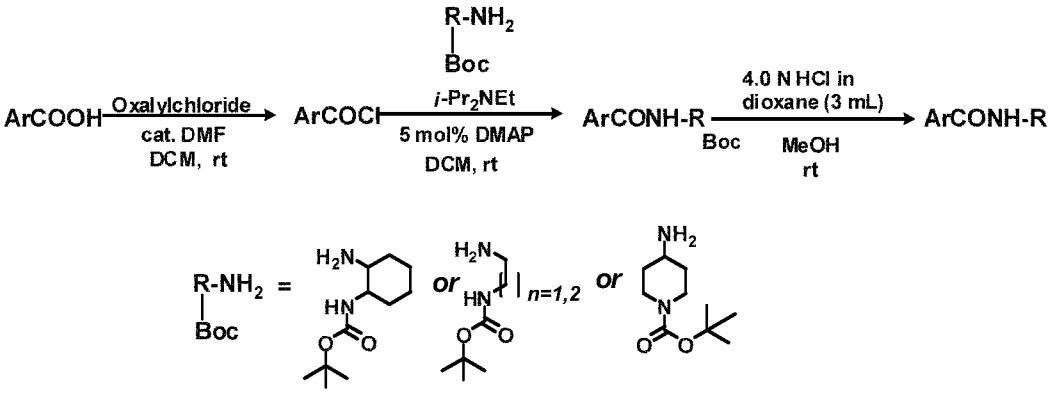
[0433] 7-Bromo-6-(4-fluoro-3-methylphenyl)-1-methyl-2,3-dihydro-1H-pyrido[2,3-b][1,4]oxazine. To the stirring solution of 7-bromo-6-(4-fluoro-3-methylphenyl)-1-methyl-1H-pyrido[2,3-b][1,4]oxazin-2(3H)-one (595 mg) and THF (15 mL) under argon was added BH_3.THF (5.1 mL, 1N solution in THF) dropwise for 20 min. After 12h, the reaction mixture was cooled in ice-bath and transferred 1N aq. HCl (16 mL). Subsequently, cooling bath was removed and heated at 80 ^{0}C for 1h. The reaction mixture was concentrated, basified with aq. $NaHCO_3$ solution and extracted with EtOAc (2 X 125 mL). Workup of the combined organic layers followed by flash column chromatographic purification (Combiflash® companion system® with RediSep® silica gel column 24 g and 30-50% EtOAc/hexanes eluting solvent) provided 450 mg of 7-bromo-6-(4-fluoro-3-methylphenyl)-1-methyl-2,3-dihydro-1H-pyrido[2,3-b][1,4]oxazine as an off-white solid. ^{1}H NMR (300 MHz, DMSO-d_6): δ 7.45 – 7.32 (m, 2H), 7.21 (s, 1H), 7.13 (dd, J = 9.8, 8.5 Hz, 1H), 4.59 – 4.21 (m, 2H), 3.47 – 3.25 (m, 2H), 2.88 (s, 3H), 2.25 (d, J = 1.9 Hz, 3H). ^{19}F NMR (282 MHz, DMSO-d_6) δ -118.92 (m).

Example 80

[0434] Free amino-substituted amide compounds (e.g., Compounds 514, 663, 679) can be prepared according to Scheme 32, below. General synthetic procedures are also provided.

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Scheme 32



[0435] Step A: A single necked pear shaped round bottom flask containing a stir bar and acid ArCOOH (100 mg, 1eq) is stoppered with a rubber septum and nitrogen is introduced. Methylene chloride (4 mL) is added and stirred for 5 min. Oxalyl chloride is added all at once followed by catalytic DMF (0.05 mL from stock solution of 0.05 mL of dry DMF dissolved in 4 mL of dry DMF) at room temp. The heterogeneous reaction solution turns to clear solution upon addition of DMF which eventually progresses to a heterogeneous slurry. After 3h, reaction mixture is concentrated by rotary evaporator under nitrogen atmosphere to dryness to form acid chloride ArCOCl.

[0436] Step B: DMAP (5 mol%) and the desired N-Boc-diamine (Boc-R-NH_2) (1.2 eq) are weighed into the flask containing the acid chloride (as a semi-solid) with the stir bar. The flask is stoppered with a rubber septum and nitrogen is introduced. Methylene chloride (7 mL) is transferred and allowed to stir with the reaction contents. After stirring the contents for 10 min, *i*-Pr_2NEt is added drop-wise over a period of 5 min. The pale yellow homogeneous reaction mixture is concentrated after 1h to yield crude amide ArCONHR-Boc.

[0437] Step C: The crude residue from step B is stirred with 4.0 N HCl in dioxane (3 mL) and MeOH (3 mL) at room temperature for 1h. At the end of the reaction, the reaction mixture is concentrated and purified by preparative reverse HPLC. The purified concentrate (obtained as either TFA salt or formic acid salt/solvate) is neutralized with aq. $NaHCO_3$ solution and extracted with EtOAc. The organic layer is stirred with Na_2SO_4, polish filtered and concentrated. The concentrate is dissolved in acetonitrile/water and lyophilized to obtain the desired product ArCONHR.

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Example 81

[0438] The following additional compounds were prepared substantially as described herein. In certain cases, synthetic preparations are provided below.

[0439] 5-(2-(3-Cyclopropyl-4-fluorophenyl)pyridin-3-yl)-1H-indazole (Compound 211). LCMS: rt 5.21 min (A), MS (m/e) 330 MH^+. ^1H NMR (CD_3OD, 300 MHz): 8.78 (dd, J = 5.4, 1.5 Hz, 1H),): 8.55 (dd, J = 7.8, 1.5 Hz, 1H), 8.08 (m, 1H), 7.98 (dd, J = 7.8, 5.4 Hz, 1H), 7.75 (m, 1H), 7.50 (m, 1H), 7.28 (m, 1H), 7.14-7.05 (m, 2H), 6.88 (dd, J = 6.9, 2.1 Hz, 1H), 1.96 (m, 1H), 0.82 (m, 2H), 0.24 (m, 2H);

[0440] N-Cyclopropyl-6-(4-fluoro-3-methylphenyl)-5-(1H-indazol-5-yl)pyridin-3-amine (Compound 212). LCMS: rt 5.65 min (A), MS (m/e) 359 MH^+.

[0441] 1-(6-(3-Cyclopropylphenyl)-5-(1H-indazol-5-yl)pyridin-3-yl)-3-isopropylurea (Compound 213). LCMS: rt 5.48 min (A), MS (m/e) 412 MH^+.

[0442] 1-(5-(1H-Indazol-5-yl)-6-(m-tolyl)pyridin-3-yl)-3-isopropylurea (Compound 214). LCMS: rt 5.15 min (A), MS (m/e) 386 MH^+.

[0443] 5-(2-(4-Fluoro-3-methylphenyl)-5-(3-isopropylureido)pyridin-3-yl)-N-isopropyl-1H-indazole-1-carboxamide (Compound 215). LCMS: rt 6.56 min (A), MS (m/e) 489 MH^+.

[0444] 2-(2-(3-cyclopropyl-4-fluorophenyl)pyridin-3-yl)-1,5-naphthyridine (Compound 216). LCMS: rt 5.81 min (A), MS (m/e) 342 MH^+. ^1H NMR (CD_3OD, 300 MHz): 9.03 (dd, J = 4.5, 1.8 Hz, 1H), 8.85 (dd, J = 5.4, 1.8 Hz, 1H), 8.57-8.50 (m, 2H), 8.28 (dd, J = 8.7, 0.9 Hz, 1H), 7.87 (m, 2H), 7.46 (d, J = 8.7 Hz, 1H), 7.22 (m, 1H), 7.04 (dd, J = 10.2, 8.7 Hz, 1H), 6.88 (dd, J = 7.2, 2.4 Hz, 1H), 1.98 (m, 1H), 0.82 (m, 2H), 0.26 (m, 2H).

[0445] 6-(2-(3-Cyclopropyl-4-fluorophenyl)pyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine (Compound 217). LCMS: rt 5.35 min (A), MS (m/e) 331 MH^+. ^1H NMR (CD_3OD, 300 MHz): 8.81 (dd, J = 1.8, 0.9 Hz, 1H), 8.45 (s, 1H), 8.18 (dd, J = 7.8, 1.8 Hz, 1H), 7.69-7.64 (m, 2H), 7.38 (dd, J = 9.3, 1.8 Hz, 1H), 7.21 (m, 1H), 7.01 (dd, J = 9.9, 8.4 Hz, 1H), 6.92 (dd, J = 7.2, 2.4 Hz, 1H), 2.03 (m, 1H), 0.85 (m, 2H), 0.36 (m, 2H).

[0446] 6-(2-(3-Cyclopropyl-4-fluorophenyl)pyridin-3-yl)imidazo[1,2-a]pyridine (Compound 218). LCMS: rt 4.23 min (A), MS (m/e) 330 MH^+. ^1H NMR (CD_3OD, 300 MHz): 8.85 (m, 1H), 8.85 (dd, J = 4.8, 1.5 Hz, 1H), 8.22 (dd, J = 2.1, 0.9 Hz, 1H), 8.07 (d, J = 2.1 Hz, 1H), 8.04 (dd, J = 7.8, 1.5 Hz, 1H), 7.80 (m, 1H), 7.62-7.55 (m, 2H), 7.13(m, 1H), 7.04 (dd, J = 7.5, 2.4 Hz, 1H), 6.95 (d, J = 1.5 Hz, 1H), 2.03 (m, 1H), 0.92 (m, 2H), 0.48 (m, 2H).

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[0447] N-(6-(4-Fluoro-3-methylphenyl)-5-(imidazo[1,2-a]pyridin-6-yl)pyridin-3-yl)morpholine-4-carboxamide (Compound 219). LCMS: rt 4.00 min (A), MS (m/e) 432 MH^+.

[0448] 1-Ethyl-3-(6-(4-fluoro-3-methylphenyl)-5-(imidazo[1,2-a]pyridin-6-yl)pyridin-3-yl)urea (Compound 220). LCMS: rt 4.01 min (A), MS (m/e) 390 MH^+.

[0449] 3-(6-(4-Fluoro-3-methylphenyl)-5-(imidazo[1,2-a]pyridin-6-yl)pyridin-3-yl)-1,1-dimethylurea (Compound 221). LCMS: rt 3.91 min (A), MS (m/e) 390 MH^+.

[0450] (6-(4-Fluoro-3-methylphenyl)-5-(quinoxalin-6-yl)pyridin-3-yl)methanol (Compound 222). LCMS: rt 5.16 min (b), MS (m/e) 346 MH^+.

[0451] 6-(2-(3-Cyclopropyl-4-fluorophenyl)pyridin-3-yl)imidazo[1,2-a]pyridine-3-carbonitrile (Compound 223). LCMS: rt 5.90 min (A), MS (m/e) 355 MH^+.

[0452] 6-(2-(3-Cyclopropyl-4-fluorophenyl)pyridin-3-yl)imidazo[1,2-a]pyridine-3-carboxamide (Compound 224). LCMS: rt 4.28 min (A), MS (m/e) 373 MH^+. ^1H NMR (CD_3OD, 300 MHz): 9.69 (dd, J = 1.8, 0.9 Hz, 1H), 8.79 (dd, J = 5.1, 1.5 Hz, 1H), 8.52 (s, 1H), 8.27 (dd, J = 7.8, 1.8 Hz, 1H), 7.78 (m, 2H), 7.54 (dd, J = 9.3, 1.5 Hz, 1H), 7.16 (m, 1H), 7.03 (dd, J = 7.2, 2.1 Hz, 1H), 6.99 (dd, J = 10.2, 8.7 Hz, 1H), 2.03 (m, 1H), 0.92 (m, 2H), 0.51 (m, 2H).

[0453] 4-((6-(4-Fluoro-3-methylphenyl)-5-(quinoxalin-6-yl)pyridin-3-yl)methyl)morpholine (Compound 225). LCMS: rt 5.06 min (A), MS (m/e) 415 MH^+.

[0454] 1-(6-(4-Fluoro-3-methylphenyl)-5-(quinoxalin-6-yl)pyridin-3-yl)-N,N-dimethylmethanamine (Compound 226). LCMS: rt 4.98 min (A), MS (m/e) 373 MH^+.

[0455] 6-(4-Fluoro-3-methylphenyl)-5-(quinoxalin-6-yl)nicotinic acid (Compound 227). LCMS: rt 6.33 min (A), MS (m/e) 360 MH^+.

[0456] 6-(2-(4-Fluoro-3-methylphenyl)-5-(methoxymethyl)pyridin-3-yl)quinoxaline (Compound 228). LCMS: rt 5.81 min (A), MS (m/e) 360 MH^+.

[0457] 6-(5-(Ethoxymethyl)-2-(4-fluoro-3-methylphenyl)pyridin-3-yl)quinoxaline (Compound 229). LCMS: rt 6.18 min (A), MS (m/e) 374 MH^+.

[0458] 6-(2-(4-Fluoro-3-methylphenyl)-5-((4-methylpiperazin-1-yl)methyl)pyridin-3-yl)quinoxaline (Compound 230). LCMS: rt 4.60 min (A), MS (m/e) 428 MH^+.

[0459] 2-((6-(4-Fluoro-3-methylphenyl)-5-(quinoxalin-6-yl)pyridin-3-yl)methoxy)-N,N-dimethylacetamide (Compound 231). LCMS: rt 5.41 min (A), MS (m/e) 431 MH^+.

[0460] 2-((6-(4-Fluoro-3-methylphenyl)-5-(quinoxalin-6-yl)pyridin-3-yl)methoxy)-N-methylacetamide (Compound 232). LCMS: rt 5.36 min (A), MS (m/e) 417 MH^+.

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[0461] 2-((6-(4-Fluoro-3-methylphenyl)-5-(quinoxalin-6-yl)pyridin-3-yl)methoxy)acetamide (Compound 233). LCMS: rt 5.11 min (A), MS (m/e) 403 MH^+.

[0462] 6-(4-Fluoro-3-methylphenyl)-N,N-dimethyl-5-(quinoxalin-6-yl)nicotinamide (Compound 234). LCMS: rt 6.38 min (A), MS (m/e) 387 MH^+.

[0463] 6-(4-Fluoro-3-methylphenyl)-N-methyl-5-(quinoxalin-6-yl)nicotinamide (Compound 235). LCMS: rt 6.28 min (A), MS (m/e) 373 MH^+.

[0464] 6-(4-Fluoro-3-methylphenyl)-5-(quinoxalin-6-yl)pyridin-3-yl)(morpholino)methanone (Compound 236). LCMS: rt 6.41 min (A), MS (m/e) 429 MH^+.

[0465] (6-(4-Fluoro-3-methylphenyl)-5-(quinoxalin-6-yl)pyridin-3-yl)(4-methylpiperazin-1-yl)methanone (Compound 237). LCMS: rt 4.98 min (A), MS (m/e) 442 MH^+.

[0466] 6-(4-Fluoro-3-methylphenyl)-5-(quinoxalin-6-yl)nicotinamide (Compound 238). LCMS: rt 5.98 min (A), MS (m/e) 359 MH^+.

[0467] 6-(4-Fluoro-3-methylphenyl)-5-(quinoxalin-6-yl)pyridin-3-amine (Compound 239). LCMS: rt 4.81 min (A), MS (m/e) 331 MH^+. ^1H NMR (CD_3OD, 300 MHz): 8.93 (m, 2H), 8.09 (d, J = 2.7 Hz, 1H), 8.05 (d, J = 1.8 Hz, 1H), 8.04 (d, J = 9.0 Hz, 1H), 7.83 (d, J = 2.4 Hz, 1H), 7.62 (dd, J = 8.7, 1.8 Hz, 1H), 7.32 (dd, J = 7.2, 2.1 Hz, 1H), 7.07 (m, 1H), 6.96 (m, 1H), 2.18 (s, 3H).

[0468] 6-(4-Fluoro-3-methylphenyl)-N-(1-methylpiperidin-4-yl)-5-(quinoxalin-6-yl)pyridin-3-amine (Compound 240). LCMS: rt 4.26 min (A), MS (m/e) 428 MH^+.

[0469] 6-(4-Fluoro-3-methylphenyl)-N-isopropyl-5-(quinoxalin-6-yl)pyridin-3-amine (Compound 241). LCMS: rt 5.56 min (A), MS (m/e) 373 MH^+.

[0470] N,N-Diethyl-6-(4-fluoro-3-methylphenyl)-5-(quinoxalin-6-yl)pyridin-3-amine (Compound 242). LCMS: rt 5.75 min (A), MS (m/e) 387 MH^+.

[0471] 2-((6-(4-Fluoro-3-methylphenyl)-5-(quinoxalin-6-yl)pyridin-3-yl)amino)cyclohexan-1-ol (Compound 243). LCMS: rt 5.41 min (A), MS (m/e) 429 MH^+.

[0472] 6-(4-Fluoro-3-methylphenyl)-N-(pyridin-3-ylmethyl)-5-(quinoxalin-6-yl)pyridin-3-amine (Compound 244). LCMS: rt 4.28 min (A), MS (m/e) 422 MH^+. ^1H NMR (CD_3OD, 300 MHz): 8.91 (m, 3H), 8.75 (d, J = 4.5 Hz, 1H), 8.53 (dd, J = 8.4, 1.5 Hz, 1H), 8.17 (d, J = 2.7 Hz, 1H), 8.01 (m, 2H), 7.95 (dd, J = 7.8, 5.7 Hz, 1H), 7.84 (d, J = 8.7 Hz, 1H), 7.58 (dd, J = 8.4, 1.8 Hz, 1H), 7.31 (dd, J = 7.8, 1.8 Hz, 1H), 7.05 (m, 1H), 6.96 (m, 1H), 4.81 (s, 2H), 2.17 (s, 3H).

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[0473] 6-(4-Fluoro-3-methylphenyl)-N-(pyridin-4-ylmethyl)-5-(quinoxalin-6-yl)pyridin-3-amine (Compound 245). LCMS: rt 4.26 min (A), MS (m/e) 422 MH^+.

[0474] 6-(4-Fluoro-3-methylphenyl)-N-(pyridin-2-ylmethyl)-5-(quinoxalin-6-yl)pyridin-3-amine (Compound 246). LCMS: rt 4.43 min (A), MS (m/e) 422 MH^+.

[0475] 5-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)-N-(1-methylpiperidin-4-yl)pyridin-3-amine (Compound 247). LCMS: rt 4.56 min (A), MS (m/e) 433 MH^+.

[0476] 6-(2-m-Tolylpyridin-3-yl)isoquinoline (Compound 248).

[0477] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)isoquinoline (Compound 249)

[0478] 4-(3-(6-(2-m-Tolylpyridin-3-yl)-1H-benzo[d]imidazol-1-yl)propyl)morpholine (Compound 250).

[0479] 1-(3-(4-Methylpiperazin-1-yl)propyl)-6-(2-m-tolylpyridin-3-yl)-1H-benzo[d]imidazole (Compound 251).

[0480] 4-(3-(6-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)-1H-benzo[d]imidazol-1-yl)propyl)morpholine (Compound 252).

[0481] 1-(3-(4-Methylpiperazin-1-yl)propyl)-6-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)-1H-benzo[d]imidazole (Compound 253).

[0482] 2-Fluoro-6-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,2-a]pyridine (Compound 254). Anhydrous THF (25 mL) was introduced to a mixture of 6-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,2-a]pyridine (0.42 g) and NaH (165 mg, 60% in mineral oil) under argon. The reaction mixture was stirred for 10 min and Selectofluor™ (750 mg) as solid was added in portions for 10 min. After complete addition of Selectofluor™, the reaction mixture was heated at 60 °C. After 8h, the reaction mixture was cooled to room temperature and additional amount of NaH (165 mg) and Selectofluor™ were added to the brown reaction mixture and continued to heat at 60 °C overnight. The reaction mixture was cooled with ice-bath, quenched with water slowly and concentrated. Usual work-up and purification by reverse phase preparative HPLC provided 2-fluoro-6-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,2-a]pyridine as a pale yellow solid (23 mg). ^{1}H NMR (300 MHz, DMSO-d_6) δ 8.74 – 8.56 (m, 1H), 8.31 (dd, J = 1.9, 1.0 Hz, 1H), 7.92 (dt, J = 7.7, 1.3 Hz, 1H), 7.56 – 7.35 (m, 3H), 7.35 – 7.21 (m, 2H), 7.06 (ddd, J = 8.1, 5.2, 2.3 Hz, 1H), 6.94 (dd, J = 9.8, 8.4 Hz, 1H), 6.68 (dt, J = 9.5, 1.3 Hz, 1H), 2.12 (s, 3H). ^{19}F NMR (282 MHz, DMSO-d_6) δ -118.22 , -157.61 (d, J = 7.1 Hz). LCMS: purity 99%, MS (m/e) 322 MH^+.

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[0483] Ethyl 7-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,5-a]pyridine-3-carboxylate (Compound 255). ^{1}H NMR (300 MHz, DMSO-d_6) δ 9.03 (d, J = 7.4 Hz, 1H), 8.70 (dd, J = 4.7, 1.7 Hz, 1H), 7.95 (dd, J = 7.8, 1.7 Hz, 1H), 7.86 (d, J = 1.7 Hz, 1H), 7.69 (s, 1H), 7.56 – 7.41 (m, 2H), 7.13 – 6.93 (m, 2H), 6.71 (dd, J = 7.5, 1.8 Hz, 1H), 4.36 (q, J = 7.1 Hz, 2H), 2.17 (s, 3H), 1.33 (t, J = 7.1 Hz, 3H).LCMS: rt5.83 min (B), purity 96%, MS (m/e) 376 MH^+.

[0484] Ethyl 6-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,5-a]pyridine-3-carboxylate (Compound 256). LCMS: rt5.81 min (B), purity 98%, MS (m/e) 376 MH^+.

[0485] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,5-a]pyridine-3-carboxylic acid (Compound 257). ^{1}H NMR (300 MHz, DMSO-d_6) δ 9.23 (q, J = 1.2 Hz, 1H), 8.71 (dd, J = 4.8, 1.7 Hz, 1H), 7.97 (dd, J = 7.8, 1.7 Hz, 1H), 7.77 – 7.57 (m, 2H), 7.52 (dd, J = 7.8, 4.8 Hz, 1H), 7.48 – 7.38 (m, 1H), 7.10 (ddd, J = 8.0, 5.1, 2.3 Hz, 1H), 6.97 (dd, J = 9.7, 8.5 Hz, 1H), 6.75 (dd, J = 9.2, 1.5 Hz, 1H), 2.16 (s, 3H).LCMS: rt4.11 min (A), purity 96%, MS (m/e) 348 MH^+.

[0486] 7-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,5-a]pyridine-3-carboxylic acid (Compound 258). ^{1}H NMR (300 MHz, DMSO-d_6) δ 9.19 – 8.96 (m, 1H), 8.68 (dd, J = 4.8, 1.6 Hz, 1H), 7.94 (dd, J = 7.8, 1.7 Hz, 1H), 7.80 (d, J = 1.9 Hz, 1H), 7.63 (d, J = 1.6 Hz, 1H), 7.56 – 7.37 (m, 2H), 7.16 – 6.88 (m, 2H), 6.63 (dt, J = 7.5, 1.7 Hz, 1H), 2.17 (s, 3H).LCMS: rt3.93 min (A), purity 95%, MS (m/e) 348 MH^+.

[0487] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(3-(4-methylpiperazin-1-yl)propyl)imidazo[1,5-a]pyridine-3-carboxamide (Compound 259). ^{1}H NMR (300 MHz, DMSO-d_6) δ 9.42 (t, J = 1.3 Hz, 1H), 8.88 – 8.54 (m, 2H), 8.24 (d, J = 1.1 Hz, 0H), 7.93 (dt, J = 7.8, 1.4 Hz, 1H), 7.59 (dd, J = 9.3, 1.2 Hz, 1H), 7.55 – 7.35 (m, 3H), 7.11 (td, J = 6.3, 4.9, 3.0 Hz, 1H), 6.97 (t, J = 9.1 Hz, 1H), 6.60 (dt, J = 9.4, 1.3 Hz, 1H), 3.31 (q, J = 6.5 Hz, 2H), 2.33 (t, J = 6.7 Hz, 2H), 2.16 (s, 3H), 2.14 (s, 3H), 1.67 (p, J = 6.8 Hz, 2H).LCMS: rt3.35 min (B), purity 99%, MS (m/e) 487 MH^+.

[0488] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(2-(4-methylpiperazin-1-yl)ethyl)imidazo[1,5-a]pyridine-3-carboxamide (Compound 260). LCMS: rt3.54 min (B), purity 99%, MS (m/e) 473 MH^+.

[0489] (6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,5-a]pyridin-3-yl)((3-morpholinopropyl)-l2-azanyl)methanone (Compound 261). LCMS: rt3.72 min (B), purity 99%, MS (m/e) 474 MH^+.

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[0490] N-(3-(Dimethylamino)propyl)-6-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,5-a]pyridine-3-carboxamide (Compound 262). LCMS: rt3.64 min (B), purity 99%, MS (m/e) 432 MH^+.

[0491] N-(2-(Dimethylamino)ethyl)-6-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,5-a]pyridine-3-carboxamide (Compound 263). LCMS: rt3.57 min (B), purity 99%, MS (m/e) 418 MH^+.

[0492] 7-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(3-(4-methylpiperazin-1-yl)propyl)imidazo[1,5-a]pyridine-3-carboxamide (Compound 264). ^1H NMR (300 MHz, DMSO-d_6) δ 9.18 (dt, J = 7.5, 1.0 Hz, 1H), 8.74 (t, J = 5.8 Hz, 1H), 8.68 (dd, J = 4.7, 1.7 Hz, 1H), 7.93 (dd, J = 7.8, 1.7 Hz, 1H), 7.74 (dd, J = 1.8, 1.1 Hz, 1H), 7.56 (d, J = 0.8 Hz, 1H), 7.52 – 7.39 (m, 2H), 7.21 – 6.90 (m, 2H), 6.55 (dd, J = 7.5, 1.9 Hz, 1H), 3.30 (q, J = 6.5 Hz, 2H), 2.43 – 2.22 (m, 2H), 2.18 (s, 3H), 2.14 (s, 3H), 1.66 (p, J = 6.8 Hz, 2H).LCMS: rt3.25 min (B), purity 99%, MS (m/e) 487 MH^+.

[0493] 7-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(2-(4-methylpiperazin-1-yl)ethyl)imidazo[1,5-a]pyridine-3-carboxamide (Compound 265). LCMS: rt3.43 min (B), purity 99%, MS (m/e) 473 MH^+.

[0494] 7-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(3-morpholinopropyl)imidazo[1,5-a]pyridine-3-carboxamide (Compound 266). LCMS: rt 3.62 min (B), purity 99%, MS (m/e) 474 MH^+.

[0495] N-(3-(Dimethylamino)propyl)-7-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,5-a]pyridine-3-carboxamide (Compound 267). LCMS: rt3.53 min (B), purity 99%, MS (m/e) 432 MH^+.

[0496] N-(2-(Dimethylamino)ethyl)-7-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,5-a]pyridine-3-carboxamide (Compound 268). LCMS: rt3.47 min (B), purity 99%, MS (m/e) 418 MH^+.

[0497] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,5-a]pyridine (Compound 269). ^1H NMR (300 MHz, DMSO-d_6) δ 8.68 (dd, J = 4.8, 1.5 Hz, 1H), 8.38 (d, J = 14.4 Hz, 2H), 7.91 (dd, J = 7.8, 1.6 Hz, 1H), 7.55 – 7.42 (m, 2H), 7.38 (d, J = 9.5 Hz, 1H), 7.31 (s, 1H), 7.14 (ddd, J = 7.8, 5.0, 2.2 Hz, 1H), 7.00 (t, J = 9.1 Hz, 1H), 6.31 (dd, J = 9.4, 1.4 Hz, 1H), 2.17 (s, 3H).LCMS: rt2.70 min (B), purity 99%, MS (m/e) 304 MH^+.

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[0498] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(3-(2-oxopyrrolidin-1-yl)propyl)imidazo[1,5-a]pyridine-3-carboxamide (Compound 270). LCMS: rt5.94 min (B), purity 99%, MS (m/e) 472 MH^+.

[0499] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(2-morpholinoethyl)imidazo[1,5-a]pyridine-3-carboxamide (Compound 271). LCMS: rt3.66 min (B), purity 99%, MS (m/e) 460 MH^+.

[0500] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(2-(pyrrolidin-1-yl)ethyl)imidazo[1,5-a]pyridine-3-carboxamide (Compound 272). LCMS: rt3.74 min (B), purity 99%, MS (m/e) 445 MH^+.

[0501] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(3-(pyrrolidin-1-yl)propyl)imidazo[1,5-a]pyridine-3-carboxamide (Compound 273). LCMS: rt3.81 min (B), purity 99%, MS (m/e) 458 MH^+.

[0502] 7-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(3-(2-oxopyrrolidin-1-yl)propyl)imidazo[1,5-a]pyridine-3-carboxamide (Compound 274). LCMS: rt5.88 min (B), purity 99%, MS (m/e) 472 MH^+.

[0503] 7-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(2-morpholinoethyl)imidazo[1,5-a]pyridine-3-carboxamide (Compound 275). LCMS: rt3.58 min (B), purity 99%, MS (m/e) 460 MH^+.

[0504] N-(2-Acetamidoethyl)-7-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,5-a]pyridine-3-carboxamide (Compound 276). LCMS: rt5.21 min (B), purity 99%, MS (m/e) 432 MH^+.

[0505] N-(3-(1H-Imidazol-1-yl)propyl)-7-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,5-a]pyridine-3-carboxamide (Compound 277). LCMS: rt3.70 min (B), purity 99%, MS (m/e) 455 MH^+.

[0506] 7-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(3-(pyrrolidin-1-yl)propyl)imidazo[1,5-a]pyridine-3-carboxamide (Compound 278). LCMS: rt3.71 min (B), purity 99%, MS (m/e) 457 MH^+.

[0507] N-((*1R,2R,3S,4S*)-3-Carbamoylbicyclo[2.2.1]hept-5-en-2-yl)-6-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,5-a]pyridine-3-carboxamide (Compound 279). LCMS: rt6.67 min (B), purity 99%, MS (m/e) 482 MH^+.

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[0508] N-((*1R,2R,3S,4S*)-3-Carbamoylbicyclo[2.2.1]hept-5-en-2-yl)-7-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,5-a]pyridine-3-carboxamide (Compound 280). LCMS: rt6.65 min (B), purity 99%, MS (m/e) 482 MH^+.

[0509] 4-(6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,2-a]pyridin-3-yl)benzenesulfonamide (Compound 281). ^1H NMR (300 MHz, DMSO-d_6) δ 8.67 (d, J = 4.7 Hz, 1H), 8.46 (s, 1H), 8.02 (d, J = 7.8 Hz, 1H), 7.88 (d, J = 7.7 Hz, 3H), 7.69 (d, J = 7.0 Hz, 2H), 7.58 (d, J = 9.3 Hz, 1H), 7.54 – 7.39 (m, 4H), 7.03 (dt, J = 9.2, 4.6 Hz, 2H), 2.21 (s, 3H).LCMS: rt3.16 min (B), purity 99%, MS (m/e) 459 MH^+.

[0510] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-3-(pyridin-4-yl)imidazo[1,5-a]pyridine (Compound 282). LCMS: rt3.73 min (B), purity 99%, MS (m/e) 381 MH^+.

[0511] 3-(6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,5-a]pyridin-3-yl)aniline (Compound 283). LCMS: rt3.95 min (B), purity 99%, MS (m/e) 395 MH^+.

[0512] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-3-(3-methoxyphenyl)imidazo[1,5-a]pyridine (Compound 284). LCMS: rt5.35 min (B), purity 99%, MS (m/e) 410 MH^+.

[0513] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,5-a]pyridin-3-amine (Compound 285). ^1H NMR (300 MHz, DMSO-d_6) δ 8.74 – 8.57 (m, 1H), 8.22 (d, J = 2.1 Hz, 1H), 7.93 – 7.78 (m, 2H), 7.47 (qd, J = 5.3, 4.7, 2.9 Hz, 2H), 7.19 (dd, J = 5.5, 2.8 Hz, 1H), 7.03 (ddd, J = 10.3, 8.5, 1.7 Hz, 2H), 6.82 (s, 1H), 5.98 – 5.79 (m, 2H), 2.20 (s, 3H).LCMS: rt2.78 min (B), purity 99%, MS (m/e) 319 MH^+.

[0514] 7-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-3-(3-methoxyphenyl)imidazo[1,5-a]pyridine (Compound 286). LCMS: rt5.36 min (B), purity 99%, MS (m/e) 410 MH^+.

[0515] 7-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-3-(pyridin-4-yl)imidazo[1,5-a]pyridine (Compound 287). ^1H NMR (300 MHz, DMSO-d_6) δ 8.66 (dt, J = 4.4, 2.1 Hz, 1H), 8.33 (dd, J = 4.4, 2.0 Hz, 1H), 8.22 (s, 1H), 8.07 – 7.85 (m, 1H), 7.59 – 7.38 (m, 5H), 7.19 (ddt, J = 7.6, 5.0, 2.4 Hz, 1H), 7.13 – 6.94 (m, 3H), 6.62 (td, J = 5.0, 2.4 Hz, 1H), 6.55 – 6.35 (m, 1H), 2.21 (s, 3H).LCMS: rt3.36 min (B), purity 99%, MS (m/e) 381 MH^+.

[0516] 7-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-3-(4-methoxyphenyl)imidazo[1,5-a]pyridine (Compound 288). LCMS: rt4.73 min (B), purity 99%, MS (m/e) 410 MH^+.

[0517] 7-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-3-phenylimidazo[1,5-a]pyridine (Compound 289). LCMS: rt5.09 min (B), purity 95%, MS (m/e) 380 MH^+.

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[0518] 3-(7-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,5-a]pyridin-3-yl)aniline (Compound 290). LCMS: rt4.38 min (A), purity 97%, MS (m/e) 395 MH^+.

[0519] 6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridin-2-amine (Compound 291). ^1H NMR (300 MHz, DMSO-d_6) δ 8.68 (dd, J = 4.7, 1.6 Hz, 1H), 8.56 (dt, J = 1.8, 0.7 Hz, 1H), 8.00 – 7.90 (m, 1H), 7.63 (dd, J = 7.3, 2.0 Hz, 1H), 7.52 (dd, J = 7.8, 4.7 Hz, 1H), 7.33 – 7.18 (m, 3H), 7.05 (dd, J = 9.1, 1.8 Hz, 1H), 6.04 (s, 2H).LCMS: rt5.35 min (B), purity 99%, MS (m/e) 340 MH^+.

[0520] 7-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)-1H-pyrido[2,3-b][1,4]oxazin-2(3H)-one (Compound 292). ^1H NMR (300 MHz, DMSO-d_6) δ 9.37 (s, 1H), 8.05 – 7.90 (m, 2H), 7.31 – 7.15 (m, 5H), 4.86 (s, 2H), 2.10 (s, 3H).LCMS: rt7.13 min (A), purity 99%, MS (m/e) 392 MH^+.

[0521] 6-(4-Fluoro-3-methylphenyl)-7-(quinolin-6-yl)-1H-pyrido[2,3-b][1,4]oxazin-2(3H)-one (Compound 293). LCMS: rt5.10 min (A), purity 99%, MS (m/e) 386 MH^+.

[0522] 7-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)-1-methyl-1H-pyrido[2,3-b][1,4]oxazin-2(3H)-one (Compound 294). LCMS: rt 7.83 min (A), purity 99%, MS (m/e) 406 MH^+.

[0523] 7-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)-1-methyl-2,3-dihydro-1H-pyrido[2,3-b][1,4]oxazine (Compound 295). ^1H NMR (300 MHz, DMSO-d_6) δ 9.35 (s, 1H), 8.05 (d, J = 1.7 Hz, 1H), 7.92 (d, J = 8.4 Hz, 1H), 7.26 – 7.12 (m, 2H), 7.02 (s, 1H), 6.90 – 6.68 (m, 2H), 4.41 (t, J = 8.9 Hz, 2H), 3.37 – 3.24 (m, 2H), 2.90 (s, 3H), 2.09 (s, 3H).LCMS: rt7.35 min (A), purity 99%, MS (m/e) 392 MH^+.

[0524] 6-(4-Fluoro-3-methylphenyl)-1-methyl-7-(quinolin-6-yl)-2,3-dihydro-1H-pyrido[2,3-b][1,4]oxazine (Compound 296). LCMS: rt5.41 min (A), purity 99%, MS (m/e) 386 MH^+.

[0525] 7-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)isoquinolin-1-amine (Compound 297). LCMS: rt5.11 min (A), purity 99%, MS (m/e) 350 MH^+.

[0526] 7-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)isoquinolin-1-amine (Compound 298). ^1H NMR (300 MHz, DMSO-d_6) δ 8.62 (dd, J = 4.7, 1.7 Hz, 1H), 8.21 (s, 1H), 7.87 (dd, J = 7.8, 1.7 Hz, 1H), 7.73 (d, J = 5.8 Hz, 1H), 7.54 – 7.38 (m, 2H), 7.34 (dd, J = 7.5, 2.0 Hz, 1H), 7.10 (dd, J = 8.4, 1.7 Hz, 1H), 6.96 – 6.82 (m, 2H), 6.79 (d, J = 5.9 Hz, 1H), 6.71 (s, 2H), 2.08 (s, 3H).LCMS: rt4.38 min (A), purity 97%, MS (m/e) 330 MH^+.

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[0527] 7-(2-(3-Fluorophenyl)pyridin-3-yl)isoquinolin-1-amine (Compound 299). LCMS: rt4.78 min (A), purity 98%, MS (m/e) 332 MH^+.

[0528] 6-(4-Fluoro-3-methylphenyl)-7-(1H-indazol-5-yl)-1-methyl-2,3-dihydro-1H-pyrido[2,3-b][1,4]oxazine (Compound 300). LCMS: rt6.80 min (B), purity 96%, MS (m/e) 375 MH^+.

[0529] 5-(2-(3-Chlorophenyl)pyridin-3-yl)thiazolo[5,4-b]pyridin-2-amine (Compound 301). ^1H NMR (300 MHz, DMSO-d_6) δ 8.68 (dd, J = 4.7, 1.6 Hz, 1H), 8.02 (dd, J = 7.8, 1.6 Hz, 1H), 7.86 (s, 2H), 7.55 – 7.45 (m, 2H), 7.41 – 7.37 (m, 1H), 7.34 (ddd, J = 7.8, 2.1, 1.1 Hz, 1H), 7.25 (t, J = 7.8 Hz, 1H), 7.09 (dt, J = 7.7, 1.3 Hz, 1H), 7.00 (dd, J = 8.2, 0.8 Hz, 1H).LCMS: rt4.85 min (A), purity 99%, MS (m/e) 339 MH^+.

[0530] 5-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)thiazolo[5,4-b]pyridin-2-amine (Compound 302). ^1H NMR (300 MHz, DMSO-d_6) δ 8.68 (dd, J = 4.7, 1.6 Hz, 1H), 8.02 (dd, J = 7.8, 1.7 Hz, 1H), 7.87 (s, 2H), 7.55 – 7.45 (m, 3H), 7.28 (t, J = 7.8 Hz, 1H), 7.12 (ddd, J = 8.6, 4.8, 2.2 Hz, 1H), 7.04 (dd, J = 8.3, 0.5 Hz, 1H).LCMS: rt5.26 min (A), purity 95%, MS (m/e) 357 MH^+.

[0531] 5-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)thiazolo[5,4-b]pyridine (Compound 303). ^1H NMR (300 MHz, DMSO-d_6) δ 9.55 (d, J = 0.9 Hz, 1H), 8.73 (ddd, J = 4.8, 1.8, 0.9 Hz, 1H), 8.33 (dd, J = 8.5, 0.8 Hz, 1H), 8.08 (ddd, J = 7.9, 1.8, 0.9 Hz, 1H), 7.52 (ddd, J = 7.8, 4.7, 0.9 Hz, 2H), 7.35 (ddd, J = 7.9, 1.8, 0.8 Hz, 1H), 7.28 (dd, J = 8.5, 0.9 Hz, 1H), 7.01 – 6.85 (m, 3H), 2.13 (s, 3H).LCMS: rt5.53 min (A), purity 99%, MS (m/e) 322 MH^+.

[0532] 5-(2-(*m*-Tolyl)pyridin-3-yl)thiazolo[5,4-b]pyridine (Compound 304). LCMS: rt3.37 min (A), purity 96%, MS (m/e) 304 MH^+.

[0533] 5-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)thiazolo[5,4-b]pyridine (Compound 305). ^1H NMR (300 MHz, DMSO-d_6) δ 9.56 (s, 1H), 8.76 (dd, J = 4.7, 1.7 Hz, 1H), 8.40 (d, J = 8.5 Hz, 1H), 8.12 (dd, J = 7.8, 1.7 Hz, 1H), 7.68 – 7.50 (m, 2H), 7.42 (d, J = 8.5 Hz, 1H), 7.25 (t, J = 9.0 Hz, 1H), 7.09 (ddd, J = 8.7, 4.8, 2.2 Hz, 1H).LCMS: rt6.76 min (A), purity 96%, MS (m/e) 342 MH^+.

[0534] 5-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)-N-(pyridin-3-ylmethyl)pyridin-3-amine (Compound 306). LCMS: rt 4.48 min (A), MS (m/e) 427 MH^+. ^1H NMR (CD_3OD, 300 MHz): 9.29 (s, 1H), 8.92 (d, J = 2.1 Hz, 1H), 8.79 (d, J = 5.7 Hz, 1H), 8.60 (dd, J = 7.8, 1.5 Hz, 1H), 8.16 (d, J = 2.7 Hz, 1H), 7.03-7.91 (m, 3H), 7.85 (d, J = 3.0 Hz, 1H), 7.33 (dd, J = 8.4, 1.8 Hz, 1H), 7.21 (dd, J = 7.5, 1.8 Hz, 1H), 7.05 (m, 1H), 6.98 (m, 1H), 4.83 (s, 2H), 2.18 (s, 3H).

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[0535] 4-(((6-(4-Fluoro-3-methylphenyl)-5-(quinoxalin-6-yl)pyridin-3-yl)amino)methyl)benzamide (Compound 307). LCMS: rt 4.41 min (A), MS (m/e) 464 MH^+.

[0536] 4-(((6-(4-Fluoro-3-methylphenyl)-5-(quinoxalin-6-yl)pyridin-3-yl)amino)methyl)benzonitrile (Compound 308). LCMS: rt 5.81 min (A), MS (m/e) 446 MH^+.

[0537] 4-(((5-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)pyridin-3-yl)amino)methyl)benzonitrile (Compound 309). LCMS: rt 5.85 min (A), MS (m/e) 451 MH^+.

[0538] 4-((5-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)pyridin-3-yl)amino)benzamide (Compound 310). LCMS: rt 5.53 min (A), MS (m/e) 455 MH^+.

[0539] 5-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)-N-(pyridin-4-yl)pyridin-3-amine (Compound 311). LCMS: rt 4.53 min (A), MS (m/e) 413 MH^+.

[0540] N-(5-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)pyridin-3-yl)-3-morpholinopropanamide (Compound 312). LCMS: rt 3.76 min (A), MS (m/e) 477 MH^+.

[0541] N-(5-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)pyridin-3-yl)-4-cyanobenzamide (Compound 313). LCMS: rt 7.59 min (A), MS (m/e) 465 MH^+.

[0542] N-(5-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)pyridin-3-yl)isonicotinamide (Compound 314). LCMS: rt 6.26 min (A), MS (m/e) 441 MH^+.

[0543] N-(5-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)pyridin-3-yl)nicotinamide (Compound 315). LCMS: rt 6.28 min (A), MS (m/e) 441 MH^+. ^1H NMR (CD_3OD, 300 MHz): 9.24 (s, 1H), 9.15 (dd, J = 2.1, 0.9 Hz, 1H), 8.99 (d, J = 2.4 Hz, 1H), 8.75 (dd, J = 8.4, 1.8 Hz, 1H), 8.39 (m, 2H), 7.98 (m, 2H), 7.67-7.53 (m, 2H), 7.35 (dd, J = 8.7, 1.8 Hz, 1H), 7.26 (dd, J = 4.5, 1.8 Hz, 1H), 7.02 (m, 1H), 6.84 (m, 1H), 2.13 (s, 3H);

[0544] N-(5-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)pyridin-3-yl)butyramide (Compound 316). LCMS: rt 6.72 min (A), MS (m/e) 406 MH^+.

[0545] N-(5-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)pyridin-3-yl)-4-(pyridin-4-yl)butanamide (Compound 317). LCMS: rt 4.03 min (A), MS (m/e) 483 MH^+.

[0546] 4-(5-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)pyridin-3-yl)benzamide (Compound 318). LCMS: rt 7.15 min (B), MS (m/e) 440 MH^+.

[0547] 6-(2-(4-Fluoro-3-methylphenyl)-5-(4-methylpiperazin-1-yl)pyridin-3-yl)benzo[d]thiazole (Compound 319). LCMS: rt 3.86 min (B), MS (m/e) 419 MH^+.

[0548] 5-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)-N-(3-(4-methylpiperazin-1-yl)propyl)pyridin-3-amine (Compound 320). LCMS: rt 2.72 min (A), MS (m/e) 476 MH^+.

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[0549] 5-(Benzo[d]thiazol-6-yl)-N-((6-chloropyridin-3-yl)methyl)-6-(4-fluoro-3-methylphenyl)pyridin-3-amine (Compound 321). LCMS: rt 6.08 min (A), MS (m/e) 461 MH^+. ^1H NMR (CD_3OD, 300 MHz): 9.30 (s, 1H), 8.46 (d, J = 1.8 Hz, 1H), 8.04-7.98 (m, 3H), 7.92 (dd, J = 8.1, 2.7 Hz, 1H), 7.80 (d, J = 3.0 Hz, 1H), 7.48 (d, J = 8.4 Hz, 1H), 7.32 (dd, J = 8.4, 2.1 Hz, 1H), 7.25 (dd, J = 7.2, 1.8 Hz, 1H), 7.04 (m, 1H), 6.95 (m, 1H), 4.60 (s, 2H), 2.18 (s, 3H);

[0550] N-((1H-Imidazol-5-yl)methyl)-5-(benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)pyridin-3-amine (Compound 322). LCMS: rt 3.47 min (B), MS (m/e) 416 MH^+.

[0551] 5-(Benzo[d]thiazol-6-yl)-N-((2-ethyl-1H-imidazol-5-yl)methyl)-6-(4-fluoro-3-methylphenyl)pyridin-3-amine (Compound 323). LCMS: rt 3.63 min (B), MS (m/e) 444 MH^+.

[0552] 5-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)-N-((6-methoxypyridin-3-yl)methyl)pyridin-3-amine (Compound 324). LCMS: rt 5.46 min (A), MS (m/e) 457 MH^+. ^1H NMR (CD_3OD, 300 MHz): 9.24 (s, 1H), 8.16 (d, J = 1.8 Hz, 1H), 8.02 (d, J = 2.7 Hz, 1H), 7.92 (d, J = 8.7 Hz, 1H), 7.86 (d, J = 1.5 Hz, 1H), 7.74 (dd, J = 8.4, 2.4 Hz, 1H), 7.27 (dd, J = 8.4, 1.8 Hz, 1H), 7.12 (m, 2H), 6.92-6.74 (m, 3H), 4.38 (s, 2H), 3.88 (s, 3H), 2.10 (s, 3H);

[0553] 5-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)-N-((5-methoxypyridin-3-yl)methyl)pyridin-3-amine (Compound 325). LCMS: rt 4.43 min (A), MS (m/e) 457 MH^+.

[0554] 5-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)-N-(thiazol-2-ylmethyl)pyridin-3-amine (Compound 326). LCMS: rt 5.64 min (B), MS (m/e) 433 MH^+. ^1H NMR (CD_3OD, 300 MHz): 9.16 (s, 1H), 8.08 (d, J = 2.4 Hz, 1H), 7.94 (d, J = 8.7 Hz, 1H), 7.81 (m, 1H), 7.74 (d, J = 3.0 Hz, 1H), 7.46 (d, J = 3.3 Hz, 1H), 7.26 (dd, J = 8.4, 1.8 Hz, 1H), 7.15 (dd, J = 7.5, 1.8 Hz, 1H), 7.12 (d, J = 2.7 Hz, 1H), 6.87 (m, 1H), 6.79 (m, 1H), 4.76 (s, 2H), 2.12 (s, 3H);

[0555] 5-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)-N-(thiazol-5-ylmethyl)pyridin-3-amine (Compound 327). LCMS: rt 5.34 min (B), MS (m/e) 433 MH^+.

[0556] N-([2,3'-Bipyridin]-5-ylmethyl)-5-(benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)pyridin-3-amine (Compound 328). LCMS: rt 4.78 min (A), MS (m/e) 504 MH^+.

[0557] N-((1H-Pyrrolo[2,3-b]pyridin-5-yl)methyl)-5-(benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)pyridin-3-amine (Compound 329). LCMS: rt 4.97 min (B), MS (m/e) 466 MH^+.

[0558] N-((1H-Pyrrolo[2,3-b]pyridin-3-yl)methyl)-5-(benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)pyridin-3-amine (Compound 330). LCMS: rt 4.74 min (B), MS (m/e) 466 MH^+.

[0559] 5-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)-N-((2-methylpyridin-3-yl)methyl)pyridin-3-amine (Compound 331). LCMS: rt 3.62 min (B), MS (m/e) 441 MH^+.

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[0560] 3-(((5-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)pyridin-3-yl)amino)methyl)phenol (Compound 332). LCMS: rt 5.29 min (B), MS (m/e) 442 MH^+.

[0561] 4-(((5-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)pyridin-3-yl)amino)methyl)phenol (Compound 333). LCMS: rt 4.76 min (A), MS (m/e) 442 MH^+.

[0562] 5-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)-N-((6-methylpyridin-3-yl)methyl)pyridin-3-amine (Compound 334). LCMS: rt 3.68 min (B), MS (m/e) 441 MH^+.

[0563] 5-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)-N-((3-methyl-3H-imidazo[4,5-b]pyridin-6-yl)methyl)pyridin-3-amine (Compound 335). LCMS: rt 4.50 min (B), MS (m/e) 481 MH^+. ^1H NMR (CD_3OD, 300 MHz): 9.19 (s, 1H), 8.50 (d, J = 1.5 Hz, 1H), 8.32 (s, 1H), 8.12 (d, J = 1.5 Hz, 1H), 8.06 (d, J = 2.7 Hz, 1H), 7.90 (d, J = 8.7 Hz, 1H), 7.83 (d, J = 1.5 Hz, 1H), 7.23 (dd, J = 8.7, 1.8 Hz, 1H), 7.14 (d, J = 2.7 Hz, 1H), 7.10 (dd, J = 8.7, 1.8 Hz, 1H), 6.87 (m, 1H), 6.78 (m, 1H), 4.61 (s, 2H), 3.90 (s, 3H), 2.08 (s, 3H).

[0564] 5-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)-N-(quinolin-8-ylmethyl)pyridin-3-amine (Compound 336). LCMS: rt 6.02 min (B), MS (m/e) 477 MH^+. ^1H NMR (CD_3OD, 300 MHz): 9.19 (s, 1H), 8.34 (dd, J = 8.1, 1.8 Hz, 1H), 8.04 (d, J = 2.4 Hz, 1H), 7.85 (m, 3H), 7.14 (d, J = 1.2 Hz, 1H), 7.55 (m, 2H), 7.19 (dd, J = 8.7, 1.8 Hz, 1H), 7.15 (d, J = 2.7 Hz, 1H), 7.10 (dd, J = 7.8, 2.1 Hz, 1H), 6.86 (m, 1H), 6.78 (m, 1H), 5.09 (s, 2H), 2.09 (s, 3H);

[0565] 5-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)-N-(isoquinolin-5-ylmethyl)pyridin-3-amine (Compound 337). LCMS: rt 4.47 min (B), MS (m/e) 477 MH^+.

[0566] N-(4-(((5-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)pyridin-3-yl)amino)methyl)phenyl)acetamide (Compound 338). LCMS: rt 5.14 min (B), MS (m/e) 483 MH^+.

[0567] 5-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)-N-(quinolin-3-ylmethyl)pyridin-3-amine (Compound 339). LCMS: rt 5.41 min (B), MS (m/e) 477 MH^+.

[0568] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-3-methylquinazolin-4(3H)-one (Compound 340). LCMS: rt 4.70 min (A), MS (m/e) 346 MH^+.

[0569] 3-Methyl-6-(2-(m-tolyl)pyridin-3-yl)quinazolin-4(3H)-one (Compound 341). LCMS: rt 4.08 min (A), MS (m/e) 328 MH^+.

[0570] 6-(2-(3-Cyclopropylphenyl)pyridin-3-yl)-3-methylquinazolin-4(3H)-one (Compound 342). LCMS: rt 4.69 min (A), MS (m/e) 354 MH^+.

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[0571] 3-(5-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)pyridin-3-yl)phenol (Compound 343). LCMS: rt 6.83 min (A), MS (m/e) 413 MH^+.

[0572] N-(3-(5-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)pyridin-3-yl)phenyl)acetamide (Compound 344). LCMS: rt 6.65 min (A), MS (m/e) 454 MH^+.

[0573] 6-(2-(4-Fluoro-3-methylphenyl)-5-(1H-pyrazol-4-yl)pyridin-3-yl)benzo[d]thiazole (Compound 345). LCMS: rt 5.70 min (A), MS (m/e) 387 MH^+.

[0574] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)quinazolin-4(1H)-one (Compound 346). LCMS: rt 3.79 min (A), MS (m/e) 332 MH^+.

[0575] 3-(5-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)pyridin-3-yl)benzenesulfonamide (Compound 347). LCMS: rt 6.93 min (A), MS (m/e) 476 MH^+.

[0576] 7-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)quinazolin-4(1H)-one (Compound 348). LCMS: rt 4.45 min (A), MS (m/e) 332 MH^+.

[0577] 7-(2-(m-Tolyl)pyridin-3-yl)quinazolin-4(1H)-one (Compound 349). LCMS: rt 4.08 min (A), MS (m/e) 314 MH^+.

[0578] 7-(2-(3-cyclopropylphenyl)pyridin-3-yl)quinazolin-4(1H)-one (Compound 350). LCMS: rt 4.56 min (A), MS (m/e) 340 MH^+.

[0579] 4-(((5-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)pyridin-3-yl)amino)methyl)-2-methoxyphenol (Compound 351). LCMS: rt 4.52 min (A), MS (m/e) 472 MH^+.

[0580] 2-(5-(((5-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)pyridin-3-yl)amino)methyl)-2-methoxyphenoxy)acetamide (Compound 352). LCMS: rt 4.26 min (A), MS (m/e) 529 MH^+. ^1H NMR (CD_3OD, 300 MHz): 9.21 (s, 1H), 8.00 (d, J = 2.7 Hz, 1H), 7.91 (d, J = 8.4 Hz, 1H), 7.82 (m, 1H), 7.23 (dd, J = 8.4, 1.8 Hz, 1H), 7.11-7.04 (m, 4H), 7.05 (d, J = 2.7 Hz, 1H), 6.86 (m, 1H), 6.78 (m, 1H), 4.47 (s, 2H), 4.35 (s, 2H), 3.84 (s, 3H), 2.09 (s, 3H).

[0581] 5-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)-N-(4-morpholinobenzyl)pyridin-3-amine (Compound 353). LCMS: rt 5.03 min (A), MS (m/e) 511 MH^+.

[0582] 5-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)-N-(3-morpholinobenzyl)pyridin-3-amine (Compound 354). LCMS: rt 5.13 min (A), MS (m/e) 511 MH^+.

[0583] 6-(2-(4-Fluoro-3-methylphenyl)-5-(1H-pyrazol-1-yl)pyridin-3-yl)benzo[d]thiazole (Compound 355). LCMS: rt 6.85 min (A), MS (m/e) 387 MH^+.

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[0584] 2-(4-(((5-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)pyridin-3-yl)amino)methyl)-2-methoxyphenoxy)ethan-1-ol (Compound 356). LCMS: rt 4.41 min (A), MS (m/e) 516 MH^+.

[0585] 5-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)-N-(4-((tetrahydro-2H-pyran-4-yl)oxy)benzyl)pyridin-3-amine (Compound 357). LCMS: rt 5.51 min (A), MS (m/e) 526 MH^+.

[0586] 5-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)-N-(4-(morpholinomethyl)benzyl)pyridin-3-amine (Compound 358). LCMS: rt 3.05 min (A), MS (m/e) 525 MH^+.

[0587] 4-(((5-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)pyridin-3-yl)amino)methyl)benzenesulfonamide (Compound 359). LCMS: rt 4.34 min (A), MS (m/e) 505 MH^+.

[0588] N-((2-Ethyl-1H-imidazol-5-yl)methyl)-6-(4-fluoro-3-methylphenyl)-5-(imidazo[1,2-a]pyridin-6-yl)pyridin-3-amine (Compound 360). LCMS: rt 3.56 min (A), MS (m/e) 427 MH^+.

[0589] 6-(2-(m-tolyl)pyridin-3-yl)quinazolin-4(1H)-one (Compound 361). LCMS: rt 4.21 min (B), MS (m/e) 314 MH^+.

[0590] 6-(2-(3-Cyclopropylphenyl)pyridin-3-yl)quinazolin-4(1H)-one (Compound 362). LCMS: rt 4.84 min (B), MS (m/e) 340 MH^+.

[0591] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)quinazoline (Compound 363). LCMS: rt 3.81 min (A), MS (m/e) 316 MH^+. ^1H NMR (CD_3OD, 300 MHz): 8.82 (dd, J = 5.4, 1.2 Hz, 1H), 8.54 (m, 1H), 8.38 (d, J = 2.1 Hz, 1H), 7.98 (dd, J = 8.1, 5.7 Hz, 1H), 7.64 (s, 1H), 7.39(m, 2H), 7.18 (m, 2H), 7.06 (m, 2H), 2.22 (s, 3H).

[0592] 6-(2-(m-Tolyl)pyridin-3-yl)quinazoline (Compound 364). LCMS: rt 3.46 min (A), MS (m/e) 298 MH^+.

[0593] 6-(2-(3-Cyclopropylphenyl)pyridin-3-yl)quinazoline (Compound 365). LCMS: rt 3.98 min (A), MS (m/e) 324 MH^+. ^1H NMR (CD_3OD, 300 MHz): 8.78 (dd, J = 5.4, 1.5 Hz, 1H), 8.45 (dd, J = 7.8, 1.5 Hz, 1H), 8.25 (d, J = 2.1 Hz, 1H), 7.93 (dd, J = 8.1, 5.7 Hz, 1H), 7.59 (m, 1H), 7.32-7.12 (m, 4H), 7.01 (m, 2H), 1.84 (m, 1H), 0.85 (m, 2H), 0.45 (m, 2H).

[0594] 4-(((5-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)pyridin-3-yl)amino)methyl)-2,6-dimethoxyphenol (Compound 366). LCMS: rt 5.18 min (B), MS (m/e) 502 MH^+.

[0595] 5-(((5-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)pyridin-3-yl)amino)methyl)-2,3-dimethoxyphenol (Compound 367). LCMS: rt 5.34 min (B), MS (m/e) 502 MH^+. ^1H NMR

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(CD_3OD, 300 MHz): 9.16 (s, 1H), 8.01 (d, J = 2.7 Hz, 1H), 7.90 (d, J = 8.4 Hz, 1H), 7.80 (bs, 1H), 7.25 (dd, J = 8.4, 1.5 Hz, 1H), 7.13 (dd, J = 7.5, 2.1 Hz, 1H), 7.05 (d, J = 2.7 Hz, 1H), 7.90 (m, 1H), 6.78 (m, 1H), 6.58 (m, 2H), 4.32 (s, 2H), 3.82 (s, 3H), 3.79 (s, 3H), 2.11 (s, 3H);

[0596] 4-(((5-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)pyridin-3-yl)amino)methyl)benzene-1,2-diol (Compound 368). LCMS: rt 4.82 min (A), MS (m/e) 458 MH^+.

[0597] 4-(((5-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)pyridin-3-yl)amino)methyl)-2-fluorophenol (Compound 369). LCMS: rt 5.48 min (B), MS (m/e) 460 MH^+.

[0598] 4-(((5-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)pyridin-3-yl)amino)methyl)-2-(trifluoromethyl)phenol (Compound 370). LCMS: rt 6.32 min (B), MS (m/e) 510 MH^+.

[0599] 4-(((5-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)pyridin-3-yl)amino)methyl)-2-(trifluoromethoxy)phenol (Compound 371). LCMS: rt 6.38 min (B), MS (m/e) 526 MH^+. ^1H NMR (CD_3OD, 300 MHz): 9.19 (s, 1H), 8.01 (d, J = 2.7 Hz, 1H), 7.88 (d, J = 8.7 Hz, 1H), 7.80 (bs, 1H), 7.61-7.50 (m, 3H), 7.22 (m, 1H), 7.08 (d, J = 2.7 Hz, 1H), 7.05-6.95 (m, 2H), 6.75 (m, 1H), 4.33 (s, 3H), 2.08 (s, 3H);

[0600] 4-(((5-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)pyridin-3-yl)amino)methyl)-2-methylphenol (Compound 372). LCMS: rt 5.70 min (B), MS (m/e) 456 MH^+.

[0601] 5-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)-N-(4-(4-methylpiperazin-1-yl)benzyl)pyridin-3-amine (Compound 373). LCMS: rt 3.71 min (B), MS (m/e) 524 MH^+.

[0602] 1-(4-(((5-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)pyridin-3-yl)amino)methyl)phenyl)piperidin-4-ol (Compound 374). LCMS: rt 4.19 min (B), MS (m/e) 525 MH^+.

[0603] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-4-methoxyquinazoline (Compound 375). LCMS: rt 6.91 min (B), MS (m/e) 346 MH^+. ^1H NMR (CD_3OD, 300 MHz): 8.66 (dd, J = 5.1, 1.5 Hz, 1H), 8.15 (d, J = 2.1 Hz, 1H), 8.07 (s, 1H), 7.95 (m, 1H), 7.77 (d, J = 8.7 Hz, 1H), 7.59-7.49 (m, 2H), 7.26 (d, J = 7.5 Hz, 1H), 7.04 (m, 1H), 6.86 (m, 1H), 4.20 (s, 3H), 2.18 (s, 3H).

[0604] 4-Methoxy-6-(2-(m-tolyl)pyridin-3-yl)quinazoline (Compound 376). LCMS: rt 6.29 min (B), MS (m/e) 328 MH^+.

[0605] 6-(2-(3-Cyclopropylphenyl)pyridin-3-yl)-4-methoxyquinazoline (Compound 377). LCMS: rt 6.94 min (B), MS (m/e) 354 MH^+. ^1H NMR (CD_3OD, 300 MHz): 8.64 (m, 1H), 8.08

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(m, 2H), 7.97 (m, 1H), 7.60-7.48 (m, 3H), 7.16-7.02 (m, 3H), 6.91 (m, 1H), 4.17 (s, 3H), 1.77 (m, 1H), 0.84 (m, 2H), 0.38 (m, 2H).

[0606] 3-(3-(4-Methoxyquinazolin-6-yl)pyridin-2-yl)phenol (Compound 378). LCMS: rt 3.78 min (A), MS (m/e) 330 MH^+.

[0607] 6-(2-(3-Hydroxyphenyl)pyridin-3-yl)-3-methylquinazolin-4(3H)-one (Compound 379). LCMS: rt 3.80 min (B), MS (m/e) 330 MH^+. ^1H NMR (CD_3OD, 300 MHz): 8.62 (dd, J = 4.8, 1.5 Hz, 1H), 8.28 (s, 1H), 8.16 (m, 1H), 7.97 (dd, J = 7.5, 1.5 Hz, 1H), 7.54 (m, 3H), 7.05 (m, 1H), 6.72 (m, 3H), 3.57 (s, 3H);

[0608] N-(3-(3-(3-Methyl-4-oxo-3,4-dihydroquinazolin-6-yl)pyridin-2-yl)phenyl)acetamide (Compound 380). LCMS: rt 3.84 min (B), MS (m/e) 371 MH^+.

[0609] 6-(2-(4-Fluorophenyl)pyridin-3-yl)-3-methylquinazolin-4(3H)-one (Compound 381). LCMS: rt 5.03 min (B), MS (m/e) 332 MH^+.

[0610] 3-Methyl-6-(2-(quinolin-8-yl)pyridin-3-yl)quinazolin-4(3H)-one (Compound 382). LCMS: rt 3.50 min (B), MS (m/e) 365 MH^+.

