



(51) International Patent Classification:

C07D 401/04 (2006.01) C07D 403/04 (2006.01)

A61K 31/4439 (2006.01) C07D 249/16 (2006.01)

A61K 31/497 (2006.01) A61P 29/00 (2006.01)

A61K 31/4196 (2006.01) A61P 1/16 (2006.01)

(21) International Application Number:

PCT/IB2019/052276

(22) International Filing Date:

20 March 2019 (20.03.2019)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

10-2018-0032554 21 March 2018 (21.03.2018) KR

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(81) Designated States (unless otherwise indicated, for every

kind of national protection available): AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BN, BR, BW, BY, BZ, CA, CH, CL, CN, CO, CR, CU, CZ, DE, DJ, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IR, IS, JO, JP, KE, KG, KH, KN, KP, KR, KW, KZ, LA, LC, LK, LR, LS, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PA, PE, PG, PH, PL, PT, QA, RO, RS, RU, RW, SA,

SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TH, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW.

(84) Designated States (unless otherwise indicated, for every

kind of regional protection available): ARIPO (BW, GH, GM, KE, LR, LS, MW, MZ, NA, RW, SD, SL, ST, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, RU, TJ, TM), European (AL, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, RS, SE, SI, SK, SM, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, KM, ML, MR, NE, SN, TD, TG).

Declarations under Rule 4.17:

- as to applicant's entitlement to apply for and be granted a patent (Rule 4.17(ii))
- as to the applicant's entitlement to claim the priority of the earlier application (Rule 4.17(iii))

Published:

- with international search report (Art. 21(3))
- before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments (Rule 48.2(h))
- in black and white; the international application as filed contained color or greyscale and is available for download from PATENTSCOPE

(54) Title: NOVEL ARYL OR HETEROARYL TRIAZOLONE DERIVATIVES OR SALTS THEREOF, OR PHARMACEUTICAL COMPOSITIONS COMPRISING THE SAME

(57) Abstract: The present technology provides aryl or heteroaryl triazolone derivatives or pharmaceutically acceptable salts thereof, preparation processes thereof, pharmaceutical compositions comprising the same, and the use thereof. The aryl or heteroaryl triazolone derivatives or their pharmaceutically acceptable salts exhibit selective inhibitory activity on VAP-1 and therefore can be usefully applied, e.g., for the treatment and prophylaxis of nonalcoholic hepatosteatosis (NASH).

**NOVEL ARYL OR HETEROARYL TRIAZOLONE DERIVATIVES OR SALTS
THEREOF, OR PHARMACEUTICAL COMPOSITIONS COMPRISING THE SAME**

CROSS-REFERENCE TO RELATED APPLICATIONS

[0001] This application claims the benefit of priority of Korean Patent Application No. 10-2018-0032554, filed March 21, 2018, which is incorporated by reference herein in its entirety.

FIELD

[0002] The present technology relates to aryl or heteroaryl triazolone derivatives or pharmaceutically acceptable salts thereof having inhibitory activity on vascular adhesion protein (VAP-1), a process for the preparation thereof, a pharmaceutical composition comprising the same, and uses thereof.

BACKGROUND

[0003] Vascular adhesion protein-1 (VAP-1) is a semicarbazide-sensitive amine oxidase (SSAO), which is abundantly present in human plasma. VAP-1 is an ectoenzyme comprising a short cytoplasmic tail, a single transmembrane domain, and an extracellular domain with large and high glycosylation containing the center of activity. In addition, VAP-1 exists not only as a membrane-bound form in the endothelium, but also as a soluble form in serums (soluble VAP-1, sVAP-1). This form was shown to be a product cleaved from the membrane-bound VAP-1, and appears to have similar properties as the tissue-bound form. It has been also reported that VAP-1 is normally stored in intracellular granules within endothelial cells, but when an inflammatory response is evoked in response to inflammatory stimuli, it is translocated onto the cell membrane, and its expression is upregulated, and therefore, it is expressed more strongly in inflamed tissues than in normal tissues.

[0004] Substrates for VAP-1 include endogenous methylamine and aminoacetone as well as some xenobiotic amines such as tyramine and benzylamine.

[0005] VAP-1 has two physiological functions: the first is amine oxidase activity stated earlier in this section, and the second is cell adhesion activity. Due to these two activities, VAP-1 has been shown to play a key role in the leakage of inflammatory cells as it acts as an

adhesion protein for leukocytes in inflamed sites [*Trends Immunol.* (2001) 22: 211]. VAP-1-deficient transgenic mice are healthy, develop normally, and fertile, and phenotypically normal, but exhibit a marked decrease in the inflammatory responses evoked in response to various inflammatory stimuli [*Immunity.* (2005) 22: 105].

[0006] In addition, inhibitory activity of VAP-1 in multiple animal models of human diseases (e.g., carrageenan-induced paw inflammation, oxazolone-induced colitis, lipopolysaccharide-induced lung inflammation, collagen-induced arthritis, endotoxin-induced uveitis) by the use of antibodies or small molecules has been shown to prevent leukocyte from rolling, adhering, and leaking, and reduce levels of inflammatory cytokines and chemokines, thereby reducing the severity of the disease [*Eur J Immunol.* (2005) 35: 3119; *J Pharmacol Exp Ther.* (2005) 315: 553; *Annu Rep Med Chem.* (2007) 42: 229; *FASEB J.* (2008) 22: 1094]. Inflammation is the first reaction of the immune system to infection or stimulus and in such a process, the movement of leukocytes into the tissue through circulation is an important step. The leukocytes are first bound to adhesion proteins and then adhered to the endothelium before they start to pass through blood vessel walls. VAP-1 is highly expressed in endothelial venules (HEV) such as high endothelial venules in lymphoid organs, as well as hepatic sinusoidal endothelial cells, (HSEC), smooth muscle cells, and adipocytes. The VAP-1 expression on the cell surface of endothelial cells is strictly regulated and is increased during inflammation. VAP-1 activates NF- κ B when it is present in the substrate, and the NF- κ B is activated within the HSEC while E-selectin and chemokine IL-8 that are other adhesion molecules are upregulated *ex vivo*. This suggests that VAP-1 may be a key factor for the regulation of the inflammatory response, and it seems therefore likely that VAP-1 inhibitors may be effective anti-inflammatory drugs in a wide range of human diseases.

[0007] Nonalcoholic fatty liver disease (NAFLD), histologically, encompasses simple steatosis, nonalcoholic hepatosteatosis (NASH), and liver cirrhosis. Among these, unlike simple steatosis (non-alcoholic fatty liver, NAFL), NASH potentially progresses to liver cirrhosis and hepatoma (hepatocellular carcinoma). In NASH, insulin resistance is known to play an important role in the progression of disease, along with oxidative stress, inflammatory cascade, and fibrosis. In patients with NAFLD, sVAP-1 levels were found to be elevated, and in VAP-1 knockout (K/O) mice, carbon tetrachloride-induced liver fibrosis was

reduced compared with that in wild type animals. In addition, improvement of liver fibrosis by VAP-1 inhibition following administration of VAP-1 antibody was identified by histological changes [*J Clin Invest* (2015) 125: 501]. Thus, VAP-1 was found to be associated with NASH in clinical studies and animal models of diseases. Inhibitory activity of VAP-1 in the carbon tetrachloride-induced animal model appears to be due to a reduction in infiltration of leukocytes such as T cells, B cells, NKT cells, and NK cells observed in liver fibrosis, and VAP-1 inhibitors have the potential for treating fibrotic diseases.

[0008] Thus, a substance that inhibits VAP-1 may be applied to prevention and treatment of various inflammatory diseases and fibrotic diseases.

SUMMARY

[0009] The present inventors found that specific aryl or heteroaryl triazolone derivatives having fluoroallylamine groups or their pharmaceutically acceptable salts exhibit selective inhibitory activity on VAP-1. Therefore, the aryl or heteroaryl triazolone derivatives and their salts can be usefully used in the treatment and prophylaxis of various VAP-1 mediated disease, for example, nonalcoholic hepatosteatosis (NASH).

[0010] Therefore, the present technology provides the aryl or heteroaryl triazolone derivatives or their pharmaceutically acceptable salts, preparation processes thereof, pharmaceutical compositions comprising the same, and the use thereof.

[0011] In accordance with one aspect of the present technology, there is provided an aryl or heteroaryl triazolone derivative or its pharmaceutically acceptable salt.

[0012] In accordance with another aspect of the present technology, there is provided a preparation process of the aryl or heteroaryl triazolone derivative.

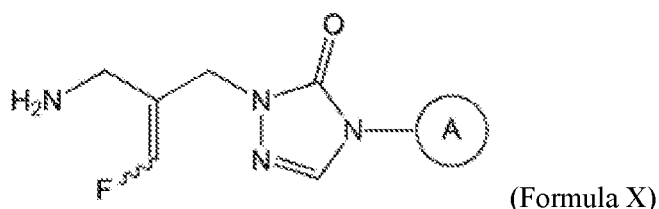
[0013] In accordance with another aspect of the present technology, there is provided a pharmaceutical composition comprising the aryl or heteroaryl triazolone derivative as an active ingredient.

[0014] In accordance with another aspect of the present technology, there is provided a method of treatment comprising administering the aryl or heteroaryl triazolone derivative.

[0015] In accordance with another aspect of the present technology, there is provided the use of the aryl or heteroaryl triazolone derivative or its pharmaceutically acceptable salt in the manufacture of a medicament for selective inhibition of vascular adhesion protein-1.

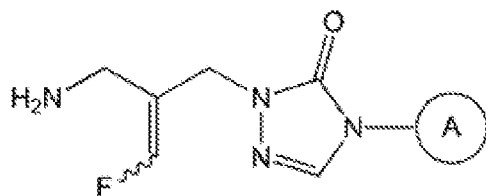
[0016] It was found by the present technology that specific aryl or heteroaryl triazolone derivatives having fluoroallylamine groups, or their pharmaceutically acceptable salts, exhibit selective inhibitory activity on VAP-1. Therefore, the compounds according to the present technology or pharmaceutically acceptable salts thereof can be usefully applied for the treatment and prophylaxis of VAP-1 mediated various diseases, for example, nonalcoholic hepatosteatosis (NASH).

[0017] In another aspect, provided herein are compounds of Formula X



or an isomer thereof, or a pharmaceutically acceptable salt thereof; wherein A is an aryl or heteroaryl group, said heteroaryl group has 1 to 5 heteroatom ring members chosen from O, N, or S, and said aryl or heteroaryl group is optionally substituted with one to three substituents chosen from C₁₋₃ alkyl, C₁₋₃ alkoxy, halogen, benzyloxy, -R, -CH₂-R, -CH=CH-R, and -C≡C-R; and R is substituted or unsubstituted cyclic ring, optionally containing 1 to 5 heteroatom ring members chosen from O, N, or S, and the cyclic ring is aromatic or non-aromatic. In some embodiments, A is selected from phenyl, naphthalene, pyridine, pyrimidine, pyrazine, triazine, thiazole, thiophene, pyrrole, pyrazole, imidazole, triazole, tetrazole, furan, oxazole, isoxazole, oxadiazole, and thiadiazole. In some embodiments, A is selected from phenyl, pyridine, pyrazine, and thiazole.

[0018] In another aspect, provided herein are compounds of Formula 1 below

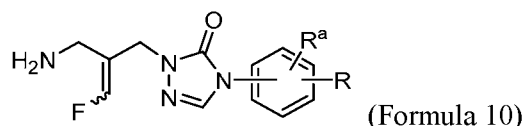


(Formula 1)

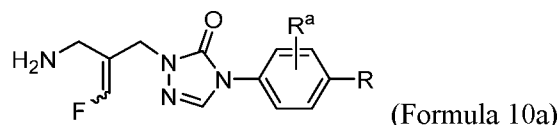
or an isomer thereof, or a pharmaceutically acceptable salt thereof; wherein A is an aryl or heteroaryl group selected from the group consisting of phenyl, pyridine, pyrazine, and thiazole, wherein said aryl or heteroaryl group is optionally substituted with one to three substituents selected from the group consisting of C₁₋₃ alkyl, C₁₋₃ alkoxy, halogen, benzyloxy, -R, -CH₂-R, -CH=CH-R, and -C≡C-R, wherein said R is a cyclic ring selected from the group consisting of benzene, phenylbenzene, pyridine, tetrahydropyridine, pyridin-2-one, pyrimidine, thiophene, thiazole, imidazole, pyrazole, piperazine, morpholine, benzodioxole, benzoxadiazole, benzothiophene, benzothiazole, 2,3-dihydro-benzodioxine, indazole, indole, 1,3-dihydroindol-2-one, 1,2-dihydroindol-3-one, quinoline, isoquinoline, quinolin-2-one, 3,4-dihydroquinolin-2-one, 3,4-dihydro-1,4-benzoxazine, 1,4-benzoxazin-3-one, 3,1-benzoxazin-2-one, 2,3-dihydro-imidazo[4,5-b]pyridine, oxazolo[4,5-b]pyridin-2-one, 2,3-dihydro-pyrido[2,3-b][1,4]oxazine, 3,4-dihydro-pyrido[3,2-b][1,4]oxazine, pyrido[2,3-b][1,4]oxazin-2-one, pyrido[3,2-b][1,4]oxazin-3-one, and dibenzo[b,d]furan, wherein said cyclic ring is optionally substituted with one or two substituents selected from the group consisting of hydroxy, halogen, C₁₋₆ alkyl, trifluoromethyl, C₁₋₆ alkoxy, trifluoromethoxy, amino, mono- or di-C₁₋₆ alkylamino, C₁₋₆ alkylcarbonylamino, C₁₋₆ alkylthio, mono- or di-C₁₋₆ alkylaminosulfonyl, C₁₋₆ alkylsulfonyl, C₁₋₆ alkylcarbonyl, morpholinylcarbonyl, benzodioxolyl, pyrrolidinyl, piperazinyl, acetylpiperazinyl, morpholinyl, tetrahydropyranyl, triazolyl, tetrazolyl, oxazolyl, oxadiazolyl, cyclopropyl-oxadiazolyl, C₁₋₆ alkyl-oxadiazolyl, and oxadiazol-5-onyl. In some embodiments, A is pyridine. In some embodiments, said aryl or heteroaryl group is substituted with one or two substituents selected from the group consisting of C₁₋₃ alkyl, halogen, -R, and -C≡C-R. In some embodiments, said R is a cyclic ring selected from the group consisting of benzene, pyridine, and pyrazole. In some embodiments, said cyclic ring is unsubstituted; or substituted with a substituent selected from the group consisting of C₁₋₆ alkyl, trifluoromethyl, and oxazolyl. In some embodiments, A is pyridine, wherein said pyridine is substituted with one or two substituents selected from the group consisting of C₁₋₃ alkyl, halogen, -R, and -C≡C-R, wherein said R is a cyclic ring

selected from the group consisting of benzene, pyridine, and pyrazole, wherein said cyclic ring is unsubstituted; or substituted with a substituent selected from the group consisting of C₁₋₆ alkyl, trifluoromethyl, and oxazolyl. In some embodiments, the compound is selected from Table 1, or an isomer thereof, or a pharmaceutically acceptable salt thereof.

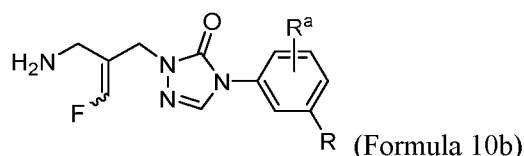
[0019] In another aspect, provided herein are compounds of Formula 10:



or an isomer thereof, or a pharmaceutically acceptable salt thereof, wherein R is a substituted or unsubstituted cyclic ring, optionally containing at least one heteroatom, and the cyclic ring is aromatic or non-aromatic; and R^a is hydrogen, C₁₋₃ alkyl, C₁₋₃ alkoxy, or halogen. In some embodiments, the compound is of Formula 10a:

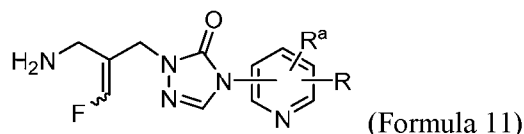


or an isomer thereof, or a pharmaceutically acceptable salt thereof. In some embodiments, the compound is of Formula 10b:



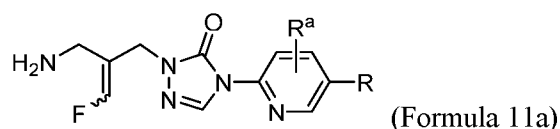
or an isomer thereof, or a pharmaceutically acceptable salt thereof.

[0020] In another aspect, provided herein are compounds of Formula 11:

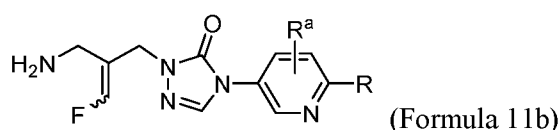


or an isomer thereof, or a pharmaceutically acceptable salt thereof, wherein R is a substituted or unsubstituted cyclic ring, optionally containing at least one heteroatom, and the cyclic ring

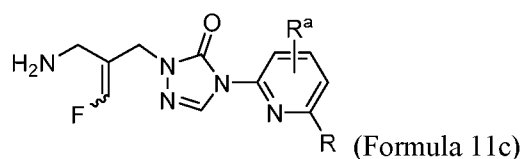
is aromatic or non-aromatic; and R^a is hydrogen, C_{1-3} alkyl, C_{1-3} alkoxy, or halogen. In some embodiments, the compound is of Formula 11a:



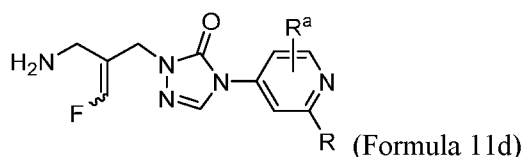
or an isomer thereof, or a pharmaceutically acceptable salt thereof. In some embodiments, the compound is of Formula 11b:



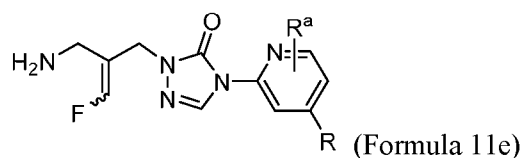
or an isomer thereof, or a pharmaceutically acceptable salt thereof. In some embodiments, the compound is of Formula 11c:



or an isomer thereof, or a pharmaceutically acceptable salt thereof. In some embodiments, the compound is of Formula 11d:

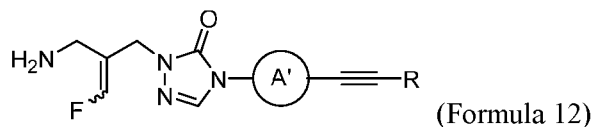


or an isomer thereof, or a pharmaceutically acceptable salt thereof. In some embodiments, the compound is of Formula 11e:



or an isomer thereof, or a pharmaceutically acceptable salt thereof.

[0021] In another aspect, provided herein are compounds of Formula 12:



or an isomer thereof, or a pharmaceutically acceptable salt thereof, wherein A' is pyridine; and R is a substituted or unsubstituted cyclic ring, optionally containing at least one heteroatom, and the cyclic ring is aromatic or non-aromatic.

[0022] In some embodiments of the compound of Formulae X, 10, 10a, 10b, 11, 11a, 11b, 11c, 11d, 11e, and 12, R is selected from the group consisting of benzene, phenylbenzene, pyridine, tetrahydropyridine, pyridin-2-one, pyrimidine, thiophene, thiazole, imidazole, pyrazole, piperazine, morpholine, benzodioxole, benzoxadiazole, benzothiophene, benzothiazole, 2,3-dihydro-benzodioxine, indazole, indole, 1,3-dihydroindol-2-one, 1,2-dihydroindol-3-one, quinoline, isoquinoline, quinolin-2-one, 3,4-dihydroquinolin-2-one, 3,4-dihydro-1,4-benzoxazine, 1,4-benzoxazin-3-one, 3,1-benzoxazin-2-one, 2,3-dihydro-imidazo[4,5-b]pyridine, oxazolo[4,5-b]pyridin-2-one, 2,3-dihydro-pyrido[2,3-b][1,4]oxazine, 3,4-dihydro-pyrido[3,2-b][1,4]oxazine, pyrido[2,3-b][1,4]oxazin-2-one, pyrido[3,2-b][1,4]oxazin-3-one, and dibenzo[b,d]furan; and R is optionally substituted with one or two substituents selected from the group consisting of hydroxy, halogen, C₁₋₆ alkyl, trifluoromethyl, C₁₋₆ alkoxy, trifluoromethoxy, amino, mono- or di- C₁₋₆ alkylamino, C₁₋₆ alkylcarbonylamino, C₁₋₆ alkylthio, mono- or di- C₁₋₆ alkylaminosulfonyl, C₁₋₆ alkylsulfonyl, C₁₋₆ alkylcarbonyl, morpholinylcarbonyl, benzodioxolyl, pyrrolidinyl, piperazinyl, acetyl piperazinyl, morpholinyl, tetrahydropyranyl, triazolyl, tetrazolyl, isoxazolyl, oxazolyl, oxadiazolyl, cyclopropyl-oxadiazolyl, C₁₋₆ alkyl-oxadiazolyl, and oxadiazol-5-onyl.

[0023] In another aspect, provided herein are pharmaceutical compositions comprising a compound disclosed herein and at least one pharmaceutically acceptable excipient.

[0024] In another aspect, provided herein are methods of selectively inhibiting vascular adhesion protein (VAP-1), comprising administering, to a mammal, a therapeutically effective amount of a compound disclosed herein.

[0025] In another aspect, provided herein are methods of treating NASH in a subject in

need thereof, the method comprising administering to the subject a therapeutically effective amount of a compound disclosed herein, or a therapeutically effective amount of a pharmaceutical composition disclosed herein.

[0026] In another aspect, provided herein are uses of a compound disclosed herein for the manufacture of a medicament for the treatment of NASH.

[0027] In another aspect, provided herein are compounds disclosed herein for use in treating NASH.

[0028] In another aspect, provided herein are compositions disclosed herein for use in treating NASH.

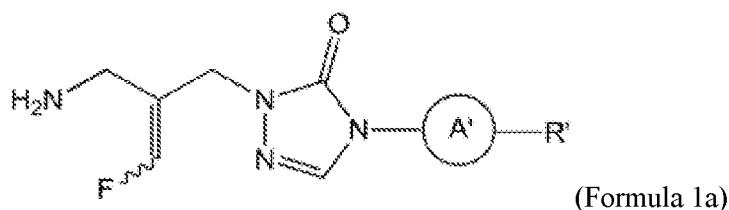
[0029] In another aspect, provided herein are compounds disclosed herein for use in selectively inhibiting VAP-1.

[0030] In another aspect, provided herein are compositions disclosed herein for use in selectively inhibiting VAP-1.

[0031] In another aspect, provided herein are methods of treating a disease mediated by VAP-1 in a subject in need thereof, the method comprising administering to the subject a therapeutically effective amount of a compound disclosed herein or a therapeutically effective amount of a pharmaceutical composition disclosed herein. In some embodiments, the disease mediated by VAP-1 is selected from the group consisting of lipid and lipoprotein disorders, conditions and diseases which result from chronic fatty and fibrotic degeneration of organs due to accumulated lipid and specifically triglyceride accumulation and subsequent activation of profibrotic pathways, Type I or Type II Diabetes and clinical complications of Type I and Type II Diabetes, chronic intrahepatic or some forms of extrahepatic cholestatic conditions, liver fibrosis, acute intrahepatic cholestatic conditions, obstructive or chronic inflammatory disorders that arise out of improper bile composition, gastrointestinal conditions with a reduced uptake of dietary fat and fat-soluble dietary vitamins, inflammatory bowel diseases, obesity and metabolic syndrome (combined conditions of dyslipidemia, diabetes and abnormally high body-mass index), persistent infections by intracellular bacteria or parasitic protozoae, non-malignant hyperproliferative disorders, malignant hyperproliferative disorders, colon adenocarcinoma and hepatocellular carcinoma in

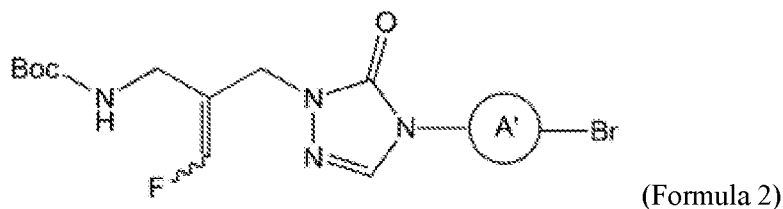
particular, liver steatosis and associated syndromes, Hepatitis B infection, Hepatitis C infection and/or of cholestatic and fibrotic effects that are associated with alcohol-induced cirrhosis or with viral-borne forms of hepatitis, liver failure or liver malfunction as an outcome of chronic liver diseases or of surgical liver resection, acute myocardial infarction, acute stroke, thrombosis which occurs as an endpoint of chronic obstructive atherosclerosis, osteoarthritis, rheumatoid arthritis, psoriasis, and cerebral infarction, individually or any combination thereof.

[0032] In another aspect, provided herein are methods of preparing a compound of Formula 1a, or an isomer thereof, or a pharmaceutically acceptable salt thereof,



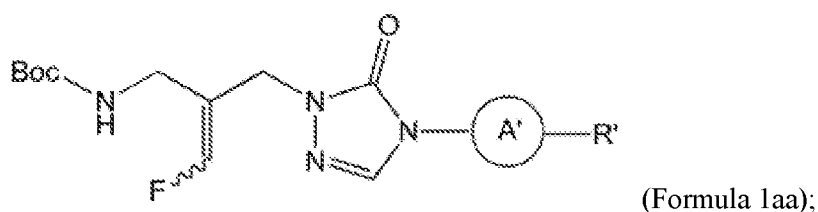
the method comprising

(a) reacting a compound of Formula 2 with a compound of Formula 3a or a compound of Formula 3b to obtain a compound of Formula 1aa;



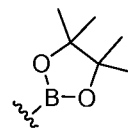
$Z_2\text{-B-R'}$ (Formula 3a)

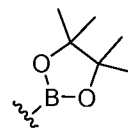
$\text{HC}\equiv\text{CR'}$ (Formula 3b)



wherein Boc is an amine protecting group; A' is an aryl or heteroaryl group selected from the

group consisting of phenyl, pyridine, pyrazine, and thiazole; Z is hydroxy or C₁₋₃ alkoxy, or



two Z together with the boron to which they are attached form ; R' is one to three groups independently selected from the group consisting of -R, -CH₂-R, -CH=CH-R, and -C≡C-R; and R is a substituted or unsubstituted cyclic ring, optionally containing at least one heteroatom, and the cyclic ring is aromatic or non-aromatic; and

(b) removing Boc from the compound of Formula 1aa under reaction conditions to obtain the compound of Formula 1a, or the isomer thereof, or the pharmaceutically acceptable salt thereof.

In some embodiments, the cyclic ring is selected from the group consisting of benzene, phenylbenzene, pyridine, tetrahydropyridine, pyridin-2-one, pyrimidine, thiophene, thiazole, imidazole, pyrazole, piperazine, morpholine, benzodioxole, benzoxadiazole, benzothiophene, benzothiazole, 2,3-dihydro-benzodioxine, indazole, indole, 1,3-dihydroindol-2-one, 1,2-dihydroindol-3-one, quinoline, isoquinoline, quinolin-2-one, 3,4-dihydroquinolin-2-one, 3,4-dihydro-1,4-benzoxazine, 1,4-benzoxazin-3-one, 3,1-benzoxazin-2-one, 2,3-dihydro-imidazo[4,5-b]pyridine, oxazolo[4,5-b]pyridin-2-one, 2,3-dihydro-pyrido[2,3-b][1,4]oxazine, 3,4-dihydro-pyrido[3,2-b][1,4]oxazine, pyrido[2,3-b][1,4]oxazin-2-one, pyrido[3,2-b][1,4]oxazin-3-one, and dibenzo[b,d]furan; wherein said cyclic ring is optionally substituted with one or two substituents selected from the group consisting of hydroxy, halogen, C₁₋₆ alkyl, trifluoromethyl, C₁₋₆ alkoxy, trifluoromethoxy, amino, mono- or di-C₁₋₆ alkylamino, C₁₋₆ alkylcarbonylamino, C₁₋₆ alkylthio, mono- or di-C₁₋₆ alkylaminosulfonyl, C₁₋₆ alkylsulfonyl, C₁₋₆ alkylcarbonyl, morpholinylcarbonyl, benzodioxolyl, pyrrolidinyl, piperazinyl, acetylpiperazinyl, morpholinyl, tetrahydropyranyl, triazolyl, tetrazolyl, isoxazolyl, oxazolyl, oxadiazolyl, cyclopropyl-oxadiazolyl, C₁₋₆ alkyl-oxadiazolyl, and oxadiazol-5-onyl.

DETAILED DESCRIPTION

[0033] It is noted that, as used herein and in the appended claims, the singular forms "a", "an", and "the" include plural referents unless the context clearly dictates otherwise. It is further noted that the claims may be drafted to exclude any optional element. As such, this statement is intended to serve as antecedent basis for use of such exclusive terminology as "solely", "only" and the like in connection with the recitation of claim elements, or use of a

"negative" limitation.

[0034] As used herein, the term “comprising” or “comprises” is intended to mean that the compositions and methods include the recited elements, but not excluding others. A composition or method “consisting essentially” of the elements as defined herein would not exclude other materials or steps that do not materially affect the basic and novel characteristic(s) of the claimed technology. “Consisting of” shall mean excluding more than trace elements of other ingredients and substantial method steps. Embodiments defined by each of these transition terms are within the scope of this technology. When an embodiment is defined by one of these terms (e.g., “comprising”) it should be understood that this disclosure also includes alternative embodiments, such as “consisting essentially of” and “consisting of” for said embodiment.

[0035] "Substantially" or "essentially" means nearly totally or completely, for instance, 95%, 96%, 97%, 98%, 99%, or greater of some given quantity.

[0036] As used herein, the term “about” will be understood by persons of ordinary skill in the art and will vary to some extent depending upon the context in which it is used. If there are uses of the term which are not clear to persons of ordinary skill in the art given the context in which it is used, “about” will mean up to plus or minus 10% of the particular term.

[0037] Certain ranges are presented herein with numerical values being preceded by the term "about". The term "about" is used herein to provide literal support for the exact number that it precedes, as well as a number that is near to or approximately the number that the term precedes. In determining whether a number is near to or approximately a specifically recited number, the near or approximating unrecited number may be a number which, in the context in which it is presented, provides the substantial equivalent of the specifically recited number.

[0038] Where a range of values is provided, it is understood that each intervening value, to the tenth of the unit of the lower limit unless the context clearly dictates otherwise, between the upper and lower limit of that range and any other stated or intervening value in that stated range, is encompassed within the present technology. The upper and lower limits of these smaller ranges may independently be included in the smaller ranges and are also encompassed within the present technology, subject to any specifically excluded limit in the stated range. Where the stated range includes one or both of the limits, ranges excluding

either or both of those included limits are also included in the present technology.

[0039] In general, “substituted” refers to an organic group (e.g., an alkyl group) in which one or more bonds to a hydrogen atom contained therein are replaced by a bond to non-hydrogen or non-carbon atoms. Substituted groups also include groups in which one or more bonds to a carbon(s) or hydrogen(s) atom are replaced by one or more bonds, including double or triple bonds, to a heteroatom. The present disclosure is understood to include embodiments where, for instance a “substituted alkyl” optionally contains one or more alkene and/or alkyne. A substituted group will be substituted with one or more substituents, unless otherwise specified. In some embodiments, a substituted group is substituted with 1, 2, 3, 4, 5, or 6 substituents. Examples of substituent groups include: halogens (i.e., F, Cl, Br, and I); hydroxyls; alkoxy, alkenoxy, alkynoxy, aryloxy, aralkyloxy, heterocycloxy, and heterocyclylalkoxy groups; aryl groups; heteroaryl groups; cycloalkyl groups; heterocyclyl groups; carbonyls (oxo); carboxyls; esters; carbamates; urethanes; ureas; oximes; hydroxylamines; alkoxyamines; aralkoxyamines; thiols; sulfides; sulfoxides; sulfones; sulfonyls; sulfonamides; amines; N-oxides; hydrazines; hydrazides; hydrazones; azides; amides; ureas; amidines; guanidines; enamines; imides; isocyanates; isothiocyanates; cyanates; thiocyanates; imines; nitro groups; nitriles (i.e., CN); and the like.

[0040] Substituted ring groups such as substituted cyclic, substituted cycloalkyl, substituted aryl, substituted heterocyclic and substituted heteroaryl groups also include rings and fused ring systems in which a bond to a hydrogen atom is replaced with a bond to a carbon atom. Therefore, substituted cyclic, substituted cycloalkyl, substituted aryl, substituted heterocyclic and substituted heteroaryl groups may also be substituted with substituted or unsubstituted alkyl, alkenyl, and alkynyl groups as defined below.

[0041] As used herein, the term “cyclic ring” refers to an aromatic or non-aromatic ring, optionally containing one or more heteroatoms. Exemplary heteroatoms include, but are not limited to, N, O, S, or B. In some embodiments, the cyclic ring optionally contains 1 to 5 heteroatom ring members chosen from O, N, or S. In some embodiments, the cyclic ring optionally contains 1 to 4 heteroatom ring members chosen from O, N, or S. In some embodiments, the cyclic ring optionally contains 1 to 3 heteroatom ring members chosen from O, N, or S. Cyclic rings include aryl, cycloalkyl, and heterocyclic groups.

[0042] As used herein, an “aryl group” refers to a cyclic aromatic hydrocarbon that does not contain heteroatoms. Aryl groups include monocyclic, bicyclic and polycyclic ring systems. Thus, aryl groups include, but are not limited to, phenyl, azulenyl, heptalenyl, biphenylenyl, indacenyl, fluorenyl, phenanthrenyl, triphenylenyl, pyrenyl, naphthacenyl, chrysenyl, biphenyl, anthracenyl, indenyl, indanyl, pentalenyl, and naphthyl groups. In some embodiments, aryl groups contain 6-14 carbons, and in others from 6 to 12 or even 6-10 carbon atoms in the ring portions of the groups. Although the phrase “aryl groups” includes groups containing fused rings, such as fused aromatic-aliphatic ring systems (e.g., indanyl, tetrahydronaphthyl, and the like), it does not include aryl groups that have other groups, such as alkyl or halo groups, bonded to one of the ring members. Rather, groups such as tolyl are referred to as substituted aryl groups. Representative substituted aryl groups may be mono-substituted or substituted more than once. For example, monosubstituted aryl groups include, but are not limited to, 2-, 3-, 4-, 5-, or 6-substituted phenyl or naphthyl groups, which may be substituted with substituents such as those listed above.

[0043] As used herein, the term “cycloalkyl group” refers to a cyclic alkyl group such as, but not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, and cyclooctyl groups. In some embodiments, the cycloalkyl group has 3 to 8 carbon ring members, whereas in other embodiments the number of ring carbon atoms range from 3 to 5, 3 to 6, or 3 to 7. Cycloalkyl groups further include mono-, bicyclic and polycyclic ring systems, such as, for example bridged cycloalkyl groups as described below, and fused rings, such as, but not limited to, decaliny, and the like. In some embodiments, polycyclic cycloalkyl groups have three rings. Substituted cycloalkyl groups may be substituted one or more times with non-hydrogen and non-carbon groups as defined above. However, substituted cycloalkyl groups also include rings that are substituted with straight or branched chain alkyl groups as defined above. Representative substituted cycloalkyl groups may be mono-substituted or substituted more than once, such as, but not limited to, 2,2-, 2,3-, 2,4-, 2,5- or 2,6-di-substituted cyclohexyl groups, which may be substituted with substituents such as those listed above. In some embodiments, a cycloalkyl group has one or more alkene bonds, but is not aromatic.

[0044] As used herein, the term “heterocyclic group” includes aromatic (also referred to as heteroaryl) and non-aromatic ring compounds containing 3 or more ring members, of

which one or more is a heteroatom such as, but not limited to, N, O, S or B. In some embodiments, heterocyclic groups include 3 to 20 ring members, whereas other such groups have 3 to 6, 3 to 10, 3 to 12, or 3 to 15 ring members. Heterocyclic groups encompass unsaturated, partially saturated and saturated ring systems, such as, for example, imidazolyl, imidazoliny and imidazolidiny groups. The phrase “heterocyclic group” includes fused ring species including those comprising fused aromatic and non-aromatic groups, such as, for example, benzotriazolyl, 2,3-dihydrobenzo[1,4]dioxiny, and benzo[1,3]dioxolyl. The phrase also includes bridged polycyclic ring systems containing a heteroatom such as, but not limited to, quinuclidyl. However, the phrase does not include heterocyclic groups that have other groups, such as alkyl, oxo or halo groups, bonded to one of the ring members. Rather, these are referred to as “substituted heterocyclic groups”. Heterocyclic groups include, but are not limited to, aziridiny, azetidiny, pyrrolidiny, imidazolidiny, pyrazolidiny, thiazolidiny, tetrahydrothiophenyl, tetrahydrofuranyl, dioxolyl, furanyl, thiophenyl, pyrrolyl, pyrroliny, imidazolyl, imidazoliny, pyrazolyl, pyrazoliny, triazolyl, tetrazolyl, oxazolyl, isoxazolyl, thiazolyl, thiazoliny, isothiazolyl, thiadiazolyl, oxadiazolyl, piperidyl, piperaziny, morpholiny, thiomorpholiny, tetrahydropyranyl, tetrahydrothiopyranyl, oxathiane, dioxyl, dithianyl, pyranyl, pyridyl, pyrimidiny, pyridaziny, pyraziny, triaziny, dihydropyridyl, dihydrodithiiny, dihydrodithionyl, homopiperaziny, quinuclidyl, indolyl, indoliny, isoindolyl, azaindolyl (pyrrolopyridyl), indazolyl, indoliziny, benzotriazolyl, benzimidazolyl, benzofuranyl, benzothiophenyl, benzthiazolyl, benzoxadiazolyl, benzoxaziny, benzodithiiny, benzoxathiiny, benzothiaziny, benzoxazolyl, benzothiazolyl, benzothiadiazolyl, benzo[1,3]dioxolyl, pyrazolopyridyl, imidazopyridyl (azabenzimidazolyl), triazolopyridyl, isoxazolopyridyl, puriny, xanthiny, adeniny, guaniny, quinoliny, isoquinoliny, quinoliziny, quinoxaliny, quinazoliny, cinnoliny, phthalaziny, naphthyridiny, pteridiny, thianaphthalenyl, dihydrobenzothiaziny, dihydrobenzofuranyl, dihydroindolyl, dihydrobenzodioxiny, tetrahydroindolyl, tetrahydroindazolyl, tetrahydrobenzimidazolyl, tetrahydrobenzotriazolyl, tetrahydropyrrolopyridyl, tetrahydropyrazolopyridyl, tetrahydroimidazopyridyl, tetrahydrotriazolopyridyl, and tetrahydroquinoliny groups. Representative substituted heterocyclic groups may be mono-substituted or substituted more than once, such as, but not limited to, pyridyl or piperaziny groups, which are 2-, 3-, 4-, 5-, or 6-substituted, or disubstituted with various substituents such as those listed above.

[0045] As used herein, the term “heteroaryl group” refers to an aromatic ring compound containing 5 or more ring members, of which, one or more is a heteroatom such as, but not limited to, N, O, S or B. In some embodiments, one or more heteroatoms are chosen from N, O, or S. In some embodiments, 1 to 4 heteroatoms are chosen from N, O, or S. In some embodiments, 1 to 5 heteroatoms are chosen from N, O, or S. In some embodiments, heteroaryl groups include 5 to 14 ring members, whereas other such groups have 5 to 6, 5 to 9, 5 to 10, 6 to 9, 6 to 10, or 6 to 14 ring members. For example, a 5-membered heteroaryl group has 5 ring members; a 6-membered heteroaryl group has 6 ring members; and a 9-membered heteroaryl group has 9 ring members (such as, but not limited to, benzothiophene). Heteroaryl groups include, but are not limited to, groups such as pyrrolyl, pyrazolyl, triazolyl, tetrazolyl, oxazolyl, isoxazolyl, thiazolyl, pyridyl, pyridazinyl, pyrimidinyl, pyrazinyl, thiophenyl, benzothiophenyl, furanyl, benzofuranyl, indolyl, azaindolyl (pyrrolopyridyl), indazolyl, benzimidazolyl, imidazopyridyl (azabenzimidazolyl), pyrazolopyridyl, triazolopyridyl, benzotriazolyl, benzoxazolyl, benzothiazolyl, benzothiadiazolyl, imidazopyridyl, isoxazolopyridyl, thianaphthalenyl, purinyl, xanthinyl, adeninyl, guaninyl, quinolinyl, isoquinolinyl, tetrahydroquinolinyl, quinoxalinyl, and quinazolinyl groups. Although the phrase “heteroaryl groups” includes fused ring compounds such as indolyl and 2,3-dihydro indolyl, the phrase does not include heteroaryl groups that have other groups bonded to one of the ring members, such as alkyl groups. Rather, heteroaryl groups with such substitution are referred to as “substituted heteroaryl groups.” Representative substituted heteroaryl groups may be substituted one or more times with various substituents such as those listed above. An azolyl group is a 5-membered heteroaryl group containing a nitrogen atom and at least one other atom selected from nitrogen, sulfur, and oxygen as part of the ring. Azolyl groups include imidazole, pyrazole, 1,2,3-triazole, 1,2,4-triazole, tetrazole, pentazole, oxazole, isoxazole, 1,2,4-oxadiazole, 1,2,5-oxadiazole, 1,3,4-oxadiazole, thiazole, isothiazole, 1,2,3-thiadiazole, 1,2,4-thiadiazole, 1,2,5-thiadiazole, and 1,3,4-thiadiazole.

[0046] As used herein, the term “alkyl” refers to an aliphatic hydrocarbon radical, which encompasses both straight and branched hydrocarbon radicals. In some embodiments, alkyl has from 1 to about 20 carbon atoms, from 1 to 12 carbons, from 1 to 8 carbons, 1 to 6 carbons, or 1 to 4 carbon atoms. For example, C₁₋₆ alkyl refers to an aliphatic hydrocarbon having 1 to 6 carbons, which includes methyl, ethyl, propyl, *n*-butyl, *n*-pentyl, *n*-hexyl, isopropyl, isobutyl, *sec*-butyl, *tert*-butyl, neopentyl, isopentyl, and the like.

[0047] As used herein, the term "hydroxy" is defined as –OH.

[0048] As used herein, the term "alkoxy," unless particularly defined herein, refers to a radical formed by substituting the hydrogen atom of a hydroxyl group with an alkyl, as defined above. For example, C₁₋₆ alkoxy includes methoxy, ethoxy, propoxy, *n*-butoxy, *n*-pentyloxy, isopropoxy, *sec*-butoxy, *tert*-butoxy, neopentyloxy, isopentyloxy, and the like.

[0049] In addition, the term "halogen" refers to fluorine, bromine, chlorine, and iodine.

[0050] In addition, the term "amino" is defined as –NH₂, and the term "alkylamino" refers to a mono- or di-alkyl substituted amino. For example, C₁₋₆ alkylamino includes mono- or di-C₁₋₆ alkyl substituted amino.

[0051] In addition, the term "alkylthio" is defined as –SR* (wherein R* is alkyl), and the term "cyano" is defined as –CN.

[0052] Those of skill in the art will appreciate that compounds of the present technology may exhibit the phenomena of tautomerism, conformational isomerism, geometric isomerism and/or optical isomerism. As the formula drawings within the specification and claims can represent only one of the possible tautomeric, conformational isomeric, optical isomeric or geometric isomeric forms, it should be understood that the present technology encompasses any tautomeric, conformational isomeric, optical isomeric and/or geometric isomeric forms of the compounds having one or more of the utilities described herein, as well as mixtures of these various different forms. As used herein, "isomer" refers to a tautomer, conformation isomer, optical isomer, geometric isomer, or any combination thereof, of a compound. Structural isomers are not included in the meaning of "isomer" as used herein.

[0053] As readily understood by one skilled in the art, a wide variety of functional groups and other structures may exhibit tautomerism, and all tautomers of compounds as described herein are within the scope of the present technology.

[0054] Stereoisomers of compounds, also known as "optical isomers," include all chiral, diastereomeric, and racemic forms of a structure, unless the specific stereochemistry is expressly indicated. Thus, compounds used in the present technology include enriched or resolved optical isomers at any or all stereogenic atoms as are apparent from the depictions.

Both racemic and diastereomeric mixtures, as well as the individual optical isomers can be isolated or synthesized so as to be substantially free of their enantiomeric or diastereomeric partners, and these are all within the scope of the present technology.

[0055] By “pharmaceutically acceptable” is meant a material that is not biologically or otherwise undesirable, *e.g.*, the material may be incorporated into a pharmaceutical composition administered to a patient without causing any undesirable biological effects or interacting in a deleterious manner with any of the other components of the composition in which it is contained. When the term “pharmaceutically acceptable” is used to refer to a pharmaceutical carrier or excipient, it is implied that the carrier or excipient has met the required standards of toxicological and manufacturing testing or that it is included on the Inactive Ingredient Guide prepared by the U.S. Food and Drug administration.

[0056] Generally, reference to a certain moiety capable of being protected (such as hydroxy, amine, carbonyl, etc.) includes the protected groups in some embodiments of the disclosure. For example, in some embodiments, an –OH moiety as included herein also includes –OP, where P is a protecting group. Protecting groups, as referred to herein may be selected by one of ordinary skill in the art, and include the groups and strategies set forth in the art, for example, those such as described in R. Larock, *Comprehensive Organic Transformations*, VCH Publishers (1989); T. W. Greene and P. G. M. Wuts, *Greene's protective groups in organic synthesis*, John Wiley & Sons (2006); L. Fieser and M. Fieser, *Fieser and Fieser's Reagents for Organic Synthesis*, John Wiley and Sons (1994); and L. Paquette, ed., *Encyclopedia of Reagents for Organic Synthesis*, John Wiley and Sons (1995), and subsequent editions thereof.

[0057] “Subject” refers to an animal, such as a mammal (including a human), that has been or will be the object of treatment, observation or experiment. “Subject” and “patient” may be used interchangeably, unless otherwise indicated. The methods described herein may be useful in human therapy and/or veterinary applications. In some embodiments, the subject is a mammal. In some embodiments, the subject is a human.

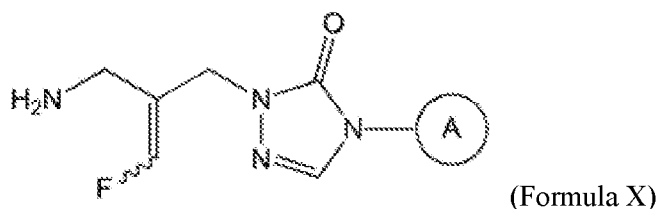
[0058] The terms “therapeutically effective amount” and “effective amount” are used interchangeably and refer to an amount of a compound that is sufficient to effect treatment as defined below, when administered to a patient (*e.g.*, a human) in need of such treatment in

one or more doses. The therapeutically effective amount will vary depending upon the patient, the disease being treated, the weight and/or age of the patient, the severity of the disease, or the manner of administration as determined by a qualified prescriber or care giver.

[0059] The term “treatment” or “treating” means administering a compound disclosed herein for the purpose of: (i) delaying the onset of a disease, that is, causing the clinical symptoms of the disease not to develop or delaying the development thereof; (ii) inhibiting the disease, that is, arresting the development of clinical symptoms; and/or (iii) relieving the disease, that is, causing the regression of clinical symptoms or the severity thereof.

[0060] Unless defined otherwise, all technical and scientific terms used herein have the same meaning as commonly understood by one of ordinary skill in the art to which this present technology belongs. Although any methods and materials similar or equivalent to those described herein can also be used in the practice or testing of the present technology, representative illustrative methods and materials are described herein.

[0061] In one aspect, the present technology provides a compound of Formula X, or an isomer thereof, or a pharmaceutically acceptable salt thereof:



wherein

A is an aryl or heteroaryl group, said heteroaryl group has 1 to 5 heteroatom ring members chosen from O, N, or S, and said aryl or heteroaryl group is optionally substituted with one to three substituents chosen from C₁₋₃ alkyl, C₁₋₃ alkoxy, halogen, benzyloxy, -R, -CH₂-R, -CH=CH-R, and -C≡C-R; and

R is substituted or unsubstituted cyclic ring, optionally containing 1 to 5 heteroatom ring members chosen from O, N, or S, and the cyclic ring is aromatic or non-aromatic.

[0062] In some embodiments of a compound of Formula X, or an isomer thereof, or a

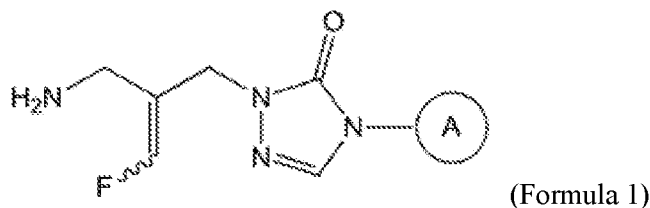
pharmaceutically acceptable salt thereof, A is an aryl group, and said aryl group is substituted with one to three substituents selected from the group consisting of C₁₋₃ alkyl, C₁₋₃ alkoxy, halogen, benzyloxy, -R, -CH₂-R, -CH=CH-R, and -C≡C-R. In some embodiments of a compound of Formula X, or an isomer thereof, or a pharmaceutically acceptable salt thereof, A is a C₆₋₁₀ aryl group, and said C₆₋₁₀ aryl group is substituted with one to three substituents selected from the group consisting of C₁₋₃ alkyl, C₁₋₃ alkoxy, halogen, benzyloxy, -R, -CH₂-R, -CH=CH-R, and -C≡C-R. In some embodiments of a compound of Formula X, or an isomer thereof, or a pharmaceutically acceptable salt thereof, A is a phenyl group, and said phenyl group is substituted with one to three substituents selected from the group consisting of C₁₋₃ alkyl, C₁₋₃ alkoxy, halogen, benzyloxy, -R, -CH₂-R, -CH=CH-R, and -C≡C-R. In some embodiments of a compound of Formula X, or an isomer thereof, or a pharmaceutically acceptable salt thereof, A is a naphthyl group, and said naphthyl group is substituted with one to three substituents selected from the group consisting of C₁₋₃ alkyl, C₁₋₃ alkoxy, halogen, benzyloxy, -R, -CH₂-R, -CH=CH-R, and -C≡C-R.

[0063] In some embodiments of a compound of Formula X, or an isomer thereof, or a pharmaceutically acceptable salt thereof, A is a heteroaryl group, said heteroaryl group has 1 to 5 heteroatom ring members chosen from O, N, or S, and said heteroaryl group is substituted with one to three substituents selected from the group consisting of C₁₋₃ alkyl, C₁₋₃ alkoxy, halogen, benzyloxy, -R, -CH₂-R, -CH=CH-R, and -C≡C-R. In some embodiments of a compound of Formula X, or an isomer thereof, or a pharmaceutically acceptable salt thereof, A is a 5- to 10-membered heteroaryl group, and said heteroaryl group is substituted with one to three substituents selected from the group consisting of C₁₋₃ alkyl, C₁₋₃ alkoxy, halogen, benzyloxy, -R, -CH₂-R, -CH=CH-R, and -C≡C-R. In some embodiments of a compound of Formula X, or an isomer thereof, or a pharmaceutically acceptable salt thereof, A is a 5- to 9-membered heteroaryl group, and said heteroaryl group is substituted with one to three substituents selected from the group consisting of C₁₋₃ alkyl, C₁₋₃ alkoxy, halogen, benzyloxy, -R, -CH₂-R, -CH=CH-R, and -C≡C-R. In some embodiments of a compound of Formula X, or an isomer thereof, or a pharmaceutically acceptable salt thereof, A is a 5- or 6-membered heteroaryl group, and said heteroaryl group is substituted with one to three substituents selected from the group consisting of C₁₋₃ alkyl, C₁₋₃ alkoxy, halogen, benzyloxy, -R, -CH₂-R, -CH=CH-R, and -C≡C-R.

[0064] In some embodiments of a compound of Formula X, or an isomer thereof, or a pharmaceutically acceptable salt thereof, A is a 5-membered heteroaryl group, said heteroaryl group has 1 to 4 heteroatom ring members chosen from O, N, or S, and said heteroaryl group is substituted with one to three substituents selected from the group consisting of C₁₋₃ alkyl, C₁₋₃ alkoxy, halogen, benzyloxy, -R, -CH₂-R, -CH=CH-R, and -C≡C-R. In some embodiments, the 5-membered heteroaryl group is selected from thiazole, thiophene, pyrrole, pyrazole, imidazole, triazole, tetrazole, furan, oxazole, isoxazole, oxadiazole, and thiadiazole. In some embodiments of a compound of Formula X, or an isomer thereof, or a pharmaceutically acceptable salt thereof, A is an azolyl group, and said azolyl group is substituted with one to three substituents selected from the group consisting of C₁₋₃ alkyl, C₁₋₃ alkoxy, halogen, benzyloxy, -R, -CH₂-R, -CH=CH-R, and -C≡C-R.

[0065] In some embodiments of a compound of Formula X, or an isomer thereof, or a pharmaceutically acceptable salt thereof, A is a 6-membered heteroaryl group, said heteroaryl group has 1 to 3 heteroatom ring members chosen from O, N, or S, and said heteroaryl group is substituted with one to three substituents selected from the group consisting of C₁₋₃ alkyl, C₁₋₃ alkoxy, halogen, benzyloxy, -R, -CH₂-R, -CH=CH-R, and -C≡C-R. In some embodiments, the 6-membered heteroaryl group is selected from pyridine, pyrimidine, pyrazine, and triazine. In some embodiments of a compound of Formula X, or an isomer thereof, or a pharmaceutically acceptable salt thereof, A is a pyridine, and said pyridine is substituted with one to three substituents selected from the group consisting of C₁₋₃ alkyl, C₁₋₃ alkoxy, halogen, benzyloxy, -R, -CH₂-R, -CH=CH-R, and -C≡C-R. In some embodiments of a compound of Formula X, or an isomer thereof, or a pharmaceutically acceptable salt thereof, A is a pyrimidine, and said pyrimidine is substituted with one to three substituents selected from the group consisting of C₁₋₃ alkyl, C₁₋₃ alkoxy, halogen, benzyloxy, -R, -CH₂-R, -CH=CH-R, and -C≡C-R. In some embodiments of a compound of Formula X, or an isomer thereof, or a pharmaceutically acceptable salt thereof, A is a pyrazine, and said pyrazine is substituted with one to three substituents selected from the group consisting of C₁₋₃ alkyl, C₁₋₃ alkoxy, halogen, benzyloxy, -R, -CH₂-R, -CH=CH-R, and -C≡C-R. In some embodiments of a compound of Formula X, or an isomer thereof, or a pharmaceutically acceptable salt thereof, A is a triazine, and said triazine is substituted with one to three substituents selected from the group consisting of C₁₋₃ alkyl, C₁₋₃ alkoxy, halogen, benzyloxy, -R, -CH₂-R, -CH=CH-R, and -C≡C-R.

[0066] In another aspect, the present technology provides a compound having selective inhibitory activity on VAP-1 or its salt, that is, a compound of Formula 1 below, an isomer thereof, or a pharmaceutically acceptable salt thereof:



wherein

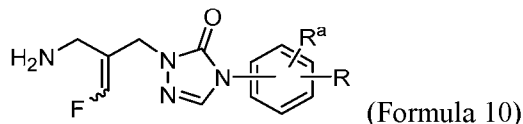
A is an aryl or heteroaryl group selected from the group consisting of phenyl, pyridine, pyrazine, and thiazole,

wherein said aryl or heteroaryl group is optionally substituted with one to three substituents selected from the group consisting of C₁₋₃ alkyl, C₁₋₃ alkoxy, halogen, benzyloxy, -R, -CH₂-R, -CH=CH-R, and -C≡C-R,

wherein said R is a cyclic ring selected from the group consisting of benzene, phenylbenzene, pyridine, tetrahydropyridine, pyridin-2-one, pyrimidine, thiophene, thiazole, imidazole, pyrazole, piperazine, morpholine, benzodioxole, benzoxadiazole, benzothiophene, benzothiazole, 2,3-dihydro-benzodioxine, indazole, indole, 1,3-dihydroindol-2-one, 1,2-dihydroindol-3-one, quinoline, isoquinoline, quinolin-2-one, 3,4-dihydroquinolin-2-one, 3,4-dihydro-1,4-benzoxazine, 1,4-benzoxazin-3-one, 3,1-benzoxazin-2-one, 2,3-dihydro-imidazo[4,5-b]pyridine, oxazolo[4,5-b]pyridin-2-one, 2,3-dihydro-pyrido[2,3-b][1,4]oxazine, 3,4-dihydro-pyrido[3,2-b][1,4]oxazine, pyrido[2,3-b][1,4]oxazin-2-one, pyrido[3,2-b][1,4]oxazin-3-one, and dibenzo[b,d]furan,

wherein said cyclic ring is optionally substituted with one or two substituents selected from the group consisting of hydroxy, halogen, C₁₋₆ alkyl, trifluoromethyl, C₁₋₆ alkoxy, trifluoromethoxy, amino, mono- or di- C₁₋₆ alkylamino, C₁₋₆ alkylcarbonylamino, C₁₋₆ alkylthio, mono- or di- C₁₋₆ alkylaminosulfonyl, C₁₋₆alkylsulfonyl, C₁₋₆ alkylcarbonyl, morpholinylcarbonyl, benzodioxolyl, pyrrolidinyl, piperazinyl, acetyl piperazinyl, morpholinyl, tetrahydropyranyl, triazolyl, tetrazolyl, oxazolyl, oxadiazolyl, cyclopropyl-oxadiazolyl, C₁₋₆ alkyl-oxadiazolyl, and oxadiazol-5-onyl.

[0067] In another aspect, provided herein is a compound of Formula 10, or an isomer thereof, or a pharmaceutically acceptable salt thereof:

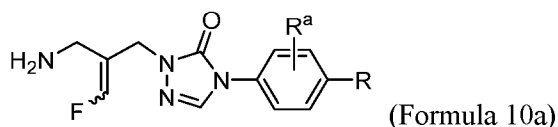


wherein

R is a substituted or unsubstituted cyclic ring, optionally containing at least one heteroatom, and the cyclic ring is aromatic or non-aromatic; and

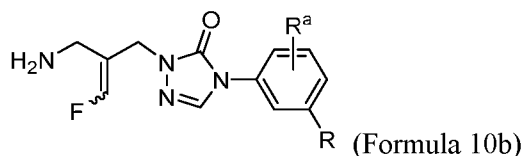
R^a is hydrogen, C₁₋₃ alkyl, C₁₋₃ alkoxy, or halogen.

[0068] In some embodiments, the compound of Formula 10 is a compound of Formula 10a:



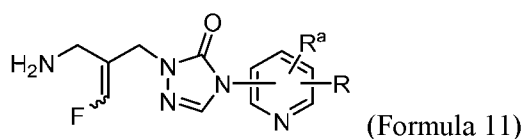
or an isomer thereof, or a pharmaceutically acceptable salt thereof, wherein R and R^a are as defined for Formula 10.

[0069] In some embodiments, the compound of Formula 10 is a compound of Formula 10b:



or an isomer thereof, or a pharmaceutically acceptable salt thereof, wherein R and R^a are as defined for Formula 10.

[0070] In another aspect, provided herein is a compound of Formula 11, or an isomer thereof, or a pharmaceutically acceptable salt thereof:

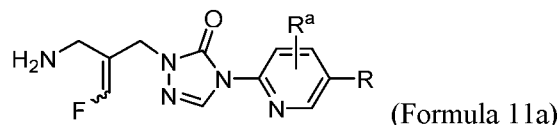


wherein

R is a substituted or unsubstituted cyclic ring, optionally containing at least one heteroatom, and the cyclic ring is aromatic or non-aromatic; and

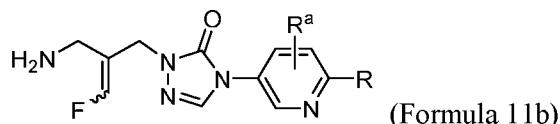
R^a is hydrogen, C₁₋₃ alkyl, C₁₋₃ alkoxy, or halogen.

[0071] In some embodiments, the compound of Formula 11 is a compound of Formula 11a:



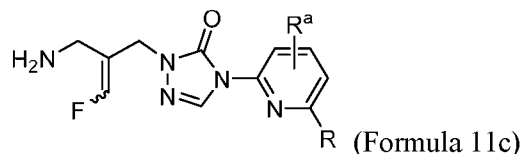
or an isomer thereof, or a pharmaceutically acceptable salt thereof, wherein R and R^a are as defined for Formula 11.

[0072] In some embodiments, the compound of Formula 11 is a compound of Formula 11b:



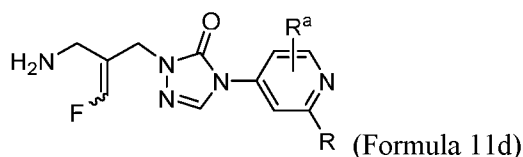
or an isomer thereof, or a pharmaceutically acceptable salt thereof, wherein R and R^a are as defined for Formula 11.

[0073] In some embodiments, the compound of Formula 11 is a compound of Formula 11c:



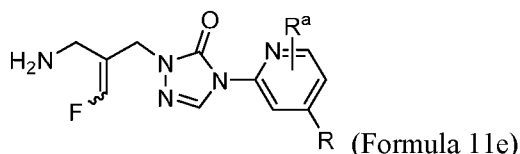
or an isomer thereof, or a pharmaceutically acceptable salt thereof, wherein R and R^a are as defined for Formula 11.

[0074] In some embodiments, the compound of Formula 11 is a compound of Formula 11d:



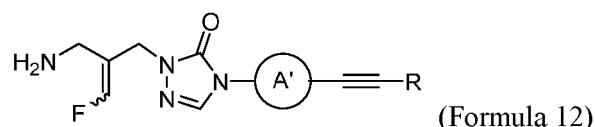
or an isomer thereof, or a pharmaceutically acceptable salt thereof, wherein R and R^a are as defined for Formula 11.

[0075] In some embodiments, the compound of Formula 11 is a compound of Formula 11e:



or an isomer thereof, or a pharmaceutically acceptable salt thereof, wherein R and R^a are as defined for Formula 11.

[0076] In another aspect, provided herein is a compound of Formula 12, or an isomer thereof, or a pharmaceutically acceptable salt thereof:

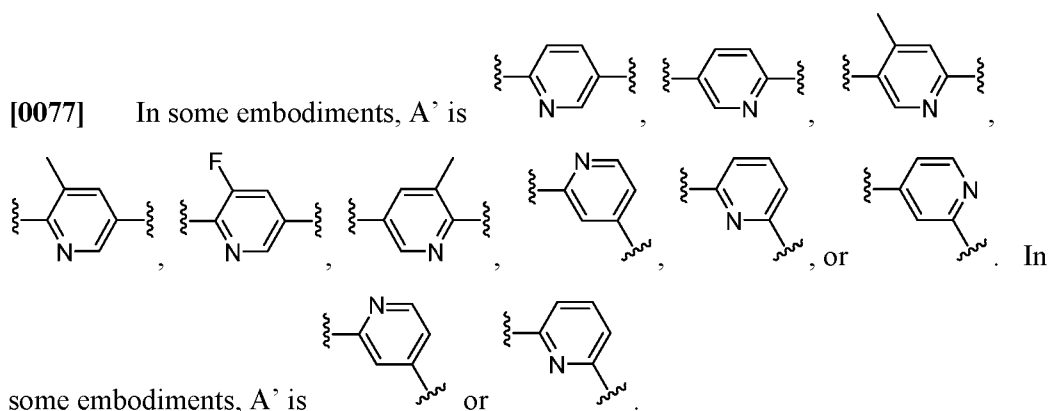


wherein

A' is pyridine; and

R is a substituted or unsubstituted cyclic ring, optionally containing at least one heteroatom, and the cyclic ring is aromatic or non-aromatic.

[0077] In some embodiments, A' is



[0078] In some embodiments of a compound of Formulae X, 10, 10a, 10b, 11, 11a, 11b, 11c, 11d, 11e, or 12, or an isomer thereof, or a pharmaceutically acceptable salt thereof, R is selected from the group consisting of benzene, phenylbenzene, pyridine, tetrahydropyridine, pyridin-2-one, pyrimidine, thiophene, thiazole, imidazole, pyrazole, piperazine, morpholine, benzodioxole, benzoxadiazole, benzothiophene, benzothiazole, 2,3-dihydro-benzodioxine, indazole, indole, 1,3-dihydroindol-2-one, 1,2-dihydroindol-3-one, quinoline, isoquinoline,

quinolin-2-one, 3,4-dihydroquinolin-2-one, 3,4-dihydro-1,4-benzoxazine, 1,4-benzoxazin-3-one, 3,1-benzoxazin-2-one, 2,3-dihydro-imidazo[4,5-b]pyridine, oxazolo[4,5-b]pyridin-2-one, 2,3-dihydro-pyrido[2,3-b][1,4]oxazine, 3,4-dihydro-pyrido[3,2-b][1,4]oxazine, pyrido[2,3-b][1,4]oxazin-2-one, pyrido[3,2-b][1,4]oxazin-3-one, and dibenzo[b,d]furan.

[0079] In some embodiments of a compound of Formulae X, 10, 10a, 10b, 11, 11a, 11b, 11c, 11d, 11e, or 12, or an isomer thereof, or a pharmaceutically acceptable salt thereof, R is selected from the group consisting of benzene, phenylbenzene, pyridine, tetrahydropyridine, pyridin-2-one, pyrimidine, thiophene, thiazole, imidazole, pyrazole, piperazine, morpholine, benzodioxole, benzoxadiazole, benzothiophene, benzothiazole, 2,3-dihydro-benzodioxine, indazole, indole, 1,3-dihydroindol-2-one, 1,2-dihydroindol-3-one, quinoline, isoquinoline, quinolin-2-one, 3,4-dihydroquinolin-2-one, 3,4-dihydro-1,4-benzoxazine, 1,4-benzoxazin-3-one, 3,1-benzoxazin-2-one, 2,3-dihydro-imidazo[4,5-b]pyridine, oxazolo[4,5-b]pyridin-2-one, 2,3-dihydro-pyrido[2,3-b][1,4]oxazine, 3,4-dihydro-pyrido[3,2-b][1,4]oxazine, pyrido[2,3-b][1,4]oxazin-2-one, pyrido[3,2-b][1,4]oxazin-3-one, and dibenzo[b,d]furan; and R is optionally substituted with one or two substituents selected from the group consisting of hydroxy, halogen, C₁₋₆ alkyl, trifluoromethyl, C₁₋₆ alkoxy, trifluoromethoxy, amino, mono- or di- C₁₋₆ alkylamino, C₁₋₆ alkylcarbonylamino, C₁₋₆ alkylthio, mono- or di- C₁₋₆ alkylaminosulfonyl, C₁₋₆ alkylsulfonyl, C₁₋₆ alkylcarbonyl, morpholinylcarbonyl, benzodioxolyl, pyrrolidinyl, piperazinyl, acetyl piperazinyl, morpholinyl, tetrahydropyranyl, triazolyl, tetrazolyl, isoxazolyl, oxazolyl, oxadiazolyl, cyclopropyl-oxadiazolyl, C₁₋₆ alkyl-oxadiazolyl, and oxadiazol-5-onyl.

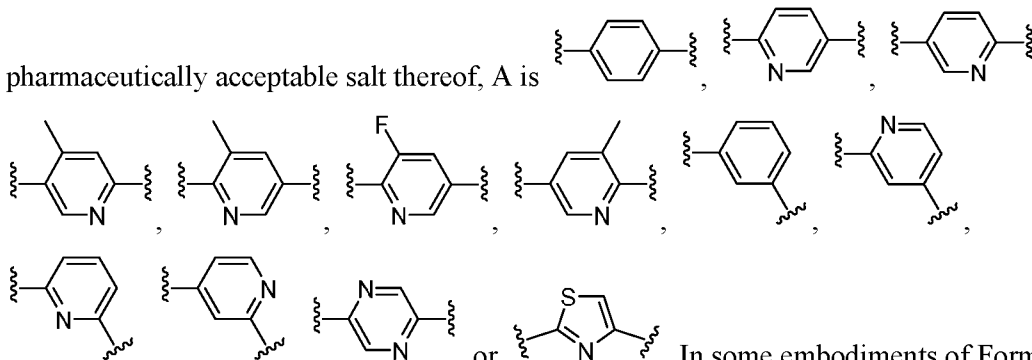
[0080] The compounds provided in the description are inhibitors of VAP-1. VAP-1 inhibition may be measured, for example, by determining the half maximal inhibitory concentration (IC₅₀). One method for determining an IC₅₀ for VAP-1 is provided herein.

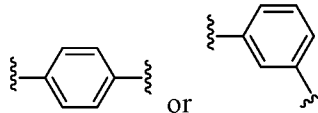
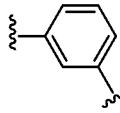
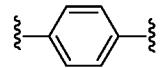
[0081] In one embodiment, the compounds are selective inhibitors of VAP-1. Selectivity may be determined, for example, by comparing inhibition of VAP-1 to inhibition of other aminooxidases such as MAO-A (monoamine oxidase-A), MAO-B (monoamine oxidase-B), and DAO (diamine oxidase). In one embodiment, said "significantly high inhibitory activity" means IC₅₀ for VAP-1 obtained from the in vitro enzyme analysis (in vitro enzyme assay) test is at least 3000 times lower than IC₅₀ of MAO-A, at least 100 times lower than IC₅₀ of MAO-B, or at least 100 times lower than IC₅₀ of DAO. In an alternative embodiment,

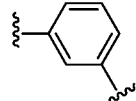
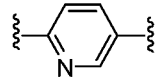
"significantly high inhibitory activity" means the IC_{50} for VAP-1 obtained from the in vitro enzyme analysis (in vitro enzyme assay) test is at least 3000 times lower than IC_{50} of MAO-A, at least 100 times lower than IC_{50} of MAO-B, and at least 100 times lower than IC_{50} of DAO.

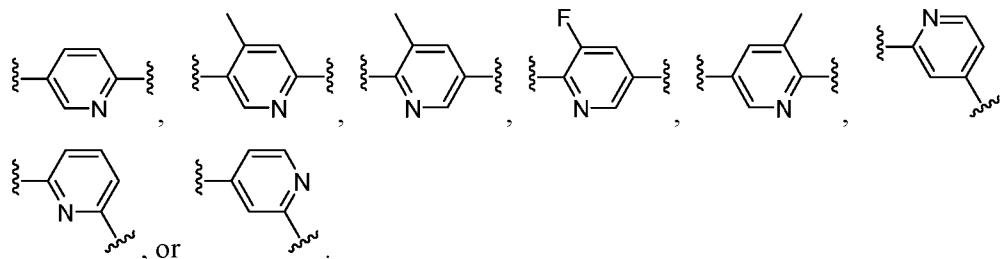
[0082] In the compound of Formula 1 or its pharmaceutically acceptable salt thereof according to the present technology, A may preferably be pyridine. In some embodiments of a compound of Formula 1, an isomer thereof, or a pharmaceutically acceptable salt thereof, A is phenyl. In some embodiments of a compound of Formula 1, an isomer thereof, or a pharmaceutically acceptable salt thereof, A is pyrazine. In some embodiments of a compound of Formula 1, an isomer thereof, or a pharmaceutically acceptable salt thereof, A is thiazole.

[0083] In some embodiments of Formula X or Formula 1, an isomer thereof, or a

pharmaceutically acceptable salt thereof, A is . In some embodiments of Formula X or Formula 1, an isomer thereof, or a pharmaceutically acceptable salt thereof, A is

 or . In some embodiments of Formula X or Formula 1, an isomer thereof, or a pharmaceutically acceptable salt thereof, A is . In some embodiments of Formula X or Formula 1, an isomer thereof, or a pharmaceutically acceptable salt thereof, A is

. In some embodiments of Formula X or Formula 1, an isomer thereof, or a pharmaceutically acceptable salt thereof, A is .



