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(54) Titre : NOUVEAUX DERIVES DE TRIAZOLONE OU LEURS SELS ET COMPOSITIONS PHARMACEUTIQUES LES  
COMPRENANT

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

(57) **Abrégé/Abstract:**

The present technology provides triazolone derivatives or pharmaceutically acceptable salts thereof, preparation processes thereof, pharmaceutical compositions comprising the same, and the use thereof. The 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).

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

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



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**NOVEL TRIAZOLONE DERIVATIVES OR SALTS THEREOF AND  
PHARMACEUTICAL COMPOSITIONS COMPRISING THE SAME**

**CROSS-REFERENCE TO RELATED APPLICATIONS**

**[0001]** This application claims the benefit of priority of Korean Patent Application No. 10-2018-0032548, filed March 21, 2018, which is incorporated by reference herein in its entirety.

**FIELD**

**[0002]** The present technology relates to 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 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- $\kappa$ B when it is present in the substrate, and the NF- $\kappa$ 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 triazolone derivatives having fluoroallylamine groups or their pharmaceutically acceptable salts exhibit selective inhibitory activity on VAP-1. Therefore, the 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 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 a 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 triazolone derivative.

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

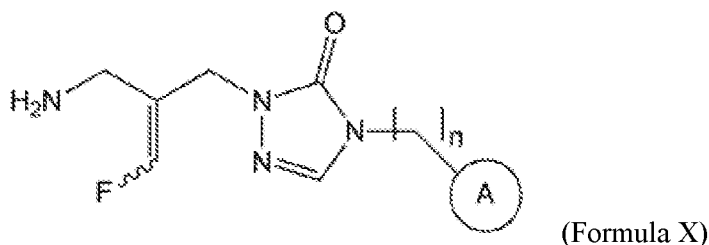
[0014] In accordance with another aspect of the present technology, there is provided a method of treatment comprising administering the triazolone derivative.

[0015] In accordance with another aspect of the present technology, there is provided the

use of the triazolone derivative or its pharmaceutically acceptable salt in the manufacture of a medicament for selective inhibition of vascular adhesion protein-1.

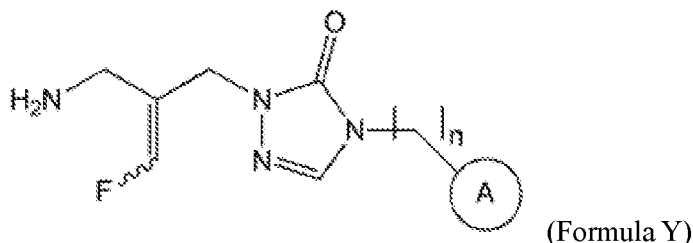
[0016] It was found by the present technology that specific triazolone derivatives having fluoroallylamine groups, or their pharmaceutically acceptable salts, exhibit selective inhibitory activity on VAP-1. Therefore, the compounds according to the present technology or pharmaceutically acceptable salts 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



an isomer thereof, or a pharmaceutically acceptable salt thereof; wherein n is 1 or 2, 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 optionally substituted with a substituent chosen from C<sub>1-3</sub> alkyl, halogen, -R, -CH=CH-R, and -C≡C-R, and R is a 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 thiazole, thiophene, pyrrole, pyrazole, imidazole, triazole, tetrazole, furan, oxazole, isoxazole, oxadiazole, thiadiazole, pyridine, pyrimidine, pyrazine, triazine, indole, azaindole, isoindole, azaisoindole, indazole, azaindazole, benzimidazole, azabenzimidazole, benzothiophene, azabenzothiophene, benzofuran, azabenzofuran, isobenzofuran, azabenzofuran, benzoisoxazole, benzooxazole, benzothiazole, benzothiadiazole, purine, and pyrazolo[1,5-a]pyrimidine. In some embodiments, A is selected from thiophene, thiazole, and benzothiophene

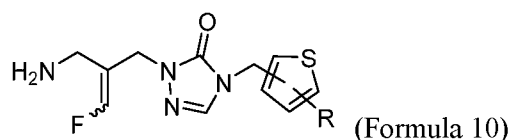
[0018] In another aspect, provided herein are compounds of Formula Y



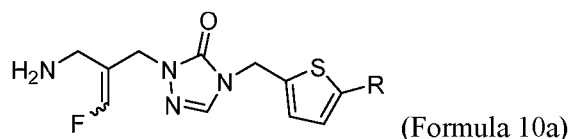
or an isomer thereof, or a pharmaceutically acceptable salt thereof; wherein  $n$  is 1 or 2, wherein  $A$  is a heteroaryl group selected from the group consisting of thiophene, thiazole, and benzothiophene, wherein said heteroaryl group is optionally substituted with a substituent selected from the group consisting of  $C_{1-3}$  alkyl, halogen,  $-R$ ,  $-\text{CH}=\text{CH}-R$ , and  $-\text{C}\equiv\text{C}-R$ , wherein said  $R$  is a cyclic ring selected from the group consisting of benzene, benzyl, pyridine, pyridin-2-one, tetrahydropyridine, pyrimidine, pyridazine, thiophene, imidazole, pyrazole, oxadiazol-5-one, 1,1-dioxidithiomorpholin-4-yl-methyl, benzodioxole, benzooxadiazole, benzothiadiazole, benzooxazole, benzooxazolone, benzothiazole, 1,3-dihydrobenzofuran, indazole, thiazolo[5,4-b]pyridine, pyrrolo[2,3-b]pyridine, pyrrolo[2,3-b]pyridin-2-one, triazolone[1,5-a]pyridine, 1,3-dihydroindol-2-one, 2,3-dihydroisoindol-1-one, triazolone, quinoline, isoquinoline, quinolin-2-one, 3,4-dihydroquinolin-2-one, 3,4-dihydroisoquinolin-1-one, 3,4-dihydroquinazolin-2-one, 3,4-dihydronaphthyridin-2-one, 3,4-dihydro-1,4-benzooxazine, 1,4-benzooxazin-3-one, 3,1-benzooxazin-2-one, 1,4-benzothiazin-3-one, 3,4-dihydro-quinoxaline, 3,4-dihydro-2H-chromene, 1,2,3,4-tetrahydroquinoline, 5,6,7,8-tetrahydronaphthyridine, oxazolo[4,5-b]pyridin-2-one, imidazo[4,5-b]pyridine, pyrido[2,3-b][1,4]oxazine, pyrido[2,3-b][1,4]oxazin-2-one, pyrido[2,3-d][1,3]oxazin-2-one, pyrido[2,3-b][1,4]oxazin-3-one, pyrido[3,2-b][1,4]oxazine, and pyrido[3,2-b][1,4]oxazin-3-one, and wherein said cyclic ring is optionally substituted with one to three substituents selected from the group consisting of hydroxy, halogen, cyano,  $C_{1-6}$  alkyl,  $C_{1-6}$  cycloalkyl, benzyl, trifluoromethyl, hydroxy- $C_{1-6}$  alkyl,  $C_{1-6}$  alkoxy,  $C_{1-6}$  alkoxy- $C_{1-6}$  alkoxy, difluoromethoxy, trifluoro- $C_{1-6}$  alkoxy, 3,5-dimethoxybenzyloxy, ( $C_{1-6}$  cycloalkyl)methoxy, amino, mono- or di- $C_{1-6}$  alkylamino,  $C_{1-6}$  alkoxy- $C_{1-6}$  alkylamino,  $C_{1-6}$  alkylsulfonylamino,  $C_{1-6}$  alkylcarbonylamino,  $C_{1-6}$  alkylthio, mono- or di- $C_{1-6}$  alkylaminocarbonyl, mono- or di- $C_{1-6}$  alkylaminosulfonyl, mono- or di- $C_{1-6}$  cycloalkylaminosulfonyl, pyrrolidinylsulfonyl, morpholinylsulfonyl,  $C_{1-6}$  alkylcarbonyl,  $C_{1-6}$  alkylsulfonyl,  $C_{1-6}$  alkylsulfonyl- $C_{1-6}$  alkyl,  $C_{1-6}$  alkoxy-carbonyl, morpholinylcarbonyl, pyrrolidinyl, 5-oxopyrrolidinyl, piperidinyl,  $C_{1-6}$  alkyl-piperidinyl, piperazinyl, acetylpiperazinyl, morpholinyl, morpholinyl- $C_{1-6}$  alkyl,

tetrahydropyranyl, pyrazolyl, triazolyl, isoxazolyl, oxazolyl, oxadiazolyl, 1,2,4-oxadiazol-5(4H)-onyl, cyclopropyl-oxadiazolyl, and C<sub>1-6</sub> alkyl-oxadiazolyl. In some embodiments, n is 1. In some embodiments, A is thiophene. In some embodiments, said heteroaryl group is substituted with -R. In some embodiments, said R is a cyclic ring selected from the group consisting of benzene, pyridin-2-one, pyrazole, pyrrolo[2,3-b]pyridin-2-one, 1,3-dihydroindol-2-one, 2,3-dihydroisoindol-1-one, quinolin-2-one, 3,4-dihydroquinolin-2-one, 3,4-dihydroisoquinolin-1-one, 3,4-dihydroquinazolin-2-one, 1,4-benzooxazin-3-one, 3,1-benzooxazin-2-one, 1,4-benzothiazin-3-one, pyrido[2,3-b][1,4]oxazin-2-one, and pyrido[3,2-b][1,4]oxazine. In some embodiments, said R is a cyclic ring selected from the group consisting of benzene, pyridin-2-one, pyrazole, 3,4-dihydroquinolin-2-one, 3,4-dihydroquinazolin-2-one, 1,4-benzooxazin-3-one, and 3,1-benzooxazin-2-one. In some embodiments, said cyclic ring is substituted with halogen, C<sub>1-6</sub> alkyl or di-C<sub>1-6</sub> alkylaminocarbonyl. In some embodiments, n is 1, A is thiophene substituted with a cyclic ring selected from the group consisting of benzene, pyridin-2-one, pyrazole, 3,4-dihydroquinolin-2-one, 3,4-dihydroquinazolin-2-one, 1,4-benzooxazin-3-one, and 3,1-benzooxazin-2-one, and said cyclic ring is substituted with halogen, C<sub>1-6</sub> alkyl or di-C<sub>1-6</sub> alkylaminocarbonyl. 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:

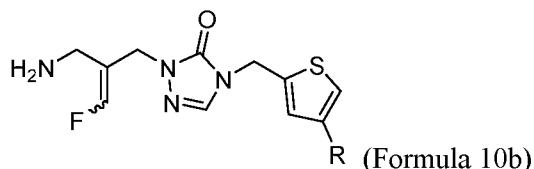


or an isomer thereof, or a pharmaceutically acceptable salt thereof, wherein R is a substituted or unsubstituted cyclic ring, optionally containing at least one heteroatom, and the cyclic ring is aromatic or non-aromatic. In some embodiments, the compound is of Formula 10a:



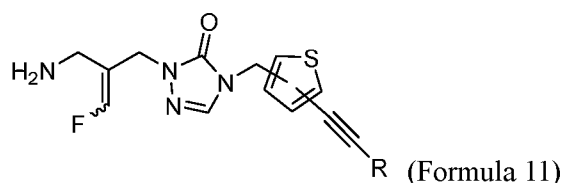
or an isomer thereof, or a pharmaceutically acceptable salt thereof. In some embodiments,

the compound is of Formula 10b:

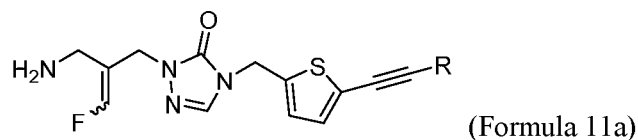


or an isomer thereof, or a pharmaceutically acceptable salt thereof.

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

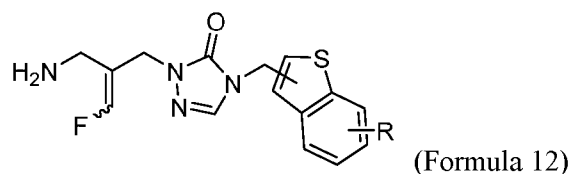


or an isomer thereof, or a pharmaceutically acceptable salt thereof, wherein R is a substituted or unsubstituted cyclic ring, optionally containing at least one heteroatom, and the cyclic ring is aromatic or non-aromatic. In some embodiments, the compound is of Formula 11a:

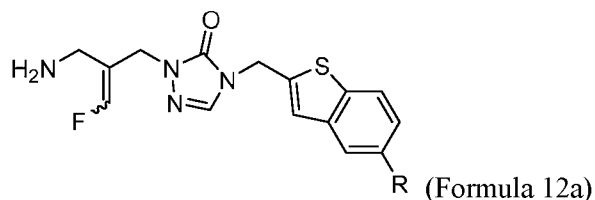


or an isomer thereof, or a pharmaceutically acceptable salt thereof.

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

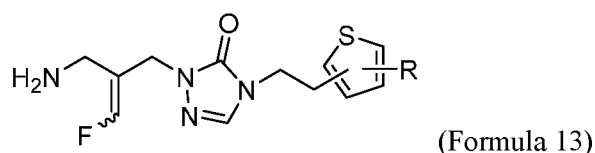


or an isomer thereof, or a pharmaceutically acceptable salt thereof, wherein R is a substituted or unsubstituted cyclic ring, optionally containing at least one heteroatom, and the cyclic ring is aromatic or non-aromatic. In some embodiments, the compound is of Formula 12a:

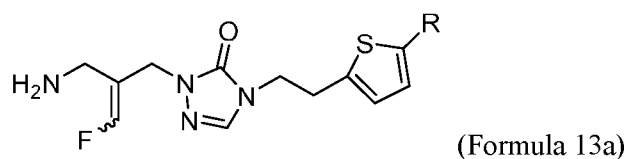


or an isomer thereof, or a pharmaceutically acceptable salt thereof.

[0022] In another aspect, provided herein are compounds of Formula 13:



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. In some embodiments, the compound is of Formula 13a:



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

[0023] In some embodiments of the compound of Formulae X, Y, 10, 10a, 10b, 11, 11a, 12, 12a, 13, or 13a, R is selected from the group consisting of benzene, benzyl, pyridine, pyridin-2-one, tetrahydropyridine, pyrimidine, pyridazine, thiophene, imidazole, pyrazole, oxadiazol-5-one, 1,1-dioxidothiomorpholin-4-yl-methyl, benzodioxole, benzooxadiazole, benzothiadiazole, benzooxazole, benzooxazolone, benzothiazole, 1,3-dihydrobenzofuran, indazole, thiazolo[5,4-b]pyridine, pyrrolo[2,3-b]pyridine, pyrrolo[2,3-b]pyridin-2-one, triazolone[1,5-a]pyridine, 1,3-dihydroindol-2-one, 2,3-dihydroisoindol-1-one, triazolone, quinoline, isoquinoline, quinolin-2-one, 3,4-dihydroquinolin-2-one, 3,4-dihydroisoquinolin-1-one, 3,4-dihydroquinazolin-2-one, 3,4-dihydronaphthyridin-2-one, 3,4-dihydro-1,4-benzooxazine, 1,4-benzooxazin-3-one, 3,1-benzooxazin-2-one, 1,4-benzothiazin-3-one, 3,4-dihydro-quinoxaline, 3,4-dihydro-2H-chromene, 1,2,3,4-tetrahydroquinoline, 5,6,7,8-

tetrahydronaphthyridine, oxazolo[4,5-b]pyridin-2-one, imidazo[4,5-b]pyridine, pyrido[2,3-b][1,4]oxazine, pyrido[2,3-b][1,4]oxazin-2-one, pyrido[2,3-d][1,3]oxazin-2-one, pyrido[2,3-b][1,4]oxazin-3-one, pyrido[3,2-b][1,4]oxazine, and pyrido[3,2-b][1,4]oxazin-3-one, and R is optionally substituted with one to three substituents selected from the group consisting of hydroxy, halogen, cyano, C<sub>1-6</sub> alkyl, C<sub>1-6</sub> cycloalkyl, benzyl, trifluoromethyl, hydroxy-C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkoxy, C<sub>1-6</sub> alkoxy-C<sub>1-6</sub> alkoxy, difluoromethoxy, trifluoro-C<sub>1-6</sub> alkoxy, 3,5-dimethoxybenzyloxy, (C<sub>1-6</sub> cycloalkyl)methoxy, amino, mono- or di-C<sub>1-6</sub> alkylamino, C<sub>1-6</sub> alkoxy-C<sub>1-6</sub> alkylamino, C<sub>1-6</sub> alkylsulfonylamino, C<sub>1-6</sub> alkylcarbonylamino, C<sub>1-6</sub> alkylthio, mono- or di-C<sub>1-6</sub> alkylaminocarbonyl, mono- or di-C<sub>1-6</sub> alkylaminosulfonyl, mono- or di-C<sub>1-6</sub> cycloalkylaminosulfonyl, pyrrolidinylsulfonyl, morpholinylsulfonyl, C<sub>1-6</sub> alkylcarbonyl, C<sub>1-6</sub> alkylsulfonyl, C<sub>1-6</sub> alkylsulfonyl-C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkoxy-carbonyl, morpholinylcarbonyl, pyrrolidinyl, 5-oxopyrrolidinyl, piperidinyl, C<sub>1-6</sub> alkyl-piperidinyl, piperazinyl, acetylpiperazinyl, morpholinyl, morpholinyl-C<sub>1-6</sub> alkyl, tetrahydropyranyl, pyrazolyl, triazolyl, isoxazolyl, oxazolyl, oxadiazolyl, 1,2,4-oxadiazol-5(4H)-onyl, cyclopropyl-oxadiazolyl, and C<sub>1-6</sub> alkyl-oxadiazolyl.

**[0024]** In another aspect, provided herein are pharmaceutical compositions comprising a compound disclosed herein, or an isomer thereof, or a pharmaceutically acceptable salt thereof, and at least one pharmaceutically acceptable excipient.

**[0025]** 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.

**[0026]** 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.

**[0027]** In another aspect, provided herein are uses of a compound disclosed herein, for the manufacture of a medicament for the treatment of NASH.

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

[0029] In another aspect, provided herein are compositions disclosed herein for use in treating NASH.

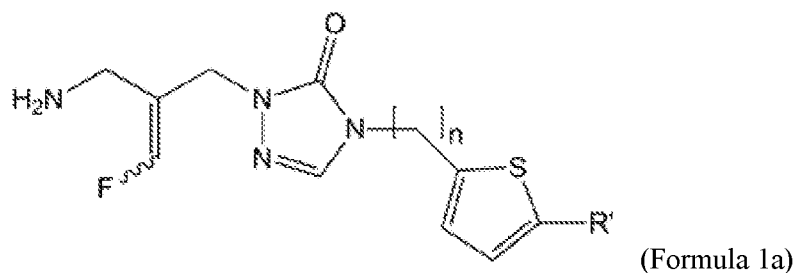
[0030] In another aspect, provided herein are compounds disclosed herein for use in selectively inhibiting VAP-1.

[0031] In another aspect, provided herein are compositions disclosed herein for use in selectively inhibiting VAP-1.

[0032] 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.