[0611] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)quinazolin-2-amine (Compound 383). LCMS: rt 4.06 min (B), MS (m/e) 331 MH^+.

[0612] 6-(2-(m-Tolyl)pyridin-3-yl)quinazolin-2-amine (Compound 384). LCMS: rt 3.53 min (B), MS (m/e) 313 MH^+.

[0613] 4-(6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)quinazolin-4-yl)morpholine (Compound 385). LCMS: rt 4.28 min (A), MS (m/e) 401 MH^+. ^1H NMR (CD_3OD, 300 MHz): 8.81 (dd, J = 5.7, 1.5 Hz, 1H), 8.65 (s, 1H), 8.45 (dd, J = 8.1, 1.5 Hz, 1H), 8.24 (dd, J = 7.8, 1.5 Hz, 1H), 8.17 (m, 2H), 7.90 (m, 1H), 7.63 (m, 1H), 7.44-7.36 (m, 1H), 7.18(m, 1H), 7.03 (m, 1H), 3.89 (m, 4H), 3.22 (m, 4H), 2.21 (s, 3H).

[0614] 4-(6-(2-(m-Tolyl)pyridin-3-yl)quinazolin-4-yl)morpholine (Compound 386). LCMS: rt 3.83 min (A), MS (m/e) 383 MH^+.

[0615] 4-(6-(2-(3-Cyclopropylphenyl)pyridin-3-yl)quinazolin-4-yl)morpholine (Compound 387). LCMS: rt 4.26 min (A), MS (m/e) 409 MH^+.

[0616] 6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)quinazolin-4(1H)-one (Compound 388). LCMS: rt 5.16 min (A), MS (m/e) 352 MH^+.

[0617] 6-(2-(3-Chlorophenyl)pyridin-3-yl)quinazolin-4(1H)-one (Compound 389). LCMS: rt 4.76 min (A), MS (m/e) 334 MH^+. ^1H NMR (CD_3OD, 300 MHz): 8.75 (dd, J = 5.1, 1.5 Hz, 1H),

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8.23 (dd, J = 8.1, 1.5 Hz, 1H), 8.17 (s, 1H), 8.15 (m, 2H), 7.76 (dd, J = 8.1, 5.1 Hz, 1H), 7.62 (m, 1H), 7.45 (m, 1H), 7.37 (m, 1H), 7.36-7.18 (m, 2H).

[0618] 6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)-3-methylquinazolin-4(3H)-one (Compound 390). LCMS: rt 5.70 min (A), MS (m/e) 366 MH^+. 1H NMR (CD3OD, 300 MHz): 8.75-8.73 (m, 1H), 8.36 (s, 1H), 8.20 (dd, 1H), 8.14 (m, 1H), 7.77-7.72 (m, 1H), 7.63(m, 2H), 7.55 (dd, 1H), 7.28-7.22 (m, 1H), 7.19 (d, 1H), 3.59 (s, 3H).

[0619] 6-(2-(3-Chlorophenyl)pyridin-3-yl)-3-methylquinazolin-4(3H)-one (Compound 391). LCMS: rt 5.28 min (A), MS (m/e) 348 MH^+. ^1H NMR (CD_3OD, 300 MHz): 8.67 (dd, 1H), 8.31 (s, 1H), 8.13 (m, 1H), 8.01 (dd, 1H), 7.58 (m, 3H), 7.38(m, 1H), 7.28 (m, 1H), 7.23-7.14 (m, 2H), 3.58 (s, 3H).

[0620] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)quinazolin-4-amine (Compound 392). LCMS: rt 2.43 min (A), MS (m/e) 331 MH^+.

[0621] 6-(2-(3-Chlorophenyl)pyridin-3-yl)quinazolin-4-amine (Compound 393). LCMS: rt 3.26 min (B), MS (m/e) 333 MH^+. ^1H NMR (CD_3OD, 300 MHz): 8.65 (dd, J = 5.1, 1.8 Hz, 1H), 8.37 (s, 1H), 8.16 (m, 1H), 8.03 (dd, J = 7.8, 1.8 Hz, 1H), 7.59 (dd, J = 7.8, 1.8 Hz, 2H), 7.31 (m, 1H), 7.23-7.13 (m, 2H).

[0622] 6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)quinazolin-4-amine (Compound 394). LCMS: rt 3.47 min (B), MS (m/e) 351 MH^+. ^1H NMR (CD_3OD, 300 MHz): 8.67 (dd, J = 4.8, 1.5 Hz, 1H), 8.38 (s, 1H), 8.16 (d, J = 1.5 Hz, 1H), 8.01 (dd, J = 7.8, 1.5 Hz, 1H), 7.61-7.48 (m, 4H), 7.318-7.06 (m, 2H).

[0623] 6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)-4-methoxyquinazoline (Compound 395). LCMS: rt 6.42 min (A), MS (m/e) 366 MH^+.

[0624] 7-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)quinazolin-2-amine (Compound 396). LCMS: rt 4.39 min (B), MS (m/e) 331 MH^+. ^1H NMR (CD_3OD, 300 MHz): 9.05 (br, 1H), 8.65 (dd, J = 5.1, 1.8 Hz, 1H), 7.95 (dd, J = 7.8, 1.8 Hz, 1H), 7.70 (d, J = 8.4 Hz, 1H), 7.53 (m, 1H), 7.36 (m, 1H), 7.29 (m, 1H), 7.08-7.02 (m, 2H), 6.87 (m, 1H), 2.15 (s, 3H).

[0625] N,N-Diethyl-6-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)quinazolin-4-amine (Compound 397). LCMS: rt 3.89 min (B), MS (m/e) 387 MH^+.

[0626] 6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)-N,N-diethylquinazolin-4-amine (Compound 398). LCMS: rt 4.22 min (B), MS (m/e) 407 MH^+.

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[0627] 3-(3-(4-(Diethylamino)quinazolin-6-yl)pyridin-2-yl)phenol (Compound 399). LCMS: rt 3.05 min (B), MS (m/e) 371 MH^+.

[0628] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-isopropylquinazolin-4-amine (Compound 400). LCMS: rt 3.85 min (B), MS (m/e) 373 MH^+.

[0629] 6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)-N-isopropylquinazolin-4-amine (Compound 401). LCMS: rt 4.17 min (B), MS (m/e) 393 MH^+.

[0630] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-propylquinazolin-4-amine (Compound 402). LCMS: rt 3.25 min (A), MS (m/e) 373 MH^+.

[0631] N-Butyl-6-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)quinazolin-4-amine (Compound 403). LCMS: rt 3.65 min (A), MS (m/e) 387 MH^+.

[0632] N-Cyclopropyl-6-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)quinazolin-4-amine (Compound 404). LCMS: rt 3.68 min (B), MS (m/e) 371 MH^+.

[0633] N-Cyclopentyl-6-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)quinazolin-4-amine (Compound 405). LCMS: rt 4.30 min (B), MS (m/e) 399 MH^+.

[0634] 4-((6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)quinazolin-4-yl)amino)benzamide (Compound 406). LCMS: rt 3.63 min (A), MS (m/e) 450 MH^+.

[0635] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(4-methoxyphenyl)quinazolin-4-amine (Compound 407). LCMS: rt 4.73 min (B), MS (m/e) 437 MH^+.

[0636] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(4-morpholinophenyl)quinazolin-4-amine (Compound 408). LCMS: rt 4.46 min (B), MS (m/e) 492 MH^+.

[0637] 4-((6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)quinazolin-4-yl)amino)benzonitrile (Compound 409). LCMS: rt 6.52 min (B), MS (m/e) 432 MH^+.

[0638] 3-((6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)quinazolin-4-yl)amino)benzamide (Compound 410). LCMS: rt 4.05 min (B), MS (m/e) 450 MH^+.

[0639] 6-(2-(3-Cyclopropylphenyl)pyridin-3-yl)quinazolin-4-amine (Compound 411). LCMS: rt 3.13 min (B), MS (m/e) 339 MH^+. ^1H NMR (CD_3OD, 300 MHz): 8.65 (dd, J = 4.8, 1.5 Hz, 1H), 8.37 (s, 1H), 8.13 (d, J = 2.1 Hz, 1H), 8.03 (dd, J = 7.8, 1.5 Hz, 1H), 7.56-7.51 (m, 2H), 7.41 (dd, J = 9.0, 2.1 Hz, 1H), 7.15-7.04 (m, 3H), 6.89 (m, 1H), 1.76 (m, 1H), 0.79 (m, 2H), 0.34 (m, 2H).

[0640] 3-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)-1,8-naphthyridine (Compound 412). LCMS: rt 5.84 min (B), MS (m/e) 114 MH^+.

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[0641] 3-(2-(3-Chlorophenyl)pyridin-3-yl)-1,8-naphthyridine (Compound 413). LCMS: rt 5.58 min (B), MS (m/e) 318 MH^+.

[0642] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(2-(pyridin-3-yl)ethyl)quinazolin-4-amine (Compound 414). LCMS: rt 2.82 min (B), MS (m/e) 436 MH^+.

[0643] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(pyridin-4-ylmethyl)quinazolin-4-amine (Compound 415). LCMS: rt 2.78 min (B), MS (m/e) 422 MH^+.

[0644] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(pyridin-3-ylmethyl)quinazolin-4-amine (Compound 416). LCMS: rt 3.03 min (B), MS (m/e) 422 MH^+.

[0645] 3-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-1,8-naphthyridine (Compound 417). LCMS: rt 5.23 min (B), MS (m/e) 316 MH^+.

[0646] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)quinoline-2-carbonitrile (Compound 418). LCMS: rt 7.75 min (B), MS (m/e) 340 MH^+.

[0647] 6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)quinoline-2-carbonitrile (Compound 419). LCMS: rt 8.26 min (B), MS (m/e) 360 MH^+.

[0648] 6-(2-(3-Chlorophenyl)pyridin-3-yl)quinoline-2-carbonitrile (Compound 420). LCMS: rt 8.07 min (B), MS (m/e) 342 MH^+.

[0649] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-((1-methylpiperidin-4-yl)methyl)quinazolin-4-amine (Compound 421). LCMS: rt 2.32 min (B), MS (m/e) 442 MH^+.

[0650] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(2-(1-methylpiperidin-4-yl)ethyl)quinazolin-4-amine (Compound 422). LCMS: rt 1.97 min (A), MS (m/e) 456 MH^+.

[0651] N1-(6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)quinazolin-4-yl)-N3,N3-dimethylpropane-1,3-diamine (Compound 423). LCMS: rt 3.55 min (A), MS (m/e) 416 MH^+. ^1H NMR (CD_3OD, 300 MHz): 8.79 (s, 1H), 8.67 (dd, J = 5.4, 1.5 Hz, 1H), 8.49 (m, 1H), 8.21 (dd, J = 7.8, 1.8 Hz,1H), 7.77 (dd, J = 8.1, 5.4 Hz, 1H), 7.66 (m, 2H), 7.37(m, 1H), 7.09 (m, 1H), 6.94 (m, H), 3.94 (t, J = 6.6 Hz, 2H), 3.29 (m, 2H), 2.91 (s, 6H), 2.24 (m, 2H), 2.20 (s, 3H);

[0652] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(3-morpholinopropyl)quinazolin-4-amine (Compound 424). LCMS: rt 3.61 min (A), MS (m/e) 458 MH^+.

[0653] 4-(2-((6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)quinazolin-4-yl)oxy)ethyl)morpholine (Compound 425). LCMS: rt 3.42 min (B), MS (m/e) 445 MH^+.

[0654] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)quinolin-2-amine (Compound 426). LCMS: rt 3.19 min (B), MS (m/e) 330 MH^+.

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[0655] 6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)quinolin-2-amine (Compound 427). LCMS: rt 3.72 min (B), MS (m/e) 350 MH^+.

[0656] 6-(2-(3-Chlorophenyl)pyridin-3-yl)quinolin-2-amine (Compound 428). LCMS: rt 3.50 min (B), MS (m/e) 332 MH^+.

[0657] 3-((6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)quinazolin-4-yl)oxy)-N,N-dimethylpropan-1-amine (Compound 429). LCMS: rt 3.47 min (B), MS (m/e) 417 MH^+. ^1H NMR (CD_3OD, 300 MHz): 8.74 (s, 1H), 8.66 (dd, J = 5.1, 1.5 Hz, 1H), 8.10 (m, 1H), 8.03 (dd, J = 7.8, 1.5 Hz,1H), 7.77 (m, 1H), 7.67 (dd, J = 7.8, 5.1 Hz, 1H), 7.56 (m, 2H), 7.27(m, 1H), 7.06 (m, 1H), 6.88 (m, H), 4.67 (t, J = 6.0 Hz, 2H), 2.88 (m, 2H), 2.56 (s, 6H), 2.21 (m, 2H), 2.14 (s, 3H).

[0658] 2-((6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)quinazolin-4-yl)oxy)acetonitrile (Compound 430). LCMS: rt 6.76 min (B), MS (m/e) 371 MH^+.

[0659] 1-(3-((6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)quinazolin-4-yl)oxy)propyl)pyrrolidin-2-one (Compound 431). LCMS: rt 4.92 min (A), MS (m/e) 457 MH^+.

[0660] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-4-((6-methylpyridin-3-yl)oxy)quinazoline (Compound 432). LCMS: rt 6.58 min (B), MS (m/e) 423 MH^+. ^1H NMR (CD_3OD, 300 MHz): 8.69 (s, 1H), 8.67 (dd, J = 5.4, 1.8 Hz, 1H), 8.35 (dd, J = 7.8, 1.5 Hz,1H), 8.16 (m, 1H), 8.07 (m, 1H), 7.70 (m, 2H), 7.57 (m, 2H), 7.27-7.02 (m, 3H), 6.89 (m, 1H), 2.41 (s, 3H), 2.18 (s, 3H).

[0661] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-4-(2-(4-methylpiperazin-1-yl)ethoxy)quinazoline (Compound 433). LCMS: rt 3.45 min (B), MS (m/e) 458 MH^+.

[0662] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-4-(3-(4-methylpiperazin-1-yl)propoxy)quinazoline (Compound 434). LCMS: rt 3.42 min (B), MS (m/e) 472 MH^+.

[0663] 3-((6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)quinazolin-4-yl)oxy)-N,N-dimethylpropan-1-amine (Compound 435). LCMS: rt 3.83 min (B), MS (m/e) 437 MH^+. ^1H NMR (CD_3OD, 300 MHz): 8.74 (s, 1H), 8.65 (dd, J = 5.4, 1.5 Hz, 1H), 8.10 (m, 2H), 8.03 (dd, J = 7.8, 1.5 Hz,1H), 7.84 (m, 1H), 7.67 (dd, J = 7.8, 5.1 Hz, 1H), 7.56 (m, 1H), 7.20 (m, 1H), 7.12 (m, 1H), 4.66 (t, J = 6.6 Hz, 2H), 2.77 (m, 2H), 2.46 (s, 6H), 2.14 (m, 2H);

[0664] 1-(3-((6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)quinazolin-4-yl)oxy)propyl)pyrrolidin-2-one (Compound 436). LCMS: rt 6.60 min (B), MS (m/e) 477 MH^+.

[0665] 6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)-4-((6-methylpyridin-3-yl)oxy)quinazoline (Compound 437). LCMS: rt 7.36 min (B), MS (m/e) 443 MH^+. ^1H NMR (CD_3OD, 300 MHz):

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8.70 (s, 1H), 8.67 (dd, J = 5.4, 1.5 Hz, 1H), 8.37 (dd, J = 7.8, 1.5 Hz,1H), 8.15 (m, 2H), 8.08 (m, 2H), 7.96 (m, 1H), 7.57 (m, 2H), 7.23-7.06 (m, 3H), 2.41 (s, 3H).

[0666] 2-((6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)quinazolin-4-yl)oxy)-N,N-diethylethan-1-amine (Compound 438). LCMS: rt 3.89 min (B), MS (m/e) 451 MH^+. ^1H NMR (CD_3OD, 300 MHz): 8.76 (s, 1H), 8.67 (dd, J = 5.1, 1.5 Hz, 1H), 8.11 (dd, J = 7.8, 1.8 Hz,1H), 7.99 (m, 1H), 7.82 (m, 1H), 7.59-7.48 (m, 3H), 7.21-7.05 (m, 2H), 4.76 (t, J = 2.4 Hz, 2H), 3.83 (t, J = 2.4 Hz, 2H), 2.84 (q, J = 7.2 Hz, 4H), 1.30 (t, J = 7.2 Hz, 6H).

[0667] 2-((6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)quinazolin-4-yl)oxy)-N,N-dimethylethan-1-amine (Compound 439). LCMS: rt 3.69 min (B), MS (m/e) 423 MH^+. ^1H NMR (CD_3OD, 300 MHz): 8.76 (s, 1H), 8.61 (dd, J = 5.4, 1.5 Hz, 1H), 8.23 (m, 1H), 8.10 (m, 1H), 8.01 (dd, J = 7.8, 1.5 Hz,1H), 7.86 (m, 1H), 7.65 (dd, J = 7.8, 5.1 Hz, 1H), 7.61 (m, 1H), 7.16 (m, 1H), 7.09 (m, 1H), 4.75 (t, J = 5.7 Hz, 2H), 3.80 (t, J = 5.7 Hz, 2H), 2.78 (s, 6H).

[0668] 4-((6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)quinazolin-4-yl)oxy)benzonitrile (Compound 440). LCMS: rt 8.03 min (B), MS (m/e) 433 MH^+.

[0669] 3-((6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)quinazolin-4-yl)oxy)benzonitrile (Compound 441). LCMS: rt 8.06 min (B), MS (m/e) 433 MH^+.

[0670] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-4-(pyridin-3-yloxy)quinazoline (Compound 442). LCMS: rt 6.66 min (B), MS (m/e) 409 MH^+. ^1H NMR (CD_3OD, 300 MHz): 8.70 (s, 1H), 8.67 (dd, J = 4.8, 1.5 Hz, 1H), 8.15 (s, 1H), 8.07 (m, 1H), 7.95 (dd, J = 7.5, 1.5 Hz, 1H), 7.86 (m, 1H), 7.62-7.50 (m, 3H), 7.59 (m, 1H), 7.35-7.23 (m, 2H), 7.03 (m, 1H), 6.88 (m, 1H), 2.19(s, 3H).

[0671] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-4-(2-(pyrrolidin-1-yl)ethoxy)quinazoline (Compound 443). LCMS: rt 2.87 min (A), MS (m/e) 429 MH^+. ^1H NMR (CD_3OD, 300 MHz): 8.65 (m, 1H), 8.14 (s, 1H), 7.96 (m, 2H), 7.78 (m, 1H), 7.64-7.50 (m, 2H), 7.27 (m, 1H), 7.04 (m, 1H), 6.87 (m, 1H), 4.78 (t, J = 5.4 Hz, 2H), 3.06 (t, J = 5.4 Hz, 2H), 3.71 (m, 3H), 2.17 (s, 3H), 2.16 (m, 2H), 1.87 (m, 3H);

[0672] 4-(3-((6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)quinazolin-4-yl)oxy)phenyl)morpholine (Compound 444). LCMS: rt 8.06 min (B), MS (m/e) 493 MH^+.

[0673] 5-(2-((6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)quinazolin-4-yl)oxy)ethyl)-4-methylthiazole (Compound 445). LCMS: rt 7.23 min (B), MS (m/e) 457 MH^+. ^1H NMR (CD_3OD, 300 MHz): 8.75 (s, 1H), 8.66 (dd, J = 5.1, 1.8 Hz, 1H), 8.11 (s, 1H), 8.11 (m, 1H), 7.99

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(dd, J = 6.9 , 1.8 Hz, 1H), 7.77 (s, 1H), 7.57 (m, 2H), 7.27 (m, 1H), 7.04 (m, 1H), 6.88 (m, 1H), 4.78 (t, J = 6.0 Hz, 2H), 3.38 (t, J = 6.0 Hz, 2H), 2.24 (s, 3H), 2.14 (s, 3H).

[0674] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-4-(quinolin-6-yloxy)quinazoline (Compound 446). LCMS: rt 5.71 min (A), MS (m/e) 459 MH^+. ^1H NMR (CD_3OD, 300 MHz): 8.75-8.59 (m, 2H), 8.42 (s, 1H), 8.12 (m, 2H), 7.99 (dd, J = 8.1 , 1.8 Hz, 1H), 7.88 (m, 1H), 7.76 (s, 1H), 7.59 (m, 2H), 7.43-7.27 (m, 3H), 7.25 (m, 1H), 7.06 (m, 1H), 6.88 (m, 1H), 2.17 (s, 3H).

[0675] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-4-((1-(pyridin-4-yl)piperidin-4-yl)oxy)quinazoline (Compound 447). LCMS: rt 3.31 min (A), MS (m/e) 492 MH^+. ^1H NMR (CD_3OD, 300 MHz): 8.74 (s, 1H), 8.67 (dd, J = 5.4, 1.8 Hz, 1H), 8.52 (s, 1H), 8.09 (m,3H), 7.98 (m, 1H), 7.87 (m, 1H), 7.57 (m, 2H), 7.25 (m, 1H), 7.14-7.01 (m, 2H), 6.87 (m, 1H), 3.77 (m, 4H), 3.47 (m, 1H), 2.21 (s, 3H),1.96 (m, 4H).

[0676] 6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)quinazolin-4-amine (Compound 448). LCMS: rt 4.46 min (A), MS (m/e) 351 MH^+. ^1H NMR (DMSO-d_6, 300 MHz): 8.67 (dd, J = 4.8, 1.5 Hz, 1H), 8.32 (s, 1H), 8.20 (d, J = 2.1 Hz, 1H), 7.91 (dd, J = 7.5, 1.8 Hz, 1H), 7.69 (bs, 2H), 7.55-7.46 (m, 3H), 7.36 (dd, J = 8.4, 1.8 Hz, 1H), 7.16 (m, 1H), 7.09-7.04 (m, 1H).

[0677] 6-(2-(5-Chloro-2-fluorophenyl)pyridin-3-yl)quinazolin-4-amine (Compound 449). LCMS: rt 4.51 min (A), MS (m/e) 351 MH^+. ^1H NMR (CD_3OD, 300 MHz): 8.79 (dd, J = 4.8, 1.5 Hz, 1H), 8.67 (s, 1H), 8.34 (d, J = 1.5 Hz, 1H), 8.13 (dd, J = 7.8, 1.5 Hz, 1H), 7.80 (dd, J = 8.7, 1.8 Hz, 1H), 7.71 (m, 2H), 7.64 (dd, J = 6.3, 3.0 Hz, 1H), 7.42 (m, 1H), 6.92 (m, 1H).

[0678] 6-(2-(5-Chloro-2,4-difluorophenyl)pyridin-3-yl)quinazolin-4-amine (Compound 450). LCMS: rt 4.80 min (A), MS (m/e) 369 MH^+. ^1H NMR (CD_3OD, 300 MHz): 8.79 (dd, J = 4.8, 1.5 Hz, 1H), 8.68 (s, 1H), 8.34 (d, J = 1.8 Hz, 1H), 8.10 (dd, J = 7.2, 1.5 Hz, 1H), 7.83 (dd, J = 7.5, 2.1 Hz, 1H), 7.79 (m, 1H), 7.71 (m, 2H), 6.99 (m, 1H).

[0679] 6-(2-(3-Methoxyphenyl)pyridin-3-yl)quinazolin-4-amine (Compound 451). LCMS: rt 3.26 min (A), MS (m/e) 329 MH^+. ^1H NMR (CD_3OD, 300 MHz): 8.50 (dd, J = 5.4, 1.8 Hz, 1H), 8.68 (s, 1H), 8.43 (m, 2H), 7.94 (dd, J = 8.1, 5.4 Hz, 1H), 7.76 (dd, J = 8.4, 1.8 Hz, 1H), 7.65 (m, 1H), 7.26 (m, 1H), 7.01 (m, 2H), 6.88 (m, 1H), 3.71 (s, 3H).

[0680] 6-(2-(3-(Trifluoromethoxy)phenyl)pyridin-3-yl)quinazolin-4-amine (Compound 452). LCMS: rt 4.71 min (A), MS (m/e) 383 MH^+. ^1H NMR (CD_3OD, 300 MHz): 8.80 (dd, J = 5.1, 1.5 Hz, 1H), 8.69 (s, 1H), 8.36 (m, 1H), 8.18 (dd, J = 7.8, 1.8 Hz, 1H), 7.77-7.65 (m, 3H), 7.43 (m, 2H), 7.28 (m, 1H), 7.19 (m, 2H).

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[0681] 6-(2-(3,4-Difluorophenyl)pyridin-3-yl)quinazolin-4-amine (Compound 453). LCMS: rt 4.01 min (A), MS (m/e) 335 MH^+. ^1H NMR (CD_3OD, 300 MHz): 8.76 (dd, J = 5.4, 1.5 Hz, 1H), 8.69 (s, 1H), 8.38 (m, 1H), 8.14 (dd, J = 7.8, 1.5 Hz, 1H), 7.70-7.65 (m, 2H), 7.35 (m, 2H), 7.18 (m, 1H), 7.09 (m, 1H).

[0682] 6-(2-(2,5-Difluorophenyl)pyridin-3-yl)quinazolin-4-amine (Compound 454). LCMS: rt 4.11 min (A), MS (m/e) 335 MH^+. ^1H NMR (CD_3OD, 300 MHz): 8.79 (dd, J = 4.8, 1.5 Hz, 1H), 8.67 (s, 1H), 8.33 (d, J = 1.8 Hz, 1H), 8.11 (dd, J = 7.8, 1.8 Hz, 1H), 7.82 (dd, J = 8.4, 1.5 Hz, 1H), 7.79-7.65 (m, 2H), 7.36 (m, 2H), 7.16 (m, 1H), 6.92 (m, 1H).

[0683] 6-(2-(2,4-Difluorophenyl)pyridin-3-yl)quinazolin-4-amine (Compound 455). LCMS: rt 2.26 min (A), MS (m/e) 335 MH^+.

[0684] 3-(3-(4-Aminoquinazolin-6-yl)pyridin-2-yl)phenol (Compound 456). LCMS: rt 2.16 min (A), MS (m/e) 315 MH^+. ^1H NMR (DMSO-d_6, 300 MHz): 9.31 (s, 1H), 8.68 (dd, J = 4.8, 1.5 Hz, 1H), 8.37 (s, 1H), 8.28 (m, 1H), 7.90 (dd, J = 7.8, 1.5 Hz, 1H), 7.74 (bs, 2H), 7.51 (dd, J = 7.8, 4.5 Hz, 1H), 7.43(m, 1H), 7.31 (dd, J = 8.7, 1.5 Hz, 1H), 7.00 (m, 1H), 6.77 (m, 1H), 6.65-6.62 (m, 2H).

[0685] N-(3-(3-(4-Aminoquinazolin-6-yl)pyridin-2-yl)phenyl)acetamide (Compound 457). LCMS: rt 2.18 min (A), MS (m/e) 356 MH^+.

[0686] 6-(2-(3-Fluoro-5-methylphenyl)pyridin-3-yl)quinazolin-4-amine (Compound 458). LCMS: rt 2.45 min (A), MS (m/e) 331 MH^+.

[0687] 6-(2-(3-Fluoro-4-methylphenyl)pyridin-3-yl)quinazolin-4-amine (Compound 459). LCMS: rt 2.41 min (A), MS (m/e) 331 MH^+.

[0688] 6-(2-(3-Chloro-5-fluorophenyl)pyridin-3-yl)quinazolin-4-amine (Compound 460). LCMS: rt 2.77 min (A), MS (m/e) 351 MH^+.

[0689] 6-(2-(3-Fluorophenyl)pyridin-3-yl)quinazolin-4-amine (Compound 461). LCMS: rt 2.16 min (A), MS (m/e) 317 MH^+. ^1H NMR (CD_3OD, 300 MHz): 8.68 (dd, J = 5.1, 1.8 Hz, 1H), 8.37 (s, 1H), 8.15 (m, 1H), 8.01 (dd, J = 7.8, 1.5 Hz, 1H), 7.59-7.54 (m, 2H), 7.48 (dd, J = 8.4, 1.8 Hz, 1H), 7.22 (m, 1H), 7.12 (m, 1H), 7.03 (m, 1H).

[0690] 6-(2-(o-Tolyl)pyridin-3-yl)quinazolin-4-amine (Compound 462). LCMS: rt 1.85 min (A), MS (m/e) 313 MH^+.

[0691] 6-(2-(5-Chloro-2-methylphenyl)pyridin-3-yl)quinazolin-4-amine (Compound 463). LCMS: rt 2.58 min (A), MS (m/e) 347 MH^+. ^1H NMR (CD_3OD, 300 MHz): 8.68 (dd, J = 5.1,

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1.8 Hz, 1H), 8.37 (s, 1H), 8.14 (m, 1H), 8.08 (dd, J = 7.8, 1.8 Hz, 1H), 7.64 (dd, J = 8.1, 5.1 Hz, 1H), 7.52-7.43 (m, 2H), 7.28-7.21 (m, 2H), 7.11 (m, 1H), 1.90 (s, 3H).

[0692] 6-(2-(3-Chloro-2-methylphenyl)pyridin-3-yl)quinazolin-4-amine (Compound 464). LCMS: rt 2.58 min (A), MS (m/e) 347 MH^+.

[0693] 6-(2-(6-Chloro-2-fluoro-3-methylphenyl)pyridin-3-yl)quinazolin-4-amine (Compound 465). LCMS: rt 2.97 min (A), MS (m/e) 365 MH^+.

[0694] 6-(2-(5-Fluoro-2-methylphenyl)pyridin-3-yl)quinazolin-4-amine (Compound 466). LCMS: rt 2.23 min (A), MS (m/e) 331 MH^+.

[0695] 6-(2-(4-Fluoro-2-methylphenyl)pyridin-3-yl)quinazolin-4-amine (Compound 467). LCMS: rt 2.07 min (A), MS (m/e) 331 MH^+.

[0696] 6-(2-(3-Fluoro-2-methylphenyl)pyridin-3-yl)quinazolin-4-amine (Compound 468). LCMS: rt 2.25 min (A), MS (m/e) 331 MH^+.

[0697] 6-(2-(3,4,5-Trifluorophenyl)pyridin-3-yl)quinazolin-4-amine (Compound 469). LCMS: rt 2.74 min (A), MS (m/e) 353 MH^+.

[0698] 6-(2-(2,3-Dihydro-1H-inden-5-yl)pyridin-3-yl)quinazolin-4-amine (Compound 470). LCMS: rt 2.34 min (A), MS (m/e) 339 MH^+.

[0699] 5-(3-(4-Aminoquinazolin-6-yl)pyridin-2-yl)-2,3-dihydro-1H-inden-1-one (Compound 471). LCMS: rt 2.09 min (A), MS (m/e) 353 MH^+.

[0700] (E)-5-(3-(4-Aminoquinazolin-6-yl)pyridin-2-yl)-2,3-dihydro-1H-inden-1-one oxime (Compound 472). LCMS: rt 2.15 min (A), MS (m/e) 367 MH^+.

[0701] 6-(2-(2-Fluoro-5-methoxyphenyl)pyridin-3-yl)quinazolin-4-amine (Compound 473). LCMS: rt 2.32 min (A), MS (m/e) 347 MH^+. ^1H NMR (CD_3OD, 300 MHz): 8.69 (dd, J = 5.1, 1.8 Hz, 1H), 8.40 (s, 1H), 8.16 (m, 1H), 8.02 (dd, J = 7.8, 1.5 Hz, 1H), 7.62 (dd, J = 7.8, 5.1 Hz, 1H), 7.54 (m, 2H), 7.03 (m, 1H), 6.91-6.77 (m, 2H), 3.75 (s, 3H).

[0702] 6-(2-(4-Fluoro-3-methoxyphenyl)pyridin-3-yl)quinazolin-4-amine (Compound 474). LCMS: rt 2.14 min (A), MS (m/e) 347 MH^+. ^1H NMR (CD_3OD, 300 MHz): 8.68 (dd, J = 4.8, 1.5 Hz, 1H), 8.43 (s, 1H), 8.20 (m, 1H), 8.02 (dd, J = 7.8, 1.8 Hz, 1H), 7.60-7.51 (m, 3H), 7.08 (dd, J = 8.1, 2.1 Hz, 1H), 6.96 (dd, J = 8.7, 11.1 Hz, 1H), 6.83-6.78 (m, 1H), 3.63 (s, 3H).

[0703] N-(3-(3-(4-Aminoquinazolin-6-yl)pyridin-2-yl)phenyl)-N',N'-dimethylsulfonyldiamine (Compound 475). LCMS: rt 2.12 min (A), MS (m/e) 421 MH^+.

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[0704] 6-(2-(4-Fluoro-3-(trifluoromethyl)phenyl)pyridin-3-yl)quinazolin-4-amine (Compound 476). LCMS: rt 3.13 min (A), MS (m/e) 385 MH^+.

[0705] 5-(3-(4-Aminoquinazolin-6-yl)pyridin-2-yl)-2-fluorobenzonitrile (Compound 477). LCMS: rt 2.35 min (A), MS (m/e) 342 MH^+. ^1H NMR (CD_3OD, 300 MHz): 8.72 (dd, J = 4.8, 1.5 Hz, 1H), 8.42 (s, 1H), 8.15 (m, 1H), 8.02 (dd, J = 6.0, 1.8 Hz, 1H), 7.64-7.51 (m, 4H), 7.21 (m, 1H).

[0706] 6-(2-(3-Isopropylphenyl)pyridin-3-yl)quinazolin-4-amine (Compound 478). LCMS: rt 2.70 min (A), MS (m/e) 341 MH^+.

[0707] 6-(2-(3-(Benzyloxy)phenyl)pyridin-3-yl)quinazolin-4-amine (Compound 479). LCMS: rt 3.20 min (A), MS (m/e) 405 MH^+.

[0708] 6-(2-(3-isopropoxyphenyl)pyridin-3-yl)quinazolin-4-amine (Compound 480). LCMS: rt 2.51 min (A), MS (m/e) 357 MH^+.

[0709] 2-(3-(3-(4-Aminoquinazolin-6-yl)pyridin-2-yl)phenoxy)acetonitrile (Compound 481). LCMS: rt 2.09 min (A), MS (m/e) 354 MH^+.

[0710] 6-(2-(3-(2-Methoxyethoxy)phenyl)pyridin-3-yl)quinazolin-4-amine (Compound 482). LCMS: rt 2.09 min (A), MS (m/e) 373 MH^+.

[0711] 6-(2-(3-(Cyclopropylmethoxy)phenyl)pyridin-3-yl)quinazolin-4-amine (Compound 483). LCMS: rt 2.70 min (A), MS (m/e) 369 MH^+. ^1H NMR (CD_3OD, 300 MHz): 8.65 (dd, J = 4.8, 1.5 Hz, 1H), 8.40 (s, 1H), 8.18 (m, 1H), 8.01 (dd, J = 7.8, 1.5 Hz, 1H), 7.57-7.51 (m, 2H), 7.46 (dd, J = 9.0, 2.1 Hz, 1H), 7.17 (m, 1H), 6.92-6.89 (m, 1H), 6.85-6.78 (m, 2H), 3.53 (d, J = 7.2 Hz, 2H), 0.93 (m, 1H), 0.43 (m, 2H), 0.13 (m, 2H).

[0712] 6-(2-(3-(2,2,2-Trifluoroethoxy)phenyl)pyridin-3-yl)quinazolin-4-amine (Compound 484). LCMS: rt 3.59 min (A), MS (m/e) 387 MH^+. ^1H NMR (CD_3OD, 300 MHz): 8.69 (dd, J = 4.8, 1.5 Hz, 1H), 8.42 (s, 1H), 8.18 (m, 1H), 8.02 (dd, J = 7.8, 1.5 Hz, 1H), 7.59-7.53 (m, 3H), 7.19 (m, 1H), 7.03 (m, 1H), 6.97-6.90 (m, 2H), 3.53 (q, J = 7.8 Hz, 2H).

[0713] 6-(2-(3-Morpholinophenyl)pyridin-3-yl)quinazolin-4-amine (Compound 485). LCMS: rt 2.66 min (A), MS (m/e) 384 MH^+.

[0714] 7-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)quinazoline-2,4-diamine (Compound 486). LCMS: rt 2.96 min (A), MS (m/e) 366 MH^+.

[0715] 7-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)quinazoline-2,4-diamine (Compound 487). LCMS: rt 2.53 min (A), MS (m/e) 346 MH^+.

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[0716] 7-(2-(3-Chlorophenyl)pyridin-3-yl)quinazoline-2,4-diamine (Compound 488). LCMS: rt 2.77 min (A), MS (m/e) 348 MH^+.

[0717] 7-(2-(m-Tolyl)pyridin-3-yl)quinazoline-2,4-diamine (Compound 489). LCMS: rt 2.89 min (B), MS (m/e) 328 MH^+.

[0718] 6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)-N-(pyridin-3-yl)quinazolin-4-amine (Compound 490). LCMS: rt 3.85 min (A), MS (m/e) 428 MH^+.

[0719] 6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)-N-(6-methylpyridin-3-yl)quinazolin-4-amine (Compound 491). LCMS: rt 3.54 min (A), MS (m/e) 442 MH^+.

[0720] 6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)-N-(pyridin-4-yl)quinazolin-4-amine (Compound 492). LCMS: rt 3.42 min (A), MS (m/e) 428 MH^+.

[0721] 3-(3-(4-Aminoquinazolin-6-yl)pyridin-2-yl)-5-chlorophenol (Compound 493). LCMS: rt 2.32 min (A), MS (m/e) 349 MH^+. ^1H NMR (CD_3OD, 300 MHz): 8.66 (dd, J = 4.8, 1.5 Hz, 1H), 8.42 (s, 1H), 8.21 (m, 1H), 8.03 (dd, J = 7.8, 1.5 Hz, 1H), 7.61-7.49 (m, 3H), 6.83 (m, 1H), 6.73 (m, 1H), 6.60 (m, 1H).

[0722] 3-(3-(4-Aminoquinazolin-6-yl)pyridin-2-yl)-5-fluorophenol (Compound 494). LCMS: rt 1.94 min (A), MS (m/e) 333 MH^+. ^1H NMR (CD_3OD, 300 MHz): 8.65 (dd, J = 4.8, 1.5 Hz, 1H), 8.41 (s, 1H), 8.12 (m, 1H), 7.95 (dd, J = 7.8, 1.5 Hz, 1H), 7.60-7.45 (m, 3H), 6.58 (m, 1H), 6.53-6.46 (m, 2H).

[0723] 3-(3-(4-Aminoquinazolin-6-yl)pyridin-2-yl)-5-methylphenol (Compound 495). LCMS: rt 1.69 min (A), MS (m/e) 329 MH^+. ^1H NMR (CD_3OD, 300 MHz): 8.63 (dd, J = 4.8, 1.5 Hz, 1H), 8.44 (s, 1H), 8.23 (m, 1H), 8.16 (m, 1H), 8.01 (dd, J = 7.8, 1.5 Hz, 1H), 7.56-7.51 (m, 3H), 6.65 (m, 1H), 6.56 (m, 1H), 6.47 (m, 1H), 2.15 (s, 3H).

[0724] 7-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)quinazolin-2-amine (Compound 496). LCMS: rt 2.36 min (A), MS (m/e) 351 MH^+. ^1H NMR (CD_3OD, 300 MHz): 9.06 (bs, 1H), 8.68 (dd, J = 4.8, 1.5 Hz, 1H), 7.99 (dd, J = 7.8, 1.5 Hz, 1H), 7.75 (d, J = 8.1 Hz, 1H), 7.57 (dd, J = 7.8, 4.8 Hz, 1H), 7.53 (m, 1H), 7.36 (m, 1H), 7.22-7.17 (m, 1H), 7.11-7.05 (m, 2H).

[0725] 6-(2-(2-Chlorophenyl)pyridin-3-yl)quinazolin-4-amine (Compound 497). LCMS: rt 2.29 min (A), MS (m/e) 333 MH^+.

[0726] 6-(2-(2,3-Dichlorophenyl)pyridin-3-yl)quinazolin-4-amine (Compound 498). LCMS: rt 2.78 min (A), MS (m/e) 368 MH^+.

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[0727] 6-(2-(2-Chloro-4-fluorophenyl)pyridin-3-yl)quinazolin-4-amine (Compound 499). LCMS: rt 2.48 min (A), MS (m/e) 351 MH^+.

[0728] 6-(2-(2,4-Dichlorophenyl)pyridin-3-yl)quinazolin-4-amine (Compound 500). LCMS: rt 3.63 min (B), MS (m/e) 368 MH^+.

[0729] 6-(2-(2,5-Dichlorophenyl)pyridin-3-yl)quinazolin-4-amine (Compound 501). LCMS: rt 3.55 min (B), MS (m/e) 368 MH^+. ^1H NMR (CD_3OD, 300 MHz): 8.69 (dd, J = 5.1, 1.5 Hz, 1H), 8.36 (s, 1H), 8.13 (m, 1H), 8.07 (dd, J = 7.8, 1.5 Hz, 1H), 7.66 (dd, J = 7.8, 5.1 Hz, 1H), 7.56-7.53 (m, 3H), 7.33 (m, 1H), 6.26 (m, 1H).

[0730] 6-(2-(2,4-Difluoro-5-methoxyphenyl)pyridin-3-yl)quinazolin-4-amine (Compound 502). LCMS: rt 3.21 min (B), MS (m/e) 365 MH^+.

[0731] 6-(2-(2-Chloro-3-fluorophenyl)pyridin-3-yl)quinazolin-4-amine (Compound 503). LCMS: rt 3.27 min (B), MS (m/e) 351 MH^+.

[0732] 6-(2-(2-Chloro-5-fluorophenyl)pyridin-3-yl)quinazolin-4-amine (Compound 504). LCMS: rt 3.23 min (B), MS (m/e) 351 MH^+.

[0733] 6-(2-(2,4-Dichloro-5-fluorophenyl)pyridin-3-yl)quinazolin-4-amine (Compound 505). LCMS: rt 3.79 min (B), MS (m/e) 386 MH^+.

[0734] 6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)quinazoline (Compound 506). LCMS: rt 5.24 min (A), MS (m/e) 336 MH^+.

[0735] 6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)-4-cyclopropylquinazoline (Compound 507). LCMS: rt 7.15 min (A), MS (m/e) 376 MH^+.

[0736] 2-(2-Fluorophenyl)-3,4'-bipyridine (Compound 508). ^1H NMR (300 MHz, DMSO-d_6): δ 8.74 (dd, J = 4.8, 1.7 Hz, 1H), 8.45 (dd, J = 4.4, 1.7 Hz, 2H), 7.94 (dd, J = 1.7, 7.8 Hz, 1H), 7.58 (dd, J = 7.8, 4.8 Hz, 1H), 7.58 (dd, J = 7.8, 4.8 Hz, 1H), 7.47 (td, J = 7.5, 1.8 Hz, 1H), 7.44 – 7.34 (m, 1H), 7.23 (td, J = 7.5, 1.1 Hz, 1H), 7.15 (dd, J = 4.4, 1.7 Hz, 2H), 7.08 – 7.00 (m, 1H).^{19}F NMR (282 MHz, DMSO-d_6): δ -115.75 (ddd, J = 10.4, 7.5, 5.4 Hz).LCMS: rt 4.35 min (A), purity 99 %, MS (m/e) 251 (MH^+).

[0737] 5-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)-N-(pyridin-4-ylmethyl)pyridin-3-amine (Compound 509). LCMS: rt 4.46 min (A), MS (m/e) 427 MH^+.

[0738] 4-(2-(2-Fluorophenyl)pyridin-3-yl)quinolone (Compound 510). LCMS: rt4.08 min (B), purity 99 %, MS (m/e) 301 (MH^+).

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[0739] 4-(2-(3,4-Difluorophenyl)pyridin-3-yl)quinolone (Compound 511). LCMS: rt4.82 min (B), purity 99 %, MS (m/e) 319 (MH^+).

[0740] 4-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)quinoline (Compound 512). LCMS: rt 4.73 min (B), purity 99 %, MS (m/e) 315 (MH^+).

[0741] 4-(2-(3-Fluorophenyl)pyridin-3-yl)quinolone (Compound 513). LCMS: rt 4.46 min (B), purity 99%, MS (m/e) 301 (MH^+).

[0742] 4-(2-(4-Fluorophenyl)pyridin-3-yl)quinoline (Compound 514). LCMS: rt4.34 min (B), purity 99 %, MS (m/e) 301 (MH^+).

[0743] 4-(2-(*m*-Tolyl)pyridin-3-yl)quinoline (Compound 515). LCMS: rt 4.32 min (B), purity 99%, MS (m/e) 297 (MH^+).

[0744] 4-(2-(2-Fluoro-5-methylphenyl)pyridin-3-yl)quinolone (Compound 516). LCMS: rt 6.04 min (B), purity 99 %, MS (m/e) 315(MH^+).

[0745] 5-(6-Methyl-[2,3'-bipyridin]-2'-yl)-1H-indazole (Compound 517). ^1H NMR (300 MHz, DMSO-d_6): δ 12.97 (s, 1H), 8.63 (dd, J = 4.7, 1.7 Hz, 1H), 7.92 (dd, J = 9.7, 1.7 Hz, 1H), 7.64 (s, 1H), 7.40 (dd, J = 8.0, 4.5 Hz, 2H), 7.37 – 7.29 (m, 2H), 7.16 (dd, J = 8.7, 1.5 Hz, 1H), 7.05 (d, J = 7.6 Hz, 1H), 6.73 (d, J = 7.8 Hz, 1H), 2.41 (s, 3H).LCMS: rt 2.34 min (B), purity 99 %, MS (m/e) 287 (MH^+).

[0746] 2'-(Benzo[d][1,3]dioxol-5-yl)-6-methyl-2,3'-bipyridine (Compound 518). LCMS: rt 2.82 min (B), purity 99 %, MS (m/e) 291(MH^+).

[0747] 6-(6-Methyl-[2,3'-bipyridin]-2'-yl)quinoxaline (Compound 519). LCMS: rt 2.80 min (B), purity 99 %, MS (m/e) 299 (MH^+).

[0748] 5-(6-Methyl-[2,3'-bipyridin]-2'-yl)-1,3-dihydro-2H-benzo[d]imidazol-2-one (Compound 520). LCMS: rt 2.01 min (B), purity 99 %, MS (m/e) 303 (MH^+).

[0749] 6-(6-Methyl-[2,3'-bipyridin]-2'-yl)-1H-benzo[d]imidazole (Compound 521). ^1H NMR (300 MHz, DMSO-d_6): δ 12.1 (br s, 1H), 8.68 (dd, J = 4.7, 1.7 Hz, 1H), 8.16 (s, 1H), 7.96 (dd, J = 7.8, 1.7 Hz, 1H), 7.50-7.37 (ddd, J = 15.4, 14.4, 8.8 Hz, 4H), 7.10 (d, J = 7.7 Hz, 2H), 6.76 (d, J = 7.7 Hz, 1H), 2.47 (s, 3H). LCMS: rt 1.23 min (B), purity 99 %, MS (m/e) 287 (MH^+).

[0750] 6-(6-Methyl-[2,3'-bipyridin]-2'-yl)isoquinoline (Compound 522). LCMS: rt 1.93 min (B), purity 99 %, MS (m/e) 298 (MH^+).

[0751] 2-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-1,6-naphthyridine (Compound 523). ^1H NMR (300 MHz, DMSO-d_6): δ 9.35 (d, J = 0.9 Hz, 1H), 8.78 (dd, J = 4.7, 1.7 Hz, 1H), 8.74 (d, J

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= 5.9 Hz, 1H), 8.38 (dd, *J* = 8.6, 0.9 Hz, 1H), 8.14 (dd, *J* = 7.8, 1.7 Hz, 1H), 7.91 (d, *J* = 5.9 Hz, 1H), 7.57 (dd, *J* = 7.8, 4.7 Hz, 1H), 7.38 (dd, *J* = 7.6, 1.9 Hz, 1H), 7.30 (d, *J* = 8.5 Hz, 1H), 7.01 – 6.86 (m, 2H), 2.13 (s, 3H).^{19}F NMR (282 MHz, DMSO-d_6): δ -117.88 (d, *J* = 8.1 Hz). LCMS: rt 4.58 min (A), purity 99 %, MS (m/e) 316 (MH^+).

[0752] 2-(2-(*m*-Tolyl)pyridin-3-yl)-1,6-naphthyridine (Compound 524). ^{1}H NMR (300 MHz, DMSO-d_6): δ 9.33 (s, 1H), 8.74 (d, *J* = 5.9 Hz, 2H), 8.33 (d, *J* = 8.6 Hz, 1H), 8.14 (d, *J* = 7.7 Hz, 1H), 7.91 (d, *J* = 5.9 Hz, 1H), 7.56 (dd, *J* = 7.7, 4.8 Hz, 1H), 7.26 (d, *J* = 7.8 Hz, 2H), 7.08 (d, *J* = 7.6 Hz, 2H), 6.93 (d, *J*= 6.8 Hz, 1H), 2.18 (s, 3H). LCMS: rt 4.11 min (A), purity 99 %, MS (m/e) 298 (MH^+).

[0753] 2-(2-(3-Cyclopropylphenyl)pyridin-3-yl)-1,6-naphthyridine (Compound 525). LCMS: rt 4.71 min (A), purity 99 %, MS (m/e) 324 (MH^+).

[0754] 2'-(4-Fluoro-3-methylphenyl)-[3,3'-bipyridin]-6-amine (Compound 526). ^{1}H NMR (300 MHz, DMSO-d_6): δ 8.60 (dd, *J* = 4.7, 1.6 Hz, 1H), 7.85 – 7.73 (m, 2H), 7.42 (dd, *J* = 7.8, 4.7 Hz, 1H), 7.35 (d, *J* = 7.8 Hz, 1H), 7.24 (dd, *J* = 8.8, 2.4 Hz, 1H), 7.13 – 6.95 (m, 2H), 6.66 (br s, 2H), 6.51 (d, *J* = 8.8 Hz, 1H), 2.19 (d, *J* = 1.5 Hz, 3H).^{19}F NMR (282 MHz, DMSO-d_6): δ -118.73 (s). LCMS: rt 1.76 min (A), purity 99 %, MS (m/e) 280 (MH^+).

[0755] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-3-methylimidazo[1,2-a]pyridine (Compound 527). ^{1}H NMR (300 MHz, DMSO-d_6): δ 8.68 (dd, *J* = 4.7, 1.7 Hz, 1H), 8.28 (dd, *J* = 1.7, 0.9 Hz, 1H), 7.98 (dd, *J* = 7.8, 1.7 Hz, 1H), 7.49 (dd, *J* = 7.8, 4.8 Hz, 1H), 7.45 (app dd, J = 8.6 and 0.9 Hz, 1H), 7.38 (d, J = 0.9 Hz, 1H), 7.36 (dd, J = 8.6, 0.9 Hz, 1H), 7.09-7.05 (m, 1H), 6.97 (dd, *J* = 9.6, 8.6 Hz, 1H), 6.77 (dd, *J* = 9.3, 1.8 Hz, 1H), 2.43 (s, 3H), 2.16 (s, 3H).^{19}F NMR (282 MHz, DMSO-d_6): δ -118.43 (ddd, *J* = 10.0, 7.5, 3.8 Hz). LCMS: rt 3.83 min (A), purity 99 %, MS (m/e) 318 (MH^+).

[0756] 3-Methyl-6-(2-(*m*-Tolyl)pyridin-3-yl)imidazo[1,2-a]pyridine (Compound 528). LCMS: rt 3.40 min (A), purity 99 %, MS (m/e) 300 (MH^+).

[0757] 6-(2-(3-Cyclopropylphenyl)pyridin-3-yl)-3-methylimidazo[1,2-a]pyridine (Compound 529). LCMS: rt 3.98 min (A), purity 99 %, MS (m/e) 326 (MH^+).

[0758] 3-Methyl-6-(2-(3-(trifluoromethyl)phenyl)pyridin-3-yl)imidazo[1,2-a]pyridine (Compound 530). LCMS: rt 4.90 min (A), purity 99 %, MS (m/e) 354 (MH^+).

[0759] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-2-methylimidazo[1,2-a]pyridine (Compound 531). LCMS: rt 3.86 min (A), purity 99 %, MS (m/e) 318 (MH^+).

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[0760] 2-Methyl-6-(2-(*m*-tolyl)pyridin-3-yl)imidazo[1,2-a]pyridine (Compound 532). ^{1}H NMR (300 MHz, DMSO-d_6): δ 8.67 (dd, J = 4.7, 1.7 Hz, 1H), 8.43 (dd, J = 1.8, 1.0 Hz, 1H), 7.88 (dd, J = 7.7, 1.7 Hz, 1H), 7.61 (s, 1H), 7.46 (dd, J = 7.8, 4.7 Hz, 1H), 7.31 (d, J = 1.2 Hz, 1H), 7.25 (d, J = 9.3 Hz, 1H), 7.10-7.08 (app m, 2H), 7.06 – 7.00 (m, 1H), 6.75 (dd, J = 9.3, 1.8 Hz, 1H), 2.30 (s, 3H), 2.22 (s, 3H). LCMS: rt 3.41 min (A), purity 99 %, MS (m/e) 300 (MH^+).

[0761] 6-(2-(3-Cyclopropylphenyl)pyridin-3-yl)-2-methylimidazo[1,2-a]pyridine (Compound 533). LCMS: rt 3.96 min (A), purity 99 %, MS (m/e) 326 (MH^+).

[0762] 2-Methyl-6-(2-(3-trifluoromethyl)phenyl)pyridin-3-yl)imidazo[1,2-a]pyridine (Compound 534). LCMS: rt 4.86 min (A), purity 99 %, MS (m/e) 354 (MH^+).

[0763] 2-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-1,5-naphthyridine (Compound 535). ^{1}H NMR (300 MHz, DMSO-d_6): δ 9.00 (dd, J = 4.2, 1.6 Hz, 1H), 8.80 (dd, J = 4.8, 1.6 Hz, 1H), 8.44 (dd, J = 8.9, 1.1 Hz, 1H), 8.35 – 8.17 (m, 2H), 7.81 (dd, J = 8.5, 4.2 Hz, 1H), 7.63 (dd, J = 7.8, 4.9 Hz, 1H), 7.40 (d, J = 8.7 Hz, 2H), 6.96 (d, J = 7.9 Hz, 2H), 2.28 – 1.96 (m, 3H). ^{19}F NMR (282 MHz, DMSO-d_6): δ -117.43 (dd, J = 13.7, 6.5 Hz), -117.43 (dd, J = 13.7, 6.5 Hz).LCMS: rt5.20 min (A), purity 99%, MS (m/e) 316 (MH^+).

[0764] 2-(2-(*m*-Tolyl)pyridin-3-yl)-1,5-naphthyridine (Compound 536). LCMS: rt4.78 min (A), purity 99 %, MS (m/e) 298 (MH^+).

[0765] 2-(2-(3-Cyclopropylphenyl)pyridin-3-yl)-1,5-naphthyridine (Compound 537). LCMS: rt5.30 min (A), purity 99 %, MS (m/e) 324 (MH^+).

[0766] 2-(2-(3-(Trifluoromethyl)phenyl)pyridin-3-yl)-1,5-naphthyridine (Compound 538). LCMS: rt 5.43 min (A), purity 99 %, MS (m/e) 352 (MH^+).

[0767] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)quinoxaline (Compound 539). LCMS: rt5.25 min (A), purity 99 %, MS (m/e) 316 (MH^+).

[0768] 6-(2-(*m*-Tolyl)pyridin-3-yl)quinoxaline (Compound 540). ^{1}H NMR (300 MHz, DMSO-d_6): δ 8.93 (s, 2H), 8.78 (dd, J = 4.9, 1.6 Hz, 1H), 8.17 (dd, J = 7.8, 1.6 Hz, 1H), 8.03 (d, J = 2.0 Hz, 1H), 7.96 (d, J = 8.7 Hz, 1H), 7.66 (dd, J = 7.8, 5.0 Hz, 1H), 7.53 (dd, J = 8.7, 2.0 Hz, 1H), 7.31 (d, J = 0.5 Hz, 1H), 7.16 – 7.03 (m, 2H), 6.98 (d, J = 7.2 Hz, 1H), 2.19 (s, 3H).LCMS: rt 4.86 min (A), purity 99 %, MS (m/e) 298 (MH^+).

[0769] 6-(2-(3-Cyclopropylphenyl)pyridin-3-yl)quinoxaline (Compound 541). LCMS: rt5.35 min (A), purity 99 %, MS (m/e) 324 (MH^+).

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[0770] 6-(2-(3-(Trifluoromethyl)phenyl)pyridin-3-yl)quinoxaline (Compound 542). LCMS: rt6.61 min (A), purity 99 %, MS (m/e) 351 (MH^+).

[0771] 4-(6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,2-a]pyridin-3-yl)morpholine (Compound 543). ^1H NMR (300 MHz, DMSO-d_6): δ 8.67 (dd, J = 4.7, 1.7 Hz, 1H), 7.98 (dd, J = 7.8, 1.7 Hz, 1H), 7.90 (dd, J = 1.7, 1.0 Hz, 1H), 7.50 (dd, J = 7.8, 4.7 Hz, 1H), 7.47 – 7.39 (m, 2H), 7.26 (s, 1H), 7.11 – 7.04 (m, 1H), 7.00 (d, J = 9.6 Hz, 1H), 6.98 – 6.92 (m, 1H), 3.68 (dd, J = 5.5, 3.7 Hz, 4H), 2.81 (dd, J = 5.5, 3.7 Hz, 4H), 2.17 (d, J = 1.6 Hz, 3H).^{19}F NMR (282 MHz, DMSO-d_6): δ -118.33 – -118.66 (m). LCMS: rt4.25 min (A), purity 99 %, MS (m/e) 389 (MH^+).

[0772] 4-(6-(2-(*m*-Tolyl)pyridin-3-yl)imidazo[1,2-a]pyridin-3-yl)morpholine (Compound 544). LCMS: rt3.85 min (A), purity 99 %, MS (m/e) 371 (MH^+).

[0773] 4-(6-(2-(3-Cyclopropylphenyl)pyridin-3-yl)imidazo[1,2-a]pyridin-3-yl)morpholine (Compound 545). LCMS: rt4.33 min (A), purity 99 %, MS (m/e) 397 (MH^+).

[0774] 4-(6-(2-(3-(Trifluoromethyl)phenyl)pyridin-3-yl)imidazo[1,2-a]pyridin-3-yl)morpholine (Compound 546). LCMS: rt5.15 min (A), purity 99 %, MS (m/e) 425 (MH^+).

[0775] 4-(6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)quinolin-4-yl)morpholine (Compound 547). LCMS: rt4.15 min (A), purity 99 %, MS (m/e) 400 (MH^+).

[0776] 4-(6-(2-(*m*-Tolyl)pyridin-3-yl)quinolin-4-yl)morpholine (Compound 548). ^1H NMR (300 MHz, DMSO-d_6): δ 8.69 (dd, J = 4.7, 1.7 Hz, 1H), 8.65 (d, J = 5.0 Hz, 1H), 7.99 (d, J = 8.6 Hz, 1H), 7.92 (dd, J = 7.8, 1.7 Hz, 1H), 7.75 (dd, J = 8.6, 2.0 Hz, 1H), 7.62 (d, J = 1.8 Hz, 1H), 7.50 (dd, J = 7.8, 4.7 Hz, 1H), 7.31 (s, 1H), 7.09 – 6.99 (m, 2H), 6.99 – 6.94 (app m, 1H), 6.91 (d, J = 5.1 Hz, 1H), 3.75 – 3.41 (m, 4H), 2.89 – 2.62 (m, 4H), 2.19 (s, 3H). LCMS: rt3.81 min (A), purity 99 %, MS (m/e) 381 (MH^+).

[0777] 4-(6-(2-(3-Cyclopropylphenyl)pyridin-3-yl)quinolin-4-yl)morpholine (Compound 549). LCMS: rt4.20 min (A), purity 99 %, MS (m/e) 408 (MH^+).

[0778] 4-(6-(2-(3-(Trifluoromethyl)phenyl)pyridin-3-yl)quinolin-4-yl)morpholine (Compound 550). LCMS: rt5.11 min (A), purity 99 %, MS (m/e) 436(MH^+).

[0779] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N,N-dimethylimidazo[1,2-a]pyridin-3-amine (Compound 551). LCMS: rt4.33 min (A), purity 99%, MS (m/e) 347 (MH^+).

[0780] N,N-Dimethyl-6-(2-(*m*-tolyl)pyridin-3-yl)imidazo[1,2-a]pyridin-3-amine (Compound 552). LCMS: rt3.93 min (A), purity 99%, MS (m/e) 329 (MH^+).

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[0781] 6-(2-(3-Cyclopropylphenyl)pyridin-3-yl)-N,N-dimethylimidazo[1,2-a]pyridin-3-amine (Compound 553). LCMS: rt4.45 min (A), purity 99%, MS (m/e) 355 (MH^+).

[0782] N,N-Dimethyl-6-(2-(3-(trifluoromethyl)phenyl)pyridin-3-yl)imidazo[1,2-a]pyridin-3-amine (Compound 554). LCMS: rt5.33 min (A), purity 99%, MS (m/e) 383(MH^+).

[0783] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-3-(trifluoromethyl)imidazo[1,2-a]pyridine (Compound 555). ^1H NMR (300 MHz, DMSO-d_6): δ 8.71 (dd, J = 4.8, 1.6 Hz, 1H), 8.29 (s, 1H), 8.17 (d, J = 1.0 Hz, 1H), 7.99 (dd, J = 7.8, 1.7 Hz, 1H), 7.71 (dd, J = 9.4, 0.8 Hz, 1H), 7.52 (dd, J = 7.8, 4.8 Hz, 1H), 7.42 (dd, J = 7.7, 1.6 Hz, 1H), 7.24 (dd, J = 9.4, 1.7 Hz, 1H), 7.06 (ddd, J = 7.6, 5.3, 2.3 Hz, 1H), 7.02 – 6.93 (m, 1H), 2.16 (d, J = 1.7 Hz, 3H).^{19}F NMR (282 MHz, DMSO-d_6): δ -60.01 (s), -118.29 (d, J = 5.5 Hz).LCMS: rt5.90 min (A), purity 99%, MS (m/e) 372 (MH^+).

[0784] 6-(2-(3-Cyclopropylphenyl)pyridin-3-yl)-3-(trifluoromethyl)imidazo[1,2-a]pyridine (Compound 556). LCMS: rt5.90 min (A), purity 99%, MS (m/e) 380 (MH^+).

[0785] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,2-a]pyridine-3-carbonitrile (Compound 557). LCMS: rt5.38 min (A), purity 99%, MS (m/e) 329 (MH^+).

[0786] 6-(2-(*m*-Tolyl)pyridin-3-yl)imidazo[1,2-a]pyridine-3-carbonitrile (Compound 558). ^1H NMR (300 MHz, DMSO-d_6): δ 8.72 (dd, J = 4.8, 1.7 Hz, 1H), 8.62 (d, J = 0.6 Hz, 1H), 8.44 (s, 1H), 8.05 (dd, J = 7.8, 1.6 Hz, 1H), 7.64 (d, J = 9.3 Hz, 1H), 7.52 (dd, J = 7.8, 4.8 Hz, 1H), 7.34 (s, 1H), 7.16 – 7.02 (m, 4H), 2.24 (s, 3H).LCMS: rt4.93 min (A), purity 99%, MS (m/e) 311 (MH^+).

[0787] 6-(2-(3-Cyclopropylphenyl)pyridin-3-yl)imidazo[1,2-a]pyridine-3-carbonitrile (Compound 559). LCMS: rt5.48 min (A), purity 99%, MS (m/e) 337 (MH^+).

[0788] 6-(2-(3-(Trifluoromethyl)phenyl)pyridin-3-yl)imidazo[1,2-a]pyridine-3-carbonitrile (Compound 560). LCMS: rt6.66 min (A), purity 99%, MS (m/e) 365 (MH^+).

[0789] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-3-(pyrrolidin-1-yl)imidazo[1,2-a]pyridine (Compound 561). LCMS: rt4.90 min (A), purity 99%, MS (m/e) 373 (MH^+).

[0790] 3-(Pyrrolidin-1-yl)-6-(2-(*m*-tolyl)pyridin-3-yl)imidazo[1,2-a]pyridine (Compound 562). LCMS: rt4.46 min (A), purity 99%, MS (m/e) 355 (MH^+).

[0791] 6-(2-(3-Cyclopropylphenyl)pyridin-3-yl)-3-(pyrrolidin-1-yl)imidazo[1,2-a]pyridine (Compound 563) . LCMS: rt4.93 min (A), purity 99%, MS (m/e) 381 (MH^+).