[0084] In some embodiments of the compound of Formula X, or an isomer thereof, or a pharmaceutically acceptable salt thereof, said aryl or heteroaryl group is substituted with one to two substituents selected from the group consisting of C_{1-3} alkyl, halogen, -R, and $-C\equiv C-R$. In further embodiments of the compound of Formula X, or an isomer thereof, or a pharmaceutically acceptable salt thereof, R is a cyclic ring selected from the group consisting of benzene, pyridine, and pyrazole. In still further embodiments of the compound of Formula X, or an isomer thereof, or a pharmaceutically acceptable salt thereof, said cyclic ring is unsubstituted; or substituted with a substituent selected from the group consisting of C_{1-6} alkyl, trifluoromethyl, and oxazolyl.

[0085] Further, in the compound of Formula 1 or its pharmaceutically acceptable salt thereof according to the present technology, said aryl or heteroaryl group can be optionally substituted with one to two substituents selected from the group consisting of C_{1-3} alkyl, halogen, -R, and $-C\equiv C-R$. Preferably, said R may be a cyclic ring selected from the group consisting of benzene, pyridine, and pyrazole. More preferably, said cyclic ring may be unsubstituted; or substituted with a substituent selected from the group consisting of C_{1-6} alkyl, trifluoromethyl, and oxazolyl.

[0086] In some embodiments of a compound of Formula X or 1, an isomer thereof, or a pharmaceutically acceptable salt thereof, said aryl or heteroaryl group is substituted with C_{1-3} alkyl, C_{1-3} alkoxy, halogen, benzyloxy, -R, $-CH_2-R$, $-CH=CH-R$, or $-C\equiv C-R$. In some embodiments of a compound of Formula X or 1, an isomer thereof, or a pharmaceutically acceptable salt thereof, said aryl or heteroaryl group is substituted with C_{1-3} alkyl. In some embodiments of a compound of Formula X or 1, an isomer thereof, or a pharmaceutically acceptable salt thereof, said aryl or heteroaryl group is substituted with C_{1-3} alkoxy. In some embodiments of a compound of Formula X or 1, an isomer thereof, or a pharmaceutically acceptable salt thereof, said aryl or heteroaryl group is substituted with halogen. In some

embodiments of a compound of Formula X or 1, an isomer thereof, or a pharmaceutically acceptable salt thereof, said aryl or heteroaryl group is substituted with benzyloxy. In some embodiments of a compound of Formula X or 1, an isomer thereof, or a pharmaceutically acceptable salt thereof, said aryl or heteroaryl group is substituted with -R. In some embodiments of a compound of Formula X or 1, an isomer thereof, or a pharmaceutically acceptable salt thereof, said aryl or heteroaryl group is substituted with -CH₂-R. In some embodiments of a compound of Formula X or 1, an isomer thereof, or a pharmaceutically acceptable salt thereof, said aryl or heteroaryl group is substituted with -CH=CH-R. In some embodiments of a compound of Formula X or 1, an isomer thereof, or a pharmaceutically acceptable salt thereof, said aryl or heteroaryl group is substituted with -C≡C-R.

[0087] In some embodiments of a compound of Formulae X, 1, 10, 10a, 10b, 11, 11a, 11b, 11c, 11d, 11e, or 12, an isomer thereof, or a pharmaceutically acceptable salt thereof, said R is substituted or unsubstituted benzene. In some embodiments of a compound of Formulae X, 1, 10, 10a, 10b, 11, 11a, 11b, 11c, 11d, 11e, or 12, an isomer thereof, or a pharmaceutically acceptable salt thereof, said R is substituted or unsubstituted phenylbenzene. In some embodiments of a compound of Formulae X, 1, 10, 10a, 10b, 11, 11a, 11b, 11c, 11d, 11e, or 12, an isomer thereof, or a pharmaceutically acceptable salt thereof, said R is substituted or unsubstituted pyridine. In some embodiments of a compound of Formulae X, 1, 10, 10a, 10b, 11, 11a, 11b, 11c, 11d, 11e, or 12, an isomer thereof, or a pharmaceutically acceptable salt thereof, said R is substituted or unsubstituted tetrahydropyridine. In some embodiments of a compound of Formulae X, 1, 10, 10a, 10b, 11, 11a, 11b, 11c, 11d, 11e, or 12, an isomer thereof, or a pharmaceutically acceptable salt thereof, said R is substituted or unsubstituted pyridin-2-one. In some embodiments of a compound of Formulae X, 1, 10, 10a, 10b, 11, 11a, 11b, 11c, 11d, 11e, or 12, an isomer thereof, or a pharmaceutically acceptable salt thereof, said R is substituted or unsubstituted pyrimidine. In some embodiments of a compound of Formulae X, 1, 10, 10a, 10b, 11, 11a, 11b, 11c, 11d, 11e, or 12, an isomer thereof, or a pharmaceutically acceptable salt thereof, said R is substituted or unsubstituted thiophene. In some embodiments of a compound of Formulae X, 1, 10, 10a, 10b, 11, 11a, 11b, 11c, 11d, 11e, or 12, an isomer thereof, or a pharmaceutically acceptable salt thereof, said R is substituted or unsubstituted thiazole. In some embodiments of a compound of Formulae X, 1, 10, 10a, 10b, 11, 11a, 11b, 11c, 11d, 11e, or 12, an isomer thereof, or a pharmaceutically acceptable salt thereof, said R is

substituted or unsubstituted imidazole. In some embodiments of a compound of Formulae X, 1, 10, 10a, 10b, 11, 11a, 11b, 11c, 11d, 11e, or 12, an isomer thereof, or a pharmaceutically acceptable salt thereof, said R is substituted or unsubstituted pyrazole. In some embodiments of a compound of Formulae X, 1, 10, 10a, 10b, 11, 11a, 11b, 11c, 11d, 11e, or 12, an isomer thereof, or a pharmaceutically acceptable salt thereof, said R is substituted or unsubstituted piperazine. In some embodiments of a compound of Formulae X, 1, 10, 10a, 10b, 11, 11a, 11b, 11c, 11d, 11e, or 12, an isomer thereof, or a pharmaceutically acceptable salt thereof, said R is substituted or unsubstituted morpholine. In some embodiments of a compound of Formulae X, 1, 10, 10a, 10b, 11, 11a, 11b, 11c, 11d, 11e, or 12, an isomer thereof, or a pharmaceutically acceptable salt thereof, said R is substituted or unsubstituted benzodioxole. In some embodiments of a compound of Formulae X, 1, 10, 10a, 10b, 11, 11a, 11b, 11c, 11d, 11e, or 12, an isomer thereof, or a pharmaceutically acceptable salt thereof, said R is substituted or unsubstituted benzoxadiazole. In some embodiments of a compound of Formulae X, 1, 10, 10a, 10b, 11, 11a, 11b, 11c, 11d, 11e, or 12, an isomer thereof, or a pharmaceutically acceptable salt thereof, said R is substituted or unsubstituted benzothiophene. In some embodiments of a compound of Formulae X, 1, 10, 10a, 10b, 11, 11a, 11b, 11c, 11d, 11e, or 12, an isomer thereof, or a pharmaceutically acceptable salt thereof, said R is substituted or unsubstituted benzothiazole. In some embodiments of a compound of Formulae X, 1, 10, 10a, 10b, 11, 11a, 11b, 11c, 11d, 11e, or 12, an isomer thereof, or a pharmaceutically acceptable salt thereof, said R is substituted or unsubstituted 2,3-dihydro-benzodioxine. In some embodiments of a compound of Formulae X, 1, 10, 10a, 10b, 11, 11a, 11b, 11c, 11d, 11e, or 12, an isomer thereof, or a pharmaceutically acceptable salt thereof, said R is substituted or unsubstituted indazole. In some embodiments of a compound of Formulae X, 1, 10, 10a, 10b, 11, 11a, 11b, 11c, 11d, 11e, or 12, an isomer thereof, or a pharmaceutically acceptable salt thereof, said R is substituted or unsubstituted indole. In some embodiments of a compound of Formulae X, 1, 10, 10a, 10b, 11, 11a, 11b, 11c, 11d, 11e, or 12, an isomer thereof, or a pharmaceutically acceptable salt thereof, said R is substituted or unsubstituted 1,3-dihydroindol-2-one. In some embodiments of a compound of Formulae X, 1, 10, 10a, 10b, 11, 11a, 11b, 11c, 11d, 11e, or 12, an isomer thereof, or a pharmaceutically acceptable salt thereof, said R is substituted or unsubstituted 1,2-dihydroindol-3-one. In some embodiments of a compound of Formulae X, 1, 10, 10a, 10b, 11, 11a, 11b, 11c, 11d, 11e, or

12, an isomer thereof, or a pharmaceutically acceptable salt thereof, said R is substituted or unsubstituted quinoline. In some embodiments of a compound of Formulae X, 1, 10, 10a, 10b, 11, 11a, 11b, 11c, 11d, 11e, or 12, an isomer thereof, or a pharmaceutically acceptable salt thereof, said R is substituted or unsubstituted isoquinoline. In some embodiments of a compound of Formulae X, 1, 10, 10a, 10b, 11, 11a, 11b, 11c, 11d, 11e, or 12, an isomer thereof, or a pharmaceutically acceptable salt thereof, said R is substituted or unsubstituted quinolin-2-one. In some embodiments of a compound of Formulae X, 1, 10, 10a, 10b, 11, 11a, 11b, 11c, 11d, 11e, or 12, an isomer thereof, or a pharmaceutically acceptable salt thereof, said R is substituted or unsubstituted 3,4-dihydroquinolin-2-one. In some embodiments of a compound of Formulae X, 1, 10, 10a, 10b, 11, 11a, 11b, 11c, 11d, 11e, or 12, an isomer thereof, or a pharmaceutically acceptable salt thereof, said R is substituted or unsubstituted 3,4-dihydro-1,4-benzoxazine. In some embodiments of a compound of Formulae X, 1, 10, 10a, 10b, 11, 11a, 11b, 11c, 11d, 11e, or 12, an isomer thereof, or a pharmaceutically acceptable salt thereof, said R is substituted or unsubstituted 1,4-benzoxazin-3-one. In some embodiments of a compound of Formulae X, 1, 10, 10a, 10b, 11, 11a, 11b, 11c, 11d, 11e, or 12, an isomer thereof, or a pharmaceutically acceptable salt thereof, said R is substituted or unsubstituted 3,1-benzoxazin-2-one. In some embodiments of a compound of Formulae X, 1, 10, 10a, 10b, 11, 11a, 11b, 11c, 11d, 11e, or 12, an isomer thereof, or a pharmaceutically acceptable salt thereof, said R is substituted or unsubstituted 2,3-dihydro-imidazo[4,5-b]pyridine. In some embodiments of a compound of Formulae X, 1, 10, 10a, 10b, 11, 11a, 11b, 11c, 11d, 11e, or 12, an isomer thereof, or a pharmaceutically acceptable salt thereof, said R is substituted or unsubstituted oxazolo[4,5-b]pyridin-2-one. In some embodiments of a compound of Formulae X, 1, 10, 10a, 10b, 11, 11a, 11b, 11c, 11d, 11e, or 12, an isomer thereof, or a pharmaceutically acceptable salt thereof, said R is substituted or unsubstituted 2,3-dihydro-pyrido[2,3-b][1,4]oxazine. In some embodiments of a compound of Formulae X, 1, 10, 10a, 10b, 11, 11a, 11b, 11c, 11d, 11e, or 12, an isomer thereof, or a pharmaceutically acceptable salt thereof, said R is substituted or unsubstituted 3,4-dihydro-pyrido[3,2-b][1,4]oxazine. In some embodiments of a compound of Formulae X, 1, 10, 10a, 10b, 11, 11a, 11b, 11c, 11d, 11e, or 12, an isomer thereof, or a pharmaceutically acceptable salt thereof, said R is substituted or unsubstituted pyrido[2,3-b][1,4]oxazin-2-one. In some embodiments of a compound of Formulae X, 1, 10, 10a, 10b, 11, 11a, 11b, 11c, 11d, 11e, or 12, an isomer thereof, or a pharmaceutically acceptable salt

thereof, said R is substituted or unsubstituted pyrido[3,2-b][1,4]oxazin-3-one. In some embodiments of a compound of Formulae X, 1, 10, 10a, 10b, 11, 11a, 11b, 11c, 11d, 11e, or 12, an isomer thereof, or a pharmaceutically acceptable salt thereof, said R is substituted or unsubstituted dibenzo[b,d]furan.

[0088] In some embodiments of a compound of Formulae X, 1, 10, 10a, 10b, 11, 11a, 11b, 11c, 11d, 11e, or 12, an isomer thereof, or a pharmaceutically acceptable salt thereof, R is a cyclic ring, and said cyclic ring is unsubstituted. In some embodiments of a compound of Formulae X, 1, 10, 10a, 10b, 11, 11a, 11b, 11c, 11d, 11e, or 12, an isomer thereof, or a pharmaceutically acceptable salt thereof, R is a cyclic ring, and said cyclic ring is substituted with hydroxy, halogen, C₁₋₆ alkyl, trifluoromethyl, C₁₋₆ alkoxy, trifluoromethoxy, amino, mono- or di- C₁₋₆ alkylamino, C₁₋₆ alkylcarbonylamino, C₁₋₆ alkylthio, mono- or di- C₁₋₆ alkylaminosulfonyl, C₁₋₆ alkylsulfonyl, C₁₋₆ alkylcarbonyl, morpholinylcarbonyl, benzodioxolyl, pyrrolidinyl, piperazinyl, acetylpiperazinyl, morpholinyl, tetrahydropyranyl, triazolyl, tetrazolyl, isoxazolyl, oxazolyl, oxadiazolyl, cyclopropyl-oxadiazolyl, C₁₋₆ alkyl-oxadiazolyl, or oxadiazol-5-onyl. In some embodiments of a compound of Formulae X, 1, 10, 10a, 10b, 11, 11a, 11b, 11c, 11d, 11e, or 12, an isomer thereof, or a pharmaceutically acceptable salt thereof, R is a cyclic ring, and said cyclic ring is substituted with hydroxyl. In some embodiments of a compound of Formulae X, 1, 10, 10a, 10b, 11, 11a, 11b, 11c, 11d, 11e, or 12, an isomer thereof, or a pharmaceutically acceptable salt thereof, R is a cyclic ring, and said cyclic ring is substituted with halogen. In some embodiments of a compound of Formulae X, 1, 10, 10a, 10b, 11, 11a, 11b, 11c, 11d, 11e, or 12, an isomer thereof, or a pharmaceutically acceptable salt thereof, R is a cyclic ring, and said cyclic ring is substituted with C₁₋₆ alkyl. In some embodiments of a compound of Formulae X, 1, 10, 10a, 10b, 11, 11a, 11b, 11c, 11d, 11e, or 12, an isomer thereof, or a pharmaceutically acceptable salt thereof, R is a cyclic ring, and said cyclic ring is substituted with trifluoromethyl. In some embodiments of a compound of Formulae X, 1, 10, 10a, 10b, 11, 11a, 11b, 11c, 11d, 11e, or 12, an isomer thereof, or a pharmaceutically acceptable salt thereof, R is a cyclic ring, and said cyclic ring is substituted with C₁₋₆ alkoxy. In some embodiments of a compound of Formulae X, 1, 10, 10a, 10b, 11, 11a, 11b, 11c, 11d, 11e, or 12, an isomer thereof, or a pharmaceutically acceptable salt thereof, R is a cyclic ring, and said cyclic ring is substituted with trifluoromethoxy. In some embodiments of a compound of Formulae X, 1, 10, 10a, 10b, 11, 11a, 11b, 11c, 11d, 11e, or 12, an isomer thereof, or a pharmaceutically acceptable salt

thereof, R is a cyclic ring, and said cyclic ring is substituted with amino. In some embodiments of a compound of Formulae X, 1, 10, 10a, 10b, 11, 11a, 11b, 11c, 11d, 11e, or 12, an isomer thereof, or a pharmaceutically acceptable salt thereof, R is a cyclic ring, and said cyclic ring is substituted with mono- or di- C₁₋₆ alkylamino. In some embodiments of a compound of Formulae X, 1, 10, 10a, 10b, 11, 11a, 11b, 11c, 11d, 11e, or 12, an isomer thereof, or a pharmaceutically acceptable salt thereof, R is a cyclic ring, and said cyclic ring is substituted with mono-C₁₋₆ alkylamino. In some embodiments of a compound of Formulae X, 1, 10, 10a, 10b, 11, 11a, 11b, 11c, 11d, 11e, or 12, an isomer thereof, or a pharmaceutically acceptable salt thereof, R is a cyclic ring, and said cyclic ring is substituted with di- C₁₋₆ alkylamino. In some embodiments of a compound of Formulae X, 1, 10, 10a, 10b, 11, 11a, 11b, 11c, 11d, 11e, or 12, an isomer thereof, or a pharmaceutically acceptable salt thereof, R is a cyclic ring, and said cyclic ring is substituted with C₁₋₆ alkylcarbonylamino. In some embodiments of a compound of Formulae X, 1, 10, 10a, 10b, 11, 11a, 11b, 11c, 11d, 11e, or 12, an isomer thereof, or a pharmaceutically acceptable salt thereof, R is a cyclic ring, and said cyclic ring is substituted with C₁₋₆ alkylthio. In some embodiments of a compound of Formulae X, 1, 10, 10a, 10b, 11, 11a, 11b, 11c, 11d, 11e, or 12, an isomer thereof, or a pharmaceutically acceptable salt thereof, R is a cyclic ring, and said cyclic ring is substituted with mono- or di- C₁₋₆ alkylaminosulfonyl. In some embodiments of a compound of Formulae X, 1, 10, 10a, 10b, 11, 11a, 11b, 11c, 11d, 11e, or 12, an isomer thereof, or a pharmaceutically acceptable salt thereof, R is a cyclic ring, and said cyclic ring is substituted with mono-C₁₋₆ alkylaminosulfonyl. In some embodiments of a compound of Formulae X, 1, 10, 10a, 10b, 11, 11a, 11b, 11c, 11d, 11e, or 12, an isomer thereof, or a pharmaceutically acceptable salt thereof, R is a cyclic ring, and said cyclic ring is substituted with di- C₁₋₆ alkylaminosulfonyl. In some embodiments of a compound of Formulae X, 1, 10, 10a, 10b, 11, 11a, 11b, 11c, 11d, 11e, or 12, an isomer thereof, or a pharmaceutically acceptable salt thereof, R is a cyclic ring, and said cyclic ring is substituted with C₁₋₆ alkylsulfonyl. In some embodiments of a compound of Formulae X, 1, 10, 10a, 10b, 11, 11a, 11b, 11c, 11d, 11e, or 12, an isomer thereof, or a pharmaceutically acceptable salt thereof, R is a cyclic ring, and said cyclic ring is substituted with C₁₋₆ alkylcarbonyl. In some embodiments of a compound of Formulae X, 1, 10, 10a, 10b, 11, 11a, 11b, 11c, 11d, 11e, or 12, an isomer thereof, or a pharmaceutically acceptable salt thereof, R is a cyclic ring, and said cyclic ring is substituted with morpholinylcarbonyl. In some embodiments of a

compound of Formulae X, 1, 10, 10a, 10b, 11, 11a, 11b, 11c, 11d, 11e, or 12, an isomer thereof, or a pharmaceutically acceptable salt thereof, R is a cyclic ring, and said cyclic ring is substituted with benzodioxolyl. In some embodiments of a compound of Formulae X, 1, 10, 10a, 10b, 11, 11a, 11b, 11c, 11d, 11e, or 12, an isomer thereof, or a pharmaceutically acceptable salt thereof, R is a cyclic ring, and said cyclic ring is substituted with pyrrolidinyl. In some embodiments of a compound of Formulae X, 1, 10, 10a, 10b, 11, 11a, 11b, 11c, 11d, 11e, or 12, an isomer thereof, or a pharmaceutically acceptable salt thereof, R is a cyclic ring, and said cyclic ring is substituted with piperazinyl. In some embodiments of a compound of Formulae X, 1, 10, 10a, 10b, 11, 11a, 11b, 11c, 11d, 11e, or 12, an isomer thereof, or a pharmaceutically acceptable salt thereof, R is a cyclic ring, and said cyclic ring is substituted with acetylpiperazinyl. In some embodiments of a compound of Formulae X, 1, 10, 10a, 10b, 11, 11a, 11b, 11c, 11d, 11e, or 12, an isomer thereof, or a pharmaceutically acceptable salt thereof, R is a cyclic ring, and said cyclic ring is substituted with morpholinyl. In some embodiments of a compound of Formulae X, 1, 10, 10a, 10b, 11, 11a, 11b, 11c, 11d, 11e, or 12, an isomer thereof, or a pharmaceutically acceptable salt thereof, R is a cyclic ring, and said cyclic ring is substituted with tetrahydropyranyl. In some embodiments of a compound of Formulae X, 1, 10, 10a, 10b, 11, 11a, 11b, 11c, 11d, 11e, or 12, an isomer thereof, or a pharmaceutically acceptable salt thereof, R is a cyclic ring, and said cyclic ring is substituted with triazolyl. In some embodiments of a compound of Formulae X, 1, 10, 10a, 10b, 11, 11a, 11b, 11c, 11d, 11e, or 12, an isomer thereof, or a pharmaceutically acceptable salt thereof, R is a cyclic ring, and said cyclic ring is substituted with tetrazolyl. In some embodiments of a compound of Formulae X, 1, 10, 10a, 10b, 11, 11a, 11b, 11c, 11d, 11e, or 12, an isomer thereof, or a pharmaceutically acceptable salt thereof, R is a cyclic ring, and said cyclic ring is substituted with oxazolyl. In some embodiments of a compound of Formulae X, 1, 10, 10a, 10b, 11, 11a, 11b, 11c, 11d, 11e, or 12, an isomer thereof, or a pharmaceutically acceptable salt thereof, R is a cyclic ring, and said cyclic ring is substituted with isoxazolyl. In some embodiments of a compound of Formulae X, 1, 10, 10a, 10b, 11, 11a, 11b, 11c, 11d, 11e, or 12, an isomer thereof, or a pharmaceutically acceptable salt thereof, R is a cyclic ring, and said cyclic ring is substituted with oxadiazolyl. In some embodiments of a compound of Formulae X, 1, 10, 10a, 10b, 11, 11a, 11b, 11c, 11d, 11e, or 12, an isomer thereof, or a pharmaceutically acceptable salt thereof, R is a cyclic ring, and said cyclic ring is substituted with cyclopropyl-oxadiazolyl. In some embodiments of a

compound of Formulae X, 1, 10, 10a, 10b, 11, 11a, 11b, 11c, 11d, 11e, or 12, an isomer thereof, or a pharmaceutically acceptable salt thereof, R is a cyclic ring, and said cyclic ring is substituted with C₁₋₆ alkyl-oxadiazolyl. In some embodiments of a compound of Formulae X, 1, 10, 10a, 10b, 11, 11a, 11b, 11c, 11d, 11e, or 12, an isomer thereof, or a pharmaceutically acceptable salt thereof, R is a cyclic ring, and said cyclic ring is substituted with oxadiazol-5-onyl.

[0089] In one embodiment of the present technology, a compound, wherein A is pyridine; said pyridine is substituted with one or two substituents selected from the group consisting of C₁₋₃ alkyl, halogen, -R, and -C≡C-R; said R is a cyclic ring selected from the group consisting of benzene, pyridine, and pyrazole; and said cyclic ring is unsubstituted or substituted with a substituent selected from the group consisting of C₁₋₆ alkyl, trifluoromethyl, and oxazolyl; or a pharmaceutically acceptable salt thereof is provided.

[0090] In another aspect, a compound of Formula X or Formula 1, or an isomer thereof, or a pharmaceutically acceptable salt thereof, is selected from the following compounds, or an isomer thereof, or a pharmaceutically acceptable salt thereof:

2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(4-bromophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(4-bromophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(3-bromophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(3-bromophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(4-fluorophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(4-fluorophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4-(benzyloxy)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4-(benzyloxy)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(3,4-difluorophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(3,4-difluorophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(4-bromo-2-fluorophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(4-bromo-2-fluorophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(4-bromo-3-fluorophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(4-bromo-3-fluorophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(4-bromo-3-methylphenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(4-bromo-3-methylphenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(4-bromo-3-methoxyphenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(4-bromo-3-methoxyphenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(4-bromo-3,5-difluorophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(4-bromo-3,5-difluorophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(4-bromo-2,6-difluorophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(4-bromo-2,6-difluorophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(4-bromo-2,5-difluorophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(6-bromopyridin-3-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(6-bromopyridin-3-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(6-bromo-5-methylpyridin-3-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(6-bromo-5-methylpyridin-3-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(6-bromo-4-methylpyridin-3-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-bromopyridin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-bromopyridin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-bromo-3-methylpyridin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-bromo-3-methylpyridin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-bromo-4-methylpyridin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-bromo-4-methylpyridin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-bromo-3-fluoropyridin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-bromo-3-fluoropyridin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(6-bromopyridin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-bromopyrazin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(1,3-thiazol-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-bromo-1,3-thiazol-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-bromo-1,3-thiazol-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

4-[4-(5-acetylthiophen-2-yl)phenyl]-2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-fluoro-4'-(4H-1,2,4-triazol-3-yl)biphenyl-4-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-fluoro-3'-(4H-1,2,4-triazol-3-yl)biphenyl-4-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4-(1,3-benzodioxol-5-yl)-2-fluorophenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4-(2,1,3-benzoxadiazol-5-yl)-2-fluorophenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-bromo-2-methoxy-4-(morpholin-4-yl)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(1,2,3,6-tetrahydropyridin-4-yl)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one dihydrochloride;

4-[3-(5-acetylthiophen-2-yl)phenyl]-2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4'-(trifluoromethoxy)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4'-(dimethylamino)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4'-(propan-2-ylsulfanyl)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4'-(methylsulfonyl)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4'-(methylsulfonyl)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

3'-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}-N,N-dimethylbiphenyl-4-sulfonamide hydrochloride;

N-(3'-{1-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}biphenyl-4-yl)acetamide hydrochloride;

N-(3'-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}biphenyl-4-yl)acetamide hydrochloride;

2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4'-(4H-1,2,4-triazol-3-yl)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4'-(4H-1,2,4-triazol-3-yl)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3'-(4H-1,2,4-triazol-3-yl)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4'-(1,2,4-oxadiazol-3-yl)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3'-(1,2,4-oxadiazol-3-yl)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4'-(5-cyclopropyl-1,2,4-oxadiazol-3-yl)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{4'-[5-(propan-2-yl)-1,2,4-oxadiazol-3-yl]biphenyl-3-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{3'-[5-(propan-2-yl)-1,2,4-oxadiazol-3-yl]biphenyl-3-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4'-(methylsulfonyl)-1,1':4',1''-terphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4''-(methylsulfonyl)-1,1':3',1''-terphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

N-(3''-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}-1,1':4',1''-terphenyl-4-yl)acetamide hydrochloride;

N-(3''-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}-1,1':3',1''-terphenyl-4-yl)acetamide hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4'-(1,3-benzodioxol-5-yl)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3'-(1,3-benzodioxol-5-yl)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

4-[4'-(4-acetylpiperazine-1-yl)biphenyl-3-yl]-2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4'-(tetrahydro-2H-pyran-4-yl)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4'-(morpholin-4-yl)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3'-fluoro-4'-(morpholin-4-yl)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3'-chloro-4'-(morpholin-4-yl)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3'-methyl-4'-(morpholin-4-yl)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4'-(morpholin-4-ylcarbonyl)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(2-methoxypyridin-4-yl)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

N-[4-(3-{1-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}phenyl)pyridin-2-yl]acetamide hydrochloride;

N-[4-(3-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}phenyl)pyridin-2-yl]acetamide hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(pyridin-3-yl)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(6-methoxypyridin-3-yl)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{3-[6-(trifluoromethyl)pyridin-3-yl]phenyl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(6-chloropyridin-3-yl)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{3-[6-(morpholin-4-yl)pyridin-3-yl]phenyl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{3-[6-methoxy-5-(trifluoromethyl)pyridin-3-yl]phenyl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{3-[6-hydroxy-5-(trifluoromethyl)pyridin-3-yl]phenyl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

5-(3-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}phenyl)-1,3-dimethylpyridin-2(1H)-one hydrochloride;

5-(3-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}phenyl)-1-(propan-2-yl)pyridin-2(1H)-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(2-methylpyrimidin-5-yl)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(1,3-benzodioxol-5-yl)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(1,3-benzodioxol-5-yl)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(2,3-dihydro-1,4-benzodioxin-6-yl)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(2,3-dihydro-1,4-benzodioxin-6-yl)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(2,1,3-benzoxadiazol-5-yl)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(1H-indazol-6-yl)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(1H-indol-4-yl)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

4-[3-(2-amino-1,3-benzothiazol-5-yl)phenyl]-2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(1H-indol-3-yl)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(1-benzothiophen-2-yl)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

6-(3-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}phenyl)-8-methyl-3,4-dihydroquinolin-2(1H)-one hydrochloride;

6-(3-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}phenyl)-2H-1,4-benzoxazin-3(4H)-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(isoquinolin-4-yl)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(8-methylquinolin-5-yl)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[2-methyl-4'-(methylsulfonyl)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

N-(3'-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}-2'-methylbiphenyl-4-yl)acetamide;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[2-methyl-4'-(1,2-oxazol-3-yl)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

N-[4-(3-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}-2-methylphenyl)pyridin-2-yl]acetamide;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{2-methyl-3-[6-(morpholin-4-yl)pyridin-3-yl]phenyl}-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(1,3-benzodioxol-5-yl)-2-methylphenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(2,3-dihydro-1,4-benzodioxin-6-yl)-2-methylphenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(2,1,3-benzoxadiazol-5-yl)-2-methylphenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

4-[3-(2-amino-1,3-benzothiazol-5-yl)-2-methylphenyl]-2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{5-methyl-6-[4-(1H-1,2,4-triazol-3-yl)phenyl]pyridin-3-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{5-methyl-6-[3-(1H-1,2,4-triazol-3-yl)phenyl]pyridin-3-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[6-(1,3-benzodioxol-5-yl)-5-methylpyridin-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

4-[6-(2-amino-1,3-benzothiazol-5-yl)-5-methylpyridin-3-yl]-2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{4-methyl-6-[4-(methylsulfonyl)phenyl]pyridin-3-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one;

N-[4-(5-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}-4-methylpyridin-2-yl)phenyl]acetamide;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{4-methyl-6-[4-(1H-1,2,4-triazol-3-yl)phenyl]pyridin-3-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{4-methyl-6-[3-(1H-1,2,4-triazol-3-yl)phenyl]pyridin-3-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one;

N-(5-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}-4-methyl-2,4'-bipyridin-2'-yl)acetamide;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4-methyl-6'-(morpholin-4-yl)-2,3'-bipyridin-5-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[6-(2,1,3-benzoxadiazol-5-yl)-4-methylpyridin-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[6-(1H-indazol-6-yl)-4-methylpyridin-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

4-[6-(2-amino-1,3-benzothiazol-5-yl)-4-methylpyridin-3-yl]-2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

N-[5-(5-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}-4-methylpyridin-2-yl)-1,3-benzothiazol-2-yl]acetamide;

2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{5-[4-(methylsulfonyl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

N-[4-(6-{1-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}pyridin-3-yl)phenyl]acetamide hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-methyl-5-(piperazin-1-yl)pyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

4-[5-(5-acetylthiophen-2-yl)-3-methylpyridin-2-yl]-2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{3-methyl-5-[4-(methylsulfonyl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

N-[4-(6-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}-5-methylpyridin-3-yl)phenyl]acetamide hydrochloride;

2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{3-methyl-5-[4-(4H-1,2,4-triazol-3-yl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{3-methyl-5-[4-(4H-1,2,4-triazol-3-yl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{3-methyl-5-[3-(4H-1,2,4-triazol-3-yl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{3-methyl-5-[4-(1,2,5-oxadiazol-3-yl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{3-methyl-5-[4-(1,2,4-oxadiazol-3-yl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{3-methyl-5-[3-(1,2,4-oxadiazol-3-yl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{5-[4-(5-cyclopropyl-1,2,4-oxadiazol-3-yl)phenyl]-3-methylpyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(3-methyl-5-{4-[5-(propane-2-yl)-1,2,4-oxadiazol-3-yl]phenyl}pyridin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(3-methyl-5-{3-[5-(propan-2-yl)-1,2,4-oxadiazol-3-yl]phenyl}pyridin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{3-methyl-5-[3-(1H-tetrazol-5-yl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{3-methyl-5-[4-(piperazin-1-yl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{3-methyl-5-[4-(morpholin-4-ylcarbonyl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

6-(6-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}-5-methylpyridin-3-yl)-2H-1,4-benzoxazin-3(4H)-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-methyl-6'-(trifluoromethyl)-3,3'-bipyridin-6-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-methyl-6'-(morpholin-4-yl)-3,3'-bipyridin-6-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-(2H-1,3-benzodioxol-5-yl)-3-methylpyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

6-(6-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-

triazol-4-yl}-5-methylpyridin-3-yl)-1,3-dihydro-2H-indol-2-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-(2,1,3-benzoxadiazol-5-yl)-3-methylpyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-(1H-indazol-6-yl)-3-methylpyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

6-(6-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}-5-methylpyridin-3-yl)-8-methyl-3,4-dihydroquinolin-2(1H)-one hydrochloride;

6-(6-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}-5-methylpyridin-3-yl)-2H-1,4-benzoxazin-3(4H)-one hydrochloride;

7-(6-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}-5-methylpyridin-3-yl)-1,4-dihydro-2H-3,1-benzoxazin-2-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{4-methyl-5-[4-(1H-1,2,4-triazol-3-yl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{4-methyl-5-[3-(1H-1,2,4-triazol-3-yl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-(1,3-benzodioxol-5-yl)-4-methylpyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-(1H-indazol-6-yl)-4-methylpyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

4-[5-(2-amino-1,3-benzothiazol-5-yl)-4-methylpyridin-2-yl]-2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-(1,3-benzodioxol-5-yl)-3-fluoropyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

6-(6-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}-5-fluoropyridin-3-yl)-1,2-dihydro-3H-indol-3-one;

4-[5-(2-amino-1,3-benzothiazol-5-yl)-3-fluoropyridin-2-yl]-2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{4-[4-(methylsulfonyl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one;

N-[4-(2-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}pyridin-4-yl)phenyl]acetamide;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{4-[4-(1,2-oxazol-3-

yl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one;

N-(2'-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}-4,4'-bipyridin-2-yl)acetamide;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[6-(morpholin-4-yl)-3,4'-bipyridin-2'-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4-(1,3-benzodioxol-5-yl)pyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4-(2,3-dihydro-1,4-benzodioxin-6-yl)pyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4-(2,1,3-benzoxadiazol-5-yl)pyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

4-[4-(2-amino-1,3-benzothiazol-5-yl)pyridin-2-yl]-2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{6-[3-(dimethylamino)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

N-[4-(6-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}pyridin-2-yl)phenyl]acetamide;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{6-[4-(1,2-oxazol-3-yl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{6-[4-(1,2,5-oxadiazol-3-yl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{6-[4-(5-cyclopropyl-1,2,4-oxadiazol-3-yl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{6-[3-(5-cyclopropyl-1,2,4-oxadiazol-3-yl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(6-{4-[5-(propan-2-yl)-1,2,4-oxadiazol-3-yl]phenyl}pyridin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(6-{3-[5-(propan-2-yl)-1,2,4-oxadiazol-3-yl]phenyl}pyridin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one;

3-[4-(6-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}pyridin-2-yl)phenyl]-1,2,4-oxadiazol-5(4H)-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{6-[4-(pyrrolidin-1-

yl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;
2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{6-[4-(piperazin-1-yl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;
2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{6-[3-(piperazin-1-yl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;
2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{6-[4-(morpholin-4-ylcarbonyl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;
2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[6'-(trifluoromethyl)-2,3'-bipyridin-6-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;
2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[6'-(dimethylamino)-2,3'-bipyridin-6-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;
2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[6'-(morpholin-4-yl)-2,3'-bipyridin-6-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;
2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(6'-fluoro-5'-methyl-2,3'-bipyridin-6-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;
2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[6'-(dimethylamino)-5'-fluoro-2,3'-bipyridin-6-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;
2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{6-[1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;
2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[6-(1,3-benzodioxol-5-yl)pyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;
2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[6-(2,3-dihydro-1,4-benzodioxin-6-yl)pyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;
2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[6-(2,1,3-benzoxadiazol-5-yl)pyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;
4-[6-(2-amino-1,3-benzothiazol-5-yl)pyridin-2-yl]-2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;
6-{6-[1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl]pyridin-2-yl}-8-methyl-3,4-dihydroquinolin-2(1H)-one hydrochloride;
6-[6-[1-[(E)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]-2-pyridyl]-1-methyl-3,4-dihydroquinolin-2-one hydrochloride;

6-(3-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}pyridin-2-yl)-2H-1,4-benzoxazin-3(4H)-one hydrochloride;

7-(6-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}pyridin-2-yl)-1,4-dihydro-2H-3,1-benzoxazin-2-one hydrochloride;

5-(6-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}pyridin-2-yl)quinolin-2(1H)-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[6-(4-methyl-3,4-dihydro-2H-1,4-benzoxazin-7-yl)pyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[6-(dibenzo[b,d]furan-4-yl)pyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{6-[4-(5-cyclopropyl-1,2,4-oxadiazol-3-yl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(2-{4-[5-(propan-2-yl)-1,2,4-oxadiazol-3-yl]phenyl}pyridin-4-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(2-{3-[5-(propan-2-yl)-1,2,4-oxadiazol-3-yl]phenyl}pyridin-4-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{2-[4-(morpholin-4-yl)phenyl]pyridin-4-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{2-[4-(morpholin-4-ylcarbonyl)phenyl]pyridin-4-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[2-(1,3-benzodioxol-5-yl)pyridin-4-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{6-[3-(5-cyclopropyl-1,2,4-oxadiazol-3-yl)phenyl]-3-methylpyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{3-methyl-6-[4-(morpholin-4-ylcarbonyl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(6'-methoxy-5-methyl-2,3'-bipyridin-6-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[6-(1,3-benzodioxol-5-yl)-3-methylpyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[6-(1H-indazol-6-yl)-3-

methylpyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

6-(6-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}-5-methylpyridin-2-yl)-8-methyl-3,4-dihydroquinolin-2(1H)-one hydrochloride;

6-(6-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}-5-methylpyridin-2-yl)-2H-1,4-benzoxazin-3(4H)-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{5-[4-(methylsulfonyl)phenyl]pyrazin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{5-[4-(1H-1,2,4-triazol-3-yl)phenyl]pyrazin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{5-[3-(1H-1,2,4-triazol-3-yl)phenyl]pyrazin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one;

N-[4-(5-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}pyrazin-2-yl)pyridin-2-yl]acetamide;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{5-[6-(morpholin-4-yl)pyridin-3-yl]pyrazin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-(2,1,3-benzoxadiazol-5-yl)pyrazin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-(1H-indazol-6-yl)pyrazin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

4-[5-(2-amino-1,3-benzothiazol-5-yl)pyrazin-2-yl]-2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

N-[5-(5-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}pyrazin-2-yl)-1,3-benzothiazol-2-yl]acetamide;

2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{5-[4-(methylsulfonyl)phenyl]-1,3-thiazol-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{5-[4-(4H-1,2,4-triazol-3-yl)phenyl]-1,3-thiazol-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{5-[3-(4H-1,2,4-triazol-3-yl)phenyl]-1,3-thiazol-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{5-[4-(4H-1,2,4-oxadiazol-3-yl)phenyl]-1,3-thiazol-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{5-[3-(1H-tetrazol-5-yl)phenyl]-

1,3-thiazol-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one;

N-[4-(2-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}-1,3-thiazol-5-yl)pyridin-2-yl]acetamide;

2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-(1,3-benzodioxol-5-yl)-1,3-thiazol-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

6-(2-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}-1,3-thiazol-5-yl)-1,3-dihydro-2H-indol-2-one;

2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-(2,1,3-benzoxadiazol-5-yl)-1,3-thiazol-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

N-[5-(4-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}benzyl)-1,3-thiazol-2-yl]acetamide hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{4-[(E)-2-phenylethenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{6-[(E)-2-(thiophen-3-yl)ethenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(6-{(E)-2-[4-(trifluoromethyl)phenyl]ethenyl}pyridin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(E)-2-(aminomethyl)-3-fluoro-allyl]-4-[6-[(E)-2-[4-(dimethylamino)phenyl]vinyl]-2-pyridyl]-1,2,4-triazol-3-one hydrochloride;

2-[(E)-2-(aminomethyl)-3-fluoro-allyl]-4-[6-[(E)-2-(3-methyl-1,2-dihydroimidazo[4,5-b]pyridin-6-yl)vinyl]-2-pyridyl]-1,2,4-triazol-3-one hydrochloride;

7-[(E)-2-[6-[1-[(E)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]-2-pyridyl]vinyl]-1H-pyrido[2,3-b][1,4]oxazin-2-one hydrochloride;

6-[(E)-2-[6-[1-[(E)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]-2-pyridyl]vinyl]-3H-oxazolo[4,5-b]pyridin-2-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(pyridin-3-ylethynyl)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4-(pyridin-2-ylethynyl)pyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4-(pyridin-3-ylethynyl)pyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4-(pyridin-4-ylethynyl)pyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{6-[(6-methoxypyridin-3-yl)ethynyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(E)-2-(aminomethyl)-3-fluoro-allyl]-4-[6-[2-[6-(dimethylamino)-3-pyridyl]ethynyl]-2-pyridyl]-1,2,4-triazol-3-one;

2-[(E)-2-(aminomethyl)-3-fluoro-allyl]-4-[6-[2-(6-morpholino-3-pyridyl)ethynyl]-2-pyridyl]-1,2,4-triazol-3-one;

2-[(E)-2-(aminomethyl)-3-fluoro-allyl]-4-[6-[2-(3,4-dihydro-2H-1,4-benzoxazin-6-yl)ethynyl]-2-pyridyl]-1,2,4-triazol-3-one;

2-[(E)-2-(aminomethyl)-3-fluoro-allyl]-4-[6-[2-(2,3-dihydro-1H-pyrido[2,3-b][1,4]oxazin-7-yl)ethynyl]-2-pyridyl]-1,2,4-triazol-3-one;

2-[(E)-2-(aminomethyl)-3-fluoro-allyl]-4-[6-[2-(3,4-dihydro-2H-pyrido[3,2-b][1,4]oxazin-7-yl)ethynyl]-2-pyridyl]-1,2,4-triazol-3-one;

2-[(E)-2-(aminomethyl)-3-fluoro-allyl]-4-[6-[2-(2,3-dihydro-1H-pyrido[2,3-b][1,4]oxazin-6-yl)ethynyl]-2-pyridyl]-1,2,4-triazol-3-one;

6-[2-[6-[1-[(E)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]-2-pyridyl]ethynyl]-3,4-dihydro-1H-quinolin-2-one;

7-[2-[6-[1-[(E)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]-2-pyridyl]ethynyl]-4H-pyrido[3,2-b][1,4]oxazin-3-one;

2-[(E)-2-(aminomethyl)-3-fluoro-allyl]-4-[6-[2-(3-methylimidazol-4-yl)ethynyl]-2-pyridyl]-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{6-[(1-methyl-1H-pyrazol-4-yl)ethynyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

7-[2-[6-[1-[(E)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]-2-pyridyl]ethynyl]-1H-pyrido[2,3-b][1,4]oxazin-2-one; and

2-[(E)-2-(aminomethyl)-3-fluoro-allyl]-4-[3-methyl-5-(6-piperazin-1-yl-3-pyridyl)-2-pyridyl]-1,2,4-triazol-3-one.

[0091] As for the compound of Formula 1, or the isomer thereof, or a pharmaceutically acceptable salt thereof, the preferred compound may be a compound selected from the group consisting of the following compounds, or an isomer thereof, or a pharmaceutically acceptable salt thereof:

2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(4-bromophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(4-bromophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(3-bromophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(3-bromophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(4-fluorophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(4-fluorophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4-(benzyloxy)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4-(benzyloxy)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(3,4-difluorophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(3,4-difluorophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(4-bromo-2-fluorophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(4-bromo-2-fluorophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(4-bromo-3-fluorophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(4-bromo-3-fluorophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(4-bromo-3-methylphenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(4-bromo-3-methylphenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(4-bromo-3-methoxyphenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(4-bromo-3-methoxyphenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(4-bromo-3,5-difluorophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(4-bromo-3,5-difluorophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(4-bromo-2,6-difluorophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(4-bromo-2,6-difluorophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(4-bromo-2,5-difluorophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(6-bromopyridin-3-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(6-bromopyridin-3-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(6-bromo-5-methylpyridin-3-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(6-bromo-5-methylpyridin-3-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(6-bromo-4-methylpyridin-3-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-bromopyridin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-bromopyridin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-bromo-3-methylpyridin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-bromo-3-methylpyridin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-bromo-4-methylpyridin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-bromo-4-methylpyridin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-bromo-3-fluoropyridin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-bromo-3-fluoropyridin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(6-bromopyridin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-bromopyrazin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(1,3-thiazol-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-bromo-1,3-thiazol-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-bromo-1,3-thiazol-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

4-[4-(5-acetylthiophen-2-yl)phenyl]-2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-fluoro-4'-(4H-1,2,4-triazol-3-yl)biphenyl-4-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-fluoro-3'-(4H-1,2,4-triazol-3-yl)biphenyl-4-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4-(1,3-benzodioxol-5-yl)-2-fluorophenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4-(2,1,3-benzoxadiazol-5-yl)-2-fluorophenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-bromo-2-methoxy-4-(morpholin-4-yl)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(1,2,3,6-tetrahydropyridin-4-yl)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one dihydrochloride;

4-[3-(5-acetylthiophen-2-yl)phenyl]-2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4'-(trifluoromethoxy)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4'-(dimethylamino)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4'-(propan-2-ylsulfanyl)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4'-(methylsulfonyl)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4'-(methylsulfonyl)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

3'-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}-N,N-dimethylbiphenyl-4-sulfonamide hydrochloride;

N-(3'-{1-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}biphenyl-4-yl)acetamide hydrochloride;

N-(3'-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}biphenyl-4-yl)acetamide hydrochloride;

2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4'-(4H-1,2,4-triazol-3-yl)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4'-(4H-1,2,4-triazol-3-yl)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3'-(4H-1,2,4-triazol-3-yl)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4'-(1,2,4-oxadiazol-3-yl)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3'-(1,2,4-oxadiazol-3-yl)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4'-(5-cyclopropyl-1,2,4-oxadiazol-3-yl)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{4'-[5-(propan-2-yl)-1,2,4-oxadiazol-3-yl]biphenyl-3-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{3'-[5-(propan-2-yl)-1,2,4-oxadiazol-3-yl]biphenyl-3-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4''-(methylsulfonyl)-1,1':4',1''-terphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4''-(methylsulfonyl)-1,1':3',1''-terphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

N-(3''-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}-1,1':4',1''-terphenyl-4-yl)acetamide hydrochloride;

N-(3''-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}-1,1':3',1''-terphenyl-4-yl)acetamide hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4'-(1,3-benzodioxol-5-yl)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3'-(1,3-benzodioxol-5-yl)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

4-[4'-(4-acetylpiperazine-1-yl)biphenyl-3-yl]-2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4'-(tetrahydro-2H-pyran-4-yl)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4'-(morpholin-4-yl)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3'-fluoro-4'-(morpholin-4-yl)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3'-chloro-4'-(morpholin-4-yl)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3'-methyl-4'-(morpholin-4-yl)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4'-(morpholin-4-ylcarbonyl)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(2-methoxypyridin-4-yl)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

N-[4-(3-{1-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}phenyl)pyridin-2-yl]acetamide hydrochloride;

N-[4-(3-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}phenyl)pyridin-2-yl]acetamide hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(pyridin-3-yl)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(6-methoxypyridin-3-yl)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{3-[6-(trifluoromethyl)pyridin-3-yl]phenyl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(6-chloropyridin-3-yl)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{3-[6-(morpholin-4-yl)pyridin-3-yl]phenyl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{3-[6-methoxy-5-(trifluoromethyl)pyridin-3-yl]phenyl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{3-[6-hydroxy-5-(trifluoromethyl)pyridin-3-yl]phenyl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

5-(3-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}phenyl)-1,3-dimethylpyridin-2(1H)-one hydrochloride;

5-(3-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}phenyl)-1-(propan-2-yl)pyridin-2(1H)-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(2-methylpyrimidin-5-yl)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(1,3-benzodioxol-5-yl)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(1,3-benzodioxol-5-yl)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(2,3-dihydro-1,4-benzodioxin-6-yl)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(2,3-dihydro-1,4-benzodioxin-6-yl)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(2,1,3-benzoxadiazol-5-yl)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(1H-indazol-6-yl)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(1H-indol-4-yl)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

4-[3-(2-amino-1,3-benzothiazol-5-yl)phenyl]-2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(1H-indol-3-yl)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(1-benzothiophen-2-yl)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

6-(3-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}phenyl)-8-methyl-3,4-dihydroquinolin-2(1H)-one hydrochloride;

6-(3-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}phenyl)-2H-1,4-benzoxazin-3(4H)-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(isoquinolin-4-yl)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(8-methylquinolin-5-yl)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[2-methyl-4'-(methylsulfonyl)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

N-(3'-(1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl)-2'-methylbiphenyl-4-yl)acetamide;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[2-methyl-4'-(1,2-oxazol-3-yl)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

N-[4-(3-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}-2-methylphenyl)pyridin-2-yl]acetamide;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{2-methyl-3-[6-(morpholin-4-yl)pyridin-3-yl]phenyl}-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(1,3-benzodioxol-5-yl)-2-methylphenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(2,3-dihydro-1,4-benzodioxin-6-yl)-2-methylphenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(2,1,3-benzoxadiazol-5-yl)-2-methylphenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

4-[3-(2-amino-1,3-benzothiazol-5-yl)-2-methylphenyl]-2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{5-methyl-6-[4-(1H-1,2,4-triazol-3-yl)phenyl]pyridin-3-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{5-methyl-6-[3-(1H-1,2,4-triazol-3-yl)phenyl]pyridin-3-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[6-(1,3-benzodioxol-5-yl)-5-methylpyridin-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

4-[6-(2-amino-1,3-benzothiazol-5-yl)-5-methylpyridin-3-yl]-2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{4-methyl-6-[4-(methylsulfonyl)phenyl]pyridin-3-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one;

N-[4-(5-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}-4-methylpyridin-2-yl)phenyl]acetamide;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{4-methyl-6-[4-(1H-1,2,4-triazol-3-yl)phenyl]pyridin-3-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{4-methyl-6-[3-(1H-1,2,4-triazol-3-yl)phenyl]pyridin-3-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one;

N-(5-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}-4-methyl-2,4'-bipyridin-2'-yl)acetamide;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4-methyl-6'-(morpholin-4-yl)-2,3'-bipyridin-5-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[6-(2,1,3-benzoxadiazol-5-yl)-4-methylpyridin-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[6-(1H-indazol-6-yl)-4-methylpyridin-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

4-[6-(2-amino-1,3-benzothiazol-5-yl)-4-methylpyridin-3-yl]-2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

N-[5-(5-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}-4-methylpyridin-2-yl)-1,3-benzothiazol-2-yl]acetamide;

2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{5-[4-(methylsulfonyl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

N-[4-(6-{1-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}pyridin-3-yl)phenyl]acetamide hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-methyl-5-(piperazin-1-yl)pyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

4-[5-(5-acetylthiophen-2-yl)-3-methylpyridin-2-yl]-2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{3-methyl-5-[4-(methylsulfonyl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

N-[4-(6-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}-5-methylpyridin-3-yl)phenyl]acetamide hydrochloride;

2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{3-methyl-5-[4-(4H-1,2,4-triazol-3-yl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{3-methyl-5-[4-(4H-1,2,4-triazol-3-yl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{3-methyl-5-[3-(4H-1,2,4-triazol-3-yl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{3-methyl-5-[4-(1,2,5-oxadiazol-3-yl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{3-methyl-5-[4-(1,2,4-oxadiazol-3-yl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{3-methyl-5-[3-(1,2,4-oxadiazol-3-yl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{5-[4-(5-cyclopropyl-1,2,4-oxadiazol-3-yl)phenyl]-3-methylpyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(3-methyl-5-{4-[5-(propane-2-yl)-1,2,4-oxadiazol-3-yl]phenyl}pyridin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(3-methyl-5-{3-[5-(propan-2-yl)-1,2,4-oxadiazol-3-yl]phenyl}pyridin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one

hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{3-methyl-5-[3-(1H-tetrazol-5-yl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{3-methyl-5-[4-(piperazin-1-yl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{3-methyl-5-[4-(morpholin-4-ylcarbonyl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

6-(6-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}-5-methylpyridin-3-yl)-2H-1,4-benzoxazin-3(4H)-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-methyl-6'-(trifluoromethyl)-3,3'-bipyridin-6-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-methyl-6'-(morpholin-4-yl)-3,3'-bipyridin-6-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-(2H-1,3-benzodioxol-5-yl)-3-methylpyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

6-(6-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}-5-methylpyridin-3-yl)-1,3-dihydro-2H-indol-2-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-(2,1,3-benzoxadiazol-5-yl)-3-methylpyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-(1H-indazol-6-yl)-3-methylpyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

6-(6-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}-5-methylpyridin-3-yl)-8-methyl-3,4-dihydroquinolin-2(1H)-one hydrochloride;

6-(6-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}-5-methylpyridin-3-yl)-2H-1,4-benzoxazin-3(4H)-one hydrochloride;

7-(6-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}-5-methylpyridin-3-yl)-1,4-dihydro-2H-3,1-benzoxazin-2-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{4-methyl-5-[4-(1H-1,2,4-triazol-3-yl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{4-methyl-5-[3-(1H-1,2,4-triazol-3-yl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-(1,3-benzodioxol-5-yl)-4-

methylpyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-(1H-indazol-6-yl)-4-methylpyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

4-[5-(2-amino-1,3-benzothiazol-5-yl)-4-methylpyridin-2-yl]-2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-(1,3-benzodioxol-5-yl)-3-fluoropyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

6-(6-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}-5-fluoropyridin-3-yl)-1,2-dihydro-3H-indol-3-one;

4-[5-(2-amino-1,3-benzothiazol-5-yl)-3-fluoropyridin-2-yl]-2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{4-[4-(methylsulfonyl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one;

N-[4-(2-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}pyridin-4-yl)phenyl]acetamide;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{4-[4-(1,2-oxazol-3-yl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one;

N-(2'-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}-4,4'-bipyridin-2-yl)acetamide;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[6-(morpholin-4-yl)-3,4'-bipyridin-2'-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4-(1,3-benzodioxol-5-yl)pyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4-(2,3-dihydro-1,4-benzodioxin-6-yl)pyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4-(2,1,3-benzoxadiazol-5-yl)pyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

4-[4-(2-amino-1,3-benzothiazol-5-yl)pyridin-2-yl]-2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{6-[3-(dimethylamino)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

N-[4-(6-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-

1,2,4-triazol-4-yl}pyridin-2-yl)phenyl]acetamide;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{6-[4-(1,2-oxazol-3-yl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{6-[4-(1,2,5-oxadiazol-3-yl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{6-[4-(5-cyclopropyl-1,2,4-oxadiazol-3-yl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{6-[3-(5-cyclopropyl-1,2,4-oxadiazol-3-yl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(6-{4-[5-(propan-2-yl)-1,2,4-oxadiazol-3-yl]phenyl}pyridin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(6-{3-[5-(propan-2-yl)-1,2,4-oxadiazol-3-yl]phenyl}pyridin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one;

3-[4-(6-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}pyridin-2-yl)phenyl]-1,2,4-oxadiazol-5(4H)-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{6-[4-(pyrrolidin-1-yl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{6-[4-(piperazin-1-yl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{6-[3-(piperazin-1-yl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{6-[4-(morpholin-4-ylcarbonyl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[6'-(trifluoromethyl)-2,3'-bipyridin-6-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[6'-(dimethylamino)-2,3'-bipyridin-6-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[6'-(morpholin-4-yl)-2,3'-bipyridin-6-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(6'-fluoro-5'-methyl-2,3'-bipyridin-6-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[6'-(dimethylamino)-5'-fluoro-

2,3'-bipyridin-6-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{6-[1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[6-(1,3-benzodioxol-5-yl)pyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[6-(2,3-dihydro-1,4-benzodioxin-6-yl)pyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[6-(2,1,3-benzoxadiazol-5-yl)pyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

4-[6-(2-amino-1,3-benzothiazol-5-yl)pyridin-2-yl]-2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

6-(6-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}pyridin-2-yl)-8-methyl-3,4-dihydroquinolin-2(1H)-one hydrochloride;

6-[6-[1-[(E)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]-2-pyridyl]-1-methyl-3,4-dihydroquinolin-2-one hydrochloride;

6-(3-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}pyridin-2-yl)-2H-1,4-benzoxazin-3(4H)-one hydrochloride;

7-(6-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}pyridin-2-yl)-1,4-dihydro-2H-3,1-benzoxazin-2-one hydrochloride;

5-(6-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}pyridin-2-yl)quinolin-2(1H)-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[6-(4-methyl-3,4-dihydro-2H-1,4-benzoxazin-7-yl)pyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[6-(dibenzo[b,d]furan-4-yl)pyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{6-[4-(5-cyclopropyl-1,2,4-oxadiazol-3-yl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(2-{4-[5-(propan-2-yl)-1,2,4-oxadiazol-3-yl]phenyl}pyridin-4-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(2-{3-[5-(propan-2-yl)-1,2,4-oxadiazol-3-yl]phenyl}pyridin-4-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{2-[4-(morpholin-4-yl)phenyl]pyridin-4-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{2-[4-(morpholin-4-ylcarbonyl)phenyl]pyridin-4-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[2-(1,3-benzodioxol-5-yl)pyridin-4-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{6-[3-(5-cyclopropyl-1,2,4-oxadiazol-3-yl)phenyl]-3-methylpyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{3-methyl-6-[4-(morpholin-4-ylcarbonyl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(6'-methoxy-5-methyl-2,3'-bipyridin-6-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[6-(1,3-benzodioxol-5-yl)-3-methylpyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[6-(1H-indazol-6-yl)-3-methylpyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

6-(6-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}-5-methylpyridin-2-yl)-8-methyl-3,4-dihydroquinolin-2(1H)-one hydrochloride;

6-(6-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}-5-methylpyridin-2-yl)-2H-1,4-benzoxazin-3(4H)-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{5-[4-(methylsulfonyl)phenyl]pyrazin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{5-[4-(1H-1,2,4-triazol-3-yl)phenyl]pyrazin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{5-[3-(1H-1,2,4-triazol-3-yl)phenyl]pyrazin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one;

N-[4-(5-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}pyrazin-2-yl)pyridin-2-yl]acetamide;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{5-[6-(morpholin-4-yl)pyridin-3-yl]pyrazin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-(2,1,3-benzoxadiazol-5-

yl)pyrazin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-(1H-indazol-6-yl)pyrazin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

4-[5-(2-amino-1,3-benzothiazol-5-yl)pyrazin-2-yl]-2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

N-[5-(5-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}pyrazin-2-yl)-1,3-benzothiazol-2-yl]acetamide;

2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{5-[4-(methylsulfonyl)phenyl]-1,3-thiazol-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{5-[4-(4H-1,2,4-triazol-3-yl)phenyl]-1,3-thiazol-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{5-[3-(4H-1,2,4-triazol-3-yl)phenyl]-1,3-thiazol-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{5-[4-(4H-1,2,4-oxadiazol-3-yl)phenyl]-1,3-thiazol-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{5-[3-(1H-tetrazol-5-yl)phenyl]-1,3-thiazol-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one;

N-[4-(2-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}-1,3-thiazol-5-yl)pyridin-2-yl]acetamide;

2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-(1,3-benzodioxol-5-yl)-1,3-thiazol-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

6-(2-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}-1,3-thiazol-5-yl)-1,3-dihydro-2H-indol-2-one;

2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-(2,1,3-benzoxadiazol-5-yl)-1,3-thiazol-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

N-[5-(4-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}benzyl)-1,3-thiazol-2-yl]acetamide hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{4-[(E)-2-phenylethenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{6-[(E)-2-(thiophen-3-yl)ethenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(6-{(E)-2-[4-

(trifluoromethyl)phenyl]ethenyl}pyridin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(E)-2-(aminomethyl)-3-fluoro-allyl]-4-[6-[(E)-2-[4-(dimethylamino)phenyl]vinyl]-2-pyridyl]-1,2,4-triazol-3-one hydrochloride;

2-[(E)-2-(aminomethyl)-3-fluoro-allyl]-4-[6-[(E)-2-(3-methyl-1,2-dihydroimidazo[4,5-b]pyridin-6-yl)vinyl]-2-pyridyl]-1,2,4-triazol-3-one hydrochloride;

7-[(E)-2-[6-[1-[(E)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]-2-pyridyl]vinyl]-1H-pyrido[2,3-b][1,4]oxazin-2-one hydrochloride;

6-[(E)-2-[6-[1-[(E)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]-2-pyridyl]vinyl]-3H-oxazolo[4,5-b]pyridin-2-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(pyridin-3-ylethynyl)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4-(pyridin-2-ylethynyl)pyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4-(pyridin-3-ylethynyl)pyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4-(pyridin-4-ylethynyl)pyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{6-[(6-methoxypyridin-3-yl)ethynyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(E)-2-(aminomethyl)-3-fluoro-allyl]-4-[6-[2-[6-(dimethylamino)-3-pyridyl]ethynyl]-2-pyridyl]-1,2,4-triazol-3-one;

2-[(E)-2-(aminomethyl)-3-fluoro-allyl]-4-[6-[2-(6-morpholino-3-pyridyl)ethynyl]-2-pyridyl]-1,2,4-triazol-3-one;

2-[(E)-2-(aminomethyl)-3-fluoro-allyl]-4-[6-[2-(3,4-dihydro-2H-1,4-benzoxazin-6-yl)ethynyl]-2-pyridyl]-1,2,4-triazol-3-one;

2-[(E)-2-(aminomethyl)-3-fluoro-allyl]-4-[6-[2-(2,3-dihydro-1H-pyrido[2,3-b][1,4]oxazin-7-yl)ethynyl]-2-pyridyl]-1,2,4-triazol-3-one;

2-[(E)-2-(aminomethyl)-3-fluoro-allyl]-4-[6-[2-(3,4-dihydro-2H-pyrido[3,2-b][1,4]oxazin-7-yl)ethynyl]-2-pyridyl]-1,2,4-triazol-3-one;

2-[(E)-2-(aminomethyl)-3-fluoro-allyl]-4-[6-[2-(2,3-dihydro-1H-pyrido[2,3-b][1,4]oxazin-6-yl)ethynyl]-2-pyridyl]-1,2,4-triazol-3-one;

6-[2-[6-[1-[(E)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]-2-pyridyl]ethynyl]-3,4-dihydro-1H-quinolin-2-one;

7-[2-[6-[1-[(E)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]-2-pyridyl]ethynyl]-4H-pyrido[3,2-b][1,4]oxazin-3-one;

2-[(E)-2-(aminomethyl)-3-fluoro-allyl]-4-[6-[2-(3-methylimidazol-4-yl)ethynyl]-2-pyridyl]-1,2,4-triazol-3-one; and

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{6-[(1-methyl-1H-pyrazol-4-yl)ethynyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride.

[0092] As for the compound of Formula 1, or an isomer thereof, or a pharmaceutically acceptable salt, the more preferred compound may be a compound selected from the group consisting of the following compounds, or an isomer thereof, or a pharmaceutically acceptable salt thereof:

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-bromo-3-methylpyridin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4'-(methylsulfanyl)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-(2H-1,3-benzodioxol-5-yl)-3-methylpyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-6-[4-(1,2-oxazol-3-yl)phenyl]pyridin-2-yl-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[6'-(trifluoromethyl)-2,3'-bipyridin-6-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride; and

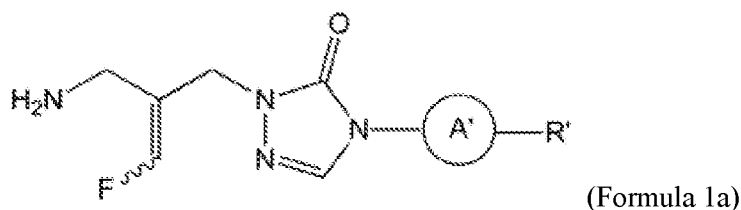
2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-6-[(1-methyl-1H-pyrazol-4-yl)ethynyl]pyridin-2-yl-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride.

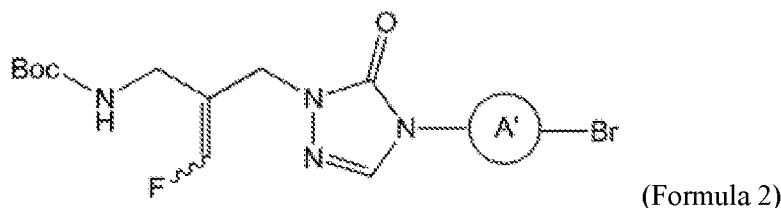
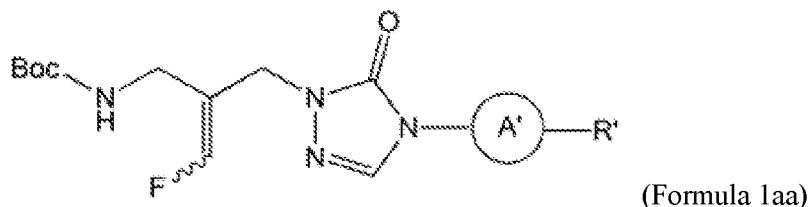
[0093] The compound of Formulae X, 1, 10, 10a, 10b, 11, 11a, 11b, 11c, 11d, 11e, or 12 or a salt thereof may exist as the geometric isomer of a cis or trans structure. Thus, unless indicated otherwise, the compound of Formula 1 or salt thereof comprises both geometric isomers of cis and trans structures.

[0094] The compound of Formulae X, 1, 10, 10a, 10b, 11, 11a, 11b, 11c, 11d, 11e, or 12 of the present technology can be in the form of a pharmaceutically acceptable salt. The term “pharmaceutically acceptable salt,” as used herein, represents salts or zwitterionic forms of

the compounds of the present technology which are water or oil-soluble or dispersible; which are suitable for treatment of diseases without undue toxicity, irritation, and allergic-response; which are commensurate with a reasonable benefit/risk ratio; and which are effective for their intended use. The salts can be prepared during the final isolation and purification of the compounds or separately by, for example, reacting the appropriate compound in the form of the free base with a suitable acid. Such salts include conventional acid addition salts, e.g., a salt derived from inorganic acid such as hydrochloric acid, bromic acid, sulfuric acid, sulfamic acid, phosphoric acid, or nitric acid and a salt derived from organic acid such as acetic acid, propionic acid, succinic acid, glycolic acid, stearic acid, citric acid, maleic, malonic acid, methanesulfonic acid, tartaric acid, malic acid, phenylacetic acid, glutamic acid, benzoic acid, salicylic acid, 2-acetoxybenzoic acid, fumaric acid, p-toluenesulfonic acid, oxalic acid or trifluoroacetic acid. Further, said salts include conventional metal salt types, e.g. a salt derived from a metal such as lithium, sodium, potassium, magnesium, or calcium. Said acid addition salt or metal salt can be prepared according to conventional methods.

[0095] The compound of Formulae X, 1, 10, 10a, 10b, 11, 11a, 11b, 11c, 11d, 11e, or 12 or a salt thereof according to the technology may be prepared by various methods. For example, a compound of Formula 1a, or an isomer thereof, or a salt thereof, wherein A is a substituted aryl or heteroaryl group (i.e., the compound of Formula 1a wherein A is an aryl or heteroaryl group selected from the group consisting of phenyl, pyridine, pyrazine, and thiazole and wherein said aryl or heteroaryl group is optionally substituted with one to three substituents selected from the group consisting of C₁₋₃ alkyl, C₁₋₃ alkoxy, halogen, benzyloxy, -R, -CH₂-R, -CH=CH-R, and -C≡C-R) can be prepared by a preparation process comprising the step of reacting a compound of Formula 2 with a compound of Formula 3a or a compound of Formula 3b to obtain a compound of Formula 1aa; and the step of deprotecting said compound of Formula 1aa.

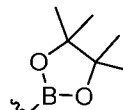




Z_2-B-R' (Formula 3a)

$HC\equiv CR'$ (Formula 3b)

[0096] In said Formulae 1a, 1aa, 2, 3a and 3b, Boc is an amine protecting group (e.g., tert-butoxycarbonyl, 9-fluorenylmethyloxycarbonyl (Fmoc), benzyloxycarbonyl (CBZ), triphenylmethyl (trityl), etc.), A' is an aryl or heteroaryl group selected from the group consisting of phenyl, pyridine, pyrazine, and thiazole; Z is hydroxy or C_{1-3} alkoxy, or two Z



together with the boron to which they are attached form Z_2-B-R' ; R' is one to three groups independently selected from the group consisting of -R, $-CH_2-R$, $-CH=CH-R$, and $-C\equiv C-R$; and R is the same as defined above. In some embodiments, R is a substituted or unsubstituted cyclic ring, optionally containing at least one heteroatom, and the cyclic ring is aromatic or non-aromatic. In some embodiments, R is a cyclic ring selected from the group consisting of benzene, phenylbenzene, pyridine, tetrahydropyridine, pyridin-2-one, pyrimidine, thiophene, thiazole, imidazole, pyrazole, piperazine, morpholine, benzodioxole, benzoxadiazole, benzothiophene, benzothiazole, 2,3-dihydro-benzodioxine, indazole, indole, 1,3-dihydroindol-2-one, 1,2-dihydroindol-3-one, quinoline, isoquinoline, quinolin-2-one, 3,4-dihydroquinolin-2-one, 3,4-dihydro-1,4-benzoxazine, 1,4-benzoxazin-3-one, 3,1-benzoxazin-2-one, 2,3-dihydro-imidazo[4,5-b]pyridine, oxazolo[4,5-b]pyridin-2-one, 2,3-dihydro-pyrido[2,3-b][1,4]oxazine, 3,4-dihydro-pyrido[3,2-b][1,4]oxazine, pyrido[2,3-b][1,4]oxazin-2-one, pyrido[3,2-b][1,4]oxazin-3-one, and dibenzo[b,d]furan; wherein said cyclic ring is

optionally substituted with one or two substituents selected from the group consisting of hydroxy, halogen, C₁₋₆ alkyl, trifluoromethyl, C₁₋₆ alkoxy, trifluoromethoxy, amino, mono- or di-C₁₋₆ alkylamino, C₁₋₆ alkylcarbonylamino, C₁₋₆ alkylthio, mono- or di-C₁₋₆ alkylaminosulfonyl, C₁₋₆ alkylsulfonyl, C₁₋₆ alkylcarbonyl, morpholinylcarbonyl, benzodioxolyl, pyrrolidinyl, piperazinyl, acetylpiperazinyl, morpholinyl, tetrahydropyranyl, triazolyl, tetrazolyl, isoxazolyl, oxazolyl, oxadiazolyl, cyclopropyl-oxadiazolyl, C₁₋₆ alkyl-oxadiazolyl, and oxadiazol-5-onyl.