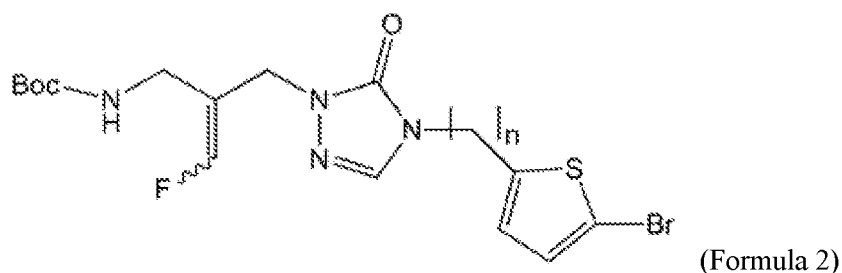
[0033] In another aspect, provided herein are methods of preparing a compound of

Formula 1a,



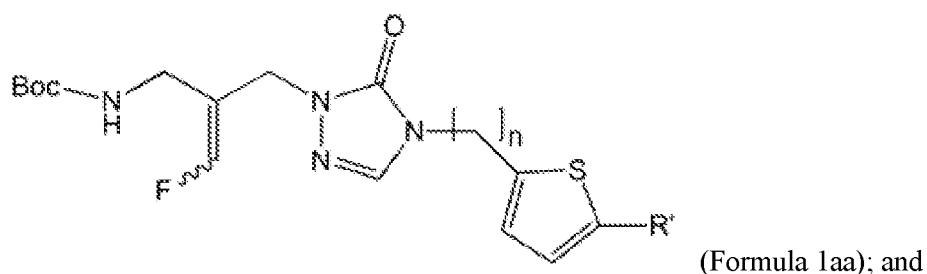
or an isomer thereof, or a pharmaceutically acceptable salt thereof, the method comprising

(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;

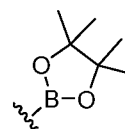


Z<sub>2</sub>-B-R' (Formula 3a)

HC≡CR' (Formula 3b)



(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; wherein n is 1 or 2; Boc is an amine protecting group; Z is hydroxy or



C<sub>1-3</sub> alkoxy, or two Z together with the boron to which they are attached form ; R' is -R, -CH<sub>2</sub>-R, -CH=CH-R, or -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. In some embodiments, R is selected from the group consisting of benzene, benzyl, pyridine, pyridin-2-one, tetrahydropyridine, pyrimidine, pyridazine, thiophene, imidazole, pyrazole, oxadiazol-5-one, 1,1-dioxidothiomorpholin-4-yl-methyl, benzodioxole, benzooxadiazole, benzothiadiazole, benzooxazole, benzooxazolone, benzothiazole, 1,3-dihydrobenzofuran, indazole, thiazolo[5,4-b]pyridine, pyrrolo[2,3-b]pyridine, pyrrolo[2,3-b]pyridin-2-one, triazolone[1,5-a]pyridine, 1,3-dihydroindol-2-one, 2,3-dihydroisoindol-1-one, triazolone, quinoline, isoquinoline, quinolin-2-one, 3,4-dihydroquinolin-2-one, 3,4-dihydroisoquinolin-1-one, 3,4-dihydroquinazolin-2-one, 3,4-dihydronaphthyridin-2-one, 3,4-dihydro-1,4-benzooxazine, 1,4-benzooxazin-3-one, 3,1-benzooxazin-2-one, 1,4-benzothiazin-3-one, 3,4-dihydro-quinoxaline, 3,4-dihydro-2H-chromene, 1,2,3,4-tetrahydroquinoline, 5,6,7,8-tetrahydronaphthyridine, oxazolo[4,5-b]pyridin-2-one, imidazo[4,5-b]pyridine, pyrido[2,3-b][1,4]oxazine, pyrido[2,3-b][1,4]oxazin-2-one, pyrido[2,3-d][1,3]oxazin-2-one, pyrido[2,3-b][1,4]oxazin-3-one, pyrido[3,2-b][1,4]oxazine, and pyrido[3,2-b][1,4]oxazin-3-one, and wherein R is optionally substituted with one to three substituents selected from the group consisting of hydroxy, halogen, cyano, C<sub>1-6</sub> alkyl, C<sub>1-6</sub> cycloalkyl, benzyl, trifluoromethyl, hydroxy-C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkoxy, C<sub>1-6</sub> alkoxy-C<sub>1-6</sub> alkoxy, difluoromethoxy, trifluoro-C<sub>1-6</sub> alkoxy, trifluoroethoxy, 3,5-dimethoxybenzyloxy, (C<sub>1-6</sub> cycloalkyl)methoxy, amino, mono- or di-C<sub>1-6</sub> alkylamino, C<sub>1-6</sub> alkoxy-C<sub>1-6</sub> alkylamino, C<sub>1-6</sub> alkylsulfonylamino, C<sub>1-6</sub> alkylcarbonylamino, C<sub>1-6</sub> alkylthio, mono- or di-C<sub>1-6</sub> alkylaminocarbonyl, mono- or di-C<sub>1-6</sub> alkylaminosulfonyl, mono- or di-C<sub>1-6</sub> cycloalkylaminosulfonyl, pyrrolidinylsulfonyl, morpholinylsulfonyl, C<sub>1-6</sub> alkylcarbonyl, C<sub>1-6</sub> alkylsulfonyl, C<sub>1-6</sub> alkylsulfonyl-C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkoxy carbonyl, morpholinylcarbonyl, pyrrolidinyl, 5-oxopyrrolidinyl, piperidinyl, C<sub>1-6</sub> alkyl-piperidinyl, piperazinyl, acetyl piperazinyl, morpholinyl, morpholinyl-C<sub>1-6</sub> alkyl, tetrahydropyranyl, pyrazolyl, triazolyl, isoxazolyl, oxazolyl, oxadiazolyl, 1,2,4-oxadiazol-5(4H)-onyl, cyclopropyl-oxadiazolyl, and C<sub>1-6</sub> alkyl-oxadiazolyl.

## DETAILED DESCRIPTION

**[0034]** 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.

**[0035]** 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.

**[0036]** "Substantially" or "essentially" means nearly totally or completely, for instance, 95%, 96%, 97%, 98%, 99%, or greater of some given quantity.

**[0037]** 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.

**[0038]** 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.

**[0039]** 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.

**[0040]** 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.

**[0041]** 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.

**[0042]** 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.

**[0043]** 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.

**[0044]** 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, decalinyll, 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.

**[0045]** 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 imidazolidinyl 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]dioxinyl, 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, aziridinyl, azetidiny, pyrrolidinyl, imidazolidinyl, pyrazolidinyl, thiazolidinyl, tetrahydrothiophenyl, tetrahydrofuranly, dioxolyl, furanyl, thiophenyl, pyrrolyl, pyrrolinyl, imidazolyl, imidazoliny, pyrazolyl, pyrazolinyl, triazolyl, tetrazolyl, oxazolyl, isoxazolyl, thiazolyl, thiazolinyl, isothiazolyl, thiadiazolyl, oxadiazolyl, piperidyl, piperazinyl, morpholinyl, thiomorpholinyl, tetrahydropyranly, tetrahydrothiopyranly, oxathiane, dioxyl, dithianyl, pyranly, pyridyl, pyrimidinyl, pyridazinyl, pyrazinyl, triazinyl, dihydropyridyl, dihydrodithiinyl, dihydrodithionyl, homopiperazinyl, quinuclidyl, indolyl, indolinyl, isoindolyl, azaindolyl (pyrrolopyridyl), indazolyl, indoliziny, benzotriazolyl, benzimidazolyl, benzofuranly, benzothiophenyl, benzthiazolyl, benzoxadiazolyl, benzoxazinyl, benzodithiinyl, benzoxathiinyl, benzothiazinyl, benzoxazolyl, benzothiazolyl, benzothiadiaazolyl, benzo[1,3]dioxolyl, pyrazolopyridyl, imidazopyridyl (azabenzimidazolyl), triazolopyridyl, isoxazolopyridyl, purinyl, xanthinyl, adeninyl, guaninyl, quinolinyl, isoquinolinyl, quinoliziny, quinoxalinyl, quinazoliny, cinnolinyl, phthalazinyl, naphthyridinyl, pteridinyl, thianaphthalenyl, dihydrobenzothiazinyl, dihydrobenzofuranly, dihydroindolyl, dihydrobenzodioxinyl, tetrahydroindolyl, tetrahydroindazolyl, tetrahydrobenzimidazolyl, tetrahydrobenzotriazolyl, tetrahydropyrrolopyridyl,

tetrahydropyrazolopyridyl, tetrahydroimidazopyridyl, tetrahydrotriazolopyridyl, and tetrahydroquinolinyl groups. Representative substituted heterocyclic groups may be mono-substituted or substituted more than once, such as, but not limited to, pyridyl or piperazinyl groups, which are 2-, 3-, 4-, 5-, or 6-substituted, or disubstituted with various substituents such as those listed above.

**[0046]** 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.

[0047] 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, C<sub>1-6</sub> 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.

[0048] As used herein, the term "hydroxy" is defined as -OH.

[0049] 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, C<sub>1-6</sub> alkoxy includes methoxy, ethoxy, propoxy, *n*-butoxy, *n*-pentyloxy, isopropoxy, *sec*-butoxy, *tert*-butoxy, neopentyloxy, isopentyloxy, and the like.

[0050] In addition, the term "halogen" refers to fluorine, bromine, chlorine, and iodine.

[0051] In addition, the term "amino" is defined as -NH<sub>2</sub>, and the term "alkylamino" refers to a mono- or di-alkyl substituted amino. For example, C<sub>1-6</sub> alkylamino includes mono- or di-C<sub>1-6</sub> alkyl substituted amino.

[0052] In addition, the term "alkylthio" is defined as -SR\* (wherein R\* is alkyl), and the term "cyano" is defined as -CN.

[0053] 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.

[0054] 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.

**[0055]** 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.

**[0056]** 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.

**[0057]** 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.

**[0058]** “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”

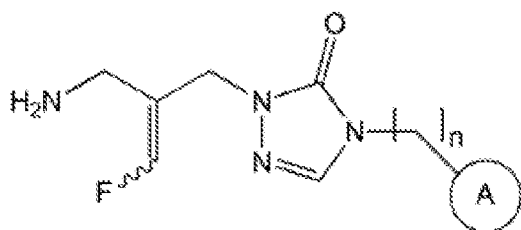
may be used interchangeably, unless otherwise indicated. The methods described herein may be useful in human therapy and/or veterinary applications. In some embodiments, the subject is a mammal. In some embodiments, the subject is a human.

[0059] 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.

[0060] 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.

[0061] 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.

[0062] In one aspect, the present technology provides a compound of Formula X an isomer thereof, or a pharmaceutically acceptable salt thereof



(Formula X)

wherein

n is 1 or 2,

A is a heteroaryl group; said heteroaryl group has 1 to 5 ring heteroatom members chosen from O, N, or S, and said heteroaryl group is optionally substituted with a substituent chosen from C<sub>1-3</sub> alkyl, halogen, -R, -CH=CH-R, and -C≡C-R, and

R is a 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.

**[0063]** 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, 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 C<sub>1-3</sub> alkyl, halogen, -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, 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 C<sub>1-3</sub> alkyl, halogen, -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, 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 C<sub>1-3</sub> alkyl, halogen, -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 C<sub>1-3</sub> alkyl, halogen, -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 C<sub>1-3</sub> alkyl, halogen, -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 thiazolyl group, and said thiazolyl group is substituted with one to three substituents selected from the group consisting of C<sub>1-3</sub> alkyl, halogen, -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 thiophenyl group, and said thiophenyl group is substituted with one to three substituents selected from the group consisting of C<sub>1-3</sub> alkyl, halogen, -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 pyrrolyl group, and said pyrrolyl group is substituted with one to three substituents selected from the group consisting of C<sub>1-3</sub> alkyl, halogen, -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 pyrazolyl group, and said pyrazolyl group is substituted with one to three substituents selected from the group consisting of C<sub>1-3</sub> alkyl, halogen, -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 imidazolyl group, and said imidazolyl group is substituted with one to three substituents selected from the group consisting of C<sub>1-3</sub> alkyl, halogen, -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 triazolyl group, and said triazolyl group is substituted with one to three substituents selected from the group consisting of C<sub>1-3</sub> alkyl, halogen, -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 tetrazolyl group, and said tetrazolyl group is substituted with one to three substituents selected from the group consisting of C<sub>1-3</sub> alkyl, halogen, -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 furanyl group, and said furanyl group is substituted with one to three substituents selected from the group consisting of C<sub>1-3</sub> alkyl, halogen, -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 oxazolyl group, and said oxazolyl group is substituted with one to three substituents selected from the group consisting of C<sub>1-3</sub> alkyl, halogen, -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

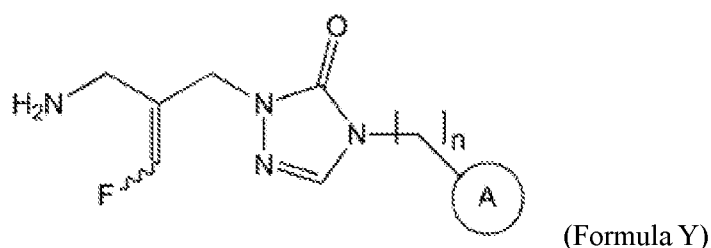
is substituted with one to three substituents selected from the group consisting of C<sub>1-3</sub> alkyl, halogen, -R, -CH=CH-R, and -C≡C-R. In some embodiments, the 6-membered heteroaryl group is selected from pyridine, pyrimidine, pyrazine, and triazine. In some embodiments of a compound of Formula 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 C<sub>1-3</sub> alkyl, halogen, -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 C<sub>1-3</sub> alkyl, halogen, -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 C<sub>1-3</sub> alkyl, halogen, -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 C<sub>1-3</sub> alkyl, halogen, -R, -CH=CH-R, and -C≡C-R.

**[0066]** In some embodiments of a compound of Formula X, or an isomer thereof, or a pharmaceutically acceptable salt thereof, A is a 9-membered 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 C<sub>1-3</sub> alkyl, halogen, -R, -CH=CH-R, and -C≡C-R. In some embodiments, the 9-membered heteroaryl group is selected from indole, azaindole, isoindole, azaisoindole, indazole, azaindazole, benzimidazole, azabenzimidazole, benzothiophene, azabenzothiophene, benzofuran, azabenzofuran, isobenzofuran, azabenzofuran, benzoisoxazole, benzooxazole, benzothiazole, benzothiadiazole, purine, and pyrazolo[1,5-a]pyrimidine. In some embodiments of a compound of Formula X, or an isomer thereof, or a pharmaceutically acceptable salt thereof, A is an indole, and said indole is substituted with one to three substituents selected from the group consisting of C<sub>1-3</sub> alkyl, halogen, -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 an indazole, and said indazole is substituted with one to three substituents selected from the group consisting of C<sub>1-3</sub> alkyl, halogen, -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 benzimidazole, and said benzimidazole is substituted with one to three substituents selected from the group consisting of C<sub>1-3</sub> alkyl, halogen, -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 benzothiophene, and said benzothiophene is substituted with one to three substituents selected from the group consisting of C<sub>1-3</sub> alkyl, halogen, -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 benzofuran, and said benzofuran is substituted with one to three substituents selected from the group consisting of C<sub>1-3</sub> alkyl, halogen, -R, -CH=CH-R, and -C≡C-R.

**[0067]** In some embodiments of a compound of Formula X, or an isomer thereof, or a pharmaceutically acceptable salt thereof, A is a thiophene, benzothiophene, or thiazole, wherein said thiophene, benzothiophene, or thiazole is substituted with one to three substituents selected from the group consisting of C<sub>1-3</sub> alkyl, halogen, -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 thiophene or benzothiophene, wherein said thiophene or benzothiophene is substituted with one to three substituents selected from the group consisting of C<sub>1-3</sub> alkyl, halogen, -R, -CH=CH-R, and -C≡C-R.

**[0068]** In another aspect, the present technology provides a compound of Formula Y, an isomer thereof, or a pharmaceutically acceptable salt thereof



wherein

n is 1 or 2,

wherein A is a heteroaryl group selected from the group consisting of thiophene, thiazole, and benzothiophene,

wherein said heteroaryl group is optionally substituted with a substituent selected from the group consisting of C<sub>1-3</sub> alkyl, halogen, -R, -CH=CH-R, and -C≡C-R,

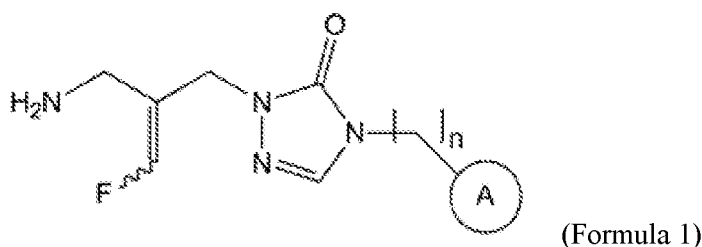
wherein said R is a cyclic ring selected from the group consisting of benzene, benzyl, pyridine, pyridin-2-one, tetrahydropyridine, pyrimidine, pyridazine, thiophene, imidazole, pyrazole, oxadiazol-5-one, 1,1-dioxidothiomorpholin-4-yl-methyl, benzodioxole, benzooxadiazole, benzothiadiazole, benzooxazole, benzooxazolone, benzothiazole, 1,3-dihydrobenzofuran, indazole, thiazolo[5,4-b]pyridine, pyrrolo[2,3-b]pyridine, pyrrolo[2,3-b]pyridin-2-one, triazolone[1,5-a]pyridine, 1,3-dihydroindol-2-one, 2,3-dihydroisoindol-1-one, triazolone, quinoline, isoquinoline, quinolin-2-one, 3,4-dihydroquinolin-2-one, 3,4-dihydroisoquinolin-1-one, 3,4-dihydroquinazolin-2-one, 3,4-dihydronaphthyridin-2-one, 3,4-dihydro-1,4-benzooxazine, 1,4-benzooxazin-3-one, 3,1-benzooxazin-2-one, 1,4-benzothiazin-3-one, 3,4-dihydro-quinoxaline, 3,4-dihydro-2H-chromene, 1,2,3,4-tetrahydroquinoline, 5,6,7,8-tetrahydronaphthyridine, oxazolo[4,5-b]pyridin-2-one, imidazo[4,5-b]pyridine, pyrido[2,3-b][1,4]oxazine, pyrido[2,3-b][1,4]oxazin-2-one, pyrido[2,3-d][1,3]oxazin-2-one, pyrido[2,3-b][1,4]oxazin-3-one, pyrido[3,2-b][1,4]oxazine, and pyrido[3,2-b][1,4]oxazin-3-one, and

wherein said cyclic ring is optionally substituted with one to three substituents selected from the group consisting of hydroxy, halogen, cyano, C<sub>1-6</sub> alkyl, C<sub>1-6</sub> cycloalkyl, benzyl, trifluoromethyl, hydroxy-C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkoxy, C<sub>1-6</sub> alkoxy-C<sub>1-6</sub> alkoxy, difluoromethoxy, trifluoro-C<sub>1-6</sub> alkoxy, 3,5-dimethoxybenzyloxy, (C<sub>1-6</sub> cycloalkyl)methoxy, amino, mono- or di-C<sub>1-6</sub> alkylamino, C<sub>1-6</sub> alkoxy-C<sub>1-6</sub> alkylamino, C<sub>1-6</sub> alkylsulfonylamino, C<sub>1-6</sub> alkylcarbonylamino, C<sub>1-6</sub> alkylthio, mono- or di-C<sub>1-6</sub> alkylaminocarbonyl, mono- or di-C<sub>1-6</sub> alkylaminosulfonyl, mono- or di-C<sub>1-6</sub> cycloalkylaminosulfonyl, pyrrolidinylsulfonyl, morpholinylsulfonyl, C<sub>1-6</sub> alkylcarbonyl, C<sub>1-6</sub> alkylsulfonyl, C<sub>1-6</sub> alkylsulfonyl-C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkoxy-carbonyl, morpholinylcarbonyl, pyrrolidinyl, 5-oxopyrrolidinyl, piperidinyl, C<sub>1-6</sub> alkyl-piperidinyl, piperazinyl, acetylpiperazinyl, morpholinyl, morpholinyl-C<sub>1-6</sub> alkyl, tetrahydropyranyl, pyrazolyl, triazolyl, isoxazolyl, oxazolyl, oxadiazolyl, 1,2,4-oxadiazol-5(4H)-onyl, cyclopropyl-oxadiazolyl, and C<sub>1-6</sub> alkyl-oxadiazolyl.

**[0069]** In some embodiments of a compound of Formula Y, or an isomer thereof, or a pharmaceutically acceptable salt thereof, A is a thiophene, benzothiophene, or thiazole, wherein said thiophene, benzothiophene, or thiazole is substituted with one to three

substituents selected from the group consisting of C<sub>1-3</sub> alkyl, halogen, -R, -CH=CH-R, and -C≡C-R. In some embodiments of a compound of Formula Y, or an isomer thereof, or a pharmaceutically acceptable salt thereof, A is a thiophene or benzothiophene, wherein said thiophene or benzothiophene is substituted with one to three substituents selected from the group consisting of C<sub>1-3</sub> alkyl, halogen, -R, -CH=CH-R, and -C≡C-R.

[0070] In another aspect, the present technology provides a compound having selective inhibitory activity on VAP-1 or a salt thereof, i.e., a compound of Formula 1, an isomer thereof, or a pharmaceutically acceptable salt thereof



wherein

n is 1 or 2,

wherein A is a heteroaryl group selected from the group consisting of thiophene, thiazole, and benzothiophene,

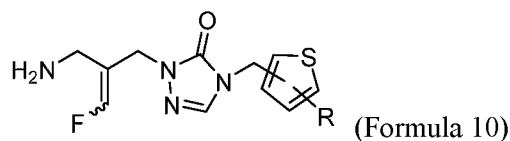
wherein said heteroaryl group is optionally substituted with a substituent selected from the group consisting of C<sub>1-3</sub> alkyl, halogen, -R, -CH=CH-R, and -C≡C-R,

wherein said R is a cyclic ring selected from the group consisting of benzene, pyridine, tetrahydropyridine, pyrimidine, thiophene, imidazole, pyrazole, 1,1-dioxidothiomorpholin-4-yl-methyl, benzodioxol, benzooxadiazole, benzooxazole, benzooxazolone, indazole, thiazolo[5,4-b]pyridine, pyrrolo[2,3-b]pyridine, pyrrolo[2,3-b]pyridin-2-one, triazolone[1,5-a]pyridine, 1,3-dihydroindol-2-one, 2,3-dihydroisoindol-1-one, triazolone, quinoline, isoquinoline, quinolin-2-one, 3,4-dihydroquinolin-2-one, 3,4-dihydroisoquinolin-1-one, 3,4-dihydro-1,4-benzooxazine, 1,4-benzooxazin-3-one, 3,1-benzooxazin-2-one, 1,4-benzothiazin-3-one, 3,4-dihydro-quinoxaline, 3,4-dihydro-2H-chromene, 1,2,3,4-tetrahydroquinoline, 5,6,7,8-tetrahydronaphthyridine, oxazolo[4,5-

b]pyridin-2-one, imidazo[4,5-b]pyridine, pyrido[2,3-b][1,4]oxazine, pyrido[2,3-b][1,4]oxazin-2-one, pyrido[2,3-b][1,4]oxazin-3-one, pyrido[3,2-b][1,4]oxazine, and pyrido[3,2-b][1,4]oxazin-3-one, and

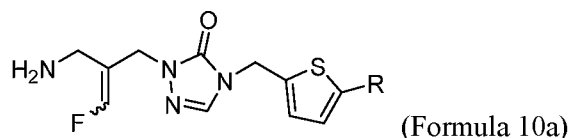
wherein said cyclic ring is optionally substituted with one to three substituents selected from the group consisting of hydroxy, halogen, cyano, C<sub>1-6</sub> alkyl, trifluoromethyl, C<sub>1-6</sub> alkoxy, C<sub>1-6</sub> alkoxy-C<sub>1-6</sub> alkoxy, trifluoroethoxy, 3,5-dimethoxybenzyloxy, amino, mono- or di- C<sub>1-6</sub> alkylamino, C<sub>1-6</sub> alkoxy-C<sub>1-6</sub> alkylamino, C<sub>1-6</sub> alkylsulfonylamino, C<sub>1-6</sub> alkylcarbonylamino, C<sub>1-6</sub> alkylthio, mono- or di- C<sub>1-6</sub> alkylaminosulfonyl, pyrrolidinylsulfonyl, morpholinylsulfonyl, C<sub>1-6</sub> alkylcarbonyl, C<sub>1-6</sub> alkoxy carbonyl, morpholinylcarbonyl, pyrrolidinyl, 5-oxopyrrolidinyl, piperidinyl, piperazinyl, acetylpiperazinyl, morpholinyl, tetrahydropyranyl, triazolyl, oxazolyl, oxadiazolyl, cyclopropyl-oxadiazolyl, and C<sub>1-6</sub> alkyl-oxadiazolyl.