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[0792] 3-(Pyrrolidin-1-yl)-6-(2-(3-(trifluoromethyl)phenyl)pyridin-3-yl)imidazo[1,2-a]pyridine (Compound 564). ^{1}H NMR (300 MHz, DMSO-d_6): δ 8.73 (dd, J = 4.7, 1.6 Hz, 1H), 8.02 (dd, J = 7.8, 1.6 Hz, 1H), 7.96 – 7.87 (m, 1H), 7.81 (s, 1H), 7.63 (d, J = 7.7 Hz, 1H), 7.56 (dt, J = 7.8, 3.7 Hz, 2H), 7.47 (t, J = 7.7 Hz, 1H), 7.38 (dd, J = 9.3, 0.8 Hz, 1H), 7.15 (s, 1H), 6.91 (dd, J = 9.3, 1.8 Hz, 1H), 2.93 (t, J = 6.5 Hz, 4H), 1.96 – 1.52 (m, 4H). ^{19}F NMR (282 MHz, DMSO-d_6): δ -61.36 (s).LCMS: rt5.78 min (A), purity 99%, MS (m/e) 409 (MH^+).

[0793] (6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,2-a]pyridin-3-yl)methanol (Compound 565). ^{1}H NMR (300 MHz, DMSO-d_6): δ 8.69 (dd, J = 4.7, 1.6 Hz, 1H), 8.46 (s, 1H), 7.96 (dd, J = 7.7, 1.6 Hz, 1H), 7.54 (s, 1H), 7.53 – 7.48 (m, 1H), 7.44 (t, J = 8.6 Hz, 2H), 7.11 – 7.02 (m, 1H), 6.98 (t, J = 9.1 Hz, 1H), 6.84 (dd, J = 9.3, 1.6 Hz, 1H), 5.26 (s, 1H), 4.78 (s, 2H), 2.17 (s, 3H). ^{19}F NMR (282 MHz, DMSO-d_6): δ -118.29 (s).LCMS: rt3.36 min (A), purity 99%, MS (m/e) 334 (MH^+).

[0794] (6-(2-(*m*-Tolyl)pyridin-3-yl)imidazo[1,2-a]pyridin-3-yl)methanol (Compound 566). LCMS: rt2.55 min (A), purity 99%, MS (m/e) 316 (MH^+).

[0795] (6-(2-(3-Cyclopropylphenyl)pyridin-3-yl)imidazo[1,2-a]pyridin-3-yl)methanol (Compound 567). LCMS: rt3.53 min (A), purity 99%, MS (m/e) 342 (MH^+).

[0796] (6-(2-(3-(Trifluoromethyl)phenyl)pyridin-3-yl)imidazo[1,2-a]pyridin-3-yl)methanol (Compound 568). LCMS: rt4.38 min (A), purity 99%, MS (m/e) 370 (MH^+).

[0797] 4-((6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,2-a]pyridin-3-yl)methyl)morpholine (Compound 569). ^{1}H NMR (300 MHz, DMSO-d_6): δ 8.69 (dd, J = 4.7, 1.7 Hz, 1H), 8.33 (dd, J = 1.7, 0.9 Hz, 1H), 7.90 (dd, J = 7.8, 1.7 Hz, 1H), 7.55 – 7.46 (m, 3H), 7.43 (dd, J = 7.6, 1.6 Hz, 1H), 7.12 – 7.04 (m, 1H), 7.04 – 6.93 (m, 2H), 3.72 (s, 2H), 3.49 – 3.36 (m, 4H), 2.33 – 2.18 (m, 4H), 2.14 (s, 3H).^{19}F NMR (282 MHz, DMSO-d_6): δ -118.39 (s, 1H).LCMS: rt3.38 min (A), purity 99%, MS (m/e) 403 (MH^+).

[0798] 4-((6-(2-(*m*-Tolyl)pyridin-3-yl)imidazo[1,2-a]pyridin-3-yl)methyl)morpholine (Compound 570). LCMS: rt2.65 min (A), purity 99%, MS (m/e) 385 (MH^+).

[0799] 4-((6-(2-(3-Cyclopropylphenyl)pyridin-3-yl)imidazo[1,2-a]pyridin-3-yl)methyl)morpholine (Compound 571). LCMS: rt3.53 min (A), purity 99%, MS (m/e) 411 (MH^+).

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[0800] 4-((6-(2-(3-(Trifluoromethyl)phenyl)pyridin-3-yl)imidazo[1,2-a]pyridin-3-yl)methyl)morpholine (Compound 572). LCMS: rt4.15 min (A), purity 99%, MS (m/e) 439 (MH^+).

[0801] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(3,4,5-trimethoxyphenyl)imidazo[1,2-a]pyridine-3-carboxamide (Compound 573). ^1H NMR (300 MHz, DMSO-d_6): δ 10.25 (s, 1H), 9.54 (s, 1H), 8.75 (dd, J = 4.8, 1.6 Hz, 1H), 8.67 (s, 1H), 8.05 (dd, J = 7.8, 1.6 Hz, 1H), 7.70 (d, J = 9.4 Hz, 1H), 7.59 (dd, J = 7.8, 4.8 Hz, 1H), 7.47 (dd, J = 7.5, 1.6 Hz, 1H), 7.20 (dd, J = 9.3, 1.8 Hz, 1H), 7.16 (s, 2H), 7.14 – 7.06 (m, 1H) 6.93 (m, J = 9.1Hz, 1H), 3.77 (s, 6H), 3.63 (s, 3H), 2.17 (s, 3H). ^{19}F NMR (282 MHz, DMSO-d_6): δ -117.82 (s, 1H).LCMS: rt5.53 min (A), purity 99%, MS (m/e) 513 (MH^+).

[0802] 6-(2-(*m*-Tolyl)pyridin-3-yl)-N-(3,4,5-trimethoxyphenyl)imidazo[1,2-a]pyridine-3-carboxamide (Compound 574). LCMS: rt5.18 min (A), purity 99%, MS (m/e) 495 (MH^+).

[0803] 6-(2-(3-Cyclopropylphenyl)pyridin-3-yl)-N-(3,4,5-trimethoxyphenyl)imidazo[1,2-a]pyridine-3-carboxamide (Compound 575). LCMS: rt5.55 min (A), purity 99%, MS (m/e) 521 (MH^+).

[0804] 6-(2-(3-(Trifluoromethyl)phenyl)pyridin-3-yl)-N-(3,4,5-trimethoxyphenyl)imidazo[1,2-a]pyridine-3-carboxamide (Compound 576). LCMS: rt 6.26 min (A), purity 99%, MS (m/e) 549 (MH^+).

[0805] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-3-(3,4,5-trimethoxyphenyl)imidazo[1,2-a]pyridine (Compound 577). ^1H NMR (300 MHz, DMSO-d_6): δ 8.69 (dd, J = 4.7, 1.5 Hz, 1H), 8.61 (s, 1H), 8.04 (d, J = 9.3, 1.6 Hz, 1H), 8.01 (s, 1H), 7.68 (d, J = 9.3 Hz, 1H), 7.56 – 7.39 (m, 2H), 7.17 (d, J = 9.4 Hz, 1H), 7.09 (ddd, J = 7.6, 5.1, 2.3 Hz, 1H), 7.01 – 6.87 (app m, 3H), 3.82 (s, 6H), 3.76 – 3.67 (m, 3H), 2.17 (s, 3H). ^{19}F NMR (282 MHz, DMSO-d_6): δ -118.31 (s, 1H).LCMS: rt5.05 min (A), purity 99%, MS (m/e) 470 (MH^+). 6-(2-(*m*-Tolyl)pyridin-3-yl)-3-(3,4,5-trimethoxyphenyl)imidazo[1,2-a]pyridine (Compound 578). LCMS: rt4.68 min (A), purity 99%, MS (m/e) 452 (MH^+).

[0806] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,2-a]pyridine-3-carboxylic acid (Compound 579). ^1H NMR (300 MHz, DMSO-d_6) δ 13.01 (br s, 1H), 9.21 (dd, J = 1.9, 1.0 Hz, 1H), 8.71 (dd, J = 4.8, 1.7 Hz, 1H), 8.23 (s, 1H), 7.97 (dd, J = 7.8, 1.7 Hz, 1H), 7.65 (dd, J = 9.3, 1.0 Hz, 1H), 7.52 (dd, J = 7.7, 4.8 Hz, 1H), 7.43 (dd, J = 7.7, 2.3 Hz, 1H), 7.14 (dd, J = 9.3, 1.9

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Hz, 1H), 7.06 (ddd, J = 7.8, 5.1, 2.3 Hz, 1H), 6.96 (dd, J = 9.7, 8.4 Hz, 1H), 2.16 (s, 3H).LCMS: rt3.70 min (A), purity 99%,MS (m/e) 348 (MH^+).

[0807] (6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,2-a]pyridin-3-yl)(morpholino)methanone (Compound 580). ^1H NMR (300 MHz, DMSO-d_6): δ 8.89 – 8.83 (app m, 1H), 8.70 (dd, J = 4.8, 1.2 Hz, 1H), 8.03 (d, J = 0.5 Hz, 1H), 7.94 (dd, J = 7.8, 1.2 Hz, 1H), 7.57 (d, J = 9.3 Hz, 1H), 7.51 (dd, J = 7.6, 5.0 Hz, 1H), 7.44 (d, J = 7.8 Hz, 1H), 7.13 – 7.04 (m, 1H), 7.02- 6.95 (m, 2H), 3.71-3.69 (m, 4H), 3.65-3.62 (m, 4H), 2.17 (s, 3H).^{19}F NMR (282 MHz, DMSO-d_6): δ -118.29 (s, 1H).LCMS: rt 4.58 min (A), purity 99%, MS (m/e) 417 (MH^+).

[0808] (6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,2-a]pyridin-3-yl)(4-methylpiperazin-1-yl)methanone (Compound 581). LCMS: rt3.41 min (A), purity 99%, MS (m/e) 430 (MH^+).

[0809] N-(3,4-Dimethoxyphenyl)-6-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,2-a]pyridine-3-carboxamide (Compound 582). ^1H NMR (300 MHz, DMSO-d_6): δ 10.32 (s, 1H), 9.58 (dd, J = 1.7, 0.9 Hz, 1H), 8.77 (dd, J = 4.9, 1.6 Hz, 1H), 8.73 (s, 1H), 8.07 (dd, J = 7.8, 1.6 Hz, 1H), 7.76 (dd, J = 9.3, 0.9 Hz, 1H), 7.61 (dd, J = 7.8, 4.9 Hz, 1H), 7.47 (dd, J = 7.5, 1.5 Hz, 1H), 7.41 (d, J = 2.4 Hz, 1H), 7.28 (ddd, J = 10.3, 9.1, 2.1 Hz, 2H), 7.10 (dd, J = 5.2, 2.5 Hz, 1H), 6.97 (dd, J = 17.6, 9.1 Hz, 2H), 3.75 (s, 3H), 3.73 (s, 3H), 2.17 (s, 3H). ^{19}F NMR (282 MHz, DMSO-d_6): δ -118.32 (s, 1H).LCMS: rt5.23 min (A), purity 99%, MS (m/e) 483 (MH^+).

[0810] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-propylimidazo[1,2-a]pyridine-3-carboxamide (Compound 583). LCMS: rt4.51 min (B), purity 99%, MS (m/e) 389 (MH^+).

[0811] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-methylimidazo[1,2-a]pyridine-3-carboxamide (Compound 584). ^1H NMR (300 MHz, DMSO-d_6): δ 9.48 (s, 1H), 8.71 (dd, J = 4.8, 1.6 Hz, 1H), 8.48 (app qt, J = 4.7 Hz, 1H), 8.26 (s, 1H), 7.93 (dd, J = 7.8, 1.7 Hz, 1H), 7.55 (d, J = 9.3 Hz, 1H), 7.51 (dd, J = 7.8, 4.7 Hz, 1H), 7.42 (d, J = 5.4 Hz, 1H), 7.11 – 7.04 (m, 1H), 7.04 – 6.91 (m, 2H), 2.79 (d, J = 4.6 Hz, 3H), 2.15 (s, 3H). ^{19}F NMR (282 MHz, DMSO-d_6): δ -118.32 (s, 1H). LCMS: rt3.57 min (B), purity 99%, MS (m/e) 361 (MH^+).

[0812] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(2-morpholinoethyl)imidazo[1,2-a]pyridine-3-carboxamide (Compound 585). LCMS: rt2.42 min (B), purity 99%, MS (m/e) 460 (MH^+).

[0813] 1-(6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,2-a]pyridin-3-yl)ethan-1-one (Compound 586). LCMS: rt4.68 min (A), purity 99%, MS (m/e) 346 (MH^+).

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[0814] 1-(6-(2-(*m*-Tolyl)pyridin-3-yl)imidazo[1,2-a]pyridin-3-yl)ethan-1-one (Compound 587). LCMS: rt4.26 min (A), purity 99%, MS (m/e) 328 (MH^+).

[0815] 1-(6-(2-(3-Cyclopropylphenyl)pyridin-3-yl)imidazo[1,2-a]pyridin-3-yl)ethan-1-one (Compound 588). ^1H NMR (300 MHz, DMSO-d_6): δ 9.44 (dd, J = 1.7, 0.8 Hz, 1H), 8.72 (dd, J = 4.8, 1.6 Hz, 1H), 8.60 (s, 1H), 7.94 (dd, J = 7.7, 1.7 Hz, 1H), 7.69 (dd, J = 9.2, 0.7 Hz, 1H), 7.51 (dd, J = 7.7, 4.8 Hz, 1H), 7.23 (dd, J = 9.2, 1.8 Hz, 1H), 7.16 – 7.04 (m, 2H), 7.03 – 6.96 (m, 2H), 2.53 (s, 3H), 1.87 – 1.66 (m, 1H), 0.89 – 0.66 (m, 2H), 0.44 – 0.20 (m, 2H).LCMS: rt 4.81 min (A), purity 99%, MS (m/e) 354 (MH^+).

[0817] 1-(6-(2-(3-(Trifluoromethyl)phenyl)pyridin-3-yl)imidazo[1,2-a]pyridin-3-yl)ethan-1-one (Compound 589). LCMS: rt 5.71 min (A), purity 99%, MS (m/e) 382 (MH^+).

[0818] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(2-(4-methylpiperazin-1-yl)ethyl)imidazo[1,2-a]pyridine-3-carboxamide (Compound 590). LCMS: rt2.89 min (B), purity 99%, MS (m/e) 473 (MH^+).

[0819] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(3-(4-methylpiperazin-1-yl)propyl)imidazo[1,2-a]pyridine-3-carboxamide (Compound 591). LCMS: rt 2.76 min (B), purity 99%, MS (m/e) 487 (MH^+).

[0820] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(1-methylpiperidin-4-yl)imidazo[1,2-a]pyridine-3-carboxamide (Compound 592). ^1H NMR (300 MHz, DMSO-d_6): δ 9.54 (dd, J = 1.8, 0.9 Hz, 1H), 8.78 (dd, J = 4.8, 1.7 Hz, 1H), 8.43 (s, 1H), 8.34 (d, J = 7.6 Hz, 1H), 8.01 (dd, J = 7.8, 1.7 Hz, 1H), 7.69 – 7.54 (m, 2H), 7.50 (dd, J = 7.6, 2.0 Hz, 1H), 7.19 – 6.99 (m, 3H), 3.95 – 3.70 (m, 1H), 2.85 (d, J = 11.7 Hz, 2H), 2.24 (s, 6H), 2.01 (t, J = 10.7 Hz, 2H), 1.85 (d, J = 9.3 Hz, 2H), 1.76 – 1.51 (m, 2H).LCMS: rt 3.03 min (B), purity 99%, MS (m/e) 444 (MH^+).

[0821] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(tetrahydro-2H-pyran-4-yl)imidazo[1,2-a]pyridine-3-carboxamide (Compound 593). LCMS: rt 4.78 min (B), purity 99%, MS (m/e) 431 (MH^+).

[0822] 7-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,5-a]pyridine (Compound 594). ^1H NMR (300 MHz, DMSO-d_6): δ 8.65 (dd, J = 4.7, 1.6 Hz, 1H), 8.31 (s, 1H), 8.17 (dd, J = 7.3, 0.9 Hz, 1H), 7.89 (dd, J = 7.6, 1.4 Hz, 1H), 7.55 (s, 1H), 7.46 (dd, J = 7.3, 4.7 Hz, 2H), 7.36 (s, 1H), 7.11 (ddd, J = 7.8, 5.0, 2.5 Hz, 1H), 7.06 – 6.94 (m, 1H), 6.20 (d, J = 7.3 Hz, 1H), 2.18 (s, 4H).LCMS: rt3.53 min (A), purity 99%, MS (m/e) 304 (MH^+).

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[0823] 7-(2-(*m*-Tolyl)pyridin-3-yl)imidazo[1,5-a]pyridine (Compound 595). LCMS: rt3.01 min (A), purity 99%, MS (m/e) 286 (MH^+).

[0824] 7-(2-(3-Cyclopropylphenyl)pyridin-3-yl)imidazo[1,5-a]pyridine (Compound 596). LCMS: rt3.73 min (A), purity 99%, MS (m/e) 312 (MH^+).

[0825] 7-(2-(3-(Trifluoromethyl)phenyl)pyridin-3-yl)imidazo[1,5-a]pyridine (Compound 597). LCMS: rt4.66 min (A), purity 99%, MS (m/e) 340 (MH^+).

[0826] *rac*-1-(6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,2-a]pyridin-3-yl)ethan-1-ol (Compound 598). ^{1}H NMR (300 MHz, DMSO-d_6): δ 8.69 (dd, J = 4.7, 1.7 Hz, 1H), 8.40 (d, J = 0.9 Hz, 1H), 7.95 (dd, J = 7.8, 1.7 Hz, 1H), 7.51 (dd, J = 7.8, 4.8 Hz, 1H), 7.47 – 7.37 (m, 3H), 7.12 – 7.02 (m, 1H), 6.98 (t, J = 9.1 Hz, 1H), 6.86 (dd, J = 9.3, 1.8 Hz, 1H), 5.33 (br s, 1H), 5.07 (app qt, J = 6.5 Hz, 1H), 2.14 (s, 3H), 1.50 (d, J = 6.5 Hz, 3H).^{19}F NMR (282 MHz, DMSO-d_6): δ -118.42 (s).LCMS: rt3.78 min (A), purity 99%, MS (m/e) 348 (MH^+).

[0827] 2-(6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,2-a]pyridin-3-yl)propan-2-ol (Compound 599). LCMS: rt 4.03 min (A), purity 99%, MS (m/e) 362 (MH^+).

[0828] 6-(2-(3-(Methyl-d_3)phenyl)pyridin-3-yl)imidazo[1,2-a]pyridine (Compound 600). LCMS: rt3.35 min (A), purity 99%, MS (m/e) 289 (MH^+).

[0829] 6-(2-(3-(Methyl-d_3)phenyl)pyridin-3-yl)imidazo[1,2-a]pyridine-3-carbonitrile (Compound 601). ^{1}H NMR (300 MHz, DMSO-d_6): δ 8.72 (dd, J = 4.8, 1.7 Hz, 1H), 8.62 (dd, J = 1.7, 1.0 Hz, 1H), 8.44 (s, 1H), 8.05 (dd, J = 7.8, 1.7 Hz, 1H), 7.64 (dd, J = 9.3, 0.9 Hz, 1H), 7.52 (dd, J = 7.8, 4.8 Hz, 1H), 7.34 (dd, J = 2.6, 1.4 Hz, 1H), 7.16 – 7.01 (m, 4H). LCMS: rt4.96 min (A), purity 99%, MS (m/e) 314 (MH^+).

[0830] 5-(2-(3-(Methyl-*d*3)phenyl)pyridin-3-yl)pyrazolo[1,5-a]pyrimidine (Compound 602). LCMS: rt5.00 min (A), purity 99%, MS (m/e) 290(MH^+).

[0831] 6-(2-(3-(Methyl-d_3)phenyl)pyridin-3-yl)benzo[d]thiazole (Compound 603). LCMS: rt5.15 min (A), purity 99%, MS (m/e) 306(MH^+).

[0832] 7-(2-(3-(Methyl-d_3)phenyl)pyridin-3-yl)imidazo[1,5-a]pyridine (Compound 604). LCMS: rt3.35 min (A), purity 99%, MS (m/e) 289 (MH^+).

[0833] 6-(2-(3-(Methyl-d_3)phenyl)pyridin-3-yl)quinoxaline (Compound 605). ^{1}H NMR (300 MHz, DMSO-d_6): δ 8.87 (s, 2H), 8.67 (dd, J = 4.7, 1.6 Hz, 1H), 8.00 – 7.93 (m, 2H), 7.89 (d, J = 8.7 Hz, 1H), 7.53 – 7.43 (m, 2H), 7.24 (s, 1H), 6.99 (dt, J = 7.5, 4.1 Hz, 2H), 6.90 (ddd, J = 5.0, 2.7, 1.5 Hz, 1H).LCMS: rt4.83 min (A), purity 99%, MS (m/e) 301 (MH^+).

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[0834] 1-(6-(2-(3-(Methyl-d_3)phenyl)pyridin-3-yl)imidazo[1,2-a]pyridin-3-yl)ethan-1-one (Compound 606). LCMS: rt 4.25 min (A), purity 99%, MS (m/e) 331 (MH^+).

[0835] N-(2-(Dimethylamino)ethyl)-6-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,2-a]pyridine-3-carboxamide (Compound 607). LCMS: rt2.62 min (B), purity 99%, MS (m/e) 418 (MH^+).

[0836] N-(3-(Dimethylamino)propyl)-6-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,2-a]pyridine-3-carboxamide (Compound 608). LCMS: rt2.62 min (B), purity 99%, MS (m/e) 432 (MH^+).

[0837] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(3-morpholinopropyl)imidazo[1,2-a]pyridine-3-carboxamide (Compound 609). LCMS: rt2.64 min (B), purity 99%, MS (m/e) 474 (MH^+).

[0838] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(2-(pyrrolidin-1-yl)ethyl)imidazo[1,2-a]pyridine-3-carboxamide (Compound 610). LCMS: rt2.72 min (B), purity 99%, MS (m/e) 444 (MH^+).

[0839] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(3-(piperidin-1-yl)propyl)imidazo[1,2-a]pyridine-3-carboxamide (Compound 611). LCMS: rt2.78 min (B), purity 99%, MS (m/e) 472 (MH^+).

[0840] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(3-(2-oxopyrrolidin-1-yl)propyl)imidazo[1,2-a]pyridine-3-carboxamide (Compound 612). ^{1}H NMR (300 MHz, DMSO-d_6): δ 9.47 (s, 1H), 8.71 (dd, J = 4.7, 1.5 Hz, 1H), 8.51 (t, J = 4.9 Hz, 1H), 8.31 (s, 1H), 7.95 (d, J = 7.8 Hz, 1H), 7.57 (app d, J = 9.5 Hz, 1H), 7.52 (dd, J = 7.7, 4.8 Hz, 1H), 7.43 (d, J = 7.9 Hz, 1H), 7.05 (d, J = 9.2 Hz, 2H), 6.97 (app dd, J = 17.6, 9.1 Hz, 1H), 3.34 (t, J = 6.8 Hz, 2H), 3.23 (t, J = 6.8 Hz, 4H), 2.21 (t, J = 8.1 Hz, 2H), 2.16 (s, 3H), 1.99 – 1.82 (m, 2H), 1.80 – 1.64 (m, 2H).^{19}F NMR (282 MHz, DMSO-d_6): δ -118.24 (s). LCMS: rt2.94 min (B), purity 99%, MS (m/e) 472 (MH^+).

[0841] N-(2,3-Dihydroxypropyl)-6-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,2-a]pyridine-3-carboxamide (Compound 613). LCMS: rt 4.15 min (A), purity 99%, MS (m/e) 421 (MH^+).

[0842] (*S*)-2-((*tert*-Butoxycarbonyl)amino)-3-(1H-indol-3-yl)propyl 6-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,2-a]pyridine-3-carboxylate (Compound 614). ^{1}H NMR (300 MHz, DMSO-d_6): δ 10.81 (s, 1H), 9.13 (s, 1H), 8.71 (dd, J = 4.7, 1.6 Hz, 1H), 8.33 (s, 1H),

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7.97 (dd, J = 7.7, 1.5 Hz, 1H), 7.66 (d, J = 9.3 Hz, 1H), 7.57 – 7.46 (m, 2H), 7.42 (dd, J = 8.1, 1.6 Hz, 1H), 7.31 (d, J = 8.0 Hz, 1H), 7.13 (app dd, J = 4.1, 1.9 Hz, 2H), 7.04 (app t, J = 7.7 Hz, 3H), 6.99 – 6.90 (m, 2H), 4.29 (dd, J = 10.3, 4.9 Hz, 1H), 4.19 (app qt, J = 6.9 Hz, 1H), 2.90 (t, J = 8.7 Hz, 2H), 2.14 (s, 3H), 1.28 (s, 9H).^{19}F NMR (282 MHz, DMSO-d_6): δ -118.18 (s).LCMS: rt7.10 min (A), purity 99%, MS (m/e) 620 (MH^+).

[0843] (*S*)-2-Amino-3-(1H-indol-3-yl)propyl 6-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,2-a]pyridine-3-carboxylate formic acid salt (Compound 615). LCMS: rt5.10 min (A), purity 99%, MS (m/e) 520 (MH^+-HCOOH).

[0844] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(3-sulfamoylphenyl)imidazo[1,2-a]pyridine-3-carboxamide (Compound 616). LCMS: rt 4.05 min (A), purity 99%, MS (m/e) 502 (MH^+).

[0845] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(4-sulfamoylphenyl)imidazo[1,2-a]pyridine-3-carboxamide (Compound 617). LCMS: rt 4.71 min (A), purity 99%, MS (m/e) 502 (MH^+).

[0846] N-(4-Carbamoylphenyl)-6-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,2-a]pyridine-3-carboxamide (Compound 618). LCMS: rt 4.48 min (A), purity 99%, MS (m/e) 466 (MH^+).

[0847] N-(3-Carbamoylphenyl)-6-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,2-a]pyridine-3-carboxamide (Compound 619). ^{1}H NMR (300 MHz, DMSO-d_6): δ 10.59 (s, 1H), 9.73 – 9.51 (m, 1H), 8.82 (s, 1H), 8.80–8.72 (m, 1H), 8.24 (s, 1H), 8.09 (d, J = 7.8 Hz, 1H), 7.95 – 7.89 (m, 1H), 7.76 (d, J = 9.3 Hz, 1H), 7.63 (dd, J = 7.9, 4.7 Hz, 2H), 7.45 (dd, J = 16.4, 8.5 Hz, 2H), 7.33 – 7.24 (m, 1H), 7.12 (dd, J = 8.0, 5.4 Hz, 1H), 6.99 (app t, J = 9.3 Hz, 1H), 6.95 (br s, 2H), 2.18 (s, 3H).LCMS: rt 4.56 min (A), purity 96%, MS (m/e) 466(MH^+).

[0848] (*R*)-2-Amino-3-(1H-indol-3-yl)propyl 6-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,2-a]pyridine-3-carboxylateformic acid salt (Compound 620). ^{1}H NMR (300 MHz, DMSO-d_6): δ 10.85 (s, 1H), 9.16 (s, 1H), 8.71 (dd, J = 4.7, 1.7 Hz, 1H), 7.96 (dd, J = 7.6, 1.7 Hz, 1H), 7.67 (d, J = 8.9 Hz, 1H), 7.57 – 7.45 (m, 2H), 7.42 (d, J = 8.1 Hz, 1H), 7.32 (d, J = 8.0 Hz, 1H), 7.22 – 7.10 (m, 2H), 7.12 – 6.98 (m, 2H), 7.00 – 6.86 (m, 2H), 4.40 – 3.91 (m, 2H), 3.38-3.33 (m, 1H), 3.02 – 2.68 (m, 2H), 2.15 (s, 3H).LCMS: rt 5.10 min (A), purity 98%, MS (m/e) 520 (MH^+-HCOOH).

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[0849] 6-(2-(3-Fluorophenyl)pyridin-3-yl)imidazo[1,2-a]pyridine-3-carbonitrile (Compound 621). LCMS: rt5.64 min (A), purity 99%, MS (m/e) 315 (MH^+).

[0850] 6-(2-(3,4-Difluorophenyl)pyridin-3-yl)imidazo[1,2-a]pyridine-3-carbonitrile (Compound 622). LCMS: rt6.19 min (A), purity 99%, MS (m/e) 333 (MH^+)

[0851] 6-(2-(2-Fluorophenyl)pyridin-3-yl)imidazo[1,2-a]pyridine-3-carbonitrile (Compound 623). LCMS: rt5.55 min (A), purity 99%, MS (m/e) 333 (MH^+).

[0852] 6-(2-(2-Fluoro-5-methylphenyl)pyridin-3-yl)imidazo[1,2-a]pyridine-3-carbonitrile (Compound 624). LCMS: rt6.02 min (A), purity 99%, MS (m/e) 333 (MH^+).

[0853] 6-(2-(4-Fluorophenyl)pyridin-3-yl)imidazo[1,2-a]pyridine-3-carbonitrile (Compound 625). LCMS: rt5.24 min (A), purity 99%, MS (m/e) 333 (MH^+).

[0854] 6-(2-(3-Methoxyphenyl)pyridin-3-yl)imidazo[1,2-a]pyridine-3-carbonitrile (Compound 626). LCMS: rt4.97 min (A), purity 99%, MS (m/e) 333 (MH^+).

[0855] 6-(2-(3-Cyanophenyl)pyridin-3-yl)imidazo[1,2-a]pyridine-3-carbonitrile (Compound 627). LCMS: rt5.77 min (A), purity 99%, MS (m/e) 333 (MH^+).

[0856] 6-(2-(3-Cyano-4-fluorophenyl)pyridin-3-yl)imidazo[1,2-a]pyridine-3-carbonitrile (Compound 628). LCMS: rt6.29 min (A), purity 99%, MS (m/e) 333 (MH^+).

[0857] (*R*)-2-Amino-3-(1H-indol-3-yl)propyl 5-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)-1H-indazole-1-carboxylate TFA salt (Compound 628). LCMS: rt5.15 min (A), purity 95%, MS (m/e) 520 (MH^+-TFA).

[0858] 5-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(3,4,5-trimethoxyphenyl)-1H-indazole-1-carboxamide (Compound 630). LCMS: rt6.71 min (A), purity 98%, MS (m/e) 513 (MH^+).

[0859] N-(3,4-Dimethoxybenzyl)-5-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)-1H-indazole-1-carboxamide (Compound 631). ^{1}H NMR (300 MHz, DMSO-d_6): δ 8.92 (t, J = 6.3 Hz, 1H), 8.66 (dd, J = 4.7, 1.7 Hz, 1H), 8.37 (d, J = 0.7 Hz, 1H), 8.13 (d, J = 8.7 Hz, 1H), 7.86 (dd, J = 7.7, 1.7 Hz, 1H), 7.73 (s, 1H), 7.47 (dd, J = 7.8, 4.7 Hz, 1H), 7.37 (d, J = 8.0 Hz, 1H), 7.28 (dd, J = 8.7, 1.7 Hz, 1H), 7.01 (s, 1H), 6.95 – 6.84 (m, 4H), 4.39 (d, J = 6.3 Hz, 2H), 3.72 (s, 3H), 3.70 (s, 3H), 2.12 (s, 3H).^{19}F NMR (282 MHz, DMSO-d_6): δ -118.67 (d, J = 7.8 Hz).LCMS: rt 7.05 min (A), purity 99%, MS (m/e) 497 (MH^+).

[0860] N-(2-(1H-Indol-3-yl)ethyl)-5-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)-1H-indazole-1-carboxamide (Compound 632). LCMS: rt 6.48 min (A), purity 99%, MS (m/e) 490 (MH^+)

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[0861] N-(2-(Dimethylamino)ethyl)-5-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)-1H-indazole-1-carboxamide (Compound 633). LCMS: rt 4.16 min (A), purity 98%, MS (m/e) 418 (MH^+).

[0862] N-(3-(Dimethylamino)propyl)-5-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)-1H-indazole-1-carboxamide (Compound 634). LCMS: rt 5.30 min (A), purity 98%, MS (m/e) 431 (MH^+)

[0863] 5-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(3-(2-oxopyrrolidin-1-yl)propyl)-1H-indazole-1-carboxamide (Compound 635). LCMS: rt 5.30 min (A), purity 97%, MS (m/e) 472 (MH^+).

[0864] 5-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(2-(pyrrolidin-1-yl)ethyl)-1H-indazole-1-carboxamide (Compound 636). LCMS: rt 4.33 min (A), purity 99%, MS (m/e) 444 (MH^+).

[0865] 5-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(3-(piperidin-1-yl)propyl)-1H-indazole-1-carboxamide (Compound 637). LCMS: rt 4.53 min (A), purity 98%, MS (m/e) 472 (MH^+).

[0866] 5-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(3-morpholinopropyl)-1H-indazole-1-carboxamide (Compound 638). LCMS: rt4.21 min (A), purity 99%, MS (m/e) 474 (MH^+).

[0867] N-(2-Aminoethyl)-5-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)-1H-indazole-1-carboxamide (Compound 639). LCMS: rt 4.00 min (A), purity 99%, MS (m/e) 390 (MH^+).

[0868] N-(3-Aminopropyl)-5-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)-1H-indazole-1-carboxamide (Compound 640). LCMS: rt 3.41 min (A), purity 99%, MS (m/e) 404 (MH^+).

[0869] (S)-2-((*tert*-Butoxycarbonyl)amino)-3-(1H-indol-3-yl)propyl 6-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,5-a]pyridine-3-carboxylate (Compound 641). ^1H NMR (300 MHz, DMSO-d_6): δ 10.82 (s, 1H), 9.17 (s, 1H), 8.71 (d, J = 4.7 Hz, 1H), 7.97 (d, J = 7.8 Hz, 1H), 7.70 (d, J = 9.8 Hz, 2H), 7.60 – 7.38 (m, 3H), 7.32 (d, J = 8.1 Hz, 1H), 7.21 – 6.86 (m, 6H), 6.75 (d, J = 9.3 Hz, 1H), 4.45 – 4.29 (m, 1H), 4.23 (t, J = 9.6 Hz, 1H), 4.13-4.02 (m, 1H), 2.92 (d, J = 6.4 Hz, 2H), 2.15 (s, 3H), 1.27 (s, 9H).LCMS: rt 7.41 min (A), purity 96%, MS (m/e) 620 (MH^+).

[0870] (R)-2-((*tert*-Butoxycarbonyl)amino)-3-(1H-indol-3-yl)propyl 6-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,5-a]pyridine-3-carboxylate (Compound 642). LCMS: rt7.41 min (A), purity 96%, MS (m/e) 620 (MH^+).

[0871] (S)-2-((*tert*-Butoxycarbonyl)amino)-3-(1H-indol-3-yl)propyl 7-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,5-a]pyridine-3-carboxylate (Compound 643). ^1H NMR (300 MHz, DMSO-d_6): δ 10.82 (s, 1H), 8.96 (d, J = 7.4 Hz, 1H), 8.80 – 8.63 (m, 1H), 7.94 (d, J = 7.8 Hz, 1H), 7.86 (s, 1H), 7.71 (s, 1H), 7.66 – 7.40 (m, 3H), 7.31 (d, J = 7.8 Hz, 1H), 7.15 (s,

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1H), 7.00 (ddd, J = 12.4, 7.2, 3.1 Hz, 5H), 6.67 (d, J = 7.4 Hz, 1H), 4.36 (dd, J = 10.7, 4.3 Hz, 1H), 4.28 – 4.13 (m, 1H), 4.13 – 4.01 (m, 1H), 2.91 (d, J = 6.3 Hz, 2H), 2.17 (s, 3H), 1.28 (s, 9H). ^{19}F NMR (282 MHz, DMSO-d_6): δ -118.08 (s). LCMS: rt 7.36 min (A), purity 99%, MS (m/e) 620 (MH^+).

[0872] (*R*)-2-((*tert*-Butoxycarbonyl)amino)-3-(1H-indol-3-yl)propyl 7-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,5-a]pyridine-3-carboxylate (Compound 644). LCMS: rt 7.36 min (A), purity 99%, MS (m/e) 620 (MH^+).

[0873] (*S*)-2-Amino-3-(1H-indol-3-yl)propyl 6-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,5-a]pyridine-3-carboxylate formic acid salt (Compound 645). LCMS: rt 5.45 min (A), purity 99%, MS (m/e) 520 (MH^+-HCOOH).

[0874] (*R*)-2-Amino-3-(1H-indol-3-yl)propyl 6-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,5-a]pyridine-3-carboxylate formic acid salt (Compound 646). LCMS: rt 5.45 min (A), purity 99%, MS (m/e) 520 (MH^+-HCOOH).

[0875] (*S*)-2-Amino-3-(1H-indol-3-yl)propyl 7-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,5-a]pyridine-3-carboxylate formic acid salt (Compound 647). LCMS: rt 5.41 min (A), purity 99%, MS (m/e) 520(MH^+-HCOOH).

[0876] (*R*)-2-Amino-3-(1H-indol-3-yl)propyl 7-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,5-a]pyridine-3-carboxylateformic acid salt (Compound 648). LCMS: rt 5.41 min (A), purity 99%, MS (m/e) 520(MH^+-HCOOH).

[0877] N-((*1R,2R*)-2-Aminocyclohexyl)-5-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)-1H-indazole-1-carboxamide hydrochloride salt (Compound 649). ^{1}H NMR (300 MHz, DMSO-d_6): δ 8.82 (d, J = 5.2 Hz, 1H), 8.71 (s, 1H), 8.49 (d, J = 9.0 Hz, 1H), 8.42 (d, J = 0.7 Hz, 1H), 8.32 (d, J = 7.8 Hz, 1H), 8.16 (d, J = 8.8 Hz, 3H), 7.95 – 7.81 (m, 1H), 7.80 (s, 1H), 7.48 (d, J = 6.7 Hz, 1H), 7.33 (dd, J = 8.7, 1.6 Hz, 1H), 7.16 – 6.89 (m, 2H), 3.75 – 3.52 (m, 2H), 3.46 (dd, J = 8.0, 4.0 Hz, 1H), 2.12 (s, 3H), 1.94 – 1.78 (m, 1H), 1.75-1.55 (m, 3H), 1.50-1.35 (m, 1H), 1.31 – 1.02 (m, 2H).LCMS: rt 4.63 min (A), purity 92%, MS (m/e) 444 (MH^+-HCl).

[0878] N-((*1S,2S*)-2-Aminocyclohexyl)-5-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)-1H-indazole-1-carboxamide (Compound 650). LCMS: rt 4.58 min (A), purity 94%, MS (m/e) 444 (MH^+-HCl).

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[0879] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-((1-methylpiperidin-4-yl)methyl)imidazo[1,2-a]pyridine-3-carboxamide (Compound 651). LCMS: rt 3.70 min (A), purity 99%, MS (m/e) 458 (MH^+).

[0880] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(piperidin-4-yl)imidazo[1,2-a]pyridine-3-carboxamide (Compound 652). LCMS: rt 3.56 min (A), purity 98%, MS (m/e) 430 (MH^+).

[0881] N-(3-(1H-Imidazol-1-yl)propyl)-6-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,2-a]pyridine-3-carboxamide (Compound 653). LCMS: rt 3.71 min (A), purity 99%, MS (m/e) 455 (MH^+).

[0882] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(pyridin-4-yl)imidazo[1,2-a]pyridine-3-carboxamide (Compound 654). ^1H NMR (300 MHz, DMSO-d_6): δ 10.50 (s, 1H), 9.44 (s, 1H), 8.73 (d, J = 4.7 Hz, 1H), 8.63 (s, 1H), 8.46 (d, J = 6.2 Hz, 2H), 7.98 (d, J = 7.7 Hz, 1H), 7.73 (d, J = 6.3 Hz, 2H), 7.65 (d, J = 9.3 Hz, 1H), 7.53 (dd, J = 7.8, 4.7 Hz, 1H), 7.45 (d, J = 6.9 Hz, 1H), 7.20 – 7.04 (m, 2H), 7.03 – 6.90 (m, 1H), 2.17 (s, 3H).LCMS: rt 4.08 min (A), purity 99%, MS (m/e) 424 (MH^+).

[0883] 5-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(1-methylpiperidin-4-yl)pyrazolo[1,5-a]pyridine-3-carboxamide (Compound 655). ^1H NMR (300 MHz, DMSO-d_6): δ 8.71 – 8.62 (m, 1H), 8.57 (d, J = 7.2 Hz, 1H), 8.53 (s, 1H), 8.10 (d, J = 2.0 Hz, 1H), 8.05 (d, J = 7.2 Hz, 1H), 7.92 – 7.83 (m, 1H), 7.47 (dd, J = 7.8, 4.8 Hz, 1H), 7.39 (d, J = 6.0 Hz, 1H), 6.99 (dd, J = 5.3, 2.3 Hz, 1H), 6.93 (t, J = 9.0 Hz, 1H), 6.57 (dd, J = 7.1, 2.0 Hz, 1H), 3.96-3.82 (m, 1H), 3.22-3.11 (m, 2H), 2.80-2.63 (m, 2H), 2.56 (s, 3H), 2.12 (s, 3H), 1.95-1.82 (m, 2H), 1.68-1.65 (m, 2H).LCMS: rt 4.03 min (A), purity 99%, MS (m/e) 444 (MH^+).

[0884] 5-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-((1-methylpiperidin-4-yl)methyl)pyrazolo[1,5-a]pyridine-3-carboxamide (Compound 656). LCMS: rt 4.06 min (A), purity 99%, MS (m/e) 458 (MH^+).

[0885] 6-(2-(2-Fluorophenyl)pyridin-3-yl)benzo[d]thiazole (Compound 657). LCMS: rt 5.60 min (A), purity 99%, MS (m/e) 307 (MH^+).

[0886] 6-(2-(3-Fluorophenyl)pyridin-3-yl)benzo[d]thiazole (Compound 658). LCMS: rt 5.65 min (A), purity 99%, MS (m/e) 307 (MH^+).

[0887] 6-(2-(4-Fluorophenyl)pyridin-3-yl)benzo[d]thiazole (Compound 659). LCMS: rt 5.25 min (A), purity 99%, MS (m/e) 307 (MH^+).

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[0888] 6-(2-(3,5-Difluorophenyl)pyridin-3-yl)benzo[d]thiazole (Compound 660). LCMS: rt 6.32 min (A), purity 99%, MS (m/e) 325 (MH^+).

[0889] 6-(2-(3,4-Difluorophenyl)pyridin-3-yl)benzo[d]thiazole (Compound 661). LCMS: rt 6.25 min (A), purity 99%, MS (m/e) 325 (MH^+).

[0890] 6-(2-(2,3-Difluorophenyl)pyridin-3-yl)benzo[d]thiazole (Compound 662). LCMS: rt 6.58min (A), purity 99%, MS (m/e) 325 (MH^+).

[0891] N-((*1R,2R*)-2-Aminocyclohexyl)-5-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)pyrazolo[1,5-a]pyridine-3-carboxamide (Compound 663). LCMS: rt 4.40 min (A), purity 99%, MS (m/e) 444 (MH^+).

[0892] N-((*1S,2S*)-2-Aminocyclohexyl)-5-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)pyrazolo[1,5-a]pyridine-3-carboxamide (Compound 664). LCMS: rt 4.38 min (A), purity 99%, MS (m/e) 444 (MH^+).

[0893] 6-(2-(2,4-Difluorophenyl)pyridin-3-yl)benzo[d]thiazole (Compound 665). LCMS: rt 6.60 min (A), purity 99%, MS (m/e) 325 (MH^+).

[0894] 6-(2-(3,4,5-Trifluorophenyl)pyridin-3-yl)benzo[d]thiazole (Compound 666). LCMS: rt 5.54 min (B), purity 99%, MS (m/e) 343 (MH^+).

[0895] 6-(2-(2-Fluoro-5-methylphenyl)pyridin-3-yl)benzo[d]thiazole (Compound 667). LCMS: rt 5.91 min (A), purity 99%, MS (m/e) 321 (MH^+).

[0896] 6-(2-(3-Chlorophenyl)pyridin-3-yl)benzo[d]thiazole (Compound 668). ^1H NMR (300 MHz, DMSO-d_6): δ 9.39 (s, 1H), 8.72 (dd, J = 4.8, 1.7 Hz, 1H), 8.12 (d, J = 1.8 Hz, 1H), 8.04 – 7.88 (m, 2H), 7.55 (dd, J = 7.8, 4.8 Hz, 1H), 7.43 (t, J = 1.9 Hz, 1H), 7.34 – 7.28 (m, 1H), 7.26 (dd, J = 8.4, 1.8 Hz, 1H), 7.20 (t, J = 7.8 Hz, 1H), 7.11 (dt, J7.7, 1.4 Hz, 1H).LCMS: rt 6.20 min (A), purity 99%, MS (m/e) 323 (MH^+).

[0897] 6-(2-(3-Methoxyphenyl)pyridin-3-yl)benzo[d]thiazole (Compound 669). LCMS: rt 5.05 min (A), purity 99%, MS (m/e) 319 (MH^+).

[0898] 3-(3-(Benzo[d]thiazol-6-yl)pyridin-2-yl)benzonitrile (Compound 670). LCMS: rt5.93 min (A), purity 99%, MS (m/e) 314 (MH^+).

[0899] 6-(2-(Benzo[d][1,3]dioxol-5-yl)pyridin-3-yl)benzo[d]thiazole (Compound 671). LCMS: rt 4.78 min (A), purity 99%, MS (m/e) 333 (MH^+).

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[0900] 5-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(3-morpholinopropyl)pyrazolo[1,5-a]pyridine-3-carboxamide (Compound 672). LCMS: rt min 2.86 (B), purity 99%, MS (m/e) 474 (MH^+)

[0901] N-(2-(Dimethylamino)ethyl)-5-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)pyrazolo[1,5-a]pyridine-3-carboxamide (Compound 673). LCMS: rt 2.72 min (B), purity 99%, MS (m/e) 418 (MH^+)

[0902] 5-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(2-morpholinoethyl)pyrazolo[1,5-a]pyridine-3-carboxamide (Compound 674). LCMS: rt 2.80 min (B), purity 99%, MS (m/e) 460 (MH^+)

[0903] 5-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(3-(pyrrolidin-1-yl)propyl)pyrazolo[1,5-a]pyridine-3-carboxamide (Compound 675). LCMS: rt2.91 min (B), purity 99%, MS (m/e) 458 (MH^+)

[0904] 5-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(3-(4-methylpiperazin-1-yl)propyl)pyrazolo[1,5-a]pyridine-3-carboxamide (Compound 676). LCMS: rt 2.47 min (B), purity 99%, MS (m/e) 487 (MH^+)

[0905] Ethyl 5-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)pyrazolo[1,5-a]pyridine-3-carboxylate (Compound 677). ^{1}H NMR (300 MHz, DMSO-d_6): δ 8.76 (dd, J = 7.2, 0.9 Hz, 1H), 8.72 (dd, J = 4.8, 1.7 Hz, 1H), 8.44 (s, 1H), 7.99 (dd, J = 7.8, 1.7 Hz, 1H), 7.94 (dd, J = 2.1, 0.9 Hz, 1H), 7.53 (dd, J = 7.8, 4.8 Hz, 1H), 7.45 (dd, J = 7.7, 1.8 Hz, 1H), 7.10 – 6.94 (m, 2H), 6.80 (dd, J = 7.2, 2.0 Hz, 1H), 4.24 (q, J = 7.1 Hz, 2H), 2.17 (s, 3H), 1.26 (t, J = 7.1 Hz, 3H). ^{19}F NMR (282 MHz, DMSO-d_6): δ -118.06 - -118.13 (m). LCMS: rt 6.51 min (A), purity 96%, MS (m/e) 376 (MH^+)

[0906] 5-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)pyrazolo[1,5-a]pyridine-3-carboxylic acid (Compound 678). ^{1}H NMR (300 MHz, DMSO-d_6): δ 12.47 (s, 1H), 8.76 – 8.65 (m, 2H), 8.39 (s, 1H), 8.05 – 7.91 (m, 2H), 7.52 (dd, J = 7.8, 4.8 Hz, 1H), 7.45 (dd, J = 7.5, 2.2 Hz, 1H), 7.11 – 6.90 (m, 2H), 6.71 (dd, J = 7.2, 2.0 Hz, 1H), 2.17 (s, 3H).^{19}F NMR (282 MHz, DMSO-d_6): δ -118.05. LCMS: rt 5.01 min (A), purity 97%, MS (m/e) 348 (MH^+)

[0907] N-((*1R,2R*)-2-Aminocyclohexyl)-6-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,2-a]pyridine-3-carboxamide (Compound 679). ^{1}H NMR (300 MHz, DMSO-d_6): δ 9.48 (s, 1H), 8.70 (d, J = 4.4 Hz, 1H), 8.37 (s, 1H), 8.27 – 8.13 (m, 1H), 7.93 (dd, J = 7.8, 1.4 Hz, 1H), 7.62 – 7.46 (m, 2H), 7.43 (dd, J = 7.8, 2.0 Hz, 1H), 7.12 – 6.84 (m, 3H), 3.75 – 3.52 (m,

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2H), 3.46 (dd, J = 8.0, 4.0 Hz, 1H), 2.12 (s, 3H), 1.94 – 1.78 (m, 1H), 1.75-1.55 (m, 3H), 1.50-1.35 (m, 1H), 1.31 – 1.02 (m, 2H).LCMS: rt 4.05 min (A), purity 98%, MS (m/e) 444 (MH^+)

[0908] N-((*1S,2S*)-2-Aminocyclohexyl)-6-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,2-a]pyridine-3-carboxamide (Compound 680). LCMS: rt 4.00 min (A), purity 98%, MS (m/e) 444 (MH^+)

[0909] N-(2-Aminoethyl)-6-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,2-a]pyridine-3-carboxamide (Compound 681). ^{1}H NMR (300 MHz, DMSO-d_6): δ 9.48 (s, 1H), 9.04 (t, J = 5.6 Hz, 1H), 8.78 (dd, J = 4.9, 0.7 Hz, 1H), 8.56 (s, 1H), 8.27 – 8.13 (m, 3H), 7.93 (dd, J = 7.8, 1.4 Hz, 1H), 7.62 (dd, J = 7.8, 4.9 Hz, 1H), 7.44 (d, J = 7.5 Hz, 1H), 7.35 (dd, J = 9.3, 1.1 Hz, 1H), 7.15 – 7.04 (m, 1H), 6.98 (t, J = 9.1 Hz, 1H), 3.54 (q, J = 5.9 Hz, 2H), 3.02 (dd, J = 11.6, 5.8 Hz, 2H), 2.16 (s, 3H). LCMS: rt 3.48 min (A), purity 98%, MS (m/e) 390 (MH^+)

[0910] N-(3-Aminopropyl)-6-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,2-a]pyridine-3-carboxamide (Compound 682). LCMS: rt 3.53 min (A), purity 98%, MS (m/e) 404 (MH^+)

[0911] N-(2-Aminoethyl)-5-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)pyrazolo[1,5-a]pyridine-3-carboxamide (Compound 683). LCMS: rt 3.88 min (A), purity 98%, MS (m/e) 390 (MH^+)

[0912] N-(3-Aminopropyl)-5-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)pyrazolo[1,5-a]pyridine-3-carboxamide (Compound 684). LCMS: rt 3.93 min (A), purity 98%, MS (m/e) 404 (MH^+)

[0913] Methyl 6-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,2-a]pyridine-3-carboxylate (Compound 685). ^{1}H NMR (300 MHz, DMSO-d_6): δ 9.16 (s, 1H), 8.72 (dd, J = 4.7, 1.4 Hz, 1H), 8.31 (s, 1H), 7.97 (dd, J = 7.7, 1.5 Hz, 1H), 7.68 (d, J = 9.2 Hz, 1H), 7.53 (dd, J = 7.8, 4.7 Hz, 1H), 7.43 (dd, J = 7.6, 1.9 Hz, 1H), 7.18 (dd, J = 9.2, 1.6 Hz, 1H), 7.12 – 7.01 (m, 1H), 6.97 (t, J = 9.1 Hz, 1H), 3.87 (s, 3H), 2.16 (s, 3H). LCMS: rt 5.08 min (A), purity 96%, MS (m/e) 362 (MH^+).

[0914] 6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)benzo[d]thiazole (Compound 686). ^{1}H NMR (300 MHz, DMSO-d_6): δ 9.40 (d, J = 0.7 Hz, 1H), 8.70 (dd, J = 4.7, 1.6 Hz, 1H), 8.13 (dd, J = 1.8, 0.6 Hz, 1H), 7.99 (dd, J = 8.4, 0.7 Hz, 1H), 7.93 (dd, J = 7.7, 1.7 Hz, 1H), 7.60 – 7.47 (m, 2H), 7.27 (dd, J = 8.5, 1.8 Hz, 1H), 7.22 (d, J = 9.3 Hz, 1H), 7.13 (ddd, J = 8.6, 4.9, 2.2 Hz, 1H). ^{19}F NMR (282 MHz, DMSO-d_6): δ -117.36 (td, J = 8.5, 8.0, 5.0 Hz). LCMS: rt 6.37 min (A), purity 99%, MS (m/e) 341 (MH^+)

[0915] 6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)imidazo[1,2-a]pyridine (Compound 687). LCMS: rt 4.53 min (A), purity 99%, MS (m/e) 324 (MH^+)

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[0916] 6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)quinoline (Compound 688). LCMS: rt 5.03 min (A), purity 99%, MS (m/e) 335 (MH^+)

[0917] 6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)imidazo[1,2-a]pyridine-3-carbonitrile (Compound 689). ^1H NMR (300 MHz, DMSO-d_6): δ 8.74 (ddd, J = 4.8, 1.7, 0.8 Hz, 1H), 8.69 (dt, J = 1.8, 0.9 Hz, 1H), 8.47 (d, J = 0.8 Hz, 1H), 8.09 (ddd, J = 7.7, 1.7, 0.8 Hz, 1H), 7.76 – 7.64 (m, 2H), 7.57 (ddd, J = 7.8, 4.8, 0.8 Hz, 1H), 7.34 – 7.25 (m, 2H), 7.13 (ddd, J = 9.3, 1.8, 0.8 Hz, 1H). ^{19}F NMR (282 MHz, DMSO-d_6): δ -116.87 (q, J = 7.8 Hz). LCMS: rt 6.58 min (A), purity 99%, MS (m/e) 349 (MH^+)

[0918] 6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)quinoxaline (Compound 690). LCMS: rt 6.48 min (A), purity 99%, MS (m/e) 336 (MH^+)

[0919] 2-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)-1,5-naphthyridine (Compound 691). LCMS: rt 6.23 min (A), purity 99%, MS (m/e) 336 (MH^+).

[0920] 6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine (Compound 692). ^1H NMR (300 MHz, DMSO-d_6): δ 9.05 (dd, J = 1.8, 0.9 Hz, 1H), 8.74 (dd, J = 4.8, 1.7 Hz, 1H), 8.52 (s, 1H), 8.03 (dd, J = 7.8, 1.7 Hz, 1H), 7.73 (dd, J = 9.2, 0.9 Hz, 1H), 7.67 (ddd, J = 7.3, 1.9, 0.6 Hz, 1H), 7.56 (dd, J = 7.8, 4.8 Hz, 1H), 7.32 – 7.23 (m, 3H). LCMS: rt 5.80 min (A), purity 99%, MS (m/e) 325 (MH^+).

[0921] 6-(2-(2,4,5-Trifluorophenyl)pyridin-3-yl)benzo[d]thiazole (Compound 693). ^1H NMR (300 MHz, DMSO-d_6): δ 9.00 (dd, J = 4.3, 1.8 Hz, 1H), 8.85 – 8.76 (m, 1H), 8.39 (d, J = 8.7 Hz, 1H), 8.31 (d, J = 8.9 Hz, 1H), 8.18 (ddd, J = 7.8, 1.7, 0.6 Hz, 1H), 7.80 (dd, J = 8.5, 4.2 Hz, 1H), 7.66 – 7.56 (m, 2H), 7.53 (d, J = 8.7 Hz, 1H), 7.24 (t, J = 9.0 Hz, 1H), 7.10 (ddd, J = 8.7, 4.9, 2.3 Hz, 1H). ^{19}F NMR (282 MHz, DMSO-d_6): δ -116.93 (td, J = 8.1, 5.5 Hz).LCMS: rt 7.16 min (A), purity 99%, MS (m/e) 343 (MH^+).

[0922] 6-(2-(2,4,5-Trifluorophenyl)pyridin-3-yl)imidazo[1,2-a]pyridine (Compound 694). LCMS: rt 4.41 min (A), purity 99%, MS (m/e) 326 (MH^+).

[0923] 6-(2-(2,4,5-Trifluorophenyl)pyridin-3-yl)imidazo[1,2-a]pyridine-3-carbonitrile (Compound 695). LCMS: rt 6.61 min (A), purity 99%, MS (m/e) 351 (MH^+).

[0924] 6-(2-(2,4,5-Trifluorophenyl)pyridin-3-yl)quinoline (Compound 696). LCMS: rt 5.06 min (A), purity 99%, MS (m/e) 337 (MH^+).

[0925] 6-(2-(3-Chlorophenyl)pyridin-3-yl)imidazo[1,2-a]pyridine-3-carbonitrile (Compound 697). ^1H NMR (300 MHz, DMSO-d_6): δ 8.75 (dd, J = 4.8, 1.7 Hz, 1H), 8.68 (dd, J = 1.8, 1.0

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Hz, 1H), 8.46 (s, 1H), 8.09 (dd, J = 7.8, 1.7 Hz, 1H7.67 (dd, J = 9.3, 1.0 Hz, 1H),), 7.58-7.55 (app m, 2H), 7.44 – 7.30 (m, 1H), 7.25 (appd, J = 4.8 Hz, 1H), 7.12 (dd, J = 9.3, 1.8 Hz, 1H). LCMS: rt 6.15 min (A), purity 99%, MS (m/e) 331 (MH^+).

[0926] 6-(2-(3-Chlorophenyl)pyridin-3-yl)imidazo[1,2-a]pyridine (Compound 698). LCMS: rt 4.16 min (A), purity 99%, MS (m/e) 306 (MH^+).

[0927] 6-(2-(3-Chlorophenyl)pyridin-3-yl)quinolone (Compound 699). LCMS: rt 4.65 min (A), purity 99%, MS (m/e) 317 (MH^+).

[0928] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(1-isopropylpiperidin-4-yl)imidazo[1,2-a]pyridine-3-carboxamide (Compound 700). ^{1}H NMR (300 MHz, DMSO-d_6):δ 9.46 (s, 1H), 8.70 (d, J = 4.2 Hz, 1H), 8.35 (s, 1H), 8.26 (d, J = 8.3 Hz, 1H), 7.93 (d, J = 7.8 Hz, 1H), 7.62 – 7.36 (m, 3H), 7.14 – 6.84 (m, 3H), 3.77-3.70 (m, 1H), 2.82 (d, J = 10.0 Hz, 2H), 2.72 (dt, J = 14.0, 7.0 Hz, 1H), 2.15 (s, 4H), 1.81 (d, J = 11.4 Hz, 2H), 1.66 – 1.35 (m, 2H), 0.97 (d, J = 6.5 Hz, 6H).LCMS: rt 3.21 min (B), purity 99%, MS (m/e) 472 (MH^+)

[0929] 5-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(1-isopropylpiperidin-4-yl)pyrazolo[1,5-a]pyridine-3-carboxamide (Compound 701). LCMS: rt 3.53 min (B), purity 99%, MS (m/e) 472 (MH^+)

[0930] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(1,2,2,6,6-pentamethylpiperidin-4-yl)imidazo[1,2-a]pyridine-3-carboxamide (Compound 702). LCMS: rt 2.90 min (A), purity 99%, MS (m/e) 500 (MH^+).

[0931] 5-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(1,2,2,6,6-pentamethylpiperidin-4-yl)pyrazolo[1,5-a]pyridine-3-carboxamide (Compound 703). ^{1}H NMR (300 MHz, DMSO-d_6): δ 8.71 (dd, J = 4.9, 1.7 Hz, 1H), 8.59 (d, J = 7.3 Hz, 1H), 8.56 (s, 1H), 8.21 (s, 1H), 7.93 (t, J = 8.2 Hz, 2H), 7.51 (dd, J = 7.8, 4.7 Hz, 1H), 7.44 (d, J = 7.6 Hz, 1H), 7.14 – 6.89 (m, 2H), 6.57 (dd, J = 7.3, 2.1 Hz, 1H), 4.37 – 3.93 (m, 1H), 2.18 (s, 6H), 1.71 (dd, J = 12.7, 3.4 Hz, 2H), 1.39 (t, J = 12.3 Hz, 2H), 1.08 (s, 6H), 1.02 (s, 6H).LCMS: rt 3.16 min (A), purity 99%, MS (m/e) 500 (MH^+).

[0932] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(3-hydroxypropyl)imidazo[1,2-a]pyridine-3-carboxamide (Compound 704). ^{1}H NMR (300 MHz, DMSO-d_6): δ 9.48 (dd, J = 1.9, 1.0 Hz, 1H), 8.70 (dd, J = 4.7, 1.6 Hz, 1H), 8.47 (t, J = 5.7 Hz, 1H), 8.31 (s, 1H), 7.93 (dd, J = 7.8, 1.6 Hz, 1H), 7.60 – 7.46 (m, 2H), 7.43 (dd, J = 7.9, 1.8 Hz, 1H), 7.13 – 6.87 (m, 3H), 4.54 – 4.33 (app m, 1H), 3.46 (q, J = 5.8 Hz, 2H), 3.39-3.29 (m, 2H), 2.16 (s, 3H), 1.81 – 1.55 (m,

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2H).^{19}F NMR (282 MHz, DMSO-d_6): δ -118.29. LCMS: rt 3.95 min (A), purity 99%, MS (m/e) 405 (MH^+)

[0933] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(2-hydroxyethyl)imidazo[1,2-a]pyridine-3-carboxamide (Compound 705). LCMS: rt 3.85 min (A), purity 99%, MS (m/e) 391 (MH^+).

[0934] 5-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(3-hydroxypropyl)pyrazolo[1,5-a]pyridine-3-carboxamide (Compound 706). ^{1}H NMR (300 MHz, DMSO-d_6): δ 8.71 (ddd, J = 4.8, 1.7, 0.6 Hz, 1H), 8.60 (d, J = 7.2 Hz, 1H), 8.52 (s, 1H), 8.25 – 8.07 (m, 2H), 7.94 (dd, J = 7.8, 1.7 Hz, 1H), 7.51 (dd, J = 7.8, 4.8 Hz, 1H), 7.45 (dd, J = 7.5, 1.9 Hz, 1H), 7.06 (ddd, J = 7.6, 5.2, 2.3 Hz, 1H), 7.02 – 6.92 (app m, 1H), 6.57 (dd, J = 7.2, 2.0 Hz, 1H), 4.46 (t, J = 5.2 Hz, 1H), 3.45 (q, J = 6.1 Hz, 2H), 3.28-3.25 (q, J = 6.1 Hz, 2H), 2.17 (s, 3H), 1.67 (q, J = 6.7 Hz, 2H). ^{19}F NMR (282 MHz, DMSO-d_6): δ -118.29 (s). LCMS: rt 4.50 min (A), purity 99%, MS (m/e) 405 (MH^+).

[0935] 5-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(2-hydroxyethyl)pyrazolo[1,5-a]pyridine-3-carboxamide (Compound 707). LCMS: rt 4.35 min (A), purity 99%, MS (m/e) 391 (MH^+).

[0936] 6-(2-(3-Chlorophenyl)pyridin-3-yl)-N-(1-methylpiperidin-4-yl)imidazo[1,2-a]pyridine-3-carboxamide (Compound 708). ^{1}H NMR (300 MHz, DMSO-d_6): δ 9.48 (dd, J = 1.9, 0.9 Hz, 1H), 8.74 (dd, J = 4.8, 1.6 Hz, 1H), 8.36 (s, 1H), 8.27 (d, J = 7.8 Hz, 1H), 7.97 (dd, J = 7.8, 1.7 Hz, 1H), 7.62 – 7.52 (m, 2H), 7.49 (ddd, J = 2.2, 1.5, 0.7 Hz, 1H), 7.35 (dt, J = 7.5, 2.0 Hz, 1H), 7.31 – 7.14 (m, 2H), 7.05 (ddd, J = 9.3, 1.9, 0.6 Hz, 1H), 3.84 – 3.61 (m, 1H), 2.76 (d, J = 12.8 Hz, 2H), 2.15 (s, 3H), 2.04 – 1.86 (m, 2H), 1.77 (d, J = 11.1 Hz, 2H), 1.67 – 1.45 (m, 2H).LCMS: rt 3.96 min (A), purity 99%, MS (m/e) 446 (MH^+).