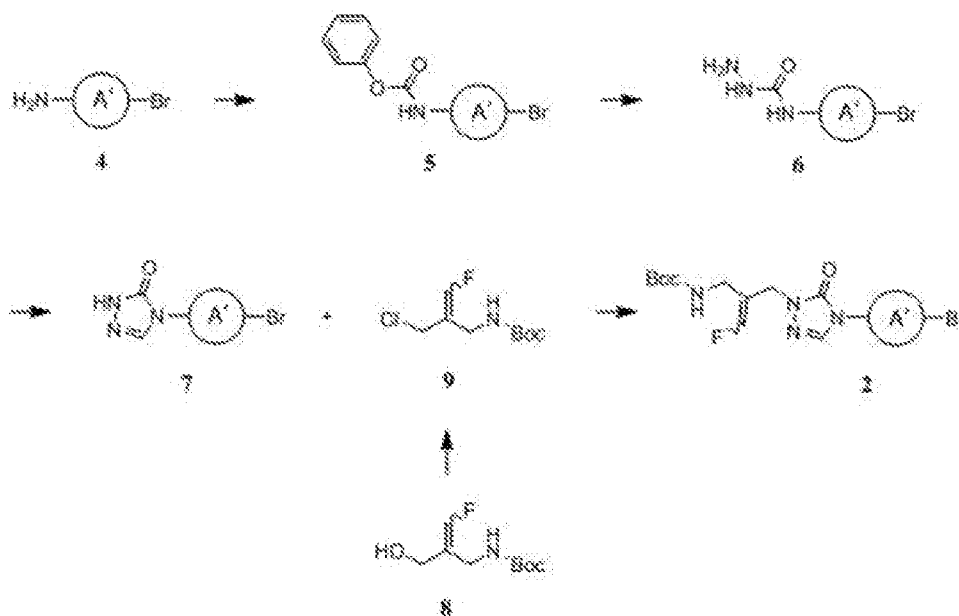
[0097] The reaction of the compound of Formula 2 above with a commercially available compound of Formula 3a may be carried out via Suzuki reaction. Said reaction can be carried out by using a palladium catalyst. The palladium catalyst includes palladium diacetate (Pd(OAc)₂), tris(dibenzylideneacetone)dipalladium (Pd₂(dba)₃), tetrakis(triphenylphosphine)palladium (Pd(PPh₃)₄) or palladium di[1,1'-bis(diphenylphosphino)ferrocene]dichloride (PdCl₂(dppf)₂), etc. In the reaction carried out under a palladium catalyst, a ligand and a base can be added in addition to the palladium catalyst. Said ligand includes (*S*)-2,2-bis(diphenylphosphino)-1,1'-binaphthyl (BINAP), 1,1'-bis(diphenylphosphino)ferrocene (dppf) or (tri-*O*-tolyl)phosphine (P(*O*-Tol)₃), etc., and said base includes an inorganic base such as cesium carbonate (Cs₂CO₃), sodium carbonate (Na₂CO₃), potassium carbonate (K₂CO₃), potassium fluoride (KF), cesium fluoride (CsF), sodium hydroxide (NaOH), potassium phosphonate (K₃PO₄), sodium *tert*-butoxide (*tert*-BuONa), potassium *tert*-butoxide (*tert*-BuOK), or the like. The reaction may be carried out, in a non-polar organic solvent such as benzene or toluene, or a polar solvent such as dioxane, tetrahydrofuran, acetonitrile, 1,2-dimethoxyethane, *N,N*-dimethylformamide, or the like, at a temperature ranging from 50 °C to 150 °C, preferably from 80 °C to 110 °C. Other reaction conditions, including e.g., reaction time, may be determined from the reaction conditions for conventional Suzuki reaction (Barbara Czako and Laszlo Kurti, *STRATEGIC APPLICATIONS of NAMED REACTIONS in ORGANIC SYNTHESIS*, 2005). Further, the reaction of the compound of Formula 2 and the commercially available compound of Formula 3b (i.e., an ethyne derivative) can be carried out via Sonogashira coupling reaction using a palladium reagent such as bis(triphenylphosphine)palladium(II) dichloride, tetrakis(triphenylphosphine)palladium(0), etc. and a copper iodide. The coupling reaction may be carried out at room temperature or a heated temperature, e.g., a temperature ranging from 20 °C to 60 °C. In addition, in order to

improve reaction rate and reaction yield, said coupling reaction can be carried out in the presence of a base such as a diisopropylamine, a triethylamine, etc. and a ligand such as triphenylphosphine, or the like.

[0098] Deprotection of the compound of Formula 1aa can be carried out by conventional methods of removing an amine protecting group. For example, said amine protecting group in an organic solvent such as dichloromethane, etc. can be removed in the form of a free amine by using an acid such as trifluoroacetic acid or can be removed in the form of a hydrochloride salt by using hydrogen chloride melt in the organic solvents, diethyl ether, 1,4-dioxane, etc.

[0099] The compound of Formula 2 can be prepared according to the following Reaction Scheme 1.

Reaction Scheme 1.



In Reaction Scheme 1, A' and Boc are the same as defined in the above.

[0100] The compound of Formula 4 is commercially available. The compound of Formula 4 can be converted to the compound of Formula 5 via nucleophilic acylsubstitution reaction. Said nucleophilic acylsubstitution reaction can be carried out at 0 °C to room temperature by using pyridine or triethylamine, etc. in a solvent such as ethyl acetate,

tetrahydrofuran, etc. (Chunquan Sheng; Xiaoying Che; Wenya Wang; Shengzheng Wang; Yongbing Cao; Zhenyuan Miao; Jianzhong Yao; Wannian Zhang, *European Journal of Medicinal Chemistry*, 46, 5276-5282, 2011).

[0101] The compound of Formula 5 can be converted to the compound of Formula 6 via hydrazinolysis reaction. The hydrazinolysis reaction can be carried out according to known methods (e.g., WO 2005/014583, etc.).

[0102] The compound of Formula 6 can be converted to the compound of Formula 7 via cyclization reaction. The cyclization reaction can be carried out at room temperature to 80°C by using an acetic acid in *N,N*-dimethylformamide (Chunquan Sheng; Xiaoying Che; Wenya Wang; Shengzheng Wang; Yongbing Cao; Zhenyuan Miao; Jianzhong Yao; Wannian Zhang, *European Journal of Medicinal Chemistry*, 46, 5276-5282, 2011).

[0103] The coupling reaction of the compound of Formula 7 with the compound of Formula 9 can be carried out in the presence of a base and a solvent. Said base may be cesium carbonate, potassium carbonate, sodium carbonate, etc. and said solvent may be an organic solvent such as *N,N*-dimethylformamide, dioxane, tetrahydrofuran, etc. Further, said reaction can be carried out at room temperature to 100°C.

[0104] The compound of Formula 9 can be obtained from chlorination reaction of the commercially available compound of Formula 8. Said chlorination reaction can be carried out in the presence of conventional inorganic bases and organic solvents.

[0105] The aryl or heteroaryl triazolone derivatives according to the present technology, i.e., the compound of Formulae X, 1, 10, 10a, 10b, 11, 11a, 11b, 11c, 11d, 11e, or 12, or an isomer thereof, or a pharmaceutically acceptable salt thereof, have a selective inhibitory activity on VAP-1, and thus, can be usefully applied in the prevention or treatment of a disease mediated by VAP-1. Preferably, the compound of Formulae X, 1, 10, 10a, 10b, 11, 11a, 11b, 11c, 11d, 11e, or 12 according to the present technology, or an isomer thereof, or a pharmaceutically acceptable salt thereof can be usefully applied, for example, in the prevention or treatment of nonalcoholic steatohepatitis (NASH).

[0106] In some embodiments, provided herein is the use of the aryl or heteroaryl triazolone derivatives according to the present technology, i.e., the compound of Formulae X,

1, 10, 10a, 10b, 11, 11a, 11b, 11c, 11d, 11e, or 12, or an isomer thereof, or a pharmaceutically acceptable salt thereof, in the preparation of a medicament for the prophylaxis and/or treatment of lipid and lipoprotein disorders (such as, but not limited to, hypercholesterolemia, hypertriglyceridemia, and atherosclerosis), of conditions and diseases which result from chronic fatty and fibrotic degeneration of organs due to accumulated lipid and specifically triglyceride accumulation and subsequent activation of profibrotic pathways (such as, but not limited to, NASH and chronic cholestatic conditions in the liver, Glomerulosclerosis and Diabetic Nephropathy in the kidney, Macular Degeneration and Diabetic Retinopathy in the eye and neurodegenerative diseases, such as Alzheimer's Disease in the brain, or Diabetic Neuropathies in the peripheral nervous system), of Type I or Type II Diabetes and clinical complications of Type I and Type II Diabetes (such as, but not limited to, Diabetic Nephropathy, Diabetic Retinopathy, Diabetic Neuropathies, or Peripheral Arterial Occlusive Disease (PAOD)), of chronic intrahepatic or some forms of extrahepatic cholestatic conditions, of liver fibrosis, of acute intrahepatic cholestatic conditions, of obstructive or chronic inflammatory disorders that arise out of improper bile composition (such as, but not limited to, cholelithiasis also known as cholesterol gallstones), of gastrointestinal conditions with a reduced uptake of dietary fat and fat-soluble dietary vitamins, of inflammatory bowel diseases, of obesity and metabolic syndrome (combined conditions of dyslipidemia, diabetes and abnormally high body-mass index), of persistent infections by intracellular bacteria or parasitic protozoae, of non-malignant hyperproliferative disorders, of malignant hyperproliferative disorders (such as, but not limited to, different forms of cancer, specifically certain forms of breast, liver or colon cancer, or a disorder selected from the group consisting of hepatocellular carcinoma, colon adenoma, and polyposis), of colon adenocarcinoma and hepatocellular carcinoma in particular, of liver steatosis and associated syndromes, of Hepatitis B infection, of Hepatitis C infection and/or of cholestatic and fibrotic effects that are associated with alcohol-induced cirrhosis or with viral-borne forms of hepatitis, of liver failure or liver malfunction as an outcome of chronic liver diseases or of surgical liver resection, of acute myocardial infarction, of acute stroke, of thrombosis which occurs as an endpoint of chronic obstructive atherosclerosis, of osteoarthritis, of rheumatoid arthritis, of psoriasis, or of cerebral infarction, individually or of any combination thereof.

[0107] In some embodiments, the compounds and/or pharmaceutical compositions disclosed herein are used for prophylaxis and/or treatment of chronic intrahepatic conditions,

such as Primary Biliary Cirrhosis (PBC), Primary Sclerosing Cholangitis (PSC), progressive familial cholestasis (PFIC), alcohol-induced cirrhosis and associated cholestasis, and some forms of extrahepatic cholestatic conditions, or liver fibrosis.

[0108] In some embodiments, provided herein is a method to treat chronic intrahepatic conditions and/or some forms of extrahepatic cholestatic conditions in a patient in need thereof, the method comprising, consisting essentially of, or consisting of administering to the patient a therapeutically effective amount of a compound or a composition disclosed herein. In some embodiments, the chronic intrahepatic conditions are selected from PBC, PSC, PFIC, and alcohol-induced cirrhosis and associated cholestasis.

[0109] In some embodiments, provided herein is a method to treat liver fibrosis in a patient in need thereof, the method comprising, consisting essentially of, or consisting of administering to the patient a therapeutically effective amount of a compound or a composition disclosed herein.

[0110] In some embodiments, provided herein is a method to treat a lipid and lipoprotein disorder in a patient in need thereof, the method comprising, consisting essentially of, or consisting of administering to the patient a therapeutically effective amount of a compound or a composition disclosed herein. In some embodiments, the lipid and lipoprotein disorder is selected from hypercholesterolemia, hypertriglyceridemia, and atherosclerosis.

[0111] In some embodiments, provided herein is a method to treat a condition or disease which results from chronic fatty and fibrotic degeneration of organs due to accumulated lipid and specifically triglyceride accumulation and subsequent activation of profibrotic pathways in a patient in need thereof, the method comprising, consisting essentially of, or consisting of administering to the patient a therapeutically effective amount of a compound or a composition disclosed herein. In some embodiments, the condition or disease which results from chronic fatty and fibrotic degeneration of organs due to accumulated lipid and specifically triglyceride accumulation and subsequent activation of profibrotic pathways is selected from NASH and chronic cholestatic conditions in the liver, Glomerulosclerosis and Diabetic Nephropathy in the kidney, Macular Degeneration and Diabetic Retinopathy in the eye, and neurodegenerative diseases. In some further embodiments, neurodegenerative diseases are selected from Alzheimer's Disease in the brain, and Diabetic Neuropathies in the

peripheral nervous system.

[0112] In some embodiments, provided herein is a method to treat Type I or Type II Diabetes and clinical complications of Type I and Type II Diabetes in a patient in need thereof, the method comprising, consisting essentially of, or consisting of administering to the patient a therapeutically effective amount of a compound or a composition disclosed herein. In some embodiments, provided herein is a method to treat Type I Diabetes in a patient in need thereof, the method comprising, consisting essentially of, or consisting of administering to the patient a therapeutically effective amount of a compound or a composition disclosed herein. In some embodiments, provided herein is a method to treat Type II Diabetes in a patient in need thereof, the method comprising, consisting essentially of, or consisting of administering to the patient a therapeutically effective amount of a compound or a composition disclosed herein. In some embodiments, provided herein is a method to treat one or more clinical complications of Type I and Type II Diabetes in a patient in need thereof, the method comprising, consisting essentially of, or consisting of administering to the patient a therapeutically effective amount of a compound or a composition disclosed herein. In some embodiments, the clinical complications of Type I and Type II Diabetes are selected from Diabetic Nephropathy, Diabetic Retinopathy, Diabetic Neuropathies, and Peripheral Arterial Occlusive Disease (PAOD), or any combination thereof.

[0113] In some embodiments, provided herein is a method to treat acute intrahepatic cholestatic conditions in a patient in need thereof, the method comprising, consisting essentially of, or consisting of administering to the patient a therapeutically effective amount of a compound or a composition disclosed herein.

[0114] In some embodiments, provided herein is a method to treat obstructive or chronic inflammatory disorders that arise out of improper bile composition in a patient in need thereof, the method comprising, consisting essentially of, or consisting of administering to the patient a therapeutically effective amount of a compound or a composition disclosed herein. In some embodiments, the obstructive or chronic inflammatory disorders that arise out of improper bile composition is cholelithiasis also known as cholesterol gallstones.

[0115] In some embodiments, provided herein is a method to treat gastrointestinal

conditions with a reduced uptake of dietary fat and fat-soluble dietary vitamins in a patient in need thereof, the method comprising, consisting essentially of, or consisting of administering to the patient a therapeutically effective amount of a compound or a composition disclosed herein.

[0116] In some embodiments, provided herein is a method to treat inflammatory bowel diseases in a patient in need thereof, the method comprising, consisting essentially of, or consisting of administering to the patient a therapeutically effective amount of a compound or a composition disclosed herein.

[0117] In some embodiments, provided herein is a method to treat obesity and metabolic syndrome in a patient in need thereof, the method comprising, consisting essentially of, or consisting of administering to the patient a therapeutically effective amount of a compound or a composition disclosed herein.

[0118] In some embodiments, provided herein is a method to treat persistent infections by intracellular bacteria or parasitic protozoae in a patient in need thereof, the method comprising, consisting essentially of, or consisting of administering to the patient a therapeutically effective amount of a compound or a composition disclosed herein.

[0119] In some embodiments, provided herein is a method to treat non-malignant hyperproliferative disorders in a patient in need thereof, the method comprising, consisting essentially of, or consisting of administering to the patient a therapeutically effective amount of a compound or a composition disclosed herein.

[0120] In some embodiments, provided herein is a method to treat malignant hyperproliferative disorders in a patient in need thereof, the method comprising, consisting essentially of, or consisting of administering to the patient a therapeutically effective amount of a compound or a composition disclosed herein. In some embodiments, malignant hyperproliferative disorders are selected from different forms of cancer, specifically certain forms of breast, liver or colon cancer, or a disorder selected from the group consisting of hepatocellular carcinoma, colon adenoma, and polyposis.

[0121] In some embodiments, provided herein is a method to treat colon adenocarcinoma in a patient in need thereof, the method comprising, consisting essentially of, or consisting of

administering to the patient a therapeutically effective amount of a compound or a composition disclosed herein.

[0122] In some embodiments, provided herein is a method to treat hepatocellular carcinoma in a patient in need thereof, the method comprising, consisting essentially of, or consisting of administering to the patient a therapeutically effective amount of a compound or a composition disclosed herein.

[0123] In some embodiments, provided herein is a method to treat liver steatosis and associated syndromes in a patient in need thereof, the method comprising, consisting essentially of, or consisting of administering to the patient a therapeutically effective amount of a compound or a composition disclosed herein.

[0124] In some embodiments, provided herein is a method to treat Hepatitis B infection in a patient in need thereof, the method comprising, consisting essentially of, or consisting of administering to the patient a therapeutically effective amount of a compound or a composition disclosed herein.

[0125] In some embodiments, provided herein is a method to treat Hepatitis C infection in a patient in need thereof, the method comprising, consisting essentially of, or consisting of administering to the patient a therapeutically effective amount of a compound or a composition disclosed herein.

[0126] In some embodiments, provided herein is a method to treat cholestatic and fibrotic effects that are associated with alcohol-induced cirrhosis or with viral-borne forms of hepatitis in a patient in need thereof, the method comprising, consisting essentially of, or consisting of administering to the patient a therapeutically effective amount of a compound or a composition disclosed herein.

[0127] In some embodiments, provided herein is a method to treat liver failure or liver malfunction as an outcome of chronic liver diseases or of surgical liver resection in a patient in need thereof, the method comprising, consisting essentially of, or consisting of administering to the patient a therapeutically effective amount of a compound or a composition disclosed herein.

[0128] In some embodiments, provided herein is a method to treat acute myocardial infarction in a patient in need thereof, the method comprising, consisting essentially of, or consisting of administering to the patient a therapeutically effective amount of a compound or a composition disclosed herein.

[0129] In some embodiments, provided herein is a method to treat acute stroke in a patient in need thereof, the method comprising, consisting essentially of, or consisting of administering to the patient a therapeutically effective amount of a compound or a composition disclosed herein.

[0130] In some embodiments, provided herein is a method to treat thrombosis which occurs as an endpoint of chronic obstructive atherosclerosis in a patient in need thereof, the method comprising, consisting essentially of, or consisting of administering to the patient a therapeutically effective amount of a compound or a composition disclosed herein.

[0131] In some embodiments, provided herein is a method to treat osteoarthritis in a patient in need thereof, the method comprising, consisting essentially of, or consisting of administering to the patient a therapeutically effective amount of a compound or a composition disclosed herein.

[0132] In some embodiments, provided herein is a method to treat rheumatoid arthritis in a patient in need thereof, the method comprising, consisting essentially of, or consisting of administering to the patient a therapeutically effective amount of a compound or a composition disclosed herein.

[0133] In some embodiments, provided herein is a method to treat psoriasis in a patient in need thereof, the method comprising, consisting essentially of, or consisting of administering to the patient a therapeutically effective amount of a compound or a composition disclosed herein.

[0134] In some embodiments, provided herein is a method to treat cerebral infarction in a patient in need thereof, the method comprising, consisting essentially of, or consisting of administering to the patient a therapeutically effective amount of a compound or a composition disclosed herein.

[0135] The present technology includes a pharmaceutical composition for selectively inhibiting vascular adhesion protein-1 (VAP-1), comprising a therapeutically effective amount of a compound of Formulae X, 1, 10, 10a, 10b, 11, 11a, 11b, 11c, 11d, 11e, or 12, or an isomer thereof, or a pharmaceutically acceptable salt thereof as an active ingredient. In one embodiment, the present technology provides a pharmaceutical composition for preventing or treating nonalcoholic steatohepatitis (NASH), comprising a therapeutically effective amount of a compound of Formulae X, 1, 10, 10a, 10b, 11, 11a, 11b, 11c, 11d, 11e, or 12 or a pharmaceutically acceptable salt thereof as an active ingredient. In some embodiments, provided herein is a pharmaceutical composition for preventing or treating NASH comprising, consisting essentially of, or consisting of a therapeutically effective amount of a compound of Formulae X, 1, 10, 10a, 10b, 11, 11a, 11b, 11c, 11d, 11e, or 12, or an isomer thereof, or a pharmaceutically acceptable salt thereof, and at least one pharmaceutically acceptable carrier or excipient.

[0136] In another aspect, the present technology provides a pharmaceutical composition for preventing or treating diabetic nephropathy comprising, consisting essentially of, or consisting of a therapeutically effective amount of a compound of Formulae X, 1, 10, 10a, 10b, 11, 11a, 11b, 11c, 11d, 11e, or 12, or an isomer thereof, or a pharmaceutically acceptable salt thereof, and at least one pharmaceutically acceptable carrier or excipient.

[0137] In another aspect, the present technology provides a pharmaceutical composition for preventing or treating primary sclerosing cholangitis comprising, consisting essentially of, or consisting of a therapeutically effective amount of a compound of Formulae X, 1, 10, 10a, 10b, 11, 11a, 11b, 11c, 11d, 11e, or 12, or an isomer thereof, or a pharmaceutically acceptable salt thereof, and at least one pharmaceutically acceptable carrier or excipient.

[0138] In some embodiments, the compounds of the present disclosure may be combined with one or more additional therapies for the prevention or treatment of a disease or condition amenable to treatment by inhibition of VAP-1.

[0139] In some embodiments, the compositions disclosed herein contain at least one additional active agent.

[0140] Exemplary additional active agents include, but are not limited to, one or more of a(n) ACE inhibitor, Acetyl CoA carboxylase inhibitor, Adenosine A3 receptor agonist,

Adiponectin receptor agonist, AKT protein kinase inhibitor, AMP-activated protein kinases (AMPK), Amylin receptor agonist, Angiotensin II AT-1 receptor antagonist, Apoptosis Signaling Kinase 1 inhibitor, Autotaxin inhibitors, Bioactive lipid, Calcitonin agonist, Caspase inhibitor, Caspase-3 stimulator, Cathepsin inhibitor, Caveolin 1 inhibitor, CCR2 chemokine antagonist, CCR3 chemokine antagonist, CCR5 chemokine antagonist, Chloride channel stimulator, CNR1 inhibitor, Cyclin D1 inhibitor, Cytochrome P450 7A1 inhibitor, DGAT1/2 inhibitor, Dipeptidyl peptidase IV inhibitor, Endosialin modulator, Eotaxin ligand inhibitor, Extracellular matrix protein modulator, Farnesoid X receptor agonist, Fatty acid synthase inhibitors, FGF1 receptor agonist, Fibroblast growth factor (FGF-15, FGF-19, FGF-21) ligands, Galectin-3 inhibitor, Glucagon receptor agonist, Glucagon-like peptide 1 agonist, G-protein coupled bile acid receptor 1 agonist, Hedgehog (Hh) modulator, Hepatitis C virus NS3 protease inhibitor, Hepatocyte nuclear factor 4 alpha modulator (HNF4A), Hepatocyte growth factor modulator, HMG CoA reductase inhibitor, IL-10 agonist, IL-17 antagonist, Ileal sodium bile acid cotransporter inhibitor, Insulin sensitizer, integrin modulator, interleukin-1 receptor-associated kinase 4 (IRAK4) inhibitor, Jak2 tyrosine kinase inhibitor, ketohexokinase inhibitors, Klotho beta stimulator, 5-Lipoxygenase inhibitor, Lipoprotein lipase inhibitor, Liver X receptor, LPL gene stimulator, Lysophosphatidate-1 receptor antagonist, Lysyl oxidase homolog 2 inhibitor, Matrix metalloproteinases (MMPs) inhibitor, MEKK-5 protein kinase inhibitor, Membrane copper amine oxidase (VAP-1) inhibitor, Methionine aminopeptidase-2 inhibitor, Methyl CpG binding protein 2 modulator, MicroRNA-21(miR-21) inhibitor, Mitochondrial uncoupler, Myelin basic protein stimulator, NACHT LRR PYD domain protein 3 (NLRP3) inhibitor, NAD-dependent deacetylase sirtuin stimulator, NADPH oxidase inhibitor (NOX), Nicotinic acid receptor 1 agonist, P2Y13 purinoceptor stimulator, PDE 3 inhibitor, PDE 4 inhibitor, PDE 5 inhibitor, PDGF receptor beta modulator, Phospholipase C inhibitor, PPAR alpha agonist, PPAR delta agonist, PPAR gamma agonist, PPAR gamma modulator, Protease-activated receptor-2 antagonist, Protein kinase modulator, Rho associated protein kinase inhibitor, Sodium glucose transporter-2 inhibitor, SREBP transcription factor inhibitor, STAT-1 inhibitor, Stearoyl CoA desaturase-1 inhibitor, Suppressor of cytokine signalling-1 stimulator, Suppressor of cytokine signalling-3 stimulator, Transforming growth factor β (TGF- β), Transforming growth factor β activated Kinase 1 (TAK1), Thyroid hormone receptor beta agonist, TLR-4 antagonist, Transglutaminase inhibitor, Tyrosine kinase receptor modulator,

GPCR modulator, nuclear hormone receptor modulator, WNT modulators, and YAP/TAZ modulator. Examples of JAK inhibitors include, but are not limited to, filgotonib and tofacitinib. A non-limiting example of an apoptosis signal kinase inhibitor is selonsertib.

[0141] The compound of Formulae X, 1, 10, 10a, 10b, 11, 11a, 11b, 11c, 11d, 11e, or 12, or the isomer thereof, or the pharmaceutically acceptable salt thereof, and at least one additional active agent may be administered in any order or even simultaneously. The multiple active agents may be provided in a single, unified form, or in multiple forms (by way of example only, either as a single pill or as two separate pills). One of the active agents may be given in multiple doses, or both may be given as multiple doses. If not simultaneous, the timing between the multiple doses may vary from more than zero weeks to less than four weeks. In addition, the combination methods, compositions and formulations are not to be limited to the use of only two agents.

[0142] The pharmaceutical composition of the present technology may comprise a pharmaceutically acceptable carrier, such as diluents, disintegrants, sweetening agents, glidants, or flavoring agents and may be formulated into an oral dosage form such as tablets, capsules, powders, granules, suspensions, emulsions, or syrups; or a parenteral dosage form such as liquids for external use, suspensions for external use, emulsions for external use, gels (ointments or the like), inhaling agents, spraying agents, injections, etc. Said dosage forms may be formulated in various forms, e.g., a dosage form for single administration or for multiple administrations.

[0143] The pharmaceutical composition of the present technology may include excipients such as lactose, corn starch, or the like, glidants such as magnesium stearate, etc., emulsifying agents, suspending agents, stabilizers, and isotonic agents, etc. If desired, a sweetening agent and/or a flavoring agent may be added. Exemplary excipients include, without limitation, polyethylene glycol (PEG), hydrogenated castor oil (HCO), cremophors, carbohydrates, starches (e.g., corn starch), inorganic salts, antimicrobial agents, antioxidants, binders/fillers, surfactants, lubricants (e.g., calcium or magnesium stearate), glidants such as talc, disintegrants, diluents, buffers, acids, bases, film coats, combinations thereof, and the like.

[0144] Specific carbohydrate excipients include, for example: monosaccharides, such as fructose, maltose, galactose, glucose, D-mannose, sorbose, and the like; disaccharides, such

as lactose, sucrose, trehalose, cellobiose, and the like; polysaccharides, such as raffinose, melezitose, maltodextrins, dextrans, starches, and the like; and alditols, such as mannitol, xylitol, maltitol, lactitol, xylitol, sorbitol (glucitol), pyranosyl sorbitol, myoinositol, and the like.

[0145] Inorganic salt or buffers include, but are not limited to, citric acid, sodium chloride, potassium chloride, sodium sulfate, potassium nitrate, sodium phosphate monobasic, sodium phosphate dibasic, and combinations thereof.

[0146] Suitable antioxidants for use in the present disclosure include, for example, ascorbyl palmitate, butylated hydroxyanisole, butylated hydroxytoluene, hypophosphorous acid, monothioglycerol, propyl gallate, sodium bisulfite, sodium formaldehyde sulfoxylate, sodium metabisulfite, and combinations thereof.

[0147] Additional exemplary excipients include surfactants such as polysorbates, e.g., “Tween 20” and “Tween 80,” and pluronics such as F68 and F88 (both of which are available from BASF, Mount Olive, N.J.), sorbitan esters, lipids (e.g., phospholipids such as lecithin and other phosphatidylcholines, and phosphatidylethanolamines), fatty acids and fatty esters, steroids such as cholesterol, and chelating agents, such as EDTA, zinc and other such suitable cations.

[0148] Further, a composition disclosed herein may optionally include one or more acids or bases. Non-limiting examples of acids that can be used include those acids selected from the group consisting of hydrochloric acid, acetic acid, phosphoric acid, citric acid, malic acid, lactic acid, formic acid, trichloroacetic acid, nitric acid, perchloric acid, phosphoric acid, sulfuric acid, fumaric acid, and combinations thereof. Non-limiting examples of suitable bases include bases selected from the group consisting of sodium hydroxide, sodium acetate, ammonium hydroxide, potassium hydroxide, ammonium acetate, potassium acetate, sodium phosphate, potassium phosphate, sodium citrate, sodium formate, sodium sulfate, potassium sulfate, potassium fumarate, and combinations thereof.

[0149] The amount of any individual excipient in the composition will vary depending on the role of the excipient, the dosage requirements of the active agent components, and particular needs of the composition.

[0150] Generally, however, the excipient will be present in the composition in an amount of about 1% to about 99% by weight, preferably from about 5% to about 98% by weight, more preferably from about 15 to about 95% by weight of the excipient. In general, the amount of excipient present in a composition of the disclosure is selected from the following: at least about 2%, 5%, 10%, 15%, 20%, 25%, 30%, 35%, 40%, 45%, 50%, 55%, 60%, 65%, 70%, 75%, 80%, 85%, 90%, or even 95% by weight.

[0151] The composition of the present technology can be administered orally or parenterally, including inhalation, intravenous, intraperitoneal, subcutaneous, rectal and topical routes of administration. Therefore, the composition of the present technology can be formulated into various forms such as tablets, capsules, aqueous solutions, suspensions, or the like. In the case of tablets for oral administration, carriers such as lactose, corn starch, and lubricating agents, e.g. magnesium stearate, can be conventionally added thereto. In the case of capsules for oral administration, lactose and/or dried corn starch can be used as a diluent. When an aqueous suspension is required for oral administration, the active ingredient can be combined with emulsifying and/or suspending agents. If desired, certain sweetening agents and/or flavoring agents can be added thereto. For intramuscular, intraperitoneal, subcutaneous and intravenous administration, sterile solutions of the active ingredient are usually prepared, and the pH of the solutions should be suitably adjusted and buffered. For intravenous administration, the total concentration of solutes should be controlled in order to render the preparation isotonic. The composition of the present technology may be in the form of an aqueous solution containing pharmaceutically acceptable carriers, e.g., saline having a pH level of 7.4. The solutions may be introduced into a patient's intramuscular blood-stream by local bolus injection.

[0152] Said aryl or heteroaryl triazolone derivatives, i.e. the compound of Formulae X, 1, 10, 10a, 10b, 11, 11a, 11b, 11c, 11d, 11e, or 12, or an isomer thereof, or a pharmaceutically acceptable salt thereof can be administered to a patient in an effective amount ranging from about 0.001 mg/kg to about 100 mg/kg per day. This includes 0.001, 0.0025, 0.005, 0.0075, 0.01, 0.025, 0.05, 0.075, 0.1, 0.25, 0.5, 0.75, 1, 2, 3, 4, 5, 6, 7, 8, 9, 10, 15, 20, 25, 30, 35, 40, 45, 50, 55, 60, 65, 70, 75, 80, 85, 90, 95, or 100 mg/kg.

[0153] Generally, a therapeutically effective amount of the compound of Formulae X, 1, 10, 10a, 10b, 11, 11a, 11b, 11c, 11d, 11e, or 12, or an isomer thereof, or a pharmaceutically

acceptable salt thereof, will range from a total daily dosage of about 0.1 mg/day to 1000 mg/day, about 30-720 mg/day, about 60-600 mg/day, or about 100-480 mg/day, or more. In some embodiments, a therapeutically effective amount of the compound of Formulae X, 1, 10, 10a, 10b, 11, 11a, 11b, 11c, 11d, 11e, or 12, or an isomer thereof, or a pharmaceutically acceptable salt thereof, will range from about 1-240 mg/day, about 30-240 mg/day, about 30-200 mg/day, about 30-120 mg/day, about 1-120 mg/day, about 50-150 mg/day, about 60-150 mg/day, about 60-120 mg/day, or about 60-100 mg/day, administered as either a single dosage or as multiple dosages. In some embodiments, multiple dosages include two, three, or four doses per day.

[0154] In some embodiments, the therapeutically effective amount of the compound of Formulae X, 1, 10, 10a, 10b, 11, 11a, 11b, 11c, 11d, 11e, or 12, or an isomer thereof, or a pharmaceutically acceptable salt thereof, is at least 0.1 mg/day, at least 0.5 mg/day, at least 1 mg/day, at least 5 mg/day, at least 10 mg/day, at least 20 mg/day, at least 30 mg/day, at least 40 mg/day, at least 50 mg/day, at least 60 mg/day, at least 70 mg/day, at least 80 mg/day, at least 90 mg/day, at least 100 mg/day, at least 110 mg/day, at least 120 mg/day, at least 130 mg/day, at least 140 mg/day, at least 150 mg/day, at least 160 mg/day, at least 170 mg/day, at least 180 mg/day, at least 190 mg/day, at least 200 mg/day, at least 225 mg/day, at least 250 mg/day, at least 275 mg/day, at least 300 mg/day, at least 325 mg/day, at least 350 mg/day, at least 375 mg/day, at least 400 mg/day, at least 425 mg/day, at least 450 mg/day, at least 475 mg/day, at least 500 mg/day, at least 525 mg/day, at least 550 mg/day, at least 575 mg/day, at least 600 mg/day, at least 625 mg/day, at least 650 mg/day, at least 675 mg/day, at least 700 mg/day, at least 725 mg/day, at least 750 mg/day, at least 775 mg/day, at least 800 mg/day, at least 825 mg/day, at least 850 mg/day, at least 875 mg/day, at least 900 mg/day, at least 925 mg/day, at least 950 mg/day, at least 975 mg/day, or at least 1000 mg/day.

[0155] Of course, the dosage may be changed according to the patient's age, weight, susceptibility, symptom, or the efficacy of the compound.

[0156] In one embodiment, the present technology provides a method of selectively inhibiting vascular adhesion protein (VAP)-1 in a mammal, comprising administering, to the mammal, a therapeutically effective amount of the compound of Formulae X, 1, 10, 10a, 10b, 11, 11a, 11b, 11c, 11d, 11e, or 12, or an isomer thereof, or a pharmaceutically acceptable salt thereof. In one embodiment, the present technology provides a method for treating

nonalcoholic hepatosteatosis (NASH), comprising administering, to a mammal, a therapeutically effective amount of the compound of Formula 1 or a pharmaceutically acceptable salt thereof. In some embodiments, provided herein is a method for treating NASH in a subject in need thereof, the method comprising, consisting essentially of, or consisting of administering to the subject a therapeutically effective amount of a compound of Formulae X, 1, 10, 10a, 10b, 11, 11a, 11b, 11c, 11d, 11e, or 12, or an isomer thereof, or a pharmaceutically acceptable salt thereof. Mammals include, but are not limited to, mice, rodents, rats, simians, humans, farm animals, dogs, cats, sport animals, and pets.

[0157] The present technology provides a use of the compound of Formulae X, 1, 10, 10a, 10b, 11, 11a, 11b, 11c, 11d, 11e, or 12 above, or an isomer thereof, or a pharmaceutically acceptable salt thereof for use in the preparation of a medicament for selectively inhibiting a vascular adhesion protein-1 (VAP-1) in mammals. In one embodiment, the present technology provides a use of the compound of Formulae X, 1, 10, 10a, 10b, 11, 11a, 11b, 11c, 11d, 11e, or 12 above, or an isomer thereof, or a pharmaceutically acceptable salt thereof for use in the preparation of a medicament for treating or preventing nonalcoholic hepatosteatosis (NASH).

[0158] The following examples and experimental examples are provided for illustration purposes only, and are not intended to limit the scope of the technology.

[0159] As will be apparent to those of skill in the art upon reading this disclosure, each of the individual embodiments described and illustrated herein has discrete components and features which may be readily separated from or combined with the features of any of the other several embodiments without departing from the scope or spirit of the present technology. Any recited method can be carried out in the order of events recited or in any other order which is logically possible.

[0160] All publications and patents cited in this specification are herein incorporated by reference as if each individual publication or patent were specifically and individually indicated to be incorporated by reference and are incorporated herein by reference to disclose and describe the methods and/or materials in connection with which the publications are cited. The citation of any publication is for its disclosure prior to the filing date and should not be construed as an admission that the present technology is not entitled to antedate such

publication by virtue of prior technology. Further, the dates of publication provided may be different from the actual publication dates which may need to be independently confirmed.

EXAMPLES

[0161] The analyses of the compounds prepared in the following examples were carried out as follows: Nuclear magnetic resonance (NMR) spectrum analysis was carried out using Bruker 400 MHz spectrometer and Agilent 600 MHz spectrometer and chemical shifts thereof were analyzed in ppm. Further, the indicated molecular weights were measured by using liquid chromatography/mass selective detector (MSD) of Agilent 1260 Infinity series equipped with an electrostatic spray interface (by using Single Quadrupole, it indicates a value of m/z in ESI+ (ESI-MS (cation), which is represented by the $[M + H]^+$ peak). Column chromatography was carried out on silica gel (Merck, 70-230 mesh). (W.C. Still, *J. Org. Chem.*, 43, 2923, 1978). The abbreviations used in the following examples are as follows: 'methyl' is abbreviated to 'Me'; 'ethyl' is abbreviated to 'Et'; 'phenyl' is abbreviated to 'Ph', *tert*-*tert*-butyloxycarbonyl is abbreviated to 'BOC'. Further, the starting materials in each Example are known compounds, which were synthesized according to publications or obtained from Sigma-Aldrich.

[0162] Reference Example 1. *tert*-butyl (Z)-(2-(chloromethyl)-3-fluoroallyl)carbamate

[0163] 290.0 mg of *tert*-butyl (Z)-(3-fluoro-2-(hydroxymethyl)allyl)carbamate, 350.2 mg of 4-methylbenzenesulfonyl chloride, and 0.26 mL of triethylamine were dissolved in 5.0 mL of dichloromethane, and the solution was stirred overnight at room temperature. To the reaction mixture, dichloromethane was added. The resulting reaction mixture was washed with distilled water, dried over anhydrous magnesium sulfate, and concentrated under reduced pressure to give a yellow liquid residue. The residue was purified with silica gel column chromatography (developing solvent: *n*-hexane/ethyl acetate= 2/1) to give 312.0 mg of the title compound as a white solid (yield: 98.9%). $^1\text{H-NMR}$ (CDCl_3 , 400 MHz) δ 6.63(d, 1H), 4.73(bs, 1H), 4.07(s, 2H), 3.79(bs, 2H), 1.47(s, 9H)

[0164] Reference Example 2. *tert*-butyl (E)-(2-(chloromethyl)-3-fluoroallyl)carbamate

[0165] 440.0 mg of *tert*-butyl (E)-(3-fluoro-2-(hydroxymethyl)allyl)carbamate, 531.3 mg of 4-methylbenzenesulfonyl chloride, and 0.39 mL of triethylamine were dissolved in 5.0 mL

of dichloromethane, and the solution was stirred overnight at room temperature. To the resulting reaction mixture, dichloromethane was added. The reaction mixture was washed with distilled water, dried over anhydrous magnesium sulfate, and then concentrated under reduced pressure to give a yellow liquid residue. The residue was purified with silica gel column chromatography (developing solvent: n-hexane/ethyl acetate = 2/1) to give 400.0 mg of the title compound as a white solid (yield: 83.6 %). ¹H-NMR (CDCl₃, 400 MHz) δ 6.72(d, 1H), 4.74(bs, 1H), 4.05(s, 2H), 3.98(s, 2H), 1.44(s, 9H)

[0166] Reference Example 3. 4-(4-bromophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one

[0167] Step 1: phenyl (4-bromophenyl)carbamate

[0168] 1.0 g of 4-bromoaniline and 0.98 mL of pyridine were dissolved in 10 mL of ethyl acetate. To the resulting solution, 0.77 mL of phenylchloroformate at 0°C was slowly added, and the solution was stirred at room temperature for 3 hours. To the solution, ethyl acetate was added, and the resulting reaction mixture was washed with 1N hydrochloric acid solution and brine, dried over anhydrous magnesium sulfate, and concentrated under reduced pressure to give 1.4 g of the title compound as a yellow solid (yield: 80%).

[0169] Step 2: N-(4-bromophenyl)hydrazine carboxamide

[0170] 1.4 g of phenyl (4-bromophenyl)carbamate prepared in Step 1 and 480.0 mg of hydrazine hydrate were dissolved in 4.0 mL of tetrahydrofuran and 4.0 mL of ethanol, and the resulting solution was stirred overnight at room temperature. The resulting reaction mixture was concentrated and then washed with ethyl acetate to give 890.0 mg of the title compound as a white solid (yield: 80.0%).

[0171] Step 3: 4-(4-bromophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one

[0172] 890.0 mg of N-(4-bromophenyl)hydrazine carboxamide prepared in Step 2 and 1.6 g of formamidine acetate were dissolved in 8.9 mL of 1-propanol, and the resulting solution was stirred at room temperature for 30 minutes, and then 1.3mL of acetic acid was added and stirred at 80°C for 8 hours. The reaction mixture was cooled to room temperature, distilled water was added to the cooled reaction mixture, and then the reaction mixture was stirred overnight. The resulting crystals were filtered and dried to give 742.8 mg of the title

compound as a white solid (yield: 80.2%). MS (ESI) m/z = 241.9 (M + H)⁺

[0173] Reference Example 4. 4-(3-bromophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one

[0174] 540.0 mg of the title compound (yield: 38.7 %) was prepared in the same fashion as Reference Example 3, except that 1.0 g of 3-bromoaniline was used in Step 1 instead of 4-bromoaniline. MS (ESI) m/z = 241.5 (M + H)⁺

[0175] Reference Example 5. 4-(4-fluorophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one

[0176] 527.0 mg of the title compound (yield: 32.7 %) was prepared in the same fashion as Reference Example 3, except that 1.0 g of 4-fluoroaniline was used in Step 1 instead of 4-bromoaniline. MS (ESI) m/z = 180.1 (M + H)⁺

[0177] Reference Example 6. 4-(4-(benzyloxy)phenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one

[0178] 487.0 mg of the title compound (yield: 36.3 %) was prepared in the same fashion as Reference Example 3, except that 1.0 g of 4-(benzyloxy)aniline was used in Step 1 instead of 4-bromoaniline. ¹H-NMR (CDCl₃, 400 MHz) δ 9.58(bs, 1H), 7.62(s, 1H), 7.33-7.41(m, 6H), 7.21-7.25(m, 1H), 7.06(d, 2H), 5.10(s, 2H)

[0179] Reference Example 7. 4-(3,4-difluorophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one

[0180] 492.0 mg of the title compound (yield: 32.2 %) was prepared in the same fashion as Reference Example 3, except that 1.0 g of 3,4-difluoroaniline was used in Step 1 instead of 4-bromoaniline. MS (ESI) m/z = 198.0 (M + H)⁺

[0181] Reference Example 8. 4-(4-bromo-2-fluorophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one

[0182] 443.5 mg of the title compound (yield: 32.7 %) was prepared in the same fashion as Reference Example 3, except that 1.0 g of 4-bromo-2-fluoroaniline was used in Step 1 instead of 4-bromoaniline. MS (ESI) m/z = 259.9 (M + H)⁺

[0183] Reference Example 9. 4-(4-bromo-3-fluorophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one

[0184] 517.3 mg of the title compound (yield: 38.1 %) was prepared in the same fashion as Reference Example 3, except that 1.0 g of 4-bromo-3-fluoroaniline was used in Step 1 instead of 4-bromoaniline. MS (ESI) $m/z = 259.4$ (M + H)⁺

[0185] Reference Example 10. 4-(4-bromo-3-methylphenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one

[0186] 750 mg of the title compound (yield: 55.0 %) was prepared in the same fashion as Reference Example 3, except that 1.0 g of 4-bromo-3-methylaniline was used in Step 1 instead of 4-bromoaniline. MS (ESI) $m/z = 255.2$ (M + H)⁺

[0187] Reference Example 11. 4-(3-bromo-2-methylphenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one

[0188] 5.1 g of the title compound (yield: 69.9 %) was prepared in the same fashion as Reference Example 3, except that 5.0 g of 3-bromo-2-methylaniline was used in Step 1 instead of 4-bromoaniline. MS (ESI) $m/z = 255.2$ (M + H)⁺

[0189] Reference Example 12. 4-(4-bromo-3-methoxyphenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one

[0190] 500.5 mg of the title compound (yield: 37.4 %) was prepared in the same fashion as Reference Example 3, except that 1.0 g of 4-bromo-3-methoxyaniline was used in Step 1 instead of 4-bromoaniline. MS (ESI) $m/z = 271.1$ (M + H)⁺

[0191] Reference Example 13. 4-(5-bromo-2-methoxyphenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one

[0192] 628.5 mg of the title compound (yield: 47.1 %) was prepared in the same fashion as Reference Example 3, except that 1.0 g of 5-bromo-2-methoxyaniline was used in Step 1 instead of 4-bromoaniline. MS (ESI) $m/z = 271.2$ (M + H)⁺

[0193] Reference Example 14. 4-(4-bromo-3,5-difluorophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one

[0194] 570.0 mg of the title compound (yield: 42.9 %) was prepared in the same fashion as Reference Example 3, except that 1.0 g of 4-bromo-3,5-difluoroaniline was used in Step 1

instead of 4-bromoaniline. MS (ESI) m/z = 277.8 (M + H)⁺

[0195] Reference Example 15. 4-(4-bromo-2,6-difluorophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one

[0196] 530.0 mg of the title compound (yield: 39.9 %) was prepared in the same fashion as Reference Example 3, except that 1.0 g of 4-bromo-2,6-difluoroaniline was used in Step 1 instead of 4-bromoaniline. MS (ESI) m/z = 277.0 (M + H)⁺

[0197] Reference Example 16. 4-(4-bromo-2,5-difluorophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one

[0198] 424.5 mg of the title compound (yield: 32.0 %) was prepared in the same fashion as Reference Example 3, except that 1.0 g of 4-bromo-2,5-difluoroaniline was used in Step 1 instead of 4-bromoaniline. MS (ESI) m/z = 277.1 (M + H)⁺

[0199] Reference Example 17. 4-(6-bromopyridin-3-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one

[0200] 500.7 mg of the title compound (yield: 35.9 %) was prepared in the same fashion as Reference Example 3, except that 1.0 g of 3-amino-6-bromopyridine was used in Step 1 instead of 4-bromoaniline. MS (ESI) m/z = 242.1 (M + H)⁺

[0201] Reference Example 18. 4-(6-bromo-5-methylpyridin-3-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one

[0202] 362.1 mg of the title compound (yield: 26.5 %) was prepared in the same fashion as Reference Example 3, except that 1.0 g of 5-amino-2-bromo-3-picoline was used in Step 1 instead of 4-bromoaniline. MS (ESI) m/z = 256.4 (M + H)⁺

[0203] Reference Example 19. 4-(6-bromo-4-methylpyridin-3-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one

[0204] 4.5 g of the title compound (yield: 66.1%) was prepared in the same fashion as Reference Example 3, except that 5.0 g of 2-bromo-4-methyl-5-aminopyridine was used in Step 1 instead of 4-bromoaniline. MS (ESI) m/z = 256.0 (M + H)⁺

[0205] Reference Example 20. 4-(5-bromopyridin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one

[0206] 526.5 mg of the title compound (yield: 37.8 %) was prepared in the same fashion as Reference Example 3, except that 1.0 g of 2-amino-5-bromopyridine was used in Step 1 instead of 4-bromoaniline. MS (ESI) m/z = 252.7 (M + H)⁺

[0207] Reference Example 21. 4-(5-bromo-3-methylpyridin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one

[0208] 1.9 g of the title compound (yield: 69.6 %) was prepared in the same fashion as Reference Example 3, except that 2.0 g of 2-amino-5-bromo-3-methylpyridine was used in Step 1 instead of 4-bromoaniline. ¹H-NMR (DMSO-d₆, 400 MHz) δ 8.55(d, 1H), 8.21(s, 1H), 8.13(s, 1H), 2.27(s, 3H)

[0209] Reference Example 22. 4-(5-bromo-4-methylpyridin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one

[0210] 1.1 g of the title compound (yield: 80.6 %) was prepared in the same fashion as Reference Example 3, except that 1.0 g of 2-amino-5-bromo-4-methylpyridine was used in Step 1 instead of 4-bromoaniline. MS (ESI) m/z = 256.3 (M + H)⁺

[0211] Reference Example 23. 4-(5-bromo-3-fluoropyridin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one

[0212] 715.0 mg of the title compound (yield: 52.7 %) was prepared in the same fashion as Reference Example 3, except that 1.0 g of 2-amino-5-bromo-3-fluoropyridine was used in Step 1 instead of 4-bromoaniline. MS (ESI) m/z = 260.2 (M + H)⁺

[0213] Reference Example 24. 4-(6-bromopyridin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one

[0214] 6.0 g of the title compound (yield: 86.1 %) was prepared in the same fashion as Reference Example 3, except that 5.0 g of 2-amino-6-bromopyridine was used in Step 1 instead of 4-bromoaniline. ¹H-NMR (MeOD, 400 MHz) δ 8.44(s, 1H), 8.24(d, 1H), 7.83(t, 1H), 7.53(d, 1H)

[0215] Reference Example 25. 4-(4-bromopyridin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one

[0216] 902.2 mg of the title compound (yield: 64.8 %) was prepared in the same fashion as Reference Example 3, except that 1.0 g of 2-amino-4-bromopyridine was used in Step 1 instead of 4-bromoaniline. MS (ESI) m/z = 252.1 (M + H)⁺

[0217] Reference Example 26. 4-(2-bromopyridin-4-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one

[0218] 2.0 g of the title compound (yield: 28.7 %) was prepared in the same fashion as Reference Example 3, except that 5.0 g of 4-amino-2-bromopyridine was used in Step 1 instead of 4-bromoaniline. ¹H-NMR (DMSO-d₆, 600 MHz) δ 8.65(s, 1H), 8.45(d, 1H), 8.17(s, 1H), 8.12(d, 1H), 7.97(dd, 1H)

[0219] Reference Example 27. 4-(6-bromo-3-methylpyridin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one

[0220] 1.8 g of the title compound (yield: 65.9 %) was prepared in the same fashion as Reference Example 3, except that 2.0 g of 2-amino-6-bromo-3-methylpyridine was used in Step 1 instead of 4-bromoaniline. MS (ESI) m/z = 256.7 (M + H)⁺

[0221] Reference Example 28. 4-(5-bromopyrazin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one

[0222] 4.3 g of the title compound (yield: 61.9 %) was prepared in the same fashion as Reference Example 3, except that 5.0 g of 2-amino-5-bromopyrazine was used in Step 1 instead of 4-bromoaniline. MS (ESI) m/z = 243.4 (M + H)⁺

[0223] Reference Example 29. 4-(5-bromothiazol-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one

[0224] 475.9 mg of the title compound (yield: 34.6 %) was prepared in the same fashion as Reference Example 3, except that 1.0 g of 2-amino-5-bromothiazole was used in Step 1 instead of 4-bromoaniline. MS (ESI) m/z = 248.0 (M + H)⁺

[0225] Reference Example 30. tert-butyl 4-(3-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-

triazole-1-carboxylate

[0226] 5.0 g of 4-(3-bromophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one prepared in Reference Example 4 and 2.6 g of sodium carbonate were dissolved in 15.0 mL of 1,4-dioxane and 25.0 mL of distilled water. 5.7 mL of di tert-butyl dicarbonate and 10.0 mL of 1,4-dioxane were added to the resulting solution, and then the solution was stirred overnight at room temperature. The resulting reaction mixture was neutralized with 1N aqueous hydrochloride solution and then crystallized with addition of ethyl acetate. The resulting crystals were filtered, washed with diisopropylether, and then dried to give 5.1 g of the title compound as a white solid (yield: 71.2 %). MS (ESI) m/z = 241.9 ($M + H$)⁺

[0227] Reference Example 31. 3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1-((2-(trimethylsilyl)ethoxy)methyl)-1H-1,2,4-triazole

[0228] Step 1: (E)-4-bromo-N-((dimethylamino)methylene)benzamide

[0229] A reaction mixture of 2.0 g of 4-bromobenzamide and 5.4 g of N,N-dimethylformamide dimethyl acetal was stirred at 90°C for 15 minutes. The reaction mixture was cooled to room temperature, and 30.0 mL of diethylether was added thereto to give crystals. The resulting crystals were filtered and dried to give 1.89 g of the title compound as a yellow solid (yield: 100.0%).

[0230] Step 2: 3-(4-bromophenyl)-1H-1,2,4-triazole

1.5 g of (E)-4-bromo-N-((dimethylamino)methylene)benzamide prepared in Step 1 and 0.3 mL of hydrazine hydrate were dissolved in 15.0 mL acetic acid, and the resulting solution was stirred at 90°C for 2 hours. The reaction mixture was cooled to room temperature and then 30 mL diethylether was added thereto. The resulting reaction mixture was stirred at room temperature for 1 hour and then additionally stirred at 0°C for 1 hour to give crystals. The resulting crystals were filtered and dried to obtain 1.57 g of the title compound as a yellow solid (yield: 100.0%). ¹H-NMR (DMSO-d₆, 400 MHz) δ 14.23(s, 1H), 8.51(s, 1H), 7.96(d, 2H), 7.69(d, 2H)

[0231] Step 3: 3-(4-bromophenyl)-1-((2-(trimethylsilyl)ethoxy)methyl)-1H-1,2,4-triazole

[0232] 1.5 g of 3-(4-bromophenyl)-1H-1,2,4-triazole prepared in Step 2 was dissolved in

5.0 mL of N,N-dimethylformamide, and the resulting reaction mixture was cooled to 0°C. To the reaction mixture, 0.32 g of sodium hydride was added, and then the reaction mixture was stirred for 30 minutes. 1.67 g of (2-(chloromethoxy)ethyl)trimethylsilane was added thereto and the reaction mixture was then stirred at 0°C for 15 minutes and additionally stirred for 2 hours further. Distilled water was added and the reaction mixture was extracted with dichloromethane. The extract was dried over anhydrous magnesium sulfate and then concentrated under reduced pressure to give a residue as a yellow liquid. The residue was purified with silica gel column chromatography (developing solvent: n-hexane/ethyl acetate = 2/1) to give 1.1 g of the title compound as a yellow solid (yield: 46.3 %). ¹H-NMR (CDCl₃, 400 MHz) δ 8.25(s, 1H), 8.01(d, 2H), 7.58(d, 2H), 5.52(s, 2H), 3.69(t, 2H), 0.96(t, 2H), 0.00(s, 9H)

[0233] Step 4: 3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1-((2-(trimethylsilyl)ethoxy)methyl)-1H-1,2,4-triazole

[0234] 500.0 mg of 3-(4-bromophenyl)-1-((2-(trimethylsilyl)ethoxy)methyl)-1H-1,2,4-triazole prepared in Step 3, 720.0 mg of bis(pinacolato)diboron, and 420.0 mg of potassium acetate were dissolved in 10.0 mL of 1,4-dioxane. To the resulting solution, 103.0 mg of palladiumdi[1,1'-bis(diphenylphosphino)ferrocene]dichloride (PdCl₂(dppf)) and 39.0 mg of 1,1'-bis(diphenylphosphino)ferrocene] (dppf) were added, and the solution was stirred overnight at 95°C. The reaction mixture thus obtained was concentrated, and ethyl acetate was added thereto. The concentrated reaction mixture was washed with distilled water, dried over anhydrous magnesium sulfate, and concentrated under reduced pressure to give a yellow liquid residue. The residue was purified with silica gel column chromatography (developing solvent: n-hexane/ethyl acetate = 1/1) to give 403.0 mg of the title compound as a yellow solid (yield: 70.6 %). ¹H-NMR (CDCl₃, 400 MHz) δ 8.27(s, 1H), 8.14(d, 2H), 7.93(m, 2H), 5.54(s, 2H), 3.71(t, 2H), 1.38(s, 12H), 0.96(t, 2H), 0.01(s, 9H)

[0235] Reference Example 32. 3-(3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1-((2-(trimethylsilyl)ethoxy)methyl)-1H-1,2,4-triazole

[0236] 1.57 g of the title compound as a yellow solid (yield: 66.0 %) was prepared in the same fashion as Reference Example 32, except that 2.0 g of 3-bromobenzamide was used in Step 1 instead of 4-bromobenzamide. ¹H-NMR (CDCl₃, 400 MHz) δ 8.59(s, 1H), 8.27(s, 1H),

8.21(d, 2H), 7.84(d, 2H), 7.45(t, 2H), 5.53(s, 2H), 3.69(t, 2H), 1.35(s, 12H), 0.96(t, 2H), 0.01(s, 9H).

[0237] Reference Example 33. tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate

[0238] 20.9 mg of 4-(4-bromophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one prepared in Reference Example 3 and 23.1 mg of potassium carbonate were dissolved in 0.6 mL of N,N-dimethylformamide. 30.0 mg of tert-butyl (Z)-(2-(chloromethyl)-3-fluoroallyl)carbamate prepared in Reference Example 1 was added to the resulting solution, and then the solution was stirred at 100°C for 4 hours. The resulting reaction mixture was concentrated, and with the addition of dichloromethane, the concentrated reaction mixture was washed with distilled water, dried over anhydrous magnesium sulfate, and concentrated under reduced pressure to give a yellow liquid residue. The residue was purified with silica gel column chromatography (developing solvent: n-hexane/ethyl acetate = 2/1) to give 17.0 mg of the title compound as a colorless liquid (yield: 34.9 %). MS (ESI) m/z= 328.2 (M + H)+

[0239] Reference Example 34. tert-butyl (E)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate

[0240] 16.3 mg of 4-(4-bromophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one prepared in Reference Example 3 and 23.1 mg of potassium carbonate were dissolved in 0.6 mL of N,N-dimethylformamide. To the resulting solution, 30.0 mg of tert-butyl (E)-(2-(chloromethyl)-3-fluoroallyl)carbamate prepared in Reference Example 2 was added, and the solution was stirred at 100°C for 4 hours. The resulting reaction mixture was concentrated, and dichloromethane was added thereto. The concentrated reaction mixture was washed with distilled water, dried over anhydrous magnesium sulfate, and concentrated under reduced pressure to give a yellow liquid residue. The residue was purified with silica gel column chromatography (developing solvent: n-hexane/ethyl acetate = 2/1) to give 19.0 mg of the title compound as a colorless liquid (yield: 64.7 %). MS (ESI) m/z= 328.2 (M + H)+

[0241] Reference Example 35. tert-butyl (Z)-(2-((4-(4-bromo-2-fluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate

[0242] 31.0 mg of the title compound as a yellow solid (yield: 84.3 %) was prepared in

the same fashion as Reference Example 33, except that 21.5 mg of 4-(4-bromo-2-fluorophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one prepared in Reference Example 8 was used instead of 4-(4-bromophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one. MS (ESI) m/z = 346.1 (M + H)⁺

[0243] Reference Example 36. tert-butyl (E)-(2-((4-(4-bromo-2-fluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate

[0244] 20.0 mg of the title compound as a white solid (yield: 72.6 %) was prepared in the same fashion as Reference Example 34, except that 16.0 mg of 4-(4-bromo-2-fluorophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one prepared in Reference Example 8 was used instead of 4-(4-bromophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one. ¹H-NMR (MeOD, 400 MHz) δ 8.11(s, 1H), 7.65(d, 1H), 7.53-7.59(m, 2H), 7.18(d, 1H), 4.53(s, 2H), 3.73(d, 2H), 1.41(s, 9H)

[0245] Reference Example 37. tert-butyl (Z)-(2-((4-(4-bromo-3-fluoroallyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluorophenyl)carbamate

[0246] 81.0 mg of the title compound as a yellow solid (yield: 64.3 %) was prepared in the same fashion as Reference Example 33, except that 71.8 mg of 4-(4-bromo-3-fluorophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one prepared in Reference Example 9 was used instead of 4-(4-bromophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one. MS (ESI) m/z = 346.3 (M + H)⁺

[0247] Reference Example 38. tert-butyl (E)-(2-((4-(4-bromo-3-fluoroallyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluorophenyl)carbamate

[0248] 26.0 mg of the title compound as a white solid (yield: 72.6 %) was prepared in the same fashion as Reference Example 34, except that 16.0 mg of 4-(4-bromo-3-fluorophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one prepared in Reference Example 9 was used instead of 4-(4-bromophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one. ¹H-NMR (MeOD, 400 MHz) δ 8.34(s, 1H), 7.71-7.80(m, 2H), 7.48(dd, 1H), 7.18(d, 1H), 4.53(d, 2H), 3.73(d, 2H), 1.42(s, 9H)

[0249] Reference Example 39. tert-butyl (Z)-(2-((4-(4-bromo-3-methylphenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate

[0250] 79.0 mg of the title compound as a yellow solid (yield: 63.9 %) was prepared in

the same fashion as Reference Example 33, except that 70.7 mg of 4-(4-bromo-3-methylphenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one prepared in Reference Example 10 was used instead of 4-(4-bromophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one. MS (ESI) m/z = 342.2 (M + H)⁺

[0251] Reference Example 40. tert-butyl (E)-(2-((4-(4-bromo-3-methylphenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate

[0252] 26.0 mg of the title compound as a colorless liquid (yield: 95.2 %) was prepared in the same fashion as Reference Example 34, except that 16.0 mg of 4-(4-bromo-3-methylphenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one prepared in Reference Example 10 was used instead of 4-(4-bromophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one. ¹H-NMR (MeOD, 400 MHz) δ 8.26(s, 1H), 7.68(d, 1H), 7.62(s, 1H), 7.40(dd, 1H), 7.18(d, 1H), 4.53(d, 2H), 3.73(d, 2H), 2.45(s, 3H), 1.41(s, 9H)

[0253] Reference Example 41. tert-butyl (Z)-(2-((4-(4-bromo-3-methoxyphenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate

77.0 mg of the title compound as a yellow solid (yield: 60.1 %) was prepared in the same fashion as Reference Example 33, except that 75.1 mg of 4-(4-bromo-3-methoxyphenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one prepared in Reference Example 12 was used instead of 4-(4-bromophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one. MS (ESI) m/z = 358.3 (M + H)⁺

[0254] Reference Example 42. tert-butyl (E)-(2-((4-(4-bromo-3-methoxyphenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate

[0255] 20.0 mg of the title compound as a white solid (yield: 71.0 %) was prepared in the same fashion as Reference Example 34, except that 17.0 mg of 4-(4-bromo-3-methoxyphenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one prepared in Reference Example 12 was used instead of 4-(4-bromophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one. ¹H-NMR (MeOD, 400 MHz) δ 8.31(s, 1H), 7.65(d, 1H), 7.40(s, 1H), 7.18(d, 1H), 7.15(dd, 1H), 4.55(d, 2H), 3.93(s, 3H), 3.75(s, 2H), 1.42(s, 9H)

[0256] Reference Example 43. tert-butyl (Z)-(2-((4-(4-bromo-3,5-difluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate

[0257] 82.0 mg of the title compound as a yellow solid (yield: 63.2 %) was prepared in the same fashion as Reference Example 33, except that 76.8 mg of 4-(4-bromo-3,5-difluorophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one prepared in Reference Example 14 was used instead of 4-(4-bromophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one. MS (ESI) m/z = 364.6 (M + H)⁺

[0258] Reference Example 44. tert-butyl (E)-(2-((4-(4-bromo-3,5-difluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate

[0259] 24.0 mg of the title compound as a colorless liquid (yield: 83.9 %) was prepared in the same fashion as Reference Example 34, except that 17.0 mg of 4-(4-bromo-3,5-difluorophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one prepared in Reference Example 14 was used instead of 4-(4-bromophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one. ¹H-NMR (MeOD, 400 MHz) δ 8.39(s, 1H), 7.64(dd, 2H), 7.18(d, 1H), 4.53(d, 2H), 3.73(d, 2H), 1.42(s, 9H)

[0260] Reference Example 45. tert-butyl (Z)-(2-((4-(4-bromo-2,6-difluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate

9.0 mg of the title compound as a yellow solid (yield: 23.4 %) was prepared in the same fashion as Reference Example 33, except that 23.0 mg of 4-(4-bromo-2,6-difluorophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one prepared in Reference Example 15 was used instead of 4-(4-bromophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one. MS (ESI) m/z = 364.5 (M + H)⁺

[0261] Reference Example 46. tert-butyl (E)-(2-((4-(4-bromo-2,6-difluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate

[0262] 14.0 mg of the title compound as a white solid (yield: 48.4 %) was prepared in the same fashion as Reference Example 34, except that 17.0 mg of 4-(4-bromo-2,6-difluorophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one prepared in Reference Example 15 was used instead of 4-(4-bromophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one. ¹H-NMR (MeOD, 400 MHz) δ 8.10(s, 1H), 7.57(d, 2H), 7.18(d, 1H), 4.55(d, 2H), 3.73(d, 2H), 1.41(s, 9H).

[0263] Reference Example 47. tert-butyl (E)-(2-((4-(4-bromo-2,5-difluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate

[0264] 12.0 mg of the title compound as a white solid (yield: 41.9 %) was prepared in the

same fashion as Reference Example 34, except that 17.0 mg of 4-(4-bromo-2,5-difluorophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one prepared in Reference Example 16 was used instead of 4-(4-bromophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one. ¹H-NMR (MeOD, 400 MHz) δ 8.15(s, 1H), 7.79(dd, 1H), 7.69(dd, 1H), 7.09(d, 1H), 7.08(d, 1H), 4.54(d, 2H), 4.12(d, 2H), 1.42(s, 9H).