[0071] In another aspect, provided herein is a compound of Formula 10, or an isomer thereof, or a pharmaceutically acceptable salt thereof:



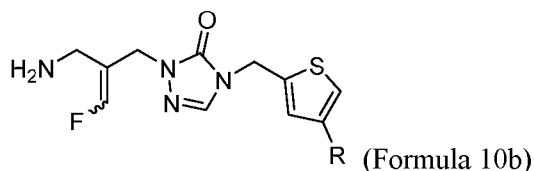
wherein R is a substituted or unsubstituted cyclic ring, optionally containing at least one heteroatom, and the cyclic ring is aromatic or non-aromatic.

[0072] In some embodiments, the compound of Formula 10 is a compound of Formula 10a:



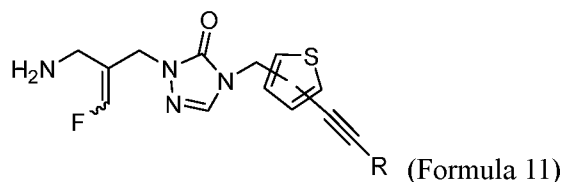
or an isomer thereof, or a pharmaceutically acceptable salt thereof, wherein R is as defined for Formula 10.

[0073] In some embodiments, the compound of Formula 10 is a compound of Formula 10b:



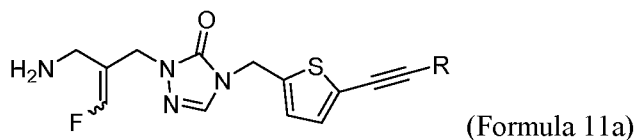
or an isomer thereof, or a pharmaceutically acceptable salt thereof, wherein R is as defined for Formula 10.

[0074] In another aspect, provided herein is a compound of Formula 11, or an isomer thereof, or a pharmaceutically acceptable salt thereof:



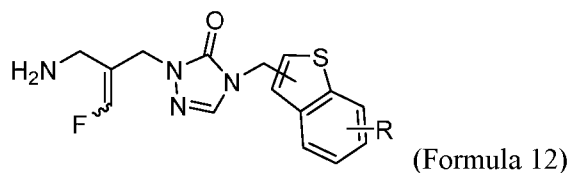
wherein R is a substituted or unsubstituted cyclic ring, optionally containing at least one heteroatom, and the cyclic ring is aromatic or non-aromatic.

[0075] 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 is as defined for Formula 11.

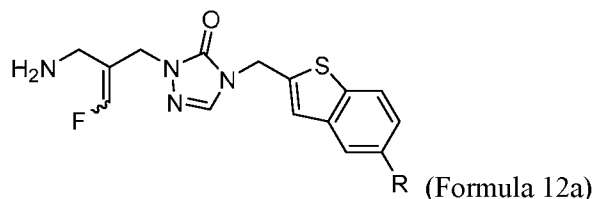
[0076] In another aspect, provided herein is a compound of Formula 12, or an isomer thereof, or a pharmaceutically acceptable salt thereof:



wherein R is a substituted or unsubstituted cyclic ring, optionally containing at least one

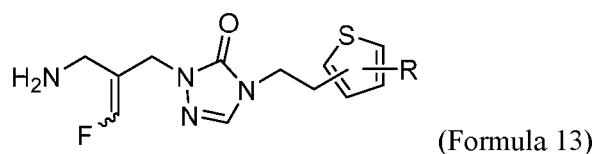
heteroatom, and the cyclic ring is aromatic or non-aromatic.

[0077] In some embodiments, the compound of Formula 12 is a compound of Formula 12a:



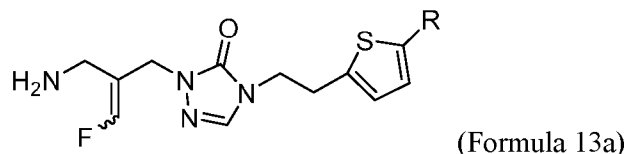
or an isomer thereof, or a pharmaceutically acceptable salt thereof, wherein R is as defined for Formula 12.

[0078] In another aspect, provided herein is a compound of Formula 13, 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.

[0079] In some embodiments, the compound of Formula 13 is a compound of Formula 13a:



or an isomer thereof, or a pharmaceutically acceptable salt thereof, wherein R is as defined for Formula 13.

[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 (IC<sub>50</sub>). One method for determining an IC<sub>50</sub> 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  $IC_{50}$  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, or at least 100 times lower than  $IC_{50}$  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.

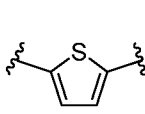
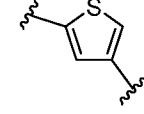
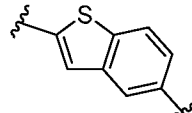
**[0082]** In some embodiments of the compound of Formula X or Formula Y, or an isomer thereof, or a pharmaceutically acceptable salt thereof, n is 1. In some embodiments of the compound of Formula X or Formula Y, or an isomer thereof, or a pharmaceutically acceptable salt thereof, n is 2.

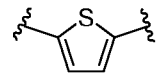
**[0083]** In the compound of Formula 1, or an isomer thereof, or a pharmaceutically acceptable salt thereof, according to the present technology, n may preferably be 1. In some embodiments, n is 2.

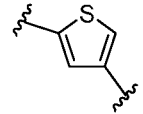
**[0084]** In some embodiments of the compound of Formula X or Formula Y, or an isomer thereof, or a pharmaceutically acceptable salt thereof, A is thiophene. In some embodiments of the compound of Formula X or Formula Y, or an isomer thereof, or a pharmaceutically acceptable salt thereof, A is benzothiophene.

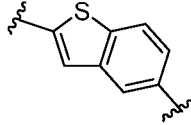
**[0085]** In the compound of Formula 1, or an isomer thereof, or a pharmaceutically acceptable salt thereof, according to the present technology, A may preferably be thiophene. In some embodiments, A is benzothiophene.

**[0086]** In some embodiments of the compound of Formulae X, Y, or 1, or an isomer

thereof, or a pharmaceutically acceptable salt thereof, A is , , or . In some embodiments of the compound of Formulae X, Y, or 1, or an

isomer thereof, or a pharmaceutically acceptable salt thereof, A is . In some embodiments of the compound of Formulae X, Y, or 1, or an isomer thereof, or a

pharmaceutically acceptable salt thereof, A is . In some embodiments of the compound of Formulae X, Y, or 1, or an isomer thereof, or a pharmaceutically acceptable salt

thereof, A is .

**[0087]** In some embodiments of the compound of Formula X or Formula Y, or an isomer thereof, or a pharmaceutically acceptable salt thereof, the heteroaryl group is substituted with -R. In some embodiments of the compound of Formula X or Formula Y, or an isomer thereof, or a pharmaceutically acceptable salt thereof, the R is a cyclic ring selected from the group consisting of benzene, pyridin-2-one, pyrazole, pyrrolo[2,3-b]pyridin-2-one, 1,3-dihydroindol-2-one, 2,3-dihydroisoindol-1-one, quinolin-2-one, 3,4-dihydroquinolin-2-one, 3,4-dihydroisoquinolin-1-one, 3,4-dihydroquinazolin-2-one, 1,4-benzooxazin-3-one, 3,1-benzooxazin-2-one, 1,4-benzothiazin-3-one, pyrido[2,3-b][1,4]oxazin-2-one, and pyrido[3,2-b][1,4]oxazine. In some embodiments of the compound of Formula X or Formula Y, or an isomer thereof, or a pharmaceutically acceptable salt thereof, said R is a cyclic ring selected from the group consisting of benzene, pyridin-2-one, pyrazole, 3,4-dihydroquinolin-2-one, 3,4-dihydroquinazolin-2-one, 1,4-benzooxazin-3-one, and 3,1-benzooxazin-2-one. Said cyclic ring can be substituted with halogen, C<sub>1-6</sub> alkyl or di-C<sub>1-6</sub> alkylaminocarbonyl.

**[0088]** In some embodiments of the compound of Formula X or Formula Y, or an isomer

thereof, or a pharmaceutically acceptable salt thereof, n is 1; A is thiophene substituted with a cyclic ring selected from the group consisting of benzene, pyridin-2-one, pyrazole, 3,4-dihydroquinolin-2-one, 3,4-dihydroquinazolin-2-one, 1,4-benzooxazin-3-one, and 3,1-benzooxazin-2-one; wherein said cyclic ring is substituted with halogen, C<sub>1-6</sub> alkyl or di-C<sub>1-6</sub> alkylaminocarbonyl, or a pharmaceutically acceptable salt thereof.

**[0089]** Further, in the compound of Formula 1 or its pharmaceutically acceptable salt thereof according to the present invention, said heteroaryl group can preferably be substituted with -R. Preferably, said R can be a cyclic ring selected from the group consisting of pyrrolo[2,3-b]pyridin-2-one, 1,3-dihydroindol-2-one, 2,3-dihydroisoindol-1-one, quinolin-2-one, 3,4-dihydroquinolin-2-one, 3,4-dihydroisoquinolin-1-one, 1,4-benzooxazin-3-one, 3,1-benzooxazin-2-one, 1,4-benzothiazin-3-one, pyrido[2,3-b][1,4]oxazin-2-one, and pyrido[3,2-b][1,4]oxazine. More preferably, said R can be a cyclic ring selected from the group consisting of 3,4-dihydroquinolin-2-one, 1,4-benzooxazin-3-one, and 3,1-benzooxazin-2-one. Said cyclic ring can be substituted with halogen, or C<sub>1-6</sub> alkyl.

**[0090]** In one embodiment of the present invention, there is provided a compound wherein n is 1; wherein A is thiophene substituted with a cyclic ring selected from the group consisting of 3,4-dihydroquinolin-2-one, 1,4-benzooxazin-3-one, and 3,1-benzooxazin-2-one; wherein said cyclic ring is substituted with halogen, or C<sub>1-6</sub> alkyl, or a pharmaceutically acceptable salt thereof.

**[0091]** In some embodiments of the compound of Formulae X, Y, or 1, the isomer thereof, or the pharmaceutically acceptable salt thereof, said heteroaryl group is substituted with C<sub>1-3</sub> alkyl. In some embodiments of the compound of Formulae X, Y, or 1, the isomer thereof, or the pharmaceutically acceptable salt thereof, said heteroaryl group is substituted with halogen. In some embodiments of the compound of Formulae X, Y, or 1, the isomer thereof, or the pharmaceutically acceptable salt thereof, said heteroaryl group is substituted with -R. In some embodiments of the compound of Formulae X, Y, or 1, the isomer thereof, or the pharmaceutically acceptable salt thereof, said heteroaryl group is substituted with -CH=CH-R. In some embodiments of the compound of Formulae X, Y, or 1, the isomer thereof, or the pharmaceutically acceptable salt thereof, said heteroaryl group is substituted with -C≡C-R.

**[0092]** In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is benzene, benzyl, pyridine, pyridin-2-one, tetrahydropyridine, pyrimidine, pyridazine, thiophene, imidazole, pyrazole, oxadiazol-5-one, 1,1-dioxidothiomorpholin-4-yl-methyl, benzodioxole, benzooxadiazole, benzothiadiazole, benzooxazole, benzooxazolone, benzothiazole, 1,3-dihydrobenzofuran, indazole, thiazolo[5,4-b]pyridine, pyrrolo[2,3-b]pyridine, pyrrolo[2,3-b]pyridin-2-one, triazolone[1,5-a]pyridine, 1,3-dihydroindol-2-one, 2,3-dihydroisoindol-1-one, triazolone, quinoline, isoquinoline, quinolin-2-one, 3,4-dihydroquinolin-2-one, 3,4-dihydroisoquinolin-1-one, 3,4-dihydroquinazolin-2-one, 3,4-dihydronaphthyridin-2-one, 3,4-dihydro-1,4-benzooxazine, 1,4-benzooxazin-3-one, 3,1-benzooxazin-2-one, 1,4-benzothiazin-3-one, 3,4-dihydro-quinoxaline, 3,4-dihydro-2H-chromene, 1,2,3,4-tetrahydroquinoline, 5,6,7,8-tetrahydronaphthyridine, oxazolo[4,5-b]pyridin-2-one, imidazo[4,5-b]pyridine, pyrido[2,3-b][1,4]oxazine, pyrido[2,3-b][1,4]oxazin-2-one, pyrido[2,3-d][1,3]oxazin-2-one, pyrido[2,3-b][1,4]oxazin-3-one, pyrido[3,2-b][1,4]oxazine, or pyrido[3,2-b][1,4]oxazin-3-one. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is substituted or unsubstituted benzene. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is substituted or unsubstituted benzyl. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is substituted or unsubstituted pyridine. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is substituted or unsubstituted pyridin-2-one. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is substituted or unsubstituted tetrahydropyridine. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is substituted or unsubstituted pyrimidine. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is substituted or unsubstituted pyridazine. In some embodiments of the compound of Formulae X, Y, 1, 10,

10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is substituted or unsubstituted thiophene. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is substituted or unsubstituted imidazole. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is substituted or unsubstituted pyrazole. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is substituted or unsubstituted oxadiazol-5-one. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is substituted or unsubstituted 1,1-dioxidothiomorpholin-4-yl-methyl. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is substituted or unsubstituted benzodioxole. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is substituted or unsubstituted benzooxadiazole. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is substituted or unsubstituted benzothiadiazole. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is substituted or unsubstituted benzooxazole. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is substituted or unsubstituted benzooxazolone. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is substituted or unsubstituted benzothiazole. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is substituted or unsubstituted 1,3-dihydrobenzofuran. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is substituted or unsubstituted indazole. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a,

12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is substituted or unsubstituted thiazolo[5,4-b]pyridine. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is substituted or unsubstituted pyrrolo[2,3-b]pyridine. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is substituted or unsubstituted pyrrolo[2,3-b]pyridin-2-one. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is substituted or unsubstituted triazolone[1,5-a]pyridine. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is substituted or unsubstituted 1,3-dihydroindol-2-one. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is substituted or unsubstituted 2,3-dihydroisoindol-1-one. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is substituted or unsubstituted triazolone. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is substituted or unsubstituted quinoline. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is substituted or unsubstituted isoquinoline. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is substituted or unsubstituted quinolin-2-one. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is substituted or unsubstituted 3,4-dihydroquinolin-2-one. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is substituted or unsubstituted 3,4-dihydroisoquinolin-1-one. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is substituted or unsubstituted 3,4-dihydroquinazolin-2-one. In some embodiments of the compound of Formulae X, Y, 1, 10,

10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is substituted or unsubstituted 3,4-dihydronaphthyridin-2-one. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is substituted or unsubstituted 3,4-dihydro-1,4-benzooxazine. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is substituted or unsubstituted 1,4-benzooxazin-3-one. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is substituted or unsubstituted 3,1-benzooxazin-2-one. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is substituted or unsubstituted 1,4-benzothiazin-3-one. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is substituted or unsubstituted 3,4-dihydro-quinoxaline. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is substituted or unsubstituted 3,4-dihydro-2H-chromene. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is substituted or unsubstituted 1,2,3,4-tetrahydroquinoline. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is substituted or unsubstituted 5,6,7,8-tetrahydronaphthyridine. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is substituted or unsubstituted oxazolo[4,5-b]pyridin-2-one. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is substituted or unsubstituted imidazo[4,5-b]pyridine. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is substituted or unsubstituted pyrido[2,3-b][1,4]oxazine. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof,

R is substituted or unsubstituted pyrido[2,3-b][1,4]oxazin-2-one. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is substituted or unsubstituted pyrido[2,3-d][1,3]oxazin-2-one. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is substituted or unsubstituted pyrido[2,3-b][1,4]oxazin-3-one. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is substituted or unsubstituted pyrido[3,2-b][1,4]oxazine. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is substituted or unsubstituted pyrido[3,2-b][1,4]oxazin-3-one.

**[0093]** In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is a cyclic ring, and the cyclic ring is unsubstituted. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is a cyclic ring, and the cyclic ring is substituted with hydroxy. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is a cyclic ring, and the cyclic ring is substituted with halogen. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is a cyclic ring, and the cyclic ring is substituted with cyano. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is a cyclic ring, and the cyclic ring is substituted with C<sub>1-6</sub> alkyl. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is a cyclic ring, and the cyclic ring is substituted with C<sub>1-6</sub> cycloalkyl. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is a cyclic ring, and the cyclic ring is substituted with benzyl. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof,

R is a cyclic ring, and the cyclic ring is substituted with trifluoromethyl. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is a cyclic ring, and the cyclic ring is substituted with hydroxy-C<sub>1-6</sub> alkyl. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is a cyclic ring, and the cyclic ring is substituted with C<sub>1-6</sub> alkoxy. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is a cyclic ring, and the cyclic ring is substituted with C<sub>1-6</sub> alkoxy-C<sub>1-6</sub> alkoxy. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is a cyclic ring, and the cyclic ring is substituted with difluoromethoxy. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is a cyclic ring, and the cyclic ring is substituted with trifluoro-C<sub>1-6</sub> alkoxy. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is a cyclic ring, and the cyclic ring is substituted with trifluoroethoxy. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is a cyclic ring, and the cyclic ring is substituted with 3,5-dimethoxybenzyloxy. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is a cyclic ring, and the cyclic ring is substituted with (C<sub>1-6</sub> cycloalkyl)methoxy. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is a cyclic ring, and the cyclic ring is substituted with amino. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is a cyclic ring, and the cyclic ring is substituted with mono- or di-C<sub>1-6</sub> alkylamino. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is a cyclic ring, and the cyclic ring is substituted with mono-C<sub>1-6</sub> alkylamino. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and

13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is a cyclic ring, and the cyclic ring is substituted with di-C<sub>1-6</sub> alkylamino. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is a cyclic ring, and the cyclic ring is substituted with C<sub>1-6</sub> alkoxy-C<sub>1-6</sub> alkylamino. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is a cyclic ring, and the cyclic ring is substituted with C<sub>1-6</sub> alkylsulfonylamino. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is a cyclic ring, and the cyclic ring is substituted with C<sub>1-6</sub> alkylcarbonylamino. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is a cyclic ring, and the cyclic ring is substituted with C<sub>1-6</sub> alkylthio. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is a cyclic ring, and the cyclic ring is substituted with mono- or di-C<sub>1-6</sub> alkylaminocarbonyl. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is a cyclic ring, and the cyclic ring is substituted with mono-C<sub>1-6</sub> alkylaminocarbonyl. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is a cyclic ring, and the cyclic ring is substituted with di-C<sub>1-6</sub> alkylaminocarbonyl. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is a cyclic ring, and the cyclic ring is substituted with mono- or di-C<sub>1-6</sub> alkylaminosulfonyl. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is a cyclic ring, and the cyclic ring is substituted with mono-C<sub>1-6</sub> alkylaminosulfonyl. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is a cyclic ring, and the cyclic ring is substituted with di-C<sub>1-6</sub> alkylaminosulfonyl. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is a cyclic

ring, and the cyclic ring is substituted with mono- or di-C<sub>1-6</sub> cycloalkylaminosulfonyl. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is a cyclic ring, and the cyclic ring is substituted with mono-C<sub>1-6</sub> cycloalkylaminosulfonyl. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is a cyclic ring, and the cyclic ring is substituted with di-C<sub>1-6</sub> cycloalkylaminosulfonyl. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is a cyclic ring, and the cyclic ring is substituted with pyrrolidinylsulfonyl. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is a cyclic ring, and the cyclic ring is substituted with morpholinylsulfonyl. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is a cyclic ring, and the cyclic ring is substituted with C<sub>1-6</sub> alkylcarbonyl. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is a cyclic ring, and the cyclic ring is substituted with C<sub>1-6</sub> alkylsulfonyl. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is a cyclic ring, and the cyclic ring is substituted with C<sub>1-6</sub> alkylsulfonyl-C<sub>1-6</sub> alkyl. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is a cyclic ring, and the cyclic ring is substituted with C<sub>1-6</sub> alkoxy carbonyl. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is a cyclic ring, and the cyclic ring is substituted with morpholinyl carbonyl. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is a cyclic ring, and the cyclic ring is substituted with pyrrolidinyl. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is a cyclic ring, and the cyclic ring is substituted with 5-oxopyrrolidinyl. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a,

10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is a cyclic ring, and the cyclic ring is substituted with piperidinyl. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is a cyclic ring, and the cyclic ring is substituted with C<sub>1-6</sub> alkyl-piperidinyl. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is a cyclic ring, and the cyclic ring is substituted with piperazinyl. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is a cyclic ring, and the cyclic ring is substituted with acetylpiperazinyl. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is a cyclic ring, and the cyclic ring is substituted with morpholinyl. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is a cyclic ring, and the cyclic ring is substituted with morpholinyl-C<sub>1-6</sub> alkyl. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is a cyclic ring, and the cyclic ring is substituted with tetrahydropyranyl. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is a cyclic ring, and the cyclic ring is substituted with pyrazolyl. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is a cyclic ring, and the cyclic ring is substituted with triazolyl. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is a cyclic ring, and the cyclic ring is substituted with isoxazolyl. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is a cyclic ring, and the cyclic ring is substituted with oxazolyl. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is a cyclic ring, and the cyclic ring is substituted with oxadiazolyl. In some embodiments of the compound of

Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is a cyclic ring, and the cyclic ring is substituted with 1,2,4-oxadiazol-5(4H)-onyl. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is a cyclic ring, and the cyclic ring is substituted with cyclopropyl-oxadiazolyl. In some embodiments of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, the isomer thereof, or the pharmaceutically acceptable salt thereof, R is a cyclic ring, and the cyclic ring is substituted with C<sub>1-6</sub> alkyl-oxadiazolyl.