[0937] 6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)-N-(1-methylpiperidin-4-yl)imidazo[1,2-a]pyridine-3-carboxamide (Compound 709). LCMS: rt 4.21 min (A), purity 99%, MS (m/e) 464 MH^+).

[0938] N-(1-Methylpiperidin-4-yl)-6-(2-(2,4,5-Trifluorophenyl)pyridin-3-yl)imidazo[1,2-a]pyridine-3-carboxamide (Compound 710). LCMS: rt 4.10 min (A), purity 99%, MS (m/e) 466 (MH^+).

[0939] *rac*-6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(8-methyl-8-azabicyclo[3.2.1]octan-3-yl)imidazo[1,2-a]pyridine-3-carboxamide (Compound 711). LCMS: rt 2.66 min (B), purity 99%, MS (m/e) 470 (MH^+).

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[0940] *exo*-6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(8-methyl-8-azabicyclo[3.2.1]octan-3-yl)imidazo[1,2-a]pyridine-3-carboxamide (Compound 712). LCMS: rt 2.73 min (B), purity 99%, MS (m/e) 470 (MH^+).

[0941] *endo*-6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(8-methyl-8-azabicyclo[3.2.1]octan-3-yl)imidazo[1,2-a]pyridine-3-carboxamide (Compound 713). LCMS: rt 2.67 min (B), purity 99%, MS (m/e) 470 (MH^+).

[0942] *rac*-5-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(8-methyl-8-azabicyclo[3.2.1]octan-3-yl)pyrazolo[1,5-a]pyridine-3-carboxamide (Compound 714). LCMS: rt 3.42 min (B), purity 99%, MS (m/e) 470 (MH^+).

[0943] *exo*-5-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(8-methyl-8-azabicyclo[3.2.1]octan-3-yl)pyrazolo[1,5-a]pyridine-3-carboxamide (Compound 715). LCMS: rt 3.44 min (B), purity 99%, MS (m/e) 470 (MH^+).

[0944] *endo*-5-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(8-methyl-8-azabicyclo[3.2.1]octan-3-yl)pyrazolo[1,5-a]pyridine-3-carboxamide (Compound 716). LCMS: rt 3.46 min (B), purity 99%, MS (m/e) 470 (MH^+).

[0945] 6-(2-(5-Chloro-2-fluorophenyl)pyridin-3-yl)benzo[d]thiazole (Compound 717). ^1H NMR (300 MHz, DMSO-d_6): δ 9.38 (s, 1H), 8.72 (dd, J = 4.8, 1.7 Hz, 1H), 8.09 (dd, J = 1.8, 0.6 Hz, 1H), 8.02 – 7.89 (m, 2H), 7.66 – 7.54 (m, 2H), 7.40 (ddd, J = 8.8, 4.3, 2.8 Hz, 1H), 7.27 (dd, J = 8.5, 1.8 Hz, 1H), 7.03 (appt, J = 8.8 Hz, 1H). ^{19}F NMR (282 MHz, DMSO-d_6): δ -118.29 (s). LCMS: rt 7.31 min (A), purity 99%, MS (m/e) 341 (MH^+).

[0946] 6-(2-(5-Chloro-2,4-difluorophenyl)pyridin-3-yl)benzo[d]thiazole (Compound 718). ^1H NMR (300 MHz, DMSO-d_6): δ 9.38 (d, J = 0.6 Hz, 1H), 8.73 (ddd, J = 4.8, 1.7, 0.6 Hz, 1H), 8.11 (dd, J = 1.8, 0.6 Hz, 1H), 8.03 – 7.95 (m, 2H), 7.80 (dd, J = 8.4, 7.4 Hz, 1H), 7.61 (dd, J = 7.8, 4.8 Hz, 1H), 7.33 (app t, J = 9.2 Hz, 1H, 7.28 (dd, , J = 9.1 Hz, 1H), 7.38 – 7.24 (m, 2H). ^{19}F NMR (282 MHz, DMSO-d_6): δ -111.43 (q, J = 8.7 Hz), -111.62 (t, J = 8.9 Hz). LCMS: rt7.66 min (A), purity 99%, MS (m/e) 359 (MH^+).

[0947] 6-(2-(5-Chloro-2-fluorophenyl)pyridin-3-yl)imidazo[1,2-a]pyridine-3-carbonitrile (Compound 719). LCMS: rt 6.76 min (A), purity 99%, MS (m/e) 349 (MH^+).

[0948] 6-(2-(5-Chloro-2,4-difluorophenyl)pyridin-3-yl)imidazo[1,2-a]pyridine-3-carbonitrile (Compound 720). LCMS: rt 7.08 min (A), purity 99%, MS (m/e) 367(MH^+).

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[0949] 6-(2-(5-Chloro-2-fluorophenyl)pyridin-3-yl)imidazo[1,2-a]pyridine (Compound 721). LCMS: rt 4.61 min (A), purity 99%, MS (m/e) 324 (MH^+).

[0950] 6-(2-(5-Chloro-2-fluorophenyl)pyridin-3-yl)-N-(1-methylpiperidin-4-yl)imidazo[1,2-a]pyridine-3-carboxamide (Compound 722). LCMS: rt 4.23 min (A), purity 99%, MS (m/e) 464 (MH^+).

[0951] 6-(2-(5-Chloro-2,4-difluorophenyl)pyridin-3-yl)-N-(1-methylpiperidin-4-yl)imidazo[1,2-a]pyridine-3-carboxamide (Compound 723). ^1H NMR (300 MHz, DMSO-d_6): δ 9.39 (s, 1H), 8.75 (dd, J = 4.6, 1.4 Hz, 1H), 8.33 (s, 1H), 8.25 (d, J = 7.8 Hz, 1H), 8.04 (dd, J = 7.8, 1.5 Hz, 1H), 7.83 (t, J = 7.8 Hz, 1H), 7.72 – 7.54 (m, 2H), 7.38 (t, J = 9.7 Hz, 1H), 7.20 (dd, J = 9.3, 1.6 Hz, 1H), 3.92 – 3.60 (m, 1H), 2.82 (d, J = 13.8 Hz, 2H), 2.21 (s, 3H), 2.03 (t, J = 10.9 Hz, 2H), 1.79 (d, J = 13.1 Hz, 2H), 1.69 – 1.40 (m, 2H). ^{19}F NMR (282 MHz, DMSO-d_6): δ -111.36 (q, J = 9.1 Hz), -112.11 (q, J = 8.7 Hz). LCMS: rt 4.43 min (A), purity 99%, MS (m/e) 482 (MH^+).

[0952] N-(3-(2-Oxopyrrolidin-1-yl)propyl)-6-(2-(*m*-tolyl)pyridin-3-yl)imidazo[1,2-a]pyridine-3-carboxamide (Compound 724). LCMS: rt 4.08 min (A), purity 99%, MS (m/e) 454 (MH^+).

[0953] 6-(2-(2-Fluoro-5-methylphenyl)pyridin-3-yl)-N-(3-(2-oxopyrrolidin-1-yl)propyl)imidazo[1,2-a]pyridine-3-carboxamide (Compound 725). LCMS: rt 4.58 min (A), purity 99%, MS (m/e) 472 (MH^+).

[0954] 6-(2-(3-Chlorophenyl)pyridin-3-yl)-N-(3-(2-oxopyrrolidin-1-yl)propyl)imidazo[1,2-a]pyridine-3-carboxamide (Compound 726). LCMS: rt 4.73 min (A), purity 99%, MS (m/e) 474 (MH^+).

[0955] 6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)-N-(3-(2-oxopyrrolidin-1-yl)propyl)imidazo[1,2-a]pyridine-3-carboxamide (Compound 727). LCMS: rt 5.00 min (A), purity 99%, MS (m/e) 492 (MH^+).

[0956] N-(3-(2-Oxopyrrolidin-1-yl)propyl)-6-(2-(2,4,5-Trifluorophenyl)pyridin-3-yl)imidazo[1,2-a]pyridine-3-carboxamide (Compound 728). LCMS: rt 4.95 min (A), purity 99%, MS (m/e) 494 (MH^+).

[0957] 6-(2-(5-Chloro-2-fluorophenyl)pyridin-3-yl)-N-(3-(2-oxopyrrolidin-1-yl)propyl)imidazo[1,2-a]pyridine-3-carboxamide (Compound 729). ^1H NMR (300 MHz, DMSO-d_6): δ 9.48 (s, 1H), 8.78 (dd, J = 4.8, 1.6 Hz, 1H), 8.63 (t, J = 5.5 Hz, 1H), 8.43 (s, 1H), 8.05 (dd, J = 7.8, 1.6 Hz, 1H), 7.74 (d, J = 9.3 Hz, 1H), 7.70 – 7.56 (m, 2H), 7.50 – 7.31 (m, 2H), 7.08 (t, J = 9.2 Hz, 1H), 3.34 (t, J = 7.0 Hz, 2H), 3.22 (t, J = 7.0 Hz, 4H), 2.20 (t, J = 8.0 Hz,

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2H), 1.91 (p, J = 7.5 Hz, 2H), 1.71 (p, J = 7.2 Hz, 2H). ^{19}F NMR (282 MHz, DMSO-d_6): δ -117.76 (ddd, J = 10.0, 5.9, 4.2 Hz). LCMS: rt5.03 min (A), purity 99%, MS (m/e) 492 (MH^+).

[0958] 6-(2-(5-Chloro-2,4-difluorophenyl)pyridin-3-yl)-N-(3-(2-oxopyrrolidin-1-yl)propyl)imidazo[1,2-a]pyridine-3-carboxamide (Compound 730). LCMS: rt 5.25 min (A), purity 99%, MS (m/e) 510 (MH^+).

[0959] 7-(2-(2-Fluoro-5-methylphenyl)pyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine (Compound 731). LCMS: rt 4.85 min (A), purity 99%, MS (m/e) 305 (MH^+).

[0960] 7-(2-(*m*-Tolyl)pyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine (Compound 732). LCMS: rt 4.40 min (A), purity 99%, MS (m/e) 287 (MH^+).

[0961] 7-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine (Compound 733). LCMS: rt 5.88 min (A), purity 99%, MS (m/e) 325 (MH^+).

[0962] 7-(2-(3-Chlorophenyl)pyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine (Compound 734). LCMS: rt 5.43 min (A), purity 99%, MS (m/e) 307 (MH^+).

[0963] 7-(2-(5-Chloro-2,4-difluorophenyl)pyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine (Compound 735). LCMS: rt 6.41 min (A), purity 99%, MS (m/e) 343 (MH^+).

[0964] 7-(2-(5-Chloro-2-fluorophenyl)pyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine (Compound 736). ^{1}H NMR (300 MHz, DMSO-d_6): δ 8.88 (dd, J = 7.1, 0.9 Hz, 1H), 8.78 (dd, J = 4.8, 1.6 Hz, 1H), 8.49 (s,1H), 8.07 (dd, J = 7.9, 1.6 Hz, 1H), 7.74 (dd, J = 1.9, 0.9 Hz, 1H), 7.68 (dd, J = 6.2, 2.8 Hz, 1H), 7.64 (dd, J = 7.9, 4.8 Hz, 1H), 7.46 (ddd, J = 8.8, 4.4, 2.8 Hz, 1H), 7.09 (dd, J = 9.6, 8.9 Hz, 1H), 6.97 (dd, J = 7.1, 1.9 Hz, 1H). LCMS: rt 6.10 min (A), purity 99 %, MS (m/e) MH^+ 325.

[0965] 7-(2-(2-Fluoro-5-methylphenyl)pyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine (Compound 737). LCMS: rt min (A), purity 99 %, MS (m/e) 305 MH^+.

[0966] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)pyrido[2,3-b]pyrazine (Compound 738). LCMS: rt 5.06 min (A), purity 99 %, MS (m/e) 317 MH^+.

[0967] 6-(2-(3-Chlorophenyl)pyridin-3-yl)pyrido[2,3-b]pyrazine (Compound 739). LCMS: rt 5.63min (A), purity 99 %, MS (m/e) 319 MH^+.

[0968] 6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)pyrido[2,3-b]pyrazine (Compound 740). LCMS: rt 6.06 min (A), purity 99 %, MS (m/e) 337 MH^+.

[0969] 6-(2-(2,4,5-Trifluorophenyl)pyridin-3-yl)pyrido[2,3-b]pyrazine (Compound 741). LCMS: rt 6.03 min (A), purity 99 %, MS (m/e) 339 MH^+.

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[0970] 6-(2-(5-Chloro-2-fluorophenyl)pyridin-3-yl)pyrido[2,3-b]pyrazine (Compound 742). LCMS: rt 6.20 min (A), purity 99 %, MS (m/e) 337MH^+.

[0971] 6-(2-(5-Chloro-2,4-difluorophenyl)pyridin-3-yl)pyrido[2,3-b]pyrazine (Compound 743). LCMS: rt 6.56 min (A), purity 99 %, MS (m/e) 355 MH^+.

[0972] N-((*7R,8aS*)-5,5-Dimethyloctahydroindolizin-7-yl)-6-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,2-a]pyridine-3-carboxamide (Compound 744). LCMS: rt 4.06 min (A), purity 99 %, MS (m/e) 498 MH^+.

[0973] N-((*7R,8aS*)-5,5-Dimethyloctahydroindolizin-7-yl)-5-(2-(4-difluoro-3-methylphenyl)pyridin-3-yl)pyrazolo[1,5-a]pyridine-3-carboxamide (Compound 745). ^{1}H NMR (300 MHz, DMSO-d_6): δ 8.71 (dd, J = 4.8, 1.7 Hz, 1H), 8.61 – 8.57 (m, 1H), 8.55 (s, 1H), 8.18 (dd, J = 2.1, 0.9 Hz, 1H), 8.02 – 7.79 (m, 2H), 7.52 (dd, J = 7.8, 4.8 Hz, 1H), 7.45 (dd, J = 8.0, 2.3 Hz, 1H), 7.13 – 6.87 (m, 2H), 6.58 (dd, J = 7.2, 2.1 Hz, 1H), 4.18 – 3.89 (m, 1H), 2.84 (td, J = 8.5, 3.3 Hz, 1H), 2.44 – 2.35 (m, 2H), 2.28 (q, J = 8.5 Hz, 1H), 2.17 (s, 3H), 1.95 (d, J = 11.7 Hz, 1H), 1.87 – 1.71 (m, 1H), 1.69 – 1.54 (m, 3H), 1.46 – 1.26 (m, 2H), 1.08 (s, 3H), 0.95 (s, 3H). ^{19}F NMR (282 MHz, DMSO-d_6): δ -118.10. LCMS: rt 4.45 min (A), purity 99 %, MS (m/e) 498 MH^+.

[0974] 6-(2-(3-Chlorophenyl)pyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine (Compound 746). LCMS: rt 5.40 min (A), purity 99 %, MS (m/e) 307 MH^+.

[0975] 6-(2-(5-Chloro-2-fluorophenyl)pyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine (Compound 747). LCMS: rt 6.10 min (A), purity 99 %, MS (m/e) 325 MH^+.

[0976] 6-(2-(2-Fluoro-5-methylphenyl)pyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine (Compound 748). LCMS: rt 5.21 min (A), purity 99 %, MS (m/e) 305 MH^+.

[0977] 6-(2-(5-Chloro-2,4-difluorophenyl)pyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine (Compound 749). ^{1}H NMR (300 MHz, DMSO-d_6): δ 9.01 (dd, J = 1.8, 1.0 Hz, 1H), 8.77 (dd, J = 4.8, 1.6 Hz, 1H), 8.50 (s, 1H), 8.08 (dd, J = 7.8, 1.6 Hz, 1H), 7.86 (dd, J = 8.5, 7.4 Hz, 1H), 7.76 (dd, J = 9.2, 0.9 Hz, 1H), 7.64 (dd, J = 7.8, 4.8 Hz, 1H), 7.41 (dd, J = 9.1 Hz, 0.9 Hz, 1H)), 7.37 (app t, J = 9.3 Hz, 1H). ^{19}F NMR (282 MHz, DMSO-d_6): δ -111.06 (q, J = 9.0 Hz), -111.78 (q, J = 8.8 Hz). LCMS: rt 6.43 min (A), purity 99 %, MS (m/e) 343 MH^+.

[0978] 2-(5-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-1H-indazol-1-yl)acetamide (Compound 750). ^{1}H NMR (300 MHz, DMSO-d_6): δ 8.63 (dd, J = 4.7, 1.7 Hz, 1H), 8.04 (d, J = 1.0 Hz, 1H), 7.84 (dd, J = 7.8, 1.8 Hz, 1H), 7.65 (s, 1H), 7.59 – 7.51 (m, 1H), 7.50 – 7.43 (m, 2H), 7.38 (dd, J

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= 8.0, 2.2 Hz, 1H), 7.20 (br s, 1H), 7.06 (dd, *J* = 8.7, 1.7 Hz, 1H), 6.99 – 6.82 (m, 2H), 5.02 (s, 2H), 2.13 (s, 3H). ^{19}F NMR (282 MHz, DMSO-d_6): δ -118.90 (s). LCMS: r 4.33 min (A), purity 99 %, MS (m/e) 361 MH^+.

[0979] 2-(5-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-2H-indazol-2-yl)acetamide (Compound 751). ^{1}H NMR (300 MHz, DMSO-d_6): δ 8.63 (dd, *J* = 4.7, 1.6 Hz, 1H), 8.33 (d, *J* = 0.9 Hz, 1H), 7.83 (dd, *J* = 7.7, 1.7 Hz, 1H), 7.72 – 7.61 (m, 2H), 7.48 – 7.43 (m, 2H), 7.43 – 7.40 (m, 1H), 7.36 – 7.29 (m, 1H), 6.98 (ddd, *J* = 7.7, 5.2, 2.1 Hz, 1H), 6.93 (d, *J* = 9.4 Hz, 1H), 6.87 (dd, *J* = 8.9, 1.6 Hz, 1H), 5.07 (s, 2H), 2.15 (s, 3H).LCMS: rt 4.18 min (A), purity 99 %, MS (m/e) 361 MH^+.

[0980] 2-(6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-1H-indazol-1-yl)acetamide (Compound 752). ^{1}H NMR (300 MHz, DMSO-d_6): δ 8.66 (dd, *J* = 4.7, 1.7 Hz, 1H), 8.03 (d, *J* = 0.9 Hz, 1H), 7.84 (dd, *J* = 7.7, 1.7 Hz, 1H), 7.61 (br s, 1H), 7.59 (dd, *J* = 8.3, 0.8 Hz, 1H), 7.47 (dd, *J* = 7.8, 4.7 Hz, 2H), 7.36 (dd, *J* = 7.8, 2.2 Hz, 1H), 7.16 (br s, 1H), 6.97 (ddd, *J* = 7.5, 5.3, 2.1 Hz, 1H), 6.89 (dd, *J* = 9.6, 8.5 Hz, 1H), 6.76 (dd, *J* = 8.3, 1.4 Hz, 1H), 5.01 (s, 2H), 2.21 (s, 3H). LCMS: rt4.55 min (A), purity 99 %, MS (m/e) 361 MH^+.

[0981] 2-(6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)-1H-indazol-1-yl)acetamide (Compound 753). LCMS: rt 5.18 min (A), purity 99 %, MS (m/e) 381 MH^+.

[0982] 2-(6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-2H-indazol-2-yl)acetamide (Compound 754). ^{1}H NMR (300 MHz, DMSO-d_6): δ 8.65 (dd, *J* = 4.8, 1.6 Hz, 1H), 8.30 (s, 1H), 7.87 (dd, *J* = 7.8, 1.7 Hz, 1H), 7.62 (s, 1H), 7.57 (dd, *J* = 8.6, 0.9 Hz, 1H), 7.52 (q, *J* = 1.1 Hz, 1H), 7.51 – 7.37 (m, 2H), 7.29 (s, 1H), 7.01 (ddd, *J* = 7.8, 5.2, 2.4 Hz, 1H), 6.91 (dd, *J* = 9.7, 8.5 Hz, 1H), 6.68 (dd, *J* = 8.6, 1.5 Hz, 1H), 5.07 (s, 2H), 2.14 (s 3H). LCMS: rt 4.40 min (A), purity 99 %, MS (m/e) 361 MH^+.

[0983] 2-(6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)-2H-indazol-2-yl)acetamide (Compound 755). LCMS: rt 5.01 min (A), purity 99 %, MS (m/e) 381 MH^+.

[0984] 5-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)pyrazolo[1,5-a]pyridine (Compound 756). LCMS: rt 5.58 min (A), purity 99 %, MS (m/e) 304 MH^+.

[0985] 5-(2-(*m*-Tolyl)pyridin-3-yl)pyrazolo[1,5-a]pyridine (Compound 757). LCMS: rt 5.15 min (A), purity 99 %, MS (m/e) 286 MH^+.

[0986] 5-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)pyrazolo[1,5-a]pyridine (Compound 758). LCMS: rt 6.71 min (A), purity 99 %, MS (m/e) 324 MH^+.

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[0987] 5-(2-(3-Chlorophenyl)pyridin-3-yl)pyrazolo[1,5-a]pyridine (Compound 759). LCMS: rt 6.28 min (A), purity 99 %, MS (m/e) 306 MH^+.

[0988] 5-(2-(5-Chloro-2,4-difluorophenyl)pyridin-3-yl)pyrazolo[1,5-a]pyridine (Compound 760). LCMS: rt 7.48 min (A), purity 99 %, MS (m/e) 342 MH^+.

[0989] 5-(2-(5-Chloro-2-fluorophenyl)pyridin-3-yl)pyrazolo[1,5-a]pyridine (Compound 761). ^1H NMR (300 MHz, DMSO-d_6): δ 8.73 (dd, J = 4.8, 1.6 Hz, 1H), 8.56 (dt, J = 7.2, 1.0 Hz, 1H), 8.01 (dd, J = 7.8, 1.7 Hz, 1H), 7.96 (d, J = 2.3 Hz, 1H), 7.65 – 7.57 (m, 2H), 7.56 (dd, J = 2.0, 1.0 Hz, 1H), 7.44 (ddd, J = 8.8, 4.4, 2.8 Hz, 1H), 7.10 (dd, J = 9.6, 8.9 Hz, 1H), 6.60 (dd, J = 7.2, 2.0 Hz, 1H), 6.57 (dd, J = 2.3, 0.9 Hz, 1H). ^{19}F NMR (282 MHz, DMSO-d_6): δ -117.44 (dt, J = 10.1, 5.4 Hz). LCMS: rt 7.13 min (A), purity 99 %, MS (m/e) 324 MH^+.

[0990] 1-(6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)imidazo[1,2-a]pyridin-3-yl)ethan-1-one (Compound 762). LCMS: rt 5.58 min (A), purity 99 %, MS (m/e)366 MH^+.

[0991] 1-(6-(2-(3-Chlorophenyl)pyridin-3-yl)imidazo[1,2-a]pyridin-3-yl)ethan-1-one (Compound 763). LCMS: rt 5.21 min (A), purity 99 %, MS (m/e) 348 MH^+.

[0992] 6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)-3-methylimidazo[1,2-a]pyridine (Compound 764). LCMS: rt 4.83 min (A), purity 99 %, MS (m/e) 338 MH^+.

[0993] 6-(2-(3-Chlorophenyl)pyridin-3-yl)-3-methylimidazo[1,2-a]pyridine (Compound 765). LCMS: rt 4.46 min (A), purity 99 %, MS (m/e) 320 MH^+.

[0994] 6-(2-(5-Chloro-2,4-difluorophenyl)pyridin-3-yl)-3-methylimidazo[1,2-a]pyridine (Compound 766). ^1H NMR (300 MHz, DMSO-d_6): δ 8.73 (dd, J = 4.8, 1.6 Hz, 1H), 8.20 (dd, J = 1.8, 1.0 Hz, 1H), 8.07 (dd, J = 7.8, 1.6 Hz, 1H), 7.82 (dd, J = 8.4, 7.4 Hz, 1H), 7.61 (dd, J = 7.8, 4.8 Hz, 1H), 7.50 – 7.28 (m, 3H), 6.91 (dd, J = 9.3, 1.8 Hz, 1H), 2.37 (s, 3H).). ^{19}F NMR (282 MHz, DMSO-d_6): δ -111.47 (q, J = 9.0 Hz), -111.57 (q, J = 8.8 Hz). LCMS: rt 5.10 min (A), purity 99 %, MS (m/e) 356 MH^+.

[0995] 6-(2-(5-Chloro-2-fluorophenyl)pyridin-3-yl)-3-methylimidazo[1,2-a]pyridine (Compound 767). LCMS: rt 4.86 min (A), purity 99 %, MS (m/e) 338 MH^+.

[0996] 6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)-1-methyl-1H-benzo[d]imidazole (Compound 768). ^1H NMR (300 MHz, DMSO-d_6): δ 8.67 (dd, J = 4.7, 1.7 Hz, 1H), 8.18 (s, 1H), 7.91 (dd, J = 7.8, 1.7 Hz, 1H), 7.60 – 7.46 (m, 4H), 7.28 – 7.09 (m, 2H), 6.90 (dd, J = 8.3, 1.7 Hz, 1H), 3.79 (s, 3H).). ^{19}F NMR (282 MHz, DMSO-d_6): δ -117.66 (s). LCMS: rt 4.48 min (A), purity 99 %, MS (m/e) 338 MH^+.

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[0997] 6-(2-(3-Chlorophenyl)pyridin-3-yl)-1-methyl-1H-benzo[d]imidazole (Compound 769). LCMS: rt 4.10 min (A), purity 99 %, MS (m/e) 320 MH^+.

[0998] 6-(2-(3-Chloro-5-fluorophenyl)pyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine (Compound 770). LCMS: rt 6.23 min (A), purity 99 %, MS (m/e) 325 MH^+.

[0999] 6-(2-(2,5-Dichlorophenyl)pyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine (Compound 771). LCMS: rt 6.30 min (A), purity 99 %, MS (m/e) 341 MH^+.

[1000] 6-(2-(3-Chloro-2-fluorophenyl)pyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine (Compound 772). LCMS: rt 5.91 min (A), purity 99 %, MS (m/e) 325 MH^+.

[1001] 6-(2-(3-Chloro-2-methylphenyl)pyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine (Compound 773). LCMS: rt 5.30 min (A), purity 99 %, MS (m/e) 321 MH^+.

[1002] 6-(2-(5-Chloro-2-methylphenyl)pyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine (Compound 774). LCMS: rt 5.75 min (A), purity 99 %, MS (m/e) 321 MH^+.

[1003] 6-(2-(3-Chloro-5-methylphenyl)pyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine (Compound 775). LCMS: rt 5.36 min (A), purity 99 %, MS (m/e) 321 MH^+.

[1004] 6-(2-(3,5-Dichlorophenyl)pyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine (Compound 776). LCMS: rt 6.80 min (A), purity 99 %, MS (m/e) 341 MH^+.

[1005] 6-(2-(3,4-Dimethylphenyl)pyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine (Compound 777). LCMS: rt 4.63 min (A), purity 99 %, MS (m/e) 301 MH^+.

[1006] 6-(2-(2,4-Dichlorophenyl)pyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine (Compound 778). LCMS: rt 6.21 min (A), purity 99 %, MS (m/e) 341 MH^+.

[1007] 6-(2-(3-Methoxyphenyl)pyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine (Compound 779). ^1H NMR (300 MHz, DMSO-d_6): δ 8.99 (dd, J = 1.9, 0.9 Hz, 1H), 8.77 (ddd, J = 4.9, 1.7, 0.6 Hz, 1H), 8.50 (s, 1H), 8.11 (dt, J = 7.8, 1.4 Hz, 1H), 7.70 (dd, J = 9.3, 0.9 Hz, 1H), 7.61 (ddd, J = 7.9, 4.9, 0.9 Hz, 1H), 7.29 (ddd, J = 9.2, 1.8, 0.6 Hz, 1H), 7.23 – 7.10 (m, 1H), 7.05 – 6.96 (m, 1H), 6.92 – 6.83 (m, 2H), 3.62 (s, 3H). LCMS: rt 4.26min (A), purity 99 %, MS (m/e) 303 MH^+.

[1008] 6-(2-(3-(Trifluoromethoxy)phenyl)pyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine (Compound 780). LCMS: rt 6.23 min (A), purity 99 %, MS (m/e) 357 MH^+.

[1009] 6-(2-Phenylpyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine (Compound 781). LCMS: rt 3.93 min (A), purity 99 %, MS (m/e) 273 MH^+.

[1010] 6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)-1H-benzo[d]imidazole (Compound 782). ^1H NMR (300 MHz, DMSO-d_6): δ 8.66 (dd, J = 4.7, 1.7 Hz, 1H), 8.36 (s, 1H), 7.88 (dd, J = 7.8,

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1.7 Hz, 1H), 7.60 – 7.45 (m, 4H), 7.27 – 7.10 (m, 2H), 7.01 (dd, J = 8.4, 1.7 Hz, 1H). ^{19}F NMR (282 MHz, DMSO-d_6): δ -117.47 – -117.92 (m). LCMS: rt 4.35 min (A), purity 99 %, MS (m/e) 324 MH^+.

[1011] 6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)-1H-benzo[d]imidazole (Compound 783). LCMS: rt 4.00 min (A), purity 99 %, MS (m/e) 306 MH^+.

[1012] 6-(2-(5-Chloro-2,4-difluorophenyl)pyridin-3-yl)-1-methyl-1H-benzo[d]imidazole (Compound 784). ^{1}H NMR (300 MHz, DMSO-d_6): δ 8.68 (dd, J = 4.7, 1.7 Hz, 1H), 8.16 (s, 1H), 7.97 (dd, J = 7.8, 1.7 Hz, 1H), 7.74 (dd, J = 8.4, 7.3 Hz, 1H), 7.58 (dd, J = 7.8, 4.8 Hz, 1H), 7.53 – 7.45 (m, 2H), 7.29 (t, J = 9.6 Hz, 1H), 6.92 (dd, J = 8.3, 1.7 Hz, 1H), 3.76 (s, 3H).^{19}F NMR (282 MHz, DMSO-d_6): δ -111.26 (q, J = 8.5 Hz), -112.11 (q, J = 8.9 Hz). LCMS: rt 5.05 min (A), purity 99 %, MS (m/e) 356 MH^+.

[1013] 6-(2-(5-Chloro-2-fluorophenyl)pyridin-3-yl)-1-methyl-1H-benzo[d]imidazole (Compound 785). LCMS: rt 4.78 min (A), purity 99 %, MS (m/e) 338 MH^+.

[1014] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-1H-indazol-3-amine (Compound 786). ^{1}H NMR (300 MHz, DMSO-d_6): δ 11.33 (s, 1H), 8.63 (dd, J = 4.7, 1.7 Hz, 1H), 7.82 (dd, J = 7.7, 1.7 Hz, 1H), 7.56 (dd, J = 8.3, 0.8 Hz, 1H), 7.43 (dd, J = 7.7, 4.7 Hz, 1H), 7.38 (ddd, J = 7.5, 2.2, 1.2 Hz, 1H), 7.05 (dd, J = 1.4, 0.8 Hz, 1H), 7.02 – 6.93 (m, 1H), 6.90 (dd, J = 9.7, 8.5 Hz, 1H), 6.63 (dd, J = 8.3, 1.4 Hz, 1H), 5.27 (s, 2H), 2.13 (s, 3H). LCMS: rt 4.13 min (A), purity 99 %, MS (m/e) 319 MH^+.

[1015] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-1-methyl-1H-indazol-3-amine (Compound 787). LCMS: rt 4.63 min (A), purity 99 %, MS (m/e) 333 MH^+.

[1016] 5-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-1H-indazol-3-amine (Compound 788). LCMS: rt 4.05 min (A), purity 99 %, MS (m/e) 319 MH^+.

[1017] 5-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-1-methyl-1H-indazol-3-amine (Compound 789). LCMS: rt 4.73 min (A), purity 99 %, MS (m/e) 333 MH^+.

[1018] (*S*)-6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(quinuclidin-3-yl)imidazo[1,2-a]pyridine-3-carboxamide (Compound 790). LCMS: rt 3.81 min (A), purity 99 %, MS (m/e) 456 MH^+.

[1019] (*R*)-6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(quinuclidin-3-yl)imidazo[1,2-a]pyridine-3-carboxamide (Compound 791). LCMS: rt 3.81 min (A), purity 99 %, MS (m/e) 456 MH^+.

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[1020] (*S*)-5-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(quinuclidin-3-yl)pyrazolo[1,5-a]pyridine-3-carboxamide (Compound 792). LCMS: rt 4.23 min (A), purity 99 %, MS (m/e) 456 MH^+.

[1021] (*R*)-5-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(quinuclidin-3-yl)pyrazolo[1,5-a]pyridine-3-carboxamide (Compound 793). LCMS: rt 4.23 min (A), purity 99 %, MS (m/e) 456 MH^+.

[1022] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)benzo[d]isoxazol-3-amine (Compound 794). ^1H NMR (300 MHz, DMSO-d_6): δ 8.67 (dd, J = 4.7, 1.7 Hz, 1H), 7.87 (dd, J = 7.8, 1.7 Hz, 1H), 7.68 (d, J = 8.1 Hz, 1H), 7.47 (dd, J = 7.8, 4.7 Hz, 1H), 7.40 – 7.25 (m, 2H), 7.02 – 6.82 (m, 3H), 6.35 (s, 2H), 2.13 (s, 3H). ^{19}F NMR (282 MHz, DMSO-d_6): δ -118.64 (app s). LCMS: rt 5.11 min (A), purity 99 %, MS (m/e) 320 MH^+.

[1023] 5-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)benzo[d]isoxazol-3-amine (Compound 795). LCMS: rt 5.11 min (A), purity 99 %, MS (m/e) 320 MH^+.

[1024] 5-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)benzo[d]isoxazol-3-amine (Compound 796). LCMS: rt 5.98 min (A), purity 99 %, MS (m/e) 340 MH^+.

[1025] 6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)benzo[d]isoxazol-3-amine (Compound 797). LCMS: rt 6.15 min (A), purity 99 %, MS (m/e) 340 MH^+.

[1026] 6-(2-(3-Chlorophenyl)pyridin-3-yl)benzo[d]isoxazol-3-amine (Compound 798). LCMS: rt 5.76 min (A), purity 99 %, MS (m/e) 321 MH^+.

[1027] 5-(2-(3-Chlorophenyl)pyridin-3-yl)benzo[d]isoxazol-3-amine (Compound 799). LCMS: rt 5.53 min (A), purity 99 %, MS (m/e) 322 MH^+.

[1028] 6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)-1H-indazol-3-amine (Compound 800). LCMS: rt 4.85 min (A), purity 99 %, MS (m/e) 339 MH^+.

[1029] 5-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)-1H-indazol-3-amine (Compound 801). LCMS: rt 4.63 min (A), purity 99 %, MS (m/e) 339 MH^+.

[1030] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-((tetrahydro-1H-pyrrolizin-7a(5H)-yl)methyl)imidazo[1,2-a]pyridine-3-carboxamide (Compound 802). LCMS: rt 4.08 min (A), purity 99 %, MS (m/e) 470 MH^+.

[1031] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(2-(tetrahydro-1H-pyrrolizin-7a(5H)-yl)ethyl)imidazo[1,2-a]pyridine-3-carboxamide (Compound 803). LCMS: rt 3.98 min (A), purity 99 %, MS (m/e) 484 MH^+.

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[1032] 5-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-((tetrahydro-1H-pyrrolizin-7a(5H)-yl)methyl)pyrazolo[1,5-a]pyridine-3-carboxamide (Compound 804). LCMS: rt 4.53 min (A), purity 99 %, MS (m/e) 470 MH^+.

[1033] 5-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(2-(tetrahydro-1H-pyrrolizin-7a(5H)-yl)ethyl)pyrazolo[1,5-a]pyridine-3-carboxamide (Compound 805). LCMS: rt 4.38 min (A), purity 99 %, MS (m/e) 484 MH^+.

[1034] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)pyrido[2,3-d]pyrimidin-4-amine (Compound 806). ^1H NMR (300 MHz, DMSO-d_6): δ 8.73 (app dd, J = 4.8, 1.9 Hz, 2H), 8.53 (app d, J = 2.7 Hz, 2H), 8.15 (s, 2H), 7.99 (dd, J = 7.8, 1.7 Hz, 1H), 7.56 (dd, J = 7.8, 4.8 Hz, 1H), 7.39 (ddt, J = 7.7, 2.1, 1.0 Hz, 1H), 6.99 – 6.93 (m, 2H), 2.16 (s, 3H). ^{19}F NMR (282 MHz, DMSO-d_6): δ -118.12 (dd, J = 9.1, 6.4 Hz). LCMS: rt 3.76 min (A), purity 99 %, MS (m/e) 332 MH^+.

[1035] 6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)pyrido[2,3-d]pyrimidin-4-amine (Compound 807). LCMS: rt 4.41 min (A), purity 99 %, MS (m/e) 352 MH^+.

[1036] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-7-methylimidazo[1,2-a]pyridine (Compound 808). ^1H NMR (300 MHz, DMSO-d_6): δ 8.87 (s, 1H), 8.80 (dd, J = 4.8, 1.7 Hz, 1H), 8.21 (dd, J = 2.1, 0.7 Hz, 1H), 8.14 (d, J = 2.1 Hz, 1H), 7.86 (dd, J = 7.7, 1.7 Hz, 1H), 7.77 (q, J = 1.0 Hz, 1H), 7.56 (dd, J = 7.7, 4.8 Hz, 1H), 7.45 (ddd, J = 7.6, 2.4, 1.0 Hz, 1H), 7.06 (ddd, J = 7.8, 5.0, 2.3 Hz, 1H), 6.94 (dd, J = 9.6, 8.5 Hz, 1H), 2.14 (s, 3H), 1.96 (app s, 3H). ^{19}F NMR (282 MHz, DMSO-d_6): δ -117.60 (app s). LCMS: rt4.23 min (A), purity 99 %, MS (m/e) 318 MH^+.

[1037] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-5-methyl-[1,2,4]triazolo[1,5-a]pyridine (Compound 809). LCMS: rt 5.08 min (A), purity 99 %, MS (m/e) 319 MH^+.

[1038] 6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)-5-methyl-[1,2,4]triazolo[1,5-a]pyridine (Compound 810). ^1H NMR (300 MHz, DMSO-d_6): δ 8.78 (dd, J = 4.8, 1.7 Hz, 1H), 8.53 (s, 1H), 7.99 – 7.92 (m, 1H), 7.72 (dd, J = 9.2, 0.8 Hz, 1H), 7.64 – 7.55 (m, 2H), 7.45 (d, J = 9.1 Hz, 1H), 7.24 (t, J = 8.9 Hz, 1H), 7.16 (ddd, J = 8.6, 4.9, 2.1 Hz, 1H), 2.41 (s, 3H). ^{19}F NMR (282 MHz, DMSO-d_6): δ -116.72 (app td, J = 8.3, 5.1 Hz). LCMS: rt 6.15 min (A), purity 99 %, MS (m/e) 339 MH^+.

[1039] 6-(2-(3-Chlorophenyl)pyridin-3-yl)-5-methyl-[1,2,4]triazolo[1,5-a]pyridine (Compound 811). LCMS: rt 5.71 min (A), purity 99 %, MS (m/e) 321 MH^+.

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[1040] 6-(2-(5-Chloro-2-fluorophenyl)pyridin-3-yl)-5-methyl-[1,2,4]triazolo[1,5-a]pyridine (Compound 812). LCMS: rt 6.35 min (A), purity 99 %, MS (m/e) 339 MH^+.

[1041] 6-(2-(5-Chloro-2,4-difluorophenyl)pyridin-3-yl)-5-methyl-[1,2,4]triazolo[1,5-a]pyridine (Compound 813). LCMS: rt 6.65 min (A), purity 99 %, MS (m/e) 357 MH^+.

[1042] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-7-methyl-[1,2,4]triazolo[1,5-a]pyridine (Compound 814). ^1H NMR (300 MHz, DMSO-d_6): δ 8.92 (s, 1H), 8.79 (ddd, J = 4.9, 1.7, 0.8 Hz, 1H), 8.47 (d, J = 0.9 Hz, 1H), 7.97 (ddd, J = 7.7, 1.7, 0.9 Hz, 1H), 7.67 (q, J = 1.0 Hz, 1H), 7.60 (ddd, J = 7.7, 4.9, 0.9 Hz, 1H), 7.43 (ddd, J = 7.6, 2.3, 1.0 Hz, 1H), 7.09 (ddd, J = 8.5, 5.1, 2.3 Hz, 1H), 6.97 (dd, J = 9.7, 8.6 Hz, 1H), 2.12 (s, 3H), 1.92 (s, 3H). ^{19}F NMR (282 MHz, DMSO-d_6): δ -117.35 (app s). LCMS: rt 5.08 min (A), purity 99 %, MS (m/e) 319 MH^+.

[1043] N-(2-Acetamidoethyl)-6-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,2-a]pyridine-3-carboxamide (Compound 815). LCMS: rt 3.93 min (A), purity 99 %, MS (m/e) 432 MH^+.

[1044] N-(3-Acetamidopropyl)-6-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,2-a]pyridine-3-carboxamide (Compound 816). LCMS: rt 4.03 min (A), purity 99 %, MS (m/e) 446 MH^+.

[1045] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(2-(2-oxooxazolidin-3-yl)ethyl)imidazo[1,2-a]pyridine-3-carboxamide (Compound 817). LCMS: rt 4.16 min (A), purity 99 %, MS (m/e) 460 MH^+.

[1046] 6-(2-(5-Chloro-2-fluorophenyl)pyridin-3-yl)quinazolin-4-amine (Compound 818). ^1H NMR (300 MHz, DMSO-d_6): δ 8.73 (dd, J = 4.8, 1.6 Hz, 1H), 8.34 (s, 1H), 8.25 – 8.18 (m, 1H), 8.00 (dd, J = 7.8, 1.7 Hz, 1H), 7.83 – 7.66 (br s, 2H), 7.66 – 7.56 (m, 2H), 7.48 (d, J = 8.6 Hz, 1H), 7.45 – 7.35 (m, 2H), 7.02 (dd, J = 9.6, 8.8 Hz, 1H). ^{19}F NMR (282 MHz, DMSO-d_6): δ -117.11 – -117.25 (app m). LCMS: rt 4.55 min (A), purity 99 %, MS (m/e) 351 MH^+.

[1047] 6-(2-(5-Chloro-2,4-difluorophenyl)pyridin-3-yl)quinazolin-4-amine (Compound 819). ^1H NMR (300 MHz, DMSO-d_6): δ 8.73 (dd, J = 4.8, 1.6 Hz, 1H), 8.36 (s, 1H), 8.21 (d, J = 1.9 Hz, 1H), 8.01 (dd, J = 7.8, 1.7 Hz, 1H), 7.80 (dd, J = 8.5, 7.3 Hz, 1H), 7.75 (br s,2H), 7.63 (dd, J = 7.8, 4.8 Hz, 1H), 7.52 (d, J = 8.6 Hz, 1H), 7.43 (dd, J = 8.6, 1.9 Hz, 1H), 7.31 (t, J = 9.7 Hz, 1H). ^{19}F NMR (282 MHz, DMSO-d_6): δ -111.32 (q, J = 8.8 Hz), -111.57 (q, J = 8.9 Hz).LCMS: rt 4.80 min (A), purity 99 %, MS (m/e) 369 MH^+.

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[1048] 6-(2-(5-Chloro-2-fluorophenyl)pyridin-3-yl)-4-methoxyquinazoline (Compound 820). ^{1}H NMR (300 MHz, DMSO-d_6): δ 8.78 (s, 1H), 8.75 (dd, J = 4.8, 1.6 Hz, 1H), 8.05 (dd, J = 8.6, 1.6 Hz 1H), 8.00 (dd, J = 2.1, 0.6 Hz, 1H), 7.79 (dd, J = 8.6, 0.6 Hz, 1H), 7.70 – 7.58 (m, 3H), 7.42 (ddd, J = 8.8, 4.4, 2.8 Hz, 1H), 7.03 (dd, J = 9.6, 8.9 Hz, 1H), 4.09 (s, 3H). ^{19}F NMR (282 MHz, DMSO-d_6): δ -117.61 (ddd, J = 10.1, 6.3, 4.4 Hz). LCMS: rt 6.91 min (A), purity 99 %, MS (m/e) 366 MH^+.

[1049] 6-(2-(5-Chloro-2,4-difluorophenyl)pyridin-3-yl)-4-methoxyquinazoline (Compound 821). ^{1}H NMR (300 MHz, DMSO-d_6): δ 8.79 (s, 1H), 8.75 (dd, J = 4.8, 1.6 Hz, 1H), 8.06 (dd, J = 7.8, 1.6 Hz, 1H), 8.01 (dd, J = 2.1, 0.6 Hz, 1H), 7.86 (d, J = 7.4 Hz,1H), 7.81 (d, J = 8.8 Hz, 1H), 7.70 – 7.57 (m, 2H), 7.32 (t, J = 9.7 Hz, 1H), 4.10 (s, 3H). ^{19}F NMR (282 MHz, DMSO-d_6): δ -111.35 (q, J = 8.9 Hz), -111.82 (q, J = 8.7 Hz). LCMS: rt 7.26 min (A), purity 99 %, MS (m/e) 384 MH^+.

[1050] 6-(2-(5-Chloro-2-fluorophenyl)pyridin-3-yl)quinazolin-4(3H)-one (Compound 822). ^{1}H NMR (300 MHz, DMSO-d_6): δ 12.25 (br, 1H), 8.73 (dd, J = 4.8, 1.6 Hz, 1H), 8.08 (s, 1H), 8.00 (dd, J = 7.8, 1.5 Hz, 1H), 7.92 (dd, J = 1.7, 1.0 Hz, 1H), 7.67 – 7.53 (m, 4H), 7.42 (ddd, J = 8.9, 4.4, 2.8 Hz, 1H), 7.06 (dd, J = 9.6, 8.8 Hz, 1H).LCMS: rt 5.53 min (A), purity 99 %, MS (m/e) 352 MH^+.

[1051] 6-(2-(5-Chloro-2,4-difluorophenyl)pyridin-3-yl)quinazolin-4(3H)-one (Compound 823). ^{1}H NMR (300 MHz, DMSO-d_6): δ 12.28 (s, 1H), 8.73 (dd, J = 4.8, 1.6 Hz, 1H), 8.08 (s, 1H), 8.01 (dd, J = 7.8, 1.6 Hz, 1H), 7.93 (t, J = 1.4 Hz, 1H), 7.83 (dd, J = 8.5, 7.3 Hz, 1H), 7.63 – 7.59 (m, 1H), 7.58 (d, J = 1.4 Hz, 2H), 7.36 (t, J = 9.6 Hz, 1H). ^{19}F NMR (282 MHz, DMSO-d_6): δ -111.43 (q, J = 9.0 Hz), -111.78 (q, J = 8.7 Hz). LCMS: rt 5.83 min (A), purity 99 %, MS (m/e) 370 MH^+.

[1052] 2-(2,3-Dihydro-1H-inden-5-yl)-3,4'-bipyridine (Compound 824). LCMS: rt 4.23 min (A), purity 99 %, MS (m/e) 273 MH^+.

[1053] 6-(2-(2,3-Dihydro-1H-inden-5-yl)pyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine (Compound 825). ^{1}H NMR (300 MHz, DMSO-d_6): δ 9.00 (dd, J = 1.8, 0.9 Hz, 1H), 8.70 (dd, J = 4.8, 1.7 Hz, 1H), 8.50 (s, 1H), 7.97 (dd, J = 7.7, 1.7 Hz, 1H), 7.68 (dd, J = 9.2, 0.9 Hz, 1H), 7.48 (dd, J = 7.8, 4.8 Hz, 1H), 7.35 (d, J = 1.5 Hz, 1H), 7.24 (dd, J = 9.2, 1.8 Hz, 1H), 7.05 (d, J = 7.9 Hz, 1H), 6.99 (dd, J = 7.7, 1.6 Hz, 1H), 2.78 (q, J = 8.1 Hz, 4H), 1.96 (p, J = 7.5 Hz, 2H). LCMS: rt 4.84 min (A), purity 99 %, MS (m/e) 313 MH^+.

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[1054] 6-(2-(2,3-Dihydro-1H-inden-5-yl)pyridin-3-yl)imidazo[1,2-a]pyridine-3-carbonitrile (Compound 826). LCMS: rt 5.46 min (A), purity 99 %, MS (m/e) 337 MH^+.

[1055] 6-(2-(2,3-Dihydro-1H-inden-5-yl)pyridin-3-yl)imidazo[1,2-a]pyridine (Compound 827). LCMS: rt 3.81 min (A), purity 99 %, MS (m/e) 312 MH^+.

[1056] 3-(Benzo[d][1,3]dioxol-5-yl)-2-(2,3-dihydro-1H-inden-5-yl)pyridine (Compound 828). LCMS: rt 6.06 min (A), purity 99 %, MS (m/e) 316 MH^+.

[1057] 4-(2-(2,3-Dihydro-1H-inden-5-yl)pyridin-3-yl)quinolone (Compound 829). LCMS: rt 5.78 min (A), purity 99 %, MS (m/e) 323 MH^+.

[1058] 6-(2-(2,3-Dihydro-1H-inden-5-yl)pyridin-3-yl)benzo[d]thiazole (Compound 830). LCMS: rt 5.68 min (A), purity 99 %, MS (m/e) 329 MH^+.

[1059] 6-(2-(2,3-Dihydro-1H-inden-5-yl)pyridin-3-yl)quinoxaline (Compound 831). LCMS: rt 5.39 min (A), purity 99 %, MS (m/e) 324 MH^+.

[1060] 5-([3,4'-Bipyridin]-2-yl)-2,3-dihydro-1H-inden-1-one (Compound 832). ^1H NMR (300 MHz, DMSO-d_6): δ 8.76 (dd, J = 4.8, 1.7 Hz, 1H), 8.53 – 8.45 (m, 2H), 7.94 (dd, J = 7.8, 1.7 Hz, 1H), 7.63 – 7.52 (m, 2H), 7.48 (dd, J = 8.0, 0.8 Hz, 1H), 7.24 – 7.16 (m, 3H), 3.09 – 2.92 (m, 2H), 2.62 (d, J = 11.8 Hz, 2H). LCMS: rt 3.61 min (A), purity 99 %, MS (m/e) 287 MH^+.

[1061] 2-(3-Methyl-1H-inden-6-yl)-3,4'-bipyridine (Compound 833). MeMgBr [2 mL, 1.4 M solution in toluene/THF (75:25)] was added to a stirring solution of 5-([3,4'-bipyridin]-2-yl)-2,3-dihydro-1H-inden-1-one (175 mg) in THF (4 mL) at -78 °C under argon. The reaction was stirred for 30 min and warmed to room temperature after complete addition of MeMgBr and. After 1h, the complete consumption of 5-([3,4'-bipyridin]-2-yl)-2,3-dihydro-1H-inden-1-one to 5-([3,4'-bipyridin]-2-yl)-1-methyl-2,3-dihydro-1H-inden-1-ol was observed. Subsequently, the reaction was cooled in ice-bath, quenched with conc. HCl (5 mL) over a period of 30 min. The cooling was removed and allowed the reaction to warm to temperature. After 4h, the reaction mixture was concentrated, diluted with EtOAc (50 mL) and aq. $NaHCO_3$ solution (15 mL). Aqueous layer was discarded, washed the organic layer with brine, dried over $MgSO_4$, filtered, concentrated and purified by flash column chromatography (Combiflash® companion system® with RediSep® silica gel column 12 g, 30-50-70% EtOAc/hexanes as an eluting solvent) to obtain 2-(3-Methyl-1H-inden-6-yl)-3,4'-bipyridine as an oil. LCMS: rt 4.47 min (A), purity 95 %, MS (m/e) 285(MH^+).

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[1062] *rac*-5-([3,4'-Bipyridin]-2-yl)-2,3-dihydro-1H-inden-1-ol (Compound 834). Sodium borohydride (21 mg) was added to a stirring solution of 5-([3,4'-bipyridin]-2-yl)-2,3-dihydro-1H-inden-1-one (162 mg) in MeOH (4 mL). The reaction mixture was concentrated and quenched with aq. NH_4Cl. The resultant solid was extracted into CH_2Cl_2 (2x20 mL). Combined organic layers were stirred over MgSO4, filtered and concentrated. Flash chromatographic purification (Combiflash® companion system® with RediSep® silica gel column 12 g, 50-75% EtOAc/hexanes as eluting solvent) provided *rac*-5-([3,4'-bipyridin]-2-yl)-2,3-dihydro-1H-inden-1-ol (170mg) as a white solid. ^{1}H NMR (300 MHz, DMSO-d_6): δ 8.69 (dd, J = 4.8, 1.6 Hz, 1H), 8.48 (d, J = 6.0 Hz, 2H), 7.85 (dd, J = 7.8, 1.7 Hz, 1H), 7.48 (dd, J = 7.8, 4.7 Hz, 1H), 7.25 – 7.11 (m, 4H), 7.00 (dd, J = 7.8, 1.5 Hz, 1H), 5.29 – 5.20 (m, 1H), 5.00 (q, J = 6.4 Hz, 1H), 2.81 (ddd, J = 16.0, 8.7, 3.9 Hz, 1H), 2.61 (dt, J = 15.9, 8.0 Hz, 1H), 2.36 – 2.21 (m, 1H), 1.74 (dtd, J = 12.8, 8.2, 6.3 Hz, 1H). LCMS: rt 2.81 min (A), purity 99 %, MS (m/e) 289 (MH^+).

[1063] (E/Z)-5-([3,4'-bipyridin]-2-yl)-2,3-dihydro-1H-inden-1-one oxime (Compound 835). 5-([3,4'-Bipyridin]-2-yl)-2,3-dihydro-1H-inden-1-one (162 mg), $NH_2OH.HCl$ (55 mg) and NaOAc (84 mg) were stirred and heated at 80 °C for 4h in EtOH(3 mL). The reaction mixture was diluted with water and filtered the solid The solid was washed with water and dried to obtain 130 mg of (E/Z)-5-([3,4'-bipyridin]-2-yl)-2,3-dihydro-1H-inden-1-one oxime as a white solid. ^{1}H NMR (300 MHz, DMSO-d_6): δ 10.93 (s, 1H), 8.71 (dd, J = 4.7, 1.7 Hz, 1H), 8.50 – 8.45 (m, 2H), 7.88 (dd, J = 7.8, 1.7 Hz, 1H), 7.51 (dd, J = 7.8, 4.8 Hz, 1H), 7.41 – 7.33 (m, 2H), 7.23 – 7.16 (m, 2H), 7.05 (dd, J = 7.9, 1.6 Hz, 1H), 2.97 – 2.83 (m, 2H), 2.76 (ddd, J = 9.7, 5.3, 2.1 Hz, 2H). LCMS: rt 3.56 min (A), purity 99 %, MS (m/e) 302 MH^+.

[1064] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)quinolin-4-amine (Compound 836). LCMS: rt 3.98 min (A), purity 99 %, MS (m/e) 330 MH^+.

[1065] 6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)quinolin-4-amine (Compound 837). ^{1}H NMR (300 MHz, DMSO-d_6): δ 8.72 (dd, J = 4.7, 1.7 Hz, 1H), 8.36 – 8.28 (m, 2H), 7.96 (dd, J = 7.8, 1.7 Hz, 1H), 7.73 (d, J = 9.9 Hz, 2H), 7.66 (d, J = 8.7 Hz, 1H), 7.61 – 7.54 (m, 2H), 7.38 (dt, J = 8.8, 1.6 Hz, 1H), 7.23 (dd, J = 9.3, 8.6 Hz, 1H), 7.13 (ddd, J = 8.6, 4.8, 2.2 Hz, 1H), 6.64 (dd, J = 6.0, 1.3 Hz, 1H). ^{19}F NMR (282 MHz, DMSO-d_6): δ -117.19 (td, J = 8.3, 4.9 Hz). LCMS: rt 4.70 min (A), purity 99 %, MS (m/e) 350 MH^+.

[1066] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-4-methoxyquinoline (Compound 838). ^{1}H NMR (300 MHz, DMSO-d_6): δ 8.72 (d, J = 5.2 Hz, 1H), 8.68 (dd, J = 4.7, 1.7 Hz, 1H), 8.07 (dd,

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J = 2.1, 0.6 Hz, 1H), 7.92 (dd, J = 7.7, 1.7 Hz, 1H), 7.77 (dd, J = 8.7, 0.6 Hz, 1H), 7.48 (dd, J = 7.7, 4.7 Hz, 1H), 7.41 – 7.36 (m, 1H), 7.33 (dd, J = 8.7, 2.1 Hz, 1H), 7.03 (d, J = 5.3 Hz, 1H), 6.98 – 6.84 (m, 2H), 4.01 (s, 3H), 2.12 (s, 3H). ^{19}F NMR (282 MHz, DMSO-d_6): δ -118.46 – -118.69 (m). LCMS: rt 4.30 min (A), purity 99 %, MS (m/e) 345 MH^+.

[1067] 6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)-4-methoxyquinoline (Compound 839). LCMS: rt 5.08 min (A), purity 99 %, MS (m/e) 365 MH^+.

[1068] 6-(2-(5-Chloro-2-fluorophenyl)pyridin-3-yl)-4-methoxyquinoline (Compound 840). LCMS: rt 5.28 min (A), purity 99 %, MS (m/e) 365 MH^+.

[1069] 6-(2-(3-Chlorophenyl)pyridin-3-yl)-4-methoxyquinoline (Compound 841). LCMS: rt 4.76 min (A), purity 99 %, MS (m/e) 347 MH^+.

[1070] 6-(2-(5-Chloro-2,4-difluorophenyl)pyridin-3-yl)-4-methoxyquinoline (Compound 842). LCMS: rt 5.52 min (A), purity 99 %, MS (m/e) 383 MH^+.

[1071] 6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)imidazo[1,2-a]pyridine-3-carboxamide (Compound 843). Conc. H_2SO_4 was added dropwise to stirring TFA at room temperature for 5 min. 6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)imidazo[1,2-a]pyridine-3-carbonitrile (870 mg) was added all at once to the homogenous solution after fuming subsided from acid mixture. Additional TFA and conc.H_2SO_4 were added successively to the heterogeneous reaction mixture. After 6h, the reaction mixture was added to ice/water and stirred. The homogeneous solution was basified with aq. NaOH (50%). The resultant heterogeneous slurry was filtered and dried. Subsequently, the white solid was purified by flash chromatography (Combiflash® companion system® with RediSep® silica gel column 24 g, 70% EtOAc/hexanes-3% MeOH/EtOH) to obtain 6-(2-(3-chloro-4-fluorophenyl)pyridin-3-yl)imidazo[1,2-a]pyridine-3-carboxamide (720 mg). ^{1}H NMR (300 MHz, DMSO-d_6): δ 9.48 (s, 1H), 8.72 (dd, J = 4.8, 1.6 Hz, 1H), 8.33 (s, 1H), 7.97 (dd, J = 7.8, 1.7 Hz, 2H), 7.64 (d, J = 6.8 Hz, 1H), 7.61 – 7.57 (m, 1H), 7.55 (dd, J = 7.9, 4.9 Hz, 1H), 7.52-7.48 (br s, 2H), 7.26 (dd, J = 7.7, 1.5 Hz, 1H), 7.10 (dd, J = 9.4, 1.9 Hz, 1H). ^{19}F NMR (282 MHz, DMSO-d_6): δ -117.01 (q, J = 7.5, 7.1 Hz). LCMS: rt 4.65 min (A), purity 99 %, MS (m/e) 367 MH^+.

[1072] 6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)-3-(1H-1,2,4-triazol-5-yl)imidazo[1,2-a]pyridine (Compound 844). 6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)imidazo[1,2-a]pyridine-3-carboxamide (290 mg) and DMF.DMA (5 mL) were heated at 95 °C and stirred in a screw capped vial overnight. The pale yellow homogeneous reaction mixture was cooled to

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room temperature and concentrated the heterogeneous slurry by rotary evaporator under vacuum. The off- white solid residue was transferred to a screw capped vial, treated with AcOH (3 mL) dropwise for 3 min followed by $N_2H_4.H_2O$ (0.05 mL) and heated for 1h at 90 °C. The homogeneous reaction mixture was concentrated, diluted with ice/water and allowed the resulting suspension warm to room temperature. Upon filtration, the collected solid was neutralized with aq. $NaHCO_3$ and filtered again to obtain 6-(2-(3-chloro-4-fluorophenyl)pyridin-3-yl)-3-(1H-1,2,4-triazol-5-yl)imidazo[1,2-a]pyridine as an off-white solid (220 mg). ^{1}H NMR (300 MHz, DMSO-d_6): δ 14.03 (br s , 1H), 9.46 (dd, J = 1.9, 1.0 Hz, 1H), 8.79 – 8.70 (m, 1H), 8.70 – 8.52 (m, 1H), 8.18 (s, 1H), 8.02 (dd, J = 7.8, 1.6 Hz, 1H), 7.67 (dd, J = 6.2, 1.4 Hz, 1H), 7.61 (dd, J = 9.3, 1.0 Hz, 1H), 7.56 (dd, J = 7.8, 4.7 Hz, 1H), 7.28 (d, J = 0.7 Hz, 1H), 7.27 – 7.20 (m, 1H), 7.04 (dd, J = 9.3, 1.8 Hz, 1H). ^{19}F NMR (282 MHz, DMSO-d_6): δ -114.49 – -119.56 (m). LCMS: rt 4.70 min (A), purity 99 %, MS (m/e) 391 MH^+.

[1073] 6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)-3-(1H-imidazol-2-yl)imidazo[1,2-a]pyridine (Compound 845). Aminoacetaldeyde (0.2 mL, 0.193 g, 1.72mmol) was added to dry THF(8 mL) under argon and cooled the homogenous solution to -78 °C and stirred for 5 min. *n*-BuLi (1.2 mL, 1.6 M solution in hexanes, 1.97 mmol) was added dropwise for 10 min and stirred for 30 min. 6-(2-(3-chloro-4-fluorophenyl)pyridin-3-yl)imidazo[1,2-a]pyridine-3-carbonitrile (0.3 g, 0.86 mmol) dissolved in dry THF (5 mL) was added to the above faint yellow homogeneous solution. The red solution was cooled and stirred at 0 °C for 2h. Subsequently, dark reaction mixture was concentrated under vacuum by rotary evaporator, treated with 6N aq. HCl (15 mL), stirred and heated at 90 ^{0}C for 2h. The reaction mixture was concentrated, neutralized with aq. NaOH and extracted into CH_2Cl_2. Workup and purification by preparative HPLC provided (6-(2-(3-chloro-4-fluorophenyl)pyridin-3-yl)imidazo[1,2-a]pyridine-3-carbonitrile (120 mg). ^{1}H NMR (300 MHz, DMSO-d_6): δ 12.70 (s, 1H), 9.73 (s, 1H), 8.73 (dd, J = 4.7, 1.5 Hz, 1H), 8.14 (s, 1H), 8.00 (dd, J = 7.8, 1.6 Hz, 1H), 7.67 (d, J = 6.4 Hz, 1H), 7.62 – 7.50 (m, 3H), 7.34 – 7.18 (m, 3H), 7.02 (dd, J = 9.3, 1.9 Hz, 1H). ^{19}F NMR (282 MHz, DMSO-d_6): δ -117.11 (q, J = 7.3 Hz). LCMS: rt 4.81 min (A), purity 99 %, MS (m/e) 390 MH^+.

[1074] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)quinoline-4-carboxamide (Compound 846). ^{1}H NMR (300 MHz, DMSO-d_6): δ 8.99 (d, J = 4.4 Hz, 1H), 8.76 (dd, J = 5.0, 1.6 Hz, 1H), 8.24 (t, J = 2.2 Hz, 2H), 8.09 (dd, J = 7.8, 1.6 Hz, 1H), 7.95 (d, J = 8.7 Hz, 1H), 7.90 (s, 2H), 7.71 – 7.58 (m, 2H), 7.44 (ddd, J = 11.6, 8.1, 2.0 Hz, 2H), 7.06 – 6.87 (m, 1H), 2.14 (d, J = 1.9 Hz, 3H).

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^{19}F NMR (282 MHz, DMSO-d_6): δ -117.44 (s). LCMS: rt 4.11 min (A), purity 99 %, MS (m/e) 358 MH^+.