[0265] Reference Example 48. tert-butyl (E)-(2-((4-(5-bromo-2-methoxy-4-morpholinophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate

[0266] Step 1: phenyl (5-bromo-2-methoxy-4-morpholinophenyl)carbamate

[0267] 1.0 g of 5-bromo-2-methoxy-4-morpholinoaniline and 0.62 mL of pyridine were dissolved in 10.0 mL of ethyl acetate. To the resulting solution, 0.17 mL of phenylchloroformate at 0°C was slowly added, and the solution was stirred overnight at room temperature. To the solution, ethyl acetate was added, and the resulting mixture was washed with a 1N hydrochloric acid solution and brine, dried over anhydrous magnesium sulfate, and concentrated under reduced pressure to give 0.78 g of the title compound as a yellow solid (yield: 55.0 %). ¹H-NMR (CDCl₃, 400 MHz) δ 8.35(bs, 1H), 7.40(t, 3H), 7.18-7.26(m, 3H), 6.63(s, 1H), 3.92(s, 3H), 3.88(d, 4H), 3.02(d, 4H)

[0268] Step 2: N-(5-bromo-2-methoxy-4-morpholinophenyl)hydrazine carboxamide

[0269] 780 mg of phenyl (5-bromo-2-methoxy-4-morpholinophenyl)carbamate prepared in Step 1 and 186 uL of hydrazine hydrate were dissolved in 2.0 mL of tetrahydrofuran and 2.0 mL of ethanol, and the resulting solution was stirred overnight at 80°C. The resulting reaction mixture was concentrated and then washed with ethyl acetate to give 637 mg of the title compound as a white solid (yield: 96.1 %). ¹H-NMR (DMSO-d₆, 400 MHz) δ 8.37(s, 1H), 7.68(s, 1H), 6.82(s, 1H), 4.55(s, 2H), 3.86(s, 3H), 3.72(s, 4H), 2.92(s, 4H)

[0270] Step 3: 4-(5-bromo-2-methoxy-4-morpholinophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one

[0271] 637 mg of N-(5-bromo-2-methoxy-4-morpholinophenyl)hydrazine carboxamide prepared in Step 2 and 766 mg of formamidine acetate were dissolved in 10.0 mL of 1-propanol, and the resulting solution was stirred at room temperature for 30 minutes, and then

632 uL of acetic acid was added and stirred at 80°C for 8 hours. The reaction mixture was cooled to room temperature, distilled water was added to the cooled reaction mixture, and then the reaction mixture was stirred overnight. The resulting crystals were filtered and dried to give 615 mg of the title compound as a white solid (yield: 94.1 %). ¹H-NMR (CDCl₃, 400 MHz) δ 9.67(s, 1H), 7.67(s, 1H), 7.56(s, 1H), 6.68(s, 1H), 3.90(s, 4H), 3.86(s, 3H), 3.08(s, 4H)

[0272] Step 4: tert-butyl (E)-(2-((4-(5-bromo-2-methoxy-4-morpholinophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate

[0273] 213 mg of 4-(5-bromo-2-methoxy-4-morpholinophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one prepared in Step 3 and 231 mg of potassium carbonate were dissolved in 3.0 mL of N,N-dimethylformamide. 300 mg of tert-butyl (E)-(2-(chloromethyl)-3-fluoroallyl)carbamate prepared in Reference Example 2 was added to the resulting solution, and the solution was stirred at 100°C for 4 hours. The resulting reaction mixture was concentrated, and with the addition of dichloromethane, the concentrated reaction mixture was washed with distilled water, dried over anhydrous magnesium sulfate, and concentrated under reduced pressure to give a yellow liquid residue. The residue was purified with silica gel column chromatography (developing solvent: n-hexane/ethyl acetate = 2/1) to give 221 mg of the title compound as a colorless liquid (yield: 48.8 %). MS (ESI) m/z = 443.3 (M + H)⁺

[0274] Reference Example 49. tert-butyl (Z)-(2-((4-(3-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate

[0275] 22.0 mg of the title compound as a yellow solid (yield: 62.0 %) was prepared in the same fashion as Reference Example 33, except that 20.0 mg of 4-(3-bromophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one prepared in Reference Example 4 was used instead of 4-(4-bromophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one. MS (ESI) m/z = 328.2 (M + H)⁺

[0276] Reference Example 50. tert-butyl (E)-(2-((4-(3-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate

[0277] 27.0 mg of the title compound as a colorless liquid (yield: 100.0 %) was prepared in the same fashion as Reference Example 34, except that 15.0 mg of 4-(3-bromophenyl)-2,4-

dihydro-3H-1,2,4-triazol-3-one prepared in Reference Example 4 was used instead of 4-(4-bromophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one. ¹H-NMR (CDCl₃, 400 MHz) δ 7.81(s, 1H), 7.70(s, 1H), 7.50-7.53(m, 2H), 7.35(t, 1H), 6.76(d, 1H), 5.20(bs, 1H), 4.39(d, 2H), 3.90(d, 2H), 1.42(s, 9H)

[0278] Reference Example 51. tert-butyl (Z)-(3-fluoro-2-((4-(4-fluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)allyl)carbamate

[0279] 25.0 mg of the title compound as a colorless liquid (yield: 74.2 %) was prepared in the same fashion as Reference Example 33, except that 16.5 mg of 4-(4-fluorophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one prepared in Reference Example 5 was used instead of 4-(4-bromophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one. MS (ESI) m/z= 267.3 (M + H)+

[0280] Reference Example 52. tert-butyl (E)-(3-fluoro-2-((4-(4-fluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)allyl)carbamate

[0281] 12.0 mg of the title compound as a colorless liquid (yield: 39.0 %) was prepared in the same fashion as Reference Example 34, except that 15.0 mg of 4-(4-fluorophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one prepared in Reference Example 5 was used instead of 4-(4-bromophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one. MS (ESI) m/z= 267.3 (M + H)+

[0282] Reference Example 53. tert-butyl (Z)-(2-((4-(4-(benzyloxy)phenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate

[0283] 37.0 mg of the title compound as a yellow solid (yield: 98.1 %) was prepared in the same fashion as Reference Example 33, except that 22.3 mg of 4-(4-(benzyloxy)phenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one prepared in Reference Example 6 was used instead of 4-(4-bromophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one. MS (ESI) m/z= 355.6 (M + H)+

[0284] Reference Example 54. tert-butyl (E)-(2-((4-(4-(benzyloxy)phenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate

[0285] 18.0 mg of the title compound as a colorless liquid (yield: 47.7 %) was prepared in the same fashion as Reference Example 34, except that 22.3 mg of 4-(4-(benzyloxy)phenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one prepared in Reference Example 6 was used instead of 4-(4-bromophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one. MS (ESI) m/z= 355.3 (M + H)+

[0286] Reference Example 55. tert-butyl (Z)-(2-((4-(3,4-difluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate

[0287] 25.0 mg of the title compound as a yellow solid (yield: 77.4 %) was prepared in the same fashion as Reference Example 33, except that 16.5 mg of 4-(3,4-difluorophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one prepared in Reference Example 7 was used instead of 4-(4-bromophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one. MS (ESI) m/z = 285.1 (M + H)⁺

[0288] Reference Example 56. tert-butyl (E)-(2-((4-(3,4-difluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate

[0289] 27.0 mg of the title compound as a colorless liquid (yield: 83.6 %) was prepared in the same fashion as Reference Example 34, except that 16.5 mg of 4-(3,4-difluorophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one prepared in Reference Example 7 was used instead of 4-(4-bromophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one. MS (ESI) m/z = 285.2 (M + H)⁺

[0290] Reference Example 57. tert-butyl (E)-(2-((4-(3-bromo-2-methylphenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate

[0291] 157.0 mg of the title compound as a yellow solid (yield: 45.2 %) was prepared in the same fashion as Reference Example 34, except that 200.0 mg of 4-(3-bromo-2-methylphenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one prepared in Reference Example 11 was used instead of 4-(4-bromophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one. MS (ESI) m/z = 342.3 (M + H)⁺

[0292] Reference Example 58. tert-butyl (Z)-(2-((4-(6-bromopyridin-3-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate

[0293] 103.0 mg of the title compound as a yellow solid (yield: 86.5 %) was prepared in the same fashion as Reference Example 33, except that 67.1 mg of 4-(6-bromopyridin-3-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one prepared in Reference Example 17 was used instead of 4-(4-bromophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one. MS (ESI) m/z = 329.2 (M + H)⁺

[0294] Reference Example 59. tert-butyl (E)-(2-((4-(6-bromopyridin-3-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate

[0295] 20.0 mg of the title compound as a yellow liquid (yield: 74.2 %) was prepared in the same fashion as Reference Example 34, except that 15.0 mg of 4-(6-bromopyridin-3-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one prepared in Reference Example 17 was used instead of 4-(4-bromophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one. ¹H-NMR (MeOD, 400 MHz) δ 8.74(d, 1H), 8.36(s, 1H), 8.06(dd, 1H), 7.76(d, 1H), 7.19(d, 1H), 4.54(d, 2H), 3.74(s, 2H), 1.41(s, 9H)

[0296] Reference Example 60. tert-butyl (Z)-(2-((4-(6-bromo-5-methylpyridin-3-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate

[0297] 14.0 mg of the title compound as a yellow solid (yield: 37.9 %) was prepared in the same fashion as Reference Example 33, except that 21.3 mg of 4-(6-bromo-5-methylpyridin-3-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one prepared in Reference Example 18 was used instead of 4-(4-bromophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one. MS (ESI) m/z= 343.3 (M + H)+

[0298] Reference Example 61. tert-butyl (E)-(2-((4-(6-bromo-5-methylpyridin-3-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate

[0299] 14.0 mg of the title compound as a yellow solid (yield: 50.0 %) was prepared in the same fashion as Reference Example 34, except that 16.0 mg of 4-(6-bromo-5-methylpyridin-3-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one prepared in Reference Example 18 was used instead of 4-(4-bromophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one. ¹H-NMR (MeOD, 400 MHz) δ 8.58(d, 1H), 8.35(s, 1H), 8.07(d, 1H), 7.19(d, 1H), 4.55(d, 2H), 3.75(s, 1H), 2.46(s, 3H), 1.41(s, 9H)

[0300] Reference Example 62. tert-butyl (E)-(2-((4-(6-bromo-4-methylpyridin-3-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate

[0301] 13.2 mg of the title compound as a yellow solid (yield: 47.6 %) was prepared in the same fashion as Reference Example 34, except that 16.0 mg of 4-(6-bromo-4-methylpyridin-3-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one prepared in Reference Example 19 was used instead of 4-(4-bromophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one. MS (ESI) m/z= 343.2 (M + H)+

[0302] Reference Example 63. tert-butyl (Z)-(2-((4-(5-bromopyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate

[0303] 13.0 mg of the title compound as a yellow solid (yield: 34.3 %) was prepared in the same fashion as Reference Example 33, except that 21.3 mg of 4-(5-bromopyridin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one prepared in Reference Example 20 was used instead of 4-(4-bromophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one. MS (ESI) m/z = 329.1 (M + H)⁺

[0304] Reference Example 64. tert-butyl (E)-(2-((4-(5-bromopyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate

[0305] 30.0 mg of the title compound as a white solid (yield: 90.3 %) was prepared in the same fashion as Reference Example 34, except that 30.0 mg of 4-(5-bromopyridin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one prepared in Reference Example 20 was used instead of 4-(4-bromophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one. ¹H-NMR (MeOD, 400 MHz) δ 8.65(s, 1H), 8.57(d, 1H), 8.22(d, 1H), 8.15(dd, 1H), 7.19(d, 1H), 4.53(d, 2H), 3.73(d, 2H), 1.42(s, 9H)

[0306] Reference Example 65. tert-butyl (Z)-(2-((4-(5-bromo-3-methylpyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate

[0307] 13.0 mg of the title compound as a yellow solid (yield: 35.2 %) was prepared in the same fashion as Reference Example 33, except that 21.3 mg of 4-(5-bromo-3-methylpyridin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one prepared in Reference Example 21 was used instead of 4-(4-bromophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one. MS (ESI) m/z = 343.4 (M + H)⁺

[0308] Reference Example 66. tert-butyl (E)-(2-((4-(5-bromo-3-methylpyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate

[0309] 27.0 mg of the title compound as a colorless liquid (yield: 98.4 %) was prepared in the same fashion as Reference Example 34, except that 16.0 mg of 4-(5-bromo-3-methylpyridin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one prepared in Reference Example 21 was used instead of 4-(4-bromophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one. ¹H-NMR (CDCl₃, 400 MHz) δ 7.98(s, 1H), 7.38(s, 1H), 6.61(d, 1H), 4.40(bs, 1H), 3.93-3.97(m, 2H),

2.11(s, 3H), 1.45(s, 9H)

[0310] Reference Example 67. tert-butyl (Z)-(2-((4-(5-bromo-4-methylpyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate

[0311] 15.0 mg of the title compound as a yellow solid (yield: 43.2 %) was prepared in the same fashion as Reference Example 33, except that 20.0 mg of 4-(5-bromo-4-methylpyridin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one prepared in Reference Example 22 was used instead of 4-(4-bromophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one. MS (ESI) m/z= 343.5 (M + H)+

[0312] Reference Example 68. tert-butyl (E)-(2-((4-(5-bromo-4-methylpyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate

[0313] 26.0 mg of the title compound as a white solid (yield: 95.2 %) was prepared in the same fashion as Reference Example 34, except that 16.0 mg of 4-(5-bromo-4-methylpyridin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one prepared in Reference Example 22 was used instead of 4-(4-bromophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one. ¹H-NMR (CDCl₃, 400 MHz) δ 8.42(s, 1H), 8.40(s, 1H), 8.26(s, 1H), 6.76(d, 1H), 5.16(bs, 1H), 4.38(s, 2H), 3.90(bs, 2H), 2.47(s, 3H), 1.41(s, 9H)

[0314] Reference Example 69. tert-butyl (Z)-(2-((4-(5-bromo-3-fluoropyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate

[0315] 12.0 mg of the title compound as a yellow solid (yield: 34.8 %) was prepared in the same fashion as Reference Example 33, except that 20.0 mg of 4-(5-bromo-3-fluoropyridin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one prepared in Reference Example 23 was used instead of 4-(4-bromophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one. MS (ESI) m/z= 347.5 (M + H)+

[0316] Reference Example 70. tert-butyl (E)-(2-((4-(5-bromo-3-fluoropyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate

[0317] 18.0 mg of the title compound as a yellow solid (yield: 52.2 %) was prepared in the same fashion as Reference Example 34, except that 20.0 mg of 4-(5-bromo-3-fluoropyridin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one prepared in Reference Example 23

was used instead of 4-(4-bromophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one. MS (ESI) m/z = 347.4 (M + H)⁺

[0318] Reference Example 71. tert-butyl (E)-(2-((4-(4-bromopyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate

[0319] 17.5 mg of the title compound as a yellow solid (yield: 49.2 %) was prepared in the same fashion as Reference Example 34, except that 20.0 mg of 4-(4-bromopyridin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one prepared in Reference Example 25 was used instead of 4-(4-bromophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one. ¹H-NMR (CDCl₃, 400 MHz) δ 8.60(s, 1H), 8.43(s, 1H), 8.23(d, 1H), 7.41(d, 1H), 6.76(d, 1H), 5.14(bs, 1H), 4.39(s, 2H), 3.89(bs, 2H), 1.41(s, 9H)

[0320] Reference Example 72. tert-butyl (E)-(2-((4-(6-bromopyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate

[0321] 21.3 mg of the title compound as a yellow solid (yield: 59.9 %) was prepared in the same fashion as Reference Example 34, except that 20.0 mg of 4-(6-bromopyridin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one prepared in Reference Example 24 was used instead of 4-(4-bromophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one. ¹H-NMR (CDCl₃, 400 MHz) δ 8.41(s, 1H), 8.32(d, 1H), 7.69(t, 1H), 7.42(d, 1H), 6.75(d, 1H), 5.13(bs, 1H), 4.38(s, 2H), 3.88(bs, 1H), 1.41(s, 9H)

[0322] Reference Example 73. tert-butyl (E)-(2-((4-(2-bromopyridin-4-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate

[0323] 19.1 mg of the title compound as a yellow solid (yield: 53.7 %) was prepared in the same fashion as Reference Example 34, except that 20.0 mg of 4-(2-bromopyridin-4-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one prepared in Reference Example 26 was used instead of 4-(4-bromophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one. MS (ESI) m/z = 329.5 (M + H)⁺

[0324] Reference Example 74. tert-butyl (E)-(2-((4-(6-bromo-3-methylpyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate

[0325] 27.8 mg of the title compound as a yellow solid (yield: 64.1 %) was prepared in the same fashion as Reference Example 34, except that 25.0 mg of 4-(6-bromo-3-

methylpyridin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one prepared in Reference Example 27 was used instead of 4-(4-bromophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one. MS (ESI) m/z = 343.2 (M + H)⁺

[0326] Reference Example 75. tert-butyl (E)-(2-((4-(5-bromopyrazin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate

[0327] 20.0 mg of the title compound as a yellow solid (yield: 45.2 %) was prepared in the same fashion as Reference Example 34, except that 25.0 mg of 4-(5-bromopyrazin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one prepared in Reference Example 28 was used instead of 4-(4-bromophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one. MS (ESI) m/z = 330.1 (M + H)⁺

[0328] Reference Example 76. tert-butyl (Z)-(2-((4-(5-bromothiazol-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate

[0329] 13.0 mg of the title compound as a yellow solid (yield: 35.4 %) was prepared in the same fashion as Reference Example 33, except that 20.9 mg of 4-(5-bromothiazol-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one prepared in Reference Example 29 was used instead of 4-(4-bromophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one. MS (ESI) m/z = 335.2 (M + H)⁺

[0330] Reference Example 77. tert-butyl (E)-(2-((4-(5-bromothiazol-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate

[0331] 14.0 mg of the title compound as a white solid (yield: 51.6 %) was prepared in the same fashion as Reference Example 34, except that 15.0 mg of 4-(5-bromothiazol-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one prepared in Reference Example 29 was used instead of 4-(4-bromophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one. ¹H-NMR (MeOD, 400 MHz) δ 8.56(s, 1H), 7.62(s, 1H), 7.20(d, 1H), 4.55(d, 2H), 3.72(d, 2H), 1.41(s, 9H)

[0332] Reference Example 78. 3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1,2,4-oxadiazole

[0333] Step 1: 4-bromo-N-hydroxybenzimidamide

[0334] 5.0 g of 4-bromobenzonitrile, 4.77 g of hydroxylamine hydrochloride, and 7.28 g of sodium carbonate were dissolved in 60.0 mL of 80 % ethanol solution, and the resulting

solution was refluxed at 80°C for 7 hours. The reaction mixture was cooled to room temperature and then concentrated under reduced pressure, and to the concentrated reaction mixture, ethyl acetate was added, and the reaction mixture was washed with distilled water. The organic layer thus obtained was dried over anhydrous magnesium sulfate and concentrated under reduced pressure to give a yellow liquid residue. The residue was purified with silica gel column chromatography (developing solvent: n-hexane/ethyl acetate = 3/1) to give 5.06 g of the title compound as a yellow liquid (yield: 85.4 %).

[0335] Step 2: 3-(4-bromophenyl)-1,2,4-oxadiazole

[0336] 1.0 g of 4-bromo-N-hydroxybenzimidamide prepared in Step 1 was dissolved in 3.0 mL of triethyl orthoformate, and the resulting solution was stirred at 90°C for 5 hours. The reaction mixture was cooled to room temperature and then concentrated under reduced pressure to give a yellow liquid residue. The residue was purified with silica gel column chromatography (developing solvent: n-hexane/ethyl acetate = 2/1) to give 612.0 mg of the title compound as a yellow solid (yield: 57.9 %).

[0337] Step 3: 3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1,2,4-oxadiazole

[0338] 500.0 mg of 3-(4-bromophenyl)-1,2,4-oxadiazole prepared in Step 2, 1.13 g of bis(pinacolato)diboron and 650.0 mg of potassium acetate were dissolved in 10.0 mL of 1,4-dioxane, and to the resulting solution, 161.0 mg of palladiumdi[1,1'-bis(diphenylphosphino)ferrocene]dichloride (PdCl₂(dppf)) and 61.0 mg of 1,1'-bis(diphenylphosphino)ferrocene] (dppf) were added, and the solution was stirred overnight at 95°C. The reaction mixture was concentrated, and ethyl acetate was added thereto, and the reaction was washed with distilled water, dried over anhydrous magnesium sulfate, and concentrated under reduced pressure to give a yellow liquid residue. The residue was purified with silica gel column chromatography (developing solvent: n-hexane/ethyl acetate = 1/1) to give 397.0 mg of the title compound as a yellow solid (yield: 65.7 %). ¹H-NMR (CDCl₃, 400 MHz) δ 8.77(s, 1H), 8.12(d, 2H), 7.94(d, 2H), 1.37(s, 12H)

[0339] Reference Example 79. 3-(3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1,2,4-oxadiazole

[0340] 325.0 mg of the title compound as a yellow solid (yield: 53.8 %) was prepared in the same fashion as Reference Example 78, except that 5.0 g of 3-bromobenzonitrile was used in Step 1 instead of 4-bromobenzonitrile. ¹H-NMR (CDCl₃, 400 MHz) δ 8.76(s, 1H), 8.56(s, 1H), 8.21(d, 1H), 7.95(d, 1H), 7.51(t, 1H), 1.36(s, 12H)

[0341] Reference Example 80. 5-cyclopropyl-3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1,2,4-oxadiazole

[0342] Step 1: 4-bromo-N-hydroxybenzimidamide

[0343] 5.0 g of 4-bromobenzonitrile, 4.77 g of hydroxylamine hydrochloride, and 7.28 g of sodium carbonate were dissolved in 60.0 mL of 80% ethanol solution, and the resulting solution was refluxed at 80°C for 7 hours. The resulting reaction mixture was cooled to room temperature, and then concentrated under reduced pressure, and to the concentrated reaction mixture, ethyl acetate was added, and the reaction mixture was washed with distilled water. The organic layer thus obtained was dried over anhydrous magnesium sulfate and concentrated under reduced pressure to give a yellow liquid residue. The residue was purified with silica gel column chromatography (developing solvent: n-hexane/ethyl acetate = 3/1) to give 5.06 g of the title compound as a yellow liquid (yield: 85.6 %).

[0344] Step 2: 3-(4-bromophenyl)-5-cyclopropyl-1,2,4-oxadiazole

[0345] 1.0 g of 4-bromo-N-hydroxybenzimidamide prepared in Step 1 was dissolved in 10.0 mL of dichloromethane, and to the resulting solution, 1.65 mL of triethylamine and 0.71 mL of cyclopropanecarbonyl chloride were added, and the solution was stirred at room temperature for 30 minutes. The reaction mixture was concentrated under reduced pressure and dissolved in toluene, and then re-concentrated to give a residue. The residue was dissolved in 10.0 mL of toluene, and the resulting solution was stirred at 110°C for 18 hours. The reaction mixture thus obtained was cooled to room temperature and then concentrated under reduced pressure, and dichloromethane was added thereto, and the reaction mixture was washed with distilled water. The organic layer thus obtained was dried over anhydrous magnesium sulfate and concentrated under reduced pressure to give a yellow liquid residue. The residue was purified with silica gel column chromatography (developing solvent: n-hexane/ethyl acetate = 2/1) to give 818.0 mg of the title compound as a yellow liquid (yield: 77.1 %). MS (ESI) m/z= 266.2 (M + H)⁺

[0346] Step 3: 5-cyclopropyl-3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1,2,4-oxadiazole

[0347] 500.0 mg of 3-(4-bromophenyl)-5-cyclopropyl-1,2,4-oxadiazole prepared in Step 2, 1.13 g of bis(pinacolato)diboron, 650.0 mg of potassium acetate were dissolved in 10.0 mL of 1,4-dioxane, 161.0 mg of palladium di[1,1'-bis(diphenylphosphino)ferrocene]dichloride (PdCl₂(dppf)) and 61.0 mg of 1,1'-bis(diphenylphosphino)ferrocene (dppf) were added thereto, and the resulting solution was stirred overnight at 95°C. The reaction mixture was concentrated, ethyl acetate was added thereto, and then the reaction mixture was washed with distilled water, dried over anhydrous magnesium sulfate, and concentrated under reduced pressure to obtain a yellow liquid residue. The residue was purified with silica gel column chromatography (developing solvent: n-hexane/ethyl acetate = 1/1) to give 403.0 mg of the title compound as a white solid (yield: 58.1 %). ¹H-NMR (CDCl₃, 400 MHz) δ 8.35(d, 2H), 7.89(d, 2H), 2.25(m, 1H), 1.35(m, 2H), 1.30(m, 2H), 1.26(s, 12H)

[0348] Reference Example 81. 5-cyclopropyl-3-(3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1,2,4-oxadiazole

[0349] 307.0 mg of the title compound as a yellow solid (yield: 44.3 %) was prepared in the same fashion as Reference Example 80, except that 5.0 g of 3-bromobenzonitrile was used in Step 1 instead of 4-bromobenzonitrile. MS (ESI) m/z= 313.2 (M + H)⁺

[0350] Reference Example 82. 5-isopropyl-3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1,2,4-oxadiazole

[0351] 486.0 mg of the title compound as a white solid (yield: 69.7 %) was prepared in the same fashion as Reference Example 80, except that 0.82 mL of isobutyryl chloride was used in Step 2 instead of cyclopropane carbonyl chloride. ¹H-NMR (CDCl₃, 400 MHz) δ 8.09(d, 2H), 7.91(d, 2H), 3.29(m, 1H), 1.46(d, 6H), 1.26(s, 12H)

[0352] Reference Example 83. 5-isopropyl-3-(3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1,2,4-oxadiazole

[0353] 325.0 mg of the title compound as a yellow solid (yield: 46.6 %) was prepared in the same fashion as Reference Example 80, except that 5.0 g of 3-bromobenzonitrile was

used in Step 1 instead of 4-bromobenzonitrile and 0.82 mL of isobutyryl chloride was used in Step 2 instead of cyclopropane carbonyl chloride. ¹H-NMR (CDCl₃, 400 MHz) δ 8.52(s, 1H), 8.16(d, 1H), 7.92(d, 1H), 7.49(t, 1H), 3.29(m, 1H), 1.46(d, 6H), 1.26(s, 12H)

[0354] Reference Example 84. tert-butyl (E)-(2-((4-(4'-bromo-[1,1'-biphenyl]-3-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate

[0355] Step 1: 4-(3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one

[0356] 2.0 g of 4-(3-bromophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one prepared in Reference Example 4, 4.0 g of bis(pinacolato)diboron, 3.6 g of potassium acetate, and 303.0 mg of palladiumdi[1,1'-bis(diphenylphosphino)ferrocene]dichloride (PdCl₂(dppf)) were dissolved in 20.0 mL of 1,4-dioxane, and the resulting solution was stirred overnight at 95°C. The reaction mixture was concentrated, ethyl acetate was added thereto, and then the reaction mixture was washed with distilled water, dried over anhydrous magnesium sulfate, and concentrated under reduced pressure to obtain a yellow liquid residue. The residue was purified with silica gel column chromatography (developing solvent: n-hexane/ethyl acetate = 1/1) to give 1.1 g of the title compound as a yellow liquid (yield: 46.0 %). ¹H-NMR (CDCl₃, 400 MHz) δ 10.6(s, 1H), 7.84(s, 1H), 7.81(d, 1H), 7.75(dd, 2H), 7.51(t, 1H), 1.35(s, 12H)

[0357] Step 2: 4-(4'-bromo-[1,1'-biphenyl]-3-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one

[0358] 230.0 mg of 4-(3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one prepared in Step 1, 226.0 mg of 1-bromo-4-iodobenzene, and 20.0 mg of palladiumdi[1,1'-bis(diphenylphosphino)ferrocene]dichloride (PdCl₂(dppf)) were dissolved in 5.0 mL of 1,4-dioxane, and 4.0 mL of 1M aqueous solution of potassium carbonate was added thereto, and the resulting solution was stirred at 100°C for 3.5 hours. The reaction mixture was concentrated, ethyl acetate was added thereto, and then the reaction mixture was washed with distilled water, dried over anhydrous magnesium sulfate, and concentrated under reduced pressure to obtain a yellow liquid residue. The residue was purified with silica gel column chromatography (developing solvent: n-hexane/ethyl acetate = 2/1) to give 90.0 mg of the title compound as a brown solid (yield: 35.0 %). MS (ESI) m/z= 318.0 (M + H)+

[0359] Step 3: tert-butyl (E)-(2-((4-(4'-bromo-[1,1'-biphenyl]-3-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate

[0360] 90.0 mg of 4-(4'-bromo-[1,1'-biphenyl]-3-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one prepared in Step 2 and 77.0 mg of potassium carbonate were dissolved in 1.0 mL of N,N-dimethylformamide, 101.0 mg of tert-butyl (E)-(2-(chloromethyl)-3-fluoroallyl)carbamate prepared in Reference Example 2 was added thereto, and then the resulting solution was stirred at 80°C for 3 hours. The reaction mixture was concentrated, ethyl acetate was added thereto, and then the reaction mixture was washed with distilled water, dried over anhydrous magnesium sulfate, and concentrated under reduced pressure to obtain a yellow liquid residue. The residue was purified with silica gel column chromatography (developing solvent: n-hexane/ethyl acetate = 2/1) to give 108.0 mg of the title compound as a white solid (yield: 77.0 %). ¹H-NMR (CDCl₃, 400 MHz) δ 7.76(d, 2H), 7.55-7.59(m, 4H), 7.46-7.50(m, 3H), 6.77(d, 1H), 5.25(m, 4H), 4.41(s, 2H), 3.90(bs, 2H), 1.41(s, 9H)

[0361] Reference Example 85. tert-butyl (E)-(2-((4-(3'-bromo-[1,1'-biphenyl]-3-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate

151.0 mg of the title compound as a white solid (yield: 73.7 %) was prepared in the same fashion as Reference Example 84, except that 132.0 μL of 1-bromo-3-iodobenzene was used in Step 2 instead of 1-bromo-4-iodobenzene. ¹H-NMR (CDCl₃, 400 MHz) δ 7.76(s, 1H), 7.75(d, 2H), 7.51-7.56(m, 5H), 7.33(t, 1H), 6.77(d, 1H), 5.25(bs, 1H), 4.41(s, 2H), 3.91(bs, 2H), 1.42(s, 9H)

[0362] Reference Example 86. 5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)benzo[d]thiazol-2-amine

[0363] 4.0 g of 2-amino-5-bromobenzothiazole, 5.7 g of bis(pinacolato)diboron, and 5.1 g of potassium acetate were dissolved in 40.0 mL of 1,4-dioxane, and 426.0 mg of palladiumdi[1,1'-bis(diphenylphosphino)ferrocene]dichloride (PdCl₂(dppf)) was added thereto, and the resulting solution was stirred overnight at 95°C. The reaction mixture was concentrated, ethyl acetate was added thereto, and then the reaction mixture was washed with distilled water, dried over anhydrous magnesium sulfate, and concentrated under reduced pressure to obtain a yellow liquid residue. The residue was purified with silica gel column chromatography (developing solvent: n-hexane/ethyl acetate = 2/1) to give 1.9 g of the title

compound as a yellow solid (yield: 39.4 %). ¹H-NMR (CDCl₃, 400 MHz) δ 7.97(s, 1H), 7.58(dd, 2H), 5.39(bs, 2H), 1.36(s, 12H)

[0364] Reference Example 87. N-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)benzo[d]thiazol-2-yl)acetamide

[0365] Step 1: N-(5-bromobenzo[d]thiazol-2-yl)acetamide

[0366] 2.0 g of 2-amino-5-bromobenzothiazole was dissolved in 20.0 mL of pyridine, 688 uL of acetyl chloride was added thereto, and the resulting solution was stirred overnight at room temperature. The reaction mixture thus obtained was concentrated, and then ethyl acetate and 1N aqueous solution of hydrogen chloride were added thereto, and the resulting solution was stirred for about 30 minutes. The solid thus obtained was filtered under reduced pressure while it was washed with ethyl acetate, to give 1.18 g of the title compound as a white solid (yield: 49.8 %). ¹H-NMR (CDCl₃, 400 MHz) δ 9.71(bs, 1H), 7.91(s, 1H), 7.68(d, 1H), 7.43(d, 1H), 2.32(s, 3H)

[0367] Step 2: N-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)benzo[d]thiazol-2-yl)acetamide

500.0 mg of N-(5-bromobenzo[d]thiazol-2-yl)acetamide prepared in Step 1, 607.0 mg of bis(pinacolato)diboron, 542.0 mg of potassium acetate, and 45.0 mg of palladium di[1,1'-bis(diphenylphosphino)ferrocene]dichloride (PdCl₂(dppf)) were dissolved in 5.0 mL of 1,4-dioxane, and the resulting solution was stirred overnight at 95°C. The resulting mixture was concentrated, ethyl acetate was added thereto, and the reaction mixture was washed with distilled water, dried over anhydrous magnesium sulfate, and concentrated under reduced pressure to give a yellow liquid residue. The residue was purified with silica gel column chromatography (developing solvent: n-hexane/ethyl acetate = 1/1) to give 492.0 mg of the title compound as a orange solid (yield: 84.0 %). ¹H-NMR (CDCl₃, 400 MHz) δ 10.9(bs, 1H), 8.22(s, 1H), 7.84(d, 1H), 7.74(d, 1H), 2.32(s, 3H), 1.36(s, 12H)

[0368] Reference Example 88. 5-(3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1-((2-(trimethylsilyl)ethoxy)methyl)-1H-tetrazole

[0369] Step 1: 5-(3-bromophenyl)-1H-tetrazole

[0370] 2.00 g of 3-bromobenzonitrile was dissolved in 10.0 mL of dimethylsulfoxide and sodium azide and copper sulfate were added thereto, and the resulting solution was stirred at 120°C for 6 hours. The reaction mixture was cooled to room temperature and 1N aqueous solution of hydrogen chloride was added thereto, and the resulting reaction mixture was washed with water. The reaction mixture was extracted with ethyl acetate, and the resulting extract was dried over anhydrous magnesium sulfate and concentrated under reduced pressure to give 0.97 g of the title compound as a dark yellow liquid (yield: 39.1 %).

[0371] Step 2: 5-(3-bromophenyl)-1-((2-(trimethylsilyl)ethoxy)methyl)-1H-tetrazole

[0372] 0.97 g of 5-(3-bromophenyl)-1H-tetrazole prepared in Step 1 is dissolved in 3.0 mL of N,N-dimethylformamide, the resulting reaction mixture was cooled to 0°C, and then 0.21 g of sodium hydride was added thereto, and the reaction mixture was stirred for 30 minutes. To the reaction mixture, 1.67 g of (2-(chloromethoxy)ethyl)trimethylsilane was added, and the reaction mixture was stirred at 0°C for 15 minutes and then additionally stirred at room temperature for 2 hours. To the reaction mixture, distilled water was added, and the reaction mixture was extracted with dichloromethane, and the extract thus obtained was dried over anhydrous magnesium sulfate and concentrated under reduced pressure to obtain a yellow liquid residue. The residue was purified with silica gel column chromatography (developing solvent: n-hexane/ethyl acetate = 2/1) to give 0.87 g of the title compound as a yellow solid (yield: 57.6 %).

[0373] Step 3: 5-(3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1-((2-(trimethylsilyl)ethoxy)methyl)-1H-tetrazole

[0374] 500.0 mg of 5-(3-bromophenyl)-1-((2-(trimethylsilyl)ethoxy)methyl)-1H-tetrazole prepared in Step 2, 710.0 mg of bis(pinacolato)diboron, and 410.0 mg of potassium acetate were dissolved in 10.0 mL of 1,4-dioxane. To the resulting solution, 103.0 mg of palladium di[1,1'-bis(diphenylphosphino)ferrocene]dichloride (PdCl₂(dppf)) and 80.0 mg of 1,1'-bis(diphenylphosphino)ferrocene (dppf) were added, and the solution was stirred overnight at 95°C. The reaction mixture thus obtained was concentrated, ethyl acetate was added thereto, and then the reaction mixture was washed with distilled water, dried over anhydrous magnesium sulfate, and concentrated under reduced pressure to obtain a yellow liquid residue. The residue was purified with silica gel column chromatography (developing

solvent: n-hexane/ethyl acetate = 1/1) to give 333.0 mg of the title compound as a yellow solid (yield: 57.1 %). ¹H-NMR (CDCl₃, 400 MHz) δ 8.36(s, 1H), 8.30(d, 1H), 7.62(d, 1H), 7.38(t, 1H), 5.93(s, 2H), 3.75(t, 2H), 0.98(t, 2H), 0.00(s, 9H)

[0375] Example 1. 2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(4-bromophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one

[0376] 17.0 mg of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 33 was dissolved in 0.9 mL of dichloromethane. 0.2 mL of trifluoroacetic acid was added to the solution, and the solution was stirred at room temperature for 4 hours. The residue obtained by concentration under reduced pressure was dissolved in dichloromethane, and the solution was washed with an aqueous solution of sodium bicarbonate and brine, dried over anhydrous magnesium sulfate, and then concentrated under reduced pressure to give a yellow liquid residue. The residue was purified with silica gel column chromatography (developing solvent: dichloromethane/methanol = 10/1) to give 5.6 mg of the title compound as a yellow liquid (yield: 43.0 %). ¹H NMR (MeOD, 400 MHz) δ 8.27(s, 1H), 7.68(d, 2H), 7.60(d, 2H), 7.10(d, 1H), 4.70(s, 2H), 3.61(s, 2H)

[0377] Example 2. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(4-bromophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one

[0378] 8.6 mg of the title compound (yield: 59.1 %) was prepared in the same fashion as Example 1, except that 19.0 mg of tert-butyl (E)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 34 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate. ¹H-NMR (DMSO-d₆, 400 MHz) δ 8.58(s, 1H), 7.73(m, 2H), 7.21(d, 1H), 4.49(s, 2H), 3.54(s, 2H)

[0379] Example 3. 2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(3-bromophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0380] 22.0 mg of tert-butyl (Z)-(2-((4-(3-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 49 was dissolved in 0.9 mL of dichloromethane, and 0.2 mL of 4M hydrogen chloride solution in

dioxane was added thereto, and the resulting solution was stirred overnight at room temperature. The reaction mixture was concentrated, and the residue thus obtained was washed with ethyl acetate and concentrated under reduced pressure to give 10.3 mg of the yellow title compound (yield: 55.0 %). ¹H-NMR (DMSO-d₆, 400 MHz) δ 8.62(s, 1H), 8.02(d, 1H), 7.77(d, 1H), 7.60(t, 1H), 7.50(t, 1H), 7.20(d, 1H), 4.61(s, 2H), 3.47(s, 2H)

[0381] Example 4. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(3-bromophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0382] 18.0 mg of the title compound (yield: 78.3 %) was prepared in the same fashion as Example 3, except that 27.0 mg of tert-butyl (E)-(2-((4-(3-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 50 was used instead of tert-butyl (Z)-(2-((4-(3-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate. ¹H-NMR (MeOD, 400 MHz) δ 8.31(s, 1H), 7.96(s, 1H), 7.64(dd, 1H), 7.58(d, 1H), 7.45(t, 1H), 7.18(d, 1H), 4.53(d, 2H), 3.73(d, 2H)

[0383] Example 5. 2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(4-fluorophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one

[0384] 14.6 mg of the title compound (yield: 73.8 %) was prepared in the same fashion as Example 1, except that 25.0 mg of tert-butyl (Z)-(3-fluoro-2-((4-(4-fluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)allyl)carbamate prepared in Reference Example 51 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate. ¹H-NMR (DMSO-d₆, 400 MHz) δ 8.53(s, 1H), 7.72-7.75(m, 2H), 7.40(t, 2H), 7.18(d, 1H), 4.60(s, 2H), 3.48(s, 2H)

[0385] Example 6. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(4-fluorophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0386] 0.9 mg of the title compound (yield: 9.1 %) was prepared in the same fashion as Example 3, except that 12.0 mg of tert-butyl (E)-(3-fluoro-2-((4-(4-fluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)allyl)carbamate prepared in Reference Example 52 was used instead of tert-butyl (Z)-(2-((4-(3-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate. ¹H-NMR (MeOD, 400 MHz) δ 8.22(s, 1H), 7.63-7.67(m, 2H), 7.28(t, 2H), 7.16(d, 1H), 4.53(s, 2H), 3.73(s, 2H)

[0387] Example 7. 2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4-(benzyloxy)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one

[0388] 20.0 mg of the title compound (yield: 65.6 %) was prepared in the same fashion as Example 1, except that 37.0 mg of tert-butyl (Z)-(2-((4-(4-(benzyloxy)phenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 53 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate. ¹H-NMR (DMSO-d₆, 400 MHz) δ 8.44(s, 1H), 7.56(d, 2H), 7.46(d, 2H), 7.41(t, 2H), 7.35(t, 1H), 7.17(d, 1H), 7.15(d, 2H), 5.17(s, 2H), 4.59(s, 2H), 3.48(s, 2H)

[0389] Example 8. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4-(benzyloxy)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0390] 6.4 mg of the title compound (yield: 41.3 %) was prepared in the same fashion as Example 3, except that 18.0 mg of tert-butyl (E)-(2-((4-(4-(benzyloxy)phenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 54 was used instead of tert-butyl (Z)-(2-((4-(3-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate. ¹H-NMR (DMSO-d₆, 400 MHz) δ 8.44(s, 1H), 7.56(d, 2H), 7.45(t, 2H), 7.40(t, 2H), 7.34(d, 1H), 7.20(d, 1H), 7.15(d, 2H), 5.16(s, 2H), 4.49(s, 2H), 3.53(s, 2H)

[0391] Example 9. 2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(3,4-difluorophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one

[0392] 11.7 mg of the title compound (yield: 58.6 %) was prepared in the same fashion as Example 1, except that 25.0 mg of tert-butyl (Z)-(2-((4-(3,4-difluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 55 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate. ¹H-NMR (DMSO-d₆, 400 MHz) δ 8.58(s, 1H), 7.89-7.94(m, 1H), 7.61-7.69(m, 2H), 7.18(d, 1H), 4.60(s, 2H), 3.48(s, 2H)

[0393] Example 10. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(3,4-difluorophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0394] 11.8 mg of the title compound (yield: 52.4 %) was prepared in the same fashion as Example 3, except that 27.0 mg of tert-butyl (E)-(2-((4-(3,4-difluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 56 was used instead of tert-butyl (Z)-(2-((4-(3-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate. ¹H-NMR (DMSO-d₆, 400 MHz) δ 8.58(s, 1H), 7.89-7.94(m, 1H), 7.63-7.67(m, 2H), 7.20(d, 1H), 4.51(s, 2H), 3.51(s, 2H)

[0395] Example 11. 2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(4-bromo-2-fluorophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0396] 15.7 mg of the title compound (yield: 52.4 %) was prepared in the same fashion as Example 3, except that 31.0 mg of tert-butyl (Z)-(2-((4-(4-bromo-2-fluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 35 was used instead of tert-butyl (Z)-(2-((4-(3-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate. ¹H-NMR (DMSO-d₆, 400 MHz) δ 8.34(s, 1H), 7.89(d, 1H), 7.63(d, 2H), 7.20(d, 1H), 4.61(s, 2H), 3.47(s, 2H)

[0397] Example 12. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(4-bromo-2-fluorophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0398] 12.0 mg of the title compound (yield: 70.0 %) was prepared in the same fashion as Example 3, except that 20.0 mg of tert-butyl (E)-(2-((4-(4-bromo-2-fluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 36 was used instead of tert-butyl (Z)-(2-((4-(3-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate. ¹H-NMR (MeOD, 400 MHz) δ 8.11(s, 1H), 7.66(d, 1H), 7.53-7.60(m, 2H), 7.18(d, 1H), 4.53(d, 2H), 3.73(d, 2H)

[0399] Example 13. 2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(4-bromo-3-fluorophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one

[0400] 17.2 mg of the title compound (yield: 69.8 %) was prepared in the same fashion as Example 1, except that 30.0 mg of tert-butyl (Z)-(2-((4-(4-bromo-3-fluoroallyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 37 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate. ¹H-NMR (DMSO-d₆, 400 MHz) δ

8.65(s, 1H), 7.87-7.93(m, 2H), 7.64(m, 1H), 7.18(d, 1H), 4.60(s, 2H), 3.48(s, 2H)

[0401] Example 14. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(4-bromo-3-fluorophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0402] 18.0 mg of the title compound (yield: 80.0 %) was prepared in the same fashion as Example 3, except that 26.0 mg of tert-butyl (E)-(2-((4-(4-bromo-3-fluoroallyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluorophenyl)carbamate prepared in Reference Example 38 was used instead of tert-butyl (Z)-(2-((4-(3-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate. ¹H-NMR (MeOD, 400 MHz) δ 8.34(s, 1H), 7.72-7.80(m, 2H), 7.48(dd, 1H), 7.18(d, 1H), 4.53(d, 2H), 3.73(d, 2H)

[0403] Example 15. 2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(4-bromo-3-methylphenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one

[0404] 18.0 mg of the title compound (yield: 73.2 %) was prepared in the same fashion as Example 1, except that 30.0 mg of tert-butyl (Z)-(2-((4-(4-bromo-3-methylphenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 39 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate. ¹H-NMR (DMSO-d₆, 400 MHz) δ 8.55(s, 1H), 7.74(t, 2H), 7.53(m, 1H), 7.18(d, 1H), 4.59(s, 2H), 3.48(s, 2H), 2.40(s, 3H)

[0405] Example 16. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(4-bromo-3-methylphenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0406] 12.0 mg of the title compound (yield: 53.9 %) was prepared in the same fashion as Example 3, except that 26.0 mg of tert-butyl (E)-(2-((4-(4-bromo-3-methylphenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 40 was used instead of tert-butyl (Z)-(2-((4-(3-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate. ¹H-NMR (MeOD, 400 MHz) δ 8.26(s, 1H), 7.68(d, 1H), 7.62(s, 1H), 7.40(dd, 1H), 7.18(d, 1H), 4.53(d, 2H), 3.73(d, 2H), 2.45(s, 3H)

[0407] Example 17. 2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(4-bromo-3-methoxyphenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one

[0408] 14.8 mg of the title compound (yield: 59.8 %) was prepared in the same fashion as Example 1, except that 30.0 mg of tert-butyl (Z)-(2-((4-(4-bromo-3-methoxyphenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 41 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate. ¹H-NMR (DMSO-d₆, 400 MHz) δ 8.63(s, 1H), 7.74(d, 1H), 7.48(d, 1H), 7.31(m, 1H), 7.18(d, 1H), 4.60(s, 2H), 3.90(s, 3H) 3.49(s, 2H)

[0409] Example 18. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(4-bromo-3-methoxyphenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0410] 13.0 mg of the title compound (yield: 75.5 %) was prepared in the same fashion as Example 3, except that 20.0 mg of tert-butyl (E)-(2-((4-(4-bromo-3-methoxyphenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 42 was used instead of tert-butyl (Z)-(2-((4-(3-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate. ¹H-NMR (MeOD, 400 MHz) δ 8.32(s, 1H), 7.65(d, 1H), 7.40(d, 1H), 7.18(d, 1H), 7.15(dd, 1H), 4.54(s, 2H), 3.94(s, 3H), 3.75(s, 2H)

[0411] Example 19. 2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(4-bromo-3,5-difluorophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one

[0412] 14.6 mg of the title compound (yield: 58.9 %) was prepared in the same fashion as Example 1, except that 30.0 mg of tert-butyl (Z)-(2-((4-(4-bromo-3,5-difluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 43 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate. ¹H-NMR (DMSO-d₆, 400 MHz) δ 8.69(s, 1H), 7.85(d, 2H), 7.18(d, 1H), 4.60(s, 2H), 3.48(s, 2H)

[0413] Example 20. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(4-bromo-3,5-difluorophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0414] 14.0 mg of the title compound (yield: 67.6 %) was prepared in the same fashion as Example 3, except that 24.0 mg of tert-butyl (E)-(2-((4-(4-bromo-3,5-difluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference

Example 44 was used instead of tert-butyl (Z)-(2-((4-(3-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate. ¹H-NMR (MeOD, 400 MHz) δ 8.39(s, 1H), 7.64(dd, 2H), 7.18(d, 1H), 4.53(d, 2H), 3.73(d, 2H)

[0415] Example 21. 2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(4-bromo-2,6-difluorophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0416] 1.3 mg of the title compound (yield: 16.7 %) was prepared in the same fashion as Example 3, except that 9.0 mg of tert-butyl (Z)-(2-((4-(4-bromo-2,6-difluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 45 was used instead of tert-butyl (Z)-(2-((4-(3-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate. ¹H-NMR (DMSO-d₆, 400 MHz) δ 8.35(s, 1H), 7.85(d, 2H), 7.19(d, 1H), 4.61(s, 2H), 3.46(s, 2H)

[0417] Example 22. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(4-bromo-2,6-difluorophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0418] 7.0 mg of the title compound (yield: 58.0 %) was prepared in the same fashion as Example 3, except that 14.0 mg of tert-butyl (E)-(2-((4-(4-bromo-2,6-difluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 46 was used instead of tert-butyl (Z)-(2-((4-(3-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate. ¹H-NMR (MeOD, 400 MHz) δ 8.10(s, 1H), 7.55-7.59(m, 2H), 7.18(d, 1H), 4.55(d, 2H), 3.72(d, 2H)

[0419] Example 23. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(4-bromo-2,5-difluorophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0420] 5.0 mg of the title compound (yield: 48.3 %) was prepared in the same fashion as Example 3, except that 12.0 mg of tert-butyl (E)-(2-((4-(4-bromo-2,5-difluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 47 was used instead of tert-butyl (Z)-(2-((4-(3-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate. ¹H-NMR (MeOD, 400 MHz) δ 8.23(s, 1H), 7.79(dd, 1H), 7.69(dd, 1H), 7.09(d, 1H), 4.54(d, 2H), 4.12(d, 2H)

[0421] Example 24. 2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(6-

bromopyridin-3-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one

[0422] 14.8 mg of the title compound (yield: 60.5 %) was prepared in the same fashion as Example 1, except that 30.0 mg of tert-butyl (Z)-(2-((4-(6-bromopyridin-3-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 58 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate. ¹H-NMR (DMSO-d₆, 400 MHz) δ 8.80(s, 1H), 8.64(s, 1H), 8.15(d, 1H), 7.87(d, 1H), 7.18(d, 1H), 4.60(s, 2H), 3.48(s, 2H)

[0423] Example 25. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(6-bromopyridin-3-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0424] 10.0 mg of the title compound (yield: 53.4 %) was prepared in the same fashion as Example 3, except that 20.0 mg of tert-butyl (E)-(2-((4-(6-bromopyridin-3-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 59 was used instead of tert-butyl (Z)-(2-((4-(3-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate. ¹H-NMR (MeOD, 400 MHz) δ 8.73(d, 1H), 8.36(s, 1H), 8.06(dd, 1H), 7.76(d, 1H), 7.19(d, 1H), 4.54(d, 2H), 3.74(s, 2H)

[0425] Example 26. 2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(6-bromo-5-methylpyridin-3-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0426] 4.4 mg of the title compound (yield: 36.7 %) was prepared in the same fashion as Example 3, except that 14.0 mg of tert-butyl (Z)-(2-((4-(6-bromo-5-methylpyridin-3-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 60 was used instead of tert-butyl (Z)-(2-((4-(3-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate. ¹H-NMR (DMSO-d₆, 400 MHz) δ 8.65(d, 1H), 8.61(d, 1H), 8.21(s, 1H), 7.20(d, 1H), 4.61(s, 2H), 3.47(s, 2H) 2.40(s, 3H)

[0427] Example 27. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(6-bromo-5-methylpyridin-3-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0428] 11.0 mg of the title compound (yield: 83.7 %) was prepared in the same fashion as Example 3, except that 14.0 mg of tert-butyl (E)-(2-((4-(6-bromo-5-methylpyridin-3-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference

Example 61 was used instead of tert-butyl (Z)-(2-((4-(3-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate. ¹H-NMR (MeOD, 400 MHz) δ 8.58(d, 1H), 8.36(s, 1H), 8.07(d, 1H), 7.19(d, 1H), 4.54(d, 2H), 3.75(s, 2H), 2.46(s, 3H)

[0429] Example 28. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(6-bromo-4-methylpyridin-3-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0430] 11.8 mg of the title compound (yield: 68.9 %) was prepared in the same fashion as Example 3, except that 20.0 mg of tert-butyl (E)-(2-((4-(6-bromo-4-methylpyridin-3-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 62 was used instead of tert-butyl (Z)-(2-((4-(3-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate. ¹H-NMR (MeOD, 400 MHz) δ 8.31(m, 1H), 8.04(s, 1H), 7.68(s, 1H), 7.53(s, 1H), 7.05-7.25(m, 1H), 4.51(s, 2H), 3.71(s, 2H), 2.27(s, 3H)

[0431] Example 29. 2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-bromopyridin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0432] 6.6 mg of the title compound (yield: 59.6 %) was prepared in the same fashion as Example 3, except that 13.0 mg of tert-butyl (Z)-(2-((4-(5-bromopyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 63 was used instead of tert-butyl (Z)-(2-((4-(3-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate. ¹H-NMR (DMSO-d₆, 400 MHz) δ 8.71(s, 1H), 8.66(d, 1H), 8.31(dd, 1H), 8.17(d, 1H), 7.20(d, 1H), 4.62(s, 2H), 3.47(s, 2H)

[0433] Example 30. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-bromopyridin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0434] 18.0 mg of the title compound (yield: 64.1 %) was prepared in the same fashion as Example 3, except that 30.0 mg of tert-butyl (E)-(2-((4-(5-bromopyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 64 was used instead of tert-butyl (Z)-(2-((4-(3-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate. ¹H-NMR (MeOD, 400 MHz) δ 8.57(s, 1H), 8.56(s, 1H), 8.23(d, 1H), 8.15(dd, 1H), 7.19(d, 1H), 4.53(d, 2H), 3.73(d, 2H)

[0435] Example 31. 2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-bromo-3-methylpyridin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0436] 6.3 mg of the title compound (yield: 56.6 %) was prepared in the same fashion as Example 3, except that 13.0 mg of tert-butyl (Z)-(2-((4-(5-bromo-3-methylpyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 65 was used instead of tert-butyl (Z)-(2-((4-(3-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate. ¹H-NMR (DMSO-d₆, 400 MHz) δ 8.59(s, 1H), 8.33(s, 1H), 8.27(s, 1H), 7.19(d, 1H), 4.60(s, 2H), 3.46(s, 2H)

[0437] Example 32. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-bromo-3-methylpyridin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0438] 18.0 mg of the title compound (yield: 71.0 %) was prepared in the same fashion as Example 3, except that 27.0 mg of tert-butyl (E)-(2-((4-(5-bromo-3-methylpyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 66 was used instead of tert-butyl (Z)-(2-((4-(3-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate. ¹H-NMR (MeOD, 400 MHz) δ 8.50(s, 1H), 8.13(d, 2H), 7.19(d, 1H), 4.54(d, 2H), 3.74(s, 2H), 2.35(s, 3H)

[0439] Example 33. 2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-bromo-4-methylpyridin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0440] 7.6 mg of the title compound (yield: 59.2 %) was prepared in the same fashion as Example 3, except that 15.0 mg of tert-butyl (Z)-(2-((4-(5-bromo-4-methylpyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 67 was used instead of tert-butyl (Z)-(2-((4-(3-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate. ¹H-NMR (DMSO-d₆, 400 MHz) δ 8.69(s, 1H), 8.61(d, 1H), 8.18(s, 1H), 7.20(d, 1H), 4.62(s, 2H), 3.46(s, 2H), 2.46(s, 3H)

[0441] Example 34. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-bromo-4-methylpyridin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0442] 17.0 mg of the title compound (yield: 69.7 %) was prepared in the same fashion as Example 3, except that 26.0 mg of tert-butyl (E)-(2-((4-(5-bromo-4-methylpyridin-2-yl)-5-

oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 68 was used instead of tert-butyl (Z)-(2-((4-(3-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate. ¹H-NMR (MeOD, 400 MHz) δ 8.59(s, 1H), 8.52(s, 1H), 8.21(s, 1H), 7.19(d, 1H), 4.53(d, 2H), 3.73(d, 2H), 2.49(s, 3H)

[0443] Example 35. 2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-bromo-3-fluoropyridin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0444] 5.9 mg of the title compound (yield: 24.2 %) was prepared in the same fashion as Example 3, except that 26.0 mg of tert-butyl (Z)-(2-((4-(5-bromo-3-fluoropyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 69 was used instead of tert-butyl (Z)-(2-((4-(3-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate. ¹H-NMR (DMSO-d₆, 400 MHz) δ 8.66(s, 1H), 8.58(d, 1H), 8.38(s, 1H), 7.20(d, 1H), 4.61(s, 2H), 3.47(s, 2H)

Example 36. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-bromo-3-fluoropyridin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0445] 5.5 mg of the title compound (yield: 32.5 %) was prepared in the same fashion as Example 3, except that 18.0 mg of tert-butyl (E)-(2-((4-(5-bromo-3-fluoropyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 70 was used instead of tert-butyl (Z)-(2-((4-(3-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate. ¹H-NMR (MeOD, 400 MHz) δ 8.55(s, 1H), 8.26(m, 1H), 8.20(s, 1H), 7.20(d, 1H), 4.54(s, 2H), 3.74(s, 2H)

[0446] Example 37. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(6-bromopyridin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one

[0447] 11.4 mg of the title compound (yield: 74.4 %) was prepared in the same fashion as Example 1, except that 20.0 mg of tert-butyl (E)-(2-((4-(6-bromopyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 72 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate. ¹H-NMR (MeOD, 400 MHz) δ 8.55(s, 1H), 8.26(d, 1H), 7.86(m, 1H), 7.57(d, 1H), 6.94-7.14(m, 1H), 4.50(s, 2H), 3.56(s, 2H)

[0448] Example 38. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-bromopyrazin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one

[0449] 14.7 mg of the title compound (yield: 95.8 %) was prepared in the same fashion as Example 1, except that 20.0 mg of tert-butyl (E)-(2-((4-(5-bromopyrazin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 75 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate. ¹H-NMR (MeOD, 400 MHz) δ 9.33(s, 1H), 8.68(s, 1H), 8.60(s, 1H), 7.11-7.31(m, 1H), 4.57(s, 2H), 3.77(s, 2H)

[0450] Example 39. 2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(1,3-thiazol-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0451] Step 1: tert-butyl (Z)-(3-fluoro-2-((5-oxo-4-(thiazol-2-yl)-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)allyl)carbamate

[0452] 23.0 mg of tert-butyl (Z)-(2-((4-(5-bromothiazol-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 76 was dissolved in 2.0 mL of ethyl acetate, and 5.0 mg of Pd/C was added to the resulting solution, and then the solution was stirred overnight at room temperature in a hydrogen atmosphere in a reaction flask provided with a hydrogen balloon. The reaction mixture thus obtained was filtered through Celite, washed with ethyl acetate, and concentrated under reduced pressure to give a yellow liquid residue. The residue was purified with silica gel column chromatography (developing solvent: n-hexane/ethyl acetate = 2/1) to give 10.0 mg of the title compound as a yellow liquid (yield: 53.0 %). MS (ESI) m/z= 256.4 (M + H)⁺

[0453] Step 2: 2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(1,3-thiazol-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0454] 10.0 mg of tert-butyl (Z)-(3-fluoro-2-((5-oxo-4-(thiazol-2-yl)-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)allyl)carbamate prepared in Step 1 was dissolved in 0.3 mL of ethyl acetate, 0.3 mL of 4M hydrogen chloride solution in dioxane was added to the resulting solution, and the solution was stirred overnight at room temperature. The resulting reaction mixture was concentrated to give a residue, and the residue was washed with ethyl acetate and concentrated under reduced pressure to give 2.0 mg of the title compound as a yellow

solid (yield: 24.4 %). ¹H-NMR (MeOD, 400 MHz) δ 8.58(s, 1H), 7.64(d, 1H), 7.48(d, 1H), 7.11(d, 1H), 4.73(d, 2H), 3.63(s, 2H)

[0455] Example 40. 2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-bromo-1,3-thiazol-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0456] 3.5 mg of the title compound (yield: 31.5 %) was prepared in the same fashion as Example 3, except that 13.0 mg of tert-butyl (Z)-(2-((4-(5-bromothiazol-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 76 was used instead of tert-butyl (Z)-(2-((4-(3-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate. ¹H-NMR (DMSO-d₆, 400 MHz) δ 8.80(s, 1H), 7.84(s, 1H), 7.20(d, 1H), 4.64(s, 2H), 3.47(s, 2H)

[0457] Example 41. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-bromo-1,3-thiazol-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0458] 9.0 mg of the title compound (yield: 75.3 %) was prepared in the same fashion as Example 3, except that 14.0 mg of tert-butyl (E)-(2-((4-(5-bromothiazol-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 77 was used instead of tert-butyl (Z)-(2-((4-(3-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate. ¹H-NMR (MeOD, 400 MHz) δ 8.56(s, 1H), 7.63(s, 1H), 7.20(d, 1H), 4.55(d, 2H), 3.72(d, 2H)

[0459] Example 42. 4-[4-(5-acetylthiophen-2-yl)phenyl]-2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0460] Step 1: tert-butyl (Z)-(2-((4-(4-(5-acetylthiophen-2-yl)phenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate

[0461] 30.0 mg of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 33, 35.4 mg of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethan-1-one, and 1.5 mg of palladiumdi[1,1'-bis(diphenylphosphino)ferrocene]dichloride (PdCl₂(dppf)) were dissolved in 0.81 mL of 1,4-dioxane. To the resulting solution, 0.27 mL of 1M aqueous solution of potassium carbonate was added, and the solution was stirred overnight at 110°C. The

resulting reaction mixture was concentrated, and then with addition of ethyl acetate, the reaction mixture was washed with distilled water, dried over anhydrous magnesium sulfate, and concentrated under reduced pressure to obtain a yellow liquid residue. The residue was purified with silica gel column chromatography (developing solvent: dichloromethane/methanol = 20/1) to give 16.1 mg of the title compound as a yellow liquid (yield: 50.1 %). MS (ESI) m/z = 373.6 (M + H)⁺

[0462] Step 2: 4-[4-(5-acetylthiophen-2-yl)phenyl]-2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0463] 16.1 mg of tert-butyl (Z)-(2-((4-(4-(5-acetylthiophen-2-yl)phenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Step 1 was dissolved in 0.9 mL of ethyl acetate, and 0.2 mL of 4M hydrogen chloride solution in dioxane was added thereto. The resulting solution was stirred overnight at room temperature. The resulting reaction mixture was concentrated, and the residue thus obtained was washed with ethyl acetate and concentrated under reduced pressure to give 6.3 mg of the title compound as a white solid (yield: 45.2 %). ¹H-NMR (MeOD, 400 MHz) δ 8.34(s, 1H), 7.88(m, 3H), 7.77(d, 2H), 7.56(d, 1H), 7.10(d, 1H), 4.72(s, 2H), 3.62(s, 2H), 2.58(s, 3H)

[0464] Example 43. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-fluoro-4'-(4H-1,2,4-triazol-3-yl)biphenyl-4-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one

[0465] Step 1: tert-butyl (E)-(3-fluoro-2-((4-(3-fluoro-4'-(1-((2-(trimethylsilyl)ethoxy)methyl)-1H-1,2,4-triazol-3-yl)-[1,1'-biphenyl]-4-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)allyl)carbamate

[0466] 15.2 mg of the title compound as a yellow liquid (yield: 20.9 %) was prepared in the same fashion as Step 1 in Example 42, except that 30.0 mg of tert-butyl (E)-(2-((4-(4-bromo-2-fluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 36 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and that 35.2 mg of 3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1-((2-(trimethylsilyl)ethoxy)methyl)-1H-1,2,4-triazole prepared in Reference Example 31 was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethane-1-one.

[0467] Step 2: 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-fluoro-4'-(4H-1,2,4-triazol-3-yl)biphenyl-4-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one

[0468] 15.2 mg of tert-butyl (E)-(3-fluoro-2-((4-(3-fluoro-4'-(1-((2-(trimethylsilyl)ethoxy)methyl)-1H-1,2,4-triazol-3-yl)-[1,1'-biphenyl]-4-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)allyl)carbamate prepared in Step 1 was dissolved in 2.0 mL of dichloromethane, and 0.1 mL of trifluoroacetic acid was added thereto. The solution was stirred at room temperature for 4 hours. The residue obtained by concentration under reduced pressure was dissolved in dichloromethane, and the resulting solution was washed with an aqueous solution of sodium bicarbonate and brine, dried over anhydrous magnesium sulfate, and concentrated under reduced pressure to give a yellow liquid residue. The residue was purified with silica gel column chromatography (developing solvent: dichloromethane/methanol = 10/1) to give 3.6 mg of the title compound as a yellow liquid (yield: 36.9 %). ¹H-NMR (DMSO-d₆, 400 MHz) δ 8.45(s, 1H), 8.15(d, 1H), 7.84(d, 3H), 7.73(m, 4H), 7.20(d, 1H), 4.57(s, 2H), 3.77(s, 2H)

[0469] Example 44. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-fluoro-3'-(4H-1,2,4-triazol-3-yl)biphenyl-4-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one

[0470] 10.0 mg of the title compound (yield: 36.2 %) was prepared in the same fashion as Example 43, except that 35.2 mg of 3-(3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1-((2-(trimethylsilyl)ethoxy)methyl)-1H-1,2,4-triazole prepared in Reference Example 32 was used in Step 1 instead of 3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1-((2-(trimethylsilyl)ethoxy)methyl)-1H-1,2,4-triazole. ¹H-NMR (MeOD, 400 MHz) δ 8.46(s, 1H), 8.37(s, 1H), 8.17(s, 1H), 8.08(d, 1H), 7.71-7.81(m, 4H), 7.63(t, 1H), 4.57(s, 2H), 3.77(s, 2H)

[0471] Example 45. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4-(1,3-benzodioxol-5-yl)-2-fluorophenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0472] 13.0 mg of the title compound (yield: 45.6 %) was prepared in the same fashion as Example 42, except that 30.0 mg of tert-butyl (E)-(2-((4-(4-bromo-2-fluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 36 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 35.2 mg of 2-

(benzo[d][1,3]dioxol-5-yl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolan was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethan-1-one in Step 1. ¹H-NMR (DMSO-d₆, 400 MHz) δ 8.36(s, 1H), 7.77(d, 1H), 7.66(m, 2H), 7.39(d, 1H), 7.28(d, 1H), 7.22(d, 1H), 7.05(d, 1H), 6.10(s, 2H), 4.53(s, 2H), 3.55(s, 2H)

[0473] Example 46. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4-(2,1,3-benzooxadiazol-5-yl)-2-fluorophenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0474] 9.1 mg of the title compound (yield: 32.1 %) was prepared in the same fashion as Example 42, except that 30.0 mg of tert-butyl (E)-(2-((4-(4-bromo-2-fluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 36 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 35.2 mg of 5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)benzo[c][1,2,5]oxadiazole was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethan-1-one in Step 1. ¹H-NMR (DMSO-d₆, 400 MHz) δ 8.51(s, 1H), 8.42(s, 1H), 8.21(d, 1H), 8.08-8.12(m, 2H), 7.94(d, 1H), 7.84(t, 1H), 7.23(d, 1H), 4.54(s, 2H), 3.56(s, 2H)

[0475] Example 47. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-bromo-2-methoxy-4-(morpholin-4-yl)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0476] 15.4 mg of the title compound (yield: 58.2 %) was prepared in the same fashion as Example 3, except that 30.0 mg of tert-butyl (E)-(2-((4-(5-bromo-2-methoxy-4-morpholinophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 48 was used instead of tert-butyl (Z)-(2-((4-(3-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate. ¹H-NMR (MeOD, 400 MHz) δ 7.95(s, 1H), 7.66(s, 1H), 7.16(d, 2H), 6.90(s, 1H), 4.52(s, 2H), 3.88(s, 8H), 3.72(s, 2H), 3.10(s, 3H)

[0477] Example 48. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(1,2,3,6-tetrahydropyridin-4-yl)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one dihydrochloride

[0478] 1.0 mg of the title compound (yield: 3.5 %) was prepared in the same fashion as Example 42, except that 30.0 mg of tert-butyl (E)-(2-((4-(3-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference

Example 50 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 32.0 mg of N-Boc-1,2,3,6-tetrahydropyridine-4-boronic acid pinacol ester was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethan-1-one in Step 1. MS (ESI) m/z= 330.2 (M + H)+

[0479] Example 49. 4-[3-(5-acetylthiophen-2-yl)phenyl]-2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0480] 1.7 mg of the title compound (yield: 5.9 %) was prepared in the same fashion as Example 42, except that 30.0 mg of tert-butyl (E)-(2-((4-(3-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 50 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 18.0 mg of 5-acetyl-2-thiophene boronic acid was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethan-1-one in Step 1. ¹H-NMR (DMSO-d₆, 400 MHz) δ 8.67(s, 1H), 8.10(s, 1H), 7.99(d, 1H), 7.74-7.80(m, 3H), 7.62(t, 1H), 7.22(d, 1H), 4.51(s, 2H), 3.56(s, 2H), 2.56(s, 3H)

[0481] Example 50. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4'-(trifluoromethoxy)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0482] 15.2 mg of the title compound (yield: 29.2 %) was prepared in the same fashion as Example 42, except that 50.0 mg of tert-butyl (E)-(2-((4-(3-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 50 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 36.0 mg of 4-(trifluoromethoxy)phenyl boronic acid was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethan-1-one in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.35(s, 1H), 7.93(s, 1H), 7.78(d, 2H), 7.60-7.72(m, 3H), 7.39(d, 2H), 7.19(d, 1H), 4.56(d, 2H), 3.75(d, 2H)

[0483] Example 51. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4'-(dimethylamino)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0484] 22.1 mg of the title compound (yield: 46.8 %) was prepared in the same fashion as Example 42, except that 50.0 mg of tert-butyl (E)-(2-((4-(3-bromophenyl)-5-oxo-4,5-

dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 50 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 43.0 mg of 4-N,N-dimethyl(amino)phenyl boronic acid was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethan-1-one in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.31(s, 1H), 7.82(s, 1H), 7.62(d, 1H), 7.49-7.58(m, 3H), 7.47(d, 1H), 7.19(d, 1H), 6.85(d, 2H), 4.56(d, 2H), 3.76(d, 2H), 2.98(s, 6H)

[0485] Example 52. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4'-(propan-2-ylsulfanyl)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0486] 17.4 mg of the title compound (yield: 42.7 %) was prepared in the same fashion as Example 42, except that 40.0 mg of tert-butyl (E)-(2-((4-(3-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 50 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 28.0 mg of 4-isopropylthiobenzene boronic acid was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethan-1-one in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.34(s, 1H), 7.91(s, 1H), 7.69(s, 1H), 7.57-7.66(m, 4H), 7.48(d, 2H), 7.20(d, 1H), 4.56(d, 2H), 3.76(d, 2H), 1.31(d, 6H)

[0487] Example 53. 2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4'-(methylsulfonyl)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0488] 6.0 mg of the title compound (yield: 11.7 %) was prepared in the same fashion as Example 42, except that 50.0 mg of tert-butyl (Z)-(2-((4-(3-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 49 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 36.0 mg of 4-(methanesulfonyl)phenyl boronic acid was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethan-1-one in Step 1. ¹H-NMR (DMSO-d₆, 400 MHz) δ 8.68(d, 1H), 8.04-8.11(m, 5H), 7.79-7.81(m, 2H), 7.69(s, 1H), 7.20(d, 1H), 4.62(s, 2H), 3.48(s, 2H), 2.50(s, 3H)

[0489] Example 54. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4'-

(methylsulfonyl)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0490] 23.8 mg of the title compound (yield: 46.3 %) was prepared in the same fashion as Example 42, except that 50.0 mg of tert-butyl (E)-(2-((4-(3-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 50 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 44.0 mg of 4-(methanesulfonyl)phenyl boronic acid was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethan-1-one in Step 1. ¹H-NMR (DMSO-d₆, 400 MHz) δ 8.69(s, 1H), 8.00-8.06(m, 5H), 7.83(d, 1H), 7.78(d, 1H), 7.68(t, 1H), 7.21(d, 1H), 4.53(d, 2H), 3.54(s, 2H), 3.27(s, 3H)

[0491] Example 55. 3'-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}-N,N-dimethylbiphenyl-4-sulfonamide hydrochloride

[0492] 20.7 mg of the title compound (yield: 47.3 %) was prepared in the same fashion as Example 42, except that 40.0 mg of tert-butyl (E)-(2-((4-(3-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 50 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 44.0 mg of 4-(N,N-dimethylaminosulfonyl)phenyl boronic acid was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethan-1-one in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.38(s, 1H), 8.01(s, 1H), 7.86-7.97(m, 3H), 7.78(d, 1H), 7.58-7.75(m, 2H), 7.20(d, 1H), 4.57(d, 2H), 3.76(d, 2H), 2.73(s, 6H)

[0493] Example 56. N-(3'-{1-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}biphenyl-4-yl)acetamide hydrochloride

[0494] 8.0 mg of the title compound (yield: 16.4 %) was prepared in the same fashion as Example 42, except that 50.0 mg of tert-butyl (Z)-(2-((4-(3-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 49 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 32.0 mg of 4-acetamidobenzeneboronic acid was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethan-1-one in Step 1. ¹H-NMR (DMSO-d₆, 400 MHz) δ

10.14(s, 1H), 8.65(s, 1H), 7.93(s, 1H), 7.64-7.72(m, 6H), 7.58(t, 1H), 7.20(d, 1H), 4.62(s, 2H), 3.48(s, 2H), 2.07(s, 3H)

[0495] Example 57. N-(3'-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}biphenyl-4-yl)acetamide hydrochloride

[0496] 8.0 mg of the title compound (yield: 16.4 %) was prepared in the same fashion as Example 42, except that 50.0 mg of tert-butyl (E)-(2-((4-(3-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 50 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 32.0 mg of 4-acetamidobenzenboronic acid was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethan-1-one in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.33(s, 1H), 7.89(s, 1H), 7.60-7.68(m, 5H), 7.58(d, 2H), 7.18(d, 1H), 4.55(d, 2H), 3.73(d, 2H), 2.14(s, 3H)

[0497] Example 58. 2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4'-(4H-1,2,4-triazol-3-yl)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one

[0498] 7.2 mg of the title compound (yield: 26.2 %) was prepared in the same fashion as Example 43, except that 30.0 mg of tert-butyl (Z)-(2-((4-(3-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 49 was used in Step 1 instead of tert-butyl (E)-(2-((4-(4-bromo-2-fluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate. ¹H-NMR (MeOD, 400 MHz) δ 8.43(s, 1H), 8.36(s, 1H), 8.13(d, 2H), 7.99(s, 1H), 7.83(d, 2H), 7.76(d, 1H), 7.65(d, 2H), 7.07(d, 1H), 4.74(s, 2H), 4.57(s, 3H), 3.57(s, 2H)

[0499] Example 59. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4'-(4H-1,2,4-triazol-3-yl)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one

[0500] 1.1 mg of the title compound (yield: 4.0 %) was prepared in the same fashion as Example 43, except that 30.0 mg of tert-butyl (E)-(2-((4-(3-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 50 was used in Step 1 instead of tert-butyl (E)-(2-((4-(4-bromo-2-fluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate. ¹H-NMR (DMSO-d₆,

400 MHz) δ 8.71(s, 1H), 8.65(m, 1H), 8.15(d, 1H), 7.63-7.87(m, 5H), 7.14(d, 1H), 4.53(s, 2H), 3.57(s, 2H)

[0501] Example 60. 2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3'-(4H-1,2,4-triazol-3-yl)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one

[0502] 1.1 mg of the title compound (yield: 4.0 %) was prepared in the same fashion as Example 43, except that 30.0 mg of tert-butyl (Z)-2-((4-(3-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 49 was used instead of tert-butyl (E)-2-((4-(4-bromo-2-fluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 36.6 mg of 3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1-((2-(trimethylsilyl)ethoxy)methyl)-1H-1,2,4-triazole prepared in Reference Example 32 was used instead of 3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1-((2-(trimethylsilyl)ethoxy)methyl)-1H-1,2,4-triazole in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.46(s, 1H), 8.37(d, 2H), 8.05(d, 1H), 8.00(s, 1H), 7.80(t, 2H), 7.59-7.72(m, 4H), 7.09(d, 1H), 4.74(s, 2H), 4.57(s, 3H), 3.57(s, 2H)

Example 61. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4'-(1,2,4-oxadiazol-3-yl)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one

[0503] 14.9 mg of the title compound (yield: 54.1 %) was prepared in the same fashion as Example 43, except that 30.0 mg of tert-butyl (E)-2-((4-(3-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 50 was used instead of tert-butyl (E)-2-((4-(4-bromo-2-fluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 24.8 mg of 3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1,2,4-oxadiazole prepared in Reference Example 78 was used instead of 3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1-((2-(trimethylsilyl)ethoxy)methyl)-1H-1,2,4-triazole in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.37(s, 1H), 7.99(s, 1H), 7.83-7.89(m, 4H), 7.66-7.76(m, 3H), 7.20(d, 1H), 4.57(s, 2H), 3.76(s, 2H)

[0504] Example 62. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3'-(1,2,4-oxadiazol-3-yl)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one

[0505] 19.1 mg of the title compound (yield: 69.3 %) was prepared in the same fashion as