**[0094]** In some embodiments of the compound of Formula X or Formula Y, the isomer thereof, or the pharmaceutically acceptable salt thereof, the compound is selected from the group consisting of the following compounds, or an isomer thereof, or a pharmaceutically acceptable salt thereof:

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

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

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

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

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

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

*N*-4-[5-(1-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]phenylmethanesulfonamide hydrochloride;

3-[5-(1-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]-*N*-methylbenzenesulfonamide hydrochloride;

4-[5-(1-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]-*N,N*-dimethylbenzenesulfonamide hydrochloride;

methyl 4-[5-(1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]benzoate hydrochloride;

methyl 3-[5-(1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]benzoate hydrochloride;

methyl 4-[5-(1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]-2-fluorobenzoate hydrochloride;

methyl 3-[5-(1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]-5-fluorobenzoate hydrochloride;

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

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

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

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

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[(5-4-[(3,5-dimethoxybenzyl)oxy]-3,5-dimethylphenyl)thiophen-2-yl]methyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

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

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

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

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

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

4-(5-[4-(4-acetylpiperazin-1-yl)phenyl]thiophen-2-ylmethyl)-2-[(2E)-2-

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

2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[4-(tetrahydro-2*H*-pyran-4-yl)phenyl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;

2-[(2*Z*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[4-(morpholin-4-ylcarbonyl)phenyl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;

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

2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[3-(pyrrolidin-1-ylsulfonyl)phenyl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;

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

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

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

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

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

2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[3-(1,2,4-oxadiazol-3-yl)phenyl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one;

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

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

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

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

hydrochloride;

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

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

*N*-4-[5-(1-[(2*Z*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]pyridin-2-ylacetamide hydrochloride;

2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[6-(dimethylamino)pyridin-3-yl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;

5-[5-(1-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]-*N*-tert-butylpyridin-3-sulfonamide hydrochloride;

2-[(2*Z*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-(6-methoxypyridin-3-yl)thiophen-2-yl]methyl-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;

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

2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[6-(methylsulfanyl)pyridin-3-yl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;

2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[6-(2,2,2-trifluoroethoxy)pyridin-3-yl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;

2-[(2*Z*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[6-(trifluoromethyl)pyridin-3-yl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;

2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[6-(trifluoromethyl)pyridin-3-yl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;

5-[5-(1-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]pyridin-2-carbonitrile hydrochloride;

2-[(2*Z*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[6-(morpholin-4-yl)pyridin-3-yl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;

2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[6-(piperidin-1-yl)pyridin-3-yl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;

2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[(5-2-[(2-methoxyethyl)amino]pyrimidin-5-yl)thiophen-2-yl)methyl]-2,4-dihydro-3*H*-1,2,4-triazol-3-

one hydrochloride;

5-[5-(1-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]pyrimidin-2-carbonitrile hydrochloride;

2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[2-(dimethylamino)pyrimidin-5-yl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;

2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[2-(methylsulfanyl)pyrimidin-5-yl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;

2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[2-(morpholin-4-yl)pyrimidin-5-yl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;

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

4-[[5-(1-acetyl-3,6-dihydro-2*H*-pyridin-4-yl)-2-thienyl]methyl]-2-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-1,2,4-triazol-3-one;

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

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

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

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

2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-([1,3]thiazolo[5,4-*b*]pyridin-6-yl)thiophen-2-yl]methyl-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;

2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-(1*H*-pyrrolo[2,3-*b*]pyridin-5-yl)thiophen-2-yl]methyl-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;

2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-([1,2,4]triazolo[1,5-*a*]pyridin-7-yl)thiophen-2-yl]methyl-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;

6-[5-(1-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]-2,3-dihydro-1*H*-isoindol-1-one hydrochloride;

5-[5-(1-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]-2,3-dihydro-1*H*-isoindol-1-one hydrochloride;

5-[5-(1-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]-1,3-dihydro-2*H*-indol-2-one hydrochloride;

5-[5-[[1-(*E*)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]methyl]-2-thienyl]-7-fluoro-isoindol-1-one hydrochloride;

5-[5-[[1-(*E*)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]methyl]-2-thienyl]-7-fluoro-indolin-2-one hydrochloride;

5-[5-(1-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]-1,3-benzooxazol-2(3*H*)-one hydrochloride;

5-[5-(1-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]-1-methyl-1,3-dihydro-2*H*-pyrrolo[2,3-*b*]pyridin-2-one hydrochloride;

7-[5-(1-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]-3,4-dihydroisoquinolin-1(2*H*)-one hydrochloride;

6-[5-(1-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]-3,4-dihydroquinolin-2(1*H*)-one hydrochloride;

6-[5-(1-[(2*Z*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]-8-methyl-3,4-dihydroquinolin-2(1*H*)-one hydrochloride;

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

6-[5-[[1-(*E*)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]methyl]-2-thienyl]-8-methyl-1*H*-quinolin-2-one;

6-[5-(1-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]-1-methyl-3,4-dihydroquinolin-2(1*H*)-one hydrochloride;

6-[5-[[1-(*E*)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]methyl]-2-thienyl]-8-fluoro-3,4-dihydro-1*H*-quinolin-2-one;

6-[5-[[1-(*E*)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]methyl]-2-thienyl]-8-(trifluoromethyl)-3,4-dihydro-1*H*-quinolin-2-one;

6-[5-(1-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]-8-fluoroquinolin-2(1*H*)-one hydrochloride;

6-[5-[[1-(*E*)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]methyl]-2-

thienyl]-8-methyl-4-(trifluoromethyl)-1*H*-quinolin-2-one;

7-[5-(1-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]-2*H*-1,4-benzoxazin-3(4*H*)-one hydrochloride;

7-[5-[[1-(*E*)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]methyl]-2-thienyl]-4*H*-1,4-benzothiazin-3-one hydrochloride;

7-[5-[[1-(*E*)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]methyl]-2-thienyl]-4-methyl-1,4-benzoxazin-3-one hydrochloride;

7-[5-[[1-(*E*)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]methyl]-2-thienyl]-2,2-dimethyl-4*H*-1,4-benzoxazin-3-one;

7-[5-[[1-(*E*)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]methyl]-2-thienyl]-8-methyl-3,4-dihydro-1*H*-quinolin-2-one;

6-[5-(1-[(2*Z*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]-2*H*-1,4-benzoxazin-3(4*H*)-one hydrochloride;

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

6-[5-(1-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]-8-methyl-2*H*-1,4-benzoxazin-3(4*H*)-one hydrochloride;

6-[5-[[1-(*E*)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]methyl]-2-thienyl]-5-methyl-4*H*-1,4-benzoxazin-3-one hydrochloride;

6-[5-(1-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]-7-fluoro-2*H*-1,4-benzoxazin-3(4*H*)-one hydrochloride;

6-[5-[[1-(*E*)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]methyl]-2-thienyl]-8-fluoro-4*H*-1,4-benzoxazin-3-one hydrochloride;

6-[5-[[1-(*E*)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]methyl]-2-thienyl]-5-chloro-4*H*-1,4-benzoxazin-3-one hydrochloride;

6-[5-(1-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]-4-methyl-2*H*-1,4-benzoxazin-3(4*H*)-one hydrochloride;

6-[5-[[1-(*E*)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]methyl]-2-thienyl]-2-methyl-4*H*-1,4-benzoxazin-3-one hydrochloride;

6-[5-[[1-(*E*)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]methyl]-2-thienyl]-2,2-dimethyl-4*H*-1,4-benzoxazin-3-one;

7-[5-(1-[(2*Z*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-

triazol-4-ylmethyl)thiophen-2-yl]-1,4-dihydro-2*H*-3,1-benzoxazin-2-one hydrochloride;  
 7-[5-(1-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-  
 triazol-4-ylmethyl)thiophen-2-yl]-1,4-dihydro-2*H*-3,1-benzoxazin-2-one hydrochloride;  
 6-[5-(1-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-  
 triazol-4-ylmethyl)thiophen-2-yl]-1,4-dihydro-2*H*-3,1-benzoxazin-2-one hydrochloride;  
 6-[5-[[1-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]methyl]-2-  
 thienyl]-5-methyl-1,4-dihydro-3,1-benzoxazin-2-one;  
 2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-(4-methyl-3,4-dihydro-2*H*-  
 1,4-benzoxazin-7-yl)thiophen-2-yl]methyl-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;  
 2-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-4-[[5-(1-methyl-3,4-dihydro-2*H*-quinoxalin-  
 6-yl)-2-thienyl]methyl]-1,2,4-triazol-3-one;  
 2-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-4-[[5-(3,4-dihydro-2*H*-1,4-benzoxazin-6-yl)-  
 2-thienyl]methyl]-1,2,4-triazol-3-one;  
 2-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-4-[[5-(5-fluoro-3,4-dihydro-2*H*-1,4-  
 benzoxazin-6-yl)-2-thienyl]methyl]-1,2,4-triazol-3-one;  
 2-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-4-[[5-(7-fluoro-3,4-dihydro-2*H*-1,4-  
 benzoxazin-6-yl)-2-thienyl]methyl]-1,2,4-triazol-3-one;  
 2-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-4-[[5-(5-methyl-3,4-dihydro-2*H*-1,4-  
 benzoxazin-6-yl)-2-thienyl]methyl]-1,2,4-triazol-3-one;  
 2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-(3,4-dihydro-2*H*-chromen-6-  
 yl)thiophen-2-yl]methyl-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;  
 2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-(1,2,3,4-tetrahydroquinolin-6-  
 yl)thiophen-2-yl]methyl-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;  
 2-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-4-[[5-(5,6,7,8-tetrahydro-1,8-naphthyridin-3-  
 yl)-2-thienyl]methyl]-1,2,4-triazol-3-one;  
 2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[2-(methylamino)quinazolin-  
 6-yl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;  
 2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-(quinolin-5-yl)thiophen-2-  
 yl]methyl-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;  
 2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-(isoquinolin-5-yl)thiophen-2-  
 yl]methyl-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;  
 2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-(1*H*-pyrrolo[2,3-*b*]pyridin-3-

yl)thiophen-2-yl]methyl-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;

2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[(*E*)-2-(4-hydroxyphenyl)ethenyl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;

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

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

2-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-4-[[5-[(*E*)-2-[4-(trifluoromethyl)phenyl]vinyl]-2-thienyl]methyl]-1,2,4-triazol-3-one hydrochloride;

2-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-4-[[5-[2-[4-(dimethylamino)phenyl]vinyl]-2-thienyl]methyl]-1,2,4-triazol-3-one;

2-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-4-[[5-[(*E*)-2-(3-thienyl)vinyl]-2-thienyl]methyl]-1,2,4-triazol-3-one hydrochloride;

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

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

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

2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[(3-aminophenyl)ethynyl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;

2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[(4-methoxyphenyl)ethynyl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;

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

2-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-4-[[5-[2-[6-(dimethylamino)-3-pyridyl]ethynyl]-2-thienyl]methyl]-1,2,4-triazol-3-one;

2-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-4-[[5-[2-(6-morpholino-3-pyridyl)ethynyl]-2-thienyl]methyl]-1,2,4-triazol-3-one hydrochloride;

2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[(1-methyl-1*H*-imidazol-5-yl)ethynyl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;

2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[(1-methyl-1*H*-pyrazol-4-yl)ethynyl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;

2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[3-(1,1-dioxidothiomorpholin-4-yl)prop-1-yn-1-yl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;

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

2-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-4-[[5-[2-(3,4-dihydro-2*H*-1,4-benzoxazin-6-yl)ethynyl]-2-thienyl]methyl]-1,2,4-triazol-3-one;

2-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-4-[[5-[2-(2,3-dihydro-1*H*-pyrido[2,3-*b*][1,4]oxazin-7-yl)ethynyl]-2-thienyl]methyl]-1,2,4-triazol-3-one;

2-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-4-[[5-[2-(2,3-dihydro-1*H*-pyrido[2,3-*b*][1,4]oxazin-6-yl)ethynyl]-2-thienyl]methyl]-1,2,4-triazol-3-one hydrochloride;

2-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-4-[[5-[2-(3,4-dihydro-2*H*-pyrido[3,2-*b*][1,4]oxazin-7-yl)ethynyl]-2-thienyl]methyl]-1,2,4-triazol-3-one;

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

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

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

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

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

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

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

1,2,4-triazol-4-yl}methyl)thiophen-2-yl]phenyl}-1,2,4-oxadiazol-5(4H)-one;

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

6-[5-({1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}methyl)thiophen-2-yl]-7-fluoro-3,4-dihydroquinolin-2(1H)-one;

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

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

6-[5-({1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}methyl)thiophen-2-yl]-1,4-dihydro-2H-pyrido[2,3-d][1,3]oxazin-2-one;

7-[5-({1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}methyl)thiophen-2-yl]-1-methyl-1H-pyrido[2,3-b][1,4]oxazin-2(3H)-one;

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

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

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

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

4-[(5'-acetyl-2,2'-bithiophen-5-yl)methyl]-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-(1-methyl-1H-pyrazol-5-yl)thiophen-2-yl}methyl}-2,4-dihydro-3H-1,2,4-triazol-3-one;

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

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

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

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{{5-(pyridin-4-yl)thiophen-2-

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

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

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

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

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

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

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

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

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

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

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

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

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

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

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

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{{5-(pyridazin-4-yl)thiophen-2-

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

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

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

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

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

4-{{5-(4-acetylphenyl)thiophen-2-yl}methyl}-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-[3-(methylsulfonyl)phenyl]thiophen-2-yl}methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one;

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

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

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

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

5-[5-({1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}methyl)thiophen-2-yl]-N-tert-butylpyridin-3-sulfonamide;

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

5-[5-({1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}methyl)thiophen-2-yl]-1-[2-(methylsulfonyl)ethyl]pyridin-2(1H)-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}methyl)thiophen-2-yl]-1,3-benzothiazol-2-yl}acetamide;

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

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

1,2,4-triazol-4-yl}methyl)thiophen-2-yl]-1-benzylpyridin-2(1H)-one;  
 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{[5-(2,1,3-benzothiadiazol-5-yl)thiophen-2-yl]methyl}-2,4-dihydro-3H-1,2,4-triazol-3-one;  
 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{[5-(1,3-dihydro-2-benzofuran-5-yl)thiophen-2-yl]methyl}-2,4-dihydro-3H-1,2,4-triazol-3-one;  
 5-[5-({1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}methyl)thiophen-2-yl]-1-ethylpyridin-2(1H)-one;  
 5-[5-({1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}methyl)thiophen-2-yl]-1-cyclopropylpyridin-2(1H)-one;  
 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({5-[4-(ethylsulfonyl)phenyl]thiophen-2-yl}methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one;  
 4-[5-({1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}methyl)thiophen-2-yl]-N-cyclopropylbenzenesulfonamide;  
 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({5-[4-(morpholin-4-ylsulfonyl)phenyl]thiophen-2-yl}methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one;  
 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({5-[6-(piperazin-1-yl)pyridin-3-yl]thiophen-2-yl}methyl)-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)thiophen-3-yl}methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one;  
 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({4-[4-(methylsulfonyl)phenyl]thiophen-3-yl}methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one;  
 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({4-[6-(trifluoromethyl)pyridin-3-yl]thiophen-3-yl}methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one;  
 6-[4-({1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}methyl)thiophen-3-yl]-8-methyl-3,4-dihydroquinolin-2(1H)-one;  
 6-[4-({1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}methyl)thiophen-3-yl]-1-methyl-3,4-dihydroquinolin-2(1H)-one;  
 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({4-[6-(dimethylamino)pyridin-3-yl]thiophen-3-yl}methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one;  
 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({4-(1-ethyl-1H-pyrazol-4-yl)thiophen-3-yl}methyl)-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)-1-

benzothiophen-2-yl]methyl}-2,4-dihydro-3H-1,2,4-triazol-3-one;  
 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({5-[4-(methylsulfonyl)phenyl]-1-benzothiophen-2-yl}methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one;  
 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({5-[6-(trifluoromethyl)pyridin-3-yl]-1-benzothiophen-2-yl}methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one;  
 6-[2-({1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}methyl)-1-benzothiophen-5-yl]-8-methyl-3,4-dihydroquinolin-2(1H)-one;  
 6-[2-({1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}methyl)-1-benzothiophen-5-yl]-1-methyl-3,4-dihydroquinolin-2(1H)-one;  
 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({5-[6-(dimethylamino)pyridin-3-yl]-1-benzothiophen-2-yl}methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one;  
 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({5-[4-(piperazin-1-yl)phenyl]-1-benzothiophen-2-yl}methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one;  
 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{{5-(1-ethyl-1H-pyrazol-4-yl)-1-benzothiophen-2-yl]methyl}-2,4-dihydro-3H-1,2,4-triazol-3-one;  
 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{{2-[5-(1,3-benzodioxol-5-yl)thiophen-2-yl]ethyl}-2,4-dihydro-3H-1,2,4-triazol-3-one;  
 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(2-{{5-[4-(methylsulfonyl)phenyl]thiophen-2-yl}ethyl)-2,4-dihydro-3H-1,2,4-triazol-3-one;  
 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(2-{{5-[6-(trifluoromethyl)pyridin-3-yl]thiophen-2-yl}ethyl)-2,4-dihydro-3H-1,2,4-triazol-3-one;  
 6-[5-(2-{{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}ethyl)thiophen-2-yl]-8-methyl-3,4-dihydroquinolin-2(1H)-one;  
 6-[5-(2-{{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}ethyl)thiophen-2-yl]-1-methyl-3,4-dihydroquinolin-2(1H)-one;  
 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(2-{{5-[6-(dimethylamino)pyridin-3-yl]thiophen-2-yl}ethyl)-2,4-dihydro-3H-1,2,4-triazol-3-one;  
 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(2-{{5-[4-(piperazin-1-yl)phenyl]thiophen-2-yl}ethyl)-2,4-dihydro-3H-1,2,4-triazol-3-one; and  
 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{{2-[5-(1-ethyl-1H-pyrazol-4-yl)thiophen-2-yl]ethyl}-2,4-dihydro-3H-1,2,4-triazol-3-one.

**[0095]** As for the compound of Formula 1, the isomer thereof, or the 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-[(2*Z*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[(5-bromothiophen-2-yl)methyl]-2,4-dihydro-3*H*-1,2,4-triazol-3-one;
- 2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[(5-bromothiophen-2-yl)methyl]-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;
- 2-[(2*Z*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-(4-aminophenyl)thiophen-2-yl)methyl]-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;
- 2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[3-(dimethylamino)phenyl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;
- 2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[4-(dimethylamino)phenyl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;
- 2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[3-(dimethylamino)-4-fluorophenyl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;
- N*-4-[5-(1-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]phenylmethanesulfonamide hydrochloride;
- 3-[5-(1-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]-*N*-methylbenzenesulfonamide hydrochloride;
- 4-[5-(1-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]-*N,N*-dimethylbenzenesulfonamide hydrochloride;
- methyl 4-[5-(1-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]benzoate hydrochloride;
- methyl 3-[5-(1-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]benzoate hydrochloride;
- methyl 4-[5-(1-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]-2-fluorobenzoate hydrochloride;
- methyl 3-[5-(1-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]-5-fluorobenzoate hydrochloride;
- 2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-(3,4-difluorophenyl)thiophen-

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

2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[4-fluoro-3-(trifluoromethyl)phenyl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;

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

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

2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[(5-4-[(3,5-dimethoxybenzyl)oxy]-3,5-dimethylphenyl]thiophen-2-yl)methyl]-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;

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

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

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

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

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

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

2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[4-(tetrahydro-2*H*-pyran-4-yl)phenyl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;

2-[(2*Z*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[4-(morpholin-4-ylcarbonyl)phenyl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;

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

2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[3-(pyrrolidin-1-ylsulfonyl)phenyl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;

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

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

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

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

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

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

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

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

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

N-4-[5-(1-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]pyridin-2-ylacetamide hydrochloride;

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

5-[5-(1-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]-*N*-*tert*-butylpyridin-3-sulfonamide hydrochloride;

2-[(2*Z*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-(6-methoxypyridin-3-yl)thiophen-2-yl]methyl-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;

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

2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[6-(methylsulfanyl)pyridin-3-yl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;

2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[6-(2,2,2-trifluoroethoxy)pyridin-3-yl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;

2-[(2*Z*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[6-(trifluoromethyl)pyridin-3-yl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;

2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[6-(trifluoromethyl)pyridin-3-yl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;

5-[5-(1-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]pyridin-2-carbonitrile hydrochloride;

2-[(2*Z*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[6-(morpholin-4-yl)pyridin-3-yl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;

2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[6-(piperidin-1-yl)pyridin-3-yl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;

2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[(5-2-[(2-methoxyethyl)amino]pyrimidin-5-yl]thiophen-2-yl)methyl]-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;

5-[5-(1-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]pyrimidin-2-carbonitrile hydrochloride;

2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[2-(dimethylamino)pyrimidin-5-yl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;

2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[2-(methylsulfanyl)pyrimidin-5-yl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;

2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[2-(morpholin-4-yl)pyrimidin-5-yl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;

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

4-[[5-(1-acetyl-3,6-dihydro-2*H*-pyridin-4-yl)-2-thienyl]methyl]-2-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-1,2,4-triazol-3-one;

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

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

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

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

2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-([1,3]thiazolo[5,4-*b*]pyridin-6-yl)thiophen-2-yl]methyl-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;

2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-(1*H*-pyrrolo[2,3-*b*]pyridin-5-yl)thiophen-2-yl]methyl-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;

2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-([1,2,4]triazolo[1,5-*a*]pyridin-7-yl)thiophen-2-yl]methyl-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;

6-[5-(1-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]-2,3-dihydro-1*H*-isoindol-1-one hydrochloride;

5-[5-(1-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]-2,3-dihydro-1*H*-isoindol-1-one hydrochloride;

5-[5-(1-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]-1,3-dihydro-2*H*-indol-2-one hydrochloride;

5-[5-[[1-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]methyl]-2-thienyl]-7-fluoro-isoindol-1-one hydrochloride;