[1075] 6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)quinoline-4-carboxamide (Compound 847). LCMS: rt 4.76 min (A), purity 99 %, MS (m/e) 378 MH^+.

[1076] 6-(2-(3-Chlorophenyl)pyridin-3-yl)quinoline-4-carboxamide (Compound 848). LCMS: rt 4.36 min (A), purity 99 %, MS (m/e) 360 MH^+.

[1077] 6-(2-(5-Chloro-2-fluorophenyl)pyridin-3-yl)quinoline-4-carboxamide (Compound 849). LCMS: rt 4.86 min (A), purity 99 %, MS (m/e) 378 MH^+.

[1078] 6-(2-(5-Chloro-2,4-difluorophenyl)pyridin-3-yl)quinoline-4-carboxamide (Compound 850). LCMS: rt 5.20 min (A), purity 99 %, MS (m/e) 396 MH^+.

[1079] 7-(2-(5-Chloro-2-fluorophenyl)pyridin-3-yl)-[1,2,4]triazolo[4,3-a]pyridine (Compound 851). ^{1}H NMR (300 MHz, DMSO-d_6): δ 9.20 (t, J = 0.8 Hz, 1H), 8.76 (ddd, J = 4.8, 1.6, 0.6 Hz, 1H), 8.47 (dt, J = 7.2, 0.9 Hz, 1H), 8.05 (ddd, J = 7.9, 1.7, 0.6 Hz, 1H), 7.70 – 7.59 (m, 3H), 7.46 (dddd, J = 8.9, 4.3, 2.8, 0.6 Hz, 1H), 7.11 (ddd, J = 9.5, 8.8, 0.6 Hz, 1H), 6.76 (ddd, J = 7.1, 1.6, 0.6 Hz, 1H). ^{19}F NMR (282 MHz, DMSO-d_6): δ -115.57 – -119.56 (m). LCMS: rt 5.00 min (A), purity 99 %, MS (m/e) 325 MH^+.

[1080] 6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)-3-(1H-pyrazol-3-yl)imidazo[1,2-a]pyridine (Compound 852). 1-(6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)imidazo[1,2-a]pyridin-3-yl)ethan-1-one (300 mg) and DMF.DMA (5 mL) were heated at 95 ^{0}C and stirred in a screw capped vial overnight. The reaction mixture was concentrated and the semi-solid residue was diluted with Et_2O/hexanes (1:1). The solid was filtered and dried. The enamine (75 mg) and the hydrazine (1.2 eq) were heated in a screw capped vial at 100 ^{0}C for 12 h. The reaction mixture was concentrated and purified by preparative HPLC. ^{1}H NMR (300 MHz, DMSO-d_6): δ 13.02 (br s), 9.71 (dd, J = 1.8, 1.0 Hz, 1H), 8.79 (dd, J = 4.8, 1.6 Hz, 1H), 8.62 (s, 1H), 8.06 (dd, J = 7.8, 1.7 Hz, 1H), 8.01 (d, J = 2.4 Hz, 1H), 7.89 (dd, J = 9.3, 0.9 Hz, 1H), 7.74 (dd, J = 7.3, 2.1 Hz, 1H), 7.62 (dd, J = 7.8, 4.8 Hz, 1H), 7.50 (dd, J = 9.3, 1.7 Hz, 1H), 7.37 – 7.14 (m, 2H), 6.95 (d, J = 2.4 Hz, 1H). ^{19}F NMR (282 MHz, DMSO-d_6): δ -116.76 (q, J = 7.3 Hz). LCMS: rt 4.98 min (A), purity 99 %, MS (m/e) 390 MH^+.

[1081] 6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)-3-(1-methyl-1H-pyrazol-3-yl)imidazo[1,2-a]pyridine (Compound 853). 6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)-3-(1-methyl-1H-pyrazol-3-yl)imidazo[1,2-a]pyridine was prepared by the reaction of $MeNHNH_2$ and enamine,

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analogous to the preparation of 6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)-3-(1H-pyrazol-3-yl)imidazo[1,2-a]pyridine. ^{1}H NMR (300 MHz, DMSO-d_6): δ 8.74 (dd, J = 4.8, 1.6 Hz, 1H), 8.43 (dd, J = 1.7, 0.9 Hz, 1H), 8.42 (s, 1H), 8.04 (dd, J = 7.8, 1.6 Hz, 1H), 7.89 (dd, J = 9.4, 0.8 Hz, 1H), 7.73 (dt, J = 8.1, 1.1 Hz, 1H), 7.67 (d, J = 2.0 Hz, 1H), 7.56 (dd, J = 7.8, 4.8 Hz, 1H), 7.51 (dd, J = 9.3, 1.6 Hz, 1H), 7.31 – 7.21 (m, 2H), 6.74 (d, J = 2.0 Hz, 1H), 3.82 (s, 3H). ^{19}F NMR (282 MHz, DMSO-d_6): δ -116.76 (q, J = 7.3 Hz). LCMS: rt 5.09 min (A), purity 99 %, MS (m/e) 404 MH^+.

[1082] 7-(2-(4-Fluoro-3-methylphenyl)-5-methoxypyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine (Compound 854). LCMS: rt 5.78 min (A), purity 99 %, MS (m/e) 335 MH^+.

[1083] 7-(2-(3-Chlorophenyl)-5-methoxypyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine (Compound 855). ^{1}H NMR (300 MHz, DMSO-d_6): δ 8.85 (dd, J = 7.1, 0.9 Hz, 1H), 8.52 (s, 1H), 8.47 (d, J = 2.8 Hz, 1H), 7.94 – 7.89 (m, 1H), 7.62 (d, J = 2.8 Hz, 1H), 7.45 (t, J = 1.9 Hz, 1H), 7.32 (ddd, J = 8.0, 2.2, 1.2 Hz, 1H), 7.22 (t, J = 7.8 Hz, 1H), 7.12 (dt, J = 7.7, 1.4 Hz, 1H), 6.85 (dd, J = 7.1, 1.9 Hz, 1H), 3.94 (s, 3H). LCMS: rt 6.40 min (A), purity 99 %, MS (m/e) 337 MH^+.

[1084] 7-(2-(3-Chloro-4-fluorophenyl)-5-methoxypyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine (Compound 856). LCMS: rt 6.75 min (A), purity 99 %, MS (m/e) 355 MH^+.

[1085] 7-(2-(5-Chloro-2,4-difluorophenyl)-5-methoxypyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine (Compound 857). LCMS: rt 6.71 min (A), purity 99 %, MS (m/e) 373 MH^+.

[1086] 7-(2-(5-Chloro-2-fluorophenyl)-5-methoxypyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine (Compound 858). LCMS: rt 6.71 min (A), purity 99 %, MS (m/e) 355 MH^+.

[1087] 7-(2-(3-(Difluoro-l3-methyl)-l2-fluoranyl)phenyl)-5-methoxypyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine (Compound 859). LCMS: rt 7.05 min (A), purity 99 %, MS (m/e) 372 MH^+.

[1088] 7-(2-(3-Chloro-4-fluorophenyl)-5-fluoropyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine (Compound 860). ^{1}H NMR (300 MHz, DMSO-d_6): δ 8.87 (dd, J = 7.0, 0.9 Hz, 1H), 8.77 (d, J = 2.8 Hz, 1H), 8.53 (s, 1H), 8.07 (dd, J = 9.3, 2.8 Hz, 1H), 7.93 (dd, J = 1.9, 0.9 Hz, 1H), 7.64 (dd, J = 7.3, 2.2 Hz, 1H), 7.28 (dd, J = 9.3, 8.6 Hz, 1H), 7.19 (ddd, J = 8.6, 4.9, 2.2 Hz, 1H), 6.88 (dd, J = 7.1, 1.9 Hz, 1H). ^{19}F NMR (282 MHz, DMSO-d_6): δ -116.71 (ddd, J = 9.4, 7.3, 4.8 Hz), -129.02 (d, J = 9.2 Hz). LCMS: rt 7.28 min (A), purity 99 %, MS (m/e) 343 MH^+.

[1089] 7-(2-(5-Chloro-2,4-difluorophenyl)-5-fluoropyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine (Compound 861). LCMS: rt 7.30 min (A), purity 99 %, MS (m/e) 361 MH^+.

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[1090] 7-(2-(5-Chloro-2-fluorophenyl)-5-fluoropyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine (Compound 862). LCMS: rt 7.08 min (A), purity 99 %, MS (m/e) 343 MH^+.

[1091] 7-(2-(3-((Difluoro-l3-methyl)-l2-fluoranyl)phenyl)-5-fluoropyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine (Compound 863). LCMS: rt 7.55 min (A), purity 99 %, MS (m/e) 370 MH^+.

[1092] 7-(2-(3-Chloro-4-fluorophenyl)-5-methylpyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine (Compound 864). ^1H NMR (300 MHz, DMSO-d_6): δ 8.85 (dd, J = 7.0, 0.9 Hz, 1H), 8.60 (d, J = 1.8 Hz, 1H), 8.52 (s, 1H), 7.90 (s, 1H), 7.86 (s, 1H), 7.65 (dd, J = 7.3, 2.1 Hz, 1H), 7.27 (t, J = 8.9 Hz, 1H), 7.19 (ddd, J = 8.5, 4.9, 2.2 Hz, 1H), 6.85 (dd, J = 7.1, 1.8 Hz, 1H), 2.42 (s, 3H). ^{19}F NMR (282 MHz, DMSO-d_6): δ -116.87 (dd, J = 7.6, 3.3 Hz). LCMS: rt 5.86 min (A), purity 99 %, MS (m/e) 339 MH^+.

[1093] 7-(2-(5-Chloro-2,4-difluorophenyl)-5-methylpyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine (Compound 865). LCMS: rt 6.65 min (A), purity 99 %, MS (m/e) 357 MH^+.

[1094] 7-(2-(5-Chloro-2-fluorophenyl)-5-methylpyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine (Compound 866). LCMS: rt 6.25 min (A), purity 99 %, MS (m/e) 339 MH^+.

[1095] 7-(2-(3-((Difluoro-l3-methyl)-l2-fluoranyl)phenyl)-5-methylpyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine (Compound 867). LCMS: rt 6.26 min (A), purity 99 %, MS (m/e) 356 MH^+.

[1096] 7-(2-(3-Chlorophenyl)-5-methylpyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine (Compound 868). LCMS: rt 5.30 min (A), purity 99 %, MS (m/e) 321 MH^+.

[1097] 6-(2-(2,5-Dichlorophenyl)pyridin-3-yl)benzo[d]thiazole (Compound 869). ^1H NMR (300 MHz, DMSO-d_6): δ 9.37 (s, 1H), 8.70 (dd, J = 4.8, 1.6 Hz, 1H), 8.05 (dd, J = 1.8, 0.6 Hz, 1H), 7.99 (dd, J = 7.8, 1.6 Hz, 1H), 7.94 (dd, J = 8.4, 0.6 Hz, 1H), 7.60 (dd, J = 7.8, 4.8 Hz, 1H), 7.54 (dd, J = 2.5, 0.6 Hz, 1H), 7.39 (dd, J = 8.6, 2.4 Hz, 1H), 7.34 (dd, J = 8.6, 0.6 Hz, 1H), 7.26 (dd, J = 8.5, 1.8 Hz, 1H). LCMS: rt 7.38 min (A), purity 99 %, MS (m/e) 356 MH^+.

[1098] 6-(2-(2,5-Dichlorophenyl)pyridin-3-yl)-1-methyl-1H-benzo[d]imidazole (Compound 870). ^1H NMR (300 MHz, DMSO-d_6): δ 8.67 (dd, J = 4.8, 1.6 Hz, 1H), 8.33 (s, 1H), 7.98 (dd, J = 7.8, 1.6 Hz, 1H), 7.59 (dd, J = 7.9, 4.7 Hz, 1H), 7.54 – 7.48 (m, 3H), 7.41 – 7.30 (m, 2H), 6.99 (dd, J = 8.4, 1.7 Hz, 1H), 3.75 (s, 3H). LCMS: rt 4.81 min (A), purity 99 %, MS (m/e) 354 MH^+.

[1099] 6-(2-(2,5-Dichlorophenyl)pyridin-3-yl)-1H-benzo[d]imidazole (Compound 871). LCMS: rt 4.61 min (A), purity 99 %, MS (m/e) 340 MH^+.

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[1100] 6-(2-(2,5-Dichlorophenyl)pyridin-3-yl)imidazo[1,2-a]pyridine (Compound 872). LCMS: rt 4.70 min (A), purity 99 %, MS (m/e) 340 MH^+.

[1101] 7-(2-(2,5-Dichlorophenyl)pyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine (Compound 873). LCMS: rt 6.21 min (A), purity 99 %, MS (m/e) 341 MH^+.

[1102] 7-(2-(2,4,5-Trifluorophenyl)pyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine (Compound 874). 17LCMS: rt 5.88 min (A), purity 99 %, MS (m/e) 327 MH^+.

[1103] 7-(2-(3,4,5-Trifluorophenyl)pyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine (Compound 875). LCMS: rt 6.15 min (A), purity 99 %, MS (m/e) 327 MH^+.

[1104] 7-(2-(2-Chloro-5-methylphenyl)pyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine (Compound 876). LCMS: rt 6.40 min (A), purity 99 %, MS (m/e) 321 MH^+.

[1105] 7-(2-(4,5-Difluoro-2-methylphenyl)pyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine (Compound 877). LCMS: rt 5.31 min (A), purity 99 %, MS (m/e) 323 MH^+.

[1106] 7-(2-(2-Methyl-5-(trifluoromethyl)phenyl)pyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine (Compound 878). LCMS: rt 6.18 min (A), purity 99 %, MS (m/e) 355 MH^+.

[1107] 7-(2-(3-(Trifluoromethyl)phenyl)pyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine (Compound 879). LCMS: rt 6.20 min (A), purity 99 %, MS (m/e) 341 MH^+.

[1108] 7-(2-(3-Methoxyphenyl)pyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine (Compound 880). ^1H NMR (300 MHz, DMSO-d_6): δ 8.87 – 8.74 (m, 2H), 8.51 (d, J = 1.3 Hz, 1H), 8.15 (dd, J = 7.8, 1.6 Hz, 1H), 7.84 (dd, J = 2.1, 1.1 Hz, 1H), 7.67 (dd, J = 7.8, 5.0 Hz, 1H), 7.18 (t, J = 7.9 Hz, 1H), 7.02 (dt, J = 2.8, 1.5 Hz, 1H), 6.95 – 6.80 (m, 3H), 3.62 (s, 3H). LCMS: rt 4.28 min (A), purity 99 %, MS (m/e) 303 MH^+.

[1109] 7-(2-(5-Chloro-2-methoxyphenyl)pyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine (Compound 881). LCMS: rt 4.98 min (A), purity 99 %, MS (m/e) 337 MH^+.

[1110] 7-(2-(3-(Trifluoromethoxy)phenyl)pyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine (Compound 882). LCMS: rt 6.28 min (A), purity 99 %, MS (m/e) 357 MH^+.

[1111] 7-(2-(3-(Difluoromethoxy)phenyl)pyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine (Compound 883). ^1H NMR (300 MHz, DMSO-d_6): δ 8.83 (dd, J = 7.0, 1.0 Hz, 1H), 8.78 (dd, J = 4.8, 1.6 Hz, 1H), 8.51 (s, 1H), 8.09 (dd, J = 7.8, 1.6 Hz, 1H), 7.84 (dd, J = 2.0, 1.0 Hz, 1H), 7.62 (ddd, J = 7.8, 4.8, 0.8 Hz, 1H), 7.32 (t, J = 7.6 Hz, 1H), 7.24 – 7.07 (m, 4H), 6.85 (dd, J = 7.1, 1.9 Hz, 1H). ^{19}F NMR (282 MHz, DMSO-d_6): δ -82.56 (d, J = 73.8 Hz). LCMS: rt 5.36 min (A), purity 99 %, MS (m/e) 339 MH^+.

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[1112] 7-(2-(2-Fluoro-5-(trifluoromethoxy)phenyl)pyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine (Compound 884). LCMS: rt 6.83 min (A), purity 99 %, MS (m/e) 375 MH^+.

[1113] 6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)-N-(2,2,6,6-tetramethylpiperidin-4-yl-1-oxy)quinazolin-4-amine (Compound 885). 4-Chloro-6-(2-(3-chloro-4-fluorophenyl)pyridin-3-yl)quinazoline (75 mg), EtOH, 4-amino-2,2,6,6-tetramethyl-1-piperidinyloxy and *i*-Pr_2NEt were added successively to a screw capped vial, stirred and heated at 90 °C for 3 days. The reaction mixture was concentrated and purified by preparative HPLC to obtain pale pink solid. LCMS: rt 5.85 min (A), purity 99 %, MS (m/e) 505 MH^+.

[1114] 6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)-N-methylquinazolin-4-amine (Compound 886). 4-Chloro-6-(2-(3-chloro-4-fluorophenyl)pyridin-3-yl)quinazoline (75 mg), 2M $MeNH_2$ in THF (2 mL) and *i*-PrOH (3 mL) were heated and stirred in a screw capped vial for 12h at 65 °C. The heterogeneous reaction mixture was cooled and filtered. The solid on the funnel was washed with water and dried to obtain 6-(2-(3-chloro-4-fluorophenyl)pyridin-3-yl)-N-methylquinazolin-4-amine (53 mg) as a white solid. ^1H NMR (300 MHz, DMSO-d_6): δ 8.72 (dd, J = 4.7, 1.7 Hz, 1H), 8.47 (s, 1H), 8.31 (q, J = 4.7 Hz, 1H), 8.25 (d, J = 1.9 Hz, 1H), 7.95 (dd, J = 7.8, 1.7 Hz, 1H), 7.61 – 7.50 (m, 3H), 7.36 (dd, J = 8.6, 1.9 Hz, 1H), 7.24 (t, J = 8.9 Hz, 1H), 7.13 (ddd, J = 8.6, 4.8, 2.2 Hz, 1H), 2.98 (d, J = 4.4 Hz, 3H). ^{19}F NMR (282 MHz, DMSO-d_6): δ -117.17 (td, J = 8.6, 5.1 Hz). LCMS: rt 4.68 min (A), purity 99 %, MS (m/e) 365 MH^+.

[1115] 7-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)-1-methylquinoxalin-2(1H)-one (Compound 887). ^1H NMR (300 MHz, DMSO-d_6): δ 8.73 (dd, J = 4.8, 1.6 Hz, 1H), 8.30 – 8.18 (m, 1H), 8.01 (dt, J = 7.8, 1.4 Hz, 1H), 7.71 (d, J = 8.3 Hz, 1H), 7.62 (dt, J = 7.3, 1.5 Hz, 1H), 7.60 – 7.51 (m, 2H), 7.25 (t, J = 8.9 Hz, 1H), 7.16 (ddd, J = 8.4, 4.8, 2.1 Hz, 1H), 7.07 (dd, J = 8.3, 1.7 Hz, 1H), 3.53 (s, 3H). ^{19}F NMR (282 MHz, DMSO-d_6): δ -117.11 (td, J = 8.6, 5.1 Hz). LCMS: rt 6.26 min (A), purity 99 %, MS (m/e) 366 MH^+.

[1116] 7-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-1-methylquinoxalin-2(1H)-one (Compound 888). LCMS: rt 5.16 min (A), purity 99 %, MS (m/e) 346 MH^+.

[1117] 7-(2-(5-Chloro-2-fluorophenyl)pyridin-3-yl)-1-methylquinoxalin-2(1H)-one (Compound 889). LCMS: rt 6.66 min (A), purity 99 %, MS (m/e) 366 MH^+.

[1118] 7-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)quinoxalin-2(1H)-one (Compound 890). LCMS: rt 5.63 min (A), purity 99 %, MS (m/e) 352 MH^+.

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[1119] 7-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)quinoxalin-2(1H)-one (Compound 891). LCMS: rt 4.73 min (A), purity 99 %, MS (m/e) 332 MH^+.

[1120] 7-(2-(5-Chloro-2-fluorophenyl)pyridin-3-yl)quinoxalin-2(1H)-one (Compound 892). ^1H NMR (300 MHz, DMSO-d_6): δ 12.35 (s, 1H), 8.69 (dd, J = 4.8, 1.6 Hz, 1H), 8.08 (s, 1H), 7.90 (dd, J = 7.9, 1.6 Hz, 1H), 7.64 (d, J = 8.8 Hz, 1H), 7.60 – 7.46 (m, 2H), 7.46 – 7.30 (m, 1H), 7.15 – 6.92 (m, 3H). ^{19}F NMR (282 MHz, DMSO-d_6): δ -117.11 – -117.91 (m). LCMS: rt 5.93 min (A), purity 99 %, MS (m/e) 352 MH^+.

[1121] 7-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)-2H-benzo[e][1,2,4]thiadiazine 1,1-dioxide (Compound 893). LCMS: rt 5.53 min (A), purity 99 %, MS (m/e) 388 MH^+.

[1122] 7-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-2H-benzo[e][1,2,4]thiadiazine 1,1-dioxide (Compound 894). LCMS: rt 4.50 min (A), purity 97 %, MS (m/e) 368 MH^+.

[1123] 7-(2-(5-Chloro-2-fluorophenyl)pyridin-3-yl)-2H-benzo[e][1,2,4]thiadiazine 1,1-dioxide (Compound 895). ^1H NMR (300 MHz, DMSO-d_6): δ 12.35 (s, 1H), 8.69 (dd, J = 4.8, 1.6 Hz, 1H), 8.08 (s, 1H), 7.90 (dd, J = 7.9, 1.6 Hz, 1H), 7.64 (d, J = 8.8 Hz, 1H), 7.60 – 7.50 (m, 2H), 7.39 (ddd, J = 8.7, 4.4, 2.9 Hz, 1H), 7.11 – 6.91 (m, 3H).^{19}F NMR (282 MHz, DMSO-d_6): δ -117.44 – -117.69 (m).LCMS: rt 5.86 min (A), purity 97 %, MS (m/e) 388 MH^+.

[1124] 5-(2-(3-Cyclopropyl-4-fluorophenyl)pyridin-3-yl)pyrazolo[1,5-a]pyrimidine (Compound 896). LCMS: rt 6.03 min (A), MS (m/e) 331 MH^+.

[1125] Ethyl 5-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)pyrazolo[1,5-a]pyrimidine-3-carboxylate (Compound 897). ^1H NMR (300 MHz, DMSO-d_6) δ 8.86 (ddd, J = 4.8, 1.7, 0.6 Hz, 1H), 8.81 (dd, J = 4.4, 0.6 Hz, 1H), 8.44 (s, 1H), 8.12 (ddd, J = 7.8, 1.7, 0.6 Hz, 1H), 7.61 (dd, J = 7.7, 4.7 Hz, 1H), 7.38 – 7.25 (m, 2H), 6.94 – 6.85 (m, 2H), 4.27 (q, J = 7.0 Hz, 2H), 2.08 (s, 3H), 1.29 (t, J = 7.0 Hz, 3H).LCMS: rt6.88 min (A), purity 99%, MS (m/e) 376 MH^+.

[1126] Ethyl 5-(2-(3-chloro-4-fluorophenyl)pyridin-3-yl)pyrazolo[1,5-a]pyrimidine-3-carboxylate (Compound 898). ^1H NMR (300 MHz, DMSO-d_6) δ 9.15 (d, J = 7.2 Hz, 1H), 8.82 (dd, J = 4.7, 1.7 Hz, 1H), 8.62 (s, 1H), 8.21 (dd, J = 7.8, 1.7 Hz, 1H), 7.73 (dd, J = 7.3, 2.2 Hz, 1H), 7.64 (dd, J = 7.9, 4.8 Hz, 1H), 7.28 (t, J = 8.9 Hz, 1H), 7.23 – 7.16 (m, 1H), 7.00 (d, J = 7.3 Hz, 1H), 4.25 (q, J = 7.1 Hz, 2H), 1.97 (s, 1H), 1.27 (t, J = 7.1 Hz, 3H).LCMS: rt 7.38 min (A), purity 95%, MS (m/e) 397 MH^+.

[1127] 5-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)-N-(1-methylpiperidin-4-yl)pyrazolo[1,5-a]pyrimidine-3-carboxamide (Compound 899). ^1H NMR (300 MHz, DMSO-d_6) δ 9.25 (d, J =

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7.3 Hz, 1H), 8.83 (dd, J = 4.8, 1.7 Hz, 1H), 8.55 (s, 1H), 8.27 (dd, J = 7.9, 1.7 Hz, 1H), 7.76 (dd, J = 7.2, 2.2 Hz, 1H), 7.66 (dd, J = 7.8, 4.8 Hz, 1H), 7.58 (d, J = 7.7 Hz, 1H), 7.28 (t, J = 8.9 Hz, 1H), 7.12 (d, J = 7.3 Hz, 1H), 3.86 – 3.66 (m, 1H), 2.67 (d, J = 11.7 Hz, 2H), 2.21 – 2.14 (m, 5H), 1.77 (d, J = 13.1 Hz, 2H), 1.52 – 1.33 (m, 2H).LCMS: rt 3.48 min (B), purity 97%, MS (m/e) 465 MH^+.

[1128] 5-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)pyrazolo[1,5-a]pyrimidine-3-carbonitrile (Compound 900). ^1H NMR (300 MHz, DMSO-d_6) δ 9.21 (dd, J = 7.3, 2.6 Hz, 1H), 8.89 – 8.77 (m, 2H), 8.31 – 8.17 (m, 1H), 7.79 – 7.71 (m, 1H), 7.69 – 7.59 (m, 1H), 7.29 (t, J = 8.9 Hz, 1H), 7.24 – 7.14 (m, 1H), 7.04 (dd, J = 7.3, 2.7 Hz, 1H).LCMS: rt7.05 min (B), purity 99%, MS (m/e) 350 MH^+.

[1129] 5-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)pyrazolo[1,5-a]pyrimidine-3-carbonitrile (Compound 901). ^1H NMR (300 MHz, DMSO-d_6) δ 9.15 (d, J = 7.3 Hz, 1H), 8.86 – 8.76 (m, 2H), 8.21 (dd, J = 7.9, 1.7 Hz, 1H), 7.66 – 7.53 (m, 3H), 7.06 – 6.98 (m, 1H), 6.87 (d, J = 7.3 Hz, 1H), 2.20 (s, 3H).LCMS: rt6.80 min (B), purity 99%, MS (m/e) 330 MH^+

[1130] 4-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-2-methoxypyrimidine (Compound 902). ^1H NMR (300 MHz, DMSO-d_6): δ 8.74 (dd, J = 4.8, 1.7 Hz, 1H), 8.48 (d, J = 5.1 Hz, 1H), 8.08 (dd, J = 7.8, 1.7 Hz, 1H), 7.54 (dd, J = 7.8, 4.8 Hz, 1H), 7.34 (dd, J = 7.6, 2.1 Hz, 0H), 7.11 – 6.98 (m, 2H), 6.90 (d, J = 5.1 Hz, 1H), 3.77 (s,3H), 2.18 (app d, J = 2.1 Hz, 2H).^{19}F NMR (282 MHz, DMSO-d_6): δ -118.09 (q, J = 8.0, 7.5 Hz).LCMS: rt5.04 min (B), purity 99 %, MS (m/e) 296 (MH^+).

[1131] 4-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(3,4,5-trimethoxyphenyl)pyrimidin-2-amine (Compound 903). ^1H NMR (300 MHz, DMSO-d_6): δ 9.58 (s, 1H), 8.73 (dd, J = 4.7, 1.7 Hz, 1H), 8.31 (d, J = 5.1 Hz, 1H), 8.16 – 8.08 (m, 1H), 7.53 (dd, J = 7.8, 4.7 Hz, 1H), 7.42 (dd, J = 7.0, 2.3 Hz, 1H), 7.19 (s, 2H), 7.13 – 6.99 (m, 2H), 6.45 (d, J = 5.0 Hz, 1H), 3.70 (s, 6H), 3.59 (s, 3H), 2.19 (s, 3H). ^{19}F NMR (282 MHz, DMSO-d_6): δ -117.92 (d, J = 7.5 Hz).LCMS: rt6.14 min (B), purity 99 %, MS (m/e) 447 (MH^+).

[1132] 4-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(4-morpholinophenyl)pyrimidin-2-amine (Compound 904). LCMS: rt5.17 min (A), purity 99 %, MS (m/e) 442 (MH^+).

[1133] 4-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(3-morpholinophenyl)pyrimidin-2-amine (Compound 905). LCMS: rt5.90 min (A), purity 99 %, MS (m/e) 442 (MH^+).

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[1134] 4-((4-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)pyrimidin-2-yl)amino)benzenesulfonamide (Compound 906). LCMS: rt5.43 min (A), purity 99 %, MS (m/e) 436 (MH^+).

[1135] 3-((4-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)pyrimidin-2-yl)amino)benzenesulfonamide (Compound 907). ^1H NMR (300 MHz, DMSO-d_6): δ 10.02 (s, 1H), 8.75 (dd, J = 4.8, 1.7 Hz, 1H), 8.42 (d, J = 5.1 Hz, 1H), 8.22 (s, 1H), 8.11 (dd, J = 7.8, 1.7 Hz, 1H), 7.65 (dt, J = 6.4, 2.5 Hz, 1H), 7.54 (dd, J = 7.8, 4.8 Hz, 1H), 7.44 (dd, J = 7.3, 2.2 Hz, 1H), 7.40 – 7.31 (m, 2H), 7.27 (s, 2H), 7.17 – 6.95 (m, 2H), 6.67 (d, J = 5.1 Hz, 1H), 2.20 (s, 3H).^{19}F NMR (282 MHz, DMSO-d_6): δ -117.92.LCMS: rt5.58 min (A), purity 99 %, MS (m/e) 436 (MH^+).

[1136] 4-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(4-(piperazin-1-yl)phenyl)pyrimidin-2-amine (Compound 908). LCMS: rt4.73 min (A), purity 99 %, MS (m/e) 441 (MH^+).

[1137] 4-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(6-(piperazin-1-yl)pyridin-3-yl)pyrimidin-2-amine (Compound 909). LCMS: rt4.60 min (A), purity 99 %, MS (m/e) 442 (MH^+).

[1138] 5-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)pyrazolo[1,5-a]pyrimidine (Compound 910). LCMS: rt5.41 min (A), purity 99%, MS (m/e) 305 (MH^+).

[1139] 5-(2-(*m*-Tolyl)pyridin-3-yl)pyrazolo[1,5-a]pyrimidine (Compound 911). ^1H NMR (300 MHz, DMSO-d_6): δ 8.90 (dd, J = 7.3, 0.9 Hz, 1H), 8.76 (dd, J = 4.7, 1.7 Hz, 1H), 8.22 (d, J = 2.3 Hz, 1H), 8.12 (dd, J = 7.8, 1.7 Hz, 1H), 7.54 (dd, J = 7.8, 4.8 Hz, 1H), 7.33 (s, 1H), 7.15 (dd, J = 3.9, 1.5 Hz, 2H), 7.01 (dd, J = 5.1, 1.5 Hz, 1H), 6.75 (dd, J = 2.3, 0.9 Hz, 1H), 6.53 (d, J = 7.3 Hz, 1H), 2.25 (s, 3H).LCMS: rt4.90 min (A), purity 99%, MS (m/e) 287 (MH^+).

[1140] 5-(2-(3-Cyclopropylphenyl)pyridin-3-yl)pyrazolo[1,5-a]pyrimidine (Compound 912). LCMS: rt5.51 min (A), purity 99%, MS (m/e) 313 (MH^+).

[1141] 5-(2-(3-(Trifluoromethyl)phenyl)pyridin-3-yl)pyrazolo[1,5-a]pyrimidine. (Compound 913). LCMS: rt6.71 min (A), purity 99%, MS (m/e) 341 (MH^+)

[1142] 5-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)pyrazolo[1,5-a]pyrimidine (Compound 914). ^1H NMR (300 MHz, DMSO-d_6): δ 9.00 (d, J = 7.2 Hz, 1H), 8.79 (dd, J = 4.8, 1.7 Hz, 1H), 8.23 (d, J = 2.4 Hz, 1H), 8.15 (dd, J = 7.8, 1.7 Hz, 1H), 7.68 (dd, J = 7.4, 2.1 Hz, 1H), 7.59 (dd, J = 7.9, 4.9 Hz, 1H), 7.32 (t, J = 8.9 Hz, 1H), 7.25 – 7.10 (m, 1H), 6.73 (d, J = 7.1 Hz, 2H). ^{19}F

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NMR (282 MHz, DMSO-d_6): δ -116.52 – -116.67 (m).LCMS: rt 6.68 min (A), purity 99%, MS (m/e) 325 (MH^+).

[1143] 5-(2-(2,4,5-Trifluorophenyl)pyridin-3-yl)pyrazolo[1,5-a]pyrimidine (Compound 915). LCMS: rt 6.66 min (A), purity 99%, MS (m/e) 327 (MH^+).

[1144] 5-(2-(3-Chlorophenyl)pyridin-3-yl)pyrazolo[1,5-a]pyrimidine (Compound 916). ^1H NMR (300 MHz, DMSO-d_6): δ 8.98 (dd, J = 7.3, 0.9 Hz, 1H), 8.79 (dd, J = 4.8, 1.7 Hz, 1H), 8.23 (d, J = 2.4 Hz, 1H), 8.15 (dd, J = 7.8, 1.7 Hz, 1H), 7.64 – 7.54 (m, 2H), 7.41 (ddd, J = 8.0, 2.2, 1.1 Hz, 1H), 7.29 (t, J = 7.8 Hz, 1H), 7.16 (dt, J = 7.7, 1.4 Hz, 1H), 6.74 (dd, J = 2.4, 0.9 Hz, 1H), 6.69 (d, J = 7.3 Hz, 1H).LCMS: rt 4.16 min (A), purity 99%, MS (m/e) 307 (MH^+).

[1145] 5-(2-(5-Chloro-2-fluorophenyl)pyridin-3-yl)pyrazolo[1,5-a]pyrimidine (Compound 917). LCMS: rt 6.86 min (A), purity 99%, MS (m/e) 325 (MH^+).

[1146] 5-(2-(5-Chloro-2,4-difluorophenyl)pyridin-3-yl)pyrazolo[1,5-a]pyrimidine (Compound 918). LCMS: rt 7.18 min (A), purity 99%, MS (m/e) 343 (MH^+).

[1147] 4-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)pyrimidin-2-amine (Compound 919). ^1H NMR (300 MHz, DMSO-d_6): δ 8.69 (dd, J = 4.7, 1.7 Hz, 1H), 8.07 (d, J = 5.0 Hz, 1H), 7.93 (dd, J = 7.8, 1.7 Hz, 1H), 7.47 (dd, J = 7.8, 4.8 Hz, 1H), 7.38 (dd, J = 7.4, 1.6 Hz, 1H), 7.18 – 6.95 (m, 2H), 6.70 (s, 2H), 6.20 (d, J = 5.0 Hz, 1H), 2.19 (s, 3H). ^{19}F NMR (282 MHz, DMSO-d_6): δ -118.16 (q, J = 7.7 Hz).LCMS: rt4.40 min (A), purity 99 %, MS (m/e) 281 MH^+.

[1148] 5-(2-(2,5-Dichlorophenyl)pyridin-3-yl)pyrazolo[1,5-a]pyrimidine (Compound 920). LCMS: rt 7.06 min (A), purity 99 %, MS (m/e) 342 MH^+.

[1149] 5-(2-(3-(Difluoromethoxy)phenyl)pyridin-3-yl)pyrazolo[1,5-a]pyrimidine (Compound 921). ^1H NMR (300 MHz, DMSO-d_6): δ 8.95 (dd, J = 7.3, 0.9 Hz, 1H), 8.80 (dd, J = 4.7, 1.7 Hz, 1H), 8.22 (s, 1H), 8.14 (dd, J = 7.8, 1.7 Hz, 1H), 7.59 (dd, J = 7.8, 4.8 Hz, 1H), 7.43 – 7.28 (m, 1H), 7.21 (t, J = 2.1 Hz, 1H), 7.19 – 7.11 (m, 3H), 6.73 (dd, J = 2.3, 0.9 Hz, 1H), 6.64 (d, J = 7.3 Hz, 1H). ^{19}F NMR (282 MHz, DMSO-d_6): δ -82.40 (d, J = 73.8 Hz). LCMS: rt4.95 min (B), purity 99 %, MS (m/e) 338MH^+.

[1150] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyrimidine (Compound 922). LCMS: rt4.60 min (A), purity 99%, MS (m/e) 306 (MH^+).

[1151] 6-(2-(*m*-Tolyl)pyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyrimidine (Compound 923). LCMS: rt4.13 min (A), purity 99 %, MS (m/e) 288 (MH^+).

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[1152] 6-(2-(3-Cyclopropylphenyl)pyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyrimidine (Compound 924). LCMS: rt4.81 min (A), purity 99%, MS (m/e) 314 (MH^+).

[1153] 6-(2-(3-(Trifluoromethyl)phenyl)pyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyrimidine (Compound 925). LCMS: rt5.95 min (A), purity 99%, MS (m/e) 342 (MH^+).

[1154] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,2-a]pyrimidine (Compound 926). LCMS: rt3.75 min (A), purity 99%, MS (m/e) 305 (MH^+).

[1155] 6-(2-(*m*-Tolyl)pyridin-3-yl)imidazo[1,2-a]pyrimidine (Compound 927). LCMS: rt3.25 min (A), purity 99%, MS (m/e) 287 (MH^+).

[1156] 6-(2-(3-Cyclopropylphenyl)pyridin-3-yl)imidazo[1,2-a]pyrimidine (Compound 928). LCMS: rt3.96 min (A), purity 99%, MS (m/e) 313 (MH^+).

[1157] 6-(2-(3-(Trifluoromethyl)phenyl)pyridin-3-yl)imidazo[1,2-a]pyrimidine (Compound 929). LCMS: rt4.73 min (A), purity 99%, MS (m/e) 341 (MH^+).

[1158] 6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)-7-methylimidazo[1,2-a]pyridine (Compound 930). LCMS: rt 4.90 min (A), purity 99 %, MS (m/e) 338 MH^+.

[1159] 6-(2-(3-Chlorophenyl)pyridin-3-yl)-7-methylimidazo[1,2-a]pyridine (Compound 931). ^1H NMR (300 MHz, DMSO-d_6): δ 8.87 (d, J = 0.8 Hz, 1H), 8.84 (dd, J = 4.8, 1.7 Hz, 1H), 8.22 (d, J = 2.2 Hz, 1H), 8.14 (d, J = 2.1 Hz, 1H), 7.90 (dd, J = 7.7, 1.7 Hz, 1H), 7.80 (s, 1H), 7.61 (dd, J = 7.8, 4.8 Hz, 1H), 7.53 (t, J = 1.9 Hz, 1H), 7.36 (dt, J = 7.6, 2.0 Hz, 1H), 7.23 (t, J = 7.7 Hz, 1H), 7.18 (dt, J = 7.8, 1.6 Hz, 1H), 2.00 (s, 3H). LCMS: rt 4.58 min (A), purity 99 %, MS (m/e) 320 MH^+.

[1160] 6-(2-(5-Chloro-2-fluorophenyl)pyridin-3-yl)-7-methylimidazo[1,2-a]pyridine (Compound 932). LCMS: rt 4.86 min (A), purity 99 %, MS (m/e) 338 MH^+.

[1161] 6-(2-(5-Chloro-2,4-difluorophenyl)pyridin-3-yl)-7-methylimidazo[1,2-a]pyridine (Compound 933). LCMS: rt5.11 min (A), purity 99 %, MS (m/e) 356 MH^+.

[1162] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,2-b]pyridazine (Compound 934). LCMS: rt 4.61 min (A), purity 99 %, MS (m/e) 305 MH^+.

[1163] 6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)imidazo[1,2-b]pyridazine (Compound 935). ^1H NMR (300 MHz, DMSO-d_6): δ 8.76 (dd, J = 4.8, 1.7 Hz, 1H), 8.26 (dt, J = 1.2, 0.6 Hz, 1H), 8.08 (ddd, J = 7.8, 1.7, 0.5 Hz, 1H), 7.97 (dt, J = 9.4, 0.6 Hz, 1H), 7.76 (dd, J = 1.2, 0.5 Hz, 1H), 7.62 (dd, J = 7.3, 2.3 Hz, 1H), 7.56 (ddd, J = 7.8, 4.8, 0.5 Hz, 1H), 7.25 (dd, J = 9.3, 8.6 Hz, 1H), 7.14 (dddd, J = 8.6, 4.8, 2.2, 0.5 Hz, 1H), 6.89 (dd, J = 9.5, 0.5 Hz, 1H).^{19}F NMR (282 MHz,

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DMSO-d_6): δ -116.49 (td, J = 8.3, 4.9 Hz).LCMS: rt5.13 min (A), purity 99 %, MS (m/e) 325 MH^+.

[1164] 6-(2-(3-Chlorophenyl)pyridin-3-yl)imidazo[1,2-b]pyridazine (Compound 936). LCMS: rt4.83 min (A), purity 99 %, MS (m/e) 307 MH^+.

[1165] 6-(2-(5-Chloro-2-fluorophenyl)pyridin-3-yl)imidazo[1,2-b]pyridazine (Compound 937). LCMS: rt5.03 min (A), purity 99 %, MS (m/e) 325 MH^+.

[1166] 6-(2-(5-Chloro-2,4-difluorophenyl)pyridin-3-yl)imidazo[1,2-b]pyridazine (Compound 938). LCMS: rt5.28 min (A), purity 99 %, MS (m/e) 343 MH^+.

[1167] 8-Fluoro-6-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine (Compound 939). LCMS: rt5.45 min (A), purity 99 %, MS (m/e) 323MH^+.

[1168] 6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)-8-fluoro-[1,2,4]triazolo[1,5-a]pyridine (Compound 940). ^{1}H NMR (300 MHz, DMSO-d_6): δ 8.95 (t, J = 1.0 Hz, 1H), 8.75 (ddd, J = 4.8, 1.7, 0.6 Hz, 1H), 8.61 (d, J = 0.6 Hz, 1H), 8.04 (ddd, J = 7.8, 1.7, 0.6 Hz, 1H), 7.70 (ddd, J = 7.3, 1.9, 0.6 Hz, 1H), 7.58 (ddd, J = 7.8, 4.8, 0.6 Hz, 1H), 7.42 (ddd, J = 11.1, 1.4, 0.6 Hz, 1H), 7.35 – 7.17 (m, 2H). ^{19}F NMR (282 MHz, DMSO-d_6): δ -116.75 (td, J = 8.1, 5.6 Hz), -130.02 (d, J = 11.2 Hz).LCMS: rt6.55 min (A), purity 99 %, MS (m/e) 343 MH^+.

[1169] 6-(2-(3-Chlorophenyl)pyridin-3-yl)-8-fluoro-[1,2,4]triazolo[1,5-a]pyridine (Compound 941). LCMS: rt6.13 min (A), purity 99 %, MS (m/e) 325 MH^+.

[1170] 6-(2-(5-Chloro-2-fluorophenyl)pyridin-3-yl)-8-fluoro-[1,2,4]triazolo[1,5-a]pyridine (Compound 942). LCMS: rt 6.75 min (A), purity 99 %, MS (m/e) 343 MH^+.

[1171] 7-Chloro-6-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,2-a]pyridine (Compound 943). LCMS: rt 4.56 min (A), purity 99 %, MS (m/e) 338 MH^+.

[1172] 7-Chloro-6-(2-(3-chloro-4-fluorophenyl)pyridin-3-yl)imidazo[1,2-a]pyridine (Compound 944). ^{1}H NMR (300 MHz, DMSO-d_6): δ 8.99 (d, J = 0.8 Hz, 1H), 8.82 (dd, J = 4.8, 1.7 Hz, 1H), 8.19 (dd, J = 1.8, 0.8 Hz, 1H), 8.08 (t, J = 0.8 Hz, 1H), 8.04 (t, J = 1.4 Hz, 1H), 7.92 (dd, J = 7.8, 1.7 Hz, 1H), 7.73 – 7.67 (m, 1H), 7.66 – 7.56 (m, 1H), 7.26 (app d, J = 1.3 Hz, 1H), 7.24 (app q, J = 1.0 Hz, 1H).^{19}F NMR (282 MHz, DMSO-d_6): δ -116.57 (q, J = 7.0 Hz). LCMS: rt 5.20 min (A), purity 99 %, MS (m/e) 359 MH^+.

[1173] 7-Chloro-6-(2-(3-chlorophenyl)pyridin-3-yl)imidazo[1,2-a]pyridine (Compound 945). LCMS: rt 4.91 min (A), purity 99 %, MS (m/e) 341 MH^+.

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[1174] 7-Chloro-6-(2-(5-chloro-2-fluorophenyl)pyridin-3-yl)imidazo[1,2-a]pyridine (Compound 946). LCMS: rt5.03 min (A), purity 99 %, MS (m/e) 359 MH^+.

[1175] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,2-b]pyridazine-3-carbonitrile (Compound 947). ^1H NMR (300 MHz, DMSO-d_6): δ 8.78 (ddd, J = 4.8, 1.7, 0.8 Hz, 1H), 8.55 (s, 1H), 8.15 (dd, J = 9.5, 0.9 Hz, 1H), 8.10 (ddd, J = 7.8, 1.7, 0.8 Hz, 1H), 7.59 – 7.52 (m, 2H), 7.41 (dd, J = 7.7, 2.2 Hz, 1H), 7.08 (dd, J = 9.5, 0.9 Hz, 1H), 6.95 (dd, J = 9.6, 8.5 Hz, 1H), 2.13 (s, 3H). ^{19}F NMR (282 MHz, DMSO-d_6): δ -117.44 (s). LCMS: rt 5.34 min (B), purity 99 %, MS (m/e) 330 MH^+.

[1176] 6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)imidazo[1,2-b]pyridazine-3-carbonitrile (Compound 948). LCMS: rt 5.59 min (B), purity 99 %, MS (m/e) 350 MH^+.

[1177] 6-(2-(3-Chlorophenyl)pyridin-3-yl)imidazo[1,2-b]pyridazine-3-carbonitrile (Compound 949). LCMS: rt 5.43 min (B), purity 99 %, MS (m/e) 332 MH^+.

[1178] 6-(2-(5-Chloro-2-fluorophenyl)pyridin-3-yl)imidazo[1,2-b]pyridazine-3-carbonitrile (Compound 950). LCMS: rt 5.34 min (B), purity 99 %, MS (m/e) 350 MH^+.

[1179] 6-(2-(5-Chloro-2,4-difluorophenyl)pyridin-3-yl)imidazo[1,2-b]pyridazine-3-carbonitrile (Compound 951). LCMS: rt 5.56 min (B), purity 99 %, MS (m/e) 368 MH^+.

[1180] 6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-[1,2,4]triazolo[1,5-b]pyridazine (Compound 952). LCMS: rt 5.68 min (A), purity 99 %, MS (m/e) 306 MH^+.

[1181] 6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)-[1,2,4]triazolo[1,5-b]pyridazine (Compound 953). ^1H NMR (300 MHz, DMSO-d_6): δ 8.84 (dd, J = 4.8, 1.7 Hz, 1H), 8.70 (s, 1H), 8.34 (d, J = 9.3 Hz, 1H), 8.19 (dd, J = 7.8, 1.7 Hz, 1H), 7.71 (dd, J = 7.2, 2.1 Hz, 1H), 7.65 (dd, J = 7.8, 4.8 Hz, 1H), 7.39 (d, J = 9.4 Hz, 1H), 7.28 (dd, J = 9.3, 8.5 Hz, 1H), 7.19 (ddd, J = 8.6, 4.8, 2.2 Hz, 1H). ^{19}F NMR (282 MHz, DMSO-d_6): δ -116.26 (ddd, J = 9.3, 7.3, 4.7 Hz). LCMS: rt 6.50 min (A), purity 99 %, MS (m/e) 326 MH^+.

[1182] 6-(2-(3-Chlorophenyl)pyridin-3-yl)-[1,2,4]triazolo[1,5-b]pyridazine (Compound 954). LCMS: rt 6.15 min (A), purity 99 %, MS (m/e) 308 MH^+.

[1183] 6-(2-(5-Chloro-2-fluorophenyl)pyridin-3-yl)-[1,2,4]triazolo[1,5-b]pyridazine (Compound 955). LCMS: rt 6.46 min (A), purity 99 %, MS (m/e) 326 MH^+.

[1184] 6-(2-(5-Chloro-2,4-difluorophenyl)pyridin-3-yl)-[1,2,4]triazolo[1,5-b]pyridazine (Compound 956). LCMS: rt 6.85 min (A), purity 99 %, MS (m/e) 344 MH^+.

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[1185] 7-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)-2-methyl-2H-benzo[e][1,2,4]thiadiazine 1,1-dioxide (Compound 957). LCMS: rt 5.91 min (A), purity 97 %, MS (m/e) 402MH$^+$.

[1186] 7-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-2-methyl-2H-benzo[e][1,2,4]thiadiazine 1,1-dioxide (Compound 958). ^{1}H NMR (300 MHz, DMSO-d_6): δ 8.71 (dd, J = 4.8, 1.6 Hz, 1H), 8.05 (s, 1H), 7.97 (dd, J = 7.8, 1.6 Hz, 1H), 7.68 (d, J = 2.1 Hz, 1H), 7.61 – 7.50 (m, 2H), 7.44 (d, J = 8.8 Hz, 1H), 7.37 (ddt, J = 7.5, 1.9, 0.8 Hz, 1H), 7.06 – 6.94 (m, 2H), 3.59 (s, 3H), 2.17 (s, 3H).^{19}F NMR (282 MHz, DMSO-d_6): δ -117.82 (app s). LCMS: rt4.85 min (A), purity 98 %, MS (m/e) 382MH$^+$.

[1187] 7-(2-(5-Chloro-2-fluorophenyl)pyridin-3-yl)-2-methyl-2H-benzo[e][1,2,4]thiadiazine 1,1-dioxide (Compound 959). LCMS: rt6.31 min (A), purity 98 %, MS (m/e) 402MH$^+$.

[1188] 6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)pyrido[3,2-d]pyrimidin-4(3H)-one (Compound 960). ^{1}H NMR (300 MHz, DMSO-d_6): δ 12.60 (s, 1H), 8.77 (app ddd, J = 4.8, 1.7, 0.6 Hz, 1H), 8.16 (s, 1H), 8.10 (app ddd, J = 7.8, 1.8, 0.7 Hz, 1H), 7.94 (dd, J = 8.6, 0.7 Hz, 1H), 7.65 – 7.55 (m, 2H), 7.48 (dd, J = 8.5, 0.6 Hz, 1H), 7.27 (app t, J = 9.0 Hz, 1H), 7.16 – 7.03 (m, 1H).^{19}F NMR (282 MHz, DMSO-d_6): δ -116.85 (app td, J = 9.0, 8.5, 4.9 Hz).LCMS: rt4.73 min (A), purity 99 %, MS (m/e) 353 MH$^+$.

[1189] 6-(2-(3-(Difluoromethoxy)phenyl)pyridin-3-yl)benzo[d]thiazole (Compound 961). LCMS: rt5.67 min (A), purity 97 %, MS (m/e) 355MH$^+$.

[1190] 6-(2-(3-(Difluoromethoxy)phenyl)pyridin-3-yl)quinoxaline (Compound 962). LCMS: rt5.23 min (B), purity 96 %, MS (m/e) 350MH$^+$.

[1191] 6-(2-(3-(Difluoromethoxy)phenyl)pyridin-3-yl)-1-methyl-1H-benzo[d]imidazole (Compound 963). LCMS: rt2.89 min (B), purity 99 %, MS (m/e) 352MH$^+$.

[1192] 6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)pyrido[3,2-d]pyrimidin-4-amine (Compound 964). ^{1}H NMR (300 MHz, DMSO-d_6): δ 8.77 (dd, J = 4.7, 1.7 Hz, 1H), 8.40 (s, 1H), 8.27 (dd, J = 7.8, 1.7 Hz, 1H), 7.94 (app d, J = 8.7 Hz, 2H), 7.69 – 7.54 (m, 3H), 7.52 (d, J = 8.7 Hz, 1H), 7.27 (dd, J = 9.3, 8.6 Hz, 1H), 7.11 (ddd, J = 8.6, 4.7, 2.2 Hz, 1H). ^{19}F NMR (282 MHz, DMSO-d_6): δ -116.92 (ddd, J = 9.3, 7.3, 4.7 Hz).LCMS: rt4.61 min (A), purity 99%, MS (m/e) 352MH$^+$.

[1193] 5-(2-(3-Chloro-2,4-difluorophenyl)pyridin-3-yl)pyrazolo[1,5-a]pyrimidine (Compound 965). ^{1}H NMR (300 MHz, DMSO-d_6): δ 9.05 (dd, J = 7.3, 1.0 Hz, 1H), 8.82 (dd, J = 4.8, 1.7 Hz, 1H), 8.27 (dd, J = 7.9, 1.6 Hz, 1H), 8.21 (d, J = 2.3 Hz, 1H), 7.67 (dd, J = 7.8, 4.7 Hz, 1H), 7.55 (td, J = 8.5, 6.3 Hz, 1H), 7.39 (td, J = 8.8, 1.6 Hz, 1H), 6.89 (dd, J = 7.3, 1.0 Hz, 1H), 6.62

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(dd, J = 2.3, 0.9 Hz, 1H).^{19}F NMR (282 MHz, DMSO-d_6): δ -113.03 (ddd, J = 9.3, 6.3, 3.6 Hz), -115.55 (dd, J = 9.1, 3.3 Hz).LCMS: rt5.27 min (B), purity 99%, MS (m/e) 343 MH^+.

[1194] 6-(2-(3-Chloro-2,4-difluorophenyl)pyridin-3-yl)quinoxaline (Compound 966). LCMS: rt5.63 min (B), purity 99%, MS (m/e) 354 MH^+.

[1195] 6-(2-(3-Chloro-2,4-difluorophenyl)pyridin-3-yl)-1-methyl-1H-benzo[d]imidazole (Compound 967). LCMS: rt3.37 min (B), purity 99%, MS (m/e) 356 MH^+.

[1196] 6-(2-(3-chloro-4-fluorophenyl)pyridin-3-yl)-4-methoxypyrido[3,2-d]pyrimidine (Compound 968). ^{1}H NMR (300 MHz, DMSO-d_6): δ 8.86 (s, 1H), 8.80 (dd, J = 4.7, 1.7 Hz, 1H), 8.17 (d, J = 8.8 Hz, 1H), 8.17 (d, J = 8.8, 1.7 Hz, 1H), 7.77 – 7.46 (m, 3H), 7.22 (app t, J = 9.2 Hz, 1H), 7.07 (ddd, J = 8.6, 4.7, 2.2 Hz, 1H), 4.15 (s, 3H). ^{19}F NMR (282 MHz, DMSO-d_6): δ -116.74 (ddd, J = 9.2, 7.2, 4.7 Hz).

[1197] 6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)-7-methyl-[1,2,4]triazolo[1,5-a]pyridine (Compound 969). LCMS: rt 6.15 min (A), purity 99 %, MS (m/e) 339 MH^+.

[1198] 6-(2-(3-Chlorophenyl)pyridin-3-yl)-7-methyl-[1,2,4]triazolo[1,5-a]pyridine (Compoune 970). LCMS: rt 5.71 min (A), purity 99 %, MS (m/e) 321 MH^+.

[1199] 6-(2-(5-Chloro-2-fluorophenyl)pyridin-3-yl)-7-methyl-[1,2,4]triazolo[1,5-a]pyridine (Compound 971). LCMS: rt 6.20 min (A), purity 99 %, MS (m/e) 339 MH^+.

[1200] 6-(2-(5-Chloro-2,4-difluorophenyl)pyridin-3-yl)-7-methyl-[1,2,4]triazolo[1,5-a]pyridine (Compound 972). LCMS: rt 6.50 min (A), purity 99 %, MS (m/e) 357 MH^+.

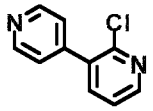
[1201] 4-(6-Methyl-[2,3'-bipyridin]-2'-yl)quinoline (Compound 973). LCMS: rt 2.80 min (B), purity 99 %, MS (m/e) 298 (MH^+).

[1202] 6-(2-(3-Chloro-2,4-difluorophenyl)pyridin-3-yl)benzo[d]thiazole) (Compound 974). LCMS: rt6.11 min (B), purity 99%, MS (m/e) 359 MH^+.

Example 82

[1203] The following additional 2-chloro-3-(hetero)arylpyridine compounds were prepared substantially as described herein.

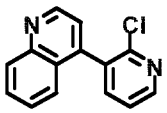
[1204] 2-Chloro-3,4'-bipyridine



^{1}H NMR (300 MHz, DMSO-d_6): δ 8.68 (d, J = 5.7 Hz, 2H), 8.49 (dd, J = 4.8, 1.9 Hz, 1H), 7.94 (dd, J = 7.6, 1.9 Hz, 1H), 7.56 (dd, J = 7.6, 4.8 Hz, 1H), 7.52 (d, J = 5.7 Hz, 2H).

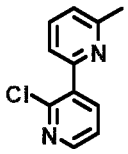
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[1205] 4-(2-Chloropyridin-3-yl)quinoline



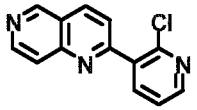
^{1}H NMR (300 MHz, DMSO-d_6): δ 9.01 (d, J = 4.4 Hz, 1H), 8.60 (dd, J = 4.8, 1.9 Hz, 1H), 8.13 (d, J = 8.5 Hz, 1H), 7.98 (dd, J = 7.5, 1.9 Hz, 1H), 7.81 (ddd, J = 8.4, 6.9, 1.4 Hz, 1H), 7.64 (dd, J = 7.5, 4.8 Hz, 1H), 7.58 (dd, J = 6.9, 1.3 Hz, 1H), 7.51 (d, J = 4.4 Hz, 1H), 7.42 (dd, J = 8.1, 1.1 Hz, 1H).

[1206] 2'-Chloro-6-methyl-2,3'-bipyridine



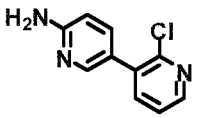
^{1}H NMR (300 MHz, DMSO-d_6): δ 8.46 (dd, J = 4.8, 2.0 Hz, 1H), 7.99 (dd, J = 7.6, 1.9 Hz, 1H), 7.80 (t, J = 7.8 Hz, 1H), 7.58 – 7.47 (m, 2H), 7.31 (d, J = 7.9 Hz, 1H), 2.52 (s, 3H).

[1207] 2-(2-Chloropyridin-3-yl)-1,6-naphthyridine



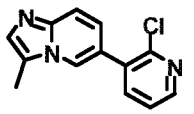
^{1}H NMR (300 MHz, DMSO-d_6): δ 9.48 (d, J = 0.9 Hz, 1H), 8.79 (d, J = 6.0 Hz, 1H), 8.71 (dd, J = 8.6, 0.8 Hz, 1H), 8.57 (ddd, J = 4.8, 2.0, 0.7 Hz, 1H), 8.16 (ddd, J = 7.6, 1.9, 0.7 Hz, 1H), 8.03 (dd, J = 8.5, 0.6 Hz, 1H), 7.96 (d, J = 5.9 Hz, 1H), 7.63 (ddd, J = 7.6, 4.8, 0.7 Hz, 1H).

[1208] 2'-Chloro-[3,3'-bipyridin]-6-amine



^{1}H NMR (300 MHz, DMSO-d_6): δ 8.34 (dd, J = 4.8, 1.7 Hz, 1H), 7.99 (d, J = 2.6 Hz, 1H), 7.83 (dd, J = 7.6, 1.8 Hz, 1H), 7.52 (dd, J = 8.6, 2.5 Hz, 1H), 7.46 (dd, J = 7.6, 4.7 Hz, 1H), 6.51 (d, J = 8.6 Hz, 1H), 6.20 (s, 2H).

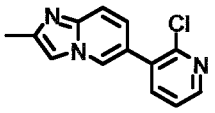
[1209] 6-(2-Chloropyridin-3-yl)-3-methylimidazo[1,2-a]pyridine



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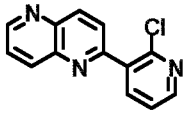
^{1}H NMR (300 MHz, DMSO-d_6): δ 8.47 (dd, J = 5.2, 1.9 Hz, 1H), 8.40 (s, 1H), 8.07 – 7.97 (m, 1H), 7.61 (d, J = 9.3 Hz, 1H), 7.56 (dd, J = 7.8, 4.6 Hz, 1H), 7.42 (s, 1H), 7.33 (dd, J = 9.3, 1.6 Hz, 1H), 2.46(s, 3H).

[1210] 6-(2-Chloropyridin-3-yl)-2-methylimidazo[1,2-a]pyridine



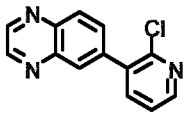
^{1}H NMR (300 MHz, DMSO-d_6): δ 8.63 (dd, J = 1.9, 1.0 Hz, 1H), 8.46 (dd, J = 4.8, 1.9 Hz, 1H), 7.97 (dd, J = 7.6, 1.9 Hz, 1H), 7.71 (s, 1H), 7.59 – 7.44 (m, 2H), 7.27 (dd, J = 9.3, 1.8 Hz, 1H), 2.34 (s, 3H).

[1211] 2-(2-Chloropyridin-3-yl)-1,5-naphthyridine



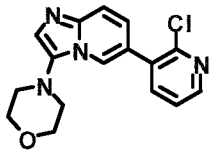
^{1}H NMR (300 MHz, DMSO-d_6): δ 9.06 (dd, J = 4.2, 1.7 Hz, 1H), 8.60 – 8.52 (m, 2H), 8.49 (dd, J = 8.6, 0.9 Hz, 1H), 8.17 (dd, J = 7.6, 2.0 Hz, 1H), 8.12 (dd, J = 8.7, 0.6 Hz, 1H), 7.85 (dd, J = 8.5, 4.2 Hz, 1H), 7.63 (dd, J = 7.6, 4.8 Hz, 1H).

[1212] 6-(2-Chloropyridin-3-yl)quinoxaline



^{1}H NMR (300 MHz, DMSO-d_6):δ 9.01 (s, 2H), 8.51 (dd, J = 4.7, 1.9 Hz, 1H), 8.25 – 8.14 (m, 2H), 8.07 (dd, J = 7.6, 1.9 Hz, 1H), 7.99 (dd, J = 8.7, 1.9 Hz, 1H), 7.59 (dd, J = 7.5, 4.8 Hz, 1H).

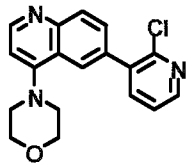
[1213] 4-(6-(2-Chloropyridin-3-yl)imidazo[1,2-a]pyridin-3-yl)morpholine



^{1}H NMR (300 MHz, DMSO-d_6): δ 8.47 (dd, J = 4.8, 1.9 Hz, 1H), 8.28 (dd, J = 1.8, 1.0 Hz, 1H), 8.02 (dd, J = 7.5, 1.9 Hz, 1H), 7.61 – 7.51 (m, 2H), 7.35 (s, 1H), 7.27 (dd, J = 9.3, 1.8 Hz, 1H), 3.97 – 3.47 (m, 4H), 3.13 – 2.71 (m, 4H).

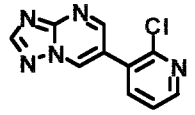
[1214] 4-(6-(2-Chloropyridin-3-yl)quinolin-4-yl)morpholine

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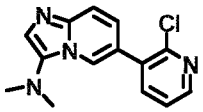
^{1}H NMR (300 MHz, DMSO-d_6): δ 8.75 (d, J = 5.0 Hz, 1H), 8.47 (dd, J = 4.7, 1.9 Hz, 1H), 8.11 (d, J = 2.1 Hz, 1H), 8.07 – 7.95 (m, 2H), 7.79 (dd, J = 8.7, 2.0 Hz, 1H), 7.57 (dd, J = 7.6, 4.8 Hz, 1H), 7.05 (d, J = 5.0 Hz, 1H), 3.85-3.82 (m, 4H), 3.25 – 3.12 (m, 4H).

[1215] 6-(2-Chloropyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyrimidine



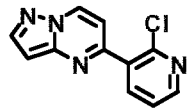
^{1}H NMR (300 MHz, DMSO-d_6): δ 9.70 (d, J = 2.4 Hz, 1H), 9.07 (dd, J = 2.4 Hz, 1H), 8.77 (s, 1H), 8.55 (dd, J = 4.8, 2.0 Hz, 1H), 8.12 (dd, J = 7.6, 1.9 Hz, 1H), 7.63 (dd, J = 7.6, 4.8 Hz, 1H).