Example 43, except that 30.0 mg of tert-butyl (E)-(2-((4-(3-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 50 was used instead of tert-butyl (E)-(2-((4-(4-bromo-2-fluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 24.8 mg of 3-(3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1,2,4-oxadiazole prepared in Reference Example 79 was used instead of 3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1-((2-(trimethylsilyl)ethoxy)methyl)-1H-1,2,4-triazole in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.37(s, 1H), 8.08(s, 1H), 8.01(d, 1H), 7.97(s, 1H), 7.65-7.78(m, 5H), 7.20(d, 1H), 4.57(s, 2H), 3.76(s, 2H)

[0506] Example 63. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4'-(5-cyclopropyl-1,2,4-oxadiazol-3-yl)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0507] 10.1 mg of the title compound (yield: 30.7 %) was prepared in the same fashion as Example 42, except that 30.0 mg of tert-butyl (E)-(2-((4-(3-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 50 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 28.5 mg of 5-cyclopropyl-3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1,2,4-oxadiazole prepared in Reference Example 80 was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethan-1-one in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.37(s, 1H), 8.12(d, 2H), 7.99(s, 1H), 7.84(d, 2H), 7.77(d, 1H), 7.65(m, 2H), 7.21(d, 1H), 4.57(s, 2H), 3.76(s, 2H), 2.35(s, 1H), 1.28-1.33(m, 4H)

[0508] Example 64. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{4'-[5-(propan-2-yl)-1,2,4-oxadiazol-3-yl]biphenyl-3-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0509] 11.6 mg of the title compound (yield: 35.1 %) was prepared in the same fashion as Example 42, except that 30.0 mg of tert-butyl (E)-(2-((4-(3-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 50 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 28.7 mg of 5-isopropyl-3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1,2,4-oxadiazole prepared in Reference

Example 82 was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethan-1-one in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.38(s, 1H), 8.17(d, 2H), 8.00(s, 1H), 7.86(d, 2H), 7.77(d, 1H), 7.66(m, 2H), 7.20(d, 1H), 4.57(s, 2H), 3.76(s, 2H), 3.66(s, 1H), 3.35(m, 1H), 1.47(d, 6H)

[0510] Example 65. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{3'-[5-(propan-2-yl)-1,2,4-oxadiazol-3-yl]biphenyl-3-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0511] 27.0 mg of the title compound (yield: 81.7 %) was prepared in the same fashion as Example 42, except that 30.0 mg of tert-butyl (E)-(2-((4-(3-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 50 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 28.7 mg of 5-isopropyl-3-(3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1,2,4-oxadiazole prepared in Reference Example 83 was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethan-1-one in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.36(d, 2H), 8.09(d, 1H), 7.99(s, 1H), 7.87(d, 1H), 7.76(d, 1H), 7.62-7.67(m, 3H), 7.20(d, 1H), 4.57(s, 2H), 3.76(s, 2H), 3.35(m, 1H), 1.47(d, 6H)

[0512] Example 66. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4"-(methylsulfonyl)-1,1':4',1"-terphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0513] 11.2 mg of the title compound (yield: 36.5 %) was prepared in the same fashion as Example 42, except that 30.0 mg of tert-butyl (E)-(2-((4-(4'-bromo-[1,1'-biphenyl]-3-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 84 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 18.0 mg of 4-(methanesulfonyl)phenylboronic acid was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethan-1-one in Step 1. ¹H-NMR (DMSO-d₆, 400 MHz) δ 8.70(s, 1H), 8.03-8.05(m, 6H), 7.89-7.93(m, 3H), 7.76-7.77(m, 2H), 7.65(t, 1H), 7.23(d, 1H), 4.53(s, 2H), 3.56(s, 2H), 3.27(s, 3H)

[0514] Example 67. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4"-(methylsulfonyl)-1,1':3',1"-terphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one

[0515] 21.3 mg of the title compound (yield: 74.7 %) was prepared in the same fashion as Example 43, except that 30.0 mg of tert-butyl (E)-(2-((4-(3'-bromo-[1,1'-biphenyl]-3-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 85 was used instead of tert-butyl (E)-(2-((4-(4-bromo-2-fluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 18.0 mg of 4-(methanesulfonyl)phenylboronic acid was used instead of 3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1-((2-(trimethylsilyl)ethoxy)methyl)-1H-1,2,4-triazole in Step 1. ¹H-NMR (CDCl₃, 600 MHz) δ 8.03(d, 2H), 7.86(d, 1H), 7.82(d, 2H), 7.79(d, 2H), 7.57-7.67(m, 5H), 7.51(d, 1H), 6.74(d, 1H), 4.47(d, 2H), 3.46(s, 2H), 3.10(s, 3H)

[0516] Example 68. N-(3"-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}-1,1':4',1"-terphenyl-4-yl)acetamide hydrochloride

[0517] 16.8 mg of the title compound (yield: 57.1 %) was prepared in the same fashion as Example 42, except that 30.0 mg of tert-butyl (E)-(2-((4-(4'-bromo-[1,1'-biphenyl]-3-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 84 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 16.0 mg of 4-acetamidobenzeneboronic acid was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethan-1-one in Step 1. ¹H-NMR (DMSO-d₆, 400 MHz) δ 10.09(s, 1H), 8.69(s, 1H), 8.02(s, 1H), 7.70-7.82(m, 9H), 7.63(t, 1H), 7.23(d, 1H), 4.53(s, 2H), 3.55(s, 2H), 2.07(s, 3H)

[0518] Example 69. N-(3"-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}-1,1':3',1"-terphenyl-4-yl)acetamide hydrochloride

[0519] 8.9 mg of the title compound (yield: 30.2 %) was prepared in the same fashion as Example 42, except that 30.0 mg of tert-butyl (E)-(2-((4-(3'-bromo-[1,1'-biphenyl]-3-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 85 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 16.0 mg of 4-acetamidobenzeneboronic acid was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethan-1-one in Step 1. ¹H-NMR (DMSO-d₆, 400 MHz) δ 10.09(s, 1H), 8.69(s, 1H), 8.04(s, 1H), 7.94(s, 1H), 7.77(t, 2H), 7.62-7.71(m, 7H), 7.57(t,

1H), 7.23(d, 1H), 4.52(s, 2H), 3.56(s, 2H), 2.07(s, 3H)

[0520] Example 70. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4'-(1,3-benzodioxol-5-yl)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0521] 15.0 mg of the title compound (yield: 52.3 %) was prepared in the same fashion as Example 42, except that 30.0 mg of tert-butyl (E)-(2-((4-(4'-bromo-[1,1'-biphenyl]-3-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 84 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 15.0 mg of 3,4-(methylenedioxy)phenylboronic acid was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethan-1-one in Step 1. ¹H-NMR (DMSO-d₆, 400 MHz) δ 8.18(s, 1H), 8.02(s, 1H), 7.70-7.80(m, 7H), 7.62(t, 1H), 7.33(s, 1H), 7.24(d, 1H), 7.23(d, 1H), 7.03(d, 1H), 6.08(s, 2H), 4.52(s, 2H), 3.55(s, 2H)

[0522] Example 71. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3'-(1,3-benzodioxol-5-yl)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

14.0 mg of the title compound (yield: 48.8 %) was prepared in the same fashion as Example 42, except that 30.0 mg of tert-butyl (E)-(2-((4-(3'-bromo-[1,1'-biphenyl]-3-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 85 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 15.0 mg of 3,4-(methylenedioxy)phenylboronic acid was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethan-1-one in Step 1. ¹H-NMR (DMSO-d₆, 400 MHz) δ 8.82(s, 1H), 8.39(s, 1H), 8.01(s, 1H), 7.70-7.80(m, 2H), 7.61-7.68(m, 3H), 7.55(t, 1H), 7.38(s, 1H), 7.26(d, 1H), 7.23(d, 1H), 7.03(d, 1H), 6.08(s, 2H), 4.52(s, 2H), 3.55(s, 2H)

[0523] Example 72. 4-[4'-(4-acetylpiperazine-1-yl)biphenyl-3-yl]-2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0524] 1.0 mg of the title compound (yield: 3.4 %) was prepared in the same fashion as Example 42, except that 30.0 mg of tert-butyl (E)-(2-((4-(3-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 50 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-

1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 26.0 mg of 4-(acetyl-1-piperazinyl)phenylboronic acid was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethan-1-one in Step 1. MS (ESI) m/z = 451.2 (M + H)+

[0525] Example 73. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4'-(tetrahydro-2H-pyran-4-yl)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0526] 24.2 mg of the title compound (yield: 91.2 %) was prepared in the same fashion as Example 42, except that 30.0 mg of tert-butyl (E)-(2-((4-(3-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 50 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 30.0 mg of 4-(4-tetrahydropyranyl)phenylboronic acid pinacol ester was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethan-1-one in Step 1. ¹H-NMR (DMSO-d₆, 400 MHz) δ 8.66(s, 1H), 7.94(s, 1H), 7.65-7.71(4H, m), 7.59(1H, t), 7.39(2H, d), 7.22(1H, d), 4.51(2H, s), 3.97(2H, d), 3.55(2H, d), 3.45(2H, t), 3.79-3.88(1H, m), 1.71-1.73(4H, m)

[0527] Example 74. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4'-(morpholin-4-yl)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0528] 23.7 mg of the title compound (yield: 56.7 %) was prepared in the same fashion as Example 42, except that 40.0 mg of tert-butyl (E)-(2-((4-(3-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 50 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 40.6 mg of 4-morpholinophenylboronic acid was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethan-1-one in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.36(s, 1H), 7.94(s, 1H), 7.82(d, 2H), 7.71(dt, 1H), 7.60-7.65(m, 2H), 7.54(d, 2H), 7.20(d, 1H), 4.57(d, 2H), 3.98-4.07(m, 4H), 3.77(s, 2H), 3.50-3.61(m, 4H)

[0529] Example 75. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3'-fluoro-4'-(morpholin-4-yl)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0530] 24.8 mg of the title compound (yield: 45.7 %) was prepared in the same fashion as Example 42, except that 50.0 mg of tert-butyl (E)-(2-((4-(3-bromophenyl)-5-oxo-4,5-

dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 50 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 53.0 mg of 3-fluoro-4-morpholinophenylboronic acid was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethan-1-one in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.36(s, 1H), 7.92(s, 1H), 7.66-7.75(m, 1H), 7.59-7.64(m, 1H), 7.56(s, 1H), 7.54(s, 1H), 7.35(t, 1H), 7.20(d, 1H), 4.57(d, 2H), 3.87-4.00(m, 4H), 3.77(s, 2H), 3.30-3.32(m, 4H)

[0531] Example 76. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3'-chloro-4'-(morpholin-4-yl)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0532] 19.7 mg of the title compound (yield: 43.8 %) was prepared in the same fashion as Example 42, except that 40.0 mg of tert-butyl (E)-(2-((4-(3-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 50 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 45.5 mg of 3-chloro-4-morpholinophenylboronic acid was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethan-1-one in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.35(s, 1H), 7.89(s, 1H), 7.74(d, 1H), 7.66(d, 1H), 7.62(d, 1H), 7.54-7.62(m, 1H), 7.24(d, 1H), 7.19(d, 1H), 4.56(d, 2H), 3.82-3.94(m, 4H), 3.76(d, 1H), 3.66(s, 1H), 3.04-3.20(m, 4H)

[0533] Example 77. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3'-methyl-4'-(morpholin-4-yl)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0534] 18.6 mg of the title compound (yield: 43.2 %) was prepared in the same fashion as Example 42, except that 40.0 mg of tert-butyl (E)-(2-((4-(3-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 50 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 31.0 mg of 3-methyl-4-morpholinophenylboronic acid was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethan-1-one in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.28(s, 1H), 7.85(s, 1H), 7.62(s, 1H), 7.45-7.59(m, 4H), 7.13(d, 1H), 6.90(d, 1H), 4.49(d, 2H), 3.85(m, 4H), 3.40(d, 2H), 2.93(m, 4H), 2.38(s, 3H)

[0535] Example 78. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4'-(morpholin-

4-ylcarbonyl)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0536] 21.1 mg of the title compound (yield: 47.6 %) was prepared in the same fashion as Example 42, except that 40.0 mg of tert-butyl (E)-(2-((4-(3-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 50 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 45.0 mg of 4-(morpholin-4-carbonyl)phenylboronic acid pinacol ester was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethan-1-one in Step 1. MS (ESI) m/z = 438.2 (M + H)+

[0537] Example 79. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(2-methoxypyridin-4-yl)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0538] 11.4 mg of the title compound (yield: 41.4 %) was prepared in the same fashion as Example 42, except that 30.0 mg of tert-butyl (E)-(2-((4-(3-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 50 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 16.0 mg of 2-methoxy-4-pyridine boronic acid was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethan-1-one in Step 1. ¹H-NMR (DMSO-d₆, 400 MHz) δ 8.69(s, 1H), 8.28(d, 1H), 8.06(s, 1H), 7.83(dd, 2H), 7.65(t, 1H), 7.38(d, 1H), 7.21(d, 1H), 7.20(s, 1H), 4.52(s, 2H), 3.91(s, 3H), 3.54(d, 2H)

[0539] Example 80. N-[4-(3-{1-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}phenyl)pyridin-2-yl]acetamide hydrochloride

[0540] 4.0 mg of the title compound (yield: 8.2 %) was prepared in the same fashion as Example 42, except that 50.0 mg of tert-butyl (Z)-(2-((4-(3-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 49 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 47.0 mg of N-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)pyridin-2-yl)acetamide was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethan-1-one in Step 1. ¹H-NMR (DMSO-d₆, 400 MHz) δ 10.73(s, 1H), 8.66(s, 1H), 8.41(d, 1H), 8.38(s, 1H), 8.06(s, 1H), 7.82(d, 1H), 7.67-7.73(m, 2H), 7.47(t, 1H), 7.20(d, 1H), 4.62(s, 2H), 3.49(s, 2H), 2.13(s, 3H)

[0541] Example 81. N-[4-(3-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}phenyl)pyridin-2-yl]acetamide hydrochloride

[0542] 8.0 mg of the title compound (yield: 16.3 %) was prepared in the same fashion as Example 42, except that 50.0 mg of tert-butyl (E)-(2-((4-(3-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 50 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 47.0 mg of N-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)pyridin-2-yl)acetamide was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethane-1-one in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.41(d, 2H), 8.18(s, 1H), 7.83-7.89(m, 4H), 7.77(d, 1H), 7.20(d, 1H), 4.57(d, 2H), 3.76(s, 2H), 2.33(s, 3H)

[0543] Example 82. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(pyridin-3-yl)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0544] 26.3 mg of the title compound (yield: 77.7 %) was prepared in the same fashion as Example 42, except that 40.0 mg of tert-butyl (E)-(2-((4-(3-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 50 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 47.0 mg of 3-pyridine boronic acid was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethane-1-one in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 9.11(s, 1H), 8.77(d, 1H), 8.73(s, 1H), 8.28(s, 1H), 8.00(s, 2H), 7.69-7.87(m, 1H), 7.63(d, 1H), 7.07(d, 1H), 4.44(d, 2H), 3.63(d, 2H)

[0545] Example 83. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(6-methoxypyridin-3-yl)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0546] 2.0 mg of the title compound (yield: 6.2 %) was prepared in the same fashion as Example 42, except that 35.0 mg of tert-butyl (E)-(2-((4-(3-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 50 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 18.0 mg of 2-methoxy-5-pyridinylboronic acid was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethane-1-one in Step 1. MS (ESI) m/z= 356.2 (M + H)+

[0547] Example 84. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{3-[6-(trifluoromethyl)pyridin-3-yl]phenyl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0548] 22.0 mg of the title compound (yield: 54.7 %) was prepared in the same fashion as Example 42, except that 40.0 mg of tert-butyl (E)-(2-((4-(3-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 50 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 26.8 mg of 2-(trifluoromethyl)pyridine-5-boronic acid was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethane-1-one in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 9.05(d, 1H), 8.40(s, 1H), 8.36(dd, 1H), 8.04-8.08(m, 1H), 7.94(d, 1H), 7.76-7.85(m, 2H), 7.64-7.75(m, 1H), 7.20(d, 1H), 4.57(d, 2H), 3.76(d, 2H)

[0549] Example 85. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(6-chloropyridin-3-yl)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

19.5 mg of the title compound (yield: 52.6 %) was prepared in the same fashion as Example 42, except that 40.0 mg of tert-butyl (E)-(2-((4-(3-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 50 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 33.6 mg of 2-chloropyridin-5-boronic acid was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethane-1-one in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.70(d, 1H), 8.38(s, 1H), 8.15(dd, 1H), 7.98(s, 1H), 7.74(d, 2H), 7.64-7.71(m, 1H), 7.58(d, 1H), 7.19(d, 1H), 4.56(d, 2H), 3.76(d, 2H)

[0550] Example 86. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{3-[6-(morpholin-4-yl)pyridin-3-yl]phenyl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0551] 13.0 mg of the title compound (yield: 24.9 %) was prepared in the same fashion as Example 42, except that 50.0 mg of tert-butyl (E)-(2-((4-(3-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 50 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 33.6 mg of 6-morpholinopyridin-3-ylboronic acid was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethane-1-one in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.38-8.39(m, 2H),

8.30(s, 1H), 7.97(s, 1H), 7.73(d, 2H), 7.66(t, 1H), 7.49(d, 1H), 7.20(d, 1H), 4.56(d, 2H), 3.89(t, 4H), 3.70-3.76(m, 6H)

[0552] Example 87. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{3-[6-methoxy-5-(trifluoromethyl)pyridin-3-yl]phenyl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0553] 23.7 mg of the title compound (yield: 44.0 %) was prepared in the same fashion as Example 42, except that 50.0 mg of tert-butyl (E)-(2-((4-(3-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 50 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 53.0 mg of 2-methoxy-3-(trifluoromethyl)pyridin-5-ylboronic acid was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethane-1-one in Step 1. MS (ESI) m/z= 424.2 (M + H)+

[0554] Example 88. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{3-[6-hydroxy-5-(trifluoromethyl)pyridin-3-yl]phenyl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0555] 33.0 mg of the title compound (yield: 63.3 %) was prepared in the same fashion as Example 42, except that 50.0 mg of tert-butyl (E)-(2-((4-(3-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 50 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 53.0 mg of 2-hydroxy-3-(trifluoromethyl)pyridin-5-ylboronic acid was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethane-1-one in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.35(s, 1H), 8.29(s, 1H), 8.06(s, 1H), 7.85(s, 1H), 7.59-7.66(m, 2H), 7.10(d, 1H), 4.55(d, 2H), 3.65(d, 2H)

[0556] Example 89. 5-(3-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}phenyl)-1,3-dimethylpyridin-2(1H)-one hydrochloride

[0557] 18.6 mg of the title compound (yield: 49.0 %) was prepared in the same fashion as Example 42, except that 40.0 mg of tert-butyl (E)-(2-((4-(3-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 50 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 35.0 mg of 1,3-dimethyl-5-

(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)pyridin-2(1H)-one was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethane-1-one in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.35(s, 1H), 7.94(s, 1H), 7.81(s, 2H), 7.48-7.69(m, 3H), 7.20(d, 1H), 4.55(d, 2H), 3.76(d, 2H), 3.66(d, 3H), 2.20(s, 3H)

[0558] Example 90. 5-(3-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}phenyl)-1-(propan-2-yl)pyridin-2(1H)-one hydrochloride

[0559] 13.0 mg of the title compound (yield: 33.1 %) was prepared in the same fashion as Example 42, except that 40.0 mg of tert-butyl (E)-(2-((4-(3-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 50 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 37.0 mg of 1-isopropyl-6-oxo-1,6-dihydropyridin-3-boronic acid pinacol ester was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethane-1-one in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.38(s, 1H), 8.16(s, 1H), 8.02(d, 1H), 7.86(s, 1H), 7.55-7.73(m, 3H), 7.20(d, 1H), 6.80(d, 1H), 5.27(d, 1H), 4.57(d, 2H), 3.76(d, 2H), 1.43-1.57(m, 6H)

[0560] Example 91. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(2-methylpyrimidin-5-yl)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0561] 9.5 mg of the title compound (yield: 35.9 %) was prepared in the same fashion as Example 42, except that 30.0 mg of tert-butyl (E)-(2-((4-(3-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 50 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 23.0 mg of 2-methylpyrimidine-5-boronic acid pinacol ester was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethane-1-one in Step 1. ¹H-NMR (DMSO-d₆, 400 MHz) δ 9.09(s, 1H), 8.68(s, 1H), 8.10(s, 1H), 8.04(s, 1H), 7.84(dd, 2H), 7.68(t, 1H), 7.22(d, 1H), 4.52(s, 2H), 3.54(d, 2H), 2.68(s, 3H)

[0562] Example 92. 2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(1,3-benzodioxol-5-yl)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0563] 6.0 mg of the title compound (yield: 12.7 %) was prepared in the same fashion as

Example 42, except that 50.0 mg of tert-butyl (Z)-(2-((4-(3-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 49 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 24.0 mg of 3,4-(methylenedioxy)phenyl boronic acid was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethane-1-one in Step 1. ¹H-NMR (DMSO-d₆, 400 MHz) δ 8.66(s, 1H), 7.88(s, 1H), 7.68(d, 1H), 7.62(d, 1H), 7.56(t, 1H), 7.34(d, 1H), 7.22(dd, 1H), 7.20(d, 1H), 7.03(d, 1H), 6.08(s, 2H), 4.62(s, 2H), 3.48(s, 2H)

[0564] Example 93. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(1,3-benzodioxol-5-yl)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0565] 12.4 mg of the title compound (yield: 26.2 %) was prepared in the same fashion as Example 42, except that 50.0 mg of tert-butyl (E)-(2-((4-(3-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 50 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 24.0 mg of 3,4-(methylenedioxy)phenyl boronic acid was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethane-1-one in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.72(s, 1H), 7.88(s, 1H), 7.69(d, 1H), 7.63(d, 1H), 7.56(t, 1H), 7.34(d, 1H), 7.23(dd, 1H), 7.22(d, 1H), 7.04(d, 1H), 6.08(s, 2H), 4.52(d, 2H), 3.56(d, 2H)

[0566] Example 94. 2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(2,3-dihydro-1,4-benzodioxin-6-yl)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0567] 7.0 mg of the title compound (yield: 14.3 %) was prepared in the same fashion as Example 42, except that 50.0 mg of tert-butyl (Z)-(2-((4-(3-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 49 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 32.0 mg of 1,4-benzodioxane-6-boronic acid was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethane-1-one in Step 1. ¹H-NMR (DMSO-d₆, 400 MHz) δ 8.65(s, 1H), 7.88(s, 1H), 7.67(d, 1H), 7.61(d, 1H), 7.55(t, 1H), 7.25(d, 1H), 7.20(d, 1H), 7.19(d, 1H), 6.97(d, 1H), 4.62(s, 2H), 4.28(s, 4H), 3.48(s, 2H)

[0568] Example 95. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(2,3-dihydro-1,4-benzodioxin-6-yl)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0569] 5.0 mg of the title compound (yield: 22.2 %) was prepared in the same fashion as Example 42, except that 23.0 mg of tert-butyl (E)-(2-((4-(3-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 50 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 14.0 mg of 1,4-benzodioxane-6-boronic acid was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethane-1-one in Step 1. ¹H-NMR (DMSO-d₆, 400 MHz) δ 8.65(s, 1H), 7.88(s, 1H), 7.67(d, 1H), 7.61(d, 1H), 7.55(t, 1H), 7.25(d, 1H), 7.22(d, 1H), 7.20(dd, 1H), 6.97(d, 1H), 4.51(d, 2H), 4.28(s, 4H), 3.54(s, 2H)

[0570] Example 96. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(2,1,3-benzooxadiazol-5-yl)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

8.0 mg of the title compound (yield: 17.0 %) was prepared in the same fashion as Example 42, except that 50.0 mg of tert-butyl (E)-(2-((4-(3-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 50 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 44.0 mg of benzo[c][1,2,5]oxadiazole-5-boronic acid pinacol ester was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethane-1-one in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.08(s, 1H), 8.05(s, 1H), 8.02(s, 1H), 7.93(d, 1H), 7.91(d, 1H), 7.84(d, 1H), 7.77(d, 1H), 7.70(t, 1H), 7.21(d, 1H), 4.57(d, 2H), 3.77(d, 2H)

[0571] Example 97. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(1H-indazol-6-yl)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0572] 4.0 mg of the title compound (yield: 12.2 %) was prepared in the same fashion as Example 42, except that 35.0 mg of tert-butyl (E)-(2-((4-(3-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 50 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 19.0 mg of 1H-indazole-6-boronic acid was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-

yl)ethane-1-one in Step 1. MS (ESI) m/z = 365.2 (M + H)⁺

[0573] Example 98. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(1H-indol-4-yl)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0574] 4.0 mg of the title compound (yield: 13.4 %) was prepared in the same fashion as Example 42, except that 35.0 mg of tert-butyl (E)-(2-((4-(3-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 50 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 29.0 mg of indole-4-boronic acid pinacol ester was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethane-1-one in Step 1. MS (ESI) m/z = 364.2 (M + H)⁺

[0575] Example 99. 4-[3-(2-amino-1,3-benzothiazol-5-yl)phenyl]-2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

5.0 mg of the title compound (yield: 9.9 %) was prepared in the same fashion as Example 42, except that 50.0 mg of tert-butyl (E)-(2-((4-(3-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 50 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 50.0 mg of 5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)benzo[d]thiazol-2-amine prepared in Reference Example 86 was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethane-1-one in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.36(s, 1H), 7.97(s, 1H), 7.84(d, 1H), 7.73(d, 2H), 7.61-7.66(m, 3H), 7.20(d, 1H), 4.56(d, 2H), 3.76(s, 2H)

[0576] Example 100. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(1H-indol-3-yl)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0577] 4.0 mg of the title compound (yield: 12.2 %) was prepared in the same fashion as Example 42, except that 35.0 mg of tert-butyl (E)-(2-((4-(3-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 50 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 31.0 mg of 1-Boc-indole-3-boronic acid was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-

2-yl)ethane-1-one in Step 1. MS (ESI) m/z = 364.2 (M + H)⁺

[0578] Example 101. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(1-benzothiophen-2-yl)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0579] 14.7 mg of the title compound (yield: 37.7 %) was prepared in the same fashion as Example 42, except that 40.0 mg of tert-butyl (E)-(2-((4-(3-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 50 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 25.0 mg of benzo[b]thiophen-2-ylboronic acid was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethane-1-one in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.37(s, 1H), 8.09(s, 1H), 7.74-7.96(m, 3H), 7.52-7.68(m, 2H), 7.33-7.49(m, 2H), 7.20(d, 1H), 4.57(d, 2H), 3.76(d, 2H)

[0580] Example 102. 6-(3-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}phenyl)-8-methyl-3,4-dihydroquinolin-2(1H)-one hydrochloride

[0581] 15.2 mg of the title compound (yield: 48.8 %) was prepared in the same fashion as Example 42, except that 30.0 mg of tert-butyl (E)-(2-((4-(3-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 50 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 30.0 mg of 8-methyl-2-oxo-1,2,3,4-tetrahydroquinoline-6-boronic acid pinacol ester was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethane-1-one in Step 1. ¹H-NMR (DMSO-d₆, 400 MHz) δ 9.57(s, 1H), 8.64(s, 1H), 7.90(s, 1H), 7.64(d, 2H), 7.56(t, 1H), 7.41(d, 2H), 7.22(d, 1H), 4.51(s, 2H), 3.56(s, 2H), 2.92-2.95(m, 2H), 2.42-2.49(m, 2H), 2.29(s, 3H)

[0582] Example 103. 6-(3-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}phenyl)-2H-1,4-benzoxazin-3(4H)-one hydrochloride

[0583] 13.3 mg of the title compound (yield: 32.9 %) was prepared in the same fashion as Example 42, except that 40.0 mg of tert-butyl (E)-(2-((4-(3-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference

Example 50 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 39.0 mg of 6-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-2H-benzo[b][1,4]oxazin-3(4H)-one was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethane-1-one in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.33(s, 1H), 7.86(s, 1H), 7.49-7.70(m, 3H), 7.24-7.36(m, 2H), 7.19(s, 1H), 7.07(d, 1H), 7.06(s, 1H), 4.62(d, 2H), 4.56(s, 2H), 3.76(d, 2H)

[0584] Example 104. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(isoquinolin-4-yl)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0585] 11.6 mg of the title compound (yield: 40.2 %) was prepared in the same fashion as Example 42, except that 30.0 mg of tert-butyl (E)-(2-((4-(3-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 50 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 18.0 mg of isoquinoline-4-boronic acid was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethane-1-one in Step 1. ¹H-NMR (DMSO-d₆, 400 MHz) δ 9.65(s, 1H), 8.67(s, 1H), 8.61(s, 1H), 8.43(d, 1H), 7.91-8.01(m, 5H), 7.76(t, 1H), 7.61(d, 1H), 7.20(d, 1H), 4.52(d, 2H), 3.53(d, 2H)

[0586] Example 105. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(8-methylquinolin-5-yl)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0587] 4.0 mg of the title compound (yield: 13.4 %) was prepared in the same fashion as Example 42, except that 30.0 mg of tert-butyl (E)-(2-((4-(3-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 50 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 20.0 mg of 8-methyl-5-quinoline boronic acid was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethane-1-one in Step 1. MS (ESI) m/z= 390.2 (M + H)⁺

[0588] Example 106. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[2-methyl-4'-(methylsulfonyl)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one

[0589] 19.3 mg of the title compound (yield: 73.5 %) was prepared in the same fashion as

Example 43, except that 30.0 mg of tert-butyl (E)-(2-((4-(3-bromo-2-methylphenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 57 was used instead of tert-butyl (E)-(2-((4-(4-bromo-2-fluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 34.0 mg of 4-(methanesulfonyl)phenylboronic acid was used instead of 3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1-((2-(trimethylsilyl)ethoxy)methyl)-1H-1,2,4-triazole in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.07(s, 1H), 8.05(d, 2H), 7.64(d, 2H), 7.45(m, 3H), 7.09-7.29(m, 1H), 4.57(s, 2H), 3.77(s, 2H), 3.18(s, 3H), 2.10(s, 3H)

[0590] Example 107. N-(3'-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}-2'-methylbiphenyl-4-yl)acetamide

[0591] 14.7 mg of the title compound (yield: 58.8 %) was prepared in the same fashion as Example 43, except that 30.0 mg of tert-butyl (E)-(2-((4-(3-bromo-2-methylphenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 57 was used instead of tert-butyl (E)-(2-((4-(4-bromo-2-fluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 30.4 mg of 4-acetylamidobenzene boronic acid was used instead of 3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1-((2-(trimethylsilyl)ethoxy)methyl)-1H-1,2,4-triazole in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.04(s, 1H), 7.65(d, 2H), 7.40(m, 2H), 7.09-7.33(m, 1H), 7.29(m, 4H), 4.57(s, 2H), 3.76(s, 2H), 2.15(s, 3H), 2.10(s, 3H)

[0592] Example 108. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[2-methyl-4'-(1,2-oxazol-3-yl)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one

[0593] 11.3 mg of the title compound (yield: 44.1 %) was prepared in the same fashion as Example 43, except that 30.0 mg of tert-butyl (E)-(2-((4-(3-bromo-2-methylphenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 57 was used instead of tert-butyl (E)-(2-((4-(4-bromo-2-fluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 46.1 mg of 3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-isoxazole was used instead of 3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1-((2-(trimethylsilyl)ethoxy)methyl)-1H-1,2,4-triazole in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.75(s, 1H), 8.0(s, 1H), 7.97(d, 2H), 7.50(d, 2H), 7.45(s, 2H), 7.39(m, 1H), 7.10-7.39(m, 1H), 6.98(s, 1H), 4.58(s, 2H), 3.76(s, 2H),

2.13(s, 3H)

[0594] Example 109. N-[4-(3-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}-2-methylphenyl)pyridin-2-yl]acetamide

[0595] 15.2 mg of the title compound (yield: 58.8 %) was prepared in the same fashion as Example 43, except that 30.0 mg of tert-butyl (E)-(2-((4-(3-bromo-2-methylphenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 57 was used instead of 44.6 mg of tert-butyl (E)-(2-((4-(4-bromo-2-fluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 44.6 mg of N-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)pyridin-2-yl)acetamide was used instead of 3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1-((2-(trimethylsilyl)ethoxy)methyl)-1H-1,2,4-triazole in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.37(m, 1H), 8.09(m, 2H), 7.43(m, 3H), 7.09-7.29(m, 2H), 4.57(s, 2H), 3.76(s, 2H), 2.19(s, 3H), 2.13(s, 3H)

[0596] Example 110. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{2-methyl-3-[6-(morpholin-4-yl)pyridin-3-yl]phenyl}-2,4-dihydro-3H-1,2,4-triazol-3-one

[0597] 17.0 mg of the title compound (yield: 58.8 %) was prepared in the same fashion as Example 43, except that 30.0 mg of tert-butyl (E)-(2-((4-(3-bromo-2-methylphenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 57 was used instead of tert-butyl (E)-(2-((4-(4-bromo-2-fluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 49.3 mg of 6-(morpholin-4-yl)pyridin-3-boronic acid pinacol ester was used instead of 3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1-((2-(trimethylsilyl)ethoxy)methyl)-1H-1,2,4-triazole in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.05(s, 2H), 7.86(d, 1H), 7.42(m, 3H), 7.09-7.29(m, 1H), 7.21(d, 1H), 4.57(s, 2H), 3.86(m, 4H), 3.76(s, 2H), 3.65(m, 4H), 2.13(s, 3H)

[0598] Example 111. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(1,3-benzodioxol-5-yl)-2-methylphenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one

[0599] 13.0 mg of the title compound (yield: 44.1 %) was prepared in the same fashion as Example 43, except that 30.0 mg of tert-butyl (E)-(2-((4-(3-bromo-2-methylphenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference

Example 57 was used instead of tert-butyl (E)-(2-((4-(4-bromo-2-fluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 28.2 mg of 3,4-(methylenedioxy)phenylboronic acid was used instead of 3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1-((2-(trimethylsilyl)ethoxy)methyl)-1H-1,2,4-triazole in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.03(s, 1H), 7.37(s, 2H), 7.32(m, 1H), 7.08-7.29(m, 1H), 6.90(m, 1H), 6.79(m, 2H), 5.99(s, 2H), 4.57(s, 2H), 3.76(s, 2H), 2.09(s, 3H)

[0600] Example 112. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(2,3-dihydro-1,4-benzodioxin-6-yl)-2-methylphenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one

[0601] 15.0 mg of the title compound (yield: 58.8 %) was prepared in the same fashion as Example 43, except that 30.0 mg of tert-butyl (E)-(2-((4-(3-bromo-2-methylphenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 57 was used instead of tert-butyl (E)-(2-((4-(4-bromo-2-fluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 30.4 mg of 1,4-benzodioxane-6-boronic acid was used instead of 3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1-((2-(trimethylsilyl)ethoxy)methyl)-1H-1,2,4-triazole in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.03(s, 1H), 7.35(m, 2H), 7.28(m, 1H), 7.06-7.26(m, 1H), 6.91(m, 1H), 6.80(m, 2H), 4.56(s, 2H), 4.27(s, 4H), 3.72(s, 2H), 2.09(s, 3H)

[0602] Example 113. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(2,1,3-benzooxadiazol-5-yl)-2-methylphenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one

[0603] 21.0 mg of the title compound (yield: 88.2 %) was prepared in the same fashion as Example 43, except that 30.0 mg of tert-butyl (E)-(2-((4-(3-bromo-2-methylphenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 57 was used instead of tert-butyl (E)-(2-((4-(4-bromo-2-fluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 41.8 mg of benzo[c][1,2,5]oxadiazole-5-boronic acid pinacol ester was used instead of 3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1-((2-(trimethylsilyl)ethoxy)methyl)-1H-1,2,4-triazole in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.08(s, 1H), 8.00(d, 1H), 7.87(s, 1H), 7.52(m, 4H), 7.10-7.30(m, 1H), 4.58(s, 2H), 3.77(s, 2H), 2.15(s, 3H)

[0604] Example 114. 4-[3-(2-amino-1,3-benzothiazol-5-yl)-2-methylphenyl]-2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one

[0605] 13.0 mg of the title compound (yield: 44.1 %) was prepared in the same fashion as Example 43, except that 30.0 mg of tert-butyl (E)-(2-((4-(3-bromo-2-methylphenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 57 was used instead of tert-butyl (E)-(2-((4-(4-bromo-2-fluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 46.9 mg of 5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)benzo[d]thiazol-2-amine prepared in Reference Example 86 was used instead of 3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1-((2-(trimethylsilyl)ethoxy)methyl)-1H-1,2,4-triazole in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.06(s, 1H), 7.73(d, 1H), 7.41(s, 2H), 7.38(s, 2H), 7.29(s, 1H), 7.15(d, 1H), 7.09(s, 1H), 4.57(s, 2H), 3.76(s, 2H), 2.11(s, 3H)

[0606] Example 115. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{5-methyl-6-[4-(1H-1,2,4-triazol-3-yl)phenyl]pyridin-3-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one

[0607] 15.0 mg of the title compound (yield: 58.8 %) was prepared in the same fashion as Example 43, except that 30.0 mg of tert-butyl (E)-(2-((4-(6-bromo-5-methylpyridin-3-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 61 was used in Step 1 instead of tert-butyl (E)-(2-((4-(4-bromo-2-fluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate. ¹H-NMR (MeOD, 400 MHz) δ 9.15(d, 1H), 8.86(s, 1H), 8.61(d, 1H), 8.55(s, 1H), 8.27(m, 2H), 7.78(d, 2H), 7.12-7.32(m, 1H), 4.60(s, 2H), 3.79(s, 2H), 2.56(s, 3H)

[0608] Example 116. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{5-methyl-6-[3-(1H-1,2,4-triazol-3-yl)phenyl]pyridin-3-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one

[0609] 11.1 mg of the title compound (yield: 44.1 %) was prepared in the same fashion as Example 43, except that 30.0 mg of tert-butyl (E)-(2-((4-(6-bromo-5-methylpyridin-3-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 61 was used instead of tert-butyl (E)-(2-((4-(4-bromo-2-fluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 68.2 mg of 3-(3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1-((2-(trimethylsilyl)ethoxy)methyl)-1H-1,2,4-triazole prepared in Reference Example 32 was used instead of 3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1-((2-(trimethylsilyl)ethoxy)methyl)-1H-1,2,4-triazole in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 9.04(s, 1H), 8.74(s, 1H), 8.18-8.26(m, 6H), 7.12-

7.32(m, 1H)

[0610] Example 117. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[6-(1,3-benzodioxol-5-yl)-5-methylpyridin-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one

[0611] 23.2 mg of the title compound (yield: 88.2 %) was prepared in the same fashion as Example 43, except that 30.0 mg of tert-butyl (E)-(2-((4-(6-bromo-5-methylpyridin-3-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 61 was used instead of tert-butyl (E)-(2-((4-(4-bromo-2-fluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 27.8 mg of 3,4-(methylenedioxy)phenylboronic acid was used instead of 3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1-((2-(trimethylsilyl)ethoxy)methyl)-1H-1,2,4-triazole in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 9.22(d, 1H), 8.08(s, 1H), 8.59(s, 1H), 7.16-7.31(m, 1H), 7.10-7.18(m, 3H), 6.13(s, 2H), 4.59(d, 2H), 3.78(s, 2H), 2.57(s, 3H)

[0612] Example 118. 4-[6-(2-amino-1,3-benzothiazol-5-yl)-5-methylpyridin-3-yl]-2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0613] 21.2 mg of the title compound (yield: 73.5 %) was prepared in the same fashion as Example 42, except that 30.0 mg of tert-butyl (E)-(2-((4-(6-bromo-5-methylpyridin-3-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 61 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 46.9 mg of 5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)benzo[d]thiazol-2-amine prepared in Reference Example 86 was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethane-1-one in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 9.16(s, 1H), 8.62(s, 1H), 8.55(s, 1H), 8.06(d, 1H), 7.79(s, 1H), 7.63(m, 1H), 7.12-7.32(m, 1H), 4.59(d, 2H), 3.78(s, 2H), 2.53(s, 3H)

[0614] Example 119. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{4-methyl-6-[4-(methylsulfonyl)phenyl]pyridin-3-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one

[0615] 29.3 mg of the title compound (yield: 100 %) was prepared in the same fashion as Example 43, except that 30.0 mg of tert-butyl (E)-(2-((4-(6-bromo-4-methylpyridin-3-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in

Reference Example 62 was used instead of tert-butyl (E)-(2-((4-(4-bromo-2-fluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 34.0 mg of 4-(methanesulfonyl)phenylboronic acid was used instead of 3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1-((2-(trimethylsilyl)ethoxy)methyl)-1H-1,2,4-triazole in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.62(s, 1H), 8.33(d, 2H), 8.14(s, 1H), 8.08(m, 3H), 7.11-7.31(m, 1H), 4.58(s, 2H), 3.78(s, 2H), 3.18(s, 3H), 2.42(s, 3H)

[0616] Example 120. N-[4-(5-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}-4-methylpyridin-2-yl)phenyl]acetamide

[0617] 21.0 mg of the title compound (yield: 73.5 %) was prepared in the same fashion as Example 43, except that 30.0 mg of tert-butyl (E)-(2-((4-(6-bromo-4-methylpyridin-3-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 62 was used instead of tert-butyl (E)-(2-((4-(4-bromo-2-fluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 30.4 mg of 4-acetamidobenzene boronic acid was used instead of 3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1-((2-(trimethylsilyl)ethoxy)methyl)-1H-1,2,4-triazole in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.50(s, 1H), 8.10(s, 1H), 8.00(d, 2H), 7.90(s, 1H), 7.71(d, 2H), 7.10-7.30(m, 1H), 4.57(d, 2H), 3.31(s, 2H), 2.40(s, 3H), 2.16(s, 3H)

[0618] Example 121. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{4-methyl-6-[4-(1H-1,2,4-triazol-3-yl)phenyl]pyridin-3-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one

[0619] 6.1 mg of the title compound (yield: 22.1 %) was prepared in the same fashion as Example 43, except that 30.0 mg of tert-butyl (E)-(2-((4-(6-bromo-4-methylpyridin-3-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 62 was used in Step 1 instead of tert-butyl (E)-(2-((4-(4-bromo-2-fluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate. ¹H-NMR (MeOD, 400 MHz) δ 8.79(s, 1H), 8.40(s, 1H), 8.35(s, 1H), 8.14(d, 2H), 8.09(m, 1H), 7.64(d, 2H), 6.89-7.09(m, 1H), 4.50(m, 2H), 3.51(s, 2H), 2.43(s, 3H)

[0620] Example 122. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{4-methyl-6-[3-(1H-1,2,4-triazol-3-yl)phenyl]pyridin-3-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one

[0621] 18.9 mg of the title compound (yield: 68.4 %) was prepared in the same fashion as

Example 43, except that 30.0 mg of tert-butyl (E)-(2-((4-(6-bromo-4-methylpyridin-3-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 62 was used instead of tert-butyl (E)-(2-((4-(4-bromo-2-fluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 68.2 mg of 3-(3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1-((2-(trimethylsilyl)ethoxy)methyl)-1H-1,2,4-triazole prepared in Reference Example 32 was used instead of 3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1-((2-(trimethylsilyl)ethoxy)methyl)-1H-1,2,4-triazole in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.73(s, 1H), 8.59(s, 1H), 8.44(brs, 1H), 8.13(m, 3H), 8.03(s, 1H), 7.63(m, 1H), 7.11-7.31(m, 1H), 4.58(s, 2H), 3.79(s, 2H), 2.42(s, 3H)

[0622] Example 123. N-(5-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}-4-methyl-2,4'-bipyridin-2'-yl)acetamide

[0623] 37.3 mg of the title compound (yield: 100 %) was prepared in the same fashion as Example 43, except that 30.0 mg of tert-butyl (E)-(2-((4-(6-bromo-4-methylpyridin-3-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 62 was used instead of tert-butyl (E)-(2-((4-(4-bromo-2-fluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 44.6 mg of N-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)pyridin-2-yl)acetamide was used instead of 3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1-((2-(trimethylsilyl)ethoxy)methyl)-1H-1,2,4-triazole in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.72(s, 1H), 8.62(s, 1H), 8.41(d, 1H), 8.14(s, 1H), 8.02(s, 1H), 7.74(m, 1H), 7.10-7.31(m, 1H), 4.58(d, 2H), 3.78(s, 2H), 2.41(s, 3H), 2.22(s, 3H)

[0624] Example 124. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4-methyl-6'-(morpholin-4-yl)-2,3'-bipyridin-5-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one

[0625] 29.2 mg of the title compound (yield: 100 %) was prepared in the same fashion as Example 43, except that 30.0 mg of tert-butyl (E)-(2-((4-(6-bromo-4-methylpyridin-3-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 62 was used instead of tert-butyl (E)-(2-((4-(4-bromo-2-fluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 49.3 mg of 6-(morpholin-4-yl)pyridine-3-boronic acid pinacol ester was used instead of 3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1-((2-(trimethylsilyl)ethoxy)methyl)-1H-1,2,4-triazole in

Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.79(s, 1H), 8.50(s, 1H), 8.33(d, 1H), 8.10(s, 1H), 7.88(s, 1H), 7.10-7.30(m, 1H), 7.04(d, 1H), 4.57(s, 2H), 3.83(m, 4H), 3.78(s, 2H), 3.64(m, 4H), 2.37(s, 3H)

[0626] Example 125. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[6-(2,1,3-benzooxadiazol-5-yl)-4-methylpyridin-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one

[0627] 32.5 mg of the title compound (yield: 100 %) was prepared in the same fashion as Example 43, except that 30.0 mg of tert-butyl (E)-(2-((4-(6-bromo-4-methylpyridin-3-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 62 was used instead of tert-butyl (E)-(2-((4-(4-bromo-2-fluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 41.8 mg of benzo[c][1,2,5]oxadiazole-5-boronic acid pinacol ester was used instead of 3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1-((2-(trimethylsilyl)ethoxy)methyl)-1H-1,2,4-triazole in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.64(s, 1H), 8.58(s, 1H), 8.33(d, 1H), 8.15(s, 2H), 8.02(d, 1H), 7.11-7.31(m, 1H), 4.59(s, 2H), 3.80(s, 2H), 3.30(s, 3H)

[0628] Example 126. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[6-(1H-indazol-6-yl)-4-methylpyridin-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one

[0629] 6.6 mg of the title compound (yield: 25.6 %) was prepared in the same fashion as Example 43, except that 30.0 mg of tert-butyl (E)-(2-((4-(6-bromo-4-methylpyridin-3-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 62 was used instead of tert-butyl (E)-(2-((4-(4-bromo-2-fluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 27.5 mg of indazole-6-boronic acid was used instead of 3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1-((2-(trimethylsilyl)ethoxy)methyl)-1H-1,2,4-triazole in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.60(s, 1H), 8.25(s, 1H), 8.16(s, 1H), 8.13(s, 1H), 8.05(s, 1H), 7.84-7.94(m, 2H), 7.13-7.34(m, 1H), 4.61(s, 2H), 3.81(s, 2H), 2.44(s, 3H)

[0630] Example 127. 4-[6-(2-amino-1,3-benzothiazol-5-yl)-4-methylpyridin-3-yl]-2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one

[0631] 21.7 mg of the title compound (yield: 77.6 %) was prepared in the same fashion as Example 43, except that 30.0 mg of tert-butyl (E)-(2-((4-(6-bromo-4-methylpyridin-3-yl)-5-

oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 62 was used instead of tert-butyl (E)-(2-((4-(4-bromo-2-fluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 46.9 mg of 5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)benzo[d]thiazol-2-amine prepared in Reference Example 86 was used instead of 3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1-((2-(trimethylsilyl)ethoxy)methyl)-1H-1,2,4-triazole in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.53(s, 1H), 8.12(s, 1H), 8.04(s, 1H), 7.95(s, 1H), 7.71-7.76(m, 2H), 7.10-7.30(m, 1H), 4.58(d, 2H), 3.78(s, 2H), 2.39(s, 3H)

[0632] Example 128. N-[5-(5-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}-4-methylpyridin-2-yl)-1,3-benzothiazol-2-yl]acetamide

[0633] 24.2 mg of the title compound (yield: 78.5 %) was prepared in the same fashion as Example 43, except that 30.0 mg of tert-butyl (E)-(2-((4-(6-bromo-4-methylpyridin-3-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 62 was used instead of tert-butyl (E)-(2-((4-(4-bromo-2-fluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 54.1 mg of N-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)benzo[d]thiazol-2-yl)acetamide prepared in Reference Example 87 was used instead of 3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1-((2-(trimethylsilyl)ethoxy)methyl)-1H-1,2,4-triazole in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.56(s, 1H), 8.40(s, 1H), 8.13(s, 1H), 7.98-8.01(m, 3H), 7.11-7.31(m, 1H), 4.59(d, 2H), 3.78(s, 2H), 2.40(s, 3H), 2.28(s, 3H)

[0634] Example 129. 2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{5-[4-(methylsulfonyl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0635] 5.1 mg of the title compound (yield: 16.6 %) was prepared in the same fashion as Example 42, except that 30.0 mg of tert-butyl (Z)-(2-((4-(5-bromopyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 63 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 18.2 mg of (4-(methylsulfonyl)phenyl)boronic acid was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethane-1-one in Step 1. MS (ESI) m/z= 404.1 (M + H)+

[0636] Example 130. N-[4-(6-{1-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-

1,5-dihydro-4H-1,2,4-triazol-4-yl}pyridin-3-yl]phenyl]acetamide hydrochloride

[0637] 2.5 mg of the title compound (yield: 8.5 %) was prepared in the same fashion as Example 42, except that 30.0 mg of tert-butyl (Z)-(2-((4-(5-bromopyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 63 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 16.3 mg of (4-acetamidophenyl)boronic acid was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethane-1-one in Step 1. MS (ESI) m/z = 383.2 (M + H)+

[0638] Example 131. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-methyl-5-(piperazin-1-yl)pyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one

[0639] 40.0 mg of tert-butyl (E)-(2-((4-(5-bromo-3-methylpyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 66, 41.9 mg of 1-Boc-piperazine, 8.2 mg of bis(dibenzylideneacetone)palladium(0) ($\text{Pd}(\text{dba})_2$), 10.4 mg of Xantphos, and 87.9 mg of cesium carbonate were dissolved in 1.0 mL of 1,4-dioxane, and the resulting solution was stirred overnight at 96°C. The filtrate obtained by filtration of the reaction mixture with a celite pad was concentrated under reduced pressure to give a brown liquid residue. The residue was purified with silica gel column chromatography (developing solution: n-hexane/ethyl acetate = 1/1) to give a compound as a white solid, and the compound thus obtained was dissolved in 1.0 mL of dichloromethane, 0.5 mL of trifluoroacetic acid was added to the resulting solution, and the solution was stirred overnight at room temperature. The residue obtained by concentration under reduced pressure was dissolved in dichloromethane, and the solution thus obtained was washed with an aqueous solution of sodium bicarbonate and brine, dried over anhydrous magnesium sulfate, and concentrated under reduced pressure to give a yellow liquid residue. The residue was purified with silica gel column chromatography (developing solution: dichloromethane/methanol = 90/1) to give 4.7 mg of the title compound as a yellow liquid (yield: 15.0 %). $^1\text{H-NMR}$ (MeOD, 400 MHz) δ 8.17(s, 1H), 8.08(s, 1H), 7.54(s, 1H), 7.10-7.30(m, 1H), 4.57(s, 2H), 3.77(s, 2H), 3.59(m, 4H), 3.40(m, 4H), 2.31(s, 3H)

[0640] Example 132. 4-[5-(5-acetylthiophen-2-yl)-3-methylpyridin-2-yl]-2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0641] 2.2 mg of the title compound (yield: 7.6 %) was prepared in the same fashion as Example 42, except that 30.0 mg of tert-butyl (E)-(2-((4-(5-bromo-3-methylpyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 66 was used in Step 1 instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate. ¹H-NMR (MeOD, 400 MHz) δ 8.77(s, 1H), 8.24(s, 1H), 8.17(s, 1H), 7.91(d, 1H), 7.68(d, 1H), 7.18(d, 1H), 4.61(s, 2H), 4.55(s, 2H), 3.74(s, 2H), 2.60(s, 3H), 2.42(s, 3H)

[0642] Example 133. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{3-methyl-5-[4-(methylsulfonyl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0643] 4.0 mg of the title compound (yield: 13.0 %) was prepared in the same fashion as Example 42, except that 30.0 mg of tert-butyl (E)-(2-((4-(5-bromo-3-methylpyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 66 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 17.6 mg of (4-(methylsulfonyl)phenyl)boronic acid was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethane-1-one in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.76(s, 1H), 8.27(s, 1H), 8.19(s, 1H), 8.11(d, 2H), 8.00(d, 1H), 7.19(d, 1H), 4.58(d, 4H), 3.74(s, 2H), 3.18(s, 3H), 2.45(s, 3H)

[0644] Example 134. N-[4-(6-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}-5-methylpyridin-3-yl)phenyl]acetamide hydrochloride

[0645] 3.1 mg of the title compound (yield: 10.5 %) was prepared in the same fashion as Example 42, except that 30.0 mg of tert-butyl (E)-(2-((4-(5-bromo-3-methylpyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 66 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 15.8 mg of (4-acetamidophenyl)boronic acid was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethane-1-one in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.66(s, 1H), 8.16(d, 2H), 7.71(m, 4H), 7.15(d, 1H), 4.61(s, 6H), 4.56(s, 2H), 3.70(s, 2H)

[0646] Example 135. 2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{3-methyl-5-[4-(4H-1,2,4-triazol-3-yl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one

[0647] 13.2 mg of the title compound (yield: 47.8 %) was prepared in the same fashion as Example 43, except that 30.0 mg of tert-butyl (Z)-(2-((4-(5-bromo-3-methylpyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 65 was used in Step 1 instead of tert-butyl (E)-(2-((4-(4-bromo-2-fluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate. ¹H-NMR (MeOD, 400 MHz) δ 8.74(s, 1H), 8.45(s, 1H), 8.24(s, 1H), 8.19(d, 3H), 7.87(d, 2H), 7.10(d, 1H), 4.75(s, 2H), 4.57(s, 2H), 3.62(s, 2H), 2.44(s, 3H)

[0648] Example 136. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{3-methyl-5-[4-(4H-1,2,4-triazol-3-yl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one

[0649] 1.3 mg of the title compound (yield: 4.7 %) was prepared in the same fashion as Example 43, except that 30.0 mg of tert-butyl (E)-(2-((4-(5-bromo-3-methylpyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 66 was used in Step 1 instead of tert-butyl (E)-(2-((4-(4-bromo-2-fluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate. ¹H-NMR (DMSO-d₆, 400 MHz) δ 8.81(s, 1H), 8.40(s, 1H), 8.31(s, 1H), 7.85-8.19(m, 8H), 7.15(d, 1H), 4.53(s, 2H), 3.56(s, 2H), 2.38(s, 3H)

[0650] Example 137. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{3-methyl-5-[3-(4H-1,2,4-triazol-3-yl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one

[0651] 8.8 mg of the title compound (yield: 31.8 %) was prepared in the same fashion as Example 43, except that 30.0 mg of tert-butyl (E)-(2-((4-(5-bromo-3-methylpyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 66 was used instead of tert-butyl (E)-(2-((4-(4-bromo-2-fluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 35.4 mg of 3-(3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1-((2-(trimethylsilyl)ethoxy)methyl)-1H-1,2,4-triazole prepared in Reference Example 32 was used instead of 3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1-((2-(trimethylsilyl)ethoxy)methyl)-1H-1,2,4-triazole in Step 1. ¹H-NMR (DMSO-d₆, 400 MHz) δ 8.82(s, 1H), 8.42(d, 2H), 8.34(s, 1H), 8.14(d, 3H), 7.89(m, 1H), 7.71(t, 1H), 7.17(d, 1H), 4.56(s, 2H), 3.60(s, 2H), 2.43(s, 3H)

[0652] Example 138. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{3-methyl-5-[4-(1,2,5-oxadiazol-3-yl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one

hydrochloride

[0653] 5.1 mg of the title compound (yield: 16.9 %) was prepared in the same fashion as Example 42, except that 30.0 mg of tert-butyl (E)-(2-((4-(5-bromo-3-methylpyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 66 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 24.0 mg of 3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1,2,5-oxadiazole was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethane-1-one in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.74(s, 1H), 8.24(s, 1H), 8.19(s, 1H), 7.94(d, 2H), 7.86(d, 2H), 7.20(d, 1H), 4.57(s, 2H), 3.77(s, 2H), 2.44(s, 3H)

[0654] Example 139. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{3-methyl-5-[4-(1,2,4-oxadiazol-3-yl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one

[0655] 5.0 mg of the title compound (yield: 18.0 %) was prepared in the same fashion as Example 43, except that 30.0 mg of tert-butyl (E)-(2-((4-(5-bromo-3-methylpyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 66 was used instead of tert-butyl (E)-(2-((4-(4-bromo-2-fluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 35.4 mg of 3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1,2,4-oxadiazole prepared in Reference Example 78 was used instead of 3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1-((2-(trimethylsilyl)ethoxy)methyl)-1H-1,2,4-triazole in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.73(d, 1H), 8.24(d, 1H), 8.19(s, 1H), 7.90(m, 4H), 7.20(d, 1H), 4.57(s, 2H), 3.77(s, 2H), 2.45(s, 3H)

[0656] Example 140. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{3-methyl-5-[3-(1,2,4-oxadiazol-3-yl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one

[0657] 4.3 mg of the title compound (yield: 10.0 %) was prepared in the same fashion as Example 43, except that 30.0 mg of tert-butyl (E)-(2-((4-(5-bromo-3-methylpyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 66 was used instead of tert-butyl (E)-(2-((4-(4-bromo-2-fluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 35.4 mg of 3-(3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1,2,4-oxadiazole prepared in Reference

Example 79 was used instead of 3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1-((2-(trimethylsilyl)ethoxy)methyl)-1H-1,2,4-triazole in Step 1. ¹H-NMR (DMSO-d₆, 400 MHz) δ 8.83(s, 1H), 8.39(s, 1H), 8.35(s, 1H), 8.18(d, 1H), 7.94(d, 1H), 7.75(t, 1H), 7.23(d, 1H), 4.53(s, 2H), 3.56(s, 2H), 2.38(s, 3H)

[0658] Example 141. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{5-[4-(5-cyclopropyl-1,2,4-oxadiazol-3-yl)phenyl]-3-methylpyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0659] 2.1 mg of the title compound (yield: 5.9 %) was prepared in the same fashion as Example 42, except that 30.0 mg of tert-butyl (E)-(2-((4-(5-bromo-3-methylpyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 66 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 27.5 mg of 5-cyclopropyl-3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1,2,4-oxadiazole prepared in Reference Example 80 was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethane-1-one in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.74(s, 1H), 8.11-8.24(m, 4H), 7.88(d, 2H), 7.19(d, 1H), 4.57(s, 2H), 3.74(s, 2H), 2.44(s, 3H), 2.35(s, 1H), 1.28-1.32(m, 4H)

[0660] Example 142. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(3-methyl-5-{4-[5-(propan-2-yl)-1,2,4-oxadiazol-3-yl]phenyl}pyridin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0661] 6.4 mg of the title compound (yield: 18.0 %) was prepared in the same fashion as Example 42, except that 30.0 mg of tert-butyl (E)-(2-((4-(5-bromo-3-methylpyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 66 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 27.7 mg of 5-isopropyl-3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1,2,4-oxadiazole prepared in Reference Example 82 was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethane-1-one in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.75(s, 1H), 8.19-8.25(m, 4H), 7.90(d, 2H), 7.21(d, 1H), 4.58(s, 2H), 3.77(s, 2H), 3.35(m, 1H), 2.45(s, 3H), 1.48(d, 6H)

[0662] Example 143. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(3-methyl-5-{3-[5-(propan-2-yl)-1,2,4-oxadiazol-3-yl]phenyl}pyridin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-

3-one hydrochloride

[0663] 15.1 mg of the title compound (yield: 42.5 %) was prepared in the same fashion as Example 42, except that 30.0 mg of tert-butyl (E)-(2-((4-(5-bromo-3-methylpyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 66 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 27.7 mg of 5-isopropyl-3-(3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1,2,4-oxadiazole prepared in Reference Example 83 was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethane-1-one in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.74(s, 1H), 8.38(s, 1H), 8.24(s, 1H), 8.20(s, 1H), 8.15(d, 1H), 7.91(d, 1H), 7.69(t, 1H), 7.21(d, 1H), 4.58(s, 2H), 3.77(s, 2H), 3.35(m, 1H), 2.45(s, 3H), 1.47(d, 6H)

[0664] Example 144. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{3-methyl-5-[3-(1H-tetrazol-5-yl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one

[0665] 2.9 mg of the title compound (yield: 10.5 %) was prepared in the same fashion as Example 43, except that 30.0 mg of tert-butyl (E)-(2-((4-(5-bromo-3-methylpyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 66 was used instead of tert-butyl (E)-(2-((4-(4-bromo-2-fluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 35.4 mg of 5-(3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1-((2-(trimethylsilyl)ethoxy)methyl)-1H-tetrazole in Reference Example 88 was used instead of 3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1-((2-(trimethylsilyl)ethoxy)methyl)-1H-1,2,4-triazole in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.77(s, 1H), 8.41(s, 1H), 8.26(s, 1H), 8.20(s, 1H), 8.12(d, 1H), 7.95(t, 1H), 7.21(d, 1H), 4.58(s, 2H), 3.77(s, 2H), 2.46(s, 3H)

[0666] Example 145. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{3-methyl-5-[4-(piperazin-1-yl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0667] 5.3 mg of the title compound (yield: 17.0 %) was prepared in the same fashion as Example 42, except that 30.0 mg of tert-butyl (E)-(2-((4-(5-bromo-3-methylpyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 66 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 34.2 mg of tert-butyl 4-(4-(4,4,5,5-

tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)piperazine-1-carboxylate was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethane-1-one in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.65(s, 1H), 8.15(d, 2H), 7.69(d, 2H), 7.20(d, 1H), 7.18(d, 2H), 4.57(s, 2H), 3.76(s, 2H), 3.52(s, 4H), 3.41(s, 4H), 2.40(s, 3H)

[0668] Example 146. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{3-methyl-5-[4-(morpholin-4-ylcarbonyl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0669] 5.2 mg of the title compound (yield: 15.6 %) was prepared in the same fashion as Example 42, except that 30.0 mg of tert-butyl (E)-(2-((4-(5-bromo-3-methylpyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 66 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 28.0 mg of morpholino(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)methanone was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethane-1-one in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.72(s, 1H), 8.22(d, 2H), 7.84(d, 2H), 7.60(d, 2H), 7.21(d, 1H), 4.58(s, 2H), 3.77(s, 6H), 3.66(s, 2H), 3.52(s, 2H), 2.44(s, 3H)

[0670] Example 147. 6-(6-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}-5-methylpyridin-3-yl)-2H-1,4-benzoxazin-3(4H)-one hydrochloride

[0671] 2.9 mg of the title compound (yield: 9.1 %) was prepared in the same fashion as Example 42, except that 30.0 mg of tert-butyl (E)-(2-((4-(5-bromo-3-methylpyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 66 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 23.1 mg of (2-acetamidopyridin-4-yl)boronic acid was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethane-1-one in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.87(s, 1H), 8.46(d, 1H), 8.39(d, 1H), 8.22(s, 1H), 7.90(d, 1H), 7.86(s, 1H), 7.20(d, 1H), 4.58(d, 2H), 3.77(s, 2H), 2.50(s, 3H), 2.36(s, 3H)

[0672] Example 148. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-methyl-6'-(trifluoromethyl)-3,3'-bipyridin-6-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0673] 4.8 mg of the title compound (yield: 15.9 %) was prepared in the same fashion as Example 42, except that 30.0 mg of tert-butyl (E)-(2-((4-(5-bromo-3-methylpyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 66 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 24.1 mg of 5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-2-(trifluoromethyl)pyridine was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethane-1-one in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 9.09(s, 1H), 8.80(s, 1H), 8.41(d, 1H), 8.32(s, 1H), 8.20(s, 1H), 7.97(d, 1H), 7.21(d, 1H), 4.58(s, 2H), 3.77(s, 2H), 2.47(s, 3H)

[0674] Example 149. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-methyl-6'-(morpholin-4-yl)-3,3'-bipyridin-6-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0675] 5.5 mg of the title compound (yield: 17.5 %) was prepared in the same fashion as Example 42, except that 30.0 mg of tert-butyl (E)-(2-((4-(5-bromo-3-methylpyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 66 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 18.3 mg of (6-morpholinopyridin-3-yl)boronic acid was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethane-1-one in Step 1. MS (ESI) m/z= 426.5 (M + H)⁺

[0676] Example 150. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-(2H-1,3-benzodioxol-5-yl)-3-methylpyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0677] 9.1 mg of the title compound (yield: 31.9 %) was prepared in the same fashion as Example 42, except that 30.0 mg of tert-butyl (E)-(2-((4-(5-bromo-3-methylpyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 66 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 35.4 mg of 2-(benzo[d][1,3]dioxol-5-yl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolan was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethane-1-one in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.60(s, 1H), 8.16(s, 1H), 8.08(s, 1H), 7.21(m, 2H), 7.10(d, 1H), 6.96(d, 1H), 6.03(s, 2H), 4.57(s, 2H), 3.75(s, 2H), 2.40(s, 3H)

[0678] Example 151. 6-(6-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-

dihydro-4H-1,2,4-triazol-4-yl}-5-methylpyridin-3-yl)-1,3-dihydro-2H-indol-2-one

[0679] 3.3 mg of the title compound (yield: 12.3 %) was prepared in the same fashion as Example 43, except that 30.0 mg of tert-butyl (E)-(2-((4-(5-bromo-3-methylpyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 66 was used instead of tert-butyl (E)-(2-((4-(4-bromo-2-fluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 22.8 mg of (2-oxoindol-6-yl)boronic acid was used instead of 3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1-((2-(trimethylsilyl)ethoxy)methyl)-1H-1,2,4-triazole in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.62(s, 1H), 8.12(s, 1H), 8.11(d, 1H), 7.36(m, 2H), 7.20(s, 1H), 6.93(d, 1H), 4.51(s, 2H), 3.44(s, 2H), 2.40(s, 3H)

[0680] Example 152. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-(2,1,3-benzooxadiazol-5-yl)-3-methylpyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0681] 5.9 mg of the title compound (yield: 20.8 %) was prepared in the same fashion as Example 42, except that 30.0 mg of tert-butyl (E)-(2-((4-(5-bromo-3-methylpyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 66 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 21.7 mg of benzo[c][1,2,5]oxadiazol-5-ylboronic acid was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethane-1-one in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.83(s, 1H), 8.33(s, 1H), 8.29(s, 1H), 8.20(s, 1H), 8.08(d, 1H), 7.93(d, 1H), 7.21(d, 1H), 4.58(d, 2H), 3.78(s, 2H), 2.47(s, 3H)

[0682] Example 153. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-(1H-indazol-6-yl)-3-methylpyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one

[0683] 7.1 mg of the title compound (yield: 27.5 %) was prepared in the same fashion as Example 43, except that 30.0 mg of tert-butyl (E)-(2-((4-(5-bromo-3-methylpyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 66 was used instead of tert-butyl (E)-(2-((4-(4-bromo-2-fluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 27.5 mg of indazole-6-boronic acid was used instead of 3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-

1-((2-(trimethylsilyl)ethoxy)methyl)-1H-1,2,4-triazole in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.76(s, 1H), 8.26(s, 1H), 8.21(s, 1H), 8.14(s, 1H), 7.96(d, 1H), 7.88(s, 1H), 7.53(d, 1H), 7.12-7.33(m, 1H), 4.60(s, 2H), 3.79(s, 2H), 2.47(s, 3H)

[0684] Example 154. 6-(6-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}-5-methylpyridin-3-yl)-8-methyl-3,4-dihydroquinolin-2(1H)-one hydrochloride

[0685] 4.7 mg of the title compound (yield: 15.1 %) was prepared in the same fashion as Example 42, except that 30.0 mg of tert-butyl (E)-(2-((4-(5-bromo-3-methylpyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 66 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 25.3 mg of 8-methyl-6-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-3,4-dihydroquinolin-2(1H)-one was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethane-1-one in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.64(s, 1H), 8.16(s, 1H), 8.13(s, 1H), 7.43(s, 2H), 7.20(d, 1H), 4.57(s, 2H), 3.76(s, 2H), 3.04(t, 2H), 2.61(t, 2H), 2.40(s, 3H), 2.35(s, 3H)

[0686] Example 155. 6-(6-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}-5-methylpyridin-3-yl)-2H-1,4-benzoxazin-3(4H)-one hydrochloride

[0687] 4.9 mg of the title compound (yield: 16.1 %) was prepared in the same fashion as Example 42, except that 30.0 mg of tert-butyl (E)-(2-((4-(5-bromo-3-methylpyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 66 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 24.3 mg of 6-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-2H-benzo[b][1,4]oxazin-3(4H)-one was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethane-1-one in Step 1. ¹H-NMR (DMSO-d₆, 400 MHz) δ 10.89(s, 1H), 8.59(s, 1H), 8.36(s, 1H), 8.09(s, 1H), 7.32(s, 1H), 7.24(s, 1H), 7.20(d, 1H), 7.11(s, 1H), 4.64(s, 2H), 4.54(s, 2H), 3.64(s, 2H), 2.34(s, 3H)

[0688] Example 156. 7-(6-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}-5-methylpyridin-3-yl)-1,4-dihydro-2H-3,1-benzoxazin-2-one hydrochloride

[0689] 4.2 mg of the title compound (yield: 13.8 %) was prepared in the same fashion as Example 42, except that 30.0 mg of tert-butyl (E)-(2-((4-(5-bromo-3-methylpyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 66 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 24.3 mg of 7-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-1,4-dihydro-2H-benzo[d][1,3]oxazin-2-one was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethane-1-one in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.64(s, 1H), 8.18(s, 2H), 8.13(s, 1H), 7.41(d, 1H), 7.35(d, 1H), 7.20(d, 1H), 7.18(s, 1H), 5.39(s, 2H), 4.57(s, 2H), 3.76(s, 2H), 2.42(s, 3H)

[0690] Example 157. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{4-methyl-5-[4-(1H-1,2,4-triazol-3-yl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one

[0691] 19.3 mg of the title compound (yield: 69.8 %) was prepared in the same fashion as Example 43, except that 30.0 mg of tert-butyl (E)-(2-((4-(5-bromo-4-methylpyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 68 was used in Step 1 instead of tert-butyl (E)-(2-((4-(4-bromo-2-fluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate. ¹H-NMR (MeOD, 400 MHz) δ 8.61(s, 1H), 8.40(brs, 1H), 8.30(s, 1H), 8.13(m, 3H), 7.50(m, 2H), 7.07-7.28(m, 1H), 4.53(s, 2H), 3.74(s, 2H), 2.39(s, 3H)

[0692] Example 158. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{4-methyl-5-[3-(1H-1,2,4-triazol-3-yl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one

[0693] 23.0 mg of the title compound (yield: 83.2 %) was prepared in the same fashion as Example 43, except that 30.0 mg of tert-butyl (E)-(2-((4-(5-bromo-4-methylpyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 68 was used instead of tert-butyl (E)-(2-((4-(4-bromo-2-fluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 68.2 mg of 3-(3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1-((2-(trimethylsilyl)ethoxy)methyl)-1H-1,2,4-triazole prepared in Reference Example 32 was used instead of 3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1-((2-(trimethylsilyl)ethoxy)methyl)-1H-1,2,4-triazole in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.64(s, 1H), 8.44(brs, 1H), 8.33(s, 1H), 8.19(s, 1H), 8.09(m, 1H), 8.04(s, 1H), 7.63(m, 1H), 7.48(m, 1H), 7.10-7.31(m, 1H), 4.57(s, 2H), 3.78(s,