5-[5-[[1-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]methyl]-2-thienyl]-7-fluoro-indolin-2-one hydrochloride;

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

triazol-4-ylmethyl)thiophen-2-yl]-1,3-benzooxazol-2(3*H*)-one hydrochloride;

5-[5-(1-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]-1-methyl-1,3-dihydro-2*H*-pyrrolo[2,3-*b*]pyridin-2-one hydrochloride;

7-[5-(1-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]-3,4-dihydroisoquinolin-1(2*H*)-one hydrochloride;

6-[5-(1-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]-3,4-dihydroquinolin-2(1*H*)-one hydrochloride;

6-[5-(1-[(2*Z*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]-8-methyl-3,4-dihydroquinolin-2(1*H*)-one hydrochloride;

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

6-[5-[[1-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]methyl]-2-thienyl]-8-methyl-1*H*-quinolin-2-one;

6-[5-(1-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]-1-methyl-3,4-dihydroquinolin-2(1*H*)-one hydrochloride;

6-[5-[[1-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]methyl]-2-thienyl]-8-fluoro-3,4-dihydro-1*H*-quinolin-2-one;

6-[5-[[1-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]methyl]-2-thienyl]-8-(trifluoromethyl)-3,4-dihydro-1*H*-quinolin-2-one;

6-[5-(1-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]-8-fluoroquinolin-2(1*H*)-one hydrochloride;

6-[5-[[1-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]methyl]-2-thienyl]-8-methyl-4-(trifluoromethyl)-1*H*-quinolin-2-one;

7-[5-(1-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]-2*H*-1,4-benzoxazin-3(4*H*)-one hydrochloride;

7-[5-[[1-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]methyl]-2-thienyl]-4*H*-1,4-benzothiazin-3-one hydrochloride;

7-[5-[[1-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]methyl]-2-thienyl]-4-methyl-1,4-benzoxazin-3-one hydrochloride;

7-[5-[[1-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]methyl]-2-thienyl]-2,2-dimethyl-4*H*-1,4-benzoxazin-3-one;

- 7-[5-[[1-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]methyl]-2-thienyl]-8-methyl-3,4-dihydro-1*H*-quinolin-2-one;
- 6-[5-(1-[(2*Z*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]-2*H*-1,4-benzoxazin-3(4*H*)-one hydrochloride;
- 6-[5-(1-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]-2*H*-1,4-benzoxazin-3(4*H*)-one hydrochloride;
- 6-[5-(1-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]-8-methyl-2*H*-1,4-benzoxazin-3(4*H*)-one hydrochloride;
- 6-[5-[[1-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]methyl]-2-thienyl]-5-methyl-4*H*-1,4-benzoxazin-3-one hydrochloride;
- 6-[5-(1-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]-7-fluoro-2*H*-1,4-benzoxazin-3(4*H*)-one hydrochloride;
- 6-[5-[[1-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]methyl]-2-thienyl]-8-fluoro-4*H*-1,4-benzoxazin-3-one hydrochloride;
- 6-[5-[[1-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]methyl]-2-thienyl]-5-chloro-4*H*-1,4-benzoxazin-3-one hydrochloride;
- 6-[5-(1-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]-4-methyl-2*H*-1,4-benzoxazin-3(4*H*)-one hydrochloride;
- 6-[5-[[1-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]methyl]-2-thienyl]-2-methyl-4*H*-1,4-benzoxazin-3-one hydrochloride;
- 6-[5-[[1-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]methyl]-2-thienyl]-2,2-dimethyl-4*H*-1,4-benzoxazin-3-one;
- 7-[5-(1-[(2*Z*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]-1,4-dihydro-2*H*-3,1-benzoxazin-2-one hydrochloride;
- 7-[5-(1-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]-1,4-dihydro-2*H*-3,1-benzoxazin-2-one hydrochloride;
- 6-[5-(1-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]-1,4-dihydro-2*H*-3,1-benzoxazin-2-one hydrochloride;
- 6-[5-[[1-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]methyl]-2-thienyl]-5-methyl-1,4-dihydro-3,1-benzoxazin-2-one;
- 2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-(4-methyl-3,4-dihydro-2*H*-1,4-benzoxazin-7-yl)thiophen-2-yl]methyl-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;

2-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-4-[[5-(1-methyl-3,4-dihydro-2*H*-quinoxalin-6-yl)-2-thienyl]methyl]-1,2,4-triazol-3-one;

2-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-4-[[5-(3,4-dihydro-2*H*-1,4-benzoxazin-6-yl)-2-thienyl]methyl]-1,2,4-triazol-3-one;

2-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-4-[[5-(5-fluoro-3,4-dihydro-2*H*-1,4-benzoxazin-6-yl)-2-thienyl]methyl]-1,2,4-triazol-3-one;

2-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-4-[[5-(7-fluoro-3,4-dihydro-2*H*-1,4-benzoxazin-6-yl)-2-thienyl]methyl]-1,2,4-triazol-3-one;

2-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-4-[[5-(5-methyl-3,4-dihydro-2*H*-1,4-benzoxazin-6-yl)-2-thienyl]methyl]-1,2,4-triazol-3-one;

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

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

2-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-4-[[5-(5,6,7,8-tetrahydro-1,8-naphthyridin-3-yl)-2-thienyl]methyl]-1,2,4-triazol-3-one;

2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[2-(methylamino)quinazolin-6-yl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;

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

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

2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-(1*H*-pyrrolo[2,3-*b*]pyridin-3-yl)thiophen-2-yl]methyl-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;

2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[(*E*)-2-(4-hydroxyphenyl)ethenyl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;

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

2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[(*E*)-2-(3-fluorophenyl)ethenyl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one

hydrochloride;

2-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-4-[[5-[(*E*)-2-[4-(trifluoromethyl)phenyl]vinyl]-2-thienyl]methyl]-1,2,4-triazol-3-one hydrochloride;

2-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-4-[[5-[2-[4-(dimethylamino)phenyl]vinyl]-2-thienyl]methyl]-1,2,4-triazol-3-one;

2-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-4-[[5-[(*E*)-2-(3-thienyl)vinyl]-2-thienyl]methyl]-1,2,4-triazol-3-one hydrochloride;

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

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

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

2-[(*2E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[(3-aminophenyl)ethynyl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;

2-[(*2E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[(4-methoxyphenyl)ethynyl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;

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

2-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-4-[[5-[2-[6-(dimethylamino)-3-pyridyl]ethynyl]-2-thienyl]methyl]-1,2,4-triazol-3-one;

2-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-4-[[5-[2-(6-morpholino-3-pyridyl)ethynyl]-2-thienyl]methyl]-1,2,4-triazol-3-one hydrochloride;

2-[(*2E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[(1-methyl-1*H*-imidazol-5-yl)ethynyl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;

2-[(*2E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[(1-methyl-1*H*-pyrazol-4-yl)ethynyl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;

2-[(*2E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[3-(1,1-dioxidothiomorpholin-4-yl)prop-1-yn-1-yl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;

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

2-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-4-[[5-[2-(3,4-dihydro-2*H*-1,4-benzoxazin-6-yl)ethynyl]-2-thienyl]methyl]-1,2,4-triazol-3-one;

2-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-4-[[5-[2-(2,3-dihydro-1*H*-pyrido[2,3-*b*][1,4]oxazin-7-yl)ethynyl]-2-thienyl]methyl]-1,2,4-triazol-3-one;

2-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-4-[[5-[2-(2,3-dihydro-1*H*-pyrido[2,3-*b*][1,4]oxazin-6-yl)ethynyl]-2-thienyl]methyl]-1,2,4-triazol-3-one hydrochloride;

2-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-4-[[5-[2-(3,4-dihydro-2*H*-pyrido[3,2-*b*][1,4]oxazin-7-yl)ethynyl]-2-thienyl]methyl]-1,2,4-triazol-3-one;

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

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

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

2-[(*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[2-(thiophen-2-yl)ethyl]-2,4-dihydro-3*H*-1,2,4-triazol-3-one.

**[0096]** As for the compound of Formula 1, or an isomer thereof, or its 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-[(*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[6-(dimethylamino)pyridin-3-yl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;

6-[5-(1-[(*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophene-2-yl]-1-methyl-3,4-dihydroquinolin-2(1*H*)-one hydrochloride; and

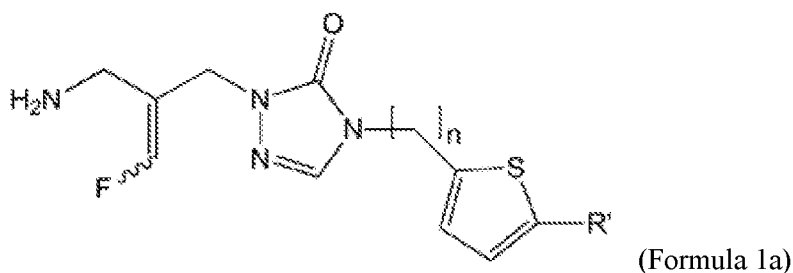
6-[2-[5-[[1-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]methyl]-2-thienyl]ethynyl]-3,4-dihydro-1*H*-quinolin-2-one hydrochloride.

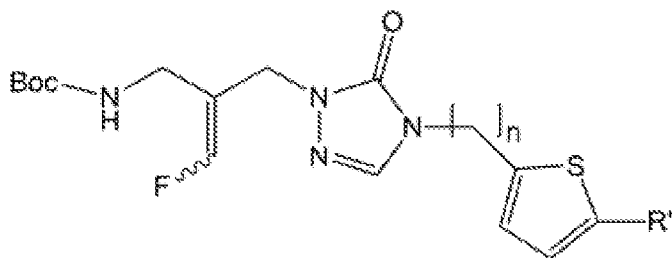
**[0097]** The compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, or a salt thereof may exist as the geometric isomer of a *cis* or *trans* structure. Thus, unless indicated otherwise, the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13,

and 13a, or salt thereof comprises both geometric isomers of cis and trans structures.

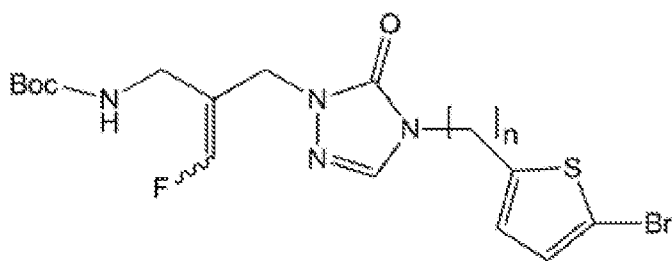
[0098] The compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, of the present technology can be in the form of a pharmaceutically acceptable salt. The term “pharmaceutically acceptable salt,” as used herein, represents salts or zwitterionic forms of the compounds of the present 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.

[0099] The compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, or an isomer thereof, 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 an optionally substituted thiophene 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.





(Formula 1aa)

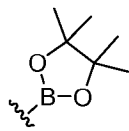


(Formula 2)

Z<sub>2</sub>-B-R' (Formula 3a)

HC≡CR' (Formula 3b)

[0100] 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.); Z is hydroxy or C<sub>1-3</sub> alkoxy, or two Z together with the boron to



which they are attached form ; R' is -R, -CH=CH-R, or -C≡C-R; and R and n are 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 selected from the group consisting of benzene, benzyl, pyridine, pyridin-2-one, tetrahydropyridine, pyrimidine, pyridazine, thiophene, imidazole, pyrazole, oxadiazol-5-one, 1,1-dioxidithiomorpholin-4-yl-methyl, benzodioxole, benzooxadiazole, benzothiadiazole, benzooxazole, benzooxazolone, benzothiazole, 1,3-dihydrobenzofuran, indazole, thiazolo[5,4-b]pyridine, pyrrolo[2,3-b]pyridine, pyrrolo[2,3-b]pyridin-2-one, triazolone[1,5-a]pyridine, 1,3-dihydroindol-2-one, 2,3-dihydroisoindol-1-one, triazolone, quinoline, isoquinoline, quinolin-2-one, 3,4-dihydroquinolin-2-one, 3,4-dihydroisoquinolin-1-one, 3,4-dihydroquinazolin-2-one, 3,4-dihydronaphthyridin-2-one, 3,4-dihydro-1,4-benzooxazine, 1,4-benzooxazin-3-one, 3,1-benzooxazin-2-one, 1,4-benzothiazin-

3-one, 3,4-dihydro-quinoxaline, 3,4-dihydro-2H-chromene, 1,2,3,4-tetrahydroquinoline, 5,6,7,8-tetrahydronaphthyridine, oxazolo[4,5-b]pyridin-2-one, imidazo[4,5-b]pyridine, pyrido[2,3-b][1,4]oxazine, pyrido[2,3-b][1,4]oxazin-2-one, pyrido[2,3-d][1,3]oxazin-2-one, pyrido[2,3-b][1,4]oxazin-3-one, pyrido[3,2-b][1,4]oxazine, and pyrido[3,2-b][1,4]oxazin-3-one, and wherein R is optionally substituted with one to three substituents selected from the group consisting of hydroxy, halogen, cyano, C<sub>1-6</sub> alkyl, C<sub>1-6</sub> cycloalkyl, benzyl, trifluoromethyl, hydroxy-C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkoxy, C<sub>1-6</sub> alkoxy-C<sub>1-6</sub> alkoxy, difluoromethoxy, trifluoro-C<sub>1-6</sub> alkoxy, trifluoroethoxy, 3,5-dimethoxybenzyloxy, (C<sub>1-6</sub> cycloalkyl)methoxy, amino, mono- or di-C<sub>1-6</sub> alkylamino, C<sub>1-6</sub> alkoxy-C<sub>1-6</sub> alkylamino, C<sub>1-6</sub> alkylsulfonylamino, C<sub>1-6</sub> alkylcarbonylamino, C<sub>1-6</sub> alkylthio, mono- or di-C<sub>1-6</sub> alkylaminocarbonyl, mono- or di-C<sub>1-6</sub> alkylaminosulfonyl, mono- or di-C<sub>1-6</sub> cycloalkylaminosulfonyl, pyrrolidinylsulfonyl, morpholinylsulfonyl, C<sub>1-6</sub> alkylcarbonyl, C<sub>1-6</sub> alkylsulfonyl, C<sub>1-6</sub> alkylsulfonyl-C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkoxy-carbonyl, morpholinylcarbonyl, pyrrolidinyl, 5-oxopyrrolidinyl, piperidinyl, C<sub>1-6</sub> alkyl-piperidinyl, piperazinyl, acetyl-piperazinyl, morpholinyl, morpholinyl-C<sub>1-6</sub> alkyl, tetrahydropyranyl, pyrazolyl, triazolyl, isoxazolyl, oxazolyl, oxadiazolyl, cyclopropyl-oxadiazolyl, 1,2,4-oxadiazol-5(4H)-onyl, and C<sub>1-6</sub> alkyl-oxadiazolyl.

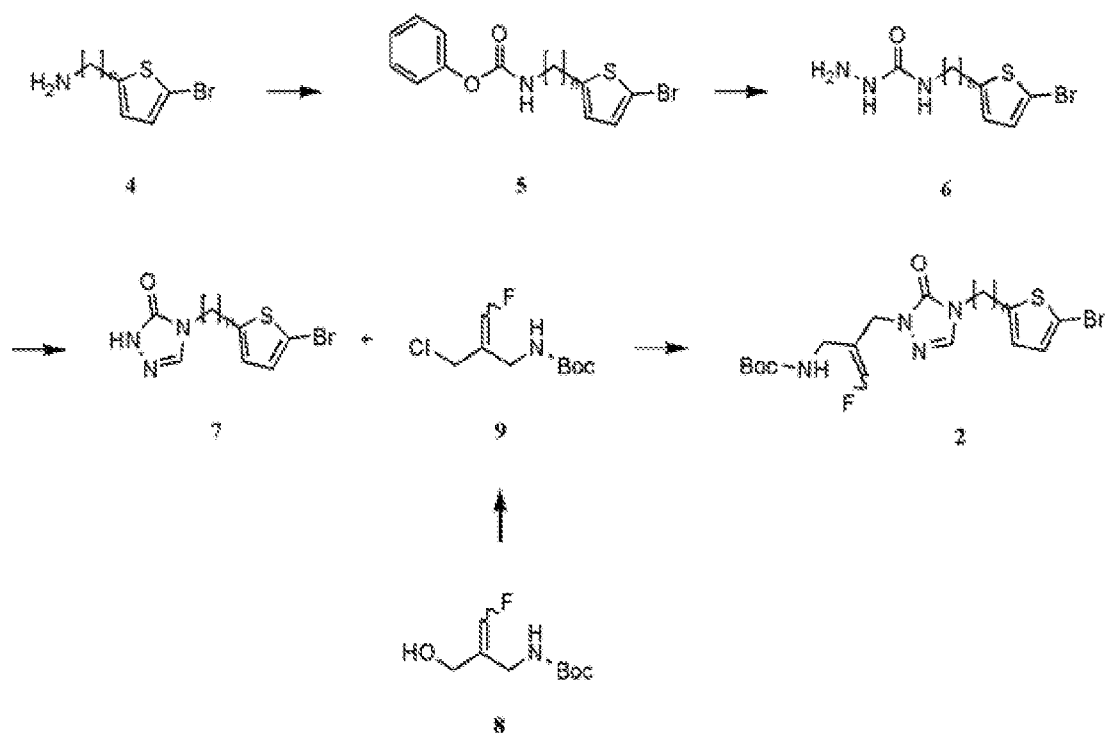
**[0101]** The reaction of the compound of Formula 2 above with a commercially available compound of Formula 3a may be carried out via Suzuki reaction. Said reaction can be carried out by using a palladium catalyst. The palladium catalyst includes palladium diacetate, Pd(OAc)<sub>2</sub>, tris(dibenzylideneacetone)dipalladium (Pd<sub>2</sub>(dba)<sub>3</sub>), tetrakis(triphenylphosphine)palladium (Pd(PPh<sub>3</sub>)<sub>4</sub>) or palladiumdi[1,1'-bis(diphenylphosphino)ferrocene]dichloride(PdCl<sub>2</sub>(dppf)<sub>2</sub>), 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)<sub>3</sub>), etc., and said base includes an inorganic base such as cesium carbonate(Cs<sub>2</sub>CO<sub>3</sub>), sodium carbonate(Na<sub>2</sub>CO<sub>3</sub>), potassium carbonate (K<sub>2</sub>CO<sub>3</sub>), potassium fluoride (KF), cesium fluoride(CsF), sodium hydroxide (NaOH), potassium phosphonate (K<sub>3</sub>PO<sub>4</sub>), 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.

**[0102]** 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 by using an acid such as trifluoroacetic acid or can be removed in the form of a hydrochloride salt by using hydrogen chloride dissolved in the organic solvents, such as diethyl ether, 1,4-dioxane, etc.

**[0103]** The compound of Formula 2 can be prepared according to the following Reaction Scheme 1.

Reaction Scheme 1.



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

**[0104]** 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).

**[0105]** 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.).

**[0106]** 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).

**[0107]** 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.

**[0108]** 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.

**[0109]** The triazolone derivatives according to the present technology, i.e., the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, 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, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, 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).

**[0110]** 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, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, 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.

**[0111]** 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.

**[0112]** 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.

**[0113]** 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.

**[0114]** 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.

**[0115]** 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.

**[0116]** 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.

**[0117]** 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.

**[0118]** 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.

**[0119]** 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.

**[0120]** 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.

**[0121]** 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.

**[0122]** 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.

**[0123]** 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.

**[0124]** 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.

**[0125]** 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.

**[0126]** 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.

**[0127]** 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.

**[0128]** 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.

**[0129]** 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.

**[0130]** 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.

**[0131]** 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.

**[0132]** 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.

**[0133]** 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.

**[0134]** 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.

**[0135]** 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.

**[0136]** 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.

**[0137]** 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.

**[0138]** 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.

**[0139]** Thus, 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, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, 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 Formula 1 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, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, or an isomer thereof, or a pharmaceutically acceptable salt thereof, and at least one pharmaceutically acceptable carrier

or excipient.

**[0140]** 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, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, or an isomer thereof, or a pharmaceutically acceptable salt thereof, and at least one pharmaceutically acceptable carrier or excipient.

**[0141]** 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, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, or an isomer thereof, or a pharmaceutically acceptable salt thereof, and at least one pharmaceutically acceptable carrier or excipient.

**[0142]** 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.

**[0143]** In some embodiments, the compositions disclosed herein contain at least one additional active agent.

**[0144]** 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  $\beta$  (TGF- $\beta$ ), Transforming growth factor  $\beta$  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.

**[0145]** The compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, 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.

**[0146]** 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.

**[0147]** 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.

**[0148]** 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.

**[0149]** 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.

**[0150]** 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.

**[0151]** 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.

**[0152]** 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.

**[0153]** 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.

**[0154]** 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.

**[0155]** 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.

**[0156]** Said triazolone derivatives, i.e., the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, 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.

**[0157]** Generally, a therapeutically effective amount of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, 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, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, 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.

**[0158]** In some embodiments, the therapeutically effective amount of the compound of

Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, 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.

**[0159]** Of course, the dosage may be changed according to the patient's age, weight, susceptibility, symptom, or the efficacy of the compound.

**[0160]** 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, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, 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, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, 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.

**[0161]** The present technology provides a use of the compound of Formulae X, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, 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, Y, 1, 10, 10a, 10b, 11, 11a, 12, 12a, 13, and 13a, 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).

**[0162]** The present technology is further elaborated through examples and experimental examples. However, the following examples and experimental examples are provided for illustration purposes only, and are not intended to limit the scope of the technology.