[1216] 6-(2-Chloropyridin-3-yl)-N,N-dimethylimidazo[1,2-a]pyridin-3-amine



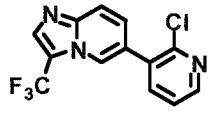
^{1}H NMR (300 MHz, DMSO-d_6): δ 8.46 (dd, J = 4.7, 1.9 Hz, 1H), 8.19 (dd, J = 1.8, 1.0 Hz, 1H), 8.03 (dd, J = 7.5, 1.9 Hz, 1H), 7.60 – 7.49 (m, 2H), 7.29 (s, 1H), 7.26 (dd, J = 9.4, 1.8 Hz, 1H), 2.75 (s, 6H).

[1217] 5-(2-Chloropyridin-3-yl)pyrazolo[1,5-a]pyrimidine



^{1}H NMR (300 MHz, DMSO-d_6): δ 9.24 (dd, J = 7.3, 0.9 Hz, 1H), 8.55 (dd, J = 4.8, 2.0 Hz, 1H), 8.30 (d, J = 2.4 Hz, 1H), 8.14 (dd, J = 7.6, 2.0 Hz, 1H), 7.61 (dd, J = 7.6, 4.8 Hz, 1H), 7.37 (d, J = 7.3 Hz, 1H), 6.82 (d, J = 2.4 Hz, 1H).

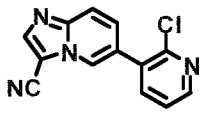
[1218] 6-(2-Chloropyridin-3-yl)-3-(trifluoromethyl)imidazo[1,2-a]pyridine



^{1}H NMR (300 MHz, DMSO-d_6):δ 8.65 (s, 1H), 8.50 (dd, J = 4.8, 1.9Hz, 1H), 8.26 (s, 1H), 8.04 (dd, J = 7.6, 1.9 Hz, 1H), 7.91 (d, J = 9.6 Hz, 1H), 7.67 (d, J = 9.4 Hz, 1H), 7.57 (dd, J = 7.6, 4.8 Hz, 1H).^{19}F NMR (282 MHz, DMSO-d_6) δ -59.81(s).

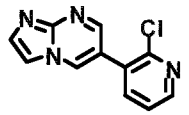
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[1219] 6-(2-Chloropyridin-3-yl)imidazo[1,2-a]pyridine-3-carbonitrile



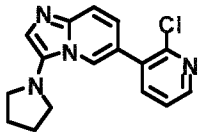
^{1}H NMR (300 MHz, DMSO-d_6): δ 8.82 (d, J = 1.9 Hz), 8.54 – 8.45 (m, 2H), 8.07 (dd, J = 7.6, 1.9 Hz, 1H), 7.94 (d, J = 9.3 Hz, 1H), 7.75 (dd, J = 9.3, 1.7 Hz, 1H), 7.58 (dd, J = 7.6, 4.8 Hz, 1H).

[1220] 6-(2-Chloropyridin-3-yl)imidazo[1,2-a]pyrimidine



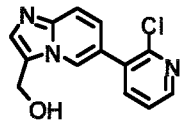
^{1}H NMR (300 MHz, DMSO-d_6):δ 9.20 (dd, J = 2.5, 0.8 Hz, 1H), 8.66 (d, J = 2.5 Hz, 1H), 8.51 (dd, J = 4.8, 1.9 Hz, 1H), 8.08 (dd, J = 7.5, 1.9 Hz, 1H), 7.97 (s, 1H), 7.80 (s, 1H), 7.60 (dd, J = 7.6, 4.8 Hz, 1H).

[1221] 6-(2-Chloropyridin-3-yl)-3-(pyrrolidin-1-yl)imidazo[1,2-a]pyridine



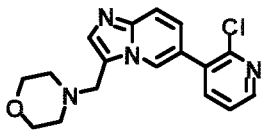
^{1}H NMR (300 MHz, DMSO-d_6):δ 8.46 (dd, J = 4.8, 1.9 Hz, 1H), 8.25 (d, J = 1.7 Hz, 1H), 8.01 (dd, J = 7.6, 1.9 Hz, 1H), 7.54 (dd, J = 5.1, 2.5 Hz, 1H), 7.51 (d, J = 0.6 Hz, 1H), 7.25 (s, 1H), 7.21 (dd, J = 9.3, 1.8 Hz, 1H), 3.16 (t, J = 4.3 Hz, 4H), 2.00 – 1.82 (m, 4H).

[1222] (6-(2-Chloropyridin-3-yl)imidazo[1,2-a]pyridin-3-yl)methanol



^{1}H NMR (300 MHz, DMSO-d_6): δ 8.51 (d, J = 1.7 Hz, 1H), 8.48 (dd, J = 4.8, 1.9 Hz, 1H), 8.01 (dd, J = 7.6, 1.9 Hz, 1H), 7.66 (d, J = 9.3 Hz, 1H), 7.61 – 7.53 (m, 2H), 7.40 (dd, J = 9.4, 1.8 Hz, 1H), 5.24 (t, J = 5.5 Hz, 1H), 4.82 (d, J = 5.5 Hz, 2H).

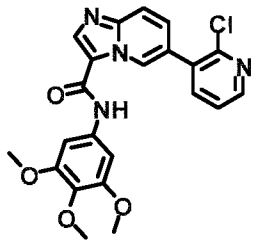
[1223] 4-((6-(2-Chloropyridin-3-yl)imidazo[1,2-a]pyridin-3-yl)methyl)morpholine



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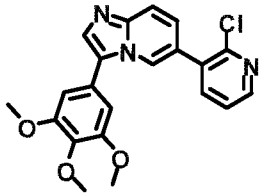
^{1}H NMR (300 MHz, DMSO-d_6): δ 8.65 (d, J = 1.7 Hz, 1H), 8.48 (dd, J = 4.8, 1.9 Hz, 1H), 8.00 (dd, J = 7.6, 1.9 Hz, 1H), 7.65 (d, J = 9.3 Hz, 1H), 7.62 – 7.54 (m, 2H), 7.37 (dd, J = 9.3, 1.8 Hz, 1H), 3.84 (s, 2H), 3.59 – 3.32 (m, 4H), 2.41 – 2.29 (m, 4H).

[1224] 6-(2-Chloropyridin-3-yl)-N-(3,4,5-trimethoxyphenyl)imidazo[1,2-a]pyridine-3-carboxamide



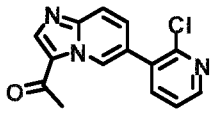
^{1}H NMR (300 MHz, DMSO-d_6):δ 10.17 (s, 1H), 9.55 (dd, J = 1.9, 1.0 Hz, 1H), 8.63 (s, 1H), 8.51 (dd, J = 4.8, 1.9 Hz, 1H), 8.06 (dd, J = 7.6, 1.9 Hz, 1H), 7.87 (dd, J = 9.3, 1.0 Hz, 1H), 7.65 (dd, J = 9.3, 1.8 Hz, 1H), 7.59 (dd, J = 7.6, 4.8 Hz, 1H), 7.16 (s, 2H), 3.76 (s, 6H), 3.63 (s, 3H).

[1225] 6-(2-Chloropyridin-3-yl)-3-(3,4,5-trimethoxyphenyl)imidazo[1,2-a]pyridine



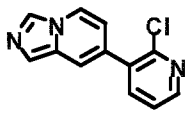
^{1}H NMR (300 MHz, DMSO-d_6): δ 8.68 (d, J = 1.6 Hz, 1H), 8.44 (dd, J = 4.8, 1.9 Hz, 1H), 8.04 (dd, J = 7.6, 1.9 Hz, 1H), 7.81 (d, J = 0.8 Hz, 1H), 7.74 (d, J = 9.3 Hz, 1H), 7.59 – 7.45 (m, 1H), 7.41 (dd, J = 9.3, 1.7 Hz, 1H), 6.95 (s, 2H), 3.83 (s, 6H), 3.70 (s, 3H).

[1226] 1-(6-(2-Chloropyridin-3-yl)imidazo[1,2-a]pyridin-3-yl)ethan-1-one



^{1}H NMR (300 MHz, DMSO-d_6):δ 9.61 (d, J = 1.8 Hz, 1H), 8.69 (s, 1H), 8.51 (dd, J = 4.7, 1.9 Hz, 1H), 8.04 (dd, J = 7.6, 1.9 Hz, 1H), 7.94 (d, J = 9.2 Hz, 1H), 7.77 (dd, J = 9.2, 1.9 Hz, 1H), 7.59 (dd, J = 7.6, 4.7 Hz, 1H), 2.58 (s, 3H).

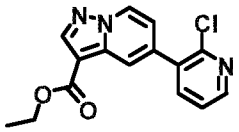
[1227] 7-(2-Chloropyridin-3-yl)imidazo[1,5-a]pyridine



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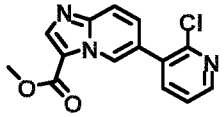
^{1}H NMR (300 MHz, DMSO-d_6):δ 8.50 – 8.33 (m, 2H), 7.95 (d, J = 6.9 Hz, 1H), 7.67 (s, 1H), 7.52 (dd, J = 7.6, 4.7 Hz, 1H), 7.45 (s, 1H), 6.78 (d, J = 7.3 Hz, 1H).

[1228] Ethyl 5-(2-chloropyridin-3-yl)pyrazolo[1,5-a]pyridine-3-carboxylate



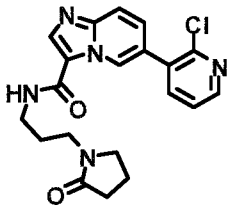
^{1}H NMR (300 MHz, DMSO-d_6): δ 8.98 (dd, J = 7.2, 0.9 Hz, 1H), 8.59 – 8.32 (m, 2H), 8.12 (dd, J = 2.1, 1.0 Hz, 1H), 8.05 (dd, J = 7.6, 1.8 Hz, 1H), 7.59 (dd, J = 7.6, 4.8 Hz, 1H), 7.29 (dd, J = 7.1, 2.0 Hz, 1H), 4.29 (q, J = 7.1 Hz, 2H), 1.30 (t, J = 7.0 Hz, 3H).

[1229] Methyl 6-(2-chloropyridin-3-yl)imidazo[1,2-a]pyridine-3-carboxylate



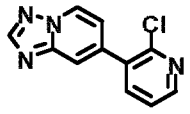
^{1}H NMR (300 MHz, DMSO-d_6): δ 9.30 (d, J = 1.6 Hz, 1H), 8.52 (dd, J = 4.8, 1.9 Hz, 1H), 8.38 (s, 1H), 8.05 (dd, J = 7.6, 1.9 Hz, 1H), 7.93 (d, J = 9.4 Hz, 1H), 7.72 (dd, J = 9.2, 1.6 Hz, 1H), 7.59 (dd, J = 7.4, 4.7 Hz, 1H), 3.88 (s, 3H).

[1230] 6-(2-Chloropyridin-3-yl)-N-(3-(2-oxopyrrolidin-1-yl)propyl)imidazo[1,2-a]pyridine-3-carboxamide



^{1}H NMR (300 MHz, DMSO-d_6):δ 9.55 (dd, J = 1.9, 0.9 Hz, 1H), 8.54 (t, J = 5.7 Hz, 1H), 8.50 (dd, J = 4.8, 1.9 Hz, 1H), 8.36 (s, 1H), 8.03 (dd, J = 7.6, 1.9 Hz, 1H), 7.81 (d, J = 9.4 Hz, 1H), 7.58 (dd, J = 9.4, 2.0 Hz, 2H), 3.33 (t, J = 6.9 Hz, 2H), 3.23 (t, J = 7.0 Hz, 4H), 2.19 (t, J = 8.0 Hz, 2H), 1.91 (dq, J = 15.0, 7.5 Hz, 2H), 1.71 (p, J = 7.2 Hz, 2H).

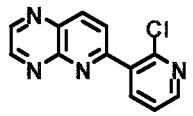
[1231] 7-(2-Chloropyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine



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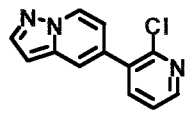
^{1}H NMR (300 MHz, DMSO-d_6): δ 9.06 (d, J = 6.5 Hz, 1H), 8.58 (s, 1H), 8.51 (dd, J = 4.8, 1.9 Hz, 1H), 8.03 (dd, J = 7.6, 1.9 Hz, 1H), 7.99 (s, 1H), 7.58 (dd, J = 7.6, 4.8 Hz, 1H), 7.34 (dd, J = 7.1, 1.8 Hz, 1H).

[1232] 6-(2-Chloropyridin-3-yl)pyrido[2,3-b]pyrazine



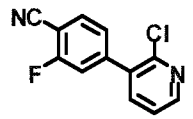
^{1}H NMR (300 MHz, DMSO-d_6): δ 9.20 (d, J = 1.6 Hz, 1H), 9.12 (d, J = 1.6 Hz, 1H), 8.70 (d, J = 8.6 Hz, 1H), 8.59 (dd, J = 4.8, 1.9 Hz, 1H), 8.25 (d, J = 8.6 Hz, 1H), 8.21 (dd, J = 7.6, 1.9 Hz, 1H), 7.65 (dd, J = 7.6, 4.8 Hz, 1H).

[1233] 5-(2-Chloropyridin-3-yl)pyrazolo[1,5-a]pyridine



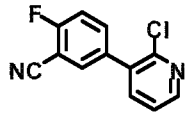
^{1}H NMR (300 MHz, DMSO-d_6): δ 8.76 (dd, J = 7.2, 0.9 Hz, 1H), 8.47 (dd, J = 4.8, 1.9 Hz, 1H), 8.06 (d, J = 2.2 Hz, 1H), 7.99 (dd, J = 7.6, 1.9 Hz, 1H), 7.81 (dd, J = 1.9, 0.9 Hz, 1H), 7.55 (dd, J = 7.6, 4.8 Hz, 1H), 6.99 (dd, J = 7.2, 2.0 Hz, 1H), 6.70 (dd, J = 2.2, 0.9 Hz, 1H).

[1234] 4-(2-Chloropyridin-3-yl)-2-fluorobenzonitrile



^{1}H NMR (300 MHz, DMSO-d_6): δ 8.50 (dd, J = 4.8, 1.9 Hz, 1H), 8.05 (dd, J = 8.0, 7.0 Hz, 1H), 7.95 (dd, J = 7.6, 1.9 Hz, 1H), 7.76 (dd, J = 10.4, 1.5 Hz, 1H), 7.62 – 7.51 (m, 2H). ^{19}F NMR (282 MHz, DMSO-d_6): δ -108.27 (dd, J = 10.5, 7.0 Hz).

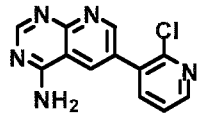
[1235] 5-(2-Chloropyridin-3-yl)-2-fluorobenzonitrile



^{1}H NMR (300 MHz, DMSO-d_6): δ 8.47 (dd, J = 4.8, 1.9 Hz, 1H), 8.11 (dd, J = 6.2, 2.2 Hz, 1H), 7.97 – 7.94 (m, 1H), 7.94 – 7.90 (m, 1H), 7.65 (t, J = 9.1 Hz, 1H), 7.55 (dd, J = 7.6, 4.8 Hz, 1H). ^{19}F NMR (282 MHz, DMSO-d_6): δ -109.00 (dt, J = 9.4, 5.7 Hz).

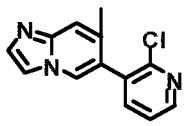
[1236] 6-(2-Chloropyridin-3-yl)pyrido[2,3-d]pyrimidin-4-amine

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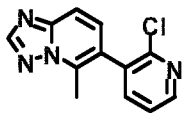
^{1}H NMR (300 MHz, DMSO-d_6): δ 9.09 (d, J = 2.4 Hz, 1H), 8.83 (d, J = 2.4 Hz, 1H), 8.56 (s, 1H), 8.52 (dd, J = 4.8, 1.9 Hz, 1H), 8.17 (br s, 2H), 8.07 (dd, J = 7.6, 1.9 Hz, 1H), 7.62 (dd, J = 7.6, 4.8 Hz, 1H).

[1237] 6-(2-Chloropyridin-3-yl)-7-methylimidazo[1,2-a]pyridine



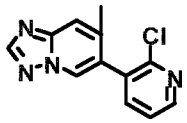
^{1}H NMR (300 MHz, DMSO-d_6): δ 8.50 (dd, J = 4.8, 2.0 Hz, 1H), 8.49 (s, 1H), 7.93 (dd, J = 7.5, 2.0 Hz, 1H), 7.85 (d, J = 0.9 Hz, 1H), 7.58 – 7.53 (m, 2H), 7.52 (app s 1H), 2.06 (d, J = 0.9 Hz, 3H).

[1238] 6-(2-Chloropyridin-3-yl)-5-methyl-[1,2,4]triazolo[1,5-a]pyridine



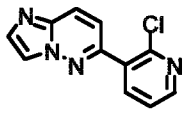
^{1}H NMR (300 MHz, DMSO-d_6): δ 8.59 (s, 1H), 8.53 (dd, J = 4.8, 1.9 Hz, 1H), 7.99 (dd, J = 7.6, 1.9 Hz, 1H), 7.83 (d, J = 9.1 Hz, 1H), 7.62 – 7.56 (m, 2H), 2.53 (s, 3H).

[1239] 6-(2-Chloropyridin-3-yl)-7-methyl-[1,2,4]triazolo[1,5-a]pyridine



^{1}H NMR (300 MHz, DMSO-d_6): δ 8.97 (s, 1H), 8.53 (dd, J = 4.8, 1.9 Hz, 1H), 8.48 (s, 1H), 7.97 (dd, J = 7.5, 1.9 Hz, 1H), 7.83 (s, 1H), 7.58 (dd, J = 7.5, 4.8 Hz, 1H), 2.15 (s, 3H).

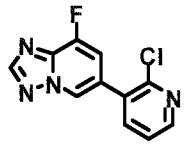
[1240] 6-(2-Chloropyridin-3-yl)imidazo[1,2-b]pyridazine



^{1}H NMR (300 MHz, DMSO-d_6): δ 8.58 (dd, J = 4.8, 1.9 Hz, 1H), 8.38 (d, J= 0.8 Hz, 1H), 8.25 (d, J = 9.4 Hz, 1H), 8.13 (dd, J = 7.6, 1.9 Hz, 1H), 7.87 (d, J = 0.8 Hz, 1H), 7.62 (dd, J = 7.6, 4.8 Hz, 1H), 7.50 (d, J = 9.4 Hz, 1H).

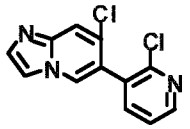
[1241] 6-(2-Chloropyridin-3-yl)-8-fluoro-[1,2,4]triazolo[1,5-a]pyridine

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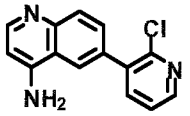
^{1}H NMR (300 MHz, DMSO-d_6): δ 9.14 (d, J = 1.4 Hz, 1H), 8.67 (s, 1H), 8.51 (dd, J = 4.8, 1.9 Hz, 1H), 8.05 (dd, J = 7.6, 1.9 Hz, 1H), 7.90 (dd, J = 11.1, 1.4 Hz, 1H), 7.58 (dd, J = 7.6, 4.8 Hz, 1H). ^{19}F NMR (282 MHz, DMSO-d_6): δ -129.92 (d, J = 11.2 Hz).

[1242] 7-Chloro-6-(2-chloropyridin-3-yl)imidazo[1,2-a]pyridine



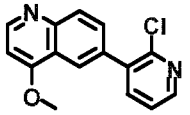
^{1}H NMR (300 MHz, DMSO-d_6): δ 8.76 (s, 1H), 8.53 (dd, J = 4.8, 1.9 Hz, 1H), 8.03 – 7.95 (m, 2H), 7.67 (d, J = 1.2 Hz, 1H), 7.58 (dd, J = 7.5, 4.8 Hz, 1H).

[1243] 6-(2-Chloropyridin-3-yl)quinolin-4-amine



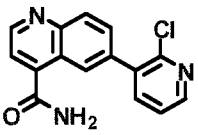
^{1}H NMR (300 MHz, DMSO-d_6): δ 8.46 (d, J = 4.3 Hz, 1H), 8.33 (d, J = 5.2 Hz, 1H), 8.24 (s, 1H), 7.97 (d, J = 7.2 Hz, 1H), 7.81 (d, J = 9.0 Hz, 1H), 7.69 (d, J = 9.6 Hz, 1H), 7.56 (dd, J = 7.4, 4.9 Hz, 1H), 6.85 (br s, 2H), 6.56 (d, J = 5.0 Hz, 1H).

[1244] 6-(2-Chloropyridin-3-yl)-4-methoxyquinoline



^{1}H NMR (300 MHz, DMSO-d_6): δ 8.79 (d, J = 5.3 Hz, 1H), 8.47 (dd, J = 4.8, 1.7 Hz, 1H), 8.17 (d, J = 2.1 Hz, 1H), 8.06 – 7.94 (m, 2H), 7.83 (dd, J = 8.7, 2.1 Hz, 1H), 7.55 (dd, J = 7.6, 4.8 Hz, 1H), 7.08 (d, J = 5.2 Hz, 1H), 4.04 (s, 3H).

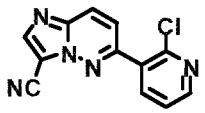
[1245] 6-(2-Chloropyridin-3-yl)quinoline-4-carboxamide



^{1}H NMR (300 MHz, DMSO-d_6): δ 9.02 (d, J = 4.3 Hz, 1H), 8.49 (dd, J = 4.7, 1.8 Hz, 1H), 8.29 (d, J = 1.8 Hz, 2H), 8.16 (d, J = 8.7 Hz, 1H), 8.00 (dd, J = 7.5, 1.8 Hz, 1H), 7.92 (dd, J = 8.7, 2.0 Hz, 2H), 7.63 (d, J = 4.3 Hz, 1H), 7.58 (dd, J = 7.6, 4.8 Hz, 1H).

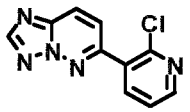
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[1246] 6-(2-Chloropyridin-3-yl)imidazo[1,2-b]pyridazine-3-carbonitrile



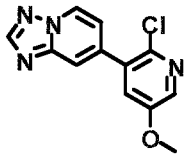
^{1}H NMR (300 MHz, DMSO-d_6): δ 8.67 (s, 1H), 8.62 (dd, J = 4.8, 1.9 Hz, 1H), 8.52 (d, J = 9.5 Hz, 1H), 8.17 (dd, J = 7.6, 1.9 Hz, 1H), 7.90 (d, J = 9.5 Hz, 1H), 7.67 (dd, J = 7.6, 4.8 Hz, 1H).

[1247] 6-(2-Chloropyridin-3-yl)-[1,2,4]triazolo[1,5-b]pyridazine



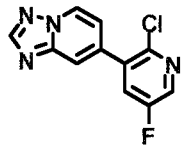
^{1}H NMR (300 MHz, DMSO-d_6): δ 8.77 (s, 1H), 8.61 (d, J = 4.8, 1.9 Hz, 1H), 8.59 (d, J = 9.4 Hz, 1H), 8.19 (dd, J = 7.6, 1.9 Hz, 1H), 8.01 (d, J = 9.4 Hz, 1H), 7.66 (dd, J = 7.6, 4.8 Hz, 1H).

[1248] 7-(2-Chloro-5-methoxypyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine



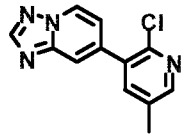
^{1}H NMR (300 MHz, DMSO-d_6): δ 9.06 (dd, J = 7.1, 0.9 Hz, 1H), 8.58 (s, 1H), 8.23 (d, J = 3.0 Hz, 1H), 8.02 (d, J = 1.9 Hz, 1H), 7.67 (d, J = 3.0 Hz, 1H), 7.36 (dd, J = 7.1, 1.9 Hz, 1H), 3.89 (s, 3H).

[1249] 7-(2-Chloro-5-fluoropyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine



^{1}H NMR (300 MHz, DMSO-d_6): δ 9.09 (dd, J = 7.1, 0.9 Hz, 1H), 8.60 (s, 1H), 8.59 (d, J = 3.0 Hz, 1H), 8.13 (dd, J = 8.6, 3.0 Hz, 1H), 8.05 (dd, J = 1.8, 0.9 Hz, 1H), 7.38 (dd, J = 7.1, 1.8 Hz, 2H).

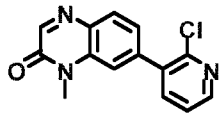
[1250] 7-(2-Chloro-5-methylpyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine



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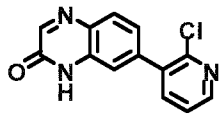
^{1}H NMR (300 MHz, DMSO-d_6): δ 9.05 (d, J = 8.0 Hz, 1H), 8.57 (s, 1H), 8.34 (d, J = 2.3 Hz, 1H), 7.97 (d, J = 1.8 Hz, 1H), 7.88 (d, J = 2.3 Hz, 1H), 7.33 (dd, J = 7.1, 1.9 Hz, 1H), 2.35 (s, 3H).

[1251] 7-(2-Chloropyridin-3-yl)-1-methylquinoxalin-2(1H)-one



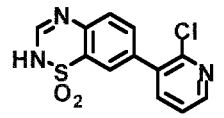
^{1}H NMR (300 MHz, DMSO-d_6): δ 8.49 (dd, J = 4.8, 1.9 Hz, 1H), 8.27 (s, 1H), 8.00 (dd, J = 7.6, 1.9 Hz, 1H), 7.91 (d, J = 8.2 Hz, 1H), 7.68 (d, J = 1.7 Hz, 1H), 7.58 (dd, J = 7.6, 4.8 Hz, 1H), 7.50 (dd, J = 8.2, 1.8 Hz, 1H), 3.62 (s, 4H).

[1252] 7-(2-Chloropyridin-3-yl)quinoxalin-2(1H)-one



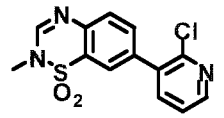
^{1}H NMR (300 MHz, DMSO-d_6): δ 12.51 (s, 1H), 8.48 (dd, J = 4.7, 1.9 Hz, 1H), 8.21 (s, 1H), 7.94 (dd, J = 7.6, 1.9 Hz, 1H), 7.87 (d, J = 8.3 Hz, 1H), 7.56 (dd, J = 7.6, 4.7 Hz, 1H), 7.42 – 7.33 (m, 2H).

[1253] 7-(2-Chloropyridin-3-yl)-2H-benzo[e][1,2,4]thiadiazine 1,1-dioxide



^{1}H NMR (300 MHz, DMSO-d_6): δ 12.47 (s, 1H), 8.45 (dd, J = 4.8, 1.9 Hz, 1H), 8.03 (s, 1H), 7.93 (dd, J = 7.6, 1.9 Hz, 1H), 7.88 (d, J = 1.9 Hz, 1H), 7.80 (dd, J = 8.5, 2.0 Hz, 1H), 7.53 (dd, J = 7.6, 4.8 Hz, 1H), 7.43 (d, J = 8.5 Hz, 1H).

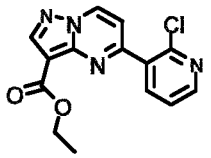
[1254] 7-(2-Chloropyridin-3-yl)-2-methyl-2H-benzo[e][1,2,4]thiadiazine 1,1-dioxide



^{1}H NMR (300 MHz, DMSO-d_6): δ 8.47 (dd, J = 4.8, 1.9 Hz, 1H), 8.12 (s, 1H), 7.96-7.94 (m, 2H), 7.90 (d, J = 2.1 Hz, 1H), 7.61 (d, J = 8.8 Hz, 1H), 7.55 (dd, J = 7.6, 4.8 Hz, 1H), 3.66 (s, 3H).

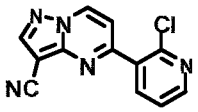
[1255] Ethyl 5-(2-chloropyridin-3-yl)pyrazolo[1,5-a]pyrimidine-3-carboxylate

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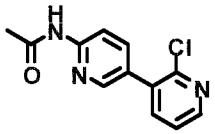
^{1}H NMR (300 MHz, DMSO-d_6): δ 9.40 (d, J = 7.3 Hz, 1H), 8.69 (s, 1H), 8.64 – 8.50 (m, 1H), 8.17 (dd, J = 7.7, 1.9 Hz, 1H), 7.76 – 7.58 (m, 2H), 4.27 (q, J = 7.1 Hz, 2H), 1.29 (t, J = 7.1 Hz, 3H).

[1256] 5-(2-Chloropyridin-3-yl)pyrazolo[1,5-a]pyrimidine-3-carbonitrile



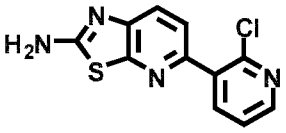
^{1}H NMR (300 MHz, DMSO-d_6): δ 9.50 (dd, J = 7.2, 0.9 Hz, 1H), 8.90 (s, 1H), 8.60 (dd, J = 4.7, 1.9 Hz, 1H), 8.20 (dd, J = 7.6, 1.9 Hz, 1H), 7.75 (d, J = 7.2 Hz, 1H), 7.65 (dd, J = 7.6, 4.8 Hz, 1H).

[1257] N-(2'-chloro-[3,3'-bipyridin]-6-yl)acetamide



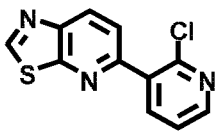
^{1}H NMR (300 MHz, DMSO-d_6) δ 10.64 (s, 1H), 8.44 (dd, J = 4.7, 1.9 Hz, 1H), 8.40 (d, J = 2.5 Hz, 1H), 8.16 (d, J = 8.7 Hz, 1H), 8.02 – 7.84 (m, 2H), 7.53 (dd, J = 7.6, 4.8 Hz, 1H), 2.11 (s, 3H).

[1258] 5-(2-Chloropyridin-3-yl)thiazolo[5,4-b]pyridin-2-amine



^{1}H NMR (300 MHz, DMSO-d_6): δ 8.44 (dd, J = 4.7, 1.9 Hz, 1H), 8.04 (dd, J = 7.6, 1.9 Hz, 1H), 7.94 (s, 2H), 7.70 (d, J = 8.3 Hz, 1H), 7.59 (d, J = 8.3 Hz, 1H), 7.52 (dd, J = 7.6, 4.7 Hz, 1H).

[1259] 5-(2-Chloropyridin-3-yl)thiazolo[5,4-b]pyridine



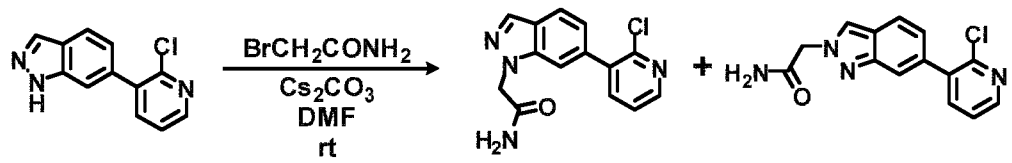
^{1}H NMR (300 MHz, DMSO-d_6): δ 9.63 (s, 1H), 8.61 (d, J = 8.5 Hz, 1H), 8.53 (dd, J = 4.7, 1.9 Hz, 1H), 8.12 (dd, J = 7.6, 1.9 Hz, 1H), 7.94 (d, J = 8.5 Hz, 1H), 7.59 (dd, J = 7.6, 4.8 Hz, 1H).

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Example 83

[1260] 2-(6-(2-Chloropyridin-3-yl)-1H-indazol-1-yl)acetamide and 2-(6-(2-chloropyridin-3-yl)-2H-indazol-2-yl)acetamide can be prepared as shown in Scheme 33, and as described below:

Scheme 33

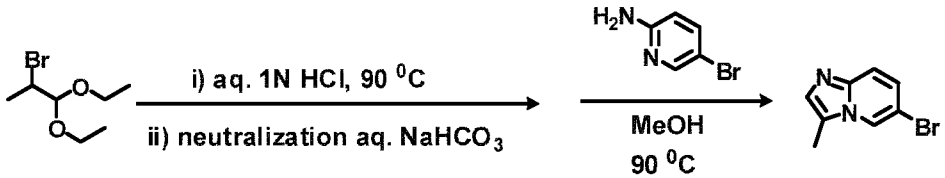


6-(2-Chloropyridin-3-yl)-1H-indazole (0.6 g, 2.6 mmol), 2-bromoacetamide (0.5 g, 3.4 mmol) and Cs_2CO_3 (1.3 g, 3.9 mmol) in dry DMF (2.5 mL) was stirred under argon in a screw capped vial at room temperature. The reaction mixture was diluted with water after 2 days and the resultant solid was collected by filtration. Individual alkylated indazole regio-isomers were isolated by from the solid by purification (Combiflash® companion system® with RediSep® silica gel column 24 g and 30-50-75% EtOAC/hexanes as an eluting solvent). 2-(6-(2-chloropyridin-3-yl)-1H-indazol-1-yl)acetamide (*fast eluted N1-regio-isomer)*: ^{1}H NMR (300 MHz, DMSO-d_6): δ 8.45 (dd, J = 4.7, 1.9 Hz, 1H), 8.12 (s, 1H), 7.91 (dd, J = 7.6, 1.9 Hz, 1H), 7.84 (d, J = 8.3 Hz, 1H), 7.71 (s, 1H), 7.54 (dd, J = 7.6, 4.7 Hz, 2H), 7.23 (dd, J = 8.3, 1.4 Hz, 2H), 5.08 (s, 2H). 2-(6-(2-Chloropyridin-3-yl)-2H-indazol-2-yl)acetamide (*late eluted N2-regio-isomer:* ^{1}H NMR (300 MHz, DMSO-d_6): δ 8.43 (dd, J = 4.7, 1.9 Hz, 1H), 8.40 (d, J = 0.8 Hz, 1H), 7.91 (dd, J = 7.5, 1.9 Hz, 1H), 7.80 (dd, J = 8.6, 0.8 Hz, 1H), 7.74 – 7.61 (m, 2H), 7.52 (dd, J = 7.6, 4.8 Hz, 1H), 7.35 (s, 1H), 7.10 (dd, J = 8.6, 1.4 Hz, 1H), 5.12 (s, 2H).

Example 84

[1261] 6-Bromo-3-methylimidazo[1,2-a]pyridine can be prepared as shown in Scheme 34, and as described below:

Scheme 34



2-Bromo-1,1-diethoxypropane (5 g) was added to a stirring solution of aq. 1N HCl (15 mL) and heated at 90 ^{0}C for 1h. The clear reaction mixture was cooled to room temperature and treated

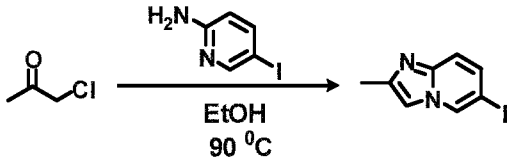
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with solid $NaHCO_3$ till pH 7.0. 2-amino-5-bromopyridine (1.8 G) and MeOH (25 mL) were transferred successively to the above reaction mixture and heated at 90 ^{0}C. After 8h, the reaction mixture was concentrated under vacuum by rotary evaporator. The resulting solid concentrate was stirred in CH_2Cl_2/water (200 mL/75 ml). Organic layer was separated, dried over $MgSO_4$, filtered and concentrated. The crude concentrate was stirred in EtOAc (30 mL) and the solid was collected by filtration to obtain 6-bromo-3-methylimidazo[1,2-a]pyridine as a tan solid (1.6 g). ^{1}H NMR (300 MHz, DMSO-d_6): δ 8.55 (s, 1H), 7.50 (d, J = 9.5 Hz, 1H), 7.37 (s, 1H), 7.28 (d, J = 9.5 Hz, 1H), 2.44 (s, 3H).

Example 85

[1262] 6-Iodo-2-methylimidazo[1,2-a]pyridine can be prepared as shown in Scheme 35, and as described below:

Scheme 35

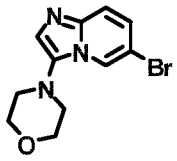


Chloroacetone (3 mL) was added to a stirring solution of 2-amino-5-iodopyridine (2 g) in EtOH (20 mL) and heated to reflux. The reaction progress (50% of unreacted 2-amino-5-iodopyridine) was analyzed after 12h by LC/MS and TLC. Additional amount of chloroacetone (3 mL) was transferred to the reaction mixture and heated for additional 8h to observe the >90% consumption of 2-amino-5-iodopyridine. The reaction mixture was cooled and concentrated to dryness. The crude residue was diluted with EtOAc (130 mL)/water (50 mL) and neutralized with 5% aq. NaOH (25 mL). Organic layer from the biphasic solution was separated and the aqueous phase was partitioned again with EtOAc (70 mL). Combined organic layers were dried over $MgSO_4$, filtered and concentrated. The crude brown residue was purified by Combiflash® companion system® with RediSep® silica gel column [(80 g), 50-75-100%EtOAC/hexanes as an eluting solvent gradient. The product fractions were concentrated to provide2.2 g of 6-iodo-2-methylimidazo[1,2-a]pyridine (1.8 g) as an off-brown solid.^{1}H NMR (300 MHz, DMSO-d_6) δ 8.81 (dd, J = 1.7, 1.0 Hz, 1H), 7.62 – 7.55 (app s, 1H), 7.31 (dd, J = 9.4, 1.7 Hz, 1H), 7.25 (dd, J = 9.3, 0.8 Hz, 1H), 2.29 (s, 3H). See Helvetica Chimica Acta, 90(12), 2349-2367 (2007).

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Example 86

[1263] 6-Iodo-2-methylimidazo[1,2-a]pyridine

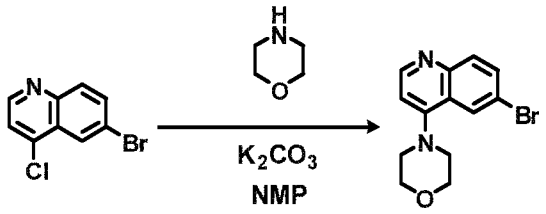


6-Iodo-2-methylimidazo[1,2-a]pyridine can be prepared via the procedures described in J. Med. Chem, 54(7), 2455-66 (2011). ^{1}H NMR (300 MHz, DMSO-d_6) δ 8.38 (dd, J = 1.9, 0.9 Hz, 1H), 7.47 (dd, J = 9.5, 0.8 Hz, 1H), 7.33 (s,1H), 7.26 (dd, J = 9.5, 2.0 Hz, 1H), 3.78-3.75 (m 4H), 3.07 – 2.80 (m, 5H).

Example 87

[1264] 4-(6-Bromoquinolin-4-yl)morpholine can be prepared as shown in Scheme 36, and as described below:

Scheme 36



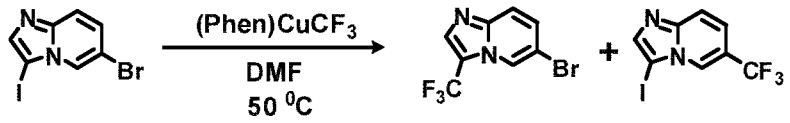
6-Bromo-4-chloroquinoline (1.0 G, 4.1 mmol), morpholine (0.468 g, 5.3 mmol) and K_2CO_3 (1.0G, 7.2 mmol) in NMP (5 mL) were heated at 100 ^{0}C for 12h. The reaction mixture was cooled to room temperature, diluted with water (20 mL) and the product was extracted into EtOAc/hexanes (140 mL/60 mL). The organic layer was washed with water (75 mL) and brine (20 mL) successively, dried over $MgSO_4$ and filtered. The filtrate was concentrated and purified by flash column chromatography (Combiflash® companion system® with RediSep® silica gel column 40 g and 30-70%EtOAC/hexanes as an eluting solvent]. The product fractions were concentrated to provide4-(6-bromoquinolin-4-yl)morpholine (700 mg) as a white solid. ^{1}H NMR (300 MHz, DMSO-d_6) δ 8.73 (d, J = 5.0 Hz, 1H), 8.13 (d, J = 2.2 Hz, 1H), 7.90 (d, J = 8.9 Hz, 1H), 7.81 (dd, J = 9.0, 2.2 Hz, 1H), 7.06 (d, J = 5.0 Hz, 1H), 3.87-3.84 (m, 4H), 3.18 – 3.02 (m, 4H).

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Example 88

[1265] 6-Bromo-3-(trifluoromethyl)imidazo[1,2-a]pyridine can be prepared as shown in Scheme 37, and as described below:

Scheme 37

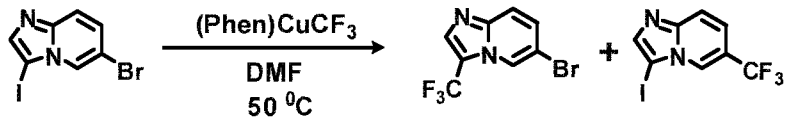


A screw capped vial (20 mL) containing a stir bar was charged with 6-bromo-3-iodoimidazo[1,2-a]pyridine (0.27 g) followed by dry DMF (4 mL) and (Phen)$CuCF_3$ sequentially. The capped vial containing initial dark reaction mixture was stirred at 50 ^{0}C. The reaction mixture changed colors as it progressed from pink to off-yellow and off-green at the end of the reaction. The progress was monitored intermittently by LC/MS. After 48h, the reaction mixture was diluted with EtOAc/CH_2Cl_2 (1:1, 50 mL) and filtered through a pad of Celite®/Fluorosil® and washed the pad with additional amount of EtOAc/CH_2Cl_2 (1:1, 50 mL). The filtrate was concentrated by rotary evaporator under vacuum to dryness. The crude concentrate was diluted with water, sonicated for 10 min and filtered. The collected solid was suction dried to provide the desired 6-bromo-3-(trifluoromethyl)imidazo[1,2-a]pyridine along with 3-iodo-6-(trifluoromethyl)imidazo[1,2-a]pyridine (12:1) whcich can be used in the subsequent coupling with no further purification. See Hartwig Angew. Int. Ed. Eng. 2011, 50, 3793-3794.

Example 89

[1266] 6-Bromoimidazo[1,2-a]pyridine-3-carbonitrile can be prepared as shown in Scheme 38:

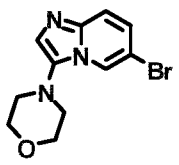
Scheme 38



See J. Med. Chem., 54(7), 2455-66 (2011).

Example 90

[1267] 4-(6-Bromoimidazo[1,2-a]pyridin-3-yl)morpholine:

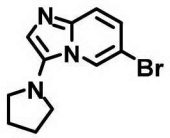


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4-(6-Bromoimidazo[1,2-a]pyridin-3-yl)morpholine can be prepared as described in J. Med. Chem., 54(7), 2455-66 (2011). ^{1}H NMR (300 MHz, DMSO-d_6) δ 8.38 (dd, J = 1.9, 0.9 Hz, 1H), 7.47 (dd, J = 9.5, 0.8 Hz, 1H), 7.33 (s,1H), 7.26 (dd, J = 9.5, 2.0 Hz, 1H), 3.78-3.75 (m 4H), 3.07 – 2.80 (m, 5H).

Example 91

[1268] 4-(6-Bromo-3-(pyrrolidin-1-yl)imidazo[1,2-a]pyridine:

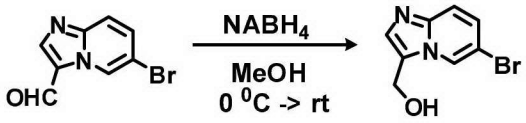


4-(6-Bromo-3-(pyrrolidin-1-yl)imidazo[1,2-a]pyridine can be prepared as described in J. Med. Chem., 54(7), 2455-66 (2011).

Example 92

[1269] 6-Bromoimidazo[1,2-a]pyridine-3-carbonitrile can be prepared as shown in Scheme 39:

Scheme 39



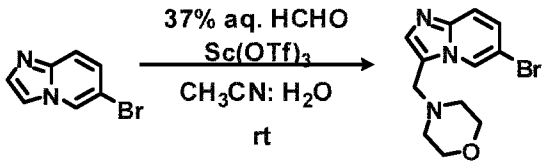
Stirring solution of 6-bromoimidazo[1,2-a]pyridine-3-carbaldehyde [see Bioorg. Med. Chem. Let.. 15(17), 5837-5844; 2007; Int'l Pat. App. Pub. no. 2011/055320] (1.5g, 6.6 mmol) in MeOH (20 mL) was cooled to 0 ^{0}C and $NaBH_4$ (0.50 g, 13.2 mmol) was added in portions for a period of 20 min. Cooling was removed after 1h and allowed to stir for 3h. The reaction mixture was quenched with water and concentrated by rotary evaporator to dryness. Subsequently, the solid concentrate was diluted with water and collected on the Buchner funnel by suction filtration. The solid was suction dried to obtain (6-bromoimidazo[1,2-a]pyridin-3-yl)methanol as a pale yellow crystalline solid (0.83 g). ^{1}H NMR (300 MHz, DMSO-d_6) δ 8.63 (dd, J = 2.0, 0.9 Hz, 1H), 7.55 (dd, J = 9.5, 0.9 Hz, 1H), 7.52 (s, 1H), 7.36 (dd, J = 9.5, 2.0 Hz, 1H), 5.27 (t, J = 5.4 Hz, 1H), 4.79 (d, J = 5.3 Hz, 2H).See J. Med. Chem., 54(7), 2455-66 (2011).

Example 93

[1270] 4-((6-Bromoimidazo[1,2-a]pyridin-3-yl)methyl)morpholine can be prepared as shown in Scheme 40:

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Scheme 40

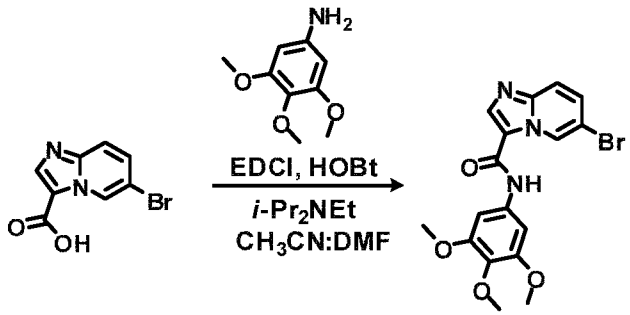


Morpholine (1.91 g, 22mmol) and 37% aq. formaldehyde (5 mL, 60 mmol) in acetonitrile:water (6:1, mL) was stirred for 15 min at room temperature. 6-bromoimidazo[1,2-a]pyridine (3.94 g, 20 mmol) and $Sc(OTf)_3$ were added sequentially to the above solution and stirred for 36h at room temperature to observe the complete consumption of 6-bromoimidazo[1,2-a]pyridine. The reaction mixture was concentrated, diluted with aq.K_2CO_3 (150 mL) and stirred the suspension for 25 min. The solid was collected by filtration and dried on the funnel to obtain 4-((6-bromoimidazo[1,2-a]pyridin-3-yl)methyl)morpholine (3.9 g). ^{1}H NMR (300 MHz, DMSO-d_6) δ 8.69 (d, J = 1.7 Hz, 1H), 7.54 (d, J = 9.6 Hz, 1H), 7.51 (s, 1H), 7.34 (dd, J = 9.5, 1.7 Hz, 1H), 3.81 (s, 2H), 3.64 – 3.39 (m, 3H), 2.43 – 2.26 (m, 3H). See U.S. Pat. App. Pub. no. 2005/0054701.

Example 94

[1271] 46-Bromo-N-(3,4,5-trimethoxyphenyl)imidazo[1,2-a]pyridine-3-carboxamide can be prepared as shown in Scheme 41:

Scheme 41



A stirring solution of 6-bromoimidazo[1,2-a]pyridine-3-carboxylic acid (0.91 g, 3.7 mmol), EDCI (1.08 g, 5.6 mmol), HOBt (0.76 g, 5.6 mmol) and 3,4,5-trimethoxyaniline (0.76 g, 4.1 mmol) in acetonitrile/DMF (8/3 mL) under argon was added i-Pr_2NEt (2.00 g, 2.6 ml), 15.4 mmol) drop wise for 5 min at room temperature. The resulting off-brown homogeneous reaction mixture was continued to stir at room temperature for 18h. Subsequently, the heterogeneous reaction mixture was diluted with water and the solid was collected by filtration. The solid was

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suction dried on funnel to obtain 6-bromo-N-(3,4,5-trimethoxyphenyl)imidazo[1,2-a]pyridine-3-carboxamide (1.1 g) as a white solid. ^{1}H NMR (300 MHz, DMSO-d_6) δ 10.17 (s, 1H), 9.64 (s, 1H), 8.56 (d, J = 1.1 Hz, 1H), 7.75 (d, J = 9.7 Hz, 1H), 7.64 (dd, J = 9.5, 1.9 Hz, 1H), 7.15 (s, 2H), 3.78 (s, 6H), 3.63 (s, 3H).

[1272] Similarly, the following compounds can be prepared:

[1273] 6-Bromo-N-(1-methylpiperidin-4-yl)imidazo[1,2-a]pyridine-3-carboxamide



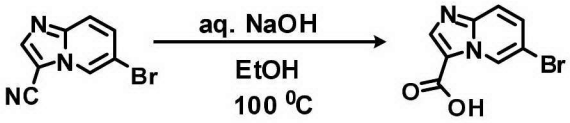
[1274] 6-Bromo-N-(3-(2-oxopyrrolidin-1-yl)propyl)imidazo[1,2-a]pyridine-3-carboxamide



Example 95

[1275] 6-Bromoimidazo[1,2-a]pyridine-3-carboxylic acid can be prepared as shown in Scheme 42 or Scheme 43:

Scheme 42

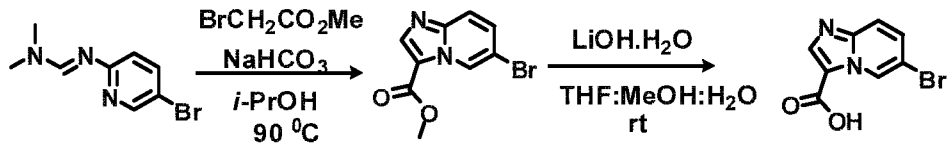


[1276] A stirring solution of 6-bromoimidazo[1,2-a]pyridine-3-carbonitrile [JMC 54(7), 2455-2466; 2011] (1.0 g), EtOH (10 mL) and aq. NaOH (1.0 g, 10 mL) was heated at 100 ^{0}C. After 12h, the reaction mixture was cooled and concentrated to dryness by rotary evaporator under reduced pressure. Subsequently, the crude solid was diluted with water, cooled in ice-bath and acidified with conc. HCl till pH 4 while stirring. The resulting suspension was suction filtered and the solid was dried overnight. Subsequently, the collected solid was further dried over P_2O_5 under high vacuum to obtain 6-bromoimidazo[1,2-a]pyridine-3-carboxylic acid (0.91 g) as a

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white solid. ^{1}H NMR (300 MHz, DMSO-d_6) δ 13.27 (s, 1H), 9.36 (s, 1H), 8.24 (s, 1H), 7.77 (d, J = 9.5 Hz, 1H), 7.67 (d, J = 9.5, 1.7 Hz, 1H).

Scheme 43

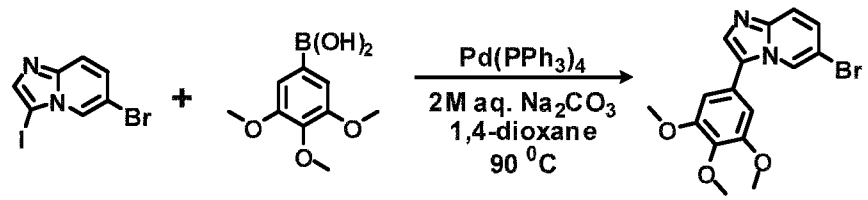


[1277] Reaction mixture containing N'-(5-bromopyridin-2-yl)-N,N-dimethylformimidamide (6.5 g, 28.5 mmol), methyl 2-bromoacetate (5.6 g, 3,5 mL, 37.0 mmol) and $NaHCO_3$ (4.1 g, 48.8 mmol) in *i*-PrOH (60 mL) was heated at 90 ^{0}C under nitrogen. The heating was stopped after 12h and cooled the dense heterogeneous reaction mixture to room temperature. The reaction mixture was concentrated and diluted with water. The resultant slurry was collected by suction filtration and obtained methyl 6-bromoimidazo[1,2-a]pyridine-3-carboxylate (6.9 g) as a tan white solid upon drying. ^{1}H NMR (300 MHz, DMSO-d_6): δ 9.31 (d, J = 1.8 Hz, 1H), 8.31 (s, 1H), 7.80 (d, J = 9.5 Hz, 1H), 7.71 (dd, J = 9.5, 1.6 Hz, 1H), 3.88 (s, 4H). Ester hydrolysis was done by stirring a solution of methyl 6-bromoimidazo[1,2-a]pyridine-3-carboxylate (2.5 g), $LiOH.H_2O$ (1.2 g) in THF/MeOH/H_2O (1/1/1, 75 mL) at room temperature. Reaction mixture was concentrated upon complete hydrolysis of ester to corresponding acid, diluted with water/ice and acidified with 2N. aq HCl until pH 6. The resulting solid was filtered, suction dried followed by drying under P_2O_5 under vacuum to obtain 6-bromoimidazo[1,2-a]pyridine-3-carboxylic acid (2.2 g) as a white solid. ^{1}H NMR (300 MHz, DMSO-d_6) δ 13.27 (s, 1H), 9.36 (s, 1H), 8.24 (s, 1H), 7.77 (d, J = 9.5 Hz, 1H), 7.67 (d, J = 9.5, 1.7 Hz, 1H).

Example 96

[1278] 6-Bromo-3-(3,4,5-trimethoxyphenyl)imidazo[1,2-a]pyridine can be prepared as shown in Scheme 44:

Scheme 44



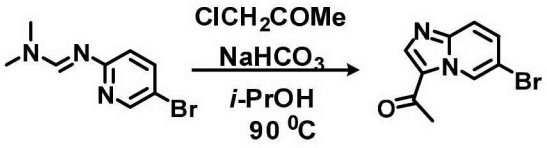
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A reaction flask was charged with 6-bromo-3-iodoimidazo[1,2-a]pyridine (2.0 g, 6.2 mmol), (3,4,5-trimethoxyphenyl)boronic acid (1.44 g, 6.8 mmol), 2M. aq. Na_2CO_3 (8 mL, 16 mmol), 1,4-dioxane (50 mL) and a stir bar. The contents were degassed by vacuum and back filled with argon in three times while stirring. Subsequently, catalyst $Pd(PPh_3)_4$ (0.35 g, 0.30 mmol) was added to the reaction contents, repeated degassing cycles and heated at 90 ^{0}C for 12h. Reaction mixture was cooled and filtered the biphasic reaction mixture through Celite® and concentrated the filtrate. The crude solid residue was partitioned between CH_2Cl_2(150 mL)/water (50 mL). The organic layer was separated, dried over $MgSO_4$, filtered and concentrated. The crude concentrate (2.2 g) was subjected to purification by flash column chromatography (Combiflash® companion system® with RediSep® silica gel column 40 g, 30-60% EtOAC/hexanes as an eluting solvent) to obtain 6-bromo-3-(3,4,5-trimethoxyphenyl)imidazo[1,2-a]pyridine as a white solid (1.0 g).

Example 97

[1279] 1-(6-Bromoimidazo[1,2-a]pyridin-3-yl)ethan-1-one can be prepared as shown in Scheme 45:

Scheme 45

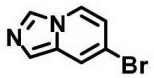


(E)-N'-(5-Bromopyridin-2-yl)-N,N-dimethylformimidamide (2.0 g, 8.8 mmol), 1-chloropropan-2-one (1.2 mL, 1.4 g, 15 mmol), $NaHCO_3$ (1.3 g, 15.5 mmol) in 2-propanol (30 mL) were heated at 90 ^{0}C under nitrogen for 12h. The dark reaction mixture was cooled and the dense heterogeneous suspension was diluted with water. The solid was collected by filtration, washed with water and dried to obtain 1.0 g of 1-(6-bromoimidazo[1,2-a]pyridin-3-yl)ethan-1-one. ^{1}H NMR (300 MHz, DMSO-d_6) δ 9.62 (d, J = 1.9 Hz, 1H), 8.61 (s, 1H), 7.81 (d, J = 9.5 Hz, 1H), 7.75 (dd, J = 9.5, 1.9 Hz, 1H), 2.55 (s, 3H). See Bioorg. Med. Chem. Lett. 15(1), 403-412 (2007); Int'l Pat. App. Pub. no. 2009/158011.

Example 98

[1280] 7-Bromoimidazo[1,5-a]pyridine:

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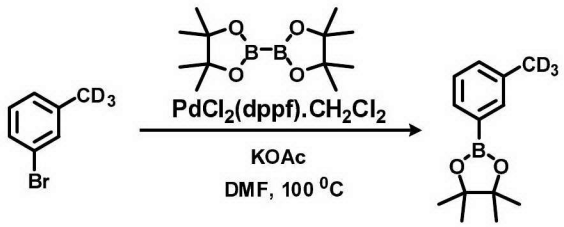


7-Bromoimidazo[1,5-a]pyridine can be prepared as described in Int'l Pat. App. Pub. no. 2005090304.

Example 99

[1281] 1-(6-Bromoimidazo[1,2-a]pyridin-3-yl)ethan-1-one can be prepared as shown in Scheme 46:

Scheme 46



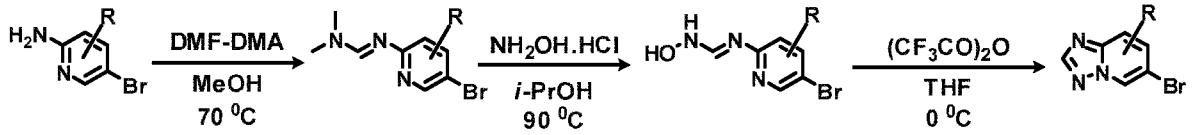
A reaction flask was charged with 1-bromo-3-(methyl-d_3)benzene (2.0 g, 11.5 mmol), bis(pinacolato)diboron (5.8 g, 23 mmol) and KOAc (2.25 g, 23 mmol), DMF (20 mL) and a stir bar. The contents were degassed by vacuum and back filled with argon three times while stirring. Subsequently, catalyst $PdCl_2$ (dppf).CH_2Cl_2 (0.85 g, 1.15 mmol) was added to the reaction contents, repeated degassing cycles and heated at 100 ^{0}C for 12h. Reaction mixture was cooled and filtered the reaction mixture through Celite®. The filtrate cake was washed with EtOAc (30 mL) and the filtrate was partitioned between EtOAc (150 mL) / water (40 mL). Organic layer was separated and the aqueous layer was extracted with additional EtOAc. Combined organic layers were stirred over $MgSO_4$/Celite®/Fluorosil® and filtered. The filtrate was concentrated and purified by flash column chromatography (Combiflash® companion system® with RediSep® silica gel column 80 g,10-20% EtOAC/hexanes as elutant) to obtain 4,4,5,5-tetramethyl-2-(3-(methyl-d_3)phenyl)-1,3,2-dioxaborolaneas a semi solid (2.85 g) and used in the boronate coupling with no further purification.

Example 100

[1282] Triazolopyrimidines can be prepared using the protocol of Scheme 47, described as in Huntsman, E and Balsells, J., Eur. J.Org. Chem. 2005, (17), 3761-3765:

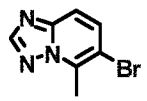
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Scheme 47



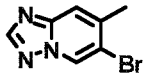
[1283] The following compounds were made:

[1284] 6-Bromo-5-methyl-[1,2,4]triazolo[1,5-a]pyridine



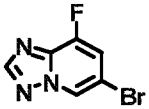
^{1}H NMR (300 MHz, DMSO-d_6) δ 8.52 (s, 1H), 7.83 (d, J = 9.4 Hz, 1H), 7.69 (d, J = 9.4 Hz, 1H), 2.84 (s, 3H).

[1285] 6-Bromo-7-methyl-[1,2,4]triazolo[1,5-a]pyridine



^{1}H NMR (300 MHz, DMSO-d_6) δ 9.36 (s, 1H), 8.44 (s, 1H), 7.86 (s, 1H), 2.45 (s, 3H).

[1286] 6-Bromo-8-fluoro-[1,2,4]triazolo[1,5-a]pyridine:

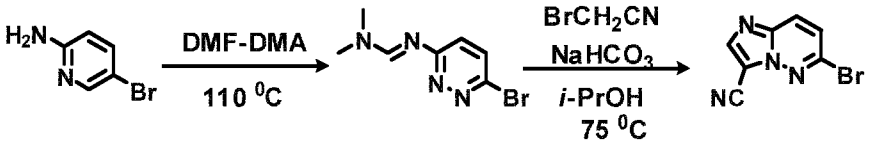


^{1}H NMR (300 MHz, DMSO-d_6) δ 9.32 (app dd, J = 1.5, 0.7 Hz, 1H), 8.59 (s, 1H), 7.98 (dd, J = 9.9, 1.5 Hz, 1H). ^{19}F NMR (282 MHz, DMSO-d_6) δ -127.85 (d, J = 9.9 Hz).

Example 101

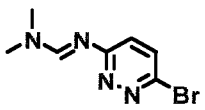
[1287] 6-Bromoimidazo[1,2-b]pyridazine-3-carbonitrile can be prepared as shown in Scheme 48:

Scheme 48



Example 102

[1288] (E)-N'-(6-Bromopyridazin-3-yl)-N,N-dimethylformimidamide

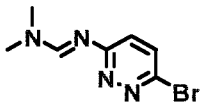


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3-Amino-6-bromopyridazine (5.0 g, 28.7 mmol) was heated and stirred with dimethylformamide dimethylacetal (5.36 g, 6 .0 mL, 45.0 mmol) under nitrogen at 110 ^{0}C for 3h. The brown homogeneous reaction mixture was cooled to room temperature. The resulting heterogeneous slurry was stirred in EtOAc/hexanes (1:1, 75 mL) and filtered. The filtered solid was suction dried to obtain apparently (E)-N'-(6-bromopyridazin-3-yl)-N,N-dimethylformimidamide (4.9 g) as a pale pink crystalline solid. ^{1}H NMR (300 MHz, DMSO-d_6) δ 8.45 (s, 1H), 7.64 (d, J = 9.1 Hz, 1H), 7.03 (d, J = 9.1 Hz, 1H), 3.11 (s, 3H), 3.00 (s, 3H).

Example 103

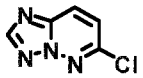
[1289] 6-Bromoimidazo[1,2-b]pyridazine-3-carbonitrile



(E)-N'-(6-Bromopyridazin-3-yl)-N,N-dimethylformimidamide (2.5 g, 10.9 mmol), bromoacetonitrile (3.92 g, 32.7 mmol) and $NaHCO_3$ (1.8 g, 21.8 mmol) in *i*-PrOH (15 mL) were heated at 75 ^{0}C for 8h while stirring the contents. Subsequently, the dark reaction mixture was diluted with water and the resulting solid was collected by filtration. The solid was purified by flash chromatography (Combiflash® companion system® with RediSep® silica gel column 40 g and 15-30-50% EtOAC/hexanes as an eluting solvent) to obtain 1.3 g of 6-bromoimidazo[1,2-b]pyridazine-3-carbonitrile. ^{1}H NMR (300 MHz, DMSO-d_6) δ 8.58 (s, 1H), 8.33 (d, J = 9.5 Hz, 1H), 7.77 (d, J = 9.5 Hz, 1H).

Example 104

[1290] 6-Chloro-[1,2,4]triazolo[1,5-b]pyridazine



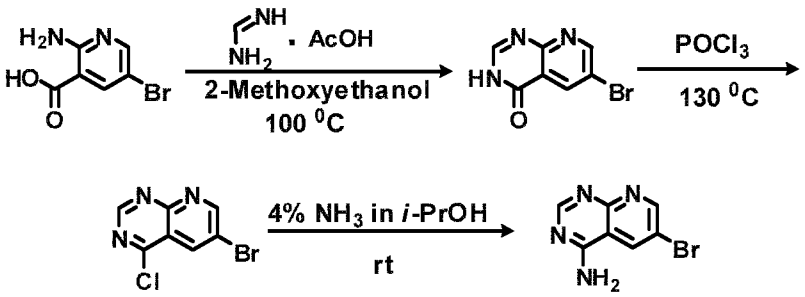
(6-Chloro-[1,2,4]triazolo[1,5-b]pyridazine can be prepared as described in J. Het. Chem., 12(1), 107-110 (1975).