2H), 2.42(s, 3H)

[0694] Example 159. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-(1,3-benzodioxol-5-yl)-4-methylpyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one

[0695] 11.7 mg of the title compound (yield: 44.9 %) was prepared in the same fashion as Example 43, except that 30.0 mg of tert-butyl (E)-(2-((4-(5-bromo-4-methylpyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 68 was used instead of tert-butyl (E)-(2-((4-(4-bromo-2-fluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 27.8 mg of 3,4-(methylenedioxy)phenylboronic acid was used instead of 3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1-((2-(trimethylsilyl)ethoxy)methyl)-1H-1,2,4-triazole in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.61(s, 1H), 8.24(s, 1H), 8.12(s, 1H), 7.03-7.24(m, 1H), 6.95(m, 1H), 6.82(m, 2H), 4.54(s, 2H), 3.68(s, 2H), 2.38(s, 3H), 6.02(s, 2H)

[0696] Example 160. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-(1H-indazol-6-yl)-4-methylpyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one

[0697] 6.1 mg of the title compound (yield: 23.6 %) was prepared in the same fashion as Example 43, except that 30.0 mg of tert-butyl (E)-(2-((4-(5-bromo-4-methylpyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 68 was used instead of tert-butyl (E)-(2-((4-(4-bromo-2-fluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 27.5 mg of indazole-6-boronic acid was used instead of 3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1-((2-(trimethylsilyl)ethoxy)methyl)-1H-1,2,4-triazole in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.67(s, 1H), 8.37(s, 1H), 8.21(s, 1H), 8.14(s, 1H), 7.92(d, 1H), 7.54(s, 1H), 7.33(s, 1H), 7.18(d, 1H), 7.13(s, 1H), 4.59(s, 2H), 3.79(s, 2H), 2.43(s, 3H)

[0698] Example 161. 4-[5-(2-amino-1,3-benzothiazol-5-yl)-4-methylpyridin-2-yl]-2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0699] 28.9 mg of the title compound (yield: 94.9 %) was prepared in the same fashion as Example 42, except that 30.0 mg of tert-butyl (E)-(2-((4-(5-bromo-4-methylpyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference

Example 68 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 46.9 mg of 5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)benzo[d]thiazol-2-amine prepared in Reference Example 86 was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethane-1-one in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.65(s, 1H), 8.34(s, 1H), 8.23(s, 1H), 7.95(d, 1H), 7.55(s, 1H), 7.46(d, 1H), 7.11-7.31(s, 1H), 4.57(d, 2H), 3.77(s, 1H), 2.41(s, 3H)

[0700] Example 162. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-(1,3-benzodioxol-5-yl)-3-fluoropyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0701] 8.1 mg of the title compound (yield: 28.5 %) was prepared in the same fashion as Example 42, except that 30.0 mg of tert-butyl (E)-(2-((4-(5-bromo-3-fluoropyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 70 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 27.8 mg of 3,4-(methylenedioxy)phenylboronic acid was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethane-1-one in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.63(s, 1H), 8.22(s, 1H), 8.09(d, 1H), 7.10-7.30(m, 2+1H), 6.97(d, 1H), 6.04(s, 2H), 4.56(d, 2H), 3.76(s, 2H)

[0702] Example 163. 6-(6-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}-5-fluoropyridin-3-yl)-1,2-dihydro-3H-indol-3-one

[0703] 3.5 mg of the title compound (yield: 13.1 %) was prepared in the same fashion as Example 43, except that 30.0 mg of tert-butyl (E)-(2-((4-(5-bromo-3-fluoropyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 70 was used instead of tert-butyl (E)-(2-((4-(4-bromo-2-fluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 44.0 mg of 6-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)indol-3-one was used instead of 3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1-((2-(trimethylsilyl)ethoxy)methyl)-1H-1,2,4-triazole in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.68(s, 1H), 8.26(m, 1H), 8.15(m, 1H), 7.40(m, 1H), 7.31(m, 1H), 7.28(m, 1H), 7.11(m, 1H), 4.57(s, 2H), 3.77(s, 2H), 3.61(s, 2H)

[0704] Example 164. 4-[5-(2-amino-1,3-benzothiazol-5-yl)-3-fluoropyridin-2-yl]-2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0705] 11.6 mg of the title compound (yield: 38.3 %) was prepared in the same fashion as Example 42, except that 30.0 mg of tert-butyl (E)-(2-((4-(5-bromo-3-fluoropyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 70 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 46.9 mg of 5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)benzo[d]thiazol-2-amine prepared in Reference Example 86 was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethane-1-one in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.76(s, 1H), 8.26(m, 2H), 7.98(d, 1H), 7.85(s, 1H), 7.76(d, 1H), 7.11-7.31(m, 1H), 4.57(d, 2H), 3.77(s, 2H)

[0706] Example 165. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{4-[4-(methylsulfonyl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one

[0707] 15.3 mg of the title compound (yield: 54.2 %) was prepared in the same fashion as Example 43, except that 30.0 mg of tert-butyl (E)-(2-((4-(4-bromopyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 71 was used instead of tert-butyl (E)-(2-((4-(4-bromo-2-fluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 34.0 mg of 4-(methanesulfonyl)phenylboronic acid was used instead of 3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1-((2-(trimethylsilyl)ethoxy)methyl)-1H-1,2,4-triazole in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.69(s, 1H), 8.59(m, 2H), 8.13(m, 2H), 8.03(m, 2H), 7.72(m, 1H), 7.11-7.31(m, 1H), 4.58(s, 2H), 3.77(s, 2H), 3.19(s, 3H)

[0708] Example 166. N-[4-(2-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}pyridin-4-yl)phenyl]acetamide

[0709] 11.7 mg of the title compound (yield: 43.7 %) was prepared in the same fashion as Example 43, except that 30.0 mg of tert-butyl (E)-(2-((4-(4-bromopyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 71 was used instead of tert-butyl (E)-(2-((4-(4-bromo-2-fluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 30.4 mg of 4-acetylamidobenzene boronic acid was used instead of 3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1-((2-(trimethylsilyl)ethoxy)methyl)-1H-1,2,4-triazole in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.65(s, 1H), 8.48(s, 2H), 7.74(s, 4H), 7.64(m, 1H), 7.10-

7.31(m, 1H), 4.57(s, 2H), 3.77(s, 2H), 2.16(s, 3H)

[0710] Example 167. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{4-[4-(1,2-oxazol-3-yl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one

[0711] 12.7 mg of the title compound (yield: 46.2 %) was prepared in the same fashion as Example 43, except that 30.0 mg of tert-butyl (E)-(2-((4-(4-bromopyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 71 was used instead of tert-butyl (E)-(2-((4-(4-bromo-2-fluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 46.1 mg of 3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-isoxazole was used instead of 3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1-((2-(trimethylsilyl)ethoxy)methyl)-1H-1,2,4-triazole in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.76(s, 1H), 8.67(s, 1H), 8.55(m, 2H), 8.02(m, 2H), 7.91(m, 2H), 7.71(m, 1H), 7.11-7.31(m, 1H), 7.00(s, 1H), 4.58(s, 2H), 3.78(s, 2H)

[0712] Example 168. N-(2'-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}-4,4'-bipyridin-2-yl)acetamide

[0713] 14.2 mg of the title compound (yield: 52.9 %) was prepared in the same fashion as Example 43, except that 30.0 mg of tert-butyl (E)-(2-((4-(4-bromopyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 71 was used instead of tert-butyl (E)-(2-((4-(4-bromo-2-fluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 44.6 mg of N-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)pyridin-2-yl)acetamide was used instead of 3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1-((2-(trimethylsilyl)ethoxy)methyl)-1H-1,2,4-triazole in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.68(s, 1H), 8.58(s, 2H), 8.50(s, 1H), 8.43(m, 1H), 7.69(m, 1H), 7.47(m, 1H), 7.31(s, 1H), 7.11-7.31(m, 1H), 4.58(s, 2H), 3.77(s, 2H), 2.22(s, 3H)

[0714] Example 169. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[6-(morpholin-4-yl)-3,4'-bipyridin-2'-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one

[0715] 14.9 mg of the title compound (yield: 51.7 %) was prepared in the same fashion as Example 43, except that 30.0 mg of tert-butyl (E)-(2-((4-(4-bromopyridin-2-yl)-5-oxo-4,5-

dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 71 was used instead of tert-butyl (E)-(2-((4-(4-bromo-2-fluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 49.3 mg of 6-(morpholin-4-yl)pyridine-3-boronic acid pinacol ester was used instead of 3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1-((2-(trimethylsilyl)ethoxy)methyl)-1H-1,2,4-triazole in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.65(s, 1H), 8.55(s, 1H), 8.46(m, 2H), 8.09(d, 1H), 7.63(m, 1H), 7.10-7.31(m, 1H), 7.06(d, 1H), 4.57(s, 2H), 3.82(m, 4H), 3.77(s, 2H), 3.65(m, 4H)

[0716] Example 170. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4-(1,3-benzodioxol-5-yl)pyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one

[0717] 17.8 mg of the title compound (yield: 68.8 %) was prepared in the same fashion as Example 43, except that 30.0 mg of tert-butyl (E)-(2-((4-(4-bromopyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 71 was used instead of tert-butyl (E)-(2-((4-(4-bromo-2-fluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 28.2 mg of 3,4-(methylenedioxy)phenylboronic acid was used instead of 3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1-((2-(trimethylsilyl)ethoxy)methyl)-1H-1,2,4-triazole in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.63(s, 1H), 8.44(m, 1H), 8.41(s, 1H), 7.56(m, 1H), 7.10-7.30(m, 3H), 6.97(d, 1H), 6.04(s, 2H), 4.57(s, 2H), 3.77(s, 2H)

[0718] Example 171. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4-(2,3-dihydro-1,4-benzodioxin-6-yl)pyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one

[0719] 19.3 mg of the title compound (yield: 71.9 %) was prepared in the same fashion as Example 43, except that 30.0 mg of tert-butyl (E)-(2-((4-(4-bromopyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 71 was used instead of tert-butyl (E)-(2-((4-(4-bromo-2-fluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 30.4 mg of 1,4-benzodioxan-6-boronic acid was used instead of 3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1-((2-(trimethylsilyl)ethoxy)methyl)-1H-1,2,4-triazole in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.63(s, 1H), 8.43(m, 2H), 7.56(m, 1H), 7.10-7.30(m, 3H), 6.97(d, 1H), 4.56(s, 2H), 4.30(s, 4H), 3.77(s, 2H)

[0720] Example 172. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4-(2,1,3-benzooxadiazol-5-yl)pyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one

[0721] 20.0 mg of the title compound (yield: 77.8 %) was prepared in the same fashion as Example 43, except that 30.0 mg of tert-butyl (E)-(2-((4-(4-bromopyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 71 was used instead of tert-butyl (E)-(2-((4-(4-bromo-2-fluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 41.8 mg of benzo[c][1,2,5]oxadiazol-5-boronic acid pinacol ester was used instead of 3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1-((2-(trimethylsilyl)ethoxy)methyl)-1H-1,2,4-triazole in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.69(s, 1H), 8.62(s, 2H), 8.35(s, 1H), 8.11(d, 1H), 7.93(m, 1H), 7.78(m, 1H), 7.11-7.32(m, 1H), 5.59(s, 2H), 3.78(s, 2H)

[0722] Example 173. 4-[4-(2-amino-1,3-benzothiazol-5-yl)pyridin-2-yl]-2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one

[0723] 17.4 mg of the title compound (yield: 62.5 %) was prepared in the same fashion as Example 43, except that 30.0 mg of tert-butyl (E)-(2-((4-(4-bromopyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 71 was used instead of tert-butyl (E)-(2-((4-(4-bromo-2-fluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 46.9 mg of 5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)benzo[d]thiazol-2-amine prepared in Reference Example 86 was used instead of 3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1-((2-(trimethylsilyl)ethoxy)methyl)-1H-1,2,4-triazole in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.66(s, 1H), 8.54(s, 1H), 8.51(m, 1H), 7.76(m, 2H), 7.68(m, 1H), 7.49(m, 1H), 7.10-7.30(s, 1H), 4.57(s, 2H), 3.76(s, 2H)

[0724] Example 174. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{6-[3-(dimethylamino)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0725] 5.1 mg of the title compound (yield: 18.0 %) was prepared in the same fashion as Example 42, except that 30.0 mg of tert-butyl (E)-(2-((4-(6-bromopyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 72 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 15.0 mg of (3-

(dimethylamino)phenyl)boronic acid was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethan-1-one in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.94(s, 1H), 8.54(s, 1H), 8.30(t, 2H), 8.12(t, 1H), 8.02(d, 1H), 7.76(s, 2H), 7.21(d, 1H), 4.58(s, 2H), 3.77(s, 2H), 3.39(s, 6H)

[0726] Example 175. N-[4-(6-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}pyridin-2-yl)phenyl]acetamide

[0727] 14.7 mg of the title compound (yield: 54.8 %) was prepared in the same fashion as Example 43, except that 30.0 mg of tert-butyl (E)-(2-((4-(6-bromopyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 72 was used instead of tert-butyl (E)-(2-((4-(4-bromo-2-fluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 30.4 mg of 4-acetylamidobenzene boronic acid was used instead of 3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1-((2-(trimethylsilyl)ethoxy)methyl)-1H-1,2,4-triazole in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.79(s, 1H), 8.06-8.13(m, 3H), 7.97(m, 1H), 7.84(d, 1H), 7.70(d, 2H), 7.10-7.30(m, 1H), 4.55(s, 2H), 3.76(s, 2H), 2.15(s, 3H)

[0728] Example 176. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{6-[4-(1,2-oxazol-3-yl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one

[0729] 10.7 mg of the title compound (yield: 39.0 %) was prepared in the same fashion as Example 43, except that 30.0 mg of tert-butyl (E)-(2-((4-(6-bromopyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 72 was used instead of tert-butyl (E)-(2-((4-(4-bromo-2-fluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 46.1 mg of 3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-isoxazole was used instead of 3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1-((2-(trimethylsilyl)ethoxy)methyl)-1H-1,2,4-triazole in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.83(s, 1H), 8.75(s, 1H), 8.23(m, 3H), 8.06(m, 1H), 7.93-8.00(m, 3H), 7.11-7.31(m, 1H), 6.98(s, 1H), 4.57(s, 2H), 3.78(s, 2H)

[0730] Example 177. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{6-[4-(1,2,5-oxadiazol-3-yl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0731] 7.1 mg of the title compound (yield: 23.6 %) was prepared in the same fashion as

Example 42, except that 30.0 mg of tert-butyl (E)-(2-((4-(6-bromopyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 72 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 24.7 mg of 3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1,2,5-oxadiazole was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethan-1-one in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.86(s, 1H), 8.25(t, 3H), 8.07(t, 1H), 7.96(d, 1H), 7.91(d, 2H), 7.19(d, 1H), 4.57(s, 2H), 3.74(s, 2H)

[0732] Example 178. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{6-[4-(5-cyclopropyl-1,2,4-oxadiazol-3-yl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0733] 17.1 mg of the title compound (yield: 52.0 %) was prepared in the same fashion as Example 42, except that 30.0 mg of tert-butyl (E)-(2-((4-(6-bromopyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 72 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 28.4 mg of 5-cyclopropyl-3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1,2,4-oxadiazole prepared in Reference Example 80 was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethan-1-one in Step 1. MS (ESI) m/z= 434.4 (M + H)⁺

[0734] Example 179. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{6-[3-(5-cyclopropyl-1,2,4-oxadiazol-3-yl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0735] 8.6 mg of the title compound (yield: 26.1 %) was prepared in the same fashion as Example 42, except that 30.0 mg of tert-butyl (E)-(2-((4-(6-bromopyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 72 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 28.4 mg of 5-cyclopropyl-3-(3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1,2,4-oxadiazole prepared in Reference Example 81 was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethan-1-one in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.90(s, 1H), 8.74(s, 1H), 8.23-

8.30(m, 2H), 8.06-8.11(m, 2H), 7.96(d, 1H), 7.65(t, 1H), 7.21(d, 1H), 4.58(s, 2H), 3.77(s, 2H), 2.37(m, 1H), 1.30-1.35(m, 4H)

[0736] Example 180. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(6-{4-[5-(propan-2-yl)-1,2,4-oxadiazol-3-yl]phenyl}pyridin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one

[0737] 3.9 mg of the title compound (yield: 12.8 %) was prepared in the same fashion as Example 43, except that 30.0 mg of tert-butyl (E)-(2-((4-(6-bromopyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 72 was used instead of tert-butyl (E)-(2-((4-(4-bromo-2-fluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 28.6 mg of 5-isopropyl-3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1,2,4-oxadiazole prepared in Reference Example 82 was used instead of 3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1-((2-(trimethylsilyl)ethoxy)methyl)-1H-1,2,4-triazole in Step 1. ¹H-NMR (CDCl₃, 400 MHz) δ 8.62(s, 1H), 8.33(d, 1H), 8.22(d, 2H), 8.13(d, 2H), 7.95(t, 1H), 7.76(d, 1H), 6.75(d, 1H), 4.49(s, 2H), 3.45(s, 2H), 3.32(m, 1H), 1.49(d, 6H)

[0738] Example 181. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(6-{3-[5-(propan-2-yl)-1,2,4-oxadiazol-3-yl]phenyl}pyridin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one

[0739] 6.5 mg of the title compound (yield: 21.3 %) was prepared in the same fashion as Example 43, except that 30.0 mg of tert-butyl (E)-(2-((4-(6-bromopyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 72 was used instead of tert-butyl (E)-(2-((4-(4-bromo-2-fluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 28.6 mg of 5-isopropyl-3-(3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1,2,4-oxadiazole prepared in Reference Example 83 was used instead of 3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1-((2-(trimethylsilyl)ethoxy)methyl)-1H-1,2,4-triazole in Step 1. ¹H-NMR (CDCl₃, 400 MHz) δ 8.70(s, 1H), 8.65(s, 1H), 8.33(d, 1H), 8.17(d, 2H), 7.95(t, 1H), 7.79(d, 1H), 7.62(t, 1H), 6.75(d, 1H), 4.48(s, 2H), 3.45(s, 2H), 3.32(m, 1H), 1.49(d, 6H)

[0740] Example 182. 3-[4-(6-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}pyridin-2-yl)phenyl]-1,2,4-oxadiazol-5(4H)-one hydrochloride

[0741] 4.8 mg of the title compound (yield: 15.4 %) was prepared in the same fashion as Example 42, except that 30.0 mg of tert-butyl (E)-(2-((4-(6-bromopyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 72 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 26.2 mg of 3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1,2,4-oxadiazol-5(4H)-one was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethan-1-one in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.87(s, 1H), 8.34(d, 2H), 8.27(d, 1H), 8.09(t, 1H), 8.00(d, 1H), 7.94(d, 2H), 7.21(d, 1H), 4.57(s, 2H), 3.77(s, 2H)

[0742] Example 183. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{6-[4-(pyrrolidin-1-yl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0743] 4.9 mg of the title compound (yield: 16.2 %) was prepared in the same fashion as Example 42, except that 30.0 mg of tert-butyl (E)-(2-((4-(6-bromopyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 72 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 17.1 mg of (4-(pyrrolidin-1-yl)phenyl)boronic acid was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethan-1-one in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.83(s, 1H), 8.23(d, 2H), 8.16(d, 1H), 8.02(t, 1H), 7.89(d, 1H), 7.38(d, 2H), 7.21(d, 1H), 4.57(s, 2H), 3.76(s, 2H), 3.67(s, 4H), 2.24(s, 4H)

[0744] Example 184. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{6-[4-(piperazin-1-yl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0745] 8.2 mg of the title compound (yield: 26.3 %) was prepared in the same fashion as Example 42, except that 30.0 mg of tert-butyl (E)-(2-((4-(6-bromopyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 72 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 40.8 mg of tert-butyl 4-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)piperazin-1-carboxylate was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethan-1-one in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.80(s, 1H), 8.09(d, 3H), 7.98(t, 1H), 7.82(d, 1H), 7.21(d, 1H),

7.15(d, 2H), 4.57(s, 2H), 3.76(s, 2H), 3.55(s, 4H), 3.41(s, 4H)

[0746] Example 185. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{6-[3-(piperazin-1-yl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0747] 6.6 mg of the title compound (yield: 21.1 %) was prepared in the same fashion as Example 42, except that 30.0 mg of tert-butyl (E)-(2-((4-(6-bromopyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 72 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 35.1 mg of tert-butyl 4-(3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)piperazin-1-carboxylate was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethan-1-one in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.84(s, 1H), 8.19(d, 1H), 8.04(t, 1H), 7.91(d, 1H), 7.82(s, 1H), 7.72(d, 1H), 7.45(t, 1H), 7.20(d, 1H), 7.18(d, 1H), 4.58(s, 2H), 3.77(s, 2H), 3.57(s, 4H), 3.45(s, 4H)

[0748] Example 186. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{6-[4-(morpholin-4-ylcarbonyl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0749] 9.3 mg of the title compound (yield: 28.0 %) was prepared in the same fashion as Example 42, except that 30.0 mg of tert-butyl (E)-(2-((4-(6-bromopyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 72 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 33.3 mg of morpholino(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)methanone was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethan-1-one in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.85(s, 1H), 8.25(d, 3H), 8.07(t, 1H), 7.96(d, 1H), 7.58(d, 2H), 7.21(d, 1H), 4.57(s, 2H), 3.77(s, 6H), 3.66(s, 2H), 3.51(s, 2H)

[0750] Example 187. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[6'-(trifluoromethyl)-2,3'-bipyridin-6-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0751] 6.3 mg of the title compound (yield: 20.9 %) was prepared in the same fashion as Example 42, except that 30.0 mg of tert-butyl (E)-(2-((4-(6-bromopyridin-2-yl)-5-oxo-4,5-

dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 72 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 24.9 mg of 5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-2-(trifluoromethyl)pyridine was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethan-1-one in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 9.46(s, 1H), 8.89(s, 1H), 8.76(d, 1H), 8.35(d, 1H), 8.15(t, 1H), 8.07(d, 1H), 7.96(d, 1H), 7.21(d, 1H), 4.57(s, 2H), 3.77(s, 2H), 3.41(s, 4H)

[0752] Example 188. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[6'-(dimethylamino)-2,3'-bipyridin-6-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0753] 16.2 mg of the title compound (yield: 47.0 %) was prepared in the same fashion as Example 42, except that 40.0 mg of tert-butyl (E)-(2-((4-(6-bromopyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 72 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 35.0 mg of 6-(dimethylamino)pyridin-3-boronic acid was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethan-1-one in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.88(s, 1H), 8.77(dd, 1H), 8.60-8.70(m, 1H), 8.28(d, 1H), 8.10(t, 1H), 7.92(d, 1H), 7.39(d, 1H), 7.20(d, 1H), 4.57(d, 2H), 3.76(d, 2H), 3.39(s, 6H)

[0754] Example 189. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[6'-(morpholin-4-yl)-2,3'-bipyridin-6-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one

[0755] 15.3 mg of the title compound (yield: 53.1 %) was prepared in the same fashion as Example 43, except that 30.0 mg of tert-butyl (E)-(2-((4-(6-bromopyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 72 was used instead of tert-butyl (E)-(2-((4-(4-bromo-2-fluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 49.3 mg of 6-(morpholin-4-yl)pyridin-3-boronic acid pinacol ester was used instead of 3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1-((2-(trimethylsilyl)ethoxy)methyl)-1H-1,2,4-triazole in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.81(s, 2H), 8.50(d, 1H), 8.17(m, 1H), 8.03(m, 1H), 7.84(m, 1H), 7.10-7.30(s, 1H), 7.16(d, 1H), 4.57(s, 2H), 3.84(m, 4H), 3.77(s, 2H), 3.67(m, 4H)

[0756] Example 190. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(6'-fluoro-5'-

methyl-2,3'-bipyridin-6-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0757] 17.1 mg of the title compound (yield: 46.4 %) was prepared in the same fashion as Example 42, except that 40.0 mg of tert-butyl (E)-(2-((4-(6-bromopyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 72 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 25.0 mg of 2-fluoro-3-methylpyridin-5-boronic acid was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethan-1-one in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.86(s, 1H), 8.75(s, 1H), 8.52(d, 1H), 8.25(d, 1H), 8.07(t, 1H), 7.93(d, 1H), 7.21(d, 1H), 4.57(d, 2H), 3.76(d, 2H), 2.39(s, 3H)

[0758] Example 191. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[6'-(dimethylamino)-5'-fluoro-2,3'-bipyridin-6-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0759] 15.7 mg of the title compound (yield: 43.4 %) was prepared in the same fashion as Example 42, except that 40.0 mg of tert-butyl (E)-(2-((4-(6-bromopyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 72 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 42.4 mg of 2-(N,N-dimethylamino)-3-fluoropyridin-5-boronic acid pinacol ester was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethan-1-one in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.91(s, 1H), 8.65(dd, 1H), 8.54(s, 1H), 8.29(d, 1H), 8.10(t, 1H), 7.93(d, 1H), 7.20(d, 1H), 4.56(d, 2H), 3.76(d, 2H), 3.45(d, 6H)

[0760] Example 192. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{6-[1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0761] 14.8 mg of the title compound (yield: 36.5 %) was prepared in the same fashion as Example 42, except that 40.0 mg of tert-butyl (E)-(2-((4-(6-bromopyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 72 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 25.0 mg of 1-methyl-3-

trifluoromethyl-1H-pyrazol-5-boronic acid was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethan-1-one in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.68(s, 1H), 8.30(d, 1H), 8.11(t, 1H), 7.81(d, 1H), 7.21(d, 1H), 7.17(s, 1H), 4.57(d, 2H), 4.29(s, 3H), 3.76(d, 2H)

[0762] Example 193. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[6-(1,3-benzodioxol-5-yl)pyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one

[0763] 16.8 mg of the title compound (yield: 65.0 %) was prepared in the same fashion as Example 43, except that 30.0 mg of tert-butyl (E)-(2-((4-(6-bromopyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 72 was used instead of tert-butyl (E)-(2-((4-(4-bromo-2-fluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 28.2 mg of 3,4-(methylenedioxy)phenylboronic acid was used instead of 3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1-((2-(trimethylsilyl)ethoxy)methyl)-1H-1,2,4-triazole in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.77(s, 1H), 8.10(m, 1H), 7.95(m, 1H), 7.77(d, 1H), 7.64(m, 1H), 7.26(s, 1H), 7.30(s, 1H), 7.10(s, 1H), 6.93(d, 1H), 6.03(s, 2H), 4.56(s, 2H), 3.77(s, 2H)

[0764] Example 194. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[6-(2,3-dihydro-1,4-benzodioxin-6-yl)pyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one

[0765] 15.3 mg of the title compound (yield: 57.0 %) was prepared in the same fashion as Example 43, except that 30.0 mg of tert-butyl (E)-(2-((4-(6-bromopyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 72 was used instead of tert-butyl (E)-(2-((4-(4-bromo-2-fluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 30.4 mg of 1,4-benzodioxan-6-boronic acid was used instead of 3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1-((2-(trimethylsilyl)ethoxy)methyl)-1H-1,2,4-triazole in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.72(s, 1H), 8.06(m, 2H), 7.93(m, 1H), 7.73(d, 1H), 7.58(m, 2H), 7.29(s, 1H), 7.09(s, 1H), 6.91(d, 1H), 4.55(s, 2H), 4.28(s, 4H), 3.76(s, 2H)

[0766] Example 195. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[6-(2,1,3-benzooxadiazol-5-yl)pyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one

[0767] 23.0 mg of the title compound (yield: 89.4 %) was prepared in the same fashion as

Example 43, except that 30.0 mg of tert-butyl (E)-(2-((4-(6-bromopyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 72 was used instead of tert-butyl (E)-(2-((4-(4-bromo-2-fluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 41.8 mg of benzo[c][1,2,5]oxadiazol-5-boronic acid pinacol ester was used instead of 3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1-((2-(trimethylsilyl)ethoxy)methyl)-1H-1,2,4-triazole in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.90(s, 1H), 8.69(s, 1H), 8.33-8.41(m, 2H), 8.14(m, 2H), 8.05(d, 1H), 7.12-7.32(m, 1H), 4.58(s, 2H), 3.78(s, 2H)

[0768] Example 196. 4-[6-(2-amino-1,3-benzothiazol-5-yl)pyridin-2-yl]-2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one

[0769] 1.0 mg of the title compound (yield: 3.6 %) was prepared in the same fashion as Example 43, except that 30.0 mg of tert-butyl (E)-(2-((4-(6-bromopyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 72 was used instead of tert-butyl (E)-(2-((4-(4-bromo-2-fluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 46.9 mg of 5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)benzo[d]thiazol-2-amine prepared in Reference Example 86 was used instead of 3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1-((2-(trimethylsilyl)ethoxy)methyl)-1H-1,2,4-triazole in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.83(s, 1H), 8.18(m, 1H), 8.13(s, 1H), 8.04(m, 1H), 7.91(m, 1H), 7.86(m, 1H), 7.72(m, 1H), 6.97-7.18(m, 1H), 4.54(s, 2H), 3.59(s, 2H)

[0770] Example 197. 6-(6-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}pyridin-2-yl)-8-methyl-3,4-dihydroquinolin-2(1H)-one hydrochloride

[0771] 5.5 mg of the title compound (yield: 18.2 %) was prepared in the same fashion as Example 42, except that 30.0 mg of tert-butyl (E)-(2-((4-(6-bromopyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 72 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 26.2 mg of 8-methyl-6-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-3,4-dihydroquinolin-2(1H)-one was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethan-1-one in Step 1. ¹H-

NMR (MeOD, 400 MHz) δ 8.84(s, 1H), 8.12(d, 1H), 7.99(t, 1H), 7.84(s, 2H), 7.20(d, 1H), 4.56(s, 2H), 3.76(s, 2H), 3.05(m, 2H), 2.61(m, 2H), 2.36(s, 3H), 1.30(m, 4H)

[0772] Example 198. 6-[6-[1-[(E)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]-2-pyridyl]-1-methyl-3,4-dihydroquinolin-2-one hydrochloride

[0773] 97.0 mg of the title compound (yield: 96.5 %) was prepared in the same fashion as Example 42, except that 97.0 mg of tert-butyl (E)-(2-((4-(6-bromopyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 72 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 84.0 mg of 1-(methyl-2-oxo-1,2,3,4-tetrahydroquinolin-6-yl)boronic acid pinacol ester was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethan-1-one in Step 1. MS (ESI) m/z = 409.2 (M + H)⁺

[0774] Example 199. 6-(3-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}pyridin-2-yl)-2H-1,4-benzoxazin-3(4H)-one hydrochloride

[0775] 2.4 mg of the title compound (yield: 7.7 %) was prepared in the same fashion as Example 42, except that 30.0 mg of tert-butyl (E)-(2-((4-(6-bromopyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 72 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 25.1 mg of 6-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-2H-benzo[b][1,4]oxazin-3(4H)-one was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethan-1-one in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.79(s, 1H), 8.15(d, 1H), 8.01(t, 1H), 7.81(d, 1H), 7.74(m, 2H), 7.21(d, 1H), 7.07(d, 1H), 4.65(s, 2H), 4.57(s, 2H), 3.77(s, 2H), 3.66(s, 2H), 1.31(m, 3H)

[0776] Example 200. 7-(6-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}pyridin-2-yl)-1,4-dihydro-2H-3,1-benzoxazin-2-one hydrochloride

[0777] 7.7 mg of the title compound (yield: 25.4 %) was prepared in the same fashion as Example 42, except that 30.0 mg of tert-butyl (E)-(2-((4-(6-bromopyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference

Example 72 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 25.2 mg of 7-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-1,4-dihydro-2H-benzo[d][1,3]oxazin-2-one was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethan-1-one in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.79(s, 1H), 8.22(d, 1H), 8.04(t, 1H), 7.87(d, 1H), 7.81(d, 1H), 7.68(s, 1H), 7.32(d, 1H), 7.19(d, 1H), 5.39(s, 2H), 4.57(s, 2H), 3.74(s, 2H)

[0778] Example 201. 5-(6-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}pyridin-2-yl)quinolin-2(1H)-one hydrochloride

[0779] 21.7 mg of the title compound (yield: 59.2 %) was prepared in the same fashion as Example 42, except that 40.0 mg of tert-butyl (E)-(2-((4-(6-bromopyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 72 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 38.0 mg of 5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)quinolin-2H-one was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethan-1-one in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.57(s, 1H), 8.43(d, 1H), 8.33(d, 1H), 8.15(t, 1H), 7.70-7.76(m, 1H), 7.67(d, 1H), 7.54(dd, 2H), 7.20(d, 1H), 6.75(d, 1H), 4.57(d, 2H), 3.77(d, 2H)

[0780] Example 202. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[6-(4-methyl-3,4-dihydro-2H-1,4-benzoxazin-7-yl)pyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0781] 8.2 mg of the title compound (yield: 27.1 %) was prepared in the same fashion as Example 42, except that 30.0 mg of tert-butyl (E)-(2-((4-(6-bromopyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 72 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 25.0 mg of 4-methyl-7-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-3,4-dihydro-2H-benzo[b][1,4]oxazine was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethan-1-one in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.76(s, 1H), 8.03(s, 1H), 7.93(s, 1H), 7.75(s, 1H), 7.63(d, 1H), 7.56(s, 1H), 7.20(d, 1H), 6.88(s, 1H), 4.56(s, 2H), 4.33(s, 2H), 3.76(s, 2H), 3.35(s, 1H), 3.02(s, 3H)

[0782] Example 203. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[6-(dibenzo[b,d]furan-4-yl)pyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0783] 22.4 mg of the title compound (yield: 53.1 %) was prepared in the same fashion as Example 42, except that 40.0 mg of tert-butyl (E)-(2-((4-(6-bromopyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 72 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 30.0 mg of 4-(dibenzofuranyl)boronic acid pinacol ester was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethan-1-one in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.84(s, 1H), 8.48(d, 1H), 8.36(d, 1H), 8.23(d, 1H), 8.05-8.17(m, 3H), 7.69(d, 1H), 7.53(q, 2H), 7.42(t, 1H), 7.22(d, 1H), 4.58(d, 2H), 3.78(d, 2H)

[0784] Example 204. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{6-[4-(5-cyclopropyl-1,2,4-oxadiazol-3-yl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0785] 1.9 mg of the title compound (yield: 5.8 %) was prepared in the same fashion as Example 42, except that 30.0 mg of tert-butyl (E)-(2-((4-(2-bromopyridin-4-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 73 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 28.4 mg of 5-cyclopropyl-3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1,2,4-oxadiazole prepared in Reference Example 81 was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethan-1-one in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.89(d, 1H), δ 8.81(s, 1H), 8.71(s, 1H), 8.65(s, 1H), 8.40(s, 1H), 8.29(d, 1H), 8.16(d, 1H), 7.79(d, 1H), 7.22(d, 1H), 4.59(s, 2H), 3.77(s, 2H)

[0786] Example 205. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(2-{4-[5-(propan-2-yl)-1,2,4-oxadiazol-3-yl]phenyl}pyridin-4-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0787] 6.1 mg of the title compound (yield: 18.5 %) was prepared in the same fashion as Example 42, except that 30.0 mg of tert-butyl (E)-(2-((4-(2-bromopyridin-4-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference

Example 73 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 28.6 mg of 5-isopropyl-3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1,2,4-oxadiazole prepared in Reference Example 82 was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethan-1-one in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.94(d, 1H), 8.88(s, 1H), 8.82(s, 1H), 8.58(d, 1H), 8.36(d, 2H), 8.16(d, 2H), 7.22(d, 1H), 4.61(s, 2H), 3.76(s, 2H), 3.35(m, 1H), 1.48(d, 6H)

[0788] Example 206. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(2-{3-[5-(propan-2-yl)-1,2,4-oxadiazol-3-yl]phenyl}pyridin-4-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0789] 15.1 mg of the title compound (yield: 45.9 %) was prepared in the same fashion as Example 42, except that 30.0 mg of tert-butyl (E)-(2-((4-(2-bromopyridin-4-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 73 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 28.6 mg of 5-isopropyl-3-(3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1,2,4-oxadiazole prepared in Reference Example 83 was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethan-1-one in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.93(s, 1H), 8.85(s, 1H), 8.79(s, 1H), 8.69(s, 1H), 8.52(s, 1H), 8.37(d, 1H), 8.18(d, 1H), 7.85(t, 1H), 7.22(d, 1H), 4.60(s, 2H), 3.77(s, 2H), 3.38(m, 1H), 1.48(d, 6H)

[0790] Example 207. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{2-[4-(morpholin-4-yl)phenyl]pyridin-4-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0791] 14.2 mg of the title compound (yield: 45.4 %) was prepared in the same fashion as Example 42, except that 30.0 mg of tert-butyl (E)-(2-((4-(2-bromopyridin-4-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 73 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 26.3 mg of 4-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)morpholine was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethan-1-one in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.86(s, 1H), 8.74(d, 2H), 8.41(s, 1H), 7.94(d, 2H), 7.23(d, 2H), 7.22(d, 1H),

4.59(s, 2H), 3.85(s, 4H), 3.76(s, 2H), 3.41(s, 4H)

[0792] Example 208. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{2-[4-(morpholin-4-ylcarbonyl)phenyl]pyridin-4-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0793] 15.0 mg of the title compound (yield: 45.1 %) was prepared in the same fashion as Example 42, except that 30.0 mg of tert-butyl (E)-(2-((4-(2-bromopyridin-4-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 73 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 33.3 mg of morpholino(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)methanone was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethan-1-one in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.93-9.03(m, 1H), 8.88(s, 1H), 8.82(s, 1H), 8.60(d, 1H), 8.10(d, 1H), 7.75(d, 1H), 7.22(d, 1H), 4.60(s, 2H), 3.77(s, 5H), 3.66(s, 2H), 3.48(s, 1H)

[0794] Example 209. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[2-(1,3-benzodioxol-5-yl)pyridin-4-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0795] 4.0 mg of the title compound (yield: 14.1 %) was prepared in the same fashion as Example 42, except that 30.0 mg of tert-butyl (E)-(2-((4-(2-bromopyridin-4-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 73 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 15.1 mg of benzo[d][1,3]dioxol-5-yl boronic acid was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethan-1-one in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.85(s, 1H), δ 8.81(d, 1H), 8.70(s, 1H), 8.52(d, 1H), 7.55(d, 1H), 7.50(s, 1H), 7.22(d, 1H), 7.12(s, 1H), 6.16(s, 2H), 4.59(s, 2H), 3.76(s, 2H)

[0796] Example 210. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{6-[3-(5-cyclopropyl-1,2,4-oxadiazol-3-yl)phenyl]-3-methylpyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0797] 9.7 mg of the title compound (yield: 29.6 %) was prepared in the same fashion as Example 42, except that 30.0 mg of tert-butyl (E)-(2-((4-(6-bromo-3-methylpyridin-2-yl)-5-

oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 74 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 27.5 mg of 5-cyclopropyl-3-(3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1,2,4-oxadiazole prepared in Reference Example 81 was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethan-1-one in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.68(s, 1H), 8.27(s, 1H), 8.21(d, 1H), 7.98-8.10(m, 3H), 7.63(t, 1H), 7.21(d, 1H), 4.58(s, 2H), 3.78(s, 2H), 2.40(s, 3H), 2.30(s, 1H), 1.23-1.33(m, 4H)

[0798] Example 211. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{3-methyl-6-[4-(morpholin-4-ylcarbonyl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0799] 6.1 mg of the title compound (yield: 18.4 %) was prepared in the same fashion as Example 42, except that 30.0 mg of tert-butyl (E)-(2-((4-(6-bromo-3-methylpyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 74 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 28.0 mg of morpholino(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)methanone was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethan-1-one in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.25(s, 1H), 8.15(d, 2H), 8.02(m, 2H), 7.55(d, 2H), 7.21(d, 1H), 4.58(s, 2H), 3.77(s, 6H), 3.66(s, 4H), 3.45(s, 2H), 2.40(s, 3H)

[0800] Example 212. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(6'-methoxy-5-methyl-2,3'-bipyridin-6-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0801] 10.4 mg of the title compound (yield: 37.7 %) was prepared in the same fashion as Example 42, except that 30.0 mg of tert-butyl (E)-(2-((4-(6-bromo-3-methylpyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 74 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 13.5 mg of (6-methoxypyridin-3-yl)boronic acid was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethan-1-one in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.89(s, 1H), 8.65(d, 1H), 8.26(s, 1H), 8.00(s, 2H), 7.23(d, 1H), 7.21(d, 1H), 4.57(s, 2H), 4.10(s, 3H), 3.77(s, 2H),

2.40(s, 3H)

[0802] Example 213. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[6-(1,3-benzodioxol-5-yl)-3-methylpyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0803] 16.9 mg of the title compound (yield: 59.4 %) was prepared in the same fashion as Example 42, except that 30.0 mg of tert-butyl (E)-(2-((4-(6-bromo-3-methylpyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 74 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 14.6 mg of benzo[d][1,3]dioxol-5-yl boronic acid was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethan-1-one in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.23(s, 1H), 7.88(s, 2H), 7.55(d, 2H), 7.21(d, 1H), 6.92(d, 1H), 6.01(s, 1H), 4.57(s, 2H), 3.76(s, 2H), 2.35(s, 3H)

[0804] Example 214. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[6-(1H-indazol-6-yl)-3-methylpyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0805] 2.9 mg of the title compound (yield: 10.3 %) was prepared in the same fashion as Example 42, except that 30.0 mg of tert-butyl (E)-(2-((4-(6-bromo-3-methylpyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 74 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 14.3 mg of indazol-6-boronic acid was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethan-1-one in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.20-8.34(m, 3H), 8.08(s, 1H), 8.00(d, 1H), 7.90(s, 2H), 7.21(d, 1H), 4.59(s, 2H), 3.78(s, 2H), 2.41(s, 3H)

[0806] Example 215. 6-(6-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}-5-methylpyridin-2-yl)-8-methyl-3,4-dihydroquinolin-2(1H)-one hydrochloride

[0807] 2.1 mg of the title compound (yield: 6.8 %) was prepared in the same fashion as Example 42, except that 30.0 mg of tert-butyl (E)-(2-((4-(6-bromo-3-methylpyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 74 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 25.3 mg of 8-methyl-6-(4,4,5,5-

tetramethyl-1,3,2-dioxaborolan-2-yl)-3,4-dihydroquinolin-2(1H)-one was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethan-1-one in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.23(s, 1H), 7.91(s, 2H), 7.74(s, 2H), 7.21(d, 1H), 4.58(s, 2H), 3.77(s, 2H), 3.05(t, 2H), 2.61(t, 2H), 2.34(d, 6H)

[0808] Example 216. 6-(6-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}-5-methylpyridin-2-yl)-2H-1,4-benzoxazin-3(4H)-one hydrochloride

[0809] 5.8 mg of the title compound (yield: 19.1 %) was prepared in the same fashion as Example 42, except that 30.0 mg of tert-butyl (E)-(2-((4-(6-bromo-3-methylpyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 74 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 24.3 mg of 6-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-2H-benzo[b][1,4]oxazin-3(4H)-one was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethan-1-one in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.22(s, 1H), 7.90(m, 2H), 7.66(d, 1H), 7.61(s, 1H), 7.21(d, 1H), 7.04(s, 1H), 4.63(s, 2H), 4.58(s, 2H), 3.77(s, 2H), 2.37(s, 3H)

[0810] Example 217. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{5-[4-(methylsulfonyl)phenyl]pyrazin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one

[0811] 19.9 mg of the title compound (yield: 70.4 %) was prepared in the same fashion as Example 43, except that 30.0 mg of tert-butyl (E)-(2-((4-(5-bromopyrazin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 75 was used instead of tert-butyl (E)-(2-((4-(4-bromo-2-fluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 36.0 mg of 4-(methanesulfonyl)phenylboronic acid was used instead of 3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1-((2-(trimethylsilyl)ethoxy)methyl)-1H-1,2,4-triazole in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 9.58(s, 1H), 9.09(s, 1H), 8.65(s, 1H), 8.35(d, 2H), 8.08(d, 2H), 7.11-7.31(m, 1H), 4.58(s, 2H), 3.79(s, 2H), 3.31(s, 3H)

[0812] Example 218. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{5-[4-(1H-1,2,4-triazol-3-yl)phenyl]pyrazin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one

[0813] 15.4 mg of the title compound (yield: 56.0 %) was prepared in the same fashion as Example 43, except that 30.0 mg of tert-butyl (E)-(2-((4-(5-bromopyrazin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 75 was used in Step 1 instead of tert-butyl (E)-(2-((4-(4-bromo-2-fluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate. ¹H-NMR (MeOD, 400 MHz) δ 9.55(s, 1H), 9.07(s, 1H), 8.64(s, 1H), 8.45(brs, 1H), 8.17-8.25(m, 4H), 7.11-7.31(m, 1H), 4.57(s, 2H), 3.78(s, 2H)

[0814] Example 219. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{5-[3-(1H-1,2,4-triazol-3-yl)phenyl]pyrazin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one

[0815] 13.7 mg of the title compound (yield: 49.8 %) was prepared in the same fashion as Example 43, except that 30.0 mg of tert-butyl (E)-(2-((4-(5-bromopyrazin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 75 was used instead of tert-butyl (E)-(2-((4-(4-bromo-2-fluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 72.3 mg of 3-(3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1-((2-(trimethylsilyl)ethoxy)methyl)-1H-1,2,4-triazole prepared in Reference Example 32 was used instead of 3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1-((2-(trimethylsilyl)ethoxy)methyl)-1H-1,2,4-triazole in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 9.55(s, 1H), 9.07(s, 1H), 8.77(s, 1H), 8.64(s, 1H), 8.46(brs, 1H), 8.13-8.21(m, 2H), 7.65(m, 1H), 7.11-7.32(m, 1H), 4.58(s, 2H), 3.78(s, 2H)

[0816] Example 220. N-[4-(5-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}pyrazin-2-yl)pyridin-2-yl]acetamide

[0817] 8.7 mg of the title compound (yield: 32.4 %) was prepared in the same fashion as Example 43, except that 30.0 mg of tert-butyl (E)-(2-((4-(5-bromopyrazin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 75 was used instead of tert-butyl (E)-(2-((4-(4-bromo-2-fluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 45.9 mg of N-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)pyridin-2-yl)acetamide was used instead of 3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1-((2-(trimethylsilyl)ethoxy)methyl)-1H-1,2,4-triazole in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 9.62(s, 1H), 9.08(s, 1H), 8.79(s, 1H), 8.67(s, 1H), 8.44(d, 1H), 7.88(m, 1H), 7.11-7.31(m, 1H), 4.58(s, 2H), 3.77(s, 2H),

2.22(s, 3H)

[0818] Example 221. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{5-[6-(morpholin-4-yl)pyridin-3-yl]pyrazin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one

[0819] 5.7 mg of the title compound (yield: 19.8 %) was prepared in the same fashion as Example 43, except that 30.0 mg of tert-butyl (E)-(2-((4-(5-bromopyrazin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 75 was used instead of tert-butyl (E)-(2-((4-(4-bromo-2-fluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 37.4 mg of 6-(morpholin-4-yl)pyridin-3-boronic acid pinacol ester was used instead of 3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1-((2-(trimethylsilyl)ethoxy)methyl)-1H-1,2,4-triazole in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 9.44(s, 1H), 8.93(d, 1H), 8.86(s, 1H), 8.61(s, 1H), 8.29(d, 1H), 7.10-7.31(m, 1H), 6.96(d, 1H), 4.57(s, 2H), 3.81(m, 4H), 3.74(s, 2H), 3.63(m, 4H)

[0820] Example 222. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-(2,1,3-benzooxadiazol-5-yl)pyrazin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one

[0821] 13.6 mg of the title compound (yield: 52.8 %) was prepared in the same fashion as Example 43, except that 30.0 mg of tert-butyl (E)-(2-((4-(5-bromopyrazin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 75 was used instead of tert-butyl (E)-(2-((4-(4-bromo-2-fluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 44.3 mg of benzo[c][1,2,5]oxadiazol-5-boronic acid pinacol ester was used instead of 3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1-((2-(trimethylsilyl)ethoxy)methyl)-1H-1,2,4-triazole in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 9.67(s, 1H), 9.25(s, 1H), 8.71(m, 2H), 8.39(d, 1H), 8.11(d, 1H), 7.14-7.34(m, 1H), 4.60(s, 2H), 3.80(s, 2H)

[0822] Example 223. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-(1H-indazol-6-yl)pyrazin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one

[0823] 3.8 mg of the title compound (yield: 14.8 %) was prepared in the same fashion as Example 43, except that 30.0 mg of tert-butyl (E)-(2-((4-(5-bromopyrazin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 75 was used instead of tert-butyl (E)-(2-((4-(4-bromo-2-fluorophenyl)-5-oxo-4,5-

dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 29.2 mg of indazol-6-boronic acid was used instead of 3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1-((2-(trimethylsilyl)ethoxy)methyl)-1H-1,2,4-triazole in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 9.56(s, 1H), 9.10(s, 1H), 8.64(s, 1H), 8.31(s, 1H), 8.12(s, 1H), 7.92(m, 2H), 7.12-7.32(m, 1H), 4.58(s, 2H), 3.77(s, 2H)

[0824] Example 224. 4-[5-(2-amino-1,3-benzothiazol-5-yl)pyrazin-2-yl]-2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one

[0825] 8.1 mg of the title compound (yield: 29.1 %) was prepared in the same fashion as Example 43, except that 30.0 mg of tert-butyl (E)-(2-((4-(5-bromopyrazin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 75 was used instead of tert-butyl (E)-(2-((4-(4-bromo-2-fluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 50.0 mg of 5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)benzo[d]thiazol-2-amine prepared in Reference Example 86 was used instead of 3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1-((2-(trimethylsilyl)ethoxy)methyl)-1H-1,2,4-triazole in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 9.50(s, 1H), 9.01(s, 1H), 8.63(s, 1H), 8.10(s, 1H), 7.83(m, 1H), 7.74(m, 1H), 7.11-7.31(m, 1H), 4.57(s, 2H), 3.77(s, 2H)

[0826] Example 225. N-[5-(5-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}pyrazin-2-yl)-1,3-benzothiazol-2-yl]acetamide

[0827] 5.1 mg of the title compound (yield: 16.6 %) was prepared in the same fashion as Example 43, except that 30.0 mg of tert-butyl (E)-(2-((4-(5-bromopyrazin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 75 was used instead of tert-butyl (E)-(2-((4-(4-bromo-2-fluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 57.3 mg of N-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)benzo[d]thiazol-2-yl)acetamide prepared in Reference Example 87 was used instead of 3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1-((2-(trimethylsilyl)ethoxy)methyl)-1H-1,2,4-triazole in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 9.51(s, 1H), 9.03(s, 1H), 8.63(s, 1H), 8.41(s, 1H), 7.97-8.04(m, 2H), 7.12-.32(m, 1H), 4.58(s, 2H), 3.78(s, 2H), 2.28(s, 3H)

[0828] Example 226. 2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{5-[4-

(methanesulfonyl)phenyl]-1,3-thiazol-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0829] 28.5 mg of the title compound (yield: 55.6 %) was prepared in the same fashion as Example 42, except that 50.0 mg of tert-butyl (Z)-(2-((4-(5-bromothiazol-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 76 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 35.0 mg of 4-(methanesulfonyl)phenylboronic acid was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethan-1-one in Step 1. MS (ESI) m/z= 410.1 (M + H)+

[0830] Example 227. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{5-[4-(4H-1,2,4-triazol-3-yl)phenyl]-1,3-thiazol-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one

[0831] 4.6 mg of the title compound (yield: 16.7 %) was prepared in the same fashion as Example 43, except that 30.0 mg of tert-butyl (E)-(2-((4-(5-bromothiazol-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 77 was used in Step 1 instead of tert-butyl (E)-(2-((4-(4-bromo-2-fluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate. ¹H-NMR (MeOD, 400 MHz) δ 8.61(s, 1H), 8.44(s, 1H), 8.10(d, 2H), 8.00(s, 1H), 7.79(d, 2H), 7.20(d, 1H), 4.58(s, 2H), 3.74(s, 2H)

[0832] Example 228. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{5-[3-(4H-1,2,4-triazol-3-yl)phenyl]-1,3-thiazol-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one

[0833] 3.5 mg of the title compound (yield: 12.7 %) was prepared in the same fashion as Example 43, except that 30.0 mg of tert-butyl (E)-(2-((4-(5-bromothiazol-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 77 was used instead of tert-butyl (E)-(2-((4-(4-bromo-2-fluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 36.0 mg of 3-(3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1-((2-(trimethylsilyl)ethoxy)methyl)-1H-1,2,4-triazole prepared in Reference Example 32 was used instead of 3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1-((2-(trimethylsilyl)ethoxy)methyl)-1H-1,2,4-triazole in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.62(s, 1H), 8.46(s, 1H), 8.34(s, 1H), 8.03(d, 1H), 7.99(s, 1H), 7.75(d, 1H), 7.58(t, 1H), 7.20(d, 1H), 4.58(s, 2H), 3.73(s, 2H)

[0834] Example 229. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{5-[4-(4H-1,2,4-oxadiazol-3-yl)phenyl]-1,3-thiazol-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one

[0835] 9.1 mg of the title compound (yield: 33.0 %) was prepared in the same fashion as Example 43, except that 30.0 mg of tert-butyl (E)-(2-((4-(5-bromothiazol-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 77 was used instead of tert-butyl (E)-(2-((4-(4-bromo-2-fluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 36.0 mg of 3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1,2,4-oxadiazole prepared in Reference Example 78 was used instead of 3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1-((2-(trimethylsilyl)ethoxy)methyl)-1H-1,2,4-triazole in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.62(s, 1H), 8.09(s, 1H), 7.82(m, 4H), 7.21(d, 1H), 4.58(s, 2H), 3.75(s, 2H)

[0836] Example 230. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{5-[3-(1H-tetrazol-5-yl)phenyl]-1,3-thiazol-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one

[0837] 4.3 mg of the title compound (yield: 15.6 %) was prepared in the same fashion as Example 43, except that 30.0 mg of tert-butyl (E)-(2-((4-(5-bromothiazol-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 77 was used instead of tert-butyl (E)-(2-((4-(4-bromo-2-fluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 36.0 mg of 5-(3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1-((2-(trimethylsilyl)ethoxy)methyl)-1H-tetrazole prepared in Reference Example 88 was used instead of 3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1-((2-(trimethylsilyl)ethoxy)methyl)-1H-1,2,4-triazole in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.62(s, 1H), 8.34(s, 1H), 8.02(s, 2H), 7.86(d, 1H), 7.67(t, 1H), 7.22(d, 1H), 4.59(s, 2H), 3.77(s, 2H)

[0838] Example 231. N-[4-(2-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}-1,3-thiazol-5-yl)pyridin-2-yl]acetamide

[0839] 1.2 mg of the title compound (yield: 4.5 %) was prepared in the same fashion as Example 43, except that 30.0 mg of tert-butyl (E)-(2-((4-(5-bromothiazol-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 77 was used instead of tert-butyl (E)-(2-((4-(4-bromo-2-fluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 23.5 mg of (2-acetamido-

pyridin-4-yl)boronic acid was used instead of 3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1-((2-(trimethylsilyl)ethoxy)methyl)-1H-1,2,4-triazole in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.63(s, 1H), 8.33(t, 2H), 8.15(s, 1H), 7.41(d, 1H), 7.21(d, 1H), 4.58(s, 2H), 3.75(s, 2H), 2.21(s, 3H)

[0840] Example 232. 2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-(1,3-benzodioxol-5-yl)-1,3-thiazol-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0841] 5.2 mg of the title compound (yield: 18.3 %) was prepared in the same fashion as Example 42, except that 30.0 mg of tert-butyl (Z)-2-((4-(5-bromothiazol-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 76 was used instead of tert-butyl (Z)-2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 23.0 mg of 3,4-(methylenedioxy)phenylboronic acid was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethan-1-one in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.52(s, 1H), 7.73(s, 1H), 7.05-7.21(m, 2H), 6.89(d, 1H), 6.88(d, 1H), 6.00(s, 2H), 4.69(d, 2H), 3.23(d, 2H)

[0842] Example 233. 6-(2-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}-1,3-thiazol-5-yl)-1,3-dihydro-2H-indol-2-one

[0843] 1.5 mg of the title compound (yield: 5.6 %) was prepared in the same fashion as Example 43, except that 30.0 mg of tert-butyl (E)-2-((4-(5-bromothiazol-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 77 was used instead of tert-butyl (E)-2-((4-(4-bromo-2-fluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 23.3 mg of (2-oxoindolin-6-yl)boronic acid was used instead of 3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1-((2-(trimethylsilyl)ethoxy)methyl)-1H-1,2,4-triazole in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.58(s, 1H), 7.85(s, 1H), 7.31(m, 2H), 7.15(s, 1H), 7.08(d, 1H), 4.55(s, 2H), 3.59(s, 2H), 2.17(t, 2H), 1.60(s, 2H)

[0844] Example 234. 2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-(2,1,3-benzooxadiazol-5-yl)-1,3-thiazol-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0845] 14.4 mg of the title compound (yield: 30.6 %) was prepared in the same fashion as

Example 42, except that 50.0 mg of tert-butyl (Z)-(2-((4-(5-bromothiazol-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 76 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 43.0 mg of benzo[c][1,2,5]oxadiazol-5-boronic acid pinacol ester was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethan-1-one in Step 1. ¹H-NMR (DMSO-d₆, 400 MHz) δ 8.88(s, 1H), 8.51(s, 1H), 8.36(s, 1H), 7.96-8.21(m, 3H), 7.22(d, 1H), 4.67(d, 2H), 3.37-3.56(d, 2H)

[0846] Example 235. N-[5-(4-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}benzyl)-1,3-thiazol-2-yl]acetamide hydrochloride

[0847] Step 1: 4-(4-nitrobenzyl)thiazol-2-amine hydrobromide

[0848] 3.0 g of 4-nitrophenyl acetone was added to 6.0 mL of acetic acid, and 3.0 mL of 48% aqueous solution of hydrogen bromide was added to the resulting solution. The reaction mixture thus obtained was cooled to 0°C, 5.4 g of bromine dissolved in 4.8 mL of acetic acid was added, and the reaction mixture was stirred at room temperature for 4 hours. 30.0 mL of acetone was further added to the reaction mixture, and the reaction mixture was stirred overnight at room temperature. The reaction mixture was concentrated and dissolved in 140.0 mL of ethanol and then added with 4.7 g of thiourea and refluxed at 80 °C for 3 hours. The reaction mixture thus obtained was concentrated and then washed with acetonitrile to give 4.0 g of the title compound as a white solid (yield: 79.1 %). ¹H-NMR (DMSO-d₆, 400 MHz) δ 8.82(bs, 2H), 8.22(d, 2H), 7.55(d, 2H), 6.60(s, 1H), 4.05(s, 2H)

[0849] Step 2: N-(4-(4-nitrobenzyl)thiazol-2-yl)acetamide

[0850] 4.0 g of 4-(4-nitrobenzyl)thiazol-2-amine hydrobromide prepared in Step 1 was dissolved in 40.0 mL of dichloromethane, the resulting reaction mixture was cooled to 0°C, and then 4.6 mL of pyridine and 1.4 mL of acetyl chloride were added thereto, and the reaction mixture was stirred at 0°C for 3 hours. To the reaction mixture, 1N of hydrochloric acid solution and distilled water were added. The reaction mixture was washed with an aqueous solution of sodium hydrogen carbonate, dried with anhydrous magnesium sulfate, and concentrated under reduced pressure to give a yellow liquid residue. The residue was washed with acetonitrile to give 0.9 g of the title compound as a white solid (yield: 25.2 %).

¹H-NMR (DMSO-d₆, 400 MHz) δ 12.08(s, 1H), 8.17(d, 2H), 7.50(d, 2H), 6.92(s, 1H), 4.09(s, 2H), 2.08(s, 3H)

[0851] Step 3: N-(5-(4-aminobenzyl)thiazol-2-yl)acetamide

[0852] 926.0 mg of N-(4-(4-nitrobenzyl)thiazol-2-yl)acetamide prepared in Step 2 was dissolved in 18.5 mL of methanol and 4.6 mL of N,N-dimethylformamide, 667.0 mg of Pd/C was added to the resulting solution, and then the solution was stirred at room temperature for 2 hours via hydrogenation using a hydrogen balloon. The reaction mixture thus obtained was filtered with a celite pad and the resulting filtrate was concentrated under reduced pressure, and then it was crystallized with acetonitrile and diethylether to give crystals. The crystals thus obtained were filtered and dried to give 495.0 mg of the title compound as a white solid (yield: 59.9 %). ¹H-NMR (DMSO-d₆, 400 MHz) δ 12.02(s, 1H), 6.87(d, 2H), 6.65(s, 1H), 6.47(d, 2H), 4.86(s, 2H), 3.72(s, 2H), 2.08(s, 3H)

[0853] Step 4: phenyl (4-((2-acetamidothiazol-5-yl)methyl)phenyl)carbamate

[0854] 495.0 mg of N-(5-(4-aminobenzyl)thiazol-2-yl)acetamide prepared in Step 3 and 0.36 mL of pyridine were dissolved in 5.0 mL of ethyl acetate, 0.41 mL of phenylchloroformic acid at 0°C was slowly added and the solution was stirred overnight at room temperature. To the solution, ethyl acetate was added, and the resulting mixture was washed with a 1N hydrochloric acid solution and brine, dried over anhydrous magnesium sulfate, and concentrated under reduced pressure to give 735.0 mg of the title compound as a white solid (yield: 100.0 %). ¹H-NMR (DMSO-d₆, 400 MHz) δ 12.03(bs, 1H), 10.14(s, 1H), 8.88(d, 2H), 8.48(t, 1H), 7.97(d, 2H), 7.40-7.44(m, 4H), 7.26(d, 1H), 3.88(s, 2H), 2.09(s, 3H)

[0855] Step 5: N-(4-((2-acetamidothiazol-5-yl)methyl)phenyl)hydrazine carboxamide

[0856] 735.0 mg of phenyl (4-((2-acetamidothiazol-5-yl)methyl)phenyl)carbamate prepared in Step 4 and 0.23 mL of a hydrazine hydrate were dissolved in 4.0 mL of tetrahydrofuran and 4.0 mL of ethanol, and the resulting solution was stirred overnight at room temperature. The reaction mixture thus obtained was concentrated and washed with ethyl acetate to give 440.0 mg of the title compound as a white solid (yield: 72.0 %). ¹H-NMR (DMSO-d₆, 400 MHz) δ 12.03(s, 1H), 8.53(s, 1H), 7.40(d, 2H), 7.33(s, 1H), 7.09(d, 2H), 6.73(s, 1H), 4.37(bs, 2H), 3.84(s, 2H), 2.08(s, 3H)

[0857] Step 6: N-(5-(4-(5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl)benzyl)thiazol-2-yl)acetamide

[0858] 440.0 mg of N-(4-((2-acetamidothiazol-5-yl)methyl)phenyl)hydrazine carboxamide prepared in Step 5 and 600.0 mg of formamidine acetate were dissolved in 5.0 mL of 1-propanol, and the resulting solution was stirred at room temperature for 30 minutes, and thereafter 1.0 mL of acetic acid was added thereto and the solution was stirred at 80°C for 3 hours. The reaction mixture was cooled to room temperature, distilled water was added to the cooled reaction mixture, and then the reaction mixture was stirred overnight. The crystals thus obtained were filtered and dried to give 375.0 mg of the title compound as a yellow solid (yield: 83.3 %). ¹H-NMR (DMSO-d₆, 400 MHz) δ 12.03(d, 1H), 11.94(s, 1H), 8.31(s, 1H), 7.56(d, 2H), 7.37(d, 2H), 6.83(s, 1H), 3.97(s, 2H), 2.08(s, 3H)

[0859] Step 7: tert-butyl (E)-(2-((4-(4-((2-acetamidothiazol-5-yl)methyl)phenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate

[0860] 100.0 mg of N-(5-(4-(5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl)benzyl)thiazol-2-yl)acetamide prepared in Step 6 and 53.0 mg of potassium carbonate were dissolved in 1.0 mL of N,N-dimethylformamide, and 92.0 mg of tert-butyl (E)-(2-(chloromethyl)-3-fluoroallyl)carbamate prepared in Reference Example 2 was added thereto, and the resulting solution was stirred at 60°C for 1 hour. The resulting reaction mixture was concentrated, and then with addition of ethyl acetate, the reaction mixture was washed with distilled water, dried over anhydrous magnesium sulfate, and concentrated under reduced pressure to obtain a yellow liquid residue. The residue was purified with silica gel column chromatography (developing solvent: n-hexane/ethyl acetate = 1/1) to give 35.0 mg of the title compound a colorless liquid (yield: 21.9 %). ¹H-NMR (CDCl₃, 400 MHz) δ 8.96(bs, 1H), 7.66(s, 1H), 7.45(d, 2H), 7.33(d, 2H), 6.75(d, 1H), 6.53(s, 1H), 5.31(bs, 1H), 4.38(d, 2H), 4.00(s, 2H), 3.88(bs, 2H), 2.22(s, 3H), 1.42(s, 9H)

[0861] Step 8: N-[5-(4-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}benzyl)-1,3-thiazol-2-yl]acetamide hydrochloride

[0862] 35.0 mg of tert-butyl (E)-(2-((4-(4-((2-acetamidothiazol-5-yl)methyl)phenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Step 7 was dissolved in 0.5 mL of ethyl acetate, 0.2 mL of 4M hydrogen chloride solution in

dioxane was added thereto, and the resulting solution was stirred overnight at room temperature. The reaction mixture was concentrated, and then the residue thus obtained was washed with ethyl acetate and concentrated under reduced pressure to give 15.0 mg of the title compound as a yellow solid (yield: 26.3 %). MS (ESI) m/z = 403.1 (M + H)⁺

[0863] Example 236. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{4-[(E)-2-phenylethenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0864] 3.0 mg of the title compound (yield: 11.0 %) was prepared in the same fashion as Example 42, except that 30.0 mg of tert-butyl (E)-(2-((4-(4-bromopyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 71 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 16.0 mg of trans-2-vinylphenylboronic acid pinacol ester was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethan-1-one in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.63(s, 1H), 8.41-8.42(m, 1H), 8.35(s, 1H), 7.64(d, 2H), 7.55(d, 2H), 7.40(d, 2H), 7.27-7.36(m, 2H), 7.17(d, 1H), 4.57(s, 2H), 3.76(s, 2H)

[0865] Example 237. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{6-[(E)-2-(thiophen-3-yl)ethenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one

[0866] 13.0 mg of the title compound (yield: 52.0 %) was prepared in the same fashion as Example 43, except that 30.0 mg of tert-butyl (E)-(2-((4-(6-bromopyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 72 was used instead of tert-butyl (E)-(2-((4-(4-bromo-2-fluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 23.0 μ L of trans-2-(thiophen-3-yl)vinylboronic acid pinacol ester was used instead of 3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1-((2-(trimethylsilyl)ethoxy)methyl)-1H-1,2,4-triazole in Step 1. MS (ESI) m/z = 358.1 (M + H)⁺

[0867] Example 238. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(6-{(E)-2-[4-(trifluoromethyl)phenyl]ethenyl}pyridin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0868] 17.4 mg of the title compound (yield: 54.5 %) was prepared in the same fashion as

Example 42, except that 30.0 mg of tert-butyl (E)-(2-((4-(6-bromopyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 72 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 23.0 mg of trans-2-[4-(trifluoromethyl)phenyl]vinylboronic acid was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethan-1-one in Step 1. ¹H-NMR (DMSO-d₆, 400 MHz) δ 9.04(s, 1H), 8.12(d, 1H), 8.05(d, 1H), 7.97-8.02(m, 1H), 7.93(d, 2H), 7.79(d, 2H), 7.54(s, 1H), 7.51(d, 1H), 7.23(d, 1H), 4.54(s, 2H), 3.55(d, 2H)

[0869] Example 239. 2-[(E)-2-(aminomethyl)-3-fluoro-allyl]-4-[6-[(E)-2-[4-(dimethylamino)phenyl]vinyl]-2-pyridyl]-1,2,4-triazol-3-one hydrochloride

[0870] 48.2 mg of the title compound (yield: 49.5 %) was prepared in the same fashion as Example 42, except that 97.0 mg of tert-butyl (E)-(2-((4-(6-bromopyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 72 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 80.0 mg of N,N-dimethyl-4-[(E)-2-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)vinyl]aniline was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethan-1-one in Step 1. MS (ESI) m/z= 395.3 (M + H)+

[0871] Example 240. 2-[(E)-2-(aminomethyl)-3-fluoro-allyl]-4-[6-[(E)-2-(3-methyl-1,2-dihydroimidazo[4,5-b]pyridin-6-yl)vinyl]-2-pyridyl]-1,2,4-triazol-3-one hydrochloride

[0872] 7.4 mg of the title compound (yield: 7.4 %) was prepared in the same fashion as Example 42, except that 97.0 mg of tert-butyl (E)-(2-((4-(6-bromopyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 72 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 84.0 mg of 3-methyl-6-[(E)-2-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)vinyl]-1,2-dihydroimidazo[4,5-b]pyridine was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethan-1-one in Step 1. MS (ESI) m/z= 408.2 (M + H)+

[0873] Example 241. 7-[(E)-2-[6-[1-[(E)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]-2-pyridyl]vinyl]-1H-pyrido[2,3-b][1,4]oxazin-2-one hydrochloride

[0874] 31.7 mg of the title compound (yield: 30.5 %) was prepared in the same fashion as Example 42, except that 97.0 mg of tert-butyl (E)-(2-((4-(6-bromopyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 72 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 89.0 mg of 7-[(E)-2-(tetramethyl-1,3,2-dioxaborolan-2-yl)ethynyl]-1H,2H,3H-pyrido[2,3-b][1,4]oxazin-2-one was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethan-1-one in Step 1. MS (ESI) $m/z = 424.1$ (M + H)⁺

[0875] Example 242. 6-[(E)-2-[6-[1-[(E)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]-2-pyridyl]vinyl]-3H-oxazolo[4,5-b]pyridin-2-one hydrochloride

[0876] 9.8 mg of the title compound (yield: 9.7 %) was prepared in the same fashion as Example 42, except that 97.0 mg of tert-butyl (E)-(2-((4-(6-bromopyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 72 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 85.0 mg of 6-[(E)-2-(tetramethyl-1,3,2-dioxaborolan-2-yl)ethynyl]-2H,3H[1,3]oxazolo[4,5-b]pyridin-2-one was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethan-1-one in Step 1. MS (ESI) $m/z = 410.1$ (M + H)⁺

[0877] Example 243. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(pyridin-3-ylethynyl)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0878] Step 1: tert-butyl 5-oxo-4-(3-(pyridin-3-ylethynyl)phenyl)-4,5-dihydro-1H-1,2,4-triazol-1-carboxylate

[0879] 100.0 mg of tert-butyl 4-(3-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-carboxylate prepared in Reference Example 30, 75.0 mg of 3-ethynylpyridine, 17.0 mg of tetrakis(triphenylphosphine)palladium (Pd(PPh₃)₄), and 6.0 mg of copper iodide were dissolved in 1.0 mL of N,N-dimethylformamide, 0.12 mL of triethylamine was added thereto, and the resulting solution was stirred overnight at 100°C. The resulting reaction mixture was concentrated, and then with addition of ethyl acetate, the reaction mixture was washed with distilled water, dried over anhydrous magnesium sulfate, and concentrated under reduced pressure to obtain a yellow liquid residue. The residue was purified with silica gel column

chromatography (developing solvent: n-hexane/ethyl acetate = 1/1) to give 25.0 mg of the title compound as a yellow liquid (yield: 23.8 %). ¹H-NMR (CDCl₃, 400 MHz) δ 8.77(s, 1H), 8.58(d, 1H), 7.82(d, 2H), 7.72(s, 1H), 7.48-7.58(m, 3H), 7.32(t, 1H), 1.66(s, 9H)