**[0163]** 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.

**[0164]** 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

**[0165]** 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.

**[0166]** Reference Example 1. 4-((5-bromothiophen-2-yl)methyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one

**[0167]** Step 1: phenyl ((5-bromothiophen-2-yl)methyl)carbamate

**[0168]** 1.0 g of 5-bromothiophen-2-ylmethylamine and 0.98 mL of pyridine were dissolved in 10.0 mL of ethyl acetate. To the resulting solution, 0.77 mL of phenylchloroformate was slowly added at 0°C, and the solution was stirred at room temperature for 3 hours. The resulting reaction mixture was concentrated, and then with addition of ethyl acetate, the reaction mixture was washed with a 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: 86.1 %). <sup>1</sup>H-NMR (DMSO-*d*<sub>6</sub>, 400MHz) δ 7.81(s, 1H), 7.69(s, 1H), 7.56(s, 1H)

**[0169]** Step 2: *N*-((5-bromothiophen-2-yl)methyl)hydrazine carboxamide

**[0170]** 1.4 g of phenyl ((5-bromothiophen-2-yl)methyl)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 reaction mixture thus obtained was concentrated and then washed with ethyl acetate to give 890.0 mg of the title compound as a white solid (yield: 79.3 %). <sup>1</sup>H-NMR (DMSO-*d*<sub>6</sub>, 400MHz) δ 7.34(s, 1H), 6.95(s, 1H), 6.72(s, 1H), 1.24(s, 9H)

**[0171]** Step 3: 4-((5-bromothiophen-2-yl)methyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one

**[0172]** 890.0 mg of *N*-((5-bromothiophen-2-yl)methyl)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 1.3 mL 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 solid was filtered and dried to give 742.8 mg of the title compound as a white solid (yield: 80.3%). <sup>1</sup>H-NMR (CDCl<sub>3</sub>, 400MHz) δ 7.53(brs, 1H), 7.33(s, 1H), 7.23(s, 1H), 6.59(s, 1H), 4.08(d, 2H), 3.76(d, 2H), 2.10-2.02(m, 2H), 1.52(s, 9H), 1.03-1.00(m, 12H)

[0173] Reference Example 2. 4-(2-(thiophene-2-yl)ethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one

[0174] Step 1: phenyl (2-(thiophene-2-yl)ethyl)carbamate

[0175] 1.0 g of 2-thiophenethylamine and 1.4 mL of pyridine were dissolved in 15.7 mL of ethyl acetate. To the resulting solution, 1.1 mL of phenylchloroformate was slowly added at 0°C, and the solution was stirred at room temperature for 3 hours. The resulting reaction mixture was concentrated, and then with addition of ethyl acetate, the reaction mixture was washed with a 1N hydrochloric acid solution and brine, dried over anhydrous magnesium sulfate, and concentrated under reduced pressure to give 1.1 g of the title compound as a yellow solid (yield: 56.6 %). <sup>1</sup>H-NMR (CDCl<sub>3</sub>, 400MHz) δ 8.26(t, 1H), 7.74(d, 2H), 3.94(s, 6H), 3.80(d, 2H), 2.15-2.04(m, 1H), 1.04(d, 6H)

[0176] Step 2: *N*-(2-(thiophene-2-yl)ethyl)hydrazine carboxamide

[0177] 1.1 g of phenyl (2-(thiophene-2-yl)ethyl)carbamate prepared in Step 1 and 432 uL 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 reaction mixture thus obtained was concentrated and then washed with ethyl acetate to give 750.0 mg of the title compound as a white solid (yield: 91.0 %). <sup>1</sup>H-NMR (CDCl<sub>3</sub>, 400MHz) δ 8.35(t, 1H), 7.80(d, 2H), 3.96(s, 3H), 3.83(d, 2H), 2.15-2.10(m, 1H), 1.06(d, 6H)

[0178] Step 3: 4-(2-(thiophene-2-yl)ethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one

[0179] 750.0 mg of *N*-(2-(thiophene-2-yl)ethyl)hydrazine carboxamide prepared in Step 2

and 1.7 g of formamidine acetate were dissolved in 9.0 mL of 1-propanol, and the resulting solution was stirred at room temperature for 30 minutes, and then 1.4 mL 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 solid was filtered and dried to give 569 mg of the title compound as a white solid (yield: 72.0 %). <sup>1</sup>H-NMR (CDCl<sub>3</sub>, 400MHz) δ 8.20(s, 1H), 7.81-7.79(m, 1H), 7.76-7.74(m, 1H), 7.14(d, 1H), 6.97(d, 1H), 3.96(s, 3H), 3.83(d, 2H), 2.15-2.10(m, 1H), 1.06(d, 6H)

[0180] Reference Example 3. tert-butyl (*Z*)-(2-(chloromethyl)-3-fluoroallyl)carbamate

[0181] 1.0 g of tert-butyl (*Z*)-(3-fluoro-2-(hydroxymethyl)allyl)carbamate, 1.2 g of *p*-toluenesulfonyl chloride, and 0.88 mL of triethylamine were dissolved in 10.0 mL of dichloromethane, and the solution was stirred at room temperature for 24 hours. 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 953 mg of the title compound as a white solid (yield: 87.4%). <sup>1</sup>H-NMR (CDCl<sub>3</sub>, 400MHz) δ 8.27(t, 1H), 7.79(d, 2H), 4.69-4.64(m, 1H), 3.93(s, 6H), 3.63-3.50(m, 2H), 3.42(s, 3H), 1.32(d, 3H)

[0182] Reference Example 4. tert-butyl (*E*)-(2-(chloromethyl)-3-fluoroallyl)carbamate

[0183] 1.0 g of tert-butyl (*E*)-(3-fluoro-2-(hydroxymethyl)allyl)carbamate, 1.2 g of *p*-toluenesulfonyl chloride, and 0.88 mL of triethylamine were dissolved in 10.0 mL of dichloromethane, and the solution was stirred at room temperature for 24 hours. 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 924 mg of the title compound as a white solid (yield: 84.8 %). <sup>1</sup>H-NMR (CDCl<sub>3</sub>, 400MHz) δ 7.61(s, 1H), 7.51(t, 1H), 7.16(s, 1H), 4.69(s, 2H), 4.65-4.60(m, 1H), 3.90(s, 3H), 3.61-3.48(m, 2H), 3.41(s, 3H), 1.31(d, 3H)

[0184] Reference Example 5. tert-butyl (Z)-(2-((4-((5-bromothiophen-2-yl)methyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate

[0185] 67.1 mg of 4-((5-bromothiophen-2-yl)methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one prepared in Reference Example 1 and 76.9 mg of potassium carbonate were dissolved in 2.0 mL of *N,N*-dimethylformamide. 100.0 mg of tert-butyl (Z)-(2-(chloromethyl)-3-fluoroallyl)carbamate prepared in Reference Example 3 was added to the resulting solution, and then the solution was stirred at 100 °C for 4 hours. The reaction mixture thus obtained was concentrated, and with 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 70.0 mg of the title compound as a white solid (yield: 60.5 %). <sup>1</sup>H-NMR (CDCl<sub>3</sub>, 400MHz) δ 7.64(t, 1H), 7.52(dd, 1H), 7.16(t, 1H), 4.65-4.59(m, 1H), 4.42(s, 2H), 3.91(s, 3H), 3.61-3.48(m, 2H), 3.42(s, 3H), 1.32(d, 3H)

[0186] Reference Example 6. tert-butyl (E)-(2-((4-((5-bromothiophen-2-yl)methyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate

[0187] 16.0 mg of 4-((5-bromothiophen-2-yl)methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one prepared in Reference Example 1 and 15.0 mg of potassium carbonate were dissolved in 0.5 mL of *N,N*-dimethylformamide. 20.0 mg of tert-butyl (E)-(2-(chloromethyl)-3-fluoroallyl)carbamate prepared in Reference Example 4 was added to the resulting solution, and then the solution was stirred at 90 °C for 5 hours. The reaction mixture thus obtained was concentrated, and with 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= 1/1) to give 16.0 mg of the title compound as a white solid (yield: 57.7 %). <sup>1</sup>H-NMR (CDCl<sub>3</sub>, 400MHz) δ 9.70(d, 1H), 8.46(brs, 1H), 8.40(d, 1H), 8.29(dd, 1H), 7.48-7.45(m, 2H), 7.16(d, 1H), 5.13(s, 2H), 4.70-4.62(m, 1H), 3.63-3.51(m, 2H), 3.43(s, 3H), 2.14(s, 3H), 1.35(d, 3H)

[0188] Reference Example 7. tert-butyl (Z)-(3-fluoro-2-((5-oxo-4-(2-(thiophene-2-yl)ethyl)-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)allyl)carbamate

[0189] 16.3 mg of 4-(2-(thiophene-2-yl)ethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one prepared in Reference Example 2 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 3 was added to the resulting solution, and then the solution was stirred at 100 °C for 4 hours. The reaction mixture thus obtained was concentrated, and with 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 11.0 mg of the title compound as a white solid (yield: 55.7 %). <sup>1</sup>H-NMR (CDCl<sub>3</sub>, 400MHz) δ 7.64(t, 1H), 7.52(dd, 1H), 7.16(t, 1H), 4.65-4.59(m, 1H), 4.42(s, 2H), 3.91(s, 3H), 3.61-3.48(m, 2H), 3.42(s, 3H), 1.32(d, 3H)

[0190] Reference Example 8. tert-butyl (*E*)-(3-fluoro-2-((5-oxo-4-(2-(thiophene-2-yl)ethyl)-4,5-dihydro-1*H*-1,2,4-triazol-1-yl)methyl)allyl)carbamate

[0191] 16.3 mg of 4-(2-(thiophene-2-yl)ethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one prepared in Reference Example 2 and 23.1 mg of potassium carbonate were dissolved in 0.6 mL of *N,N*-dimethylformamide. 30.0 mg of tert-butyl (*E*)-(2-(chloromethyl)-3-fluoroallyl)carbamate prepared in Reference Example 4 was added to the resulting solution, and then the solution was stirred at 100 °C for 4 hours. The reaction mixture thus obtained was concentrated, and with 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 19.0 mg of the title compound as a white solid (yield: 17.9 %). <sup>1</sup>H-NMR (CDCl<sub>3</sub>, 400MHz) δ 9.70(d, 1H), 8.46(brs, 1H), 8.40(d, 1H), 8.29(dd, 1H), 7.48-7.45(m, 2H), 7.16(d, 1H), 5.13(s, 2H), 4.70-4.62(m, 1H), 3.63-3.51(m, 2H), 3.43(s, 3H), 2.14(s, 3H), 1.35(d, 3H)

[0192] Reference Example 9. 3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1-((2-(trimethylsilyl)ethoxy)methyl)-1*H*-1,2,4-triazole

[0193] Step 1: (*E*)-4-bromo-*N*-((dimethylamino)methylene)benzamide

[0194] 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 a solid. The resulting solid was filtered and dried to give 1.89 g of the titled compound as a yellow solid (yield: 74.1%). <sup>1</sup>H-NMR (CDCl<sub>3</sub>, 400MHz) δ 8.26(t, 1H), 7.74(d, 2H), 3.94(s, 6H), 3.80(d, 2H), 2.15-2.04(m, 1H), 1.04(d, 6H)

[0195] Step 2: 3-(4-bromophenyl)-1*H*-1,2,4-triazole

[0196] 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 30.0 mL diethylether was added thereto. The reaction mixture thus obtained was stirred at room temperature for 1 hour. The resulting reaction mixture was additionally stirred at 0 °C for 1 hour to give a solid. The resulting solid was filtered and dried to obtain 1.57 g of the title compound as a yellow solid (yield: 100.0%). <sup>1</sup>H-NMR (CDCl<sub>3</sub>, 400MHz) δ 8.35(t, 1H), 7.80(d, 2H), 3.96(s, 3H), 3.83(d, 2H), 2.15-2.10(m, 1H), 1.06(d, 6H)

[0197] Step 3: 3-(4-bromophenyl)-1-((2-(trimethylsilyl)ethoxy)methyl)-1*H*-1,2,4-triazole

[0198] 1.5 g of 3-(4-bromophenyl)-1*H*-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 at room temperature for 2 hours further. After addition of distilled water, 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 white solid (yield: 46.4 %). <sup>1</sup>H-NMR (CDCl<sub>3</sub>, 400MHz) δ 8.20(s, 1H), 7.81-7.79(m, 1H), 7.76-7.74(m, 1H), 7.14(d, 1H), 6.97(d, 1H), 3.96(s, 3H), 3.83(d, 2H), 2.15-2.10(m, 1H), 1.06(d, 6H)

[0199] Step 4: 3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1-((2-(trimethylsilyl)ethoxy)methyl)-1*H*-1,2,4-triazole

[0200] 500.0 mg of 3-(4-bromophenyl)-1-((2-(trimethylsilyl)ethoxy)methyl)-1*H*-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 (PdCl<sub>2</sub>(dppf)) and 39.0 mg of 1,1'-bis(diphenylphosphino)ferrocene] (dppf) were added, and the solution was stirred overnight at 95 °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 403.0 mg of the title compound as a yellow solid (yield: 71.2 %). <sup>1</sup>H-NMR (CDCl<sub>3</sub>, 400MHz) δ 8.20(s, 1H), 7.81-7.79(m, 1H), 7.76-7.74(m, 1H), 7.14(d, 1H), 6.97(d, 1H), 3.96(s, 3H), 3.83(d, 2H), 2.15-2.10(m, 1H), 1.06(d, 6H)

[0201] Reference Example 10. 3-(3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1-((2-(trimethylsilyl)ethoxy)methyl)-1*H*-1,2,4-triazole

[0202] 1.57 g of the title compound as a yellow solid (yield: 75.0 %) was prepared in the same fashion as Reference Example 9, except that 2.0 g of 3-bromobenzamide was used in Step 1 instead of 4-bromobenzamide. <sup>1</sup>H-NMR (CDCl<sub>3</sub>, 400MHz) δ 8.20(s, 1H), 7.81-7.79(m, 1H), 7.76-7.74(m, 1H), 7.14(d, 1H), 6.97(d, 1H), 3.96(s, 3H), 3.83(d, 2H), 2.15-2.10(m, 1H), 1.06(d, 6H)

[0203] Reference Example 11. 3-(3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1,2,4-oxadiazole

[0204] Step 1: 3-bromo-*N*-hydroxybenzimidamide

[0205] 5.0 g of 3-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 4.98 g of the title compound as a yellow liquid (yield: 84.3 %). <sup>1</sup>H-NMR (CDCl<sub>3</sub>, 400MHz) δ 8.20(s, 1H), 7.81-7.79(m, 1H), 7.76-7.74(m, 1H), 7.14(d, 1H), 6.97(d, 1H), 3.96(s, 3H), 3.83(d, 2H), 2.15-2.10(m, 1H), 1.06(d, 6H)

**[0206]** Step 2: 3-(3-bromophenyl)-1,2,4-oxadiazole

**[0207]** 1.0 g of 3-bromo-N-hydroxybenzimidamide prepared in Step 1 was dissolved in 3.0 mL of triethylorthoformate, 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 0.52 g of the title compound as a white solid (yield: 49.7 %). <sup>1</sup>H-NMR (CDCl<sub>3</sub>, 400MHz) δ 8.35(t, 1H), 7.80(d, 2H), 3.96(s, 3H), 3.83(d, 2H), 2.15-2.10(m, 1H), 1.06(d, 6H)

**[0208]** Step 3: 3-(3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1,2,4-oxadiazole

**[0209]** 500.0 mg of 3-(3-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 (PdCl<sub>2</sub>(dppf)) and 61.0 mg of 1,1'-bis(diphenylphosphino)ferrocene] (dppf) were added, and the solution was stirred overnight at 95°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 325.0 mg of the title compound as a yellow solid (yield: 53.8 %). <sup>1</sup>H-NMR (CDCl<sub>3</sub>, 400MHz) δ 8.20(s, 1H), 7.81-7.79(m, 1H), 7.76-7.74(m, 1H), 7.14(d, 1H), 6.97(d, 1H), 3.96(s, 3H), 3.83(d, 2H), 2.15-2.10(m, 1H), 1.06(d, 6H)

[0210] Reference Example 12. 5-cyclopropyl-3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1,2,4-oxadiazole

[0211] Step 1: 4-bromo-*N*-hydroxybenzimidamide

[0212] 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 %). <sup>1</sup>H-NMR (CDCl<sub>3</sub>, 400MHz) δ 8.20(s, 1H), 7.81-7.79(m, 1H), 7.76-7.74(m, 1H), 7.14(d, 1H), 6.97(d, 1H), 3.96(s, 3H), 3.83(d, 2H), 2.15-2.10(m, 1H), 1.06(d, 6H)

[0213] Step 2: 3-(4-bromophenyl)-5-cyclopropyl-1,2,4-oxadiazole

[0214] 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 100°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: 66.4 %). <sup>1</sup>H-NMR (CDCl<sub>3</sub>, 400MHz) δ 8.35(t, 1H), 7.80(d, 2H), 3.96(s, 3H), 3.83(d, 2H), 2.15-2.10(m, 1H), 1.06(d, 6H)

[0215] Step 3: 5-cyclopropyl-3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1,2,4-oxadiazole

[0216] 500.0 mg of 3-(4-bromophenyl)-5-cyclopropyl-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 palladium di[1,1'-bis(diphenylphosphino)ferrocene]dichloride (PdCl<sub>2</sub>(dppf)) and 61.0 mg of 1,1'-bis(diphenylphosphino)ferrocene (dppf) were added, and the solution was stirred overnight at 95°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 403.0 mg of the title compound as a yellow solid (yield: 68.4 %). <sup>1</sup>H-NMR (CDCl<sub>3</sub>, 400MHz) δ 8.20(s, 1H), 7.81-7.79(m, 1H), 7.76-7.74(m, 1H), 7.14(d, 1H), 6.97(d, 1H), 3.96(s, 3H), 3.83(d, 2H), 2.15-2.10(m, 1H), 1.06(d, 6H)

[0217] Reference Example 13. 5-cyclopropyl-3-(3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1,2,4-oxadiazole

[0218] 307.0 mg of the title compound as a yellow solid (yield: 70.2 %) was prepared in the same fashion as Reference Example 12, except that 5.0 g of 3-bromobenzonitrile was used in Step 1 instead of 4-bromobenzonitrile. <sup>1</sup>H-NMR (CDCl<sub>3</sub>, 400MHz) δ 8.20(s, 1H), 7.81-7.79(m, 1H), 7.76-7.74(m, 1H), 7.14(d, 1H), 6.97(d, 1H), 3.96(s, 3H), 3.83(d, 2H), 2.15-2.10(m, 1H), 1.06(d, 6H)

[0219] Reference Example 14. 5-isopropyl-3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1,2,4-oxadiazole

[0220] 486.0 mg of the title compound as a white solid (yield: 75.0 %) was prepared in the same fashion as Reference Example 12, except that 0.82 mL of isobutyryl chloride was used in Step 2 instead of cyclopropane carbonyl chloride. <sup>1</sup>H-NMR (CDCl<sub>3</sub>, 400MHz) δ 8.20(s, 1H), 7.81-7.79(m, 1H), 7.76-7.74(m, 1H), 7.14(d, 1H), 6.97(d, 1H), 3.96(s, 3H), 3.83(d, 2H), 2.15-2.10(m, 1H), 1.06(d, 6H)

[0221] Reference Example 15. 5-isopropyl-3-(3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1,2,4-oxadiazole

[0222] 325.0 mg of the title compound as a yellow solid (yield: 69.5 %) was prepared in the same fashion as Reference Example 12, 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. <sup>1</sup>H-NMR (CDCl<sub>3</sub>, 400 MHz) δ 8.20(s, 1H), 7.81-7.79(m, 1H), 7.76-7.74(m, 1H), 7.14(d, 1H), 6.97(d, 1H), 3.96(s, 3H), 3.83(d, 2H), 2.15-2.10(m, 1H), 1.06(d, 6H)

[0223] Reference Example 16. 4-((4-bromothiophen-2-yl)methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one

[0224] Step 1: phenyl ((4-bromothiophen-2-yl)methyl)carbamate

[0225] 1.0 g of 4-bromothiophen-2-ylmethylamine and 0.98 mL of pyridine were dissolved in 10.0 mL of ethyl acetate. To the resulting solution, 0.77 mL of phenylchloroformate was slowly added at 0°C, and the solution was stirred at room temperature for 3 hours. The resulting reaction mixture was concentrated, and then with addition of ethyl acetate, the reaction mixture was washed with a 1N hydrochloric acid solution and brine, dried over anhydrous magnesium sulfate, and concentrated under reduced pressure to give 1.5 g of the title compound as a pale yellow solid (yield: 92.2 %).

[0226] Step 2: *N*-((4-bromothiophen-2-yl)methyl)hydrazine carboxamide

[0227] 1.5 g of phenyl ((4-bromothiophen-2-yl)methyl)carbamate prepared in Step 1 and 514.3 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 reaction mixture thus obtained was concentrated and then washed with ethyl acetate to give 1100 mg of the title compound as a white solid (yield: 91.6 %).

[0228] Step 3: 4-((4-bromothiophen-2-yl)methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one

[0229] 1100 mg of *N*-((4-bromothiophen-2-yl)methyl)hydrazine carboxamide prepared in Step 2 and 2.0 g of formamidine acetate were dissolved in 11.0 mL of 1-propanol, and the

resulting solution was stirred at room temperature for 30 minutes, and then 1.6 mL 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 solid was filtered and dried to give 846 mg of the title compound as a pale yellow solid (yield: 73.9 %).