Example 105

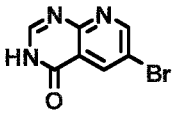
[1291] Bromopyrido[2,3-d]pyrimidin-4-amine can prepared as shown in Scheme 49, and as described below:

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Scheme 49

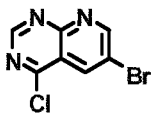


[1292] 6-Bromopyrido[2,3-d]pyrimidin-4(3H)-one



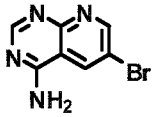
2-Amino-5-bromonictoinic acid (2 g) and formamidine acetate (3.0 g) were heated at 120 ^{0}C in 2-methoxyethanol (15 ml) for 36 h under argon. The heterogeneous reaction mixture was diluted with water and filtered. The solid was suction dried to obtain 1.7 g of 6-bromopyrido[2,3-d]pyrimidin-4(3H)-one. ^{1}H NMR (300 MHz, DMSO-d_6) δ 12.70 (s, 1H), 9.01 (d, J = 2.6 Hz, 1H), 8.59 (d, J = 2.6 Hz, 1H), 8.33 (s, 1H).

[1293] 6-Bromo-4-chloropyrido[2,3-d]pyrimidine:



6-Bromopyrido[2,3-d]pyrimidin-4(3H)-one (3.0g) and $POCl_3$ (15 mL)were heated at 130 ^{0}C under nitrogen for 3h. The homogeneous dark solution was concentrated under reduced pressure and diluted with EtOAc (150 mL). The heterogeneous brown slurry was poured onto mixture of ice/aq. $NaHCO_3$ and allowed the mixture warm to room temperature. The heterogeneous brown mixture was further diluted with EtOAc (75 mL) and separated the organic layer. The organic layer was partitioned with aq. NaCl, separated, stirred with $MgSO_4$ and filtered through a pad of Celite® and silica gel. The pale yellow filtrate was concentrated and the crude solid was stirred in 50% EA/hexanes. 6-Bromo-4-chloropyrido[2,3-d]pyrimidine was obtained as a pale yellow crystalline solid (1.8 g, purity: 95%) upon filtration.

[1294] 6-Bromopyrido[2,3-d]pyrimidin-4-amine



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6-Bromo-4-chloropyrido[2,3-d]pyrimidine (1.0 g) and 4% NH_3 in *i*-PrOH (25 mL) were stirred in a sealed tube at room temperature overnight. The pale brown heterogeneous slurry was concentrated, diluted with water and filtered. The brown solid thus collected was suction dried to obtain 6-bromopyrido[2,3-d]pyrimidin-4-amine (1.3 g, purity: 97%). ^{1}H NMR (300 MHz, DMSO-d_6) δ 9.09 (d, J = 2.5 Hz, 1H), 9.01 (d, J = 2.5 Hz, 1H), 8.52 (s, 1H), 8.31 (br s, 2H).

BIOLOGICAL EXAMPLE 1:AlphaScreen® *SureFire*® SMAD3 (p-Ser423/425) Assay

[0101] The p-SMAD-3 (Ser423/425) *SureFire*® assay has been designed to measure the phosphorylation of endogenous cellular p-SMAD-3 (Ser423/425) in cell lysates and is a system for the screening of both modulators of receptor activation (e.g. agonists and antagonists) as well as agents acting intracellularly, such as small molecule inhibitors of upstream events. The assay will measure p-SMAD-3 (Ser423/425) activation by either cloned or endogenous receptors, and can be applied to primary cells.

P-SMAD-3 (Ser423/425) *SureFire*® Assay Protocols

[0102] *Step A: Preparation of buffers*

[0103] 1X Lysis buffer: 1ml of 5X Lysis buffer was diluted with 4ml of sterile water. After dilution, excess 1X Lysis buffer can be frozen and thawed up to 5 times without loss in activity.

[0104] Activation buffer: The buffer was warmed slowly to 37°C and gently mixed to re-suspend. Activation buffer can be stored at room temperature with no loss in activity.

[0105] Reaction buffer: The buffer was kept at 4 °C while in use.

[0106] AlphaScreen® Protein A IgG Kit: The kit was stored at 4 °C in the dark.

[0107] Reaction buffer + Activation buffer + AlphaScreen® Acceptor beads: Reaction buffer (40 parts), Activation Buffer (10 parts) and Acceptor beads (1 part) were mixed and the mixture was stored at room temperature and used the same day. Mixture was added to 384-well plates; excess mixturewas discarded.

[0108] Dilution buffer + AlphaScreen® Donor beads: Dilution buffer (20 parts) and Donor beads (1 part) were mixed and the mixture was stored at room temperature and used the same day. Excess mixture was discarded.

[0109] Assay control samples: After reconstitution in 250 µl of water, lysates were at -20 °C in single use aliquots.

[0110] *Step B: Preparation of samples and cells*

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[0111] 96-well Assay Protocol for 293FT and RMS13 adherent cells can be carried out manually or in high throughput with liquid handling robots.

[0112] The cells (80 μL of cells for 96 well plates) were plated in collagen coated tissue culture plates in RPMI or FreeStyle medium (Invitrogen)and incubated overnight. For manual analysis, 6 plates for GDF8, 6 plates for TGFβ, and optionally 6 plates for Alk5ca(ALK5 constitutively active) were used.

[0113] The compound dilution plates were prepared as follows: 12 μL of DMSO was transferred into first column of 96-well plate, and 16 μL of DMSO was transferred into columns 2-12 of the 96-well plate. 12 μL of compound solution was transferred into first column of the DMSO-containing 96-well plate. Three-fold dilution was performed up to column 10 of the DMSO-containing 96-well plate.

[0114] *Step C: Treatment and analysis*

[0115] The plate containing cells were treated with compounds for about 10minutes, and then ligand was added. GDF8 or TGFb was added to plates to stimulate. 293FL cells were stimulatedfor 90 minutes at 37 °C; and RMS13 cells were stimulated for 60 minutes at 37 °C. The medium was then removed from the cells, and 1X Lysis Buffer (about 25 μL) was added and the plate was gently agitated on plate shaker for 5-10 minutes.

[0116] The lysate (5μL) was then placed into 384-well shallow plates avoiding the generation of bubbles. To this, the Reaction Buffer + Activation Buffer + AlphaScreen® Acceptor beadsmixture(5 μL) was added. The plate was sealed with adhesive cover and shielded from light (e.g., with metal foil), and agitated gently on plate shaker for 2 hours at room temperature.

[0117] Dilution buffer + AlphaScreen® Donor beads (2 μL) was then added, and the plate was intubated on the plate shaker for an additional 1½ hours. After completion, the plate was read on Synergy-4 or Enspire plate reader, using AlphaScreen® pSMAD3® settings.

[0118] Representative results for inhibition of GDF8 signaling are shown in **Table 2**:

Cmpd #	GDF pSMAD (MPC11) μM
1	1.654

Cmpd #	GDF pSMAD (MPC11) μM
2	--*
3	1.296

Cmpd #	GDF pSMAD (MPC11) μM
4	----
5	----

Cmpd #	GDF pSMAD (MPC11) μM
6	18.65
7	23.11

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Cmpd #	GDF pSMAD (MPC11) µM	Cmpd #	GDF pSMAD (MPC11) µM	Cmpd #	GDF pSMAD (MPC11) µM	Cmpd #	GDF pSMAD (MPC11) µM
8	5.349	35	10.78	67	1.807	94	--
9	5.135	36	19.71	68	0.1865	95	--
10	0.5349	37	18.1	69	5005	96	--
11	0.5945	38	3.227	70	5.703	97	--
12	18.89	39	14.33	71	7.012	98	10.34
13	----	40	18.47	72	5014	99	0.8936
14	----	41	3.136	73	0.4969	100	6.034
15	0.0649	42	10.49	74	----	101	11.24
16	6.34	43	1.621	75	3.468	102	0.3408
17	0.3358	44	----	76	1.815	103	--
18	0.1419	45	----	77	3.65	104	--
19	0.083	46	1.73	78	0.3157	105	--
20	0.1037	47	8.229	79	0.2636	106	--
21	1.917	48	8.379	80	6.333	107	--
22	1.414	49	----	81	----	108	--
23	2.245	50	----	82	----	109	17.19
24	0.6713	51	5.441	83	--	110	--
25	0.6123	52	1.398	84	--	111	--
26	0.8118	53	13.37	85	--	112	0.2733
27	0.4527	54	----	86	--	113	--
28	1.754	55	----	87	--	114	--
29	1.446	61	3.882	88	--	115	--
30	3.704	62	0.8036	89	2.551	116	0.0326
31	1.899	63	0.1415	90	2.612	117	0.1786
32	2.796	64	0.8396	91	3.028	118	3.785
33	5007	65	2.238	92	--	119	--
34	8.832	66	1.784	93	4.835	120	0.3635

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Cmpd #	GDF pSMAD (MPC11) µM	Cmpd #	GDF pSMAD (MPC11) µM	Cmpd #	GDF pSMAD (MPC11) µM	Cmpd #	GDF pSMAD (MPC11) µM
121	5.348	148	--	175	0.1181	207	2.039
122	4.037	149	0.6418	176	0.057	208	1.146
123	--	150	0.2085	177	0.3629	209	18.25
124	0.5478	151	0.678	178	0.187	210	12.72
125	--	152	0.0487	179	0.3368	211	0.1979
126	--	153	0.4504	180	0.4224	212	18.39
127	--	154	1.306	181	0.5391	213	4.437
128	--	155	0.2236	182	--	214	2.642
129	--	156	1.789	183	--	215	15.67
130	--	157	1.564	184	12.92	216	0.7365
131	--	158	1.859	185	8.86	217	0.8413
132	--	159	0.1304	186	0.2996	218	0.4459
133	--	160	0.0843	187	0.2282	219	6.766
134	--	161	9.101	188	--	220	8.62
135	--	162	5.664	189	--	221	--
136	--	163	--	190	1.551	222	5.623
137	22.83	164	--	191	0.6629	223	0.7071
138	4.331	165	0.2646	192	0.3473	224	0.6209
139	3.371	166	0.1093	193	0.2432	225	9.457
140	2.886	167	17.46	194	0.1494	226	10.04
141	18.57	168	--	195	9.52	227	--
142	1.192	169	--	196	--	228	9.762
143	12.16	170	1.959	197	0.3588	229	--
144	9.39	171	--	198	0.44	230	10.77
145	1.127	172	0.1874	199	1.164	231	10.81
146	0.6209	173	1.827	200	0.2601	232	10.64
147	--	174	1.176	201	--	233	6.691

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Cmpd #	GDF pSMAD (MPC11) µM	Cmpd #	GDF pSMAD (MPC11) µM	Cmpd #	GDF pSMAD (MPC11) µM	Cmpd #	GDF pSMAD (MPC11) µM
234	--	261	--	288	--	315	0.7489
235	--	262	--	289	--	316	6.389
236	--	263	--	290	--	317	5.5
237	--	264	9.152	291	1.231	318	1.305
238	--	265	8.122	292	1.739	319	10.63
239	0.2662	266	4.535	293	5.541	320	2.993
240	7.454	267	6.757	294	--	321	0.6792
241	4.056	268	14.41	295	--	322	0.2531
242	--	269	1.383	296	--	323	0.327
243	11.89	270	--	297	2.26	324	0.4612
244	0.7979	271	4.444	298	0.9992	325	0.1865
245	1.257	272	3.401	299	1.2	326	0.1983
246	1.29	273	9.786	300	12.79	327	0.3234
247	3.197	274	14.77	301	0.3451	328	2.497
248	5007	275	2.948	302	0.7949	329	0.8032
249	8.832	276	--	303	0.0947	330	0.4565
250	10.46	277	1.671	304	0.0853	331	1.329
251	17.81	278	2.226	305	0.1751	332	0.3115
252	12.65	279	--	306	0.1294	333	0.3764
253	12.38	280	--	307	2.222	334	0.3727
254	0.3904	281	1.304	308	4.809	335	0.306
255	--	282	19.38	309	1.475	336	0.7635
256	--	283	17.72	310	1.143	337	0.4792
257	1.84	284	--	311	4.719	338	0.1639
258	1.267	285	--	312	7.538	339	0.5903
259	5007	286	11.96	313	5009	340	0.4637
260	--	287	3.03	314	5.345	341	0.2595

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Cmpd #	GDF pSMAD (MPC11) µM	Cmpd #	GDF pSMAD (MPC11) µM	Cmpd #	GDF pSMAD (MPC11) µM	Cmpd #	GDF pSMAD (MPC11) µM
342	0.1058	369	0.1933	396	0.2083	423	0.6277
343	2.644	370	0.4111	397	9.407	424	2.426
344	--	371	0.7368	398	3341	425	0.5369
345	4.83	372	0.3387	399	4.653	426	--
346	0.1933	373	1.2	400	5.806	427	--
347	1.493	374	0.4949	401	5.891	428	--
348	1.303	375	0.1524	402	5000	429	0.3842
349	1.009	376	0.276	403	1.666	430	0.4748
350	0.3473	377	0.1724	404	1.029	431	0.3736
351	0.2151	378	0.5583	405	10.69	432	0.5131
352	0.3069	379	0.3954	406	2.794	433	0.2851
353	0.6888	380	18.51	407	2.467	434	1.491
354	1.27	381	2.607	408	0.6732	435	0.608
355	--	382	14.8	409	7.954	436	1.18
356	0.9646	383	16.74	410	4.13	437	0.7391
357	--	384	7.792	411	0.0725	438	0.9588
358	0.8629	385	2.557	412	--	439	0.7381
359	0.5243	386	2.004	413	--	440	1.863
360	5.669	387	1.279	414	3.334	441	1.156
361	0.2296	388	0.5469	415	11.8	442	0.3659
362	0.1051	389	0.1871	416	4.858	443	0.429
363	0.9033	390	0.5164	417	--	444	1.081
364	0.3383	391	0.2278	418	--	445	0.5146
365	0.1653	392	0.1274	419	--	446	0.3975
366	0.2258	393	0.07	420	--	447	0.7534
367	0.2799	394	0.1191	421	1.401	448	0.1368
368	0.1894	395	0.9586	422	1.275	449	0.0686

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Cmpd #	GDF pSMAD (MPC11) µM	Cmpd #	GDF pSMAD (MPC11) µM	Cmpd #	GDF pSMAD (MPC11) µM	Cmpd #	GDF pSMAD (MPC11) µM
450	0.1358	477	0.4919	504	4.96	531	11.23
451	0.1151	478	6.604	505	--	532	17.67
452	0.2231	479	--	506	1.832	533	6.118
453	0.8161	480	1.213	507	3.365	534	18
454	0.9262	481	1.285	508	--	535	0.5038
455	1.163	482	1.698	509	0.2652	536	0.4043
456	0.2988	483	0.8197	510	--	537	0.1106
457	5005	484	0.1606	511	--	538	0.4739
458	3333	485	--	512	--	539	0.6993
459	11.48	486	--	513	--	540	0.4264
460	0.1291	487	--	514	--	541	0.2497
461	0.5511	488	--	515	--	542	1.717
462	18.41	489	--	516	--	543	--
463	0.2966	490	6.51	517	1.166	544	--
464	3.96	491	9.218	518	--	545	--
465	10.26	492	3.729	519	--	546	--
466	7.583	493	0.9379	520	--	547	--
467	12.19	494	0.1069	521	8.061	548	16.4
468	7.824	495	0.2471	522	--	549	5.006
469	1.58	496	0.7833	523	5006	550	--
470	21.11	497	9.222	524	5007	551	4.883
471	--	498	1.197	525	--	552	4.058
472	--	499	6.521	526		553	3.241
473	0.2925	500	--	527	0.1369	554	4.683
474	0.4292	501	0.3552	528	0.1751	555	0.1564
475	--	502	0.5629	529	0.3228	556	0.3633
476	2.756	503	4.816	530	0.6247	557	0.4389

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Cmpd #	GDF pSMAD (MPC11) µM	Cmpd #	GDF pSMAD (MPC11) µM	Cmpd #	GDF pSMAD (MPC11) µM	Cmpd #	GDF pSMAD (MPC11) µM
558	0.1875	585	0.5103	612	0.408	639	10.56
559	0.1616	586	0.6169	613	0.6236	640	19.18
560	2.477	587	0.3662	614	5.558	641	
561	10.96	588	0.3164	615	2.129	642	
562	9.784	589	1.223	616	2.185	643	
563	5.199	590	0.5137	617	10.8	644	
564	18.35	591	0.3331	618	1.12	645	
565	0.406	592	0.2046	619	0.5439	646	
566	0.342	593	1.859	620		647	
567	0.2253	594	0.5704	621	3.926	648	
568	0.6745	595	1.159	622	3.63	649	
569	5.414	596	0.2038	623	6.867	650	
570	5.903	597	0.8369	624	0.1605	651	1.18
571	7.312	598	2.046	625	7.793	652	3.777
572	--	599	3.883	626	0.3457	653	1.037
573	1.214	600	0.1788	627	1.255	654	0.5532
574	0.8526	601	0.1409	628	1.707	655	0.2453
575	1.166	602	0.0681	629	0.1318	656	0.5499
576	3.691	603	0.1093	630	4.152	657	3.488
577	2.257	604	8.522	631	--	658	1.501
578	2.229	605	7.936	632	--	659	2.007
579	12.38	606	1.439	633	11.34	660	2.243
580	8.455	607	0.7054	634	14.75	661	1.842
581	6.308	608	0.3258	635	--	662	9.637
582	0.4936	609	0.3188	636	8.691	663	8.093
583	0.5178	610	0.6479	637	--	664	1.382
584	0.0962	611	0.1141	638	--	665	2.36

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Cmpd #	GDF pSMAD (MPC11) µM	Cmpd #	GDF pSMAD (MPC11) µM	Cmpd #	GDF pSMAD (MPC11) µM	Cmpd #	GDF pSMAD (MPC11) µM
666	3.973	693	0.5073	720	0.8217	747	0.2844
667	0.2261	694	0.7936	721	0.4398	748	0.4473
668	0.3134	695	1.517	722	0.0639	749	0.2982
669	0.4992	696	2.106	723	0.1017	750	--
670	0.3666	697	0.4147	724	0.5366	751	--
671	--	698	0.145	725	0.4645	752	--
672	0.2727	699	2.301	726	0.5654	753	--
673	0.3747	700	0.1376	727	0.8864	754	--
674	0.2109	701	0.1671	728	5.062	755	--
675	0.2268	702	0.8818	729	0.2864	756	0.3644
676	0.2668	703	0.2081	730	0.5898	757	0.1274
677	0.5621	704	0.2805	731	0.5061	758	0.4264
678	2.472	705	0.1217	732	0.2577	759	0.1747
679	10.82	706	0.2275	733	1.273	760	0.2742
680	2.133	707	0.2215	734	0.6942	761	0.1312
681	0.2881	708	0.2659	735	0.6762	762	1.188
682	0.5389	709	0.2523	736	0.2507	763	0.5199
683	0.2336	710	1.218	737	0.2596	764	0.2751
684	0.5928	711	9.278	738	1.376	765	0.096
685	0.1499	712	4.057	739	2.318	766	0.1048
686	0.2054	713	10.2	740	9.499	767	0.2267
687	0.1722	714	5.662	741	10.01	768	0.3267
688	1.263	715	2.03	742	1.825	769	0.1533
689	0.5163	716	5.026	743	0.6383	770	1.309
690	0.4136	717	0.2169	744	0.9677	771	1.107
691	0.4468	718	0.3141	745	0.3663	772	4.949
692	0.4361	719	0.4018	746	0.5661	773	8.48

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Cmpd #	GDF pSMAD (MPC11) µM	Cmpd #	GDF pSMAD (MPC11) µM	Cmpd #	GDF pSMAD (MPC11) µM	Cmpd #	GDF pSMAD (MPC11) µM
774	--	801	--	828	--	855	3.442
775	3.961	802	5.828	829	--	856	5.585
776	--	803	2.262	830	--	857	1.854
777	--	804	10.11	831	--	858	2.367
778	--	805	0.9533	832	--	859	3.7
779	0.7609	806	--	833	--	860	9.985
780	0.7298	807	5008	834	--	861	4.006
781	5.864	808	1.537	835	--	862	1.836
782	0.3324	809	4	836	5.937	863	5.894
783	0.2309	810	5.784	837	4.861	864	1.54
784	0.1252	811	2.924	838	--	865	0.6077
785	0.1103	812	2.142	839	--	866	0.2506
786	0.3404	813	2.43	840	8.142	867	0.752
787	--	814	--	841	7.644	868	0.4041
788	4.042	815	0.9414	842	17.37	869	0.6883
789	--	816	1.609	843	0.0213	870	0.557
790	4.991	817	0.5839	844	0.0324	871	1.828
791	5.372	818	0.0774	845	0.0588	872	0.7755
792	2.433	819	0.1192	846	14.28	873	1.193
793	2.082	820	3.062	847	--	874	6.466
794	1.798	821	2.161	848	11.12	875	12.41
795	8.105	822	0.1272	849	18.08	876	2.374
796	14	823	0.2137	850	20.7	877	--
797	3.813	824	--	851	--	878	10.22
798	2.615	825	--	852	0.2074	879	1.477
799	14.64	826	--	853	0.8812	880	0.8077
800	0.8792	827	--	854	4.666	881	--

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Cmpd #	GDF pSMAD (MPC11) µM
882	1.124
883	0.5124
884	0.9974
885	--
886	0.2526
887	1.746
888	4.054
889	1.519
890	1.296
891	0.6324
892	0.426
896	0.0936
897	--
898	0.7137
899	2.144
900	2.346
901	1.065
902	--
903	2.584
904	9.071
905	1.489
906	3.339
907	0.1635
908	--
909	--
910	0.0972
911	0.0939

Cmpd #	GDF pSMAD (MPC11) µM
912	0.112
913	0.6611
914	0.126
915	0.5578
916	0.1035
917	0.1804
918	0.2063
919	--
920	0.272
921	0.0706
922	--
923	--
924	--
925	--
926	--
927	--
928	--
929	--
930	--
931	--
932	--
933	--
934	0.4097
935	0.1918
936	0.2281
937	0.2072
938	0.2419

Cmpd #	GDF pSMAD (MPC11) µM
939	--
940	--
941	--
942	--
943	--
944	--
945	--
946	--
947	0.4288
948	0.9508
949	0.3754
950	0.129
951	0.5429
952	0.3911
953	0.6607
954	0.4381
955	0.2901
956	0.4211
957	--
958	--
959	--
960	1.685
961	0.129
962	0.622
963	0.1751
964	0.2315

Cmpd #	GDF pSMAD (MPC11) µM
965	5.188
966	--
967	1.44

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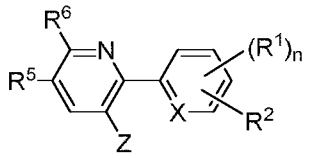
*The notation "--" indicates that the compound was tested but did not have measurable activity in this assay.

[1295] It is understood that the examples and embodiments described herein are for illustrative purposes only and that various modifications or changes in light thereof will be suggested to persons skilled in the art and are to be incorporated within the spirit and purview of this application and scope of the appended claims. All publications, patents, and patent applications cited herein are hereby incorporated herein by reference for all purposes.

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WE CLAIM:

1. A compound of the formula



or a pharmaceutically acceptable salt thereof, wherein

X is C(H) or N;

R^1 and R^2 are independently halo or C_{1-6} alkyl;

each R^a is independently R or, two R^a together with the nitrogen atom to which they are attached form a morpholinyl optionally substituted with 1-4 R groups;

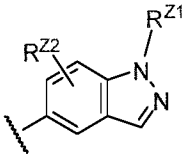
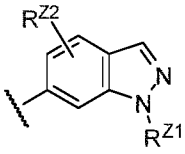
each R^b is independently halogen, cyano, oxo, C_{1-6}alkyl, C_{1-6}haloalkyl, or -OR;

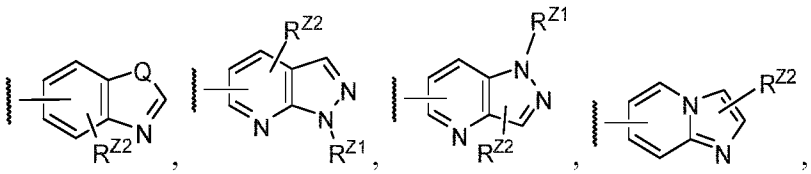
m is 0, 1 or 2;

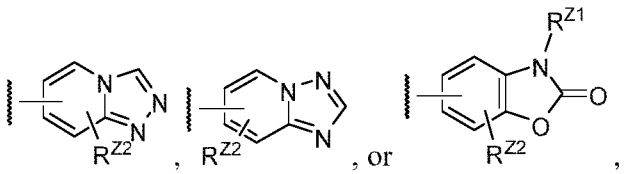
n is 1, 2, 3 or 4;

R^5 and R^6 are each independently hydrogen, halogen, C_{1-6}alkyl optionally substituted with 1-3 R^b, C_{1-6}haloalkyl, -OR, -SR, -NR^aR^a, -OC(O)R, -$C(O)NR^aR^a$, -$OC(O)NR^aR^a$, -C(O)OR, -N(R)C(O)R, or -$N(R)S(O)_2R$, or a ring selected from morpholinyl, piperidynyl and piperizinyl, each ring optionally substituted with one or two R^b;

or R^5 and R^6 are optionally taken together with the atoms to which they are attached form a morpholinyl optionally substituted with oxo and/or C_{1-6}alkyl;

Z is  or  ,





wherein

Q is –O-, -S-, or –N(R^{Z1})-; and

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R^{Z1} is hydrogen, C_{1-6}alkyl, C_{1-6}haloalkyl, or -C_{1-6}alkyl-R^Z; and

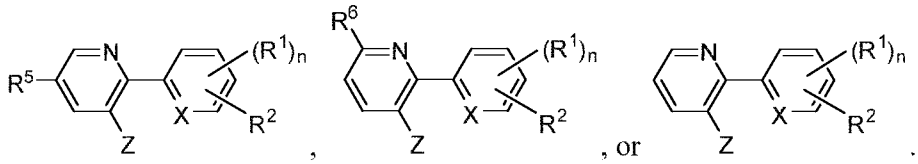
R^{Z2} is hydrogen, halogen, or C_{1-6}alkyl,

wherein R^Z is cyano, $-CF_3$, -OR, -SR, $-NR^aR^a$, -C(O)R, -C(O)OR, $-C(O)NR^aR^a$, $-S(O)_2NR^aR^a$, $-S(O)_2R^0$, -OC(O)R, -N(R)C(O)R, -OC(O)OR, $-OC(O)NR^aR^a$, -N(R)C(O)OR, $-N(R)C(O)NR^aR^a$, $-N(R)S(O)_2R$, or $-OP(O)(OR)_2$;

wherein each R is independently hydrogen, C_{1-6}alkyl, C_{1-6}haloalkyl, cyclopropyl, tetrahydropyran, phenyl, pyridyl, pyrazolyl, morpholinyl(C_{1-6}alkyl), piperizinyl(C_{1-6}alkyl), phenyl(C_{1-6}alkyl), pyridyl(C_{1-6}alkyl), thiazolyl(C_{1-6}alkyl), pyrazole(C_{1-6} alkyl), pyrrolo[2,3-b]pyridin-5-yl(C_{1-6}alkyl), quinolinyl(C_{1-6}alkyl), 3H-imidazo[4,5-b]pyridinyl)(C_{1-6}alkyl) or imidazol(C_{1-6}alkyl),each optionally substituted by 1-5 groups that are each independently R^b, $-OR^0$, $-SR^0$, $-N(R^0)_2$, $-C(O)R^0$, $-C(O)OR^0$, $-C(O)N(R^0)_2$,$-S(O)_2N(R^0)_2$,-$OC(O)R^0$, $-N(R^0)C(O)R^0$, $-OC(O)OR^0$, $-O(CH_2)_mC(O)N(R^0)_2$, -$N(R^0)C(O)OR^0$, $-N(R^0)C(O)N(R^0)_2$, or $-N(R^0)S(O)_2R^0$

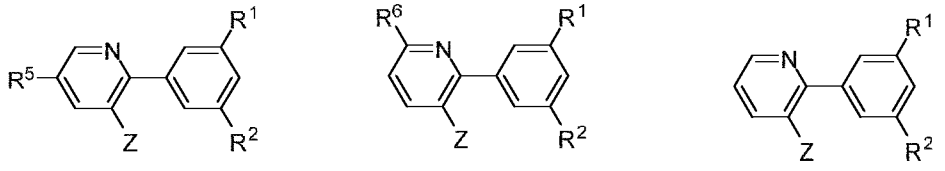
and each R^0 is independently hydrogen, C_{1-6}haloalkyl, C_{1-6}alkyl optionally substituted with 1-3 R^b, C_{3-8}cycloalkyl optionally substituted with one or two R^b or, alternatively two R^0 together with a nitrogen atom to which they are bound form a morpholinyl, piperidynyl or piperizinyl, each optionally substituted with 0-3 R^b and R groups.

2. The compound or pharmaceutically acceptable salt of claim 1 of the formula,

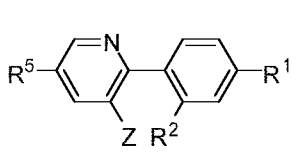
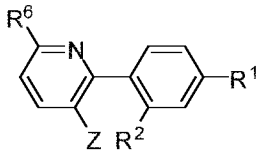


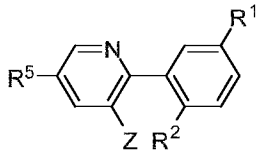
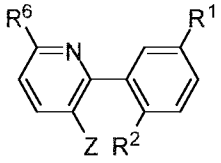
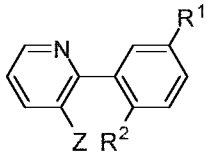
3. The compound or pharmaceutically acceptable salt of claim 1, wherein X is C(H).

4. The compound or pharmaceutically acceptable salt of claim 1 of the formula,

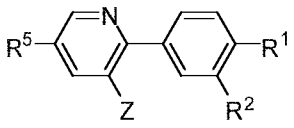


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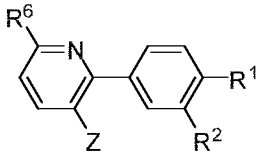

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,

,
or

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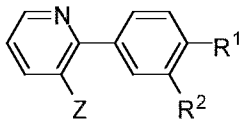
5. The compound or pharmaceutically acceptable salt of claim 1 of the formula


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6. The compound or pharmaceutically acceptable salt of claim 1 of the formula

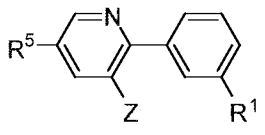
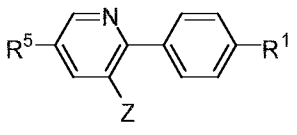

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7. The compound or pharmaceutically acceptable salt of claim 1 of the formula


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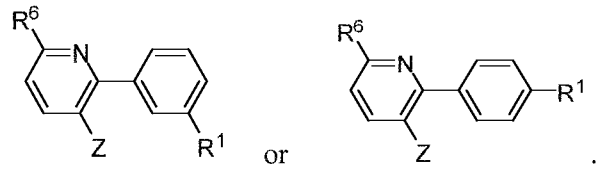
8. The compound or pharmaceutically acceptable salt of any one of claims 1 – 7, wherein R^1 is fluoro and R^2 is methyl.

9. The compound or pharmaceutically acceptable salt of claim 1 of the formula

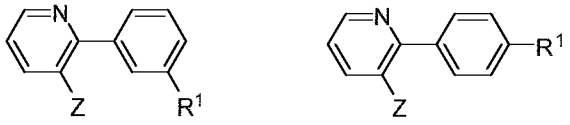

or

.

10. The compound or pharmaceutically acceptable salt of claim 1 of the formula,

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11. The compound or pharmaceutically acceptable salt of claim 1 of the formula,



12. A compound that is

5-(5-Ethoxy-2-(4-fluoro-3-methylphenyl)pyridin-3-yl)-1H-indazole;

5-(5-Ethoxy-2-(2-fluorophenyl)pyridin-3-yl)-1H-indazole;

5-(5-Ethoxy-2-m-tolylpyridin-3-yl)-1H-indazole;

5-(5-Ethoxy-2-(4-fluoro-2-isopropoxyphenyl)pyridin-3-yl)-1H-indazole;

5-(5-Ethoxy-2-(3-fluorophenyl)pyridin-3-yl)-1H-indazole;

5-(5-Ethoxy-2-(4-fluorophenyl)pyridin-3-yl)-1H-indazole;

5-(5-Ethoxy-2-(3, 4-difluorophenyl)pyridin-3-yl)-1H-indazole;

5-(2-(4-Fluoro-3-methylphenyl)-5-methoxypyridin-3-yl)-1H-indazole;

5-(5-Chloro-2-(4-fluoro-3-methylphenyl)pyridin-3-yl)-1H-indazole;

5-(5-Fluoro-2-(4-fluoro-3-methylphenyl)pyridin-3-yl)-1H-indazole;

5-(2-(4-Fluoro-3-methylphenyl)-5-methylpyridin-3-yl)-1H-indazole;

5-(2-(4-Fluoro-3-methylphenyl)-6-methylpyridin-3-yl)-1H-indazole;

5-(2-(4-Cyclopropylphenyl)-6-methylpyridin-3-yl)-1H-indazole;

5-(2-(3-Isopropylphenyl)-6-methylpyridin-3-yl)-1H-indazole;

6-(3-Cyclopropylphenyl)-5-(1H-indazol-5-yl)pyridin-3-amine;

5-(1H-Indazol-5-yl)-6-(3-isopropylphenyl)pyridin-3-amine;

6-(4-Fluoro-3-methylphenyl)-5-(1H-indazol-5-yl)pyridin-3-amine;

5-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)pyridin-3-amine;

5-(Benzo[d]thiazol-6-yl)-6-(3-cyclopropylphenyl)pyridin-3-amine;

5-(Benzo[d]thiazol-6-yl)-6-m-tolylpyridin-3-amine;

6-(4-Fluoro-3-methylphenyl)-5-(1H-indazol-5-yl)pyridin-2-amine;

6-(3-Cyclopropylphenyl)-5-(1H-indazol-5-yl)pyridin-2-amine;

5-(1H-Indazol-5-yl)-6-m-tolylpyridin-2-amine;

N-(6-(4-Fluoro-3-methylphenyl)-5-(1H-indazol-5-yl)pyridin-3-yl)acetamide;

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N-(6-(3-Cyclopropylphenyl)-5-(1H-indazol-5-yl)pyridin-3-yl)acetamide;

N-(5-(1H-Indazol-5-yl)-6-m-tolylpyridin-3-yl)acetamide;

5-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)pyridin-2-amine;

5-(Benzo[d]thiazol-6-yl)-6-(3-cyclopropylphenyl)pyridin-2-amine;

5-(Benzo[d]thiazol-6-yl)-6-m-tolylpyridin-2-amine;

N-(6-(4-Fluoro-3-methylphenyl)-5-(1H-indazol-5-yl)pyridin-3-yl)methanesulfonamide;

N-(6-(3-Cyclopropylphenyl)-5-(1H-indazol-5-yl)pyridin-3-yl) methanesulfonamide;

N-(5-(1H-Indazol-5-yl)-6-m-tolylpyridin-3-yl) methanesulfonamide;

6-(2-*m*-Tolylpyridin-3-yl)isoquinoline;

6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)isoquinoline;

6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-1-(2-morpholinoethyl)-1H-benzo[d]imidazole;

1-(2-Morpholinoethyl)-6-(2-m-tolylpyridin-3-yl)-1H-benzo[d]imidazole;

6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-1-(2-(4-methylpiperazin-1-yl)ethyl)-1H-benzo[d]imidazole;

3-(6-(2-m-tolylpyridin-3-yl)-1H-benzo[d]imidazol-1-yl)propan-1-ol;

1-(3-Ethoxypropyl)-6-(2-m-tolylpyridin-3-yl)-1H-benzo[d]imidazole;

6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-1-(3-(4-methylpiperazin-1-yl)propyl)-1H-benzo[d]imidazole;

3-(6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-1H-benzo[d]imidazol-1-yl)propan-1-ol;

1-(3-Ethoxypropyl)-6-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)-1H-benzo[d]imidazole;

1-Ethyl-6-(2-m-tolylpyridin-3-yl)-1H-benzo[d]imidazole;

1-(Tetrahydro-2H-pyran-4-yl)-6-(2-m-tolylpyridin-3-yl)-1H-benzo[d]imidazole;

1-(1-Methylpiperidin-4-yl)-6-(2-m-tolylpyridin-3-yl)-1H-benzo[d]imidazole;

1-Ethyl-6-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)-1H-benzo[d]imidazole;

1-isopropyl-6-(2-m-tolylpyridin-3-yl)-1H-benzo[d]imidazole;

6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-1-isopropyl-1H-benzo[d]imidazole;

6-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)-1-(tetrahydro-2H-pyran-4-yl)-1H-benzo[d]imidazole;

6-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)-1-(1-methylpiperidin-4-yl)-1H-benzo[d]imidazole;

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3-(3-(Imidazo[1,2-a]pyridin-6-yl)pyridin-2-yl)benzonitrile;

6-(2-(3-Methoxyphenyl)pyridin-3-yl)imidazo[1,2-a]pyridine;

6-(2-(4-Fluorophenyl)pyridin-3-yl)imidazo[1,2-a]pyridine;

6-(2-(4-Methoxyphenyl)pyridin-3-yl)imidazo[1,2-a]pyridine;

6-(2-(3,5-Difluorophenyl)pyridin-3-yl)imidazo[1,2-a]pyridine;

3-(3-(Imidazo[1,2-a]pyridin-6-yl)pyridin-2-yl)benzenamine;

6-((2-(4-Trifluoromethyl)phenyl)pyridin-3-yl)imidazo[1,2-a]pyridine;

N-(3-(3-(Imidazo[1,2-a]pyridin-6-yl)pyridin-2-yl)phenyl)methylsulphonamide;

6-(2-(3-Fluorophenyl)pyridin-3-yl)imidazo[1,2-a]pyridine;

6-(2-(2-fluoro-5-methoxyphenyl)pyridin-3-yl)imidazo[1,2-a]pyridine;

5-(2-(2-Fluorophenyl)pyridin-3-yl)-1H-indazole;

5-(2-(3,4-Difluorophenyl)pyridin-3-yl)-1H-indazole;

5-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-1H-indazole;

5-(3-(1H-Indazol-5-yl)pyridin-2-yl)-1H-indazole;

5-[2-(3-Fluorophenyl)pyridin-3-yl]-1H-indazole;

5-[2-(4-Fluorophenyl)pyridin-3-yl]-1H-indazole;

5-[2-(3,5-Difluorophenyl)pyridin-3-yl]-1H-indazole;

5-(2-*m*-Tolylpyridin-3-yl)-1H-indazole;

5-(2-*p*-Tolylpyridin-3-yl)-1H-indazole;

5-[2-(2,4-Difluorophenyl)pyridin-3-yl]-1H-indazole;

5-[2-(3,5-Dimethylphenyl)pyridin-3-yl]-1H-indazole;

5-(2-(3-Fluoro-4-methylphenyl)pyridin-3-yl)-1H-indazole;

5-(2-(2-Fluoro-5-methylphenyl)pyridin-3-yl)-1H-indazole;

5-(2-(2-Fluoro-4-methylphenyl)pyridin-3-yl)-1H-indazole;

5-(2-(3-Aminophenyl)pyridin-3-yl)-1H-indazole;

5-(2-(3-Methylsulfphonylaminophenyl)pyridin-3-yl)-1H-indazole;

5-(2-(3,4-Difluorophenyl)pyridin-3-yl)-1H-pyrazolo[3,4-b]pyridine;

5-(2-(4-Fluoro-3-methylphenyl) pyridin-3-yl)-1H-pyrazolo[3,4-b]pyridine;

5-(2-*m*-Tolylpyridin-3-yl)-1H-pyrazolo[3,4-b]pyridine;

5-(2-(4-Fluorophenyl)pyridin-3-yl)-1H-pyrazolo[3,4-b]pyridine;

5-(2-(3-Fluoro-4-methylphenyl)pyridin-3-yl)-1H-pyrazolo[3,4-b]pyridine;

5-(2-(2-Fluoro-4-methylphenyl)pyridin-3-yl)-1H-pyrazolo[3,4-b]pyridine;

(5-(3-(1H-Indazol-5-yl)pyridin-2-yl)-2-fluorophenyl)methanol;

4-(3-(1H-Indazol-5-yl)pyridin-2-yl)-2-methylbenzenamine;

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[3-(3-(1H-Indazol-5-yl)pyridin-2-yl)phenyl]methanol;

4-(3-(1H-Indazol-5-yl)pyridin-2-yl)-N,N,2-trimethylbenzenamine;

5-(2-(4-Fluoro-3-(trifluoromethyl)phenyl)pyridin-3-yl)-1H-indazole;

2-Fluoro-5-(3-(1H-indazol-5-yl)pyridin-2-yl)benzamide;

2-Fluoro-5-(3-(1H-indazol-5-yl)pyridin-2-yl)-N,N-dimethylbenzamide;

5-(3-(1H-Indazol-5-yl)pyridin-2-yl)-2-fluoro-N-propylbenzamide;

6-(2-(2-Fluorophenyl)pyridin-3-yl)-1H-indazole;

6-(2-(3,4-Difluorophenyl)pyridin-3-yl)-1H-indazole;

6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-1H-indazole;

6-(2-(3-Fluorophenyl)pyridin-3-yl)-1H-indazole;

6-(2-(4-Fluorophenyl)pyridin-3-yl)-1H-indazole;

6-(2-*m*-Tolylpyridin-3-yl)-1H-indazole;

6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)benzo[d]oxazol-2(3H)-one;

3-(Benzo[d][1,3]dioxol-6-yl)-2-(2-fluorophenyl)pyridine;

3-(Benzo[d][1,3]dioxol-6-yl)-2-(3,4-difluorophenyl)pyridine;

3-(Benzo[d][1,3]dioxol-6-yl)-2-(4-fluoro-3-methylphenyl)pyridine;

3-(Benzo[d][1,3]dioxol-6-yl)-2-(3-fluorophenyl)pyridine;

3-(Benzo[d][1,3]dioxol-6-yl)-2-(4-fluorophenyl)pyridine;

3-(Benzo[d][1,3]dioxol-6-yl)-2-m-tolylpyridine;

3-(Benzo[d][1,3]dioxol-6-yl)-2-(2-fluoro-5-methylphenyl)pyridine;

2-(3-(1H-Indazol-5-yl)pyridin-2-yl)benzonitrile;

3-(3-(1H-Indazol-5-yl)pyridin-2-yl)benzonitrile;

4-(3-(1H-Indazol-5-yl)pyridin-2-yl)benzonitrile;

4-(3-(1H-Indazol-5-yl)pyridin-2-yl)-2-fluorobenzonitrile;

5-(2-(4-(Trifluoromethyl)phenyl)pyridin-3-yl)-1H-indazole;

5-(2-(6-Methylpyridin-2-yl)pyridin-3-yl)-1H-indazole;

5-(2-(3-Methoxyphenyl)pyridin-3-yl)-1H-indazole;

5-(2-(4-Methoxyphenyl)pyridin-3-yl)-1H-indazole;

5-(2-(3,4-Dimethoxyphenyl)pyridin-3-yl)-1H-indazole;

3-(3-(1H-Indazol-5-yl)pyridin-2-yl)phenol;

4-(3-(1H-Indazol-5-yl)pyridin-2-yl)phenol;

4-(3-(1H-Indazol-5-yl)pyridin-2-yl)-2-methylphenol;

5-(2-(3,5-dimethoxyphenyl)pyridin-3-yl)-1H-indazole;

5-(2-(4-Fluoro-3-methoxyphenyl)pyridin-3-yl)-1H-indazole;

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5-(2-(3-Methoxy-4-methylphenyl)pyridin-3-yl)-1H-indazole;

5-(2-(4-(Benzyloxy)-3-methoxyphenyl)pyridin-3-yl)-1H-indazole;

5-(2-(4-Aminosulfonylphenyl)pyridin-3-yl)-1H-indazole;

5-(2-(3-Aminosulfonylphenyl)pyridin-3-yl)-1H-indazole;

6-(2-(3,4-Difluorophenyl)pyridin-3-yl)-1-methyl-1H-indazole;

6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-1-methyl-1H-indazole;

1-Methyl-6-(2-m-tolylpyridin-3-yl)-1H-indazole;

6-(2-(2-Fluoro-5-methylphenyl)pyridin-3-yl)-1-methyl-1H-indazole;

3-(3-(1-Methyl-1H-indazol-6-yl)pyridin-2-yl)benzonitrile;

6-(2-(3-methoxyphenyl)pyridin-3-yl)-1-methyl-1H-indazole;

6-(2-(4-Fluoro-3-methoxyphenyl)pyridin-3-yl)-1-methyl-1H-indazole;

3-(3-(1-Methyl-1H-indazol-6-yl)pyridin-2-yl)phenol;

6-(2-(3,4-Difluorophenyl)pyridin-3-yl)-1H-pyrazolo[4,3-b]pyridine;

6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-1H-pyrazolo[4,3-b]pyridine;

6-(2-*m*-Tolylpyridin-3-yl)-1H-pyrazolo[4,3-b]pyridine;

6-(2-(2-Fluoro-5-methylphenyl)pyridin-3-yl)-1H-pyrazolo[4,3-b]pyridine;

3-(3-(1H-Pyrazolo[4,3-b]pyridin-6-yl)pyridin-2-yl)benzonitrile;

6-(2-(3-Methoxyphenyl)pyridin-3-yl)-1H-pyrazolo[4,3-b]pyridine;

6-(2-(4-Fluoro-3-methoxyphenyl)pyridin-3-yl)-1H-pyrazolo[4,3-b]pyridine;

3-(3-(1H-Pyrazolo[4,3-b]pyridin-6-yl)pyridin-2-yl)phenol;

5-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-1-methyl-1H-indazole;

1-Methyl-5-(2-m-tolylpyridin-3-yl)-1H-indazole;

5-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-3-methyl-1H-indazole;

3-Methyl-5-(2-m-tolylpyridin-3-yl)-1H-indazole;

5-(2-(3-Ethylphenyl)pyridin-3-yl)-1H-indazole;

5-(2-(3-Cyclopropylphenyl)pyridin-3-yl)-1H-indazole;

5-(2-(3-Ethylphenyl)pyridin-3-yl)-1H-pyrazolo[3,4-b]pyridine;

5-(2-(3-Cyclopropylphenyl)pyridin-3-yl)-1H-pyrazolo[3,4-b]pyridine;

5-(2-(2-Fluoro-5-methylphenyl)pyridin-3-yl)-1H-pyrazolo[3,4-b]pyridine;

3-(3-(1H-Pyrazolo[3,4-b]pyridin-5-yl)pyridin-2-yl)benzonitrile;

5-(2-(3-Methoxyphenyl)pyridin-3-yl)-1H-pyrazolo[3,4-b]pyridine;

3-(3-(1H-Pyrazolo[3,4-b]pyridin-5-yl)pyridin-2-yl)phenol;

5-(2-(4-Fluoro-3-methoxyphenyl)pyridin-3-yl)-1H-pyrazolo[3,4-b]pyridine;

5-(3-(1H-Pyrazolo[3,4-b]pyridin-5-yl)pyridin-2-yl)-2-fluorobenzonitrile;

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6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)benzo[d]thiazole;

6-(2-*m*-Tolylpyridin-3-yl)benzo[d]thiazole;

6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-[1,2,4]triazolo[4,3-a]pyridine;

6-(2-*m*-Tolylpyridin-3-yl)-[1,2,4]triazolo[4,3-a]pyridine;

5-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)benzo[d]thiazole;

5-(2-*m*-Tolylpyridin-3-yl)benzo[d]thiazole;

6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,2-a]pyridine;

6-(2-*m*-Tolylpyridin-3-yl)imidazo[1,2-a]pyridine;

5-(2-(3-Isopropylphenyl)pyridin-3-yl)-1H-pyrazolo[3,4-b]pyridine;

5-(2-(3-*tert*-Butylphenyl)pyridin-3-yl)-1H-pyrazolo[3,4-b]pyridine;

5-(2-(3-Biphenyl)pyridin-3-yl)-1H-pyrazolo[3,4-b]pyridine;

5-(2-(3-Cyclopentenylphenyl)pyridin-3-yl)-1H-pyrazolo[3,4-b]pyridine;

5-(2-(3-Cyclopentylphenyl)pyridin-3-yl)-1H-pyrazolo[3,4-b]pyridine;

[5-(2-(4-Fluoro-3methylphenyl)pyridin-3-yl)-2H-indazol-2-yl]methyl dihydrogen phosphate;

5-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-6-methyl-1H-indazole;

6-Methyl-5-(2-*m*-tolylpyridin-3-yl)-1H-indazole;

5-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-7-methyl-1H-indazole;

7-Methyl-5-(2-*m*-tolylpyridin-3-yl)-1H-indazole;

6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-1H-benzo[d]imidazole;

6-(2-*m*-Tolylpyridin-3-yl)-1H-benzo[d]imidazole;

(S)-2-Amino-3-(1H-indol-3-yl)propyl 5-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)-1H-indazole-1-carboxylate trifluoroacetic acid salt;

6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)benzo[d]oxazole;

6-(2-*m*-Tolylpyridin-3-yl)benzo[d]oxazole;

2-Ethyl-5-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)-2H-indazole;

2-Ethyl-5-(2-*m*-tolylpyridin-3-yl)-2H-indazole;

1-Ethyl-5-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)-1H-indazole;

1-Ethyl-5-(2-*m*-tolylpyridin-3-yl)-1H-indazole;

1-methyl-6-(2-m-tolylpyridin-3-yl)-1H-benzo[d]imidazole;

6-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)-1-methyl-1H-benzo[d]imidazole;

1-methyl-5-(2-m-tolylpyridin-3-yl)-1H-benzo[d]imidazole;

5-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)-1-methyl-1H-benzo[d]imidazole;

Methyl 5-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)-1H-indazole-7-carboxylate;

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6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine;

6-(2-*m*-Tolylpyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine;

6-(2-(3-Cyclopropylphenyl)pyridin-3-yl)H-imidazo[1,2-a]pyridine;

6-(2-(3-Cyclopropylphenyl)pyridin-3-yl)benzo[d]thiazole;

5-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-1H-indazole-7-carboxylic acid;

(5-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)-1H-indazol-7-yl)(morpholino)methanone;

5-(2-(3-(Trifluoromethyl)phenyl)pyridin-3-yl)-1H-indazole;

5-(2-(3-(Trifluoromethyl)phenyl)pyridin-3-yl)-1H-pyrazolo[3,4-b]pyridine;

6-(2-(3-(Trifluoromethyl)phenyl)pyridin-3-yl)H-imidazo[1,2-a]pyridine;

6-(2-(3-Cyclopropylphenyl)pyridin-3-yl)-1-methyl-1H-benzo[d]imidazole;

5-(2-(3-Cyclopropylphenyl)pyridin-3-yl)-1-methyl-1H-benzo[d]imidazole;

6-(2-(3-Cyclopropylphenyl)pyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine;

5-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)-N,N-dimethyl-1H-indazole-7-carboxamide;

6-(2-(3-Isopropylphenyl)pyridin-3-yl)-1-methyl-1H-benzo[d]imidazole;

6-(2-(3-Cyclopropylphenyl)pyridin-3-yl)-1H-benzo[d]imidazole;

6-(2-(3-Isopropylphenyl)pyridin-3-yl)-1H-benzo[d]imidazole;

6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)quinoline;

6-(2-*m*-Tolylpyridin-3-yl)quinoline;

7-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)isoquinoline;

7-(2-*m*-Tolylpyridin-3-yl)isoquinoline;

5-(2-(3-Cyclopropyl-4-fluorophenyl)pyridin-3-yl)-1H-indazole;

N-Cyclopropyl-6-(4-fluoro-3-methylphenyl)-5-(1H-indazol-5-yl)pyridin-3-amine;

1-(6-(3-Cyclopropylphenyl)-5-(1H-indazol-5-yl)pyridin-3-yl)-3-isopropylurea;

1-(5-(1H-Indazol-5-yl)-6-(m-tolyl)pyridin-3-yl)-3-isopropylurea;

5-(2-(4-Fluoro-3-methylphenyl)-5-(3-isopropylureido)pyridin-3-yl)-N-isopropyl-1H-indazole-1-carboxamide;

2-(2-(3-cyclopropyl-4-fluorophenyl)pyridin-3-yl)-1,5-naphthyridine;

6-(2-(3-Cyclopropyl-4-fluorophenyl)pyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine;

6-(2-(3-Cyclopropyl-4-fluorophenyl)pyridin-3-yl)imidazo[1,2-a]pyridine;

N-(6-(4-Fluoro-3-methylphenyl)-5-(imidazo[1,2-a]pyridin-6-yl)pyridin-3-yl)morpholine-4-carboxamide;

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1-Ethyl-3-(6-(4-fluoro-3-methylphenyl)-5-(imidazo[1,2-a]pyridin-6-yl)pyridin-3-yl)urea;

3-(6-(4-Fluoro-3-methylphenyl)-5-(imidazo[1,2-a]pyridin-6-yl)pyridin-3-yl)-1,1-dimethylurea (6-(4-Fluoro-3-methylphenyl)-5-(quinoxalin-6-yl)pyridin-3-yl)methanol;

6-(2-(3-Cyclopropyl-4-fluorophenyl)pyridin-3-yl)imidazo[1,2-a]pyridine-3-carbonitrile;

6-(2-(3-Cyclopropyl-4-fluorophenyl)pyridin-3-yl)imidazo[1,2-a]pyridine-3-carboxamide;

4-((6-(4-Fluoro-3-methylphenyl)-5-(quinoxalin-6-yl)pyridin-3-yl)methyl)morpholine;

1-(6-(4-Fluoro-3-methylphenyl)-5-(quinoxalin-6-yl)pyridin-3-yl)-N,N-dimethylmethanamine;

6-(4-Fluoro-3-methylphenyl)-5-(quinoxalin-6-yl)nicotinic acid;

6-(2-(4-Fluoro-3-methylphenyl)-5-(methoxymethyl)pyridin-3-yl)quinoxaline ;

6-(5-(Ethoxymethyl)-2-(4-fluoro-3-methylphenyl)pyridin-3-yl)quinoxaline;

6-(2-(4-Fluoro-3-methylphenyl)-5-((4-methylpiperazin-1-yl)methyl)pyridin-3-yl)quinoxaline;

2-((6-(4-Fluoro-3-methylphenyl)-5-(quinoxalin-6-yl)pyridin-3-yl)methoxy)-N,N-dimethylacetamide;

2-((6-(4-Fluoro-3-methylphenyl)-5-(quinoxalin-6-yl)pyridin-3-yl)methoxy)-N-methylacetamide;

2-((6-(4-Fluoro-3-methylphenyl)-5-(quinoxalin-6-yl)pyridin-3-yl)methoxy)acetamide;

6-(4-Fluoro-3-methylphenyl)-N,N-dimethyl-5-(quinoxalin-6-yl)nicotinamide;

6-(4-Fluoro-3-methylphenyl)-N-methyl-5-(quinoxalin-6-yl)nicotinamide;

6-((4-Fluoro-3-methylphenyl)-5-(quinoxalin-6-yl)pyridin-3-yl)(morpholino)methanone;

(6-(4-Fluoro-3-methylphenyl)-5-(quinoxalin-6-yl)pyridin-3-yl)(4-methylpiperazin-1-yl)methanone;

6-(4-Fluoro-3-methylphenyl)-5-(quinoxalin-6-yl)nicotinamide;

6-(4-Fluoro-3-methylphenyl)-5-(quinoxalin-6-yl)pyridin-3-amine ;

6-(4-Fluoro-3-methylphenyl)-N-(1-methylpiperidin-4-yl)-5-(quinoxalin-6-yl)pyridin-3-amine;

6-(4-Fluoro-3-methylphenyl)-N-isopropyl-5-(quinoxalin-6-yl)pyridin-3-amine;

N,N-Diethyl-6-(4-fluoro-3-methylphenyl)-5-(quinoxalin-6-yl)pyridin-3-amine;

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2-((6-(4-Fluoro-3-methylphenyl)-5-(quinoxalin-6-yl)pyridin-3-yl)amino)cyclohexan-1-ol;

6-(4-Fluoro-3-methylphenyl)-N-(pyridin-3-ylmethyl)-5-(quinoxalin-6-yl)pyridin-3-amine;

6-(4-Fluoro-3-methylphenyl)-N-(pyridin-4-ylmethyl)-5-(quinoxalin-6-yl)pyridin-3-amine;

6-(4-Fluoro-3-methylphenyl)-N-(pyridin-2-ylmethyl)-5-(quinoxalin-6-yl)pyridin-3-amine;

5-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)-N-(1-methylpiperidin-4-yl)pyridin-3-amine;

4-(3-(6-(2-m-Tolylpyridin-3-yl)-1H-benzo[d]imidazol-1-yl)propyl)morpholine;

1-(3-(4-Methylpiperazin-1-yl)propyl)-6-(2-m-tolylpyridin-3-yl)-1H-benzo[d]imidazole;

4-(3-(6-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)-1H-benzo[d]imidazol-1-yl)propyl)morpholine;

2-Fluoro-6-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,2-a]pyridine;

Ethyl 7-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,5-a]pyridine-3-carboxylate;

Ethyl 6-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,5-a]pyridine-3-carboxylate;

6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,5-a]pyridine-3-carboxylic acid;

7-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,5-a]pyridine-3-carboxylic acid;

6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(3-(4-methylpiperazin-1-yl)propyl)imidazo[1,5-a]pyridine-3-carboxamide;

6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(2-(4-methylpiperazin-1-yl)ethyl)imidazo[1,5-a]pyridine-3-carboxamide;

(6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,5-a]pyridin-3-yl)((3-morpholinopropyl)-l2-azanyl)methanone;

N-(3-(Dimethylamino)propyl)-6-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,5-a]pyridine-3-carboxamide;

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N-(2-(Dimethylamino)ethyl)-6-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,5-a]pyridine-3-carboxamide;

7-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(3-(4-methylpiperazin-1-yl)propyl)imidazo[1,5-a]pyridine-3-carboxamide;

7-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(2-(4-methylpiperazin-1-yl)ethyl)imidazo[1,5-a]pyridine-3-carboxamide;

7-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(3-morpholinopropyl)imidazo[1,5-a]pyridine-3-carboxamide;

N-(3-(Dimethylamino)propyl)-7-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,5-a]pyridine-3-carboxamide;

N-(2-(Dimethylamino)ethyl)-7-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,5-a]pyridine-3-carboxamide;

6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,5-a]pyridine;

6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(3-(2-oxopyrrolidin-1-yl)propyl)imidazo[1,5-a]pyridine-3-carboxamide;

6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(2-morpholinoethyl)imidazo[1,5-a]pyridine-3-carboxamide;

6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(2-(pyrrolidin-1-yl)ethyl)imidazo[1,5-a]pyridine-3-carboxamide;

6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(3-(pyrrolidin-1-yl)propyl)imidazo[1,5-a]pyridine-3-carboxamide;

7-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(3-(2-oxopyrrolidin-1-yl)propyl)imidazo[1,5-a]pyridine-3-carboxamide;

7-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(2-morpholinoethyl)imidazo[1,5-a]pyridine-3-carboxamide;

N-(2-Acetamidoethyl)-7-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,5-a]pyridine-3-carboxamide;

N-(3-(1H-Imidazol-1-yl)propyl)-7-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,5-a]pyridine-3-carboxamide;

7-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(3-(pyrrolidin-1-yl)propyl)imidazo[1,5-a]pyridine-3-carboxamide;

N-((1R,2R,3S,4S)-3-Carbamoylbicyclo[2.2.1]hept-5-en-2-yl)-6-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,5-a]pyridine-3-carboxamide;

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N-((1R,2R,3S,4S)-3-Carbamoylbicyclo[2.2.1]hept-5-en-2-yl)-7-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,5-a]pyridine-3-carboxamide;

4-(6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,2-a]pyridin-3-yl)benzenesulfonamide;

6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-3-(pyridin-4-yl)imidazo[1,5-a]pyridine;

3-(6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,5-a]pyridin-3-yl)aniline;

6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-3-(3-methoxyphenyl)imidazo[1,5-a]pyridine;

6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,5-a]pyridin-3-amine;

7-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-3-(3-methoxyphenyl)imidazo[1,5-a]pyridine;

7-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-3-(pyridin-4-yl)imidazo[1,5-a]pyridine ;

7-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-3-(4-methoxyphenyl)imidazo[1,5-a]pyridine ;

7-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-3-phenylimidazo[1,5-a]pyridine ;

3-(7-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,5-a]pyridin-3-yl)aniline ;

6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridin-2-amine;

7-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)-1H-pyrido[2,3-b][1,4]oxazin-2(3H)-one;

6-(4-Fluoro-3-methylphenyl)-7-(quinolin-6-yl)-1H-pyrido[2,3-b][1,4]oxazin-2(3H)-one;

7-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)-1-methyl-1H-pyrido[2,3-b][1,4]oxazin-2(3H)-one;

7-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)-1-methyl-2,3-dihydro-1H-pyrido[2,3-b][1,4]oxazine;

6-(4-Fluoro-3-methylphenyl)-1-methyl-7-(quinolin-6-yl)-2,3-dihydro-1H-pyrido[2,3-b][1,4]oxazine;

7-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)isoquinolin-1-amine;

7-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)isoquinolin-1-amine;

7-(2-(3-Fluorophenyl)pyridin-3-yl)isoquinolin-1-amine;

6-(4-Fluoro-3-methylphenyl)-7-(1H-indazol-5-yl)-1-methyl-2,3-dihydro-1H-pyrido[2,3-b][1,4]oxazine;

5-(2-(3-Chlorophenyl)pyridin-3-yl)thiazolo[5,4-b]pyridin-2-amine;

5-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)thiazolo[5,4-b]pyridin-2-amine;

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5-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)thiazolo[5,4-b]pyridine;

5-(2-(m-Tolyl)pyridin-3-yl)thiazolo[5,4-b]pyridine;

5-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)thiazolo[5,4-b]pyridine;

5-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)-N-(pyridin-3-ylmethyl)pyridin-3-amine;

4-(((6-(4-Fluoro-3-methylphenyl)-5-(quinoxalin-6-yl)pyridin-3-yl)amino)methyl)benzamide;

4-(((6-(4-Fluoro-3-methylphenyl)-5-(quinoxalin-6-yl)pyridin-3-yl)amino)methyl)benzonitrile;

4-(((5-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)pyridin-3-yl)amino)methyl)benzonitrile;

4-((5-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)pyridin-3-yl)amino)benzamide;

5-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)-N-(pyridin-4-yl)pyridin-3-amine;

N-(5-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)pyridin-3-yl)-3-morpholinopropanamide;

N-(5-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)pyridin-3-yl)-4-cyanobenzamide;

N-(5-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)pyridin-3-yl)isonicotinamide;

N-(5-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)pyridin-3-yl)nicotinamide;

N-(5-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)pyridin-3-yl)butyramide;

N-(5-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)pyridin-3-yl)-4-(pyridin-4-yl)butanamide;

4-(5-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)pyridin-3-yl)benzamide;

6-(2-(4-Fluoro-3-methylphenyl)-5-(4-methylpiperazin-1-yl)pyridin-3-yl)benzo[d]thiazole;

5-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)-N-(3-(4-methylpiperazin-1-yl)propyl)pyridin-3-amine;

5-(Benzo[d]thiazol-6-yl)-N-((6-chloropyridin-3-yl)methyl)-6-(4-fluoro-3-methylphenyl)pyridin-3-amine;

N-((1H-Imidazol-5-yl)methyl)-5-(benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)pyridin-3-amine;

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5-(Benzo[d]thiazol-6-yl)-N-((2-ethyl-1H-imidazol-5-yl)methyl)-6-(4-fluoro-3-methylphenyl)pyridin-3-amine;

5-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)-N-((6-methoxypyridin-3-yl)methyl)pyridin-3-amine;

5-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)-N-((5-methoxypyridin-3-yl)methyl)pyridin-3-amine;

5-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)-N-(thiazol-2-ylmethyl)pyridin-3-amine;

5-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)-N-(thiazol-5-ylmethyl)pyridin-3-amine;

N-([2,3'-Bipyridin]-5-ylmethyl)-5-(benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)pyridin-3-amine;

N-((1H-Pyrrolo[2,3-b]pyridin-5-yl)methyl)-5-(benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)pyridin-3-amine;

N-((1H-Pyrrolo[2,3-b]pyridin-3-yl)methyl)-5-(benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)pyridin-3-amine;

5-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)-N-((2-methylpyridin-3-yl)methyl)pyridin-3-amine;

3-(((5-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)pyridin-3-yl)amino)methyl)phenol;

4-(((5-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)pyridin-3-yl)amino)methyl)phenol;

5-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)-N-((6-methylpyridin-3-yl)methyl)pyridin-3-amine;

5-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)-N-((3-methyl-3H-imidazo[4,5-b]pyridin-6-yl)methyl)pyridin-3-amine;