[0880] Step 2: 4-(3-(pyridin-3-ylethynyl)phenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one

[0881] 25.0 mg of tert-butyl 5-oxo-4-(3-(pyridin-3-ylethynyl)phenyl)-4,5-dihydro-1H-1,2,4-triazol-1-carboxylate prepared in Step 1 was dissolved in 0.5 mL of dichloromethane, 80.0 uL of trifluoroacetic acid was added thereto, and then the resulting solution was stirred overnight at room temperature. The reaction mixture was concentrated under reduced pressure to give 18.1 mg of the title compound as a yellow solid (yield: 100.0 %). ¹H-NMR (MeOD, 400 MHz) δ 8.86(s, 1H), 8.65(d, 1H), 8.26(d, 1H), 8.19(s, 1H), 7.93(s, 1H), 7.70(t, 2H), 7.56-7.63(m, 2H)

[0882] Step 3: tert-butyl (E)-(3-fluoro-2-((5-oxo-4-(3-(pyridin-3-ylethynyl)phenyl)-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)allyl)carbamate

[0883] 18.1 mg of 4-(3-(pyridin-3-ylethynyl)phenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one prepared in Step 2 and 19.0 mg of potassium carbonate were dissolved in 1.0 mL of N,N-dimethylformamide, 22.0 mg of tert-butyl (E)-(2-(chloromethyl)-3-fluoroallyl)carbamate prepared in Reference Example 2 was added thereto, and the resulting solution was stirred at 90 °C for 3.5 hours. The resulting reaction mixture was concentrated, and then with addition of ethyl acetate, the reaction mixture was washed with distilled water, dried over anhydrous magnesium sulfate, and concentrated under reduced pressure to obtain a yellow liquid residue. The residue was purified with silica gel column chromatography (developing solvent: n-hexane/ethyl acetate = 1/2) to give 15.0 mg of the title compound as a colorless liquid (yield: 47.8 %). MS (ESI) m/z= 350.1 (M + H)⁺

[0884] Step 4: 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(pyridin-3-ylethynyl)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0885] 15.0 mg of tert-butyl (E)-(3-fluoro-2-((5-oxo-4-(3-(pyridin-3-ylethynyl)phenyl)-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)allyl)carbamate prepared in Step 3 was dissolved in 0.35 mL of ethyl acetate, 0.3 mL of 4M hydrogen chloride solution in dioxane was added thereto, and the resulting solution was stirred overnight at room temperature. The reaction

mixture was concentrated, and the residue thus obtained was washed with ethyl acetate and concentrated under reduced pressure to give 4.8 mg of the title compound as a white solid (yield: 37.3 %). ¹H-NMR (MeOD, 400 MHz) δ 9.18(s, 1H), 8.86(d, 1H), 8.76(d, 1H), 8.35(s, 1H), 8.13(t, 1H), 8.03(s, 1H), 7.79(d, 1H), 7.69(d, 1H), 7.62(t, 1H), 7.19(d, 1H), 4.56(s, 2H), 3.76(s, 2H)

[0886] Example 244. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4-(pyridin-2-ylethynyl)pyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0887] Step 1: tert-butyl (E)-(3-fluoro-2-((5-oxo-4-(4-(pyridin-2-ylethynyl)pyridin-2-yl)-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)allyl)carbamate

[0888] 26.0 mg of the title compound as a yellow solid (yield: 100 %) was prepared in the same fashion as Example 243, except that 25.0 mg of tert-butyl (E)-(2-((4-(4-bromopyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 71 was used instead of tert-butyl 4-(3-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-carboxylate and 15.0 mg of 2-ethynylpyridine was used instead of 3-ethynylpyridine in Step 1. MS (ESI) m/z= 351.2 (M + H)⁺

[0889] Step 2: 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4-(pyridin-2-ylethynyl)pyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0890] 26.0 mg of tert-butyl (E)-(3-fluoro-2-((5-oxo-4-(4-(pyridin-2-ylethynyl)pyridin-2-yl)-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)allyl)carbamate prepared in Step 1 was dissolved in 0.5 mL of ethyl acetate, 0.25 mL of 4M hydrogen chloride solution in dioxane was added thereto, and the resulting solution was stirred overnight at room temperature. The reaction mixture was concentrated, and the residue thus obtained was washed with ethyl acetate and concentrated under reduced pressure to give 13.1 mg of the title compound as a yellow solid (yield: 58.7 %). ¹H-NMR (DMSO-d₆, 400 MHz) δ 8.82(s, 1H), 8.66(s, 1H), 8.62(d, 1H), 8.58(d, 1H), 8.00(d, 2H), 7.73(d, 1H), 7.51(dd, 1H), 7.24(d, 1H), 4.53(s, 2H), 3.53(d, 2H)

[0891] Example 245. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4-(pyridin-3-ylethynyl)pyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0892] 9.8 mg of the title compound (yield: 43.9 %) was prepared in the same fashion as Example 244, except that 15.0 mg of 3-ethynylpyridine was used in Step 1 instead of 2-ethynylpyridine. ¹H-NMR (DMSO-d₆, 400 MHz) δ 8.89(s, 1H), 8.72(s, 1H), 8.68(dd, 1H), 8.59(d, 1H), 8.32(s, 1H), 8.11-8.14(m, 1H), 7.60(dd, 1H), 7.54(dd, 1H), 7.22(d, 1H), 4.53(s, 2H), 3.56(d, 2H)

[0893] Example 246. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4-(pyridin-4-ylethynyl)pyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0894] 2.5 mg of the title compound (yield: 48.5 %) was prepared in the same fashion as Example 244, except that 20.0 mg of 4-ethynylpyridine was used in Step 1 instead of 2-ethynylpyridine. ¹H-NMR (DMSO-d₆, 400 MHz) δ 8.90(d, 1H), 8.80(s, 2H), 8.61(d, 1H), 8.06(d, 1H), 7.75(dd, 1H), 7.61(dd, 1H), 7.22(d, 1H), 4.53(s, 2H), 3.53(d, 2H)

[0895] Example 247. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{6-[(6-methoxypyridin-3-yl)ethynyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one

[0896] Step 1: tert-butyl (E)-(2-((4-(6-((6-methoxypyridin-3-yl)ethynyl)pyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate

[0897] 34.0 mg of the title compound as a yellow solid (yield: 100 %) was prepared in the same fashion as Example 244, except that 30.0 mg of tert-butyl (E)-(2-((4-(6-bromopyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 72 was used instead of tert-butyl (E)-(2-((4-(4-bromopyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 23.0 mg of 5-ethynyl-2-methoxypyridine was used instead of 2-ethynylpyridine in Step 1. MS (ESI) m/z= 381.1 (M + H)+

[0898] Step 2: 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{6-[(6-methoxypyridin-3-yl)ethynyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one

[0899] 34.0 mg of tert-butyl (E)-(2-((4-(6-((6-methoxypyridin-3-yl)ethynyl)pyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Step 1 was dissolved in 0.5 mL of dichloromethane, 72.0 uL of trifluoroacetic acid was added thereto, and the resulting solution was stirred at room temperature for 3 hours. The residue

obtained by concentration under reduced pressure was dissolved in dichloromethane, and the solution thus obtained was washed with an aqueous solution of sodium bicarbonate and brine, dried over anhydrous magnesium sulfate, and concentrated under reduced pressure to give a yellow liquid residue. The residue was purified with silica gel column chromatography (developing solvent: dichloromethane/methanol = 10/1) to give 25.0 mg of the title compound as a yellow solid (yield: 92.9 %). ¹H-NMR (DMSO-d₆, 400 MHz) δ 8.67(s, 1H), 8.48(s, 1H), 8.20(d, 1H), 8.07(t, 1H), 7.95(d, 1H), 7.64(d, 1H), 6.98(d, 1H), 6.93(d, 1H), 4.44(s, 2H), 3.90(s, 3H), 3.28(s, 2H)

[0900] Example 248. 2-[(E)-2-(aminomethyl)-3-fluoro-allyl]-4-[6-[2-[6-(dimethylamino)-3-pyridyl]ethynyl]-2-pyridyl]-1,2,4-triazol-3-one

[0901] 26.0 mg of the title compound (yield: 98.8 %) was prepared in the same fashion as Example 247, except that 43.0 mg of 5-ethynyl-N,N-dimethylpyridin-2-amine was used in Step 1 instead of 5-ethynyl-2-methoxypyridine. MS (ESI) m/z= 394.2 (M + H)⁺

[0902] Example 249. 2-[(E)-2-(aminomethyl)-3-fluoro-allyl]-4-[6-[2-(6-morpholino-3-pyridyl)ethynyl]-2-pyridyl]-1,2,4-triazol-3-one

[0903] 28.0 mg of the title compound (yield: 62.6 %) was prepared in the same fashion as Example 247, except that 55.0 mg of 4-(5-ethynylpyridin-2-yl)morpholine was used in Step 1 instead of 5-ethynyl-2-methoxypyridine. ¹H-NMR (MeOD, 400 MHz) δ 8.66(s, 1H), 8.34(s, 1H), 8.20(d, 1H), 7.95(t, 1H), 7.70(d, 1H), 7.52(d, 1H), 7.20(d, 1H), 6.82(d, 1H), 4.55(m, 2H), 3.77-3.78(m, 6H), 3.59(s, 4H)

[0904] Example 250. 2-[(E)-2-(aminomethyl)-3-fluoro-allyl]-4-[6-[2-(3,4-dihydro-2H-1,4-benzoxazin-6-yl)ethynyl]-2-pyridyl]-1,2,4-triazol-3-one

[0905] 33.0 mg of the title compound (yield: 54.1 %) was prepared in the same fashion as Example 247, except that 76.0 mg of tert-butyl 6-ethynyl-2H-benzo[b][1,4]oxazin-4(3H)-carboxylate was used in Step 1 instead of 5-ethynyl-2-methoxypyridine. ¹H-NMR (MeOD, 400 MHz) δ 8.64(s, 1H), 8.17(d, 1H), 7.93(t, 1H), 7.48(d, 1H), 7.19(d, 1H), 6.80(d, 2H), 6.69(d, 1H), 4.54(s, 2H), 4.22(s, 2H), 3.75(s, 2H), 3.35(s, 2H)

[0906] Example 251. 2-[(E)-2-(aminomethyl)-3-fluoro-allyl]-4-[6-[2-(2,3-dihydro-1H-

pyrido[2,3-b][1,4]oxazin-7-yl)ethynyl]-2-pyridyl]-1,2,4-triazol-3-one

[0907] 27.0 mg of the title compound (yield: 71.6 %) was prepared in the same fashion as Example 247, except that 47.0 mg of 7-ethynyl-2,3-dihydro-1H-pyrido[2,3-b][1,4]oxazine was used in Step 1 instead of 5-ethynyl-2-methoxypyridine. ¹H-NMR (DMSO-d₆, 400 MHz) δ 8.69(s, 1H), 8.08-8.17(m, 2H), 7.62(d, 2H), 7.21(d, 1H), 7.04(s, 1H), 6.36(s, 1H), 4.49(s, 2H), 4.30(bs, 2H), 3.53(s, 2H), 3.29(bs, 2H)

[0908] Example 252. 2-[(E)-2-(aminomethyl)-3-fluoro-allyl]-4-[6-[2-(3,4-dihydro-2H-pyrido[3,2-b][1,4]oxazin-7-yl)ethynyl]-2-pyridyl]-1,2,4-triazol-3-one

[0909] 21.0 mg of the title compound (yield: 55.7 %) was prepared in the same fashion as Example 247, except that 47.0 mg of 7-ethynyl-2H,3H,4H-pyrido[3,2-b][1,4]oxazine was used in Step 1 instead of 5-ethynyl-2-methoxypyridine. ¹H-NMR (MeOD, 400 MHz) δ 8.64(s, 1H), 8.18(d, 1H), 7.93(t, 1H), 7.79(s, 1H), 7.49(d, 1H), 7.20(d, 1H), 7.10(s, 1H), 4.54(s, 2H), 4.18(s, 2H), 3.75(s, 2H), 3.54(s, 2H)

[0910] Example 253. 2-[(E)-2-(aminomethyl)-3-fluoro-allyl]-4-[6-[2-(2,3-dihydro-1H-pyrido[2,3-b][1,4]oxazin-6-yl)ethynyl]-2-pyridyl]-1,2,4-triazol-3-one

[0911] 30.0 mg of the title compound (yield: 79.5 %) was prepared in the same fashion as Example 247, except that 47.0 mg of 6-ethynyl-2,3-dihydro-1H-pyrido[2,3-b][1,4]oxazine was used in Step 1 instead of 5-ethynyl-2-methoxypyridine. ¹H-NMR (DMSO-d₆, 400 MHz) δ 8.71(s, 1H), 8.14(d, 1H), 8.03(t, 1H), 7.57(d, 1H), 7.22(d, 1H), 7.11-7.12(m, 1H), 6.87(d, 1H), 6.76(s, 1H), 4.49(s, 2H), 4.26(bs, 2H), 3.53(s, 2H), 3.33(bs, 2H)

[0912] Example 254. 6-[2-[6-[1-[(E)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]-2-pyridyl]ethynyl]-3,4-dihydro-1H-quinolin-2-one

29.0 mg of the title compound (yield: 71.9 %) was prepared in the same fashion as Example 247, except that 50.0 mg of 6-ethynyl-1,2,3,4-tetrahydroquinolin-2-one was used in Step 1 instead of 5-ethynyl-2-methoxypyridine. MS (ESI) m/z= 419.1 (M + H)⁺

[0913] Example 255. 7-[2-[6-[1-[(E)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]-2-pyridyl]ethynyl]-4H-pyrido[3,2-b][1,4]oxazin-3-one

[0914] 6.0 mg of the title compound (yield: 14.6 %) was prepared in the same fashion as Example 247, except that 51.0 mg of 7-ethynyl-2H,3H,4H-pyrido[3,2-b][1,4]oxazin-3-one was used in Step 1 instead of 5-ethynyl-2-methoxypyridine. MS (ESI) m/z = 422.1 (M + H)⁺

[0915] Example 256. 2-[(E)-2-(aminomethyl)-3-fluoro-allyl]-4-[6-[2-(3-methylimidazol-4-yl)ethynyl]-2-pyridyl]-1,2,4-triazol-3-one 21.0 mg of the title compound (yield: 86.9 %) was prepared in the same fashion as Example 247, except that 31.0 mg of 5-ethynyl-1-methyl-1H-imidazole was used in Step 1 instead of 5-ethynyl-2-methoxypyridine. ¹H-NMR (DMSO-*d*₆, 400 MHz) δ 8.69(s, 1H), 8.40(s, 1H), 8.22(d, 1H), 8.06-8.13(m, 2H), 7.76(s, 1H), 7.71(d, 1H), 7.21(d, 1H), 4.49(s, 2H), 3.81(s, 3H), 3.46(s, 2H)

[0916] Example 257. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{6-[(1-methyl-1H-pyrazol-4-yl)ethynyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0917] 4.9 mg of the title compound (yield: 14.3 %) was prepared in the same fashion as Example 42, except that 30.0 mg of tert-butyl (E)-(2-((4-(6-bromopyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 72 was used instead of tert-butyl (Z)-(2-((4-(4-bromophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 17.0 mg of 1-methyl-4-[(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)ethynyl]-1H-pyrazole was used instead of 1-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiophen-2-yl)ethan-1-one in Step 1. ¹H-NMR (DMSO-*d*₆, 400 MHz) δ 8.69(s, 1H), 8.19(s, 1H), 8.15(d, 1H), 8.05(t, 1H), 7.78(s, 1H), 7.56(d, 1H), 7.22(d, 1H), 4.52(d, 2H), 3.88(s, 3H), 3.52(s, 2H)

[0918] Example 258. 7-[2-[6-[1-[(E)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]-2-pyridyl]ethynyl]-1H-pyrido[2,3-b][1,4]oxazin-2-one

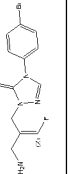
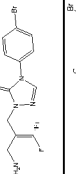
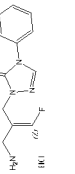
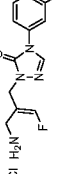
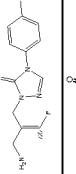
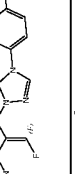
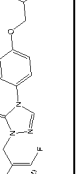
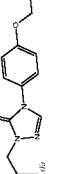
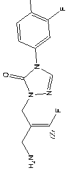
[0919] 12 mg of the title compound (yield: 15.2 %) was prepared in the same fashion as Example 247, except that 81 mg of 7-ethynyl-1H,2H,3H-pyrido[2,3-b][1,4]oxazin-2-one was used in Step 1 instead of 5-ethynyl-2-methoxypyridine. ¹H-NMR (MeOD, 400 MHz) δ 8.66(s, 1H), 8.27(d, 1H), 8.04(s, 1H), 8.00(t, 1H), 7.59(d, 1H), 7.41(s, 1H), 7.20(d, 1H), 4.89(s, 2H), 4.54(d, 2H), 3.75(s, 2H)

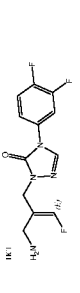
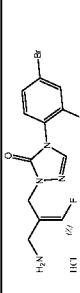
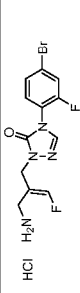
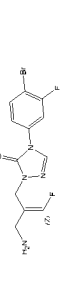
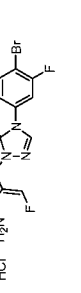
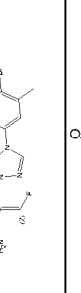
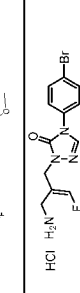
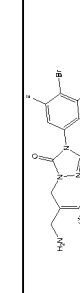
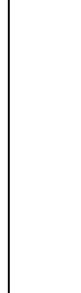
[0920] Example 259. 2-[(E)-2-(aminomethyl)-3-fluoro-allyl]-4-[3-methyl-5-(6-piperazin-1-yl-3-pyridyl)-2-pyridyl]-1,2,4-triazol-3-one

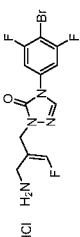
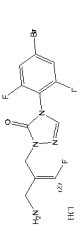
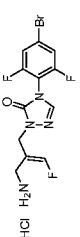
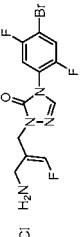
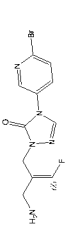
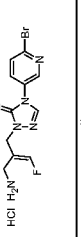
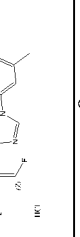
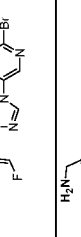
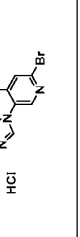
[0921] 46 mg of the title compound (yield: 93.3 %) was prepared in the same fashion as Example 43, except that 50 mg of tert-butyl (E)-(2-((4-(5-bromo-3-methylpyridin-2-yl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 66 was used instead of tert-butyl (E)-(2-((4-(4-bromo-2-fluorophenyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate and 57 mg of tert-butyl 4-[5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-2-pyridyl]piperazin-1-carboxylate was used instead of 3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1-((2-(trimethylsilyl)ethoxy)methyl)-1H-1,2,4-triazole in Step 1. ¹H-NMR (MeOD, 400 MHz) δ 8.64(s, 1H), 8.55(s, 1H), 8.16(s, 1H), 8.13(s, 1H), 8.00(d, 1H), 7.20(d, 1H), 7.06(d, 1H), 4.58(s, 2H), 3.91(s, 4H), 3.77(s, 2H), 3.35(s, 4H), 2.41(s, 3H)

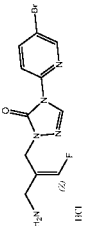
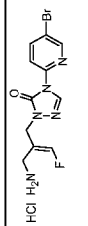
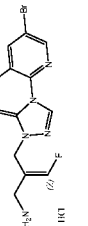
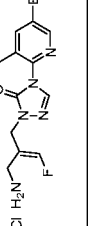
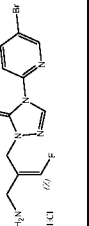
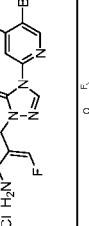
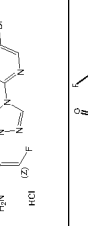
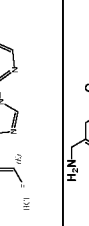
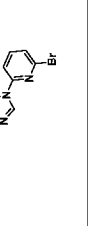
[0922] Compounds from the Examples are shown in Table 1.

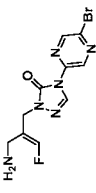
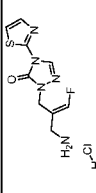
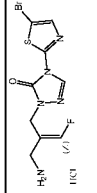
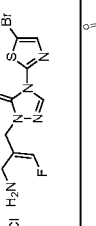
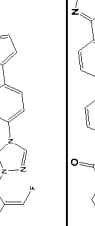
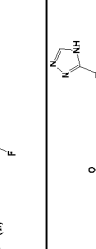
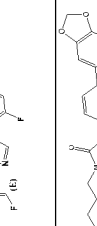
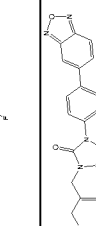
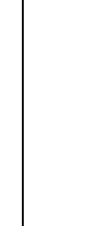
Table 1.

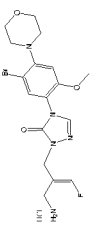
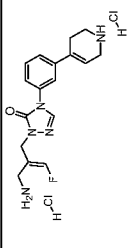
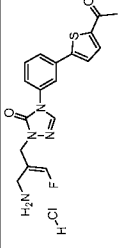
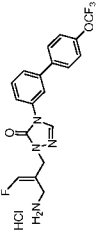
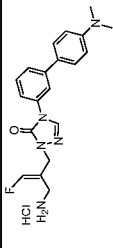
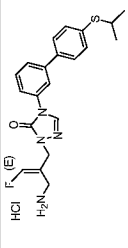
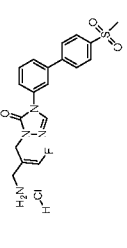
EX No	Structure	Chemical Name
1		2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(4-bromophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one
2		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(4-bromophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one
3		2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(3-bromophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
4		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(3-bromophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
5		2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(4-fluorophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one
6		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(4-fluorophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
7		2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4-(benzyloxy)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one
8		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4-(benzyloxy)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
9		2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(3,4-difluorophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one

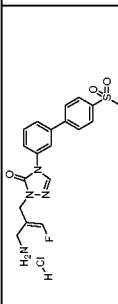
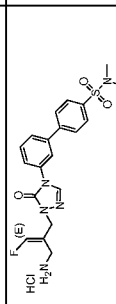
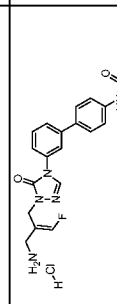
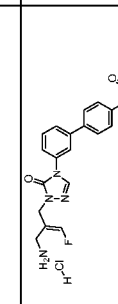
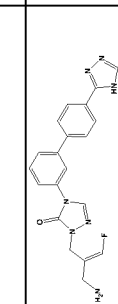
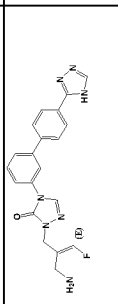
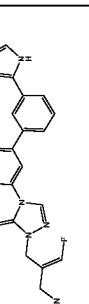
10		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(3,4-difluorophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
11		2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(4-bromo-2-fluorophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
12		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(4-bromo-2-fluorophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
13		2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(4-bromo-3-fluorophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one
14		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(4-bromo-3-fluorophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
15		2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(4-bromo-3-methylphenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one
16		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(4-bromo-3-methylphenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
17		2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(4-bromo-3-methoxyphenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one
18		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(4-bromo-3-methoxyphenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
19		2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(4-bromo-3,5-difluorophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one

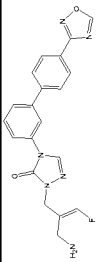
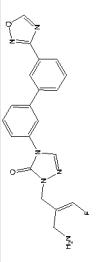
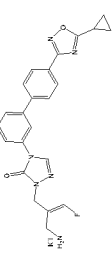
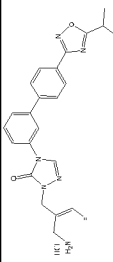
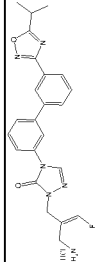
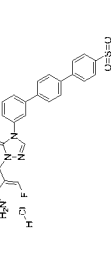
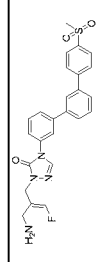
20		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(4-bromo-3,5-difluorophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
21		2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(4-bromo-2,6-difluorophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
22		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(4-bromo-2,6-difluorophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
23		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(4-bromo-2,5-difluorophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
24		2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(6-bromopyridin-3-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
25		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(6-bromopyridin-3-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
26		2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(6-bromo-5-methylpyridin-3-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
27		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(6-bromo-5-methylpyridin-3-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
28		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(6-bromo-4-methylpyridin-3-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

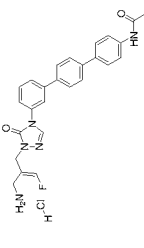
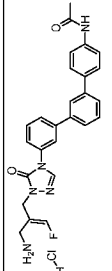
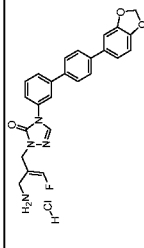
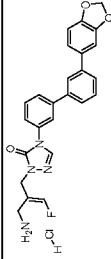
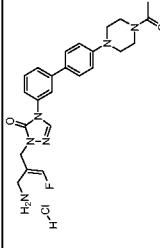
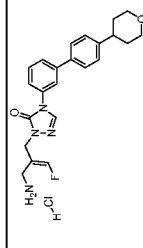
29		2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-bromopyridin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
30		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-bromopyridin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
31		2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-bromo-3-methylpyridin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
32		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-bromo-3-methylpyridin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
33		2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-bromo-4-methylpyridin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
34		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-bromo-4-methylpyridin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
35		2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-bromo-3-fluoropyridin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
36		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-bromo-3-fluoropyridin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
37		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(6-bromopyridin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one

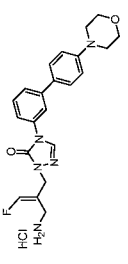
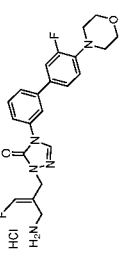
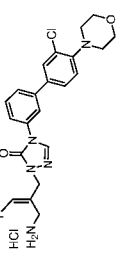
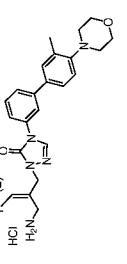
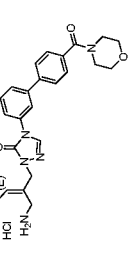
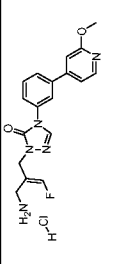
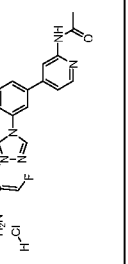
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39		2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(1,3-thiazol-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
40		2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-bromo-1,3-thiazol-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
41		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-bromo-1,3-thiazol-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
42		4-[4-(5-acetylthiophen-2-yl)phenyl]-2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
43		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-fluoro-4'-(4H-1,2,4-triazol-3-yl)biphenyl-4-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one
44		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-fluoro-3'-(4H-1,2,4-triazol-3-yl)biphenyl-4-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one
45		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4-(1,3-benzodioxol-5-yl)-2-fluorophenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
46		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4-(2,1,3-benzoxadiazol-5-yl)-2-fluorophenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

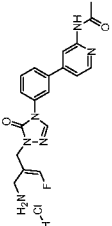
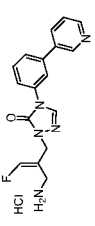
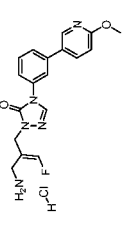
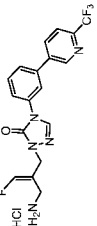
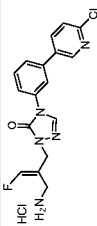
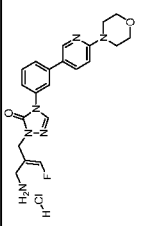
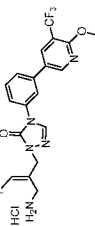
47		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-bromo-2-methoxy-4-(morpholin-4-yl)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
48		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(1,2,3,6-tetrahydropyridin-4-yl)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one dihydrochloride
49		4-[3-(5-acetylthiophen-2-yl)phenyl]-2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
50		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4-(trifluoromethoxy)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
51		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4-(dimethylamino)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
52		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4-(propan-2-ylsulfanyl)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
53		2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4-(methylsulfonyl)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

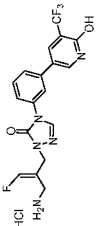
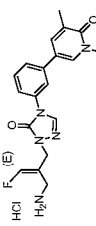
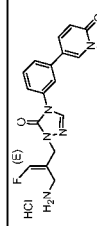
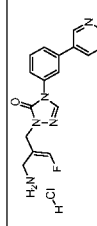
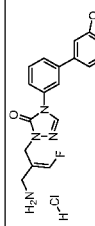
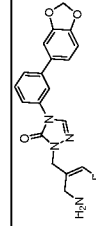
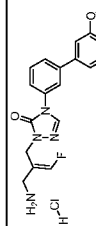
54		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4'-(methylsulfonyl)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
55		3'-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}-N,N-dimethylbiphenyl-4-sulfonamide hydrochloride
56		N-(3'-{1-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}biphenyl-4-yl)acetamide hydrochloride
57		N-(3'-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}biphenyl-4-yl)acetamide hydrochloride
58		2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4'-(4H-1,2,4-triazol-3-yl)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one
59		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4'-(4H-1,2,4-triazol-3-yl)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one
60		2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4'-(4H-1,2,4-triazol-3-yl)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one

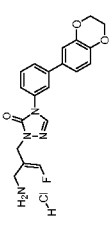
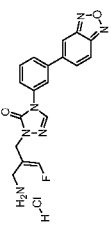
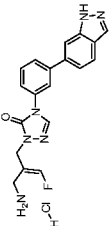
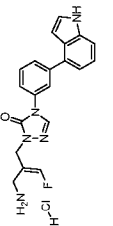
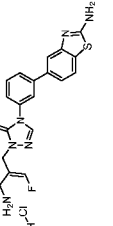
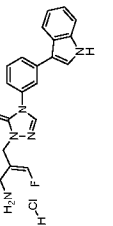
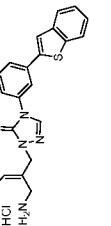
61		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4'-(1,2,4-oxadiazol-3-yl)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one
62		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3'-(1,2,4-oxadiazol-3-yl)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one
63		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4'-(5-cyclopropyl-1,2,4-oxadiazol-3-yl)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
64		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{4'-[5-(propan-2-yl)-1,2,4-oxadiazol-3-yl]biphenyl-3-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
65		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{3'-[5-(propan-2-yl)-1,2,4-oxadiazol-3-yl]biphenyl-3-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
66		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4'-(methanesulfonyl)-1,1',4',1''-terphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
67		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4'-(methanesulfonyl)-1,1',3',1''-terphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one

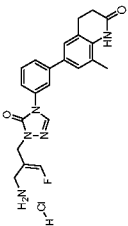
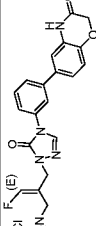
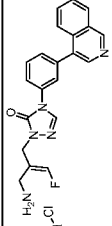
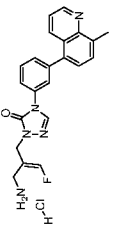
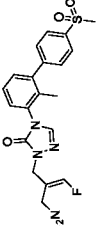
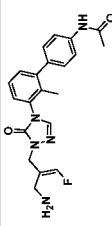
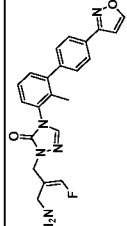
68		N-(3''-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}-1,1',4',1''-terphenyl-4-yl)acetamide hydrochloride
69		N-(3''-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}-1,1',3',1''-terphenyl-4-yl)acetamide hydrochloride
70		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4'-(1,3-benzodioxol-5-yl)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
71		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3'-(1,3-benzodioxol-5-yl)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
72		4-[4'-(4-acetyl)piperazin-1-yl)biphenyl-3-yl]-2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
73		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4'-(tetrahydro-2H-pyran-4-yl)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

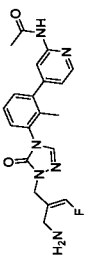
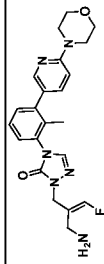
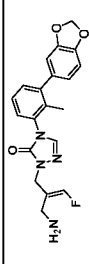
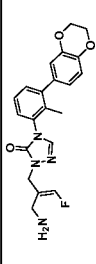
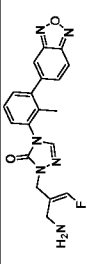
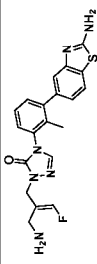
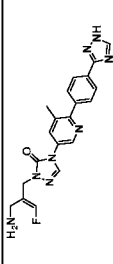
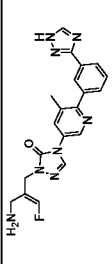
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75		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3'-fluoro-4'-(morpholin-4-yl)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
76		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3'-chloro-4'-(morpholin-4-yl)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
77		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3'-methyl-4'-(morpholin-4-yl)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
78		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4'-(morpholin-4-ylcarbonyl)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
79		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(2-methoxypyridin-4-yl)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
80		N-[4-(3-{1-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}phenyl)pyridin-2-yl]acetamide hydrochloride

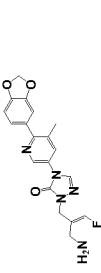
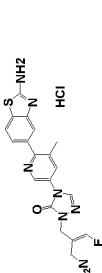
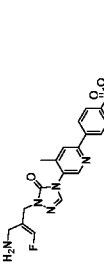
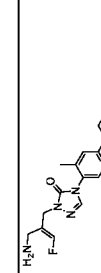
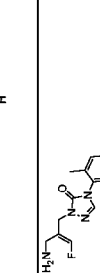
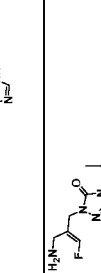
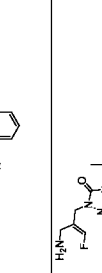
81		N-[4-(3-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}phenyl)pyridin-2-yl]acetamide hydrochloride
82		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(pyridin-3-yl)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
83		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(6-methoxypyridin-3-yl)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
84		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-{3-[(trifluoromethyl)pyridin-3-yl]phenyl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
85		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(6-chloropyridin-3-yl)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
86		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-{3-[6-(morpholin-4-yl)pyridin-3-yl]phenyl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
87		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-{3-[6-methoxy-5-(trifluoromethyl)pyridin-3-yl]phenyl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

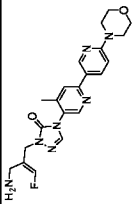
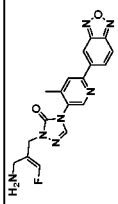
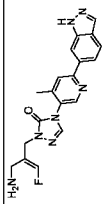
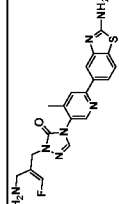
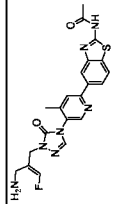
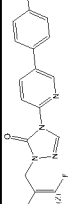
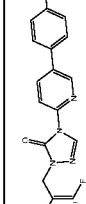
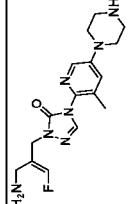
88		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{3-[6-hydroxy-5-(trifluoromethyl)pyridin-3-yl]phenyl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
89		5-(3-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}phenyl)-1,3-dimethylpyridin-2(1H)-one hydrochloride
90		5-(3-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}phenyl)-1-(propan-2-yl)pyridin-2(1H)-one hydrochloride
91		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(2-methylpyrimidin-5-yl)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
92		2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(1,3-benzodioxol-5-yl)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
93		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(1,3-benzodioxol-5-yl)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
94		2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(2,3-dihydro-1,4-benzodioxin-6-yl)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

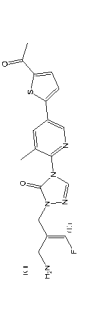
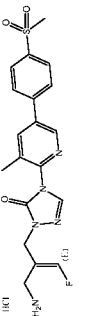
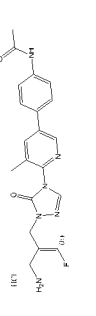
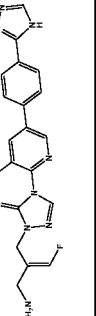
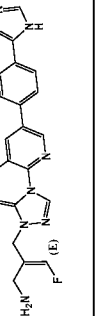
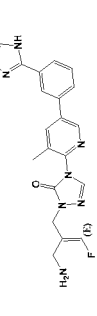
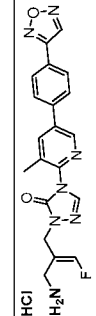
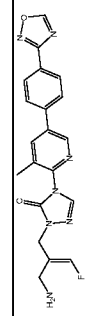
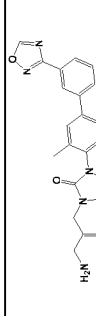
95		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(2,3-dihydro-1,4-benzodioxin-6-yl)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
96		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(2,1,3-benzoxadiazol-5-yl)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
97		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(1H-indazol-6-yl)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
98		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(1H-indol-4-yl)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
99		4-[3-(2-amino-1,3-benzothiazol-5-yl)phenyl]-2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
100		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(1H-indol-3-yl)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
101		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(1-benzothiophen-2-yl)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

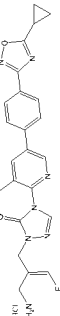
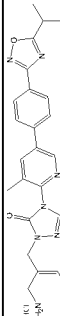
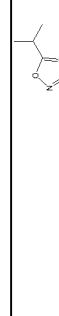
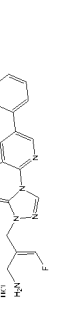
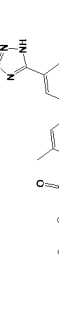
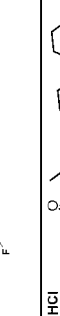
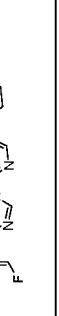
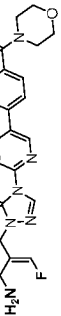
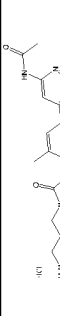
102		6-(3-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}phenyl)-8-methyl-1,3,4-dihydroquinolin-2(1H)-one hydrochloride
103		6-(3-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}phenyl)-2H-1,4-benzoxazin-3(4H)-one hydrochloride
104		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(isoquinolin-4-yl)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
105		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(8-methylquinolin-5-yl)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
106		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[2-methyl-4'-(methylsulfonyl)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one
107		N-(3'-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}-2'-methylbiphenyl-4-yl)acetamide
108		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[2-methyl-4'-(1,2-oxazol-3-yl)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one

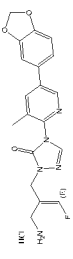
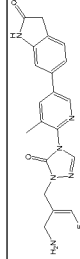
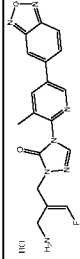
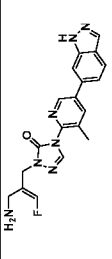
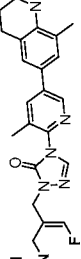
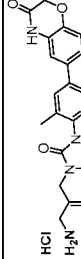
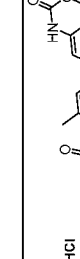
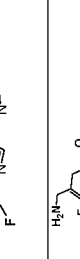
109		N-[4-(3-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}-2-methylphenyl)pyridin-2-yl]acetamide
110		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{2-methyl-3-[6-(morpholin-4-yl)pyridin-3-yl]phenyl}-2,4-dihydro-3H-1,2,4-triazol-3-one
111		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{3-(1,3-benzodioxol-5-yl)-2-methylphenyl}-2,4-dihydro-3H-1,2,4-triazol-3-one
112		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{3-(2,3-dihydro-1,4-benzodioxin-6-yl)-2-methylphenyl}-2,4-dihydro-3H-1,2,4-triazol-3-one
113		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{3-(2,1,3-benzoxadiazol-5-yl)-2-methylphenyl}-2,4-dihydro-3H-1,2,4-triazol-3-one
114		4-[3-(2-amino-1,3-benzothiazol-5-yl)-2-methylphenyl]-2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one
115		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{5-methyl-6-[4-(1H-1,2,4-triazol-3-yl)phenyl]pyridin-3-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one
116		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{5-methyl-6-[3-(1H-1,2,4-triazol-3-yl)phenyl]pyridin-3-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one

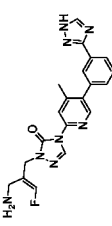
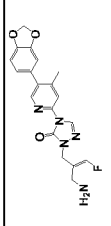
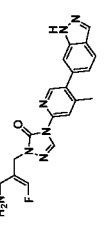
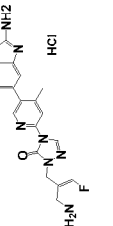
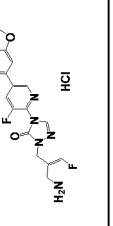
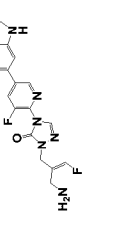
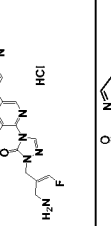
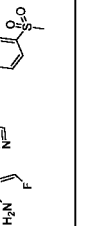
117		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[6-(1,3-benzodioxol-5-yl)-5-methylpyridin-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one
118		4-[6-(2-amino-1,3-benzothiazol-5-yl)-5-methylpyridin-3-yl]-2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
119		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4-methyl-6-[4-(methylsulfonyl)phenyl]pyridin-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one
120		N-[4-(5-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}-4-methylpyridin-2-yl)phenyl]acetamide
121		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{4-methyl-6-[4-(1H-1,2,4-triazol-3-yl)phenyl]pyridin-3-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one
122		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{4-methyl-6-[3-(1H-1,2,4-triazol-3-yl)phenyl]pyridin-3-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one
123		N-(5-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}-4-methyl-2,4'-bipyridin-2-yl)acetamide

124		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4-methyl-6'-(morpholin-4-yl)-2,3'-bipyridin-5-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one
125		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[6-(2,1,3-benzoxadiazol-5-yl)-4-methylpyridin-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one
126		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[6-(1H-indazol-6-yl)-4-methylpyridin-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one
127		4-[6-(2-amino-1,3-benzothiazol-5-yl)-4-methylpyridin-3-yl]-2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one
128		N-[5-(5-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}-4-methylpyridin-2-yl)-1,3-benzothiazol-2-yl]acetamide
129		2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{5-[4-(methylsulfonyl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
130		N-[4-(6-{1-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}pyridin-3-yl)phenyl]acetamide hydrochloride
131		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-methyl-5-(piperazin-1-yl)pyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one

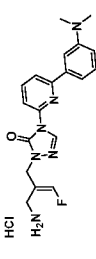
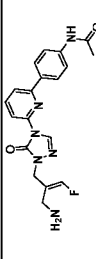
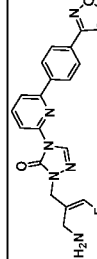
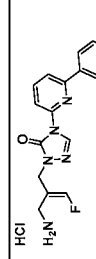
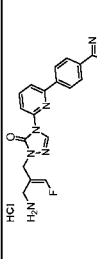
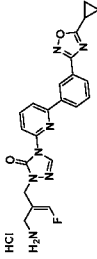
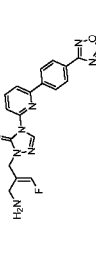
132		4-[5-(5-acetylthiophen-2-yl)-3-methylpyridin-2-yl]-2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
133		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{3-methyl-5-[4-(methylsulfonyl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
134		N-[4-(6-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}-5-methylpyridin-3-yl)phenyl]acetamide hydrochloride
135		2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{3-methyl-5-[4-(4H-1,2,4-triazol-3-yl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one
136		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{3-methyl-5-[4-(4H-1,2,4-triazol-3-yl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one
137		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{3-methyl-5-[3-(4H-1,2,4-triazol-3-yl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one
138		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{3-methyl-5-[4-(1,2,5-oxadiazol-3-yl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
139		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{3-methyl-5-[4-(1,2,4-oxadiazol-3-yl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one
140		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{3-methyl-5-[3-(1,2,4-oxadiazol-3-yl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one

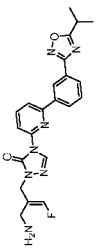
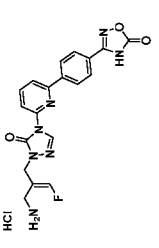
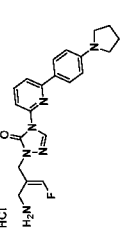
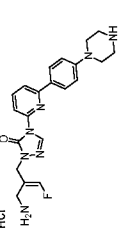
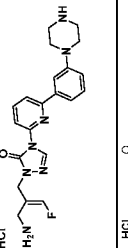
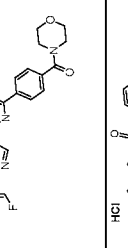
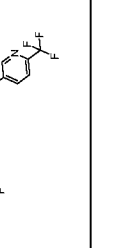
141		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{{5-[4-(5-cyclopropyl-1,2,4-oxadiazol-3-yl)phenyl]}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride}
142		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{{5-[4-(3-methyl-5-{4-[5-(propan-2-yl)-1,2,4-oxadiazol-3-yl]phenyl}pyridin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride]}
143		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{{3-methyl-5-[3-(1H-tetrazol-5-yl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride}
144		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{{3-methyl-5-[4-(piperazin-1-yl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride}
145		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{{3-methyl-5-[4-(morpholin-4-yl)carbonyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride}
146		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{{3-methyl-5-[4-(morpholin-4-yl)carbonyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride}
147		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{{3-methyl-5-[4-(morpholin-4-yl)carbonyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride}
148		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{{3-methyl-5-[4-(morpholin-4-yl)carbonyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride}
149		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{{3-methyl-5-[4-(morpholin-4-yl)carbonyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride}

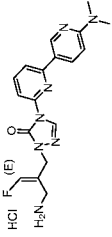
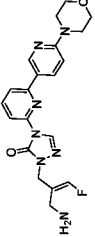
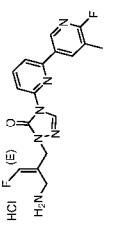
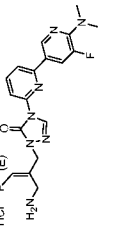
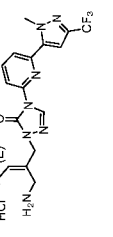
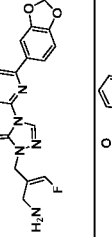
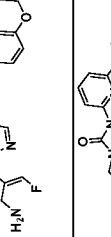
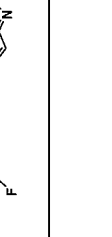
150		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-(2H-1,3-benzodioxol-5-yl)-3-methylpyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
151		6-(6-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-(1H-indazol-6-yl)-3-methylpyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
152		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-(2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
153		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-(1H-indazol-6-yl)-3-methylpyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one
154		6-(6-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-(1H-indazol-6-yl)-3-methylpyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
155		6-(6-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-(1H-indazol-6-yl)-3-methylpyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
156		7-(6-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-(1H-indazol-6-yl)-3-methylpyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
157		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-(1H-indazol-6-yl)-3-methylpyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one

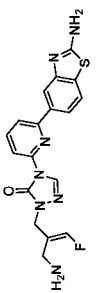
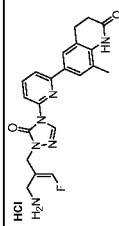
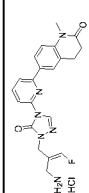
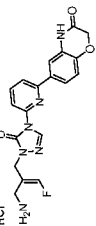
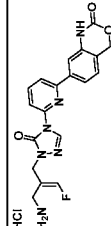
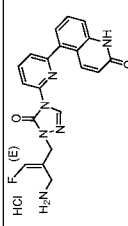
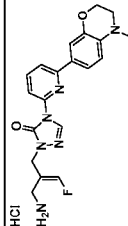
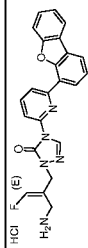
158		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{4-methyl-5-[3-(1H-1,2,4-triazol-3-yl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one
159		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-(1,3-benzodioxol-5-yl)-4-methylpyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one
160		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-(1H-indazol-6-yl)-4-methylpyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one
161		4-[5-(2-amino-1,3-benzothiazol-5-yl)-4-methylpyridin-2-yl]-2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
162		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-(1,3-benzodioxol-5-yl)-3-fluoropyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
163		6-(6-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}-5-fluoropyridin-3-yl)-1,2-dihydro-3H-indol-3-one
164		4-[5-(2-amino-1,3-benzothiazol-5-yl)-3-fluoropyridin-2-yl]-2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
165		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4-(methanesulfonyl)phenyl]pyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one

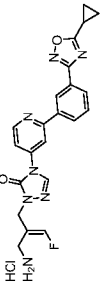
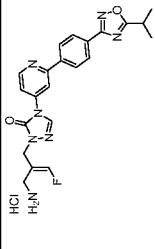
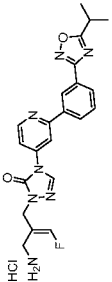
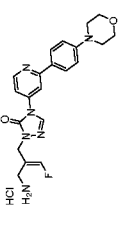
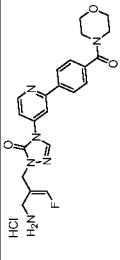
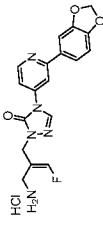
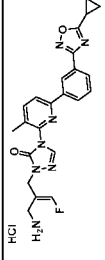
166		N-[4-(2-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}pyridin-4-yl)phenyl]acetamide
167		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{4-[(1,2-oxazol-3-yl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one
168		N-(2'-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}-4,4'-bipyridin-2-yl)acetamide
169		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[6-(morpholin-4-yl)-3,4'-bipyridin-2'-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one
170		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4-(1,3-benzodioxol-5-yl)pyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one
171		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4-(2,3-dihydro-1,4-benzodioxin-6-yl)pyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one
172		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4-(2,1,3-benzoxadiazol-5-yl)pyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one
173		4-[4-(2-amino-1,3-benzothiazol-5-yl)pyridin-2-yl]-2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one

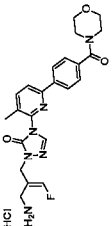
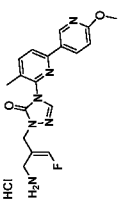
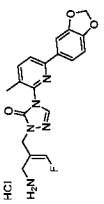
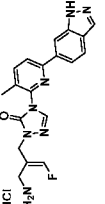
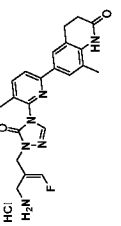
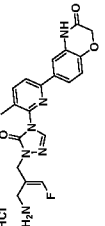
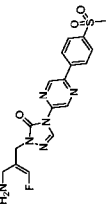
174		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{6-[3-(dimethylamino)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
175		N-[4-(6-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}pyridin-2-yl)phenyl]acetamide
176		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{6-[4-(1,2-oxazol-3-yl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one
177		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{6-[4-(1,2,5-oxadiazol-3-yl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
178		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{6-[4-(5-cyclopropyl-1,2,4-oxadiazol-3-yl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
179		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{6-[3-(5-cyclopropyl-1,2,4-oxadiazol-3-yl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
180		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{6-[4-[5-(propan-2-yl)-1,2,4-oxadiazol-3-yl]phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one

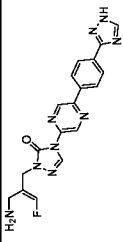
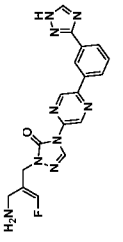
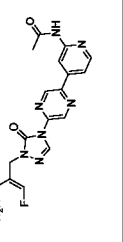
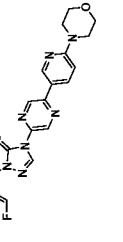
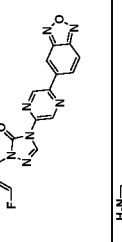
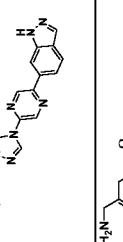
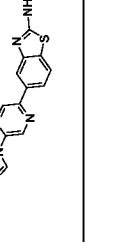
181		2-[(2E)-2-(aminomethyl)-3-fluoropropyl]-4-(6-((3-[5-(propan-2-yl)-1,2,4-oxadiazol-3-yl]phenyl)pyridin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one
182		3-[4-(6-((2E)-2-(aminomethyl)-3-fluoropropyl)-4-(6-((3-[5-(propan-2-yl)-1,2,4-oxadiazol-3-yl]phenyl)pyridin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one)hydrochloride
183		2-[(2E)-2-(aminomethyl)-3-fluoropropyl]-4-(6-((3-[5-(propan-2-yl)-1,2,4-oxadiazol-3-yl]phenyl)pyridin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
184		2-[(2E)-2-(aminomethyl)-3-fluoropropyl]-4-(6-((3-[5-(propan-2-yl)-1,2,4-oxadiazol-3-yl]phenyl)pyridin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
185		2-[(2E)-2-(aminomethyl)-3-fluoropropyl]-4-(6-((3-[5-(propan-2-yl)-1,2,4-oxadiazol-3-yl]phenyl)pyridin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
186		2-[(2E)-2-(aminomethyl)-3-fluoropropyl]-4-(6-((3-[5-(propan-2-yl)-1,2,4-oxadiazol-3-yl]phenyl)pyridin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
187		2-[(2E)-2-(aminomethyl)-3-fluoropropyl]-4-(6-((3-[5-(propan-2-yl)-1,2,4-oxadiazol-3-yl]phenyl)pyridin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

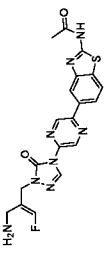
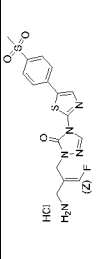
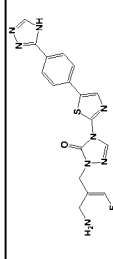
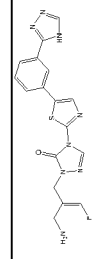
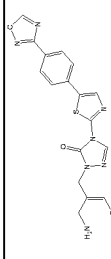
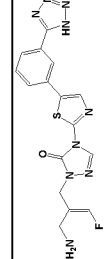
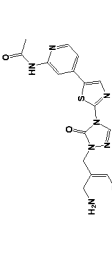
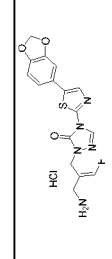
188		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[6'-(dimethylamino)-2,3'-bipyridin-6-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
189		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[6'-(morpholin-4-yl)-2,3'-bipyridin-6-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one
190		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(6'-fluoro-5'-methyl-2,3'-bipyridin-6-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
191		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[6'-(dimethylamino)-5'-fluoro-2,3'-bipyridin-6-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
192		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{6-[1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
193		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[6-(1,3-benzodioxol-5-yl)pyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one
194		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[6-(2,3-dihydro-1,4-benzodioxin-6-yl)pyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one
195		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[6-(2,1,3-benzoxadiazol-5-yl)pyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one

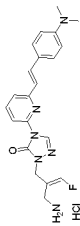
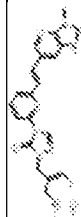
196		4-[6-(2-amino-1,3-benzothiazol-5-yl)pyridin-2-yl]-2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one
197		6-(6-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}pyridin-2-yl)-8-methyl-1,3,4-dihydroquinolin-2(1H)-one hydrochloride
198		6-[6-{1-[(E)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]-2-pyridyl]-1-methyl-1,3,4-dihydroquinolin-2-one hydrochloride
199		6-(3-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}pyridine-2-yl)-2H-1,4-benzoxazin-3(4H)-one hydrochloride
200		7-(6-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}pyridin-2-yl)-1,4-dihydro-2H-3,1-benzoxazin-2-one hydrochloride
201		5-(6-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}pyridin-2-yl)quinolin-2(1H)-one hydrochloride
202		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[6-(4-methyl-1,3,4-dihydro-2H-1,4-benzoxazin-7-yl)pyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
203		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[6-(dibenzo[b,d]furan-4-yl)pyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

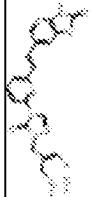
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205		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(2-{4-[5-(propan-2-yl)-1,2,4-oxadiazol-3-yl]phenyl}pyridin-4-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
206		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(2-{3-[5-(propan-2-yl)-1,2,4-oxadiazol-3-yl]phenyl}pyridin-4-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
207		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(2-[4-(morpholin-4-yl)phenyl]pyridin-4-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
208		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{2-[4-(morpholin-4-yl)phenyl]pyridin-4-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
209		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[2-(1,3-benzodioxol-5-yl)pyridin-4-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
210		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{6-[3-(5-cyclopropyl-1,2,4-oxadiazol-3-yl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

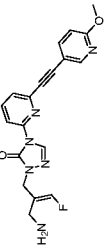
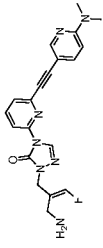
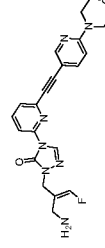
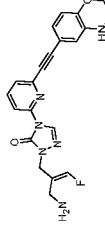
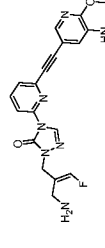
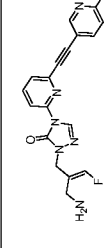
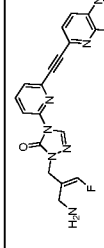
211		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{3-methyl-6-[4-(morpholin-4-yl)carbonyl]phenyl}pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
212		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(6-methoxy-5-methyl-2,3'-bipyridin-6-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
213		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[6-(1,3-benzodioxol-5-yl)-3-methylpyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
214		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[6-(1H-indazol-6-yl)-3-methylpyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
215		6-(6-{1-[1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl]-5-methylpyridin-2-yl)-8-methyl-1,3,4-dihydroquinolin-2(1H)-one hydrochloride
216		6-(6-{1-[1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl]-5-methylpyridin-2-yl)-2H-1,4-benzoxazin-3(4H)-one hydrochloride
217		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{5-[4-(methylsulfonyl)phenyl]pyrazin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one

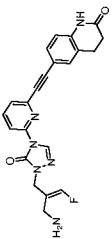
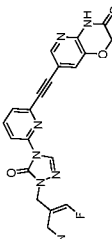
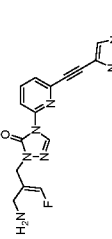
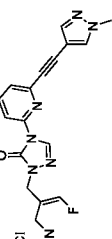
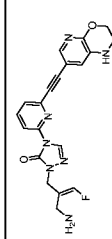
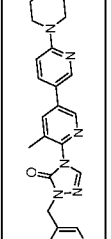
218		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[(1H-1,2,4-triazol-3-yl)phenyl]pyrazin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one
219		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[(3-[(1H-1,2,4-triazol-3-yl)phenyl]pyrazin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one
220		N-[4-(5-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}pyrazin-2-yl)pyridin-2-yl}acetamide
221		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[(6-(morpholin-4-yl)pyridin-3-yl)pyrazin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one
222		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[(5-(2,1,3-benzoxadiazol-5-yl)pyrazin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one
223		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[(5-(1H-indazol-6-yl)pyrazin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one
224		4-[5-(2-amino-1,3-benzothiazol-5-yl)pyrazin-2-yl]-2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one

225		N-[5-(5-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}pyrazin-2-yl)-1,3-benzothiazol-2-yl]acetamide
226		2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{5-[4-(methylsulfonyl)phenyl]-1,3-thiazol-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
227		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{5-[4-(4H-1,2,4-triazol-3-yl)phenyl]-1,3-thiazol-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one
228		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{5-[3-(4H-1,2,4-triazol-3-yl)phenyl]-1,3-thiazol-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one
229		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{5-[4-(4H-1,2,4-oxadiazol-3-yl)phenyl]-1,3-thiazol-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one
230		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{5-[3-(1H-tetrazol-5-yl)phenyl]-1,3-thiazol-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one
231		N-[4-(2-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}-1,3-thiazol-5-yl)pyridin-2-yl]acetamide
232		2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-(1,3-benzodioxol-5-yl)-1,3-thiazol-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

233		6-(2-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}-1,3-thiazol-5-yl)-1,3-dihydro-2H-indol-2-one
234		2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-(2,1,3-benzoxadiazol-5-yl)-1,3-thiazol-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
235		N-[5-(4-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}benzyl)-1,3-thiazol-2-yl]acetamide hydrochloride
236		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{4-[(E)-2-phenylethenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
237		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{6-[(E)-2-(thiophen-3-yl)ethenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one
238		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{6-[(E)-2-[4-(trifluoromethyl)phenyl]ethenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
239		2-[(E)-2-(aminomethyl)-3-fluoro-allyl]-4-[6-[(E)-2-[4-(dimethylamino)phenyl]vinyl]-2-pyridyl]-1,2,4-triazol-3-one hydrochloride
240		2-[(E)-2-(aminomethyl)-3-fluoro-allyl]-4-[6-[(E)-2-(3-methyl-1,2-dihydroimidazo[4,5-b]pyridin-6-yl)vinyl]-2-pyridyl]-1,2,4-triazol-3-one hydrochloride

241		7-[(E)-2-[6-[1-[(E)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]-2-pyridyl]vinyl]-1H-pyrido[2,3-b][1,4]oxazin-2-one hydrochloride
242		6-[(E)-2-[6-[1-[(E)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]-2-pyridyl]vinyl]-3H-oxazolol[4,5-b]pyridin-2-one hydrochloride
243		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(pyridin-3-ylethynyl)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
244		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4-(pyridin-2-ylethynyl)pyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
245		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4-(pyridin-3-ylethynyl)pyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
246		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4-(pyridin-4-ylethynyl)pyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

247		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[(6-methoxy-3-pyridin-2-yl)ethynyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one
248		2-[(E)-2-(aminomethyl)-3-fluoro-allyl]-4-[[6-[[2-[(dimethylamino)-3-pyridyl]ethynyl]-2-pyridyl]-1,2,4-triazol-3-one]]
249		2-[(E)-2-(aminomethyl)-3-fluoro-allyl]-4-[[6-[[2-(6-morpholino-3-pyridyl)ethynyl]-2-pyridyl]-1,2,4-triazol-3-one]]
250		2-[(E)-2-(aminomethyl)-3-fluoro-allyl]-4-[[6-[[2-(3,4-dihydro-2H-1,4-benzoxazin-6-yl)ethynyl]-2-pyridyl]-1,2,4-triazol-3-one]]
251		2-[(E)-2-(aminomethyl)-3-fluoro-allyl]-4-[[6-[[2-(2-(2,3-dihydro-1H-pyrido[2,3-b][1,4]oxazin-7-yl)ethynyl]-2-pyridyl)-1,2,4-triazol-3-one]]
252		2-[(E)-2-(aminomethyl)-3-fluoro-allyl]-4-[[6-[[2-(3,4-dihydro-2H-pyrido[3,2-b][1,4]oxazin-7-yl)ethynyl]-2-pyridyl]-1,2,4-triazol-3-one]]
253		2-[(E)-2-(aminomethyl)-3-fluoro-allyl]-4-[[6-[[2-(2-(2,3-dihydro-1H-pyrido[2,3-b][1,4]oxazin-6-yl)ethynyl]-2-pyridyl)-1,2,4-triazol-3-one]]

254		6-[2-[6-[1-(E)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]-2-pyridyl]ethynyl]-3,4-dihydro-1H-quinolin-2-one
255		7-[2-[6-[1-(E)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]-2-pyridyl]ethynyl]-4H-pyrido[3,2-b][1,4]oxazin-3-one
256		2-[2-(E)-2-(aminomethyl)-3-fluoro-allyl]-4-[6-[2-(3-methylimidazol-4-yl)ethynyl]-2-pyridyl]-1,2,4-triazol-3-one
257		2-[2-(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{6-[(1-methyl-1H-pyrazol-4-yl)ethynyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
258		7-[2-[6-[1-(E)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]-2-pyridyl]ethynyl]-1H-pyrido[2,3-b][1,4]oxazin-2-one
259		2-[2-(E)-2-(aminomethyl)-3-fluoro-allyl]-4-[3-methyl-5-(6-piperazin-1-yl-3-pyridyl)-2-pyridyl]-1,2,4-triazol-3-one

[0923] Experimental Example 1: Activity evaluation with respect to amine oxidases

[0924] The compounds according to the present technology were evaluated in terms of activity on recombinant human VAP-1 (R&D systems) by measuring the level of hydrogen peroxide in horseradish peroxidase (HRP)-coupled reaction using Amplex Red Hydrogen Peroxide Assay Kit (Molecular Probes, Invitrogen, USA). The experiment was carried out at room temperature using benzylamine as a substrate. In the HRP-coupled reaction, hydrogen peroxide oxidation of 10-acetyl-3,7-dihydroxyphenoxazine (Amplex Red reagent) produces resorufin, which is a highly fluorescent compound. Briefly, the test compound was dissolved in dimethyl sulfoxide (DMSO) at a concentration of 20 mM. The dose-response assessment was made by 1:3 serial dilution in DMSO, thereby creating an 8 point curve. The concentration of the upper part was controlled according to the efficacy of the compounds, followed by dilution with a reaction buffer solution to obtain a final DMSO concentration less than 1%. To each well of a 96 black well plate, human VAP-1 purified in 50mM sodium phosphate buffer solution (pH7.4) was added. The test compounds dissolved in DMSO were incubated with the human VAP-1 enzymes at 37°C for 30 minutes. After 30-minute incubation, each well is added with a reaction mixture containing 200uM Amplex Red reagent prepared from 50 mM sodium phosphate buffer solution (pH 7.4), 1mM benzylamine, and 1 U/mL HRP. Fluorescence intensity was measured at several time points during 1-2 hours using a microplate reader (Flexstation3, Molecular Devices) under the wavelength condition exciting at 544 nm and reading the emission at 590 nm. The inhibitory effect of the compounds was measured as a decrease (%) in the signal rate as compared to the control group without any inhibitor (only diluted DMSO). Data was fixed to a logistic model with four variables and IC₅₀ value was calculated using GraphPad Prism program.

[0925] In addition, the compounds according to the present technology were evaluated in terms of activity on a recombinant human MAO-A(monoamine oxidase-A, Sigma-Aldrich) and a recombinant human MAO-B(monoamine oxidase-B, Sigma-Aldrich) by using as substrates, 0.5 mM tyramine and 1 mM benzylamine, respectively, with a method similar to the activity evaluation method for recombinant human VAP-1. The compounds according to the present technology were also evaluated in terms of activity on a recombinant human DAO (diamine oxidase, R&D systems) by using as a substrate 1mM putrescine with a method similar to the activity evaluation method for recombinant human VAP-1.

[0926] The results obtained by evaluating the activity against the enzymes as above are shown in Tables 2 and 3 below.

Table 2.

Example	Inhibitory Activity (IC ₅₀ , nM)			
	human VAP-1	MAO-A	MAO-B	DAO
1	17			
2	0.9	2900	86	120
3	2.5	3500	121	542
4	1.2	>100,000	175	90
5	3.8	1600	260	1, 100
6	3.7	>100,000	>10,000	1, 100
7	0.88	2,100	8	47
8	0.6	54,000	10	38
9	5.4	2100	85	290
10	2.4	> 100,000	1,612	100
11	1.5	200	12	209
12	0.75	1,500	281	38
13	2.3	155	3.3	67
14	0.96	5,200	35	38

15	2.2	710	9.8	85
16	0.74	32,000	141	12
17	2.7	> 100,000	406	31
18	1.4	> 100,000	58	21
19	3.3	10,000	4.4	13
20	2.2	> 100,000	> 10,000	15
21	7.3	400	25	333
22	1.4	12,000	146	420
23	2.2	5,200	142	32
24	4.6	6,600	17	26
25	2.4	> 100,000	2,300	25
26	5.3	> 100,000	9.4	14
27	2.5	> 100,000	63	5.4
28	45			1, 100
29	1.2	2,600	28	25
30	0.61	1,900	1,700	13
31	47			
32	2.2	93,000	51	>100,000

33	31			
34	0.6	6,100	363	13
35	6.6	1,500	503	14
36	1.2	> 100,000	3,353	23
37	1.8	>100,000	>10,000	16
38	4.4	19,600	112	< 100
39	1.7	5,700	2,100	30
40	1.1	80	619	25
41	0.6	780	174	17
42	0.47	18,400	< 0.01	1
43	0.62	>100,000	196	<100
44	1.3	68,300	65	<100
45	0.21	198	<10	1.6
46	0.32	120	<10	0.76
47	2.8			1200
48	0.56	>100,000	>10,000	0.79
49	0.2	>100,000	800	2.1
50	1	>10,000	510	<100*

51	2.0	>100,000	5,600	>10,000
52	1.2	50,000	3,600	24
53	2.5			<30
54	0.4	>100,000	>10,000	<100
55	0.3	>100,000	1,500	1
56	0.75	5,100	>10,000	110
57	0.38	1,250	>10,000	<100
58	0.63	>100,000	>10,000	<100
59	0.62	>100,000	>10,000	<100
60	1.3			< 100
61	0.65	62,000	998	<100
62	0.53	>100,000	117	<100
63	1	>100,000	>10,000	<30
64	3.2	6,030	>10,000	<30
65	0.93	>100,000	>10,000	<30
66	0.66	>100,000	>10,000	3.9
67	0.77	>100,000	>10,000	11
68	0.82	37,800	>10,000	2.7

69	0.63	4,910	1,097	11
70	0.63	>100,000	1,620	<30
71	1	17,300	240	<30
72	1.1	4,890	1,455	59
73	0.98	>100,000	>10,000	67
74	0.84	>100,000	>10,000	282
75	0.93	>100,000	>10,000	<100*
76	0.64	>100,000	>10,000	<100*
77	0.8	>100,000	>10,000	18
78	1	>100,000	>10,000	0.2
79	0.47	>100,000	7900	2.2
80	1.1	>100,000	>10,000	12
81	0.54	>100,000	7,520	<100
82	0.8	>100,000	18,000	<100*
83	0.88	>100,000	2,460	<30
84	0.78	>100,000	3,000	<10
85	0.62	>100,000	1,800	<10
86	0.62	>100,000	1,230	< 100

87	1	>100,000	22	<100*
88	1.1	>100,000	9,600	<10
89	0.6	>100,000	>10,000	4.7
90	1.4	>100,000	>10,000	19
91	1.5			13
92	1.1	9,6900	1,350	41
93	0.48	>10,000	221	<100
94	2	>100,000	2,630	120
95	0.69	>100,000	1,460	37
96	0.51	>100,000	390	<100
97	0.68	22,500	>10,000	<30
98	4.7	76,400	9,320	68
99	0.82	>100,000	6,424	<100
100	0.92	39,300	162	27
101	0.9	58,000	300	34
102	0.2	>100,000	>10,000	28
103	0.6	26,500	1,200	2.5
104	3			54

105	0.83	65,200	9,640	180
106	4.7	>100,000	>10,000	310
107	2.8	>100,000	>10,000	no inhibitory activity
108	2.2	>100,000	>10,000	3,500
109	8.1			740
110	4.2		no inhibitory activity	no inhibitory activity
111	2.8		no inhibitory activity	no inhibitory activity
112	2.5		no inhibitory activity	no inhibitory activity
113	2.3			<1000**
114	3.1	>100,000	>10,000	no inhibitory activity
115	1.5	>100,000	980	< 100
116	0.72	>100,000	6,020	<100
117	0.21	> 100,000	32	2.5
118	0.34	> 100,000	3,040	1.7
119	0.94			< 100
120	1.4	>100,000	>10,000	110

121	0.7	>100,000	456	<100
122	0.77			< 100
123	1.3	>100,000	3,220	< 100
124	0.89	>100,000	>10,000	<100
125	1.2			< 100
126	0.87	>100,000	133	<100
127	1.6	>100,000	617	< 100
128	0.82			< 100
129	0.45	> 100,000	10	< 1
130	0.39	26,000	20	1-10
131	8.2			4,200
132	0.54	62,000	850	280
133	0.84	>100,000	>10,000	220
134	0.55	>100,000	>10,000	1,200
135	3.2			520
136	0.62			6,100
137	0.5	34,000	3,790	120
138	0.7	84,000	1, 100	240

139	0.53	>100,000	394	370
140	0.79	3,400	3,100	13,000
141	0.88	>100,000	7,090	110
142	0.63	>100,000	4,720	57
143	0.43	112,000	5,340	12
144	3.3			1,400
145	0.9	>100,000	>10,000	13,000
146	0.7	>100,000	>10,000	17,000
147	0.55	>10,000	>10,000	450
148	0.4	160,000	>10,000	35
149	0.5	>100,000	>10,000	490
150	0.35	4,800	170	480

*IC₅₀ value is between 10 and 100 nM; **IC₅₀ value is between 300 and 1000 nM.