**[0230]** Reference Example 17. tert-butyl (*E*)-(2-((4-((4-bromothiophen-2-yl)methyl)-5-oxo-4,5-dihydro-1*H*-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate

**[0231]** 846 mg of 4-((4-bromothiophen-2-yl)methyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one prepared in Reference Example 16 and 793 mg of potassium carbonate were dissolved in 8.0 mL of *N,N*-dimethylformamide. 1058 mg of tert-butyl (*E*)-(2-(chloromethyl)-3-fluoroallyl)carbamate prepared in Reference Example 4 was added to the resulting solution, and then the solution was stirred at 90 °C for 6 hours. The reaction mixture thus obtained was concentrated, and with 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= 1/1) to give 745 mg of the title compound as a white solid (yield: 51.2 %). MS (ESI)  $m/z$ = 348.1 (M + H)<sup>+</sup>

**[0232]** Reference Example 18. 4-((5-bromobenzo[*b*]thiophen-2-yl)methyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one

**[0233]** Step 1: phenyl ((5-bromobenzo[*b*]thiophen-2-yl)methyl)carbamate

**[0234]** 1.0 g of (5-bromobenzo[*b*]thiophen-2-yl)methylamine and 0.67 mL of pyridine were dissolved in 10.0 mL of ethyl acetate. To the resulting solution, 711 mg of phenylchloroformate was slowly added at 0°C, and the solution was stirred at room temperature for 5 hours. The resulting reaction mixture was concentrated, and then with addition of ethyl acetate, the reaction mixture was washed with a 1N hydrochloric acid solution and brine, dried over anhydrous magnesium sulfate, and concentrated under reduced pressure to give 0.9 g of the title compound as a white solid (yield: 60.2 %).

**[0235]** Step 2: *N*-((5-bromobenzo[*b*]thiophen-2-yl)methyl)hydrazine carboxamide

[0236] 0.9 g of phenyl ((5-bromobenzo[*b*]thiophen-2-yl)methyl)carbamate prepared in Step 1 and 248 mg 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 room temperature. The reaction mixture thus obtained was concentrated and then washed with ethyl acetate to give 725.0 mg of the title compound as a white solid (yield: 97.4 %).

[0237] Step 3: 4-((5-bromobenzo[*b*]thiophen-2-yl)methyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one

[0238] 725.0 mg of *N*-((5-bromobenzo[*b*]thiophen-2-yl)methyl)hydrazine carboxamide prepared in Step 2 and 1.0 g 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 then 0.7 mL 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 solid was filtered and dried to give 511 mg of the title compound as a white solid (yield: 68.4 %).

[0239] Reference Example 19. tert-butyl (*E*)-(2-((4-((5-bromobenzo[*b*]thiophen-2-yl)methyl)-5-oxo-4,5-dihydro-1*H*-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate

[0240] 511 mg of 4-((5-bromobenzo[*b*]thiophen-2-yl)methyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one prepared in Reference Example 18 and 456 mg of potassium carbonate were dissolved in 5.0 mL of *N,N*-dimethylformamide. 442.9 mg of tert-butyl (*E*)-(2-(chloromethyl)-3-fluoroallyl)carbamate prepared in Reference Example 4 was added to the resulting solution, and then the solution was stirred at 90 °C for 5 hours. The reaction mixture thus obtained was concentrated, and with 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 403 mg of the title compound as a white solid (yield: 49.1 %). MS (ESI)  $m/z$ = 398.1 (M + H)<sup>+</sup>

[0241] Reference Example 20. 4-(2-(5-bromothiophene-2-yl)ethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one

[0242] Step 1: phenyl (2-(5-bromothiophene-2-yl)ethyl)carbamate

[0243] 1.0 g of 2-(5-bromothiophen-2-yl)ethan-1-amine and 1.4 mL of ethylacetate were dissolved in 13.0 mL of ethyl acetate. To the resulting solution, 835 mg of phenylchloroformate was slowly added at 0°C, and the solution was stirred at room temperature for 6 hours. The resulting reaction mixture was concentrated, and then with addition of ethyl acetate, the reaction mixture was washed with a 1N hydrochloric acid solution and brine, dried over anhydrous magnesium sulfate, and concentrated under reduced pressure to give 986 mg of the title compound as a yellow solid (yield: 62.5 %).

[0244] Step 2: *N*-(2-(5-bromothiophen-2-yl)ethyl)hydrazine carboxamide

[0245] 986 mg of phenyl (2-(5-bromothiophene-2-yl)ethyl)carbamate prepared in Step 1 and 182 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 reaction mixture thus obtained was concentrated and then washed with ethyl acetate to give 790 mg of the title compound as a off-white solid (yield: 98.7 %).

[0246] Step 3: 4-(2-(5-bromothiophene-2-yl)ethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one

[0247] 790 mg of *N*-(2-(5-bromothiophene-2-yl)ethyl)hydrazine carboxamide prepared in Step 2 and 1.2 g of formamidine acetate were dissolved in 8.0 mL of 1-propanol, and the resulting solution was stirred at room temperature for 30 minutes, and then 0.8 mL of acetic acid was added and stirred at 80°C for 6 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 solid was filtered and dried to give 420 mg of the title compound as a pale yellow solid (yield: 51.2 %).

[0248] Reference Example 21. *tert*-butyl (*E*)-(2-((4-(2-(5-bromothiophen-2-yl)ethyl)-5-oxo-4,5-dihydro-1*H*-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate

[0249] 420 mg of 4-(2-(5-bromothiophene-2-yl)ethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one prepared in Reference Example 20 and 423 mg of potassium carbonate were dissolved in 4.0 mL of *N,N*-dimethylformamide. 342 mg of *tert*-butyl (*E*)-(2-(chloromethyl)-3-fluoroallyl)carbamate prepared in Reference Example 4 was added to the resulting solution,

and then the solution was stirred at 100 °C for 6 hours. The reaction mixture thus obtained was concentrated, and with 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 406 mg of the title compound as a white solid (yield: 57.5 %). MS (ESI)  $m/z$ = 362.2 (M + H)<sup>+</sup>

**[0250]** Example 1. 2-[(2*Z*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[(5-bromothiophen-2-yl)methyl]-2,4-dihydro-3*H*-1,2,4-triazol-3-one

**[0251]** 30.0 mg of tert-butyl (*Z*)-(2-((4-((5-bromothiophen-2-yl)methyl)-5-oxo-4,5-dihydro-1*H*-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 5 was dissolved in 0.9 mL of dichloromethane. 0.3 mL of trifluoro acetic acid was added to the solution, and the solution was stirred at room temperature for 4 hours. The reaction mixture thus obtained was concentrated, and with addition of dichloromethane, the concentrated reaction mixture was washed an aqueous solution of sodium hydrogen carbonate and brine, and then dried with 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 = 10/1) to give 27.0 mg of the title compound as a white solid (yield: 85.9 %). <sup>1</sup>H-NMR (CDCl<sub>3</sub>, 400 MHz) δ 7.39(s, 1H), 6.95(d, 1H), 6.84(d, 1H), 6.69(d, 1H), 4.88(s, 2H), 4.64(s, 2H), 3.13(s, 2H)

**[0252]** Example 2. 2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[(5-bromothiophen-2-yl)methyl]-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride

**[0253]** 12.0 mg of the title compound (yield: 86.1 %) was prepared in the same fashion as Example 1, except that 16.0 mg of tert-butyl (*E*)-(2-((4-((5-bromothiophen-2-yl)methyl)-5-oxo-4,5-dihydro-1*H*-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 6 was used. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 7.96(s, 1H), 7.12(d, 1H), 7.00(d, 1H), 6.97(d, 1H), 5.00(s, 2H), 4.46(d, 2H), 3.67(d, 2H)

**[0254]** Example 3. 2-[(2*Z*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-(4-aminophenyl)thiophen-2-yl]methyl-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride

**[0255]** Step 1: tert-butyl (*Z*)-(2-((4-((5-(4-acetamidophenyl)thiophen-2-yl)methyl)-5-oxo-

4,5-dihydro-1*H*-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate

**[0256]** 50.0 mg of tert-butyl (*Z*)-(2-((4-((5-bromothiophen-2-yl)methyl)-5-oxo-4,5-dihydro-1*H*-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 5 and 31.0 mg of 4-acetamidophenylboronic acid was added to 1.0 mL of 1,4-dioxane. To the resulting solution, 0.4 mL of 1M potassium carbonate and 2.5 mg of palladiumdi[1,1'-bis(diphenylphosphino)ferrocene]dichloride (PdCl<sub>2</sub>(dppf)) were added and then the solution was stirred overnight at 95°C. The resulting reaction mixture was filtered through a celite pad and concentrated under reduced pressure to give a residue. The residue thus obtained was dissolved in ethylacetate, 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 = 5/1) to give 35.0 mg of the title compound as a yellow liquid (yield: 62.3 %). <sup>1</sup>H-NMR (CDCl<sub>3</sub>, 400 MHz) δ 7.97(s, 1H), 7.48(d, 2H), 7.24-7.06(m, 3H), 6.96(d, 2H), 5.05(s, 2H), 3.58(d, 2H), 3.36(d, 2H)

**[0257]** Step 2: 2-[(*Z*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-(4-aminophenyl)thiophen-2-yl]methyl-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride

**[0258]** 35.0 mg of tert-butyl (*Z*)-(2-((4-((5-(4-acetamidophenyl)thiophen-2-yl)methyl)-5-oxo-4,5-dihydro-1*H*-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Step 1 was dissolved in 1.0 mL of 1,4-dioxane and 0.5 mL of methanol, and 0.14 mL of 4M dioxane HCl solution was added thereto. The resulting solution was stirred overnight at room temperature. The resulting mixture was filtered and dried to give 12.0 mg of the title compound as a white solid (yield: 39.5 %). <sup>1</sup>H-NMR (CDCl<sub>3</sub>, 400 MHz) δ 7.97(s, 1H), 7.48(d, 2H), 7.24-7.06(m, 3H), 6.96(d, 2H), 5.05(s, 2H), 3.58(d, 2H), 3.36(d, 2H)

**[0259]** Example 4. 2-[(*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[3-(dimethylamino)phenyl]thiophen-2-yl)methyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride

**[0260]** Step 1: tert-butyl (*E*)-(2-((4-((5-(3-(dimethylamino)phenyl)thiophen-2-yl)methyl)-5-oxo-4,5-dihydro-1*H*-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate

**[0261]** 30.0 mg of tert-butyl (*E*)-(2-((4-((5-bromothiophen-2-yl)methyl)-5-oxo-4,5-

dihydro-1*H*-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 6 and 14.4 mg of (3-(dimethylamino)phenyl)boronic acid were dissolved in 0.8 mL of 1,4-dioxane. To the resulting solution, 0.3 mL of 1 M potassium carbonate and 1.5 mg of palladiumdi[1,1'-bis(diphenylphosphino)ferrocene]dichloride(PdCl<sub>2</sub>(dppf)) were added and the solution was stirred overnight at 100 °C. The resulting reaction mixture was filtered through a celite pad and concentrated under reduced pressure to give a residue. The residue thus obtained was dissolved in ethylacetate, 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: dichloromethane/methanol = 20/1) to give 12.0 mg of the titled compound as a yellow liquid (yield: 83.1 %). <sup>1</sup>H-NMR (CDCl<sub>3</sub>, 400MHz) δ 11.71(brs, 1H), 7.42(d, 2H), 7.23(d, 1H), 7.13(d, 1H), 6.97(d, 1H), 4.09-4.00(m, 4H), 3.74(d, 2H), 3.15(d, 2H), 2.10-2.04(m, 1H), 1.27(t, 6H), 1.01(d, 6H)

**[0262]** Step 2: 2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[3-(dimethylamino)phenyl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride

**[0263]** 12.0 mg of tert-butyl (*E*)-(2-((4-((5-(3-(dimethylamino)phenyl)thiophen-2-yl)methyl)-5-oxo-4,5-dihydro-1*H*-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Step 1 was dissolved in 2.0 mL of dichloromethane and 0.1 mL of 4M dioxane HCl solution was added thereto. 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 5.1 mg of the title compound as a yellow solid (yield: 48.0 %). <sup>1</sup>H-NMR (MeOD, 400MHz) δ 8.00(s, 1H), 7.94(s, 1H), 7.76(d, 1H), 7.65-7.60(m, 2H), 7.47(d, 1H), 7.19(d, 1H), 7.13(d, 1H), 5.09(s, 2H), 4.48(s, 2H), 3.68(s, 2H), 3.34(s, 6H)

**[0264]** Example 5. 2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[4-(dimethylamino)phenyl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride

**[0265]** 3.4 mg of the title compound (yield: 38.7 %) was prepared in the same fashion as Example 4, except that 21.5 mg of (4-(dimethylamino)phenyl)boronic acid was used in Step

1 instead of (3-(dimethylamino)phenyl)boronic acid. <sup>1</sup>H-NMR (MeOD, 400MHz) δ 8.01(s, 1H), 7.83(d, 2H), 7.70(d, 2H), 7.42(d, 1H), 7.19(d, 1H), 7.13(d, 1H), 5.10(s, 2H), 4.49(d, 2H), 3.69(s, 2H)

**[0266]** Example 6. 2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[3-(dimethylamino)-4-fluorophenyl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride

**[0267]** 3.1 mg of the title compound (yield: 39.0 %) was prepared in the same fashion as Example 4, except that 16.0 mg of (3-(dimethylamino)-4-fluorophenyl)boronic acid was used in Step 1 instead of (3-(dimethylamino)phenyl)boronic acid. <sup>1</sup>H-NMR (MeOD, 400MHz) δ 8.00(s, 1H), 7.95(d, 2H), 7.73-7.70(m, 1H), 7.46-7.41(m, 2H), 7.19(d, 1H), 7.14(d, 1H), 5.09(s, 2H), 4.49(d, 2H), 3.69(s, 2H)

**[0268]** Example 7. *N*-4-[5-(1-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]phenylmethanesulfonamide hydrochloride

**[0269]** 7.1 mg of the title compound (yield: 78.2 %) was prepared in the same fashion as Example 4, except that 18.7 mg of (4-(methylsulfonamido)phenyl)boronic acid was used in Step 1 instead of (3-(dimethylamino)phenyl)boronic acid. <sup>1</sup>H-NMR (MeOD, 400MHz) δ 7.96(d, 2H), 7.90(d, 1H), 7.75(d, 1H), 7.63(t, 1H), 7.42(d, 1H), 7.18(d, 1H), 7.13(d, 1H), 5.09(s, 2H), 4.47(s, 2H), 3.67(s, 2H), 3.25(s, 4H), 1.76(s, 4H)

**[0270]** Example 8. 3-[5-(1-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]-*N*-methylbenzenesulfonamide hydrochloride

**[0271]** 10.5 mg of the title compound (yield: 63.4 %) was prepared in the same fashion as Example 4, except that 25.9 mg of *N*-methyl-3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)benzenesulfonamide was used in Step 1 instead of (3-(dimethylamino)phenyl)boronic acid. <sup>1</sup>H-NMR (MeOD, 400MHz) δ 8.02(d, 2H), 7.87(d, 1H), 7.77(d, 1H), 7.62(t, 1H), 7.42(d, 1H), 7.19(d, 1H), 7.14(d, 1H), 5.10(s, 2H), 4.49(d, 2H), 3.68(d, 2H), 2.56(s, 3H)

**[0272]** Example 9. 4-[5-(1-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]-*N,N*-dimethylbenzenesulfonamide

hydrochloride

[0273] 5.8 mg of the title compound (yield: 55.1 %) was prepared in the same fashion as Example 4, except that 20.0 mg of *N,N*-dimethyl-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)benzenesulfonamide was used in Step 1 instead of (3-(dimethylamino)phenyl)boronic acid. <sup>1</sup>H-NMR (MeOD, 400MHz) δ 8.01(s, 1H), 7.83(dd, 4H), 7.49(d, 1H), 7.21(d, 1H), 7.14(d, 1H), 5.11(s, 2H), 4.49(d, 2H), 3.69(s, 2H), 2.72(s, 6H)

[0274] Example 10. methyl 4-[5-(1-[(*2E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]benzoate hydrochloride

[0275] 3.2 mg of the title compound (yield: 40.3 %) was prepared in the same fashion as Example 4, except that 15.7 mg of (4-(methoxycarbonyl)phenyl)boronic acid was used in Step 1 instead of (3-(dimethylamino)phenyl)boronic acid. <sup>1</sup>H-NMR (MeOD, 400MHz) δ 7.97(s, 1H), 7.43(d, 2H), 7.15(d, 1H), 7.09(d, 2H), 6.80(d, 2H), 5.04(s, 2H), 4.49(s, 2H), 3.68(s, 2H)

[0276] Example 11. methyl 3-[5-(1-[(*2E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]benzoate hydrochloride

[0277] 10.2 mg of the title compound (yield: 71.2 %) was prepared in the same fashion as Example 4, except that 15.7 mg of methyl 3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)benzoate was used in Step 1 instead of (3-(dimethylamino)phenyl)boronic acid. <sup>1</sup>H-NMR (MeOD, 400MHz) δ 8.22(s, 1H), 8.00(s, 1H), 7.95(d, 1H), 7.86(d, 1H), 7.53(t, 1H), 7.38(d, 1H), 7.17(s, 1H), 7.14(d, 1H), 5.09(s, 2H), 4.49(s, 2H), 3.94(s, 3H), 3.69(s, 2H)

[0278] Example 12. methyl 4-[5-(1-[(*2E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]-2-fluorobenzoate hydrochloride

[0279] 9.0 mg of the title compound (yield: 67.9 %) was prepared in the same fashion as Example 4, except that 17.3 mg of (3-fluoro-4-(methoxycarbonyl)phenyl)boronic acid was used in Step 1 instead of (3-(dimethylamino)phenyl)boronic acid. <sup>1</sup>H-NMR (MeOD, 400MHz) δ 8.01(s, 2H), 7.96(t, 1H), 7.54-7.47(m, 3H), 7.20(s, 1H), 7.14(d, 1H), 5.10(s, 2H), 4.49(s, 2H), 3.92(s, 3H), 3.69(s, 2H)

[0280] Example 13. methyl 3-[5-(1-[(*2E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-

oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]-5-fluorobenzoate hydrochloride

**[0281]** 3.0 mg of the title compound (yield: 42.2 %) was prepared in the same fashion as Example 4, except that 17.3 mg of (3-fluoro-5-(methoxycarbonyl)phenyl)boronic acid was used in Step 1 instead of (3-(dimethylamino)phenyl)boronic acid. <sup>1</sup>H-NMR (MeOD, 400MHz) δ 8.06(s, 1H), 8.03(s, 1H), 7.67(dd, 2H), 7.46(d, 1H), 7.21(d, 1H), 7.16(d, 1H), 5.12(s, 2H), 4.51(d, 2H), 3.98(s, 3H), 3.71(d, 2H)

**[0282]** Example 14. 2-[(*2E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-(3,4-difluorophenyl)thiophen-2-yl]methyl-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride

**[0283]** 12.1 mg of the title compound (yield: 64.3 %) was prepared in the same fashion as Example 4, except that 13.8 mg of (3,4-difluorophenyl)boronic acid was used in Step 1 instead of (3-(dimethylamino)phenyl)boronic acid. <sup>1</sup>H-NMR (MeOD, 400MHz) δ 7.99(s, 1H), 7.56-7.52(m, 1H), 7.40(s, 1H), 7.33-7.29(m, 2H), 7.15(d, 1H), 7.14(d, 1H), 5.07(s, 2H), 4.49(d, 2H), 3.69(d, 2H)

**[0284]** Example 15. 2-[(*2E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[4-fluoro-3-(trifluoromethyl)phenyl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride

**[0285]** 4.3 mg of the title compound (yield: 35.9 %) was prepared in the same fashion as Example 4, except that 18.1 mg of (4-fluoro-3-(trifluoromethyl)phenyl)boronic acid was used in Step 1 instead of (3-(dimethylamino)phenyl)boronic acid. <sup>1</sup>H-NMR (MeOD, 400MHz) δ 7.98(d, 1H), 7.25(d, 1H), 7.13-7.04(m, 4H), 6.80(d, 1H), 5.06(s, 2H), 4.50(s, 2H), 3.89(s, 3H), 3.69(s, 2H)

**[0286]** Example 16. 2-[(*2E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-(3,4-dimethoxyphenyl)thiophen-2-yl]methyl-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride

**[0287]** 10.4 mg of the title compound (yield: 64.3 %) was prepared in the same fashion as Example 4, except that 15.9 mg of (3,4-dimethoxyphenyl)boronic acid was used in Step 1 instead of (3-(dimethylamino)phenyl)boronic acid. <sup>1</sup>H-NMR (MeOD, 400MHz) δ 7.99(s, 1H), 7.15(d, 1H), 7.20-7.15(m, 4H), 6.98(d, 1H), 5.06(s, 2H), 4.50(s, 2H), 3.88(d, 6H), 3.70(s, 2H)

[0288] Example 17. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-(3,4,5-trimethoxyphenyl)thiophen-2-yl]methyl-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0289] 7.7 mg of the title compound (yield: 59.1 %) was prepared in the same fashion as Example 4, except that 18.5 mg of (3,4,5-trimethoxyphenyl)boronic acid was used in Step 1 instead of (3-(dimethylamino)phenyl)boronic acid. <sup>1</sup>H-NMR (MeOD, 400MHz) δ 7.99(s, 1H), 7.26(d, 4H), 7.14(d, 1H), 7.13(d, 1H), 6.86(s, 2H), 5.07(s, 2H), 4.49(s, 2H), 3.89(s, 6H), 3.79(s, 3H), 3.69(d, 2H)

[0290] Example 18. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[(5-4-[(3,5-dimethoxybenzyl)oxy]-3,5-dimethylphenyl)thiophen-2-yl]methyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0291] 13.1 mg of the title compound (yield: 57.3 %) was prepared in the same fashion as Example 4, except that 27.6 mg of (4-((3,5-dimethoxybenzyl)oxy)-3,5-dimethylphenyl)boronic acid was used in Step 1 instead of (3-(dimethylamino)phenyl)boronic acid. <sup>1</sup>H-NMR (MeOD, 400MHz) δ 7.98(s, 1H), 7.28(s, 2H), 7.20(s, 1H), 7.15(d, 1H), 7.11(s, 1H), 5.06(s, 2H), 4.80(s, 2H), 4.50(s, 2H), 3.80(s, 6H), 3.69(s, 2H), 2.30(s, 6H)