5-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)-N-(quinolin-8-ylmethyl)pyridin-3-amine;

5-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)-N-(isoquinolin-5-ylmethyl)pyridin-3-amine;

N-(4-(((5-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)pyridin-3-yl)amino)methyl)phenyl)acetamide;

5-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)-N-(quinolin-3-ylmethyl)pyridin-3-amine;

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6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-3-methylquinazolin-4(3H)-one;

3-Methyl-6-(2-(m-tolyl)pyridin-3-yl)quinazolin-4(3H)-one;

6-(2-(3-Cyclopropylphenyl)pyridin-3-yl)-3-methylquinazolin-4(3H)-one;

3-(5-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)pyridin-3-yl)phenol;

N-(3-(5-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)pyridin-3-yl)phenyl)acetamide;

6-(2-(4-Fluoro-3-methylphenyl)-5-(1H-pyrazol-4-yl)pyridin-3-yl)benzo[d]thiazole;

6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)quinazolin-4(1H)-one;

3-(5-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)pyridin-3-yl)benzenesulfonamide;

7-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)quinazolin-4(1H)-one;

7-(2-(m-Tolyl)pyridin-3-yl)quinazolin-4(1H)-one;

7-(2-(3-cyclopropylphenyl)pyridin-3-yl)quinazolin-4(1H)-one;

4-(((5-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)pyridin-3-yl)amino)methyl)-2-methoxyphenol;

2-(5-(((5-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)pyridin-3-yl)amino)methyl)-2-methoxyphenoxy)acetamide;

5-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)-N-(4-morpholinobenzyl)pyridin-3-amine;

5-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)-N-(3-morpholinobenzyl)pyridin-3-amine;

6-(2-(4-Fluoro-3-methylphenyl)-5-(1H-pyrazol-1-yl)pyridin-3-yl)benzo[d]thiazole;

2-(4-(((5-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)pyridin-3-yl)amino)methyl)-2-methoxyphenoxy)ethan-1-ol;

5-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)-N-(4-((tetrahydro-2H-pyran-4-yl)oxy)benzyl)pyridin-3-amine;

5-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)-N-(4-(morpholinomethyl)benzyl)pyridin-3-amine;

4-(((5-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)pyridin-3-yl)amino)methyl)benzenesulfonamide;

N-((2-Ethyl-1H-imidazol-5-yl)methyl)-6-(4-fluoro-3-methylphenyl)-5-(imidazo[1,2-a]pyridin-6-yl)pyridin-3-amine;

6-(2-(m-tolyl)pyridin-3-yl)quinazolin-4(1H)-one;

6-(2-(3-Cyclopropylphenyl)pyridin-3-yl)quinazolin-4(1H)-one;

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6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)quinazoline;

6-(2-(m-Tolyl)pyridin-3-yl)quinazoline;

6-(2-(3-Cyclopropylphenyl)pyridin-3-yl)quinazoline;

4-(((5-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)pyridin-3-yl)amino)methyl)-2,6-dimethoxyphenol;

5-(((5-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)pyridin-3-yl)amino)methyl)-2,3-dimethoxyphenol;

4-(((5-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)pyridin-3-yl)amino)methyl)benzene-1,2-diol;

4-(((5-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)pyridin-3-yl)amino)methyl)-2-fluorophenol;

4-(((5-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)pyridin-3-yl)amino)methyl)-2-(trifluoromethyl)phenol;

4-(((5-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)pyridin-3-yl)amino)methyl)-2-(trifluoromethoxy)phenol;

4-(((5-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)pyridin-3-yl)amino)methyl)-2-methylphenol ;

5-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)-N-(4-(4-methylpiperazin-1-yl)benzyl)pyridin-3-amine ;

1-(4-(((5-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)pyridin-3-yl)amino)methyl)phenyl)piperidin-4-ol;

6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-4-methoxyquinazoline;

4-Methoxy-6-(2-(m-tolyl)pyridin-3-yl)quinazoline;

6-(2-(3-Cyclopropylphenyl)pyridin-3-yl)-4-methoxyquinazoline;

3-(3-(4-Methoxyquinazolin-6-yl)pyridin-2-yl)phenol;

6-(2-(3-Hydroxyphenyl)pyridin-3-yl)-3-methylquinazolin-4(3H)-one;

N-(3-(3-(3-Methyl-4-oxo-3,4-dihydroquinazolin-6-yl)pyridin-2-yl)phenyl)acetamide;

6-(2-(4-Fluorophenyl)pyridin-3-yl)-3-methylquinazolin-4(3H)-one;

3-Methyl-6-(2-(quinolin-8-yl)pyridin-3-yl)quinazolin-4(3H)-one;

6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)quinazolin-2-amine;

6-(2-(m-Tolyl)pyridin-3-yl)quinazolin-2-amine;

4-(6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)quinazolin-4-yl)morpholine;

4-(6-(2-(m-Tolyl)pyridin-3-yl)quinazolin-4-yl)morpholine;

4-(6-(2-(3-Cyclopropylphenyl)pyridin-3-yl)quinazolin-4-yl)morpholine;

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6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)quinazolin-4(1H)-one;

6-(2-(3-Chlorophenyl)pyridin-3-yl)quinazolin-4(1H)-one;

6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)-3-methylquinazolin-4(3H)-one;

6-(2-(3-Chlorophenyl)pyridin-3-yl)-3-methylquinazolin-4(3H)-one;

6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)quinazolin-4-amine;

6-(2-(3-Chlorophenyl)pyridin-3-yl)quinazolin-4-amine;

6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)quinazolin-4-amine;

6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)-4-methoxyquinazoline;

7-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)quinazolin-2-amine;

N,N-Diethyl-6-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)quinazolin-4-amine;

6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)-N,N-diethylquinazolin-4-amine;

3-(3-(4-(Diethylamino)quinazolin-6-yl)pyridin-2-yl)phenol;

6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-isopropylquinazolin-4-amine;

6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)-N-isopropylquinazolin-4-amine;

6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-propylquinazolin-4-amine;

N-Butyl-6-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)quinazolin-4-amine;

N-Cyclopropyl-6-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)quinazolin-4-amine;

N-Cyclopentyl-6-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)quinazolin-4-amine;

4-((6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)quinazolin-4-yl)amino)benzamide;

6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(4-methoxyphenyl)quinazolin-4-amine;

6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(4-morpholinophenyl)quinazolin-4-amine;

4-((6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)quinazolin-4-yl)amino)benzonitrile;

3-((6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)quinazolin-4-yl)amino)benzamide;

6-(2-(3-Cyclopropylphenyl)pyridin-3-yl)quinazolin-4-amine;

3-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)-1,8-naphthyridine;

3-(2-(3-Chlorophenyl)pyridin-3-yl)-1,8-naphthyridine;

6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(2-(pyridin-3-yl)ethyl)quinazolin-4-amine;

6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(pyridin-4-ylmethyl)quinazolin-4-amine;

6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(pyridin-3-ylmethyl)quinazolin-4-amine;

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3-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-1,8-naphthyridine;

6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)quinoline-2-carbonitrile;

6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)quinoline-2-carbonitrile;

6-(2-(3-Chlorophenyl)pyridin-3-yl)quinoline-2-carbonitrile;

6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-((1-methylpiperidin-4-yl)methyl)quinazolin-4-amine;

6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(2-(1-methylpiperidin-4-yl)ethyl)quinazolin-4-amine;

N1-(6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)quinazolin-4-yl)-N3,N3-dimethylpropane-1,3-diamine;

6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(3-morpholinopropyl)quinazolin-4-amine;

4-(2-((6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)quinazolin-4-yl)oxy)ethyl)morpholine;

6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)quinolin-2-amine;

6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)quinolin-2-amine;

6-(2-(3-Chlorophenyl)pyridin-3-yl)quinolin-2-amine;

3-((6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)quinazolin-4-yl)oxy)-N,N-dimethylpropan-1-amine;

2-((6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)quinazolin-4-yl)oxy)acetonitrile;

1-(3-((6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)quinazolin-4-yl)oxy)propyl)pyrrolidin-2-one;

6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-4-((6-methylpyridin-3-yl)oxy)quinazoline;

6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-4-(2-(4-methylpiperazin-1-yl)ethoxy)quinazoline;

6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-4-(3-(4-methylpiperazin-1-yl)propoxy)quinazoline;

3-((6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)quinazolin-4-yl)oxy)-N,N-dimethylpropan-1-amine;

1-(3-((6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)quinazolin-4-yl)oxy)propyl)pyrrolidin-2-one;

6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)-4-((6-methylpyridin-3-yl)oxy)quinazoline;

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2-((6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)quinazolin-4-yl)oxy)-N,N-diethylethan-1-amine;

2-((6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)quinazolin-4-yl)oxy)-N,N-dimethylethan-1-amine;

4-((6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)quinazolin-4-yl)oxy)benzonitrile;

3-((6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)quinazolin-4-yl)oxy)benzonitrile;

6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-4-(pyridin-3-yloxy)quinazoline;

6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-4-(2-(pyrrolidin-1-yl)ethoxy)quinazoline;

4-(3-((6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)quinazolin-4-yl)oxy)phenyl)morpholine;

5-(2-((6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)quinazolin-4-yl)oxy)ethyl)-4-methylthiazole;

6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-4-(quinolin-6-yloxy)quinazoline;

6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-4-((1-(pyridin-4-yl)piperidin-4-yl)oxy)quinazoline;

6-(2-(5-Chloro-2-fluorophenyl)pyridin-3-yl)quinazolin-4-amine;

6-(2-(5-Chloro-2,4-difluorophenyl)pyridin-3-yl)quinazolin-4-amine;

6-(2-(3-Methoxyphenyl)pyridin-3-yl)quinazolin-4-amine;

6-(2-(3-(Trifluoromethoxy)phenyl)pyridin-3-yl)quinazolin-4-amine;

6-(2-(3,4-Difluorophenyl)pyridin-3-yl)quinazolin-4-amine;

6-(2-(2,5-Difluorophenyl)pyridin-3-yl)quinazolin-4-amine;

6-(2-(2,4-Difluorophenyl)pyridin-3-yl)quinazolin-4-amine;

3-(3-(4-Aminoquinazolin-6-yl)pyridin-2-yl)phenol;

N-(3-(3-(4-Aminoquinazolin-6-yl)pyridin-2-yl)phenyl)acetamide;

6-(2-(3-Fluoro-5-methylphenyl)pyridin-3-yl)quinazolin-4-amine;

6-(2-(3-Fluoro-4-methylphenyl)pyridin-3-yl)quinazolin-4-amine;

6-(2-(3-Chloro-5-fluorophenyl)pyridin-3-yl)quinazolin-4-amine;

6-(2-(3-Fluorophenyl)pyridin-3-yl)quinazolin-4-amine ;

6-(2-(o-Tolyl)pyridin-3-yl)quinazolin-4-amine;

6-(2-(5-Chloro-2-methylphenyl)pyridin-3-yl)quinazolin-4-amine;

6-(2-(3-Chloro-2-methylphenyl)pyridin-3-yl)quinazolin-4-amine;

6-(2-(6-Chloro-2-fluoro-3-methylphenyl)pyridin-3-yl)quinazolin-4-amine;

6-(2-(5-Fluoro-2-methylphenyl)pyridin-3-yl)quinazolin-4-amine;

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6-(2-(4-Fluoro-2-methylphenyl)pyridin-3-yl)quinazolin-4-amine;

6-(2-(3-Fluoro-2-methylphenyl)pyridin-3-yl)quinazolin-4-amine;

6-(2-(3,4,5-Trifluorophenyl)pyridin-3-yl)quinazolin-4-amine;

6-(2-(2,3-Dihydro-1H-inden-5-yl)pyridin-3-yl)quinazolin-4-amine;

5-(3-(4-Aminoquinazolin-6-yl)pyridin-2-yl)-2,3-dihydro-1H-inden-1-one;

(E)-5-(3-(4-Aminoquinazolin-6-yl)pyridin-2-yl)-2,3-dihydro-1H-inden-1-one oxime;

6-(2-(2-Fluoro-5-methoxyphenyl)pyridin-3-yl)quinazolin-4-amine;

6-(2-(4-Fluoro-3-methoxyphenyl)pyridin-3-yl)quinazolin-4-amine;

N-(3-(3-(4-Aminoquinazolin-6-yl)pyridin-2-yl)phenyl)-N',N'-dimethylsulfonyldiamine;

6-(2-(4-Fluoro-3-(trifluoromethyl)phenyl)pyridin-3-yl)quinazolin-4-amine;

5-(3-(4-Aminoquinazolin-6-yl)pyridin-2-yl)-2-fluorobenzonitrile;

6-(2-(3-Isopropylphenyl)pyridin-3-yl)quinazolin-4-amine;

6-(2-(3-(Benzyloxy)phenyl)pyridin-3-yl)quinazolin-4-amine;

6-(2-(3-isopropoxyphenyl)pyridin-3-yl)quinazolin-4-amine;

2-(3-(3-(4-Aminoquinazolin-6-yl)pyridin-2-yl)phenoxy)acetonitrile;

6-(2-(3-(2-Methoxyethoxy)phenyl)pyridin-3-yl)quinazolin-4-amine;

6-(2-(3-(Cyclopropylmethoxy)phenyl)pyridin-3-yl)quinazolin-4-amine;

6-(2-(3-(2,2,2-Trifluoroethoxy)phenyl)pyridin-3-yl)quinazolin-4-amine;

6-(2-(3-Morpholinophenyl)pyridin-3-yl)quinazolin-4-amine;

7-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)quinazoline-2,4-diamine;

7-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)quinazoline-2,4-diamine;

7-(2-(3-Chlorophenyl)pyridin-3-yl)quinazoline-2,4-diamine;

7-(2-(m-Tolyl)pyridin-3-yl)quinazoline-2,4-diamine;

6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)-N-(pyridin-3-yl)quinazolin-4-amine;

6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)-N-(6-methylpyridin-3-yl)quinazolin-4-amine;

6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)-N-(pyridin-4-yl)quinazolin-4-amine;

3-(3-(4-Aminoquinazolin-6-yl)pyridin-2-yl)-5-chlorophenol;

3-(3-(4-Aminoquinazolin-6-yl)pyridin-2-yl)-5-fluorophenol;

3-(3-(4-Aminoquinazolin-6-yl)pyridin-2-yl)-5-methylphenol;

7-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)quinazolin-2-amine;

6-(2-(2-Chlorophenyl)pyridin-3-yl)quinazolin-4-amine ;

6-(2-(2,3-Dichlorophenyl)pyridin-3-yl)quinazolin-4-amine;

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6-(2-(2-Chloro-4-fluorophenyl)pyridin-3-yl)quinazolin-4-amine;

6-(2-(2,4-Dichlorophenyl)pyridin-3-yl)quinazolin-4-amine;

6-(2-(2,5-Dichlorophenyl)pyridin-3-yl)quinazolin-4-amine;

6-(2-(2,4-Difluoro-5-methoxyphenyl)pyridin-3-yl)quinazolin-4-amine;

6-(2-(2-Chloro-3-fluorophenyl)pyridin-3-yl)quinazolin-4-amine;

6-(2-(2-Chloro-5-fluorophenyl)pyridin-3-yl)quinazolin-4-amine;

6-(2-(2,4-Dichloro-5-fluorophenyl)pyridin-3-yl)quinazolin-4-amine;

6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)quinazoline;

6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)-4-cyclopropylquinazoline;

5-(Benzo[d]thiazol-6-yl)-6-(4-fluoro-3-methylphenyl)-N-(pyridin-4-ylmethyl)pyridin-3-amine;

4-(2-(2-Fluorophenyl)pyridin-3-yl)quinolone;

4-(2-(3,4-Difluorophenyl)pyridin-3-yl)quinolone;

4-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)quinoline;

4-(2-(3-Fluorophenyl)pyridin-3-yl)quinolone;

4-(2-(4-Fluorophenyl)pyridin-3-yl)quinoline;

4-(2-(m-Tolyl)pyridin-3-yl)quinoline;

4-(2-(2-Fluoro-5-methylphenyl)pyridin-3-yl)quinolone;

5-(6-Methyl-[2,3'-bipyridin]-2'-yl)-1H-indazole;

2'-(Benzo[d][1,3]dioxol-5-yl)-6-methyl-2,3'-bipyridine;

6-(6-Methyl-[2,3'-bipyridin]-2'-yl)quinoxaline;

5-(6-Methyl-[2,3'-bipyridin]-2'-yl)-1,3-dihydro-2H-benzo[d]imidazol-2-one;

6-(6-Methyl-[2,3'-bipyridin]-2'-yl)-1H-benzo[d]imidazole;

6-(6-Methyl-[2,3'-bipyridin]-2'-yl)isoquinoline;

2-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-1,6-naphthyridine;

2-(2-(m-Tolyl)pyridin-3-yl)-1,6-naphthyridine;

2-(2-(3-Cyclopropylphenyl)pyridin-3-yl)-1,6-naphthyridine;

2'-(4-Fluoro-3-methylphenyl)-[3,3'-bipyridin]-6-amine;

6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-3-methylimidazo[1,2-a]pyridine;

3-Methyl-6-(2-(m-Tolyl)pyridin-3-yl)imidazo[1,2-a]pyridine;

6-(2-(3-Cyclopropylphenyl)pyridin-3-yl)-3-methylimidazo[1,2-a]pyridine;

3-Methyl-6-(2-(3-(trifluoromethyl)phenyl)pyridin-3-yl)imidazo[1,2-a]pyridine;

6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-2-methylimidazo[1,2-a]pyridine;

2-Methyl-6-(2-(m-tolyl)pyridin-3-yl)imidazo[1,2-a]pyridine;

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6-(2-(3-Cyclopropylphenyl)pyridin-3-yl)-2-methylimidazo[1,2-a]pyridine;

2-methyl-6-((2-(3-trifluoromethyl)phenyl)pyridin-3-yl)imidazo[1,2-a]pyridine

2-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-1,5-naphthyridine;

2-(2-(m-Tolyl)pyridin-3-yl)-1,5-naphthyridine;

2-(2-(3-Cyclopropylphenyl)pyridin-3-yl)-1,5-naphthyridine;

2-(2-(3-(Trifluoromethyl)phenyl)pyridin-3-yl)-1,5-naphthyridine;

6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)quinoxaline;

6-(2-(m-Tolyl)pyridin-3-yl)quinoxaline6-(2-(3-Cyclopropylphenyl)pyridin-3-yl)quinoxaline;

6-(2-(3-(Trifluoromethyl)phenyl)pyridin-3-yl)quinoxaline;

4-(6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,2-a]pyridin-3-yl)morpholine;

4-(6-(2-(m-Tolyl)pyridin-3-yl)imidazo[1,2-a]pyridin-3-yl)morpholine;

4-(6-(2-(3-Cyclopropylphenyl)pyridin-3-yl)imidazo[1,2-a]pyridin-3-yl)morpholine;

4-(6-(2-(3-(Trifluoromethyl)phenyl)pyridin-3-yl)imidazo[1,2-a]pyridin-3-yl)morpholine;

4-(6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)quinolin-4-yl)morpholine;

4-(6-(2-(m-Tolyl)pyridin-3-yl)quinolin-4-yl)morpholine;

4-(6-(2-(3-Cyclopropylphenyl)pyridin-3-yl)quinolin-4-yl)morpholine;

4-(6-(2-(3-(Trifluoromethyl)phenyl)pyridin-3-yl)quinolin-4-yl)morpholine;

6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N,N-dimethylimidazo[1,2-a]pyridin-3-amine;

N,N-Dimethyl-6-(2-(m-tolyl)pyridin-3-yl)imidazo[1,2-a]pyridin-3-amine;

6-(2-(3-Cyclopropylphenyl)pyridin-3-yl)-N,N-dimethylimidazo[1,2-a]pyridin-3-amine;

N,N-Dimethyl-6-(2-(3-(trifluoromethyl)phenyl)pyridin-3-yl)imidazo[1,2-a]pyridin-3-amine;

6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-3-(trifluoromethyl)imidazo[1,2-a]pyridine;

6-(2-(3-Cyclopropylphenyl)pyridin-3-yl)-3-(trifluoromethyl)imidazo[1,2-a]pyridine;

6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,2-a]pyridine-3-carbonitrile;

6-(2-(m-Tolyl)pyridin-3-yl)imidazo[1,2-a]pyridine-3-carbonitrile;

6-(2-(3-Cyclopropylphenyl)pyridin-3-yl)imidazo[1,2-a]pyridine-3-carbonitrile;

6-(2-(3-(Trifluoromethyl)phenyl)pyridin-3-yl)imidazo[1,2-a]pyridine-3-carbonitrile;

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6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-3-(pyrrolidin-1-yl)imidazo[1,2-a]pyridine;

3-(Pyrrolidin-1-yl)-6-(2-(m-tolyl)pyridin-3-yl)imidazo[1,2-a]pyridine;

6-(2-(3-Cyclopropylphenyl)pyridin-3-yl)-3-(pyrrolidin-1-yl)imidazo[1,2-a]pyridine;

3-(Pyrrolidin-1-yl)-6-(2-(3-(trifluoromethyl)phenyl)pyridin-3-yl)imidazo[1,2-a]pyridine;

(6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,2-a]pyridin-3-yl)methanol;

(6-(2-(m-Tolyl)pyridin-3-yl)imidazo[1,2-a]pyridin-3-yl)methanol;

(6-(2-(3-Cyclopropylphenyl)pyridin-3-yl)imidazo[1,2-a]pyridin-3-yl)methanol;

(6-(2-(3-(Trifluoromethyl)phenyl)pyridin-3-yl)imidazo[1,2-a]pyridin-3-yl)methanol;

4-((6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,2-a]pyridin-3-yl)methyl)morpholine;

4-((6-(2-(m-Tolyl)pyridin-3-yl)imidazo[1,2-a]pyridin-3-yl)methyl)morpholine;

4-((6-(2-(3-Cyclopropylphenyl)pyridin-3-yl)imidazo[1,2-a]pyridin-3-yl)methyl)morpholine;

4-((6-(2-(3-(Trifluoromethyl)phenyl)pyridin-3-yl)imidazo[1,2-a]pyridin-3-yl)methyl)morpholine;

6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(3,4,5-trimethoxyphenyl)imidazo[1,2-a]pyridine-3-carboxamide;

6-(2-(m-Tolyl)pyridin-3-yl)-N-(3,4,5-trimethoxyphenyl)imidazo[1,2-a]pyridine-3-carboxamide;

6-(2-(3-Cyclopropylphenyl)pyridin-3-yl)-N-(3,4,5-trimethoxyphenyl)imidazo[1,2-a]pyridine-3-carboxamide;

6-(2-(3-(Trifluoromethyl)phenyl)pyridin-3-yl)-N-(3,4,5-trimethoxyphenyl)imidazo[1,2-a]pyridine-3-carboxamide;

6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-3-(3,4,5-trimethoxyphenyl)imidazo[1,2-a]pyridine;

6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,2-a]pyridine-3-carboxylic acid;

(6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,2-a]pyridin-3-yl)(morpholino)methanone;

(6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,2-a]pyridin-3-yl)(4-methylpiperazin-1-yl)methanone;

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N-(3,4-Dimethoxyphenyl)-6-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,2-a]pyridine-3-carboxamide;

6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-propylimidazo[1,2-a]pyridine-3-carboxamide;

6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-methylimidazo[1,2-a]pyridine-3-carboxamide;

6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(2-morpholinoethyl)imidazo[1,2-a]pyridine-3-carboxamide;

1-(6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,2-a]pyridin-3-yl)ethan-1-one;

1-(6-(2-(m-Tolyl)pyridin-3-yl)imidazo[1,2-a]pyridin-3-yl)ethan-1-one;

1-(6-(2-(3-Cyclopropylphenyl)pyridin-3-yl)imidazo[1,2-a]pyridin-3-yl)ethan-1-one;

1-(6-(2-(3-(Trifluoromethyl)phenyl)pyridin-3-yl)imidazo[1,2-a]pyridin-3-yl)ethan-1-one;

6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(2-(4-methylpiperazin-1-yl)ethyl)imidazo[1,2-a]pyridine-3-carboxamide;

6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(3-(4-methylpiperazin-1-yl)propyl)imidazo[1,2-a]pyridine-3-carboxamide;

6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(1-methylpiperidin-4-yl)imidazo[1,2-a]pyridine-3-carboxamide;

6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(tetrahydro-2H-pyran-4-yl)imidazo[1,2-a]pyridine-3-carboxamide;

7-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,5-a]pyridine;

7-(2-(m-Tolyl)pyridin-3-yl)imidazo[1,5-a]pyridine;

7-(2-(3-Cyclopropylphenyl)pyridin-3-yl)imidazo[1,5-a]pyridine;

7-(2-(3-(Trifluoromethyl)phenyl)pyridin-3-yl)imidazo[1,5-a]pyridine;

rac-1-(6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,2-a]pyridin-3-yl)ethan-1-ol;

2-(6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,2-a]pyridin-3-yl)propan-2-ol;

6-(2-(3-(Methyl-d3)phenyl)pyridin-3-yl)imidazo[1,2-a]pyridine;

6-(2-(3-(Methyl-d3)phenyl)pyridin-3-yl)imidazo[1,2-a]pyridine-3-carbonitrile;

5-(2-(3-(Methyl-d3)phenyl)pyridin-3-yl)pyrazolo[1,5-a]pyrimidine;

6-(2-(3-(Methyl-d3)phenyl)pyridin-3-yl)benzo[d]thiazole;

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7-(2-(3-(Methyl-d3)phenyl)pyridin-3-yl)imidazo[1,5-a]pyridine;

6-(2-(3-(Methyl-d3)phenyl)pyridin-3-yl)quinoxaline;

1-(6-(2-(3-(Methyl-d3)phenyl)pyridin-3-yl)imidazo[1,2-a]pyridin-3-yl)ethan-1-one;

N-(2-(Dimethylamino)ethyl)-6-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,2-a]pyridine-3-carboxamide;

N-(3-(Dimethylamino)propyl)-6-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,2-a]pyridine-3-carboxamide;

6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(3-morpholinopropyl)imidazo[1,2-a]pyridine-3-carboxamide;

6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(2-(pyrrolidin-1-yl)ethyl)imidazo[1,2-a]pyridine-3-carboxamide;

6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(3-(piperidin-1-yl)propyl)imidazo[1,2-a]pyridine-3-carboxamide;

6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(3-(2-oxopyrrolidin-1-yl)propyl)imidazo[1,2-a]pyridine-3-carboxamide;

N-(2,3-Dihydroxypropyl)-6-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,2-a]pyridine-3-carboxamide;

(S)-2-((tert-Butoxycarbonyl)amino)-3-(1H-indol-3-yl)propyl 6-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,2-a]pyridine-3-carboxylate;

(S)-2-Amino-3-(1H-indol-3-yl)propyl 6-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,2-a]pyridine-3-carboxylate formic acid salt;

6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(3-sulfamoylphenyl)imidazo[1,2-a]pyridine-3-carboxamide;

6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(4-sulfamoylphenyl)imidazo[1,2-a]pyridine-3-carboxamide;

N-(4-Carbamoylphenyl)-6-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,2-a]pyridine-3-carboxamide;

N-(3-Carbamoylphenyl)-6-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,2-a]pyridine-3-carboxamide;

(R)-2-Amino-3-(1H-indol-3-yl)propyl 6-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,2-a]pyridine-3-carboxylate formic acid salt;

6-(2-(3-Fluorophenyl)pyridin-3-yl)imidazo[1,2-a]pyridine-3-carbonitrile;

6-(2-(3,4-Difluorophenyl)pyridin-3-yl)imidazo[1,2-a]pyridine-3-carbonitrile;

6-(2-(2-Fluorophenyl)pyridin-3-yl)imidazo[1,2-a]pyridine-3-carbonitrile;

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6-(2-(2-Fluoro-5-methylphenyl)pyridin-3-yl)imidazo[1,2-a]pyridine-3-carbonitrile;

6-(2-(4-Fluorophenyl)pyridin-3-yl)imidazo[1,2-a]pyridine-3-carbonitrile;

6-(2-(3-Methoxyphenyl)pyridin-3-yl)imidazo[1,2-a]pyridine-3-carbonitrile;

6-(2-(3-Cyanophenyl)pyridin-3-yl)imidazo[1,2-a]pyridine-3-carbonitrile;

6-(2-(3-Cyano-4-fluorophenyl)pyridin-3-yl)imidazo[1,2-a]pyridine-3-carbonitrile;

(R)-2-Amino-3-(1H-indol-3-yl)propyl 5-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)-1H-indazole-1-carboxylate TFA salt;

5-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(3,4,5-trimethoxyphenyl)-1H-indazole-1-carboxamide;

N-(3,4-Dimethoxybenzyl)-5-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)-1H-indazole-1-carboxamide;

N-(2-(1H-Indol-3-yl)ethyl)-5-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)-1H-indazole-1-carboxamide;

N-(2-(Dimethylamino)ethyl)-5-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)-1H-indazole-1-carboxamide;

N-(3-(Dimethylamino)propyl)-5-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)-1H-indazole-1-carboxamide;

5-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(3-(2-oxopyrrolidin-1-yl)propyl)-1H-indazole-1-carboxamide;

5-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(2-(pyrrolidin-1-yl)ethyl)-1H-indazole-1-carboxamide;

5-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(3-(piperidin-1-yl)propyl)-1H-indazole-1-carboxamide;

5-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(3-morpholinopropyl)-1H-indazole-1-carboxamide;

N-(2-Aminoethyl)-5-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)-1H-indazole-1-carboxamide;

N-(3-Aminopropyl)-5-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)-1H-indazole-1-carboxamide;

(S)-2-((tert-Butoxycarbonyl)amino)-3-(1H-indol-3-yl)propyl 6-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,5-a]pyridine-3-carboxylate;

(R)-2-((tert-Butoxycarbonyl)amino)-3-(1H-indol-3-yl)propyl 6-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,5-a]pyridine-3-carboxylate;

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(S)-2-((tert-Butoxycarbonyl)amino)-3-(1H-indol-3-yl)propyl 7-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,5-a]pyridine-3-carboxylate;

(R)-2-((tert-Butoxycarbonyl)amino)-3-(1H-indol-3-yl)propyl 7-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,5-a]pyridine-3-carboxylate;

(S)-2-Amino-3-(1H-indol-3-yl)propyl 6-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,5-a]pyridine-3-carboxylate formic acid salt;

(R)-2-Amino-3-(1H-indol-3-yl)propyl 6-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,5-a]pyridine-3-carboxylate formic acid salt;

(S)-2-Amino-3-(1H-indol-3-yl)propyl 7-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,5-a]pyridine-3-carboxylate formic acid salt;

(R)-2-Amino-3-(1H-indol-3-yl)propyl 7-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,5-a]pyridine-3-carboxylateformic acid salt;

N-((1R,2R)-2-Aminocyclohexyl)-5-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)-1H-indazole-1-carboxamide hydrochloride salt;

N-((1S,2S)-2-Aminocyclohexyl)-5-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)-1H-indazole-1-carboxamide;

6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-((1-methylpiperidin-4-yl)methyl)imidazo[1,2-a]pyridine-3-carboxamide;

6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(piperidin-4-yl)imidazo[1,2-a]pyridine-3-carboxamide;

N-(3-(1H-Imidazol-1-yl)propyl)-6-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,2-a]pyridine-3-carboxamide;

6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(pyridin-4-yl)imidazo[1,2-a]pyridine-3-carboxamide;

5-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(1-methylpiperidin-4-yl)pyrazolo[1,5-a]pyridine-3-carboxamide;

5-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-((1-methylpiperidin-4-yl)methyl)pyrazolo[1,5-a]pyridine-3-carboxamide

6-(2-(2-Fluorophenyl)pyridin-3-yl)benzo[d]thiazole;

6-(2-(3-Fluorophenyl)pyridin-3-yl)benzo[d]thiazole;

6-(2-(4-Fluorophenyl)pyridin-3-yl)benzo[d]thiazole;

6-(2-(3,5-Difluorophenyl)pyridin-3-yl)benzo[d]thiazole;

6-(2-(3,4-Difluorophenyl)pyridin-3-yl)benzo[d]thiazole;

6-(2-(2,3-Difluorophenyl)pyridin-3-yl)benzo[d]thiazole;

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N-((1R,2R)-2-Aminocyclohexyl)-5-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)pyrazolo[1,5-a]pyridine-3-carboxamide;

N-((1S,2S)-2-Aminocyclohexyl)-5-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)pyrazolo[1,5-a]pyridine-3-carboxamide;

6-(2-(2,4-Difluorophenyl)pyridin-3-yl)benzo[d]thiazole;

6-(2-(3,4,5-Trifluorophenyl)pyridin-3-yl)benzo[d]thiazole;

6-(2-(2-Fluoro-5-methylphenyl)pyridin-3-yl)benzo[d]thiazole;

6-(2-(3-Chlorophenyl)pyridin-3-yl)benzo[d]thiazole;

6-(2-(3-Methoxyphenyl)pyridin-3-yl)benzo[d]thiazole;

3-(3-(Benzo[d]thiazol-6-yl)pyridin-2-yl)benzonitrile;

6-(2-(Benzo[d][1,3]dioxol-5-yl)pyridin-3-yl)benzo[d]thiazole;

5-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(3-morpholinopropyl)pyrazolo[1,5-a]pyridine-3-carboxamide;

N-(2-(Dimethylamino)ethyl)-5-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)pyrazolo[1,5-a]pyridine-3-carboxamide;

5-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(2-morpholinoethyl)pyrazolo[1,5-a]pyridine-3-carboxamide;

5-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(3-(pyrrolidin-1-yl)propyl)pyrazolo[1,5-a]pyridine-3-carboxamide;

5-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(3-(4-methylpiperazin-1-yl)propyl)pyrazolo[1,5-a]pyridine-3-carboxamide;

Ethyl 5-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)pyrazolo[1,5-a]pyridine-3-carboxylate;

5-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)pyrazolo[1,5-a]pyridine-3-carboxylic acid;

N-((1R,2R)-2-Aminocyclohexyl)-6-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,2-a]pyridine-3-carboxamide;

N-((1S,2S)-2-Aminocyclohexyl)-6-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,2-a]pyridine-3-carboxamide;

N-(2-Aminoethyl)-6-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,2-a]pyridine-3-carboxamide;

N-(3-Aminopropyl)-6-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,2-a]pyridine-3-carboxamide;

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N-(2-Aminoethyl)-5-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)pyrazolo[1,5-a]pyridine-3-carboxamide;

N-(3-Aminopropyl)-5-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)pyrazolo[1,5-a]pyridine-3-carboxamide;

Methyl 6-(2-(4--fluoro--3-methylphenyl)pyridin-3-yl)imidazo[1,2-a]pyridine-3-carboxylate;

6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)benzo[d]thiazole;

6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)imidazo[1,2-a]pyridine;

6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)quinoline;

6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)imidazo[1,2-a]pyridine-3-carbonitrile;

6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)quinoxaline;

2-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)-1,5-naphthyridine;

6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine;

6-(2-(2,4,5-Trifluorophenyl)pyridin-3-yl)benzo[d]thiazole;

6-(2-(2,4,5-Trifluorophenyl)pyridin-3-yl)imidazo[1,2-a]pyridine;

6-(2-(2,4,5-Trifluorophenyl)pyridin-3-yl)imidazo[1,2-a]pyridine-3-carbonitrile;

6-(2-(2,4,5-Trifluorophenyl)pyridin-3-yl)quinoline;

6-(2-(3-Chlorophenyl)pyridin-3-yl)imidazo[1,2-a]pyridine-3-carbonitrile;

6-(2-(3-Chlorophenyl)pyridin-3-yl)imidazo[1,2-a]pyridine;

6-(2-(3-Chlorophenyl)pyridin-3-yl)quinolone;

6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(1-isopropylpiperidin-4-yl)imidazo[1,2-a]pyridine-3-carboxamide;

5-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(1-isopropylpiperidin-4-yl)pyrazolo[1,5-a]pyridine-3-carboxamide;

6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(1,2,2,6,6-pentamethylpiperidin-4-yl)imidazo[1,2-a]pyridine-3-carboxamide;

5-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(1,2,2,6,6-pentamethylpiperidin-4-yl)pyrazolo[1,5-a]pyridine-3-carboxamide;

6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(3-hydroxypropyl)imidazo[1,2-a]pyridine-3-carboxamide;

6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(2-hydroxyethyl)imidazo[1,2-a]pyridine-3-carboxamide;

5-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(3-hydroxypropyl)pyrazolo[1,5-a]pyridine-3-carboxamide;

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5-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(2-hydroxyethyl)pyrazolo[1,5-a]pyridine-3-carboxamide;

6-(2-(3-Chlorophenyl)pyridin-3-yl)-N-(1-methylpiperidin-4-yl)imidazo[1,2-a]pyridine-3-carboxamide;

6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)-N-(1-methylpiperidin-4-yl)imidazo[1,2-a]pyridine-3-carboxamide;

N-(1-Methylpiperidin-4-yl)-6-(2-(2,4,5-Trifluorophenyl)pyridin-3-yl)imidazo[1,2-a]pyridine-3-carboxamide;

rac-6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(8-methyl-8-azabicyclo[3.2.1]octan-3-yl)imidazo[1,2-a]pyridine-3-carboxamide;

exo-6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(8-methyl-8-azabicyclo[3.2.1]octan-3-yl)imidazo[1,2-a]pyridine-3-carboxamide;

endo-6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(8-methyl-8-azabicyclo[3.2.1]octan-3-yl)imidazo[1,2-a]pyridine-3-carboxamide;

rac-5-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(8-methyl-8-azabicyclo[3.2.1]octan-3-yl)pyrazolo[1,5-a]pyridine-3-carboxamide;

exo-5-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(8-methyl-8-azabicyclo[3.2.1]octan-3-yl)pyrazolo[1,5-a]pyridine-3-carboxamide;

endo-5-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(8-methyl-8-azabicyclo[3.2.1]octan-3-yl)pyrazolo[1,5-a]pyridine-3-carboxamide;

6-(2-(5-Chloro-2-fluorophenyl)pyridin-3-yl)benzo[d]thiazole;

6-(2-(5-Chloro-2,4-difluorophenyl)pyridin-3-yl)benzo[d]thiazole;

6-(2-(5-Chloro-2-fluorophenyl)pyridin-3-yl)imidazo[1,2-a]pyridine-3-carbonitrile;

6-(2-(5-Chloro-2,4-difluorophenyl)pyridin-3-yl)imidazo[1,2-a]pyridine-3-carbonitrile;

6-(2-(5-Chloro-2-fluorophenyl)pyridin-3-yl)imidazo[1,2-a]pyridine;

6-(2-(5-Chloro-2-fluorophenyl)pyridin-3-yl)-N-(1-methylpiperidin-4-yl)imidazo[1,2-a]pyridine-3-carboxamide;

6-(2-(5-Chloro-2,4-difluorophenyl)pyridin-3-yl)-N-(1-methylpiperidin-4-yl)imidazo[1,2-a]pyridine-3-carboxamide;

N-(3-(2-Oxopyrrolidin-1-yl)propyl)-6-(2-(m-tolyl)pyridin-3-yl)imidazo[1,2-a]pyridine-3-carboxamide;

6-(2-(2-Fluoro-5-methylphenyl)pyridin-3-yl)-N-(3-(2-oxopyrrolidin-1-yl)propyl)imidazo[1,2-a]pyridine-3-carboxamide;

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6-(2-(3-Chlorophenyl)pyridin-3-yl)-N-(3-(2-oxopyrrolidin-1-yl)propyl)imidazo[1,2-a]pyridine-3-carboxamide;

6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)-N-(3-(2-oxopyrrolidin-1-yl)propyl)imidazo[1,2-a]pyridine-3-carboxamide;

N-(3-(2-Oxopyrrolidin-1-yl)propyl)-6-(2-(2,4,5-Trifluorophenyl)pyridin-3-yl)imidazo[1,2-a]pyridine-3-carboxamide;

6-(2-(5-Chloro-2-fluorophenyl)pyridin-3-yl)-N-(3-(2-oxopyrrolidin-1-yl)propyl)imidazo[1,2-a]pyridine-3-carboxamide;

6-(2-(5-Chloro-2,4-difluorophenyl)pyridin-3-yl)-N-(3-(2-oxopyrrolidin-1-yl)propyl)imidazo[1,2-a]pyridine-3-carboxamide;

7-(2-(2-Fluoro-5-methylphenyl)pyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine;

7-(2-(m-Tolyl)pyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine;

7-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine;

7-(2-(3-Chlorophenyl)pyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine;

7-(2-(5-Chloro-2,4-difluorophenyl)pyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine;

7-(2-(5-Chloro-2-fluorophenyl)pyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine ;

6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)pyrido[2,3-b]pyrazine;

6-(2-(3-Chlorophenyl)pyridin-3-yl)pyrido[2,3-b]pyrazine;

6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)pyrido[2,3-b]pyrazine;

6-(2-(2,4,5-Trifluorophenyl)pyridin-3-yl)pyrido[2,3-b]pyrazine;

6-(2-(5-Chloro-2-fluorophenyl)pyridin-3-yl)pyrido[2,3-b]pyrazine;

6-(2-(5-Chloro-2,4-difluorophenyl)pyridin-3-yl)pyrido[2,3-b]pyrazine;

N-((7R,8aS)-5,5-Dimethyloctahydroindolizin-7-yl)-6-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,2-a]pyridine-3-carboxamide;

N-((7R,8aS)-5,5-Dimethyloctahydroindolizin-7-yl)-5-(2-(4-difluoro-3-methylphenyl)pyridin-3-yl)pyrazolo[1,5-a]pyridine-3-carboxamide;

6-(2-(3-Chlorophenyl)pyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine;

6-(2-(5-Chloro-2-fluorophenyl)pyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine;

6-(2-(2-Fluoro-5-methylphenyl)pyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine;

6-(2-(5-Chloro-2,4-difluorophenyl)pyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine;

2-(5-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-1H-indazol-1-yl)acetamide;

2-(5-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-2H-indazol-2-yl)acetamide2-(6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-1H-indazol-1-yl)acetamide;

2-(6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)-1H-indazol-1-yl)acetamide;

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2-(6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-2H-indazol-2-yl)acetamide;

2-(6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)-2H-indazol-2-yl)acetamide;

5-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)pyrazolo[1,5-a]pyridine;

5-(2-(m-Tolyl)pyridin-3-yl)pyrazolo[1,5-a]pyridine;

5-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)pyrazolo[1,5-a]pyridine;

5-(2-(3-Chlorophenyl)pyridin-3-yl)pyrazolo[1,5-a]pyridine;

5-(2-(5-Chloro-2,4-difluorophenyl)pyridin-3-yl)pyrazolo[1,5-a]pyridine;

5-(2-(5-Chloro-2-fluorophenyl)pyridin-3-yl)pyrazolo[1,5-a]pyridine;

1-(6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)imidazo[1,2-a]pyridin-3-yl)ethan-1-one;

1-(6-(2-(3-Chlorophenyl)pyridin-3-yl)imidazo[1,2-a]pyridin-3-yl)ethan-1-one;

6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)-3-methylimidazo[1,2-a]pyridine;

6-(2-(3-Chlorophenyl)pyridin-3-yl)-3-methylimidazo[1,2-a]pyridine;

6-(2-(5-Chloro-2,4-difluorophenyl)pyridin-3-yl)-3-methylimidazo[1,2-a]pyridine;

6-(2-(5-Chloro-2-fluorophenyl)pyridin-3-yl)-3-methylimidazo[1,2-a]pyridine;

6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)-1-methyl-1H-benzo[d]imidazole;

6-(2-(3-Chlorophenyl)pyridin-3-yl)-1-methyl-1H-benzo[d]imidazole;

6-(2-(3-Chloro-5-fluorophenyl)pyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine;

6-(2-(2,5-Dichlorophenyl)pyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine;

6-(2-(3-Chloro-2-fluorophenyl)pyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine;

6-(2-(3-Chloro-2-methylphenyl)pyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine;

6-(2-(5-Chloro-2-methylphenyl)pyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine;

6-(2-(3-Chloro-5-methylphenyl)pyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine;

6-(2-(3,5-Dichlorophenyl)pyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine;

6-(2-(3,4-Dimethylphenyl)pyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine;

6-(2-(2,4-Dichlorophenyl)pyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine;

6-(2-(3-Methoxyphenyl)pyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine;

6-(2-(3-(Trifluoromethoxy)phenyl)pyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine;

6-(2-Phenylpyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine;

6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)-1H-benzo[d]imidazole;

6-(2-(5-Chloro-2,4-difluorophenyl)pyridin-3-yl)-1-methyl-1H-benzo[d]imidazole;

6-(2-(5-Chloro-2-fluorophenyl)pyridin-3-yl)-1-methyl-1H-benzo[d]imidazole;

6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-1H-indazol-3-amine;

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6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-1-methyl-1H-indazol-3-amine;

5-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-1H-indazol-3-amine;

5-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-1-methyl-1H-indazol-3-amine;

(S)-6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(quinuclidin-3-yl)imidazo[1,2-a]pyridine-3-carboxamide;

(R)-6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(quinuclidin-3-yl)imidazo[1,2-a]pyridine-3-carboxamide;

(S)-5-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(quinuclidin-3-yl)pyrazolo[1,5-a]pyridine-3-carboxamide;

(R)-5-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(quinuclidin-3-yl)pyrazolo[1,5-a]pyridine-3-carboxamide;

6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)benzo[d]isoxazol-3-amine;

5-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)benzo[d]isoxazol-3-amine;

5-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)benzo[d]isoxazol-3-amine;

6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)benzo[d]isoxazol-3-amine;

6-(2-(3-Chlorophenyl)pyridin-3-yl)benzo[d]isoxazol-3-amine;

5-(2-(3-Chlorophenyl)pyridin-3-yl)benzo[d]isoxazol-3-amine;

6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)-1H-indazol-3-amine;

5-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)-1H-indazol-3-amine;

6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-((tetrahydro-1H-pyrrolizin-7a(5H)-yl)methyl)imidazo[1,2-a]pyridine-3-carboxamide;

6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(2-(tetrahydro-1H-pyrrolizin-7a(5H)-yl)ethyl)imidazo[1,2-a]pyridine-3-carboxamide;

5-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-((tetrahydro-1H-pyrrolizin-7a(5H)-yl)methyl)pyrazolo[1,5-a]pyridine-3-carboxamide;

5-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(2-(tetrahydro-1H-pyrrolizin-7a(5H)-yl)ethyl)pyrazolo[1,5-a]pyridine-3-carboxamide;

6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)pyrido[2,3-d]pyrimidin-4-amine;

6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)pyrido[2,3-d]pyrimidin-4-amine;

6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-7-methylimidazo[1,2-a]pyridine;

6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-5-methyl-[1,2,4]triazolo[1,5-a]pyridine;

6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)-5-methyl-[1,2,4]triazolo[1,5-a]pyridine;

6-(2-(3-Chlorophenyl)pyridin-3-yl)-5-methyl-[1,2,4]triazolo[1,5-a]pyridine;

6-(2-(5-Chloro-2-fluorophenyl)pyridin-3-yl)-5-methyl-[1,2,4]triazolo[1,5-a]pyridine;

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6-(2-(5-Chloro-2,4-difluorophenyl)pyridin-3-yl)-5-methyl-[1,2,4]triazolo[1,5-a]pyridine;

6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-7-methyl-[1,2,4]triazolo[1,5-a]pyridine;

N-(2-Acetamidoethyl)-6-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,2-a]pyridine-3-carboxamide;

6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-N-(2-(2-oxooxazolidin-3-yl)ethyl)imidazo[1,2-a]pyridine-3-carboxamide;

6-(2-(5-Chloro-2-fluorophenyl)pyridin-3-yl)-4-methoxyquinazoline;

6-(2-(5-Chloro-2,4-difluorophenyl)pyridin-3-yl)-4-methoxyquinazoline;

6-(2-(5-Chloro-2-fluorophenyl)pyridin-3-yl)quinazolin-4(3H)-one;

6-(2-(5-Chloro-2,4-difluorophenyl)pyridin-3-yl)quinazolin-4(3H)-one;

2-(2,3-Dihydro-1H-inden-5-yl)-3,4'-bipyridine;

6-(2-(2,3-Dihydro-1H-inden-5-yl)pyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine;

6-(2-(2,3-Dihydro-1H-inden-5-yl)pyridin-3-yl)imidazo[1,2-a]pyridine-3-carbonitrile;

6-(2-(2,3-Dihydro-1H-inden-5-yl)pyridin-3-yl)imidazo[1,2-a]pyridine;

3-(Benzo[d][1,3]dioxol-5-yl)-2-(2,3-dihydro-1H-inden-5-yl)pyridine;

4-(2-(2,3-Dihydro-1H-inden-5-yl)pyridin-3-yl)quinolone;

6-(2-(2,3-Dihydro-1H-inden-5-yl)pyridin-3-yl)benzo[d]thiazole;

6-(2-(2,3-Dihydro-1H-inden-5-yl)pyridin-3-yl)quinoxaline;

5-([3,4'-Bipyridin]-2-yl)-2,3-dihydro-1H-inden-1-one2-(3-Methyl-1H-inden-6-yl)-3,4'-bipyridine;

rac-5-([3,4'-Bipyridin]-2-yl)-2,3-dihydro-1H-inden-1-ol;

(E/Z)-5-([3,4'-bipyridin]-2-yl)-2,3-dihydro-1H-inden-1-one oxime;

6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)quinolin-4-amine;

6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)quinolin-4-amine;

6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-4-methoxyquinoline;

6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)-4-methoxyquinoline;

6-(2-(5-Chloro-2-fluorophenyl)pyridin-3-yl)-4-methoxyquinoline;

6-(2-(3-Chlorophenyl)pyridin-3-yl)-4-methoxyquinoline;

6-(2-(5-Chloro-2,4-difluorophenyl)pyridin-3-yl)-4-methoxyquinoline;

6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)imidazo[1,2-a]pyridine-3-carboxamide;

6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)-3-(1H-1,2,4-triazol-5-yl)imidazo[1,2-a]pyridine;

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6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)-3-(1H-imidazol-2-yl)imidazo[1,2-a]pyridine;

6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)quinoline-4-carboxamide;

6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)quinoline-4-carboxamide;

6-(2-(3-Chlorophenyl)pyridin-3-yl)quinoline-4-carboxamide;

6-(2-(5-Chloro-2-fluorophenyl)pyridin-3-yl)quinoline-4-carboxamide;

6-(2-(5-Chloro-2,4-difluorophenyl)pyridin-3-yl)quinoline-4-carboxamide;

7-(2-(5-Chloro-2-fluorophenyl)pyridin-3-yl)-[1,2,4]triazolo[4,3-a]pyridine;

6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)-3-(1H-pyrazol-3-yl)imidazo[1,2-a]pyridine;

6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)-3-(1-methyl-1H-pyrazol-3-yl)imidazo[1,2-a]pyridine;

7-(2-(4-Fluoro-3-methylphenyl)-5-methoxypyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine;

7-(2-(3-Chlorophenyl)-5-methoxypyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine;

7-(2-(3-Chloro-4-fluorophenyl)-5-methoxypyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine;

7-(2-(5-Chloro-2,4-difluorophenyl)-5-methoxypyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine;

7-(2-(5-Chloro-2-fluorophenyl)-5-methoxypyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine;

7-(2-(3-((Difluoro-l3-methyl)-l2-fluoranyl)phenyl)-5-methoxypyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine;

7-(2-(3-Chloro-4-fluorophenyl)-5-fluoropyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine;

7-(2-(5-Chloro-2,4-difluorophenyl)-5-fluoropyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine;

7-(2-(5-Chloro-2-fluorophenyl)-5-fluoropyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine;

7-(2-(3-((Difluoro-l3-methyl)-l2-fluoranyl)phenyl)-5-fluoropyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine;

7-(2-(3-Chloro-4-fluorophenyl)-5-methylpyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine;

7-(2-(5-Chloro-2,4-difluorophenyl)-5-methylpyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine;

7-(2-(5-Chloro-2-fluorophenyl)-5-methylpyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine;

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7-(2-(3-((Difluoro-l3-methyl)-l2-fluoranyl)phenyl)-5-methylpyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine;

7-(2-(3-Chlorophenyl)-5-methylpyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine;

6-(2-(2,5-Dichlorophenyl)pyridin-3-yl)benzo[d]thiazole;

6-(2-(2,5-Dichlorophenyl)pyridin-3-yl)-1-methyl-1H-benzo[d]imidazole;

6-(2-(2,5-Dichlorophenyl)pyridin-3-yl)-1H-benzo[d]imidazole;

6-(2-(2,5-Dichlorophenyl)pyridin-3-yl)imidazo[1,2-a]pyridine;

7-(2-(2,5-Dichlorophenyl)pyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine;

7-(2-(2,4,5-Trifluorophenyl)pyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine;

7-(2-(3,4,5-Trifluorophenyl)pyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine;

7-(2-(2-Chloro-5-methylphenyl)pyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine;

7-(2-(4,5-Difluoro-2-methylphenyl)pyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine;

7-(2-(2-Methyl-5-(trifluoromethyl)phenyl)pyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine;

7-(2-(3-(Trifluoromethyl)phenyl)pyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine;

7-(2-(3-Methoxyphenyl)pyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine;

7-(2-(5-Chloro-2-methoxyphenyl)pyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine;

7-(2-(3-(Trifluoromethoxy)phenyl)pyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine;

7-(2-(3-(Difluoromethoxy)phenyl)pyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine;

7-(2-(2-Fluoro-5-(trifluoromethoxy)phenyl)pyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine;

6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)-N-(2,2,6,6-tetramethylpiperidin-4-yl-1-oxy)quinazolin-4-amine;

6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)-N-methylquinazolin-4-amine;

7-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)-1-methylquinoxalin-2(1H)-one;

7-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-1-methylquinoxalin-2(1H)-one;

7-(2-(5-Chloro-2-fluorophenyl)pyridin-3-yl)-1-methylquinoxalin-2(1H)-one;

7-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)quinoxalin-2(1H)-one;

7-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)quinoxalin-2(1H)-one;

7-(2-(5-Chloro-2-fluorophenyl)pyridin-3-yl)quinoxalin-2(1H)-one;

7-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)-2H-benzo[e][1,2,4]thiadiazine 1,1-dioxide;

7-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-2H-benzo[e][1,2,4]thiadiazine 1,1-dioxide;

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7-(2-(5-Chloro-2-fluorophenyl)pyridin-3-yl)-2H-benzo[e][1,2,4]thiadiazine 1,1-dioxide;

5-(2-(3-Cyclopropyl-4-fluorophenyl)pyridin-3-yl)pyrazolo[1,5-a]pyrimidine;

Ethyl 5-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)pyrazolo[1,5-a]pyrimidine-3-carboxylate;

Ethyl 5-(2-(3-chloro-4-fluorophenyl)pyridin-3-yl)pyrazolo[1,5-a] pyrimidine-3-carboxylate;

5-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)-N-(1-methylpiperidin-4-yl)pyrazolo[1,5-a]pyrimidine-3-carboxamide;

5-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)pyrazolo[1,5-a]pyrimidine-3-carbonitrile;

5-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)pyrazolo[1,5-a]pyrimidine-3-carbonitrile;

5-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)pyrazolo[1,5-a]pyrimidine;

5-(2-(m-Tolyl)pyridin-3-yl)pyrazolo[1,5-a]pyrimidine;

5-(2-(3-Cyclopropylphenyl)pyridin-3-yl)pyrazolo[1,5-a]pyrimidine;

5-(2-(3-(Trifluoromethyl)phenyl)pyridin-3-yl)pyrazolo[1,5-a]pyrimidine;

5-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)pyrazolo[1,5-a]pyrimidine;

5-(2-(2,4,5-Trifluorophenyl)pyridin-3-yl)pyrazolo[1,5-a]pyrimidine;

5-(2-(3-Chlorophenyl)pyridin-3-yl)pyrazolo[1,5-a]pyrimidine;

5-(2-(5-Chloro-2-fluorophenyl)pyridin-3-yl)pyrazolo[1,5-a]pyrimidine;

5-(2-(5-Chloro-2,4-difluorophenyl)pyridin-3-yl)pyrazolo[1,5-a]pyrimidine;

5-(2-(2,5-Dichlorophenyl)pyridin-3-yl)pyrazolo[1,5-a]pyrimidine;

5-(2-(3-(Difluoromethoxy)phenyl)pyridin-3-yl)pyrazolo[1,5-a]pyrimidine;

6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyrimidine;

6-(2-(m-Tolyl)pyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyrimidine;

6-(2-(3-Cyclopropylphenyl)pyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyrimidine;

6-(2-(3-(Trifluoromethyl)phenyl)pyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyrimidine;

6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,2-a]pyrimidine;

6-(2-(m-Tolyl)pyridin-3-yl)imidazo[1,2-a]pyrimidine;

6-(2-(3-Cyclopropylphenyl)pyridin-3-yl)imidazo[1,2-a]pyrimidin;

6-(2-(3-(Trifluoromethyl)phenyl)pyridin-3-yl)imidazo[1,2-a]pyrimidine;

6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)-7-methylimidazo[1,2-a]pyridine;

6-(2-(3-Chlorophenyl)pyridin-3-yl)-7-methylimidazo[1,2-a]pyridine;

6-(2-(5-Chloro-2-fluorophenyl)pyridin-3-yl)-7-methylimidazo[1,2-a]pyridine;

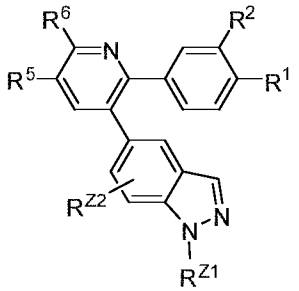
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6-(2-(5-Chloro-2,4-difluorophenyl)pyridin-3-yl)-7-methylimidazo[1,2-a]pyridine;
6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,2-b]pyridazine;
6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)imidazo[1,2-b]pyridazine;
6-(2-(3-Chlorophenyl)pyridin-3-yl)imidazo[1,2-b]pyridazine;
6-(2-(5-Chloro-2-fluorophenyl)pyridin-3-yl)imidazo[1,2-b]pyridazine;
6-(2-(5-Chloro-2,4-difluorophenyl)pyridin-3-yl)imidazo[1,2-b]pyridazine;
8-Fluoro-6-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)-[1,2,4]triazolo[1,5-a]pyridine;
6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)-8-fluoro-[1,2,4]triazolo[1,5-a]pyridine;
6-(2-(3-Chlorophenyl)pyridin-3-yl)-8-fluoro-[1,2,4]triazolo[1,5-a]pyridine;
6-(2-(5-Chloro-2-fluorophenyl)pyridin-3-yl)-8-fluoro-[1,2,4]triazolo[1,5-a]pyridine;
7-Chloro-6-(2-(4-fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,2-a]pyridine;
7-Chloro-6-(2-(3-chloro-4-fluorophenyl)pyridin-3-yl)imidazo[1,2-a]pyridine;
7-Chloro-6-(2-(3-chlorophenyl)pyridin-3-yl)imidazo[1,2-a]pyridine;
7-Chloro-6-(2-(5-chloro-2-fluorophenyl)pyridin-3-yl)imidazo[1,2-a]pyridine;
6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)imidazo[1,2-b]pyridazine-3-carbonitrile;
6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)imidazo[1,2-b]pyridazine-3-carbonitrile;
6-(2-(3-Chlorophenyl)pyridin-3-yl)imidazo[1,2-b]pyridazine-3-carbonitrile;
6-(2-(5-Chloro-2-fluorophenyl)pyridin-3-yl)imidazo[1,2-b]pyridazine-3-carbonitrile;
6-(2-(5-Chloro-2,4-difluorophenyl)pyridin-3-yl)imidazo[1,2-b]pyridazine-3-carbonitrile;
6-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-[1,2,4]triazolo[1,5-b]pyridazine;
6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)-[1,2,4]triazolo[1,5-b]pyridazine;
6-(2-(3-Chlorophenyl)pyridin-3-yl)-[1,2,4]triazolo[1,5-b]pyridazine;
6-(2-(5-Chloro-2-fluorophenyl)pyridin-3-yl)-[1,2,4]triazolo[1,5-b]pyridazine;
6-(2-(5-Chloro-2,4-difluorophenyl)pyridin-3-yl)-[1,2,4]triazolo[1,5-b]pyridazine;
7-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)-2-methyl-2H-benzo[e][1,2,4]thiadiazine 1,1-dioxide;
7-(2-(4-Fluoro-3-methylphenyl)pyridin-3-yl)-2-methyl-2H-benzo[e][1,2,4]thiadiazine 1,1-dioxide;
7-(2-(5-Chloro-2-fluorophenyl)pyridin-3-yl)-2-methyl-2H-benzo[e][1,2,4]thiadiazine 1,1-dioxide;
6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)pyrido[3,2-d]pyrimidin-4(3H)-one;
6-(2-(3-(Difluoromethoxy)phenyl)pyridin-3-yl)benzo[d]thiazole;
6-(2-(3-(Difluoromethoxy)phenyl)pyridin-3-yl)quinoxaline;

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6-(2-(3-(Difluoromethoxy)phenyl)pyridin-3-yl)-1-methyl-1H-benzo[d]imidazole;
6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)pyrido[3,2-d]pyrimidin-4-amine;
5-(2-(3-Chloro-2,4-difluorophenyl)pyridin-3-yl)pyrazolo[1,5-a]pyrimidine;
6-(2-(3-Chloro-2,4-difluorophenyl)pyridin-3-yl)quinoxaline; or
6-(2-(3-Chloro-2,4-difluorophenyl)pyridin-3-yl)-1-methyl-1H-benzo[d]imidazole;
6-(2-(3-chloro-4-fluorophenyl)pyridin-3-yl)-4-methoxypyrido[3,2-d]pyrimidine;
6-(2-(3-Chloro-4-fluorophenyl)pyridin-3-yl)-7-methyl-[1,2,4]triazolo[1,5-a]pyridine;
6-(2-(3-Chlorophenyl)pyridin-3-yl)-7-methyl-[1,2,4]triazolo[1,5-a]pyridine;
6-(2-(5-Chloro-2-fluorophenyl)pyridin-3-yl)-7-methyl-[1,2,4]triazolo[1,5-a]pyridine;
6-(2-(5-Chloro-2,4-difluorophenyl)pyridin-3-yl)-7-methyl-[1,2,4]triazolo[1,5-a]pyridine;
4-(6-Methyl-[2,3'-bipyridin]-2'-yl)quinoline;
6-(2-(3-Chloro-2,4-difluorophenyl)pyridin-3-yl)benzo[d]thiazole;
or a pharmaceutically acceptable salt thereof.

13. The compound of claim 1 according to the formula,



or a pharmaceutically acceptable salt thereof.

14. A pharmaceutical composition comprising a compound or pharmaceutically acceptable salt according to any one of claims 1 – 13 and a pharmaceutically acceptable carrier, excipient, or diluent.

15. A method for inhibiting GDF-8 in a cell comprising contacting the cell with an effective amount of a compound or pharmaceutically acceptable salt according to any one of claims 1 – 13 or a pharmaceutical composition according to claim 14.

16. A method for treating a patient suffering from a disease or disorder that would be improved or alleviated by inhibition of GDF-8, wherein the patient would therapeutically benefit from an increase in mass or strength of muscle tissue, comprising administering to a patient a therapeutically effective amount of a

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compound or pharmaceutically acceptable salt according to any one of claims 1 – 13 or a pharmaceutical composition according to claim 14.

17. The method of claim 16, wherein the disease or disorder is a muscular disorder, adipose tissue disorder, neuromuscular disorders, metabolic disorder, diabetes, or bone degenerative disorder.

18. The method of claim 16, wherein the disease or disorder is a muscular disorder.

19. The method of claim 16, wherein the disease or disorder is muscular dystrophy, muscle atrophy, congestive obstructive pulmonary disease, muscle wasting syndrome, sarcopenia, or cachexia.

20. The method of claim 16, wherein the disease or disorder is muscular dystrophy.

21. The method of claim 16, wherein the disease or disorder is obesity, type 2 diabetes, impaired glucose tolerance, syndrome X, insulin resistance induced by trauma, or osteoporosis.

22. The method of claim 16, wherein the disease or disorder is osteoporosis.

23. The method of claim 16, wherein the disease or disorder is low bone mass due to chronic glucocorticoid therapy, premature gonadal failure, androgen suppression, vitamin D deficiency, secondary hyperparathyroidism, nutritional deficiencies, and anorexia nervosa.

24. The method of any one of claims 16-23, wherein the subject is a mammal.

25. The method of claim 24, wherein the mammal is a human.