Table 3.

Example	Inhibitory Activity (IC ₅₀ , nM)			
	human VAP-1	MAO-A	MAO-B	DAO
151	0.52	>10,000	>10,000	98
152	0.5	7,420	314	1,300
153	0.39	96,000	703	640

154	0.3	>100,000	3,000	190
155	0.3	16,000	4,400	87
156	0.3	>100,000	26,000	38
157	0.3	>100,000	3,790	<100
158	0.18	9,600	1,940	<100
159	0.21	1,510	74	1.8
160	0.37	46,200	137	<100
161	6.5	6,240	3,140	2
162	1.6	3,140	17	1.6
163	0.56	> 100,000	740	1.3
164	0.25	> 100,000	183	1.4
165	1.9	>100,000	>10,000	<30
166	0.23	20,700	2,420	<30
167	1	>100,000	>10,000	<30
168	0.39	>100,000	159	<30
169	0.28	>100,000	>10,000	<30
170	0.74	>100,000	235	<100*
171	0.84	>100,000	1540	<30

172	0.67	>100,000	9070	<30
173	0.41	>100,000	>10000	5.9
174	2.2	>100,000	710	1, 100
175	0.44	1,470	>10,000	<30
176	0.83	7,900	3,320	<30
177	0.5	>100,000	1,900	2.6
178	1.4	74,000	5,490	<10
179	1.1	270,000	390	3.1
180	2.8	120,000	180	1.4
181	1.9	>100,000	880	2.1
182	23			
183	2.5	13,000	>10,000	350
184	1.4	14,000	>10,000	110
185	2.4	>100,000	>10,000	2.5
186	0.91	>100,000	>10,000	<10
187	0.45	>100,000	940	<10
188	0.6	>100,000	23,000	4
189	0.53	>100,000	>10,000	<30

190	0.6	>100,000	190	5
191	0.5	>100,000	1,200	19
192	1.4	>100,000	250	0.4
193	2.5	>100,000	1,160	38
194	1.2	>100,000	2,710	2.9
195	0.66	>100,000	620	<30
196	1.1	>100,000	>10000	11
197	0.08	81,000	250	2.6
198	2.9	>100,000	>10,000	130
199	4.6	>100,000	1,900	<100**
200	0.2	>100,000	1, 100	1.6
201	1.3	>100,000	>10,000	17
202	1.0	>100,000	1,300	240
203	1.8	12,000	73	3
204	0.87	>100,000	85	<10
205	1	>100,000	240	<10
206	0.7	>100,000	110	<10
207	0.45	>100,000	1,400	<10

208	0.89	>100,000	>10,000	<10
209	0.63	>100,000	17	<10
210	52	>100,000	>10,000	4,400
211	49	>100,000	>10,000	3,500
212	45	>100,000	>10,000	2,200
213	29	>100,000	>10,000	1,800
214	23	>100,000	>100,000	1,400
215	14	96,000	3,500	290
216	88	>100,000	>10,000	4,200
217	2.2	>100,000	110	< 100
218	1.9	>100,000	49	< 100
219	2.3	>100,000	41	< 100
220	1.8	>100,000	396	< 100
221	1.9	>100,000	650	< 100
222	0.94	770	22	< 100
223	2.2	21,700	10.5	< 100
224	1.3	>100,000	49	< 100
225	1	>100,000	53	< 100

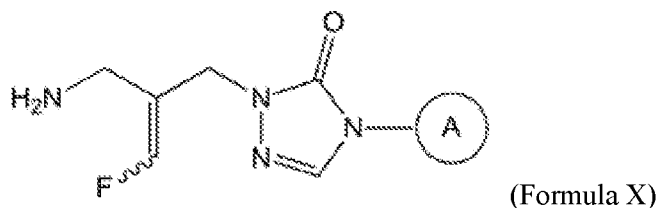
226	1.4	> 100000	11.4	< 100
227	0.61	>100,000	164	0.24
228	0.38	>100,000	9.2	< 100
229	0.98	130	12	< 100
230	0.53			2.7
231	0.94			0.086
232	0.78	24	< 100	< 100
233	1.7			1.2
234	0.98	< 100	10.1	< 100
235	0.43	>100,000	2,580	<100
236	0.8	>10,000	16	6.4
237	2.4	20,000	<100	170
238	0.9	34,000	1,600	15
239	1.6	>100,000	230	1,600
240	1	>100,000	>10,000	4
241	0.5	>100,000	>10,000	1
242	1.5	>100,000	>10,000	21
243	0.6	>100,000	940	18

244	0.8	>100,000	100	12
245	0.9	>100,000	300	11
246	0.5	>100,000	130	12
247	0.3	>100,000	4300	14
248	0.5	>100,000	>10,000	36
249	0.4	>100,000	2,000	8
250	0.7	>100,000	>10,000	74
251	0.3	>100,000	>10,000	21
252	0.6	>100,000	>10,000	53
253	0.5	>100,000	>10,000	34
254	0.2	>100,000	>10,000	35
255	0.2	>100,000	6,100	20
256	0.3	>100,000	>10,000	27
257	0.4	>100,000	5,700	90
258	0.2	>100,000	59,000	1.3
259	1.4	>100,000	>100,000	29,000

*IC₅₀ value is between 30 and 100 nM; **IC₅₀ value is between 10 and 100 nM.

[0927] From the results of Tables 2 and 3 above, it can be seen that the compounds according to the present technology generally have excellent selective inhibitory activity on VAP-1 among various amine oxidases.

[0928] Para. A. A compound of Formula X, or an isomer thereof, or a pharmaceutically acceptable salt thereof:



wherein

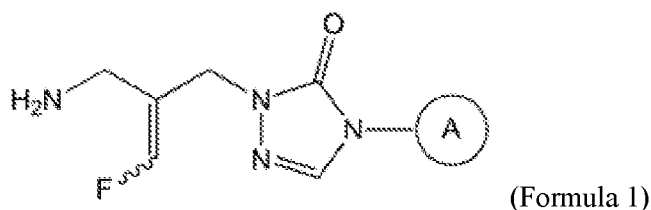
A is an aryl or heteroaryl group, said heteroaryl group has 1 to 5 heteroatom ring members chosen from O, N, or S, and said aryl or heteroaryl group is optionally substituted with one to three substituents chosen from C₁₋₃ alkyl, C₁₋₃ alkoxy, halogen, benzyloxy, -R, -CH₂-R, -CH=CH-R, and -C≡C-R; and

R is substituted or unsubstituted cyclic ring, optionally containing 1 to 5 heteroatom ring members chosen from O, N, or S, and the cyclic ring is aromatic or non-aromatic.

[0929] Para. B. The compound of Para. A, wherein A is selected from phenyl, naphthalene, pyridine, pyrimidine, pyrazine, triazine, thiazole, thiophene, pyrrole, pyrazole, imidazole, triazole, tetrazole, furan, oxazole, isoxazole, oxadiazole, and thiadiazole.

[0930] Para. C. The compound of Para. A or Para. B, wherein A is selected from phenyl, pyridine, pyrazine, and thiazole.

[0931] Para. D. A compound of Formula 1 below



or an isomer thereof, or a pharmaceutically acceptable salt thereof;

wherein

A is an aryl or heteroaryl group selected from the group consisting of phenyl, pyridine, pyrazine, and thiazole,

wherein said aryl or heteroaryl group is optionally substituted with one to three substituents selected from the group consisting of C₁₋₃ alkyl, C₁₋₃ alkoxy, halogen, benzyloxy, -R, -CH₂-R, -CH=CH-R, and -C≡C-R,

wherein said R is a cyclic ring selected from the group consisting of benzene, phenylbenzene, pyridine, tetrahydropyridine, pyridin-2-one, pyrimidine, thiophene, thiazole, imidazole, pyrazole, piperazine, morpholine, benzodioxole, benzoxadiazole, benzothiophene, benzothiazole, 2,3-dihydro-benzodioxine, indazole, indole, 1,3-dihydroindol-2-one, 1,2-dihydroindol-3-one, quinoline, isoquinoline, quinolin-2-one, 3,4-dihydroquinolin-2-one, 3,4-dihydro-1,4-benzoxazine, 1,4-benzoxazin-3-one, 3,1-benzoxazin-2-one, 2,3-dihydro-imidazo[4,5-b]pyridine, oxazolo[4,5-b]pyridin-2-one, 2,3-dihydro-pyrido[2,3-b][1,4]oxazine, 3,4-dihydro-pyrido[3,2-b][1,4]oxazine, pyrido[2,3-b][1,4]oxazin-2-one, pyrido[3,2-b][1,4]oxazin-3-one, and dibenzo[b,d]furan,

wherein said cyclic ring is optionally substituted with one or two substituents selected from the group consisting of hydroxy, halogen, C₁₋₆ alkyl, trifluoromethyl, C₁₋₆ alkoxy, trifluoromethoxy, amino, mono- or di-C₁₋₆ alkylamino, C₁₋₆ alkylcarbonylamino, C₁₋₆ alkylthio, mono- or di-C₁₋₆ alkylaminosulfonyl, C₁₋₆ alkylsulfonyl, C₁₋₆ alkylcarbonyl, morpholinylcarbonyl, benzodioxolyl, pyrrolidinyl, piperazinyl, acetylpiperazinyl, morpholinyl, tetrahydropyranyl, triazolyl, tetrazolyl, oxazolyl, oxadiazolyl, cyclopropyl-oxadiazolyl, C₁₋₆ alkyl-oxadiazolyl, and oxadiazol-5-onyl.

[0932] Para. E. The compound, or an isomer thereof, or a pharmaceutically acceptable salt thereof, of any one of Paras. A-D, wherein A is pyridine.

[0933] Para. F. The compound, or an isomer thereof, or a pharmaceutically acceptable salt thereof, of any one of Paras. A-D, wherein said aryl or heteroaryl group is substituted with one or two substituents selected from the group consisting of C₁₋₃ alkyl, halogen, -R, and -C≡C-R.

[0934] Para. G. The compound, or an isomer thereof, or a pharmaceutically acceptable salt thereof, of any one of Paras. A-F, wherein said R is a cyclic ring selected from the group

consisting of benzene, pyridine, and pyrazole.

[0935] Para. H. The compound, or an isomer thereof, or a pharmaceutically acceptable salt thereof, of Para. G, wherein said cyclic ring is unsubstituted; or substituted with a substituent selected from the group consisting of C₁₋₆ alkyl, trifluoromethyl, and oxazolyl.

[0936] Para. I. The compound, or an isomer thereof, or a pharmaceutically acceptable salt thereof, of any one of Paras. A-D, wherein

A is pyridine,

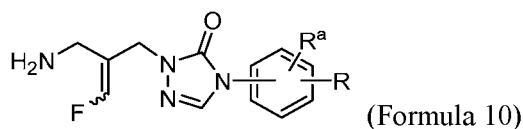
wherein said pyridine is substituted with one or two substituents selected from the group consisting of C₁₋₃ alkyl, halogen, -R, and -C≡C-R,

wherein said R is a cyclic ring selected from the group consisting of benzene, pyridine, and pyrazole,

wherein said cyclic ring is unsubstituted; or substituted with a substituent selected from the group consisting of C₁₋₆ alkyl, trifluoromethyl, and oxazolyl.

[0937] Para. J. The compound, or an isomer thereof, or a pharmaceutically acceptable salt thereof, of any one of Paras. A-D, which is selected from Table 1, or an isomer thereof, or a pharmaceutically acceptable salt thereof.

[0938] Para. K. A compound of Formula 10:



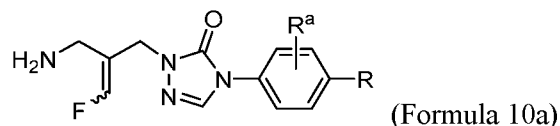
or an isomer thereof, or a pharmaceutically acceptable salt thereof,

wherein

R is a substituted or unsubstituted cyclic ring, optionally containing at least one heteroatom, and the cyclic ring is aromatic or non-aromatic; and

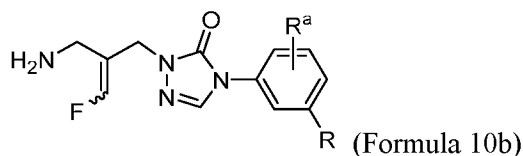
R^a is hydrogen, C₁₋₃ alkyl, C₁₋₃ alkoxy, or halogen.

[0939] Para. L. The compound of Para. K of Formula 10a:



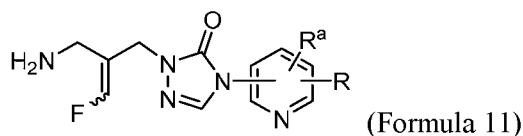
or an isomer thereof, or a pharmaceutically acceptable salt thereof.

[0940] Para. M. The compound of Para. K of Formula 10b:



or an isomer thereof, or a pharmaceutically acceptable salt thereof.

[0941] Para. N. A compound of Formula 11:



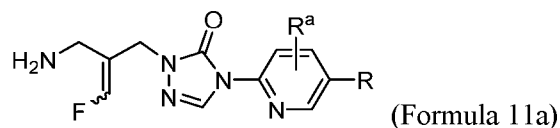
or an isomer thereof, or a pharmaceutically acceptable salt thereof,

wherein

R is a substituted or unsubstituted cyclic ring, optionally containing at least one heteroatom, and the cyclic ring is aromatic or non-aromatic; and

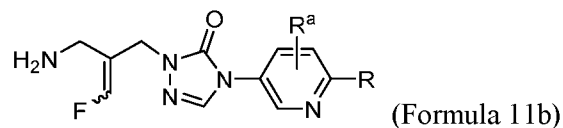
R^a is hydrogen, C₁₋₃ alkyl, C₁₋₃ alkoxy, or halogen.

[0942] Para. O. The compound of Para. N of Formula 11a:



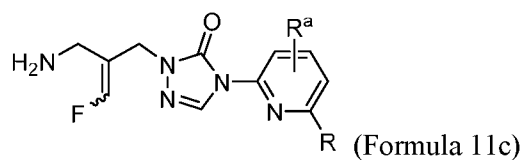
or an isomer thereof, or a pharmaceutically acceptable salt thereof.

[0943] Para. P. The compound of Para. N of Formula 11b:



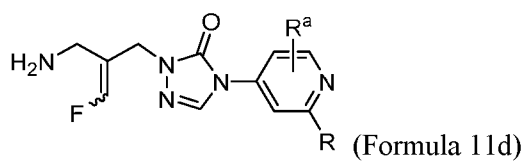
or an isomer thereof, or a pharmaceutically acceptable salt thereof.

[0944] Para. Q. The compound of Para. N of Formula 11c:



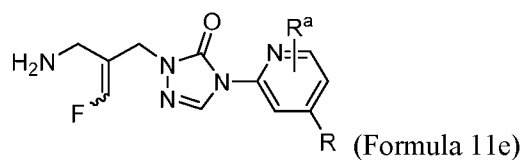
or an isomer thereof, or a pharmaceutically acceptable salt thereof.

[0945] Para. R. The compound of Para. N of Formula 11d:



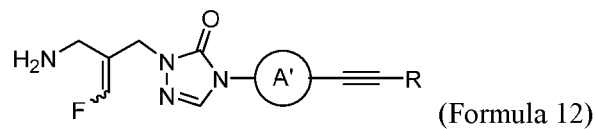
or an isomer thereof, or a pharmaceutically acceptable salt thereof.

[0946] Para. S. The compound of Para. N of Formula 11e:



or an isomer thereof, or a pharmaceutically acceptable salt thereof.

[0947] Para. T. A compound of Formula 12:



or an isomer thereof, or a pharmaceutically acceptable salt thereof,

wherein

A' is pyridine; and

R is a substituted or unsubstituted cyclic ring, optionally containing at least one heteroatom, and the cyclic ring is aromatic or non-aromatic.

[0948] Para. U. The compound of any one of Paras. A-C or K-T, or an isomer thereof, or a pharmaceutically acceptable salt thereof, wherein R is selected from the group consisting of benzene, phenylbenzene, pyridine, tetrahydropyridine, pyridin-2-one, pyrimidine, thiophene, thiazole, imidazole, pyrazole, piperazine, morpholine, benzodioxole, benzoxadiazole, benzothiophene, benzothiazole, 2,3-dihydro-benzodioxine, indazole, indole, 1,3-dihydroindol-2-one, 1,2-dihydroindol-3-one, quinoline, isoquinoline, quinolin-2-one, 3,4-dihydroquinolin-2-one, 3,4-dihydro-1,4-benzoxazine, 1,4-benzoxazin-3-one, 3,1-benzoxazin-2-one, 2,3-dihydro-imidazo[4,5-b]pyridine, oxazolo[4,5-b]pyridin-2-one, 2,3-dihydro-pyrido[2,3-b][1,4]oxazine, 3,4-dihydro-pyrido[3,2-b][1,4]oxazine, pyrido[2,3-b][1,4]oxazin-2-one, pyrido[3,2-b][1,4]oxazin-3-one, and dibenzo[b,d]furan; and

R is optionally substituted with one or two substituents selected from the group consisting of hydroxy, halogen, C₁₋₆ alkyl, trifluoromethyl, C₁₋₆ alkoxy, trifluoromethoxy, amino, mono- or di- C₁₋₆ alkylamino, C₁₋₆ alkylcarbonylamino, C₁₋₆ alkylthio, mono- or di- C₁₋₆ alkylaminosulfonyl, C₁₋₆alkylsulfonyl, C₁₋₆ alkylcarbonyl, morpholinylcarbonyl, benzodioxolyl, pyrrolidinyl, piperazinyl, acetylpiperazinyl, morpholinyl, tetrahydropyranyl, triazolyl, tetrazolyl, isoxazolyl, oxazolyl, oxadiazolyl, cyclopropyl-oxadiazolyl, C₁₋₆ alkyl-oxadiazolyl, and oxadiazol-5-onyl.

[0949] Para. V. A pharmaceutical composition comprising, consisting essentially of, or consisting of the compound according to any one of Paras. A-U, or an isomer thereof, or a pharmaceutically acceptable salt thereof, and at least one pharmaceutically acceptable excipient.

[0950] Para. W. A method of selectively inhibiting vascular adhesion protein (VAP-1), comprising administering, to a mammal, a therapeutically effective amount of the compound, or the isomer thereof, or a pharmaceutically acceptable salt thereof according to any one of Paras. A-U.

[0951] Para. X. A method of selectively inhibiting vascular adhesion protein (VAP-1), consisting essentially of or consisting of administering, to a mammal, a therapeutically effective amount of the compound, or the isomer thereof, or a pharmaceutically acceptable salt thereof according to any one of Paras. A-U.

[0952] Para. Y. A method of selectively inhibiting vascular adhesion protein (VAP-1), comprising administering, to a mammal, a therapeutically effective amount of the composition according to Para. V.

[0953] Para. Z. A method of treating NASH in a subject in need thereof, the method comprising, consisting essentially of, or consisting of administering to the subject a therapeutically effective amount of the compound according to any one of Paras. A-U, or an isomer thereof, or a pharmaceutically acceptable salt thereof, or a therapeutically effective amount of the pharmaceutical composition according to Para. V.

[0954] Para. AA. Use of the compound according to any one of Paras. A-U, or an isomer thereof, or a pharmaceutically acceptable salt thereof, for the manufacture of a medicament for the treatment of NASH.

[0955] Para. AB. A compound according any one of Paras. A-U, or an isomer thereof, or a pharmaceutically acceptable salt thereof, for use in treating NASH.

[0956] Para. AC. A composition according to Para. V for use in treating NASH.

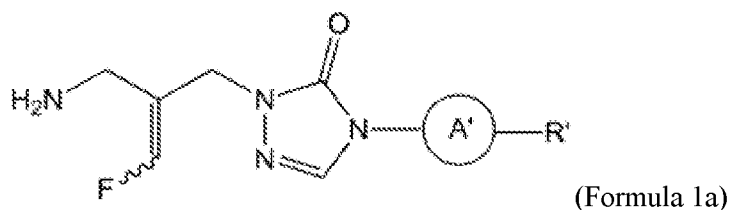
[0957] Para. AD. A compound according any one of Paras. A-U, or an isomer thereof, or a pharmaceutically acceptable salt thereof, for use in selectively inhibiting VAP-1.

[0958] Para. AE. A composition according to Para. V for use in selectively inhibiting VAP-1.

[0959] Para. AF. A method of treating a disease mediated by VAP-1 in a subject in need thereof, the method comprising, consisting essentially of, or consisting of administering to the subject a therapeutically effective amount of the compound according to any one of Paras. A-U, or an isomer thereof, or a pharmaceutically acceptable salt thereof, or a therapeutically effective amount of the pharmaceutical composition according to Para. V.

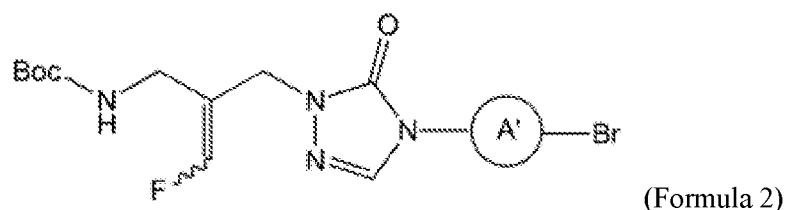
[0960] Para.AG. The method of Para. AF, wherein the disease mediated by VAP-1 is selected from the group consisting of lipid and lipoprotein disorders, conditions and diseases which result from chronic fatty and fibrotic degeneration of organs due to accumulated lipid and specifically triglyceride accumulation and subsequent activation of profibrotic pathways, Type I or Type II Diabetes and clinical complications of Type I and Type II Diabetes, chronic intrahepatic or some forms of extrahepatic cholestatic conditions, liver fibrosis, acute intrahepatic cholestatic conditions, obstructive or chronic inflammatory disorders that arise out of improper bile composition, gastrointestinal conditions with a reduced uptake of dietary fat and fat-soluble dietary vitamins, inflammatory bowel diseases, obesity and metabolic syndrome (combined conditions of dyslipidemia, diabetes and abnormally high body-mass index), persistent infections by intracellular bacteria or parasitic protozoae, non-malignant hyperproliferative disorders, malignant hyperproliferative disorders, colon adenocarcinoma and hepatocellular carcinoma in particular, liver steatosis and associated syndromes, Hepatitis B infection, Hepatitis C infection and/or of cholestatic and fibrotic effects that are associated with alcohol-induced cirrhosis or with viral-borne forms of hepatitis, liver failure or liver malfunction as an outcome of chronic liver diseases or of surgical liver resection, acute myocardial infarction, acute stroke, thrombosis which occurs as an endpoint of chronic obstructive atherosclerosis, osteoarthritis, rheumatoid arthritis, psoriasis, and cerebral infarction, individually or any combination thereof.

[0961] Para.AH. A method of preparing a compound of Formula 1a, or an isomer thereof, or a pharmaceutically acceptable salt thereof,



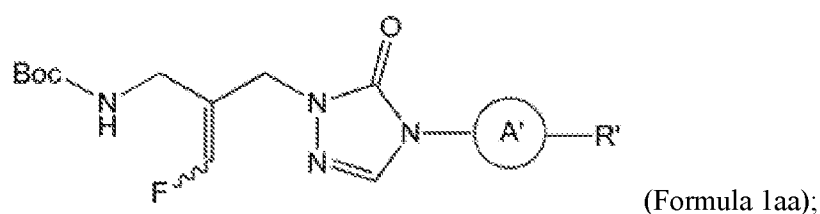
the method comprising

(a) reacting a compound of Formula 2 with a compound of Formula 3a or a compound of Formula 3b to obtain a compound of Formula 1aa;



Z_2-B-R' (Formula 3a)

$HC\equiv CR'$ (Formula 3b)

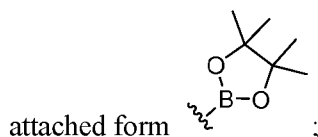


wherein

Boc is an amine protecting group;

A' is an aryl or heteroaryl group selected from the group consisting of phenyl, pyridine, pyrazine, and thiazole;

Z is hydroxy or C_{1-3} alkoxy, or two Z together with the boron to which they are



R' is one to three groups independently selected from the group consisting of -R, - CH_2-R , - $CH=CH-R$, and - $C\equiv C-R$; and

R is a substituted or unsubstituted cyclic ring, optionally containing at least one heteroatom, and the cyclic ring is aromatic or non-aromatic; and

(b) removing Boc from the compound of Formula 1aa under reaction conditions to obtain the compound of Formula 1a, or the isomer thereof, or the pharmaceutically acceptable salt thereof.

[0962] Para.AI. The method of Para. AH, wherein the cyclic ring is selected from the group consisting of benzene, phenylbenzene, pyridine, tetrahydropyridine, pyridin-2-one, pyrimidine, thiophene, thiazole, imidazole, pyrazole, piperazine, morpholine, benzodioxole, benzoxadiazole, benzothiophene, benzothiazole, 2,3-dihydro-benzodioxine, indazole, indole,

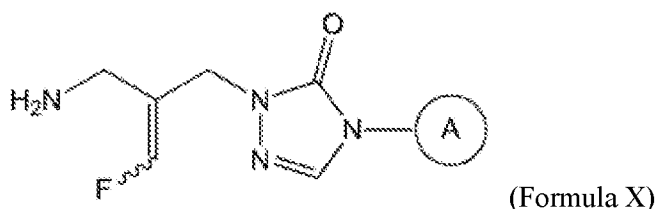
1,3-dihydroindol-2-one, 1,2-dihydroindol-3-one, quinoline, isoquinoline, quinolin-2-one, 3,4-dihydroquinolin-2-one, 3,4-dihydro-1,4-benzoxazine, 1,4-benzoxazin-3-one, 3,1-benzoxazin-2-one, 2,3-dihydro-imidazo[4,5-b]pyridine, oxazolo[4,5-b]pyridin-2-one, 2,3-dihydro-pyrido[2,3-b][1,4]oxazine, 3,4-dihydro-pyrido[3,2-b][1,4]oxazine, pyrido[2,3-b][1,4]oxazin-2-one, pyrido[3,2-b][1,4]oxazin-3-one, and dibenzo[b,d]furan;

wherein said cyclic ring is optionally substituted with one or two substituents selected from the group consisting of hydroxy, halogen, C₁₋₆ alkyl, trifluoromethyl, C₁₋₆ alkoxy, trifluoromethoxy, amino, mono- or di-C₁₋₆ alkylamino, C₁₋₆ alkylcarbonylamino, C₁₋₆ alkylthio, mono- or di-C₁₋₆ alkylaminosulfonyl, C₁₋₆ alkylsulfonyl, C₁₋₆ alkylcarbonyl, morpholinylcarbonyl, benzodioxolyl, pyrrolidinyl, piperazinyl, acetylpiperazinyl, morpholinyl, tetrahydropyranyl, triazolyl, tetrazolyl, isoxazolyl, oxazolyl, oxadiazolyl, cyclopropyl-oxadiazolyl, C₁₋₆ alkyl-oxadiazolyl, and oxadiazol-5-onyl.

CLAIMS

WHAT IS CLAIMED IS:

1. A compound of Formula X, or an isomer thereof, or a pharmaceutically acceptable salt thereof:

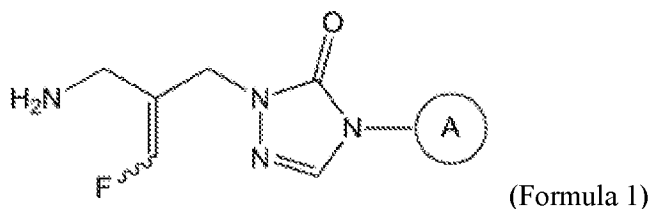


wherein

A is an aryl or heteroaryl group, said heteroaryl group has 1 to 5 heteroatom ring members chosen from O, N, or S, and said aryl or heteroaryl group is optionally substituted with one to three substituents chosen from C₁₋₃ alkyl, C₁₋₃ alkoxy, halogen, benzyloxy, -R, -CH₂-R, -CH=CH-R, and -C≡C-R; and

R is substituted or unsubstituted cyclic ring, optionally containing 1 to 5 heteroatom ring members chosen from O, N, or S, and the cyclic ring is aromatic or non-aromatic.

2. The compound, or an isomer thereof, or a pharmaceutically acceptable salt thereof, of Claim 1, wherein A is selected from phenyl, naphthalene, pyridine, pyrimidine, pyrazine, triazine, thiazole, thiophene, pyrrole, pyrazole, imidazole, triazole, tetrazole, furan, oxazole, isoxazole, oxadiazole, and thiadiazole.
3. The compound, or an isomer thereof, or a pharmaceutically acceptable salt thereof, of Claim 1 or Claim 2, wherein A is selected from phenyl, pyridine, pyrazine, and thiazole.
4. A compound of Formula 1 below



or an isomer thereof, or a pharmaceutically acceptable salt thereof;

wherein

A is an aryl or heteroaryl group selected from the group consisting of phenyl, pyridine, pyrazine, and thiazole,

wherein said aryl or heteroaryl group is optionally substituted with one to three substituents selected from the group consisting of C₁₋₃ alkyl, C₁₋₃ alkoxy, halogen, benzyloxy, -R, -CH₂-R, -CH=CH-R, and -C≡C-R,

wherein said R is a cyclic ring selected from the group consisting of benzene, phenylbenzene, pyridine, tetrahydropyridine, pyridin-2-one, pyrimidine, thiophene, thiazole, imidazole, pyrazole, piperazine, morpholine, benzodioxole, benzoxadiazole, benzothiophene, benzothiazole, 2,3-dihydro-benzodioxine, indazole, indole, 1,3-dihydroindol-2-one, 1,2-dihydroindol-3-one, quinoline, isoquinoline, quinolin-2-one, 3,4-dihydroquinolin-2-one, 3,4-dihydro-1,4-benzoxazine, 1,4-benzoxazin-3-one, 3,1-benzoxazin-2-one, 2,3-dihydro-imidazo[4,5-b]pyridine, oxazolo[4,5-b]pyridin-2-one, 2,3-dihydro-pyrido[2,3-b][1,4]oxazine, 3,4-dihydro-pyrido[3,2-b][1,4]oxazine, pyrido[2,3-b][1,4]oxazin-2-one, pyrido[3,2-b][1,4]oxazin-3-one, and dibenzo[b,d]furan,

wherein said cyclic ring is optionally substituted with one or two substituents selected from the group consisting of hydroxy, halogen, C₁₋₆ alkyl, trifluoromethyl, C₁₋₆ alkoxy, trifluoromethoxy, amino, mono- or di-C₁₋₆ alkylamino, C₁₋₆ alkylcarbonylamino, C₁₋₆ alkylthio, mono- or di-C₁₋₆ alkylaminosulfonyl, C₁₋₆ alkylsulfonyl, C₁₋₆ alkylcarbonyl, morpholinylcarbonyl, benzodioxolyl, pyrrolidinyl, piperazinyl, acetylpiperazinyl, morpholinyl, tetrahydropyranyl, triazolyl, tetrazolyl, isoxazolyl, oxazolyl, oxadiazolyl, cyclopropyl-oxadiazolyl, C₁₋₆ alkyl-oxadiazolyl, and oxadiazol-5-onyl.

5. The compound, or an isomer thereof, or a pharmaceutically acceptable salt thereof, of any one of Claims 1-4, wherein A is pyridine.

6. The compound, or an isomer thereof, or a pharmaceutically acceptable salt thereof, of any one of Claims 1-4, wherein said aryl or heteroaryl group is substituted with one or two substituents selected from the group consisting of C₁₋₃ alkyl, halogen, -R, and -C≡C-R.

7. The compound, or an isomer thereof, or a pharmaceutically acceptable salt thereof, of any one of Claims 1-6, wherein said R is a cyclic ring selected from the group consisting of benzene, pyridine, and pyrazole.
8. The compound, or an isomer thereof, or a pharmaceutically acceptable salt thereof, of Claim 7, wherein said cyclic ring is unsubstituted; or substituted with a substituent selected from the group consisting of C₁₋₆ alkyl, trifluoromethyl, and oxazolyl.
9. The compound, or an isomer thereof, or a pharmaceutically acceptable salt thereof, of any one of Claims 1-4, wherein
A is pyridine,
wherein said pyridine is substituted with one or two substituents selected from the group consisting of C₁₋₃ alkyl, halogen, -R, and -C≡C-R,
wherein said R is a cyclic ring selected from the group consisting of benzene, pyridine, and pyrazole,
wherein said cyclic ring is unsubstituted; or substituted with a substituent selected from the group consisting of C₁₋₆ alkyl, trifluoromethyl, and oxazolyl.
10. The compound, or an isomer thereof, or a pharmaceutically acceptable salt thereof, of any one of Claims 1-4, which is selected from the group consisting of the compounds below:
2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(4-bromophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one;
2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(4-bromophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one;
2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(3-bromophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;
2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(3-bromophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;
2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(4-fluorophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one;
2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(4-fluorophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;
2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4-(benzyloxy)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4-(benzyloxy)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(3,4-difluorophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(3,4-difluorophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(4-bromo-2-fluorophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(4-bromo-2-fluorophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(4-bromo-3-fluorophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(4-bromo-3-fluorophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(4-bromo-3-methylphenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(4-bromo-3-methylphenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(4-bromo-3-methoxyphenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(4-bromo-3-methoxyphenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(4-bromo-3,5-difluorophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(4-bromo-3,5-difluorophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(4-bromo-2,6-difluorophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(4-bromo-2,6-difluorophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(4-bromo-2,5-difluorophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(6-bromopyridin-3-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(6-bromopyridin-3-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(6-bromo-5-methylpyridin-3-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(6-bromo-5-methylpyridin-3-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(6-bromo-4-methylpyridin-3-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-bromopyridin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-bromopyridin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-bromo-3-methylpyridin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-bromo-3-methylpyridin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-bromo-4-methylpyridin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-bromo-4-methylpyridin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-bromo-3-fluoropyridin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-bromo-3-fluoropyridin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(6-bromopyridin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-bromopyrazin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(1,3-thiazol-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-bromo-1,3-thiazol-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-bromo-1,3-thiazol-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

4-[4-(5-acetylthiophen-2-yl)phenyl]-2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-fluoro-4'-(4H-1,2,4-triazol-3-yl)biphenyl-4-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-fluoro-3'-(4H-1,2,4-triazol-3-yl)biphenyl-4-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4-(1,3-benzodioxol-5-yl)-2-fluorophenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4-(2,1,3-benzoxadiazol-5-yl)-2-fluorophenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-bromo-2-methoxy-4-(morpholin-4-yl)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(1,2,3,6-tetrahydropyridin-4-yl)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one dihydrochloride;

4-[3-(5-acetylthiophen-2-yl)phenyl]-2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4'-(trifluoromethoxy)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4'-(dimethylamino)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4'-(propan-2-ylsulfanyl)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4'-(methylsulfonyl)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4'-(methylsulfonyl)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

3'-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}-N,N-dimethylbiphenyl-4-sulfonamide hydrochloride;

N-(3'-{1-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}biphenyl-4-yl)acetamide hydrochloride;

N-(3'-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}biphenyl-4-yl)acetamide hydrochloride;

2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4'-(4H-1,2,4-triazol-3-yl)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4'-(4H-1,2,4-triazol-3-yl)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3'-(4H-1,2,4-triazol-3-yl)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4'-(1,2,4-oxadiazol-3-yl)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3'-(1,2,4-oxadiazol-3-yl)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4'-(5-cyclopropyl-1,2,4-oxadiazol-3-yl)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{4'-[5-(propan-2-yl)-1,2,4-oxadiazol-3-yl]biphenyl-3-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{3'-[5-(propan-2-yl)-1,2,4-oxadiazol-3-yl]biphenyl-3-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4'-(methylsulfonyl)-1,1':4',1''-terphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4'-(methylsulfonyl)-1,1':3',1''-terphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

N-(3''-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}-1,1':4',1''-terphenyl-4-yl)acetamide hydrochloride;

N-(3''-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}-1,1':3',1''-terphenyl-4-yl)acetamide hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4'-(1,3-benzodioxol-5-yl)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3'-(1,3-benzodioxol-5-yl)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

4-[4'-(4-acetylpiperazine-1-yl)biphenyl-3-yl]-2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4'-(tetrahydro-2H-pyran-4-yl)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4'-(morpholin-4-yl)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3'-fluoro-4'-(morpholin-4-yl)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3'-chloro-4'-(morpholin-4-yl)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3'-methyl-4'-(morpholin-4-yl)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4'-(morpholin-4-ylcarbonyl)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(2-methoxypyridin-4-yl)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

N-[4-(3-{1-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}phenyl)pyridin-2-yl]acetamide hydrochloride;

N-[4-(3-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}phenyl)pyridin-2-yl]acetamide hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(pyridin-3-yl)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(6-methoxypyridin-3-yl)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{3-[6-(trifluoromethyl)pyridin-3-yl]phenyl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(6-chloropyridin-3-yl)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{3-[6-(morpholin-4-yl)pyridin-3-yl]phenyl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{3-[6-methoxy-5-(trifluoromethyl)pyridin-3-yl]phenyl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{3-[6-hydroxy-5-(trifluoromethyl)pyridin-3-yl]phenyl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

5-(3-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}phenyl)-1,3-dimethylpyridin-2(1H)-one hydrochloride;

5-(3-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}phenyl)-1-(propan-2-yl)pyridin-2(1H)-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(2-methylpyrimidin-5-yl)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(1,3-benzodioxol-5-yl)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(1,3-benzodioxol-5-yl)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(2,3-dihydro-1,4-benzodioxin-6-yl)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(2,3-dihydro-1,4-benzodioxin-6-yl)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(2,1,3-benzoxadiazol-5-yl)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(1H-indazol-6-yl)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(1H-indol-4-yl)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

4-[3-(2-amino-1,3-benzothiazol-5-yl)phenyl]-2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(1H-indol-3-yl)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(1-benzothiophen-2-yl)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

6-(3-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}phenyl)-8-methyl-3,4-dihydroquinolin-2(1H)-one hydrochloride;

6-(3-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}phenyl)-2H-1,4-benzoxazin-3(4H)-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(isoquinolin-4-yl)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(8-methylquinolin-5-yl)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[2-methyl-4'-(methylsulfonyl)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

N-(3'-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}-2'-methylbiphenyl-4-yl)acetamide;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[2-methyl-4'-(1,2-oxazol-3-yl)biphenyl-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

N-[4-(3-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}-2-methylphenyl)pyridin-2-yl]acetamide;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{2-methyl-3-[6-(morpholin-4-yl)pyridin-3-yl]phenyl}-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(1,3-benzodioxol-5-yl)-2-methylphenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(2,3-dihydro-1,4-benzodioxin-6-yl)-2-methylphenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(2,1,3-benzoxadiazol-5-yl)-2-methylphenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

4-[3-(2-amino-1,3-benzothiazol-5-yl)-2-methylphenyl]-2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{5-methyl-6-[4-(1H-1,2,4-triazol-3-yl)phenyl]pyridin-3-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{5-methyl-6-[3-(1H-1,2,4-triazol-3-yl)phenyl]pyridin-3-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[6-(1,3-benzodioxol-5-yl)-5-methylpyridin-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

4-[6-(2-amino-1,3-benzothiazol-5-yl)-5-methylpyridin-3-yl]-2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{4-methyl-6-[4-(methylsulfonyl)phenyl]pyridin-3-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one;

N-[4-(5-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}-4-methylpyridin-2-yl)phenyl]acetamide;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{4-methyl-6-[4-(1H-1,2,4-triazol-3-yl)phenyl]pyridin-3-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{4-methyl-6-[3-(1H-1,2,4-triazol-3-yl)phenyl]pyridin-3-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one;

N-(5-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}-4-methyl-2,4'-bipyridin-2'-yl)acetamide;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4-methyl-6'-(morpholin-4-yl)-2,3'-bipyridin-5-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[6-(2,1,3-benzoxadiazol-5-yl)-4-methylpyridin-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[6-(1H-indazol-6-yl)-4-methylpyridin-3-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

4-[6-(2-amino-1,3-benzothiazol-5-yl)-4-methylpyridin-3-yl]-2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

N-[5-(5-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}-4-methylpyridin-2-yl)-1,3-benzothiazol-2-yl]acetamide;

2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{5-[4-(methylsulfonyl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

N-[4-(6-{1-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}pyridin-3-yl)phenyl]acetamide hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-methyl-5-(piperazin-1-yl)pyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

4-[5-(5-acetylthiophen-2-yl)-3-methylpyridin-2-yl]-2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{3-methyl-5-[4-(methylsulfonyl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

N-[4-(6-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}-5-methylpyridin-3-yl)phenyl]acetamide hydrochloride;

2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{3-methyl-5-[4-(4H-1,2,4-triazol-3-yl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{3-methyl-5-[4-(4H-1,2,4-triazol-3-yl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{3-methyl-5-[3-(4H-1,2,4-triazol-3-yl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{3-methyl-5-[4-(1,2,5-oxadiazol-3-yl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{3-methyl-5-[4-(1,2,4-oxadiazol-3-yl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{3-methyl-5-[3-(1,2,4-oxadiazol-3-yl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{5-[4-(5-cyclopropyl-1,2,4-oxadiazol-3-yl)phenyl]-3-methylpyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(3-methyl-5-{4-[5-(propane-2-yl)-1,2,4-oxadiazol-3-yl]phenyl}pyridin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(3-methyl-5-{3-[5-(propan-2-yl)-1,2,4-oxadiazol-3-yl]phenyl}pyridin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{3-methyl-5-[3-(1H-tetrazol-5-yl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{3-methyl-5-[4-(piperazin-1-yl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{3-methyl-5-[4-(morpholin-4-ylcarbonyl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

6-(6-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}-5-methylpyridin-3-yl)-2H-1,4-benzoxazin-3(4H)-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-methyl-6'-(trifluoromethyl)-3,3'-bipyridin-6-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-methyl-6'-(morpholin-4-yl)-3,3'-bipyridin-6-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-(2H-1,3-benzodioxol-5-yl)-3-methylpyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

6-(6-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-

triazol-4-yl}-5-methylpyridin-3-yl)-1,3-dihydro-2H-indol-2-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-(2,1,3-benzoxadiazol-5-yl)-3-methylpyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-(1H-indazol-6-yl)-3-methylpyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

6-(6-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}-5-methylpyridin-3-yl)-8-methyl-3,4-dihydroquinolin-2(1H)-one hydrochloride;

6-(6-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}-5-methylpyridin-3-yl)-2H-1,4-benzoxazin-3(4H)-one hydrochloride;

7-(6-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}-5-methylpyridin-3-yl)-1,4-dihydro-2H-3,1-benzoxazin-2-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{4-methyl-5-[4-(1H-1,2,4-triazol-3-yl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{4-methyl-5-[3-(1H-1,2,4-triazol-3-yl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-(1,3-benzodioxol-5-yl)-4-methylpyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-(1H-indazol-6-yl)-4-methylpyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

4-[5-(2-amino-1,3-benzothiazol-5-yl)-4-methylpyridin-2-yl]-2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-(1,3-benzodioxol-5-yl)-3-fluoropyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

6-(6-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}-5-fluoropyridin-3-yl)-1,2-dihydro-3H-indol-3-one;

4-[5-(2-amino-1,3-benzothiazol-5-yl)-3-fluoropyridin-2-yl]-2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{4-[4-(methylsulfonyl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one;

N-[4-(2-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}pyridin-4-yl)phenyl]acetamide;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{4-[4-(1,2-oxazol-3-

yl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one;

N-(2'-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}-4,4'-bipyridin-2-yl)acetamide;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[6-(morpholin-4-yl)-3,4'-bipyridin-2'-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4-(1,3-benzodioxol-5-yl)pyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4-(2,3-dihydro-1,4-benzodioxin-6-yl)pyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4-(2,1,3-benzoxadiazol-5-yl)pyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

4-[4-(2-amino-1,3-benzothiazol-5-yl)pyridin-2-yl]-2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{6-[3-(dimethylamino)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

N-[4-(6-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}pyridin-2-yl)phenyl]acetamide;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{6-[4-(1,2-oxazol-3-yl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{6-[4-(1,2,5-oxadiazol-3-yl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{6-[4-(5-cyclopropyl-1,2,4-oxadiazol-3-yl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{6-[3-(5-cyclopropyl-1,2,4-oxadiazol-3-yl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(6-{4-[5-(propan-2-yl)-1,2,4-oxadiazol-3-yl]phenyl}pyridin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(6-{3-[5-(propan-2-yl)-1,2,4-oxadiazol-3-yl]phenyl}pyridin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one;

3-[4-(6-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}pyridin-2-yl)phenyl]-1,2,4-oxadiazol-5(4H)-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{6-[4-(pyrrolidin-1-

yl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{6-[4-(piperazin-1-yl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{6-[3-(piperazin-1-yl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{6-[4-(morpholin-4-ylcarbonyl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[6'-(trifluoromethyl)-2,3'-bipyridin-6-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[6'-(dimethylamino)-2,3'-bipyridin-6-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[6'-(morpholin-4-yl)-2,3'-bipyridin-6-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(6'-fluoro-5'-methyl-2,3'-bipyridin-6-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[6'-(dimethylamino)-5'-fluoro-2,3'-bipyridin-6-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{6-[1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[6-(1,3-benzodioxol-5-yl)pyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[6-(2,3-dihydro-1,4-benzodioxin-6-yl)pyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[6-(2,1,3-benzoxadiazol-5-yl)pyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

4-[6-(2-amino-1,3-benzothiazol-5-yl)pyridin-2-yl]-2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

6-(6-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}pyridin-2-yl)-8-methyl-3,4-dihydroquinolin-2(1H)-one hydrochloride;

6-[6-[1-[(E)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]-2-pyridyl]-1-methyl-3,4-dihydroquinolin-2-one hydrochloride;

6-(3-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-

triazol-4-yl}pyridin-2-yl)-2H-1,4-benzoxazin-3(4H)-one hydrochloride;

7-(6-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}pyridin-2-yl)-1,4-dihydro-2H-3,1-benzoxazin-2-one hydrochloride;

5-(6-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}pyridin-2-yl)quinolin-2(1H)-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[6-(4-methyl-3,4-dihydro-2H-1,4-benzoxazin-7-yl)pyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[6-(dibenzo[b,d]furan-4-yl)pyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{6-[4-(5-cyclopropyl-1,2,4-oxadiazol-3-yl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(2-{4-[5-(propan-2-yl)-1,2,4-oxadiazol-3-yl]phenyl}pyridin-4-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(2-{3-[5-(propan-2-yl)-1,2,4-oxadiazol-3-yl]phenyl}pyridin-4-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{2-[4-(morpholin-4-yl)phenyl]pyridin-4-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{2-[4-(morpholin-4-ylcarbonyl)phenyl]pyridin-4-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[2-(1,3-benzodioxol-5-yl)pyridin-4-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{6-[3-(5-cyclopropyl-1,2,4-oxadiazol-3-yl)phenyl]-3-methylpyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{3-methyl-6-[4-(morpholin-4-ylcarbonyl)phenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(6'-methoxy-5-methyl-2,3'-bipyridin-6-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[6-(1,3-benzodioxol-5-yl)-3-methylpyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[6-(1H-indazol-6-yl)-3-methylpyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

6-(6-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}-5-methylpyridin-2-yl)-8-methyl-3,4-dihydroquinolin-2(1H)-one hydrochloride;

6-(6-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}-5-methylpyridin-2-yl)-2H-1,4-benzoxazin-3(4H)-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{5-[4-(methylsulfonyl)phenyl]pyrazin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{5-[4-(1H-1,2,4-triazol-3-yl)phenyl]pyrazin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{5-[3-(1H-1,2,4-triazol-3-yl)phenyl]pyrazin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one;

N-[4-(5-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}pyrazin-2-yl)pyridin-2-yl]acetamide;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{5-[6-(morpholin-4-yl)pyridin-3-yl]pyrazin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-(2,1,3-benzoxadiazol-5-yl)pyrazin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-(1H-indazol-6-yl)pyrazin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

4-[5-(2-amino-1,3-benzothiazol-5-yl)pyrazin-2-yl]-2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one;

N-[5-(5-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}pyrazin-2-yl)-1,3-benzothiazol-2-yl]acetamide;

2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{5-[4-(methylsulfonyl)phenyl]-1,3-thiazol-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{5-[4-(4H-1,2,4-triazol-3-yl)phenyl]-1,3-thiazol-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{5-[3-(4H-1,2,4-triazol-3-yl)phenyl]-1,3-thiazol-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{5-[4-(4H-1,2,4-oxadiazol-3-yl)phenyl]-1,3-thiazol-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{5-[3-(1H-tetrazol-5-yl)phenyl]-1,3-thiazol-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one;

N-[4-(2-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}-1,3-thiazol-5-yl)pyridin-2-yl]acetamide;

2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-(1,3-benzodioxol-5-yl)-1,3-thiazol-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

6-(2-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}-1,3-thiazol-5-yl)-1,3-dihydro-2H-indol-2-one;

2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-(2,1,3-benzoxadiazol-5-yl)-1,3-thiazol-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

N-[5-(4-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}benzyl)-1,3-thiazol-2-yl]acetamide hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{4-[(E)-2-phenylethenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{6-[(E)-2-(thiophen-3-yl)ethenyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(6-{(E)-2-[4-(trifluoromethyl)phenyl]ethenyl}pyridin-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(E)-2-(aminomethyl)-3-fluoro-allyl]-4-[6-[(E)-2-[4-(dimethylamino)phenyl]vinyl]-2-pyridyl]-1,2,4-triazol-3-one hydrochloride;

2-[(E)-2-(aminomethyl)-3-fluoro-allyl]-4-[6-[(E)-2-(3-methyl-1,2-dihydroimidazo[4,5-b]pyridin-6-yl)vinyl]-2-pyridyl]-1,2,4-triazol-3-one hydrochloride;

7-[(E)-2-[6-[1-[(E)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]-2-pyridyl]vinyl]-1H-pyrido[2,3-b][1,4]oxazin-2-one hydrochloride;

6-[(E)-2-[6-[1-[(E)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]-2-pyridyl]vinyl]-3H-oxazolo[4,5-b]pyridin-2-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[3-(pyridin-3-ylethynyl)phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4-(pyridin-2-ylethynyl)pyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4-(pyridin-3-ylethynyl)pyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[4-(pyridin-4-ylethynyl)pyridin-2-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{6-[(6-methoxypyridin-3-yl)ethynyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one;

2-[(E)-2-(aminomethyl)-3-fluoro-allyl]-4-[6-[2-[6-(dimethylamino)-3-pyridyl]ethynyl]-2-pyridyl]-1,2,4-triazol-3-one;

2-[(E)-2-(aminomethyl)-3-fluoro-allyl]-4-[6-[2-(6-morpholino-3-pyridyl)ethynyl]-2-pyridyl]-1,2,4-triazol-3-one;

2-[(E)-2-(aminomethyl)-3-fluoro-allyl]-4-[6-[2-(3,4-dihydro-2H-1,4-benzoxazin-6-yl)ethynyl]-2-pyridyl]-1,2,4-triazol-3-one;

2-[(E)-2-(aminomethyl)-3-fluoro-allyl]-4-[6-[2-(2,3-dihydro-1H-pyrido[2,3-b][1,4]oxazin-7-yl)ethynyl]-2-pyridyl]-1,2,4-triazol-3-one;

2-[(E)-2-(aminomethyl)-3-fluoro-allyl]-4-[6-[2-(3,4-dihydro-2H-pyrido[3,2-b][1,4]oxazin-7-yl)ethynyl]-2-pyridyl]-1,2,4-triazol-3-one;

2-[(E)-2-(aminomethyl)-3-fluoro-allyl]-4-[6-[2-(2,3-dihydro-1H-pyrido[2,3-b][1,4]oxazin-6-yl)ethynyl]-2-pyridyl]-1,2,4-triazol-3-one;

6-[2-[6-[1-[(E)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]-2-pyridyl]ethynyl]-3,4-dihydro-1H-quinolin-2-one;

7-[2-[6-[1-[(E)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]-2-pyridyl]ethynyl]-4H-pyrido[3,2-b][1,4]oxazin-3-one;

2-[(E)-2-(aminomethyl)-3-fluoro-allyl]-4-[6-[2-(3-methylimidazol-4-yl)ethynyl]-2-pyridyl]-1,2,4-triazol-3-one;

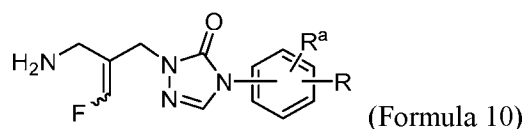
2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{6-[(1-methyl-1H-pyrazol-4-yl)ethynyl]pyridin-2-yl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

7-[2-[6-[1-[(E)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]-2-pyridyl]ethynyl]-1H-pyrido[2,3-b][1,4]oxazin-2-one; and

2-[(E)-2-(aminomethyl)-3-fluoro-allyl]-4-[3-methyl-5-(6-piperazin-1-yl-3-pyridyl)-2-pyridyl]-1,2,4-triazol-3-one;

or an isomer thereof, or a pharmaceutically acceptable salt thereof.

11. A compound of Formula 10:



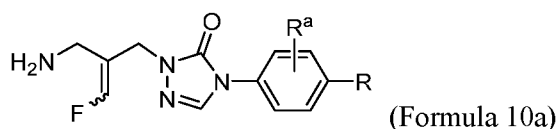
or an isomer thereof, or a pharmaceutically acceptable salt thereof,

wherein

R is a substituted or unsubstituted cyclic ring, optionally containing at least one heteroatom, and the cyclic ring is aromatic or non-aromatic; and

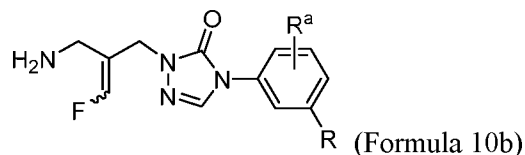
R^a is hydrogen, C₁₋₃ alkyl, C₁₋₃ alkoxy, or halogen.

12. The compound of Claim 11 of Formula 10a:



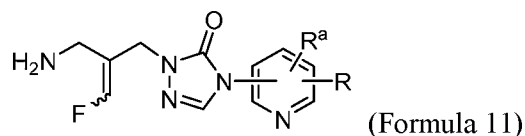
or an isomer thereof, or a pharmaceutically acceptable salt thereof.

13. The compound of Claim 11 of Formula 10b:



or an isomer thereof, or a pharmaceutically acceptable salt thereof.

14. A compound of Formula 11:



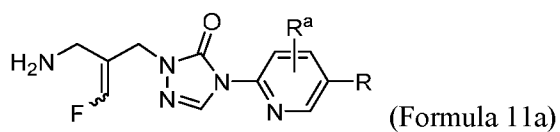
or an isomer thereof, or a pharmaceutically acceptable salt thereof,

wherein

R is a substituted or unsubstituted cyclic ring, optionally containing at least one heteroatom, and the cyclic ring is aromatic or non-aromatic; and

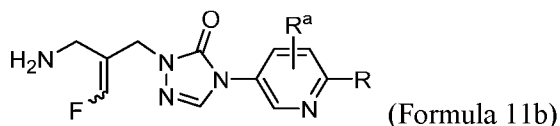
R^a is hydrogen, C_{1-3} alkyl, C_{1-3} alkoxy, or halogen.

15. The compound of Claim 14 of Formula 11a:



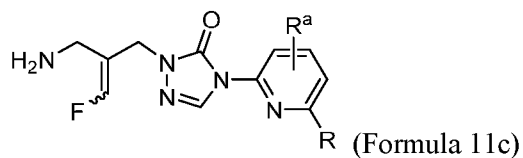
or an isomer thereof, or a pharmaceutically acceptable salt thereof.

16. The compound of Claim 14 of Formula 11b:



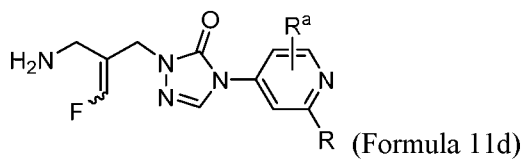
or an isomer thereof, or a pharmaceutically acceptable salt thereof.

17. The compound of Claim 14 of Formula 11c:



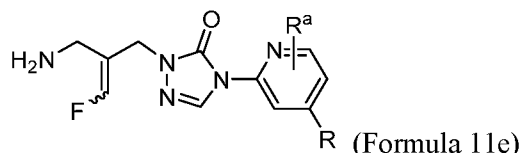
or an isomer thereof, or a pharmaceutically acceptable salt thereof.

18. The compound of Claim 14 of Formula 11d:



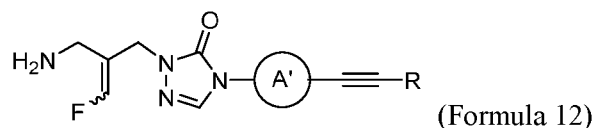
or an isomer thereof, or a pharmaceutically acceptable salt thereof.

19. The compound of Claim 14 of Formula 11e:



or an isomer thereof, or a pharmaceutically acceptable salt thereof.

20. A compound of Formula 12:



or an isomer thereof, or a pharmaceutically acceptable salt thereof,

wherein

A' is pyridine; and

R is a substituted or unsubstituted cyclic ring, optionally containing at least one heteroatom, and the cyclic ring is aromatic or non-aromatic.

21. The compound of any one of Claims 1-3 or 11-20, or an isomer thereof, or a pharmaceutically acceptable salt thereof, wherein R is selected from the group consisting of benzene, phenylbenzene, pyridine, tetrahydropyridine, pyridin-2-one, pyrimidine, thiophene, thiazole, imidazole, pyrazole, piperazine, morpholine, benzodioxole, benzoxadiazole, benzothiophene, benzothiazole, 2,3-dihydro-benzodioxine, indazole, indole, 1,3-dihydroindol-2-one, 1,2-dihydroindol-3-one, quinoline, isoquinoline, quinolin-2-one, 3,4-dihydroquinolin-2-one, 3,4-dihydro-1,4-benzoxazine, 1,4-benzoxazin-3-one, 3,1-benzoxazin-2-one, 2,3-dihydro-imidazo[4,5-b]pyridine, oxazolo[4,5-b]pyridin-2-one, 2,3-dihydro-pyrido[2,3-b][1,4]oxazine, 3,4-dihydro-pyrido[3,2-b][1,4]oxazine, pyrido[2,3-b][1,4]oxazin-2-one, pyrido[3,2-b][1,4]oxazin-3-one, and dibenzo[b,d]furan; and

R is optionally substituted with one or two substituents selected from the group consisting of hydroxy, halogen, C₁₋₆ alkyl, trifluoromethyl, C₁₋₆ alkoxy, trifluoromethoxy, amino, mono- or di- C₁₋₆ alkylamino, C₁₋₆ alkylcarbonylamino, C₁₋₆ alkylthio, mono- or di- C₁₋₆ alkylaminosulfonyl, C₁₋₆ alkylsulfonyl, C₁₋₆ alkylcarbonyl, morpholinylcarbonyl, benzodioxolyl,

pyrrolidinyl, piperazinyl, acetylpiperazinyl, morpholinyl, tetrahydropyranyl, triazolyl, tetrazolyl, isoxazolyl, oxazolyl, oxadiazolyl, cyclopropyl-oxadiazolyl, C₁₋₆ alkyl-oxadiazolyl, and oxadiazol-5-onyl.

22. A pharmaceutical composition comprising the compound according to any one of Claims 1-21, or an isomer thereof, or a pharmaceutically acceptable salt thereof, and at least one pharmaceutically acceptable excipient.

23. A method of selectively inhibiting vascular adhesion protein (VAP-1), comprising administering, to a mammal, a therapeutically effective amount of the compound, or the isomer thereof, or a pharmaceutically acceptable salt thereof according to any one of Claims 1-21.

24. A method of treating NASH in a subject in need thereof, the method comprising administering to the subject a therapeutically effective amount of the compound according to any one of Claims 1-21, or an isomer thereof, or a pharmaceutically acceptable salt thereof, or a therapeutically effective amount of the pharmaceutical composition according to Claim 22.

25. Use of the compound according to any one of Claims 1-21, or an isomer thereof, or a pharmaceutically acceptable salt thereof, for the manufacture of a medicament for the treatment of NASH.

26. A compound according any one of Claims 1-21, or an isomer thereof, or a pharmaceutically acceptable salt thereof, for use in treating NASH.

27. A composition according to Claim 22 for use in treating NASH.

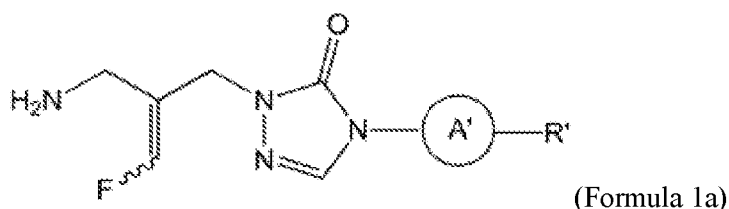
28. A compound according any one of Claims 1-21, or an isomer thereof, or a pharmaceutically acceptable salt thereof, for use in selectively inhibiting VAP-1.

29. A composition according to Claim 22 for use in selectively inhibiting VAP-1.

30. A method of treating a disease mediated by VAP-1 in a subject in need thereof, the method comprising administering to the subject a therapeutically effective amount of the compound according to any one of Claims 1-21, or an isomer thereof, or a pharmaceutically acceptable salt thereof, or a therapeutically effective amount of the pharmaceutical composition according to Claim 22.

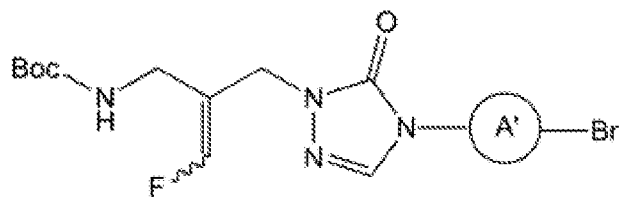
31. The method of Claim 30, wherein the disease mediated by VAP-1 is selected from the group consisting of lipid and lipoprotein disorders, conditions and diseases which result from chronic fatty and fibrotic degeneration of organs due to accumulated lipid and specifically triglyceride accumulation and subsequent activation of profibrotic pathways, Type I or Type II Diabetes and clinical complications of Type I and Type II Diabetes, chronic intrahepatic or some forms of extrahepatic cholestatic conditions, liver fibrosis, acute intrahepatic cholestatic conditions, obstructive or chronic inflammatory disorders that arise out of improper bile composition, gastrointestinal conditions with a reduced uptake of dietary fat and fat-soluble dietary vitamins, inflammatory bowel diseases, obesity and metabolic syndrome (combined conditions of dyslipidemia, diabetes and abnormally high body-mass index), persistent infections by intracellular bacteria or parasitic protozoae, non-malignant hyperproliferative disorders, malignant hyperproliferative disorders, colon adenocarcinoma and hepatocellular carcinoma in particular, liver steatosis and associated syndromes, Hepatitis B infection, Hepatitis C infection and/or of cholestatic and fibrotic effects that are associated with alcohol-induced cirrhosis or with viral-borne forms of hepatitis, liver failure or liver malfunction as an outcome of chronic liver diseases or of surgical liver resection, acute myocardial infarction, acute stroke, thrombosis which occurs as an endpoint of chronic obstructive atherosclerosis, osteoarthritis, rheumatoid arthritis, psoriasis, and cerebral infarction, individually or any combination thereof.

32. A method of preparing a compound of Formula 1a, or an isomer thereof, or a pharmaceutically acceptable salt thereof,



the method comprising

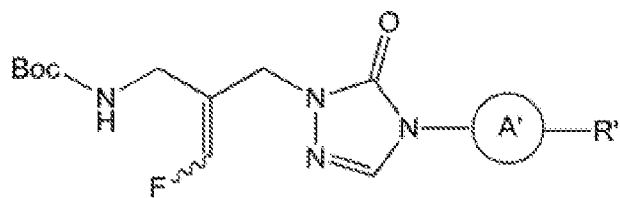
(a) reacting a compound of Formula 2 with a compound of Formula 3a or a compound of Formula 3b to obtain a compound of Formula 1aa;



(Formula 2)

Z_2-B-R' (Formula 3a)

$HC\equiv CR'$ (Formula 3b)



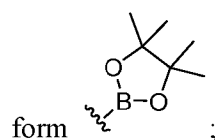
(Formula 1aa);

wherein

Boc is an amine protecting group;

A' is an aryl or heteroaryl group selected from the group consisting of phenyl, pyridine, pyrazine, and thiazole;

Z is hydroxy or C_{1-3} alkoxy, or two Z together with the boron to which they are attached



R' is one to three groups independently selected from the group consisting of -R, $-CH_2-$ R, $-CH=CH-R$, and $-C\equiv C-R$; and

R is a substituted or unsubstituted cyclic ring, optionally containing at least one heteroatom, and the cyclic ring is aromatic or non-aromatic; and

(b) removing Boc from the compound of Formula 1aa under reaction conditions to obtain the compound of Formula 1a, or the isomer thereof, or the pharmaceutically acceptable salt thereof.

33. The method of Claim 32, wherein the cyclic ring is selected from the group consisting of benzene, phenylbenzene, pyridine, tetrahydropyridine, pyridin-2-one, pyrimidine, thiophene, thiazole, imidazole, pyrazole, piperazine, morpholine, benzodioxole, benzoxadiazole,

benzothiophene, benzothiazole, 2,3-dihydro-benzodioxine, indazole, indole, 1,3-dihydroindol-2-one, 1,2-dihydroindol-3-one, quinoline, isoquinoline, quinolin-2-one, 3,4-dihydroquinolin-2-one, 3,4-dihydro-1,4-benzoxazine, 1,4-benzoxazin-3-one, 3,1-benzoxazin-2-one, 2,3-dihydro-imidazo[4,5-b]pyridine, oxazolo[4,5-b]pyridin-2-one, 2,3-dihydro-pyrido[2,3-b][1,4]oxazine, 3,4-dihydro-pyrido[3,2-b][1,4]oxazine, pyrido[2,3-b][1,4]oxazin-2-one, pyrido[3,2-b][1,4]oxazin-3-one, and dibenzo[b,d]furan;

wherein said cyclic ring is optionally substituted with one or two substituents selected from the group consisting of hydroxy, halogen, C₁₋₆ alkyl, trifluoromethyl, C₁₋₆ alkoxy, trifluoromethoxy, amino, mono- or di-C₁₋₆ alkylamino, C₁₋₆ alkylcarbonylamino, C₁₋₆ alkylthio, mono- or di-C₁₋₆ alkylaminosulfonyl, C₁₋₆ alkylsulfonyl, C₁₋₆ alkylcarbonyl, morpholinylcarbonyl, benzodioxolyl, pyrrolidinyl, piperazinyl, acetylpiperazinyl, morpholinyl, tetrahydropyranyl, triazolyl, tetrazolyl, isoxazolyl, oxazolyl, oxadiazolyl, cyclopropyl-oxadiazolyl, C₁₋₆ alkyl-oxadiazolyl, and oxadiazol-5-onyl.

INTERNATIONAL SEARCH REPORT

International application No.
PCT/IB2019/052276**A. CLASSIFICATION OF SUBJECT MATTER****C07D 401/04(2006.01)i, A61K 31/4439(2006.01)i, A61K 31/497(2006.01)i, A61K 31/4196(2006.01)i, C07D 403/04(2006.01)i, C07D 249/16(2006.01)i, A61P 29/00(2006.01)i, A61P 1/16(2006.01)i**

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

C07D 401/04; A61K 31/4196; A61K 31/519; C07D 249/12; C07D 401/10; C07D 403/06; C07D 487/04; A61K 31/4439; A61K 31/497; C07D 403/04; C07D 249/16; A61P 29/00; A61P 1/16

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Korean utility models and applications for utility models

Japanese utility models and applications for utility models

Electronic data base consulted during the international search (name of data base and, where practicable, search terms used)

eKOMPASS(KIPO internal), STN(Registry, Caplus) & keywords: triazolone, fluoroallylamine, VAP-1, vascular adhesion protein, NASH, nonalcoholic hepatosteatosis

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	WO 2017-046738 A1 (GLAXOSMITHKLINE INTELLECTUAL PROPERTY (NO.2) LIMITED) 23 March 2017 see abstract; claim 1.	1-4, 11-20, 32, 33
A	WO 2008-119662 A1 (F. HOFFMANN-LA ROCHE AG) 09 October 2008 See the whole document.	1-4, 11-20, 32, 33
A	WO 2013-134562 A1 (INCEPTION 2, INC.) 12 September 2013 See the whole document.	1-4, 11-20, 32, 33
A	WO 2017-191112 A1 (BAYER PHARMA AKTIENGESELLSCHAFT) 09 November 2017 See the whole document.	1-4, 11-20, 32, 33
A	SUN, S. et al., "Discovery of triazolone derivatives as novel, potent stearyl-CoA desaturase-1 (SCD1) inhibitors", Bioorganic & Medicinal Chemistry, 2015, Vol. 23, No. 3, pp. 455-465 See the whole document.	1-4, 11-20, 32, 33



Further documents are listed in the continuation of Box C.



See patent family annex.

* Special categories of cited documents:

"A" document defining the general state of the art which is not considered to be of particular relevance

"E" earlier application or patent but published on or after the international filing date

"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)

"O" document referring to an oral disclosure, use, exhibition or other means

"P" document published prior to the international filing date but later than the priority date claimed

"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art

"&" document member of the same patent family

Date of the actual completion of the international search

25 July 2019 (25.07.2019)

Date of mailing of the international search report

01 August 2019 (01.08.2019)

Name and mailing address of the ISA/KR

International Application Division

Korean Intellectual Property Office

189 Cheongsa-ro, Seo-gu, Daejeon, 35208, Republic of Korea



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Box No. II Observations where certain claims were found unsearchable (Continuation of item 2 of first sheet)

This international search report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☒ Claims Nos.: 23, 24, 30, 31
because they relate to subject matter not required to be searched by this Authority, namely:
Claims 23, 24, 30 and 31 pertain to methods for treatment of the human body by surgery or therapy and thus relate to a subject matter which this International Searching Authority is not required to search under PCT Article 17(2)(a)(i) and Rule 39.1(iv).
2. ☒ Claims Nos.: 8, 27, 29, 31
because they relate to parts of the international application that do not comply with the prescribed requirements to such an extent that no meaningful international search can be carried out, specifically:
Claims 8, 27, 29 and 31 are unclear because they refer to multiple dependent claims which do not comply with PCT Rule 6.4(a).
3. ☒ Claims Nos.: 5-7, 9, 10, 21-26, 28, 30
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box No. III Observations where unity of invention is lacking (Continuation of item 3 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

1. ☐ As all required additional search fees were timely paid by the applicant, this international search report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fees, this Authority did not invite payment of any additional fees.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this international search report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this international search report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest and, where applicable, the payment of a protest fee.
- ☐ The additional search fees were accompanied by the applicant's protest but the applicable protest fee was not paid within the time limit specified in the invitation.
- ☐ No protest accompanied the payment of additional search fees.

INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No.

PCT/IB2019/052276

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INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No.

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datePatent family
member(s)Publication
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