[0292] Example 19. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[4-(2-methoxyethoxy)phenyl]thiophen-2-ylmethyl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0293] 12.7 mg of the title compound (yield: 61.6 %) was prepared in the same fashion as Example 4, except that 17.1 mg of (4-(2-methoxyethoxy)phenyl)boronic acid was used in Step 1 instead of (3-(dimethylamino)phenyl)boronic acid. <sup>1</sup>H-NMR (MeOD, 400MHz) δ 7.98(s, 1H), 7.53(d, 2H), 7.16(d, 1H), 7.15(d, 1H), 7.11(s, 1H), 6.98(d, 2H), 5.06(s, 2H), 4.50(s, 2H), 4.16(s, 2H), 3.78(s, 2H), 3.69(s, 2H), 3.45(s, 3H)

[0294] Example 20. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[4-(pyrrolidin-1-yl)phenyl]thiophen-2-ylmethyl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0295] 2.2 mg of the title compound (yield: 28.9 %) was prepared in the same fashion as

Example 4, except that 16.6 mg of (4-(pyrrolidin-1-yl)phenyl)boronic acid was used in Step 1 instead of (3-(dimethylamino)phenyl)boronic acid. <sup>1</sup>H-NMR (MeOD, 400MHz) δ 7.98(s, 1H), 7.72(s, 2H), 7.39-7.32(m, 3H), 7.15(s, 1H), 7.12(d, 1H), 5.06(s, 2H), 4.48(s, 2H), 3.67(s, 6H), 2.24(s, 4H)

[0296] Example 21. 2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[4-(2-oxopyrrolidin-1-yl)phenyl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride

[0297] 7.7 mg of the title compound (yield: 63.0 %) was prepared in the same fashion as Example 4, except that 25.0 mg of 1-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)pyrrolidin-2-one was used in Step 1 instead of (3-(dimethylamino)phenyl)boronic acid. <sup>1</sup>H-NMR (MeOD, 400MHz) δ 8.00(s, 1H), 7.66(dd,4H), 7.28(d,1H), 7.15(d, 1H), 7.15(d, 1H), 5.08(s, 2H), 4.50(s, 2H), 3.96(t, 2H), 3.69(s, 2H), 2.63(t, 2H), 2.21(t, 2H)

[0298] Example 22. 2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[4-(piperazin-1-yl)phenyl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride

[0299] 5.0 mg of the title compound (yield: 28.3 %) was prepared in the same fashion as Example 4, except that 33.9 mg of tert-butyl 4-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)piperazine-1-carboxylate was used in Step 1 instead of (3-(dimethylamino)phenyl)boronic acid. <sup>1</sup>H-NMR (MeOD, 400MHz) δ 7.96(s, 1H), 7.53(d, 2H), 7.16(s, 1H), 7.12(d, 1H), 7.09-7.02(m, 1H), 5.03(s, 2H), 4.48(s, 2H), 3.68(s, 2H), 3.47(s, 4H), 3.39(s, 4H)

[0300] Example 23. 2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[3-(piperazin-1-yl)phenyl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride

[0301] 4.3 mg of the title compound (yield: 44.9 %) was prepared in the same fashion as Example 4, except that 33.9 mg of tert-butyl 4-(3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)piperazine-1-carboxylate was used in Step 1 instead of (3-(dimethylamino)phenyl)boronic acid. <sup>1</sup>H-NMR (MeOD, 400MHz) δ 7.98(s, 1H), 7.32-7.12(m, 5H), 7.10(d, 1H), 7.01(s, 1H), 5.06(s, 2H), 4.48(s, 2H), 3.68(s, 2H), 3.49(s, 4H), 3.34(s, 4H)

**[0302]** Example 24. 4-(5-[4-(4-acetylpiperazin-1-yl)phenyl]thiophen-2-ylmethyl)-2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride

**[0303]** 6.0 mg of the title compound (yield: 48.2 %) was prepared in the same fashion as Example 4, except that 28.8 mg of 1-(4-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)piperazin-1-yl)ethan-1-one was used in Step 1 instead of (3-(dimethylamino)phenyl)boronic acid. <sup>1</sup>H-NMR (MeOD, 400MHz) δ 8.00(s, 1H), 7.78(d, 2H), 7.61(d, 2H), 7.37(d, 1H), 7.17(d, 1H), 7.14(d, 1H), 5.09(s, 2H), 4.49(d, 2H), 4.01(d, 4H), 3.69(d, 4H), 3.61(t, 2H)

**[0304]** Example 25. 2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[4-(tetrahydro-2*H*-pyran-4-yl)phenyl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride

**[0305]** 2.0 mg of the title compound (yield: 28.8 %) was prepared in the same fashion as Example 4, except that 25.1 mg of 4,4,5,5-tetramethyl-2-(4-(tetrahydro-2*H*-pyran-4-yl)phenyl)-1,3,2-dioxaborolane was used in Step 1 instead of (3-(dimethylamino)phenyl)boronic acid. <sup>1</sup>H-NMR (MeOD, 400MHz) δ 7.98(s, 1H), 7.55(d, 2H), 7.29(d, 2H), 7.24(d, 1H), 7.14(d, 1H), 7.12(d, 1H), 5.06(s, 2H), 4.49(d, 2H), 4.06(d, 2H), 3.68(s, 2H), 3.57(t, 2H), 2.81(m, 1H), 1.80(s, 4H)

**[0306]** Example 26. 2-[(2*Z*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[4-(morpholin-4-ylcarbonyl)phenyl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride

**[0307]** Step 1: tert-butyl (*Z*)-(3-fluoro-2-((4-((5-(4-(morpholine-4-carbonyl)phenyl)thiophen-2-yl)methyl)-5-oxo-4,5-dihydro-1*H*-1,2,4-triazol-1-yl)methyl)allyl)carbamate

**[0308]** 30.0 mg of tert-butyl (*Z*)-(2-((4-((5-bromothiophen-2-yl)methyl)-5-oxo-4,5-dihydro-1*H*-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 5 and 27.7 mg of morpholino(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)methanone were dissolved in 0.8 mL of 1,4-dioxane. To the resulting solution, 0.3 mL of 1M potassium carbonate and 1.5 mg of palladiumdi[1,1'-

bis(diphenylphosphino)ferrocene]dichloride (PdCl<sub>2</sub>(dppf)) were added and then the solution was stirred overnight at 100°C. The resulting reaction mixture was filtered through a celite pad and concentrated under reduced pressure to give a residue. The residue thus obtained was dissolved in ethylacetate, washed with distilled water, dried over anhydrous magnesium sulfate gel column chromatography (developing solvent: dichloromethane/methanol = 20/1) to give 15.7 mg of the titled compound as a yellow liquid (yield: 83.1 %). <sup>1</sup>H-NMR (CDCl<sub>3</sub>, 400MHz) δ 11.71(brs, 1H), 7.42(d, 2H), 7.23(d, 1H), 7.13(d, 1H), 6.97(d, 1H), 4.09-4.00(m, 4H), 3.74(d, 2H), 3.15(d, 2H), 2.10-2.04(m, 1H), 1.27(t, 6H), 1.01(d, 6H)

**[0309]** Step 2: 2-[(2*Z*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[4-(morpholin-4-ylcarbonyl)phenyl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride

**[0310]** 15.7mg of tert-butyl (*Z*)-(3-fluoro-2-((4-((5-(4-(morpholine-4-carbonyl)phenyl)thiophen-2-yl)methyl)-5-oxo-4,5-dihydro-1*H*-1,2,4-triazol-1-yl)methyl)allyl)carbamate prepared in Step 1 was dissolved in 2.0 mL of dichloromethane, and with addition of 0.1 mL of 4M dioxane HCl solution, 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 6.3 mg of the title compound as a yellow material (yield: 45.3 %). <sup>1</sup>H-NMR (MeOD, 400MHz) δ 8.00(s, 1H), 7.72(d, 2H), 7.48(d, 2H), 7.39(d, 1H), 7.17(s, 1H), 7.07(d, 1H), 5.09(s, 2H), 4.66(s, 2H), 3.76(s, 6H), 3.57(s, 2H), 3.50(s, 2H)

**[0311]** Example 27. 2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[4-(morpholin-4-ylcarbonyl)phenyl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride

**[0312]** 7.1 mg of the title compound (yield: 47.1 %) was prepared in the same fashion as Example 4, except that 27.7 mg of morpholino(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)methanone was used in Step 1 instead of (3-(dimethylamino)phenyl)boronic acid. <sup>1</sup>H-NMR (MeOD, 400MHz) δ 7.98(s, 1H), 7.70(d, 2H), 7.46(d, 2H), 7.38(d, 1H), 7.16(s, 1H), 7.12(d, 1H), 5.07(s, 2H), 4.48(s, 2H), 3.75(s, 6H), 3.67(s, 2H), 3.50(s, 2H)

**[0313]** Example 28. 2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[3-(pyrrolidin-1-ylsulfonyl)phenyl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one

hydrochloride

**[0314]** 2.2 mg of the title compound (yield: 31.3 %) was prepared in the same fashion as Example 4, except that 29.4 mg of 1-((3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)sulfonyl)pyrrolidine was used in Step 1 instead of (3-(dimethylamino)phenyl)boronic acid. <sup>1</sup>H-NMR (MeOD, 400MHz) δ 8.22(d, 1H), 8.05(s, 1H), 8.00(s, 1H), 7.46(d, 1H), 7.36(d, 1H), 7.20(s, 1H), 7.14(d, 1H), 5.10(s, 2H), 4.49(s, 2H), 3.76(s, 4H), 3.67(s, 2H)

**[0315]** Example 29. 2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[4-(morpholin-4-ylsulfonyl)phenyl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride

**[0316]** 6.8 mg of the title compound (yield: 55.2 %) was prepared in the same fashion as Example 4, except that 30.8 mg of 4-((4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)sulfonyl)morpholine was used in Step 1 instead of (3-(dimethylamino)phenyl)boronic acid. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 8.02(s, 1H), 7.88(d, 2H), 7.80(d, 2H), 7.51(s, 1H), 7.22(s, 1H), 7.15(d, 1H), 5.12(s, 2H), 4.50(s, 2H), 3.73(s, 4H), 3.70(d, 2H), 3.01(s, 4H)

**[0317]** Example 30. 2-[(2*Z*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[4-(4*H*-1,2,4-triazol-3-yl)phenyl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one

**[0318]** Step 1: tert-butyl(*Z*)-(3-fluoro-2-((5-oxo-4-((5-(4-(1-((2-(trimethylsilyl)ethoxy)methyl)-1*H*-1,2,4-triazol-3-yl)phenyl)thiophen-2-yl)methyl)-4,5-dihydro-1*H*-1,2,4-triazol-1-yl)methyl)allyl)carbamate

**[0319]** 30.0 mg of tert-butyl (*Z*)-(2-((4-((5-bromothiophen-2-yl)methyl)-5-oxo-4,5-dihydro-1*H*-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 5 and 35.0 mg of 3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1-((2-(trimethylsilyl)ethoxy)methyl)-1*H*-1,2,4-triazole prepared in Reference Example 9 were dissolved in 0.8 mL of 1,4-dioxane. To the resulting solution, 0.3 mL of 1M potassium carbonate and 1.5 mg of palladiumdi[1,1'-bis(diphenylphosphino)ferrocene]dichloride (PdCl<sub>2</sub>(dppf)) were added and the solution was stirred overnight at 100 °C. The resulting reaction mixture was filtered through a celite pad and concentrated under reduced pressure to

give a residue. The residue thus obtained was dissolved in ethylacetate, 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: dichloromethane/methanol = 20/1) to give 13.4 mg of the title compound as a yellow liquid (yield: 26.8 %). <sup>1</sup>H-NMR (CDCl<sub>3</sub>, 400MHz) δ 11.71(brs, 1H), 7.42(d, 2H), 7.23(d, 1H), 7.13(d, 1H), 6.97(d, 1H), 4.09-4.00(m, 4H), 3.74(d, 2H), 3.15(d, 2H), 2.10-2.04(m, 1H), 1.27(t, 6H), 1.01(d, 6H)

**[0320]** Step 2: 2-[(2*Z*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[4-(4*H*-1,2,4-triazol-3-yl)phenyl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one

**[0321]** 9.3 mg of tert-butyl(*Z*)-(3-fluoro-2-((5-oxo-4-((5-(4-(1-((2-(trimethylsilyl)ethoxy)methyl)-1*H*-1,2,4-triazol-3-yl)phenyl)thiophen-2-yl)methyl)-4,5-dihydro-1*H*-1,2,4-triazol-1-yl)methyl)allyl)carbamate prepared in Step 1 was dissolved in 1.0 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 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 5.1 mg of the title compound as a yellow liquid (yield: 85.7 %). <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 8.04(d, 2H), 7.98(s, 1H), 7.73(d, 2H), 7.38(d, 1H), 7.16(d, 1H), 7.04(d, 1H), 5.08(s, 2H), 4.57(s, 2H), 3.51(s, 2H)

**[0322]** Example 31. 2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[4-(4*H*-1,2,4-triazol-3-yl)phenyl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one

**[0323]** Step 1: tert-butyl(*E*)-(3-fluoro-2-((5-oxo-4-((5-(4-(1-((2-(trimethylsilyl)ethoxy)methyl)-1*H*-1,2,4-triazol-3-yl)phenyl)thiophen-2-yl)methyl)-4,5-dihydro-1*H*-1,2,4-triazol-1-yl)methyl)allyl)carbamate

**[0324]** 30.0 mg of tert-butyl (*E*)-(2-((4-((5-bromothiophen-2-yl)methyl)-5-oxo-4,5-dihydro-1*H*-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 6 and 35.0 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 9 were dissolved in 0.8 mL of 1,4-dioxane. To the resulting solution, 0.3 mL of 1M potassium carbonate and 1.5 mg of palladiumdi[1,1'-bis(diphenylphosphino)ferrocene]dichloride (PdCl<sub>2</sub>(dppf)) were added and the solution was stirred overnight at 100 °C. The resulting reaction mixture was filtered through a celite pad and concentrated under reduced pressure to give a residue. The residue thus obtained was dissolved in ethylacetate, 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: dichloromethane/methanol = 20/1) to give 30.0 mg of the title compound as a yellow liquid (yield: 70.1 %).

**[0325]** Step 2: 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[4-(4H-1,2,4-triazol-3-yl)phenyl]thiophen-2-ylmethyl)-2,4-dihydro-3H-1,2,4-triazol-3-one

**[0326]** 30 mg of tert-butyl(E)-(3-fluoro-2-((5-oxo-4-((5-(4-(1-((2-(trimethylsilyl)ethoxy)methyl)-1H-1,2,4-triazol-3-yl)phenyl)thiophen-2-yl)methyl)-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)allyl)carbamate prepared in Step 1 was dissolved in 1.0 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 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 2.0 mg of the title compound as a yellow liquid (yield: 10.3 %). <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 8.41(s, 1H), 8.04(d, 2H), 7.99(s, 1H), 7.73(d, 2H), 7.38(d, 1H), 7.16(d, 1H), 7.13(d, 1H), 5.08(s, 2H), 4.48(d, 2H), 3.68(s, 2H)

**[0327]** Example 32. 2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[3-(4H-1,2,4-triazol-3-yl)phenyl]thiophen-2-ylmethyl)-2,4-dihydro-3H-1,2,4-triazol-3-one

**[0328]** 10.0 mg of the title compound (yield: 62.2 %) was prepared in the same fashion as Example 30, except that 35.0 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 10 was used in Step 1 instead of the compound prepared in Reference Example 9.

<sup>1</sup>H-NMR (MeOD, 400 MHz) δ 8.43(s, 1H), 8.28(s, 1H), 7.99(s, 1H), 7.95(d, 2H), 7.70(d, 1H), 7.51(t, 1H), 7.38(d, 1H), 7.16(d, 1H), 7.02(d, 1H), 5.09(s, 2H), 4.57(s, 2H), 3.49(d, 2H)

**[0329]** Example 33. 2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[3-(4*H*-1,2,4-triazol-3-yl)phenyl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one

**[0330]** 4.1 mg of the title compound (yield: 38.9 %) was prepared in the same fashion as Example 31, except that 35.0 mg of 3-(3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1-((2-(trimethylsilyl)ethoxy)methyl)-1*H*-1,2,4-triazole prepared in Reference Example 10 was used in Step 1 instead of the compound prepared in Reference Example 9.

<sup>1</sup>H-NMR (MeOD, 400 MHz) δ 8.45(s, 1H), 8.28(s, 1H), 8.01(s, 1H), 7.95(d, 1H), 7.70(d, 1H), 7.52(t, 1H), 7.38(d, 1H), 7.17(d, 1H), 7.13(d, 1H), 5.09(s, 2H), 4.48(d, 2H), 3.68(s, 2H)

**[0331]** Example 34. 2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[3-(1,2,4-oxadiazol-3-yl)phenyl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one

**[0332]** 15.4 mg of the title compound (yield: 63.6 %) was prepared in the same fashion as Example 31, except that 23.7 mg of 3-(3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1,2,4-oxadiazole prepared in Reference Example 11 was used in Step 1 instead of the compound prepared in Reference Example 9. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 8.00-7.96(m, 2H), 7.89(d, 1H), 7.57(d, 1H), 7.41(d, 1H), 7.17(d, 1H), 7.12(d, 1H), 5.08(s, 2H), 4.48(s, 2H), 3.68(s, 2H)

**[0333]** Example 35. 2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[4-(5-cyclopropyl-1,2,4-oxadiazol-3-yl)phenyl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride

**[0334]** 2.9 mg of the title compound (yield: 42.0 %) was prepared in the same fashion as Example 4, except that 23.7 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 12 was used in Step 1 instead of (3-(dimethylamino)phenyl)boronic acid. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 8.03-7.99(m, 3H), 7.74(d, 2H), 7.41(d, 1H), 7.17(s, 1H), 7.12(d, 1H), 5.08(s, 2H), 4.47(s, 2H), 3.66(s, 2H), 2.33(s, 1H), 1.32-1.26(m, 4H)

**[0335]** Example 36. 2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[3-(5-

cyclopropyl-1,2,4-oxadiazol-3-yl]phenyl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride

**[0336]** 6.5 mg of the title compound (yield: 47.4 %) was prepared in the same fashion as Example 4, except that 27.7 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 13 was used in Step 1 instead of (3-(dimethylamino)phenyl)boronic acid. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 8.21(s, 1H), 8.00(s, 1H), 7.94(d, 1H), 7.77(d, 1H), 7.54(t, 1H), 7.38(d, 1H), 7.18(s, 1H), 7.13(d, 1H), 5.09(s, 2H), 4.49(s, 2H), 3.68(s, 2H)

**[0337]** Example 37. 2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[(5-4-[5-(propan-2-yl)-1,2,4-oxadiazol-3-yl]phenyl]thiophen-2-yl)methyl]-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride

**[0338]** 9.2 mg of the title compound (yield: 55.3 %) was prepared in the same fashion as Example 4, except that 27.4 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 14 was used in Step 1 instead of (3-(dimethylamino)phenyl)boronic acid. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 8.07(d, 2H), 7.99(s, 1H), 7.75(d, 2H), 7.41(d, 1H), 7.17(s, 1H), 7.12(d, 1H), 5.09(s, 2H), 4.48(s, 2H), 3.66(s, 2H), 3.35(s, 1H)

**[0339]** Example 38. 2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[(5-3-[5-(propan-2-yl)-1,2,4-oxadiazol-3-yl]phenyl]thiophen-2-yl)methyl]-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride

**[0340]** 2.2 mg of the title compound (yield: 30.7 %) was prepared in the same fashion as Example 4, except that 27.4 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 15 was used in Step 1 instead of (3-(dimethylamino)phenyl)boronic acid. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 8.25(s, 1H), 8.00(s, 1H), 7.97(s, 1H), 7.78(d, 1H), 7.55(t, 1H), 7.39(s, 1H), 7.17(s, 1H), 7.12(d, 1H), 5.09(s, 2H), 4.48(s, 2H), 3.66(s, 2H), 3.35(s, 1H)

**[0341]** Example 39. 2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[4-(1,2,5-oxadiazol-3-yl)phenyl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride

[0342] 4.4 mg of the title compound (yield: 57.1 %) was prepared in the same fashion as Example 4, except that 23.7 mg of 3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1,2,5-oxadiazole was used in Step 1 instead of (3-(dimethylamino)phenyl)boronic acid. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 7.99(s, 1H), 7.79(d, 2H), 7.71(d, 2H), 7.40(d, 1H), 7.17(s, 1H), 7.12(d, 1H), 5.08(s, 2H), 4.48(s, 2H), 3.67(s, 2H)

[0343] Example 40. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[4-(1,2-oxazol-3-yl)phenyl]thiophen-2-ylmethyl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0344] 3.0 mg of the title compound (yield: 37.2 %) was prepared in the same fashion as Example 4, except that 23.6 mg of 3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)isoxazole was used in Step 1 instead of (3-(dimethylamino)phenyl)boronic acid. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 8.74(s, 1H), 8.01(s, 1H), 7.91(d, 2H), 7.75(d, 2H), 7.41(d, 1H), 7.18(d, 1H), 7.15(d, 1H), 5.10(s, 2H), 4.49(d, 2H), 3.69(s, 2H)

[0345] Example 41. N-4-[5-(1-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]pyridin-2-ylacetamide hydrochloride

[0346] 2.2 mg of the title compound (yield: 7.2 %) was prepared in the same fashion as Example 26, except that 45.0 mg of N-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)pyridin-2-yl)acetamide was used in Step 1 instead of morpholino(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)methanone and 1.0 mL of 1,4-dioxane and 0.5 mL of methanol were used to dissolve in Step 2 instead of dichloromethane. <sup>1</sup>H-NMR (DMSO-d<sub>6</sub>, 400 MHz) δ 10.89(s, 1H), 8.31(d, 1H), 8.23(s, 1H), 8.18(s, 1H), 8.14(s, 2H), 7.66(d, 1H), 7.44(d, 1H), 7.21(d, 1H), 7.15(d, 1H), 5.06(s, 2H), 4.54(d, 2H), 3.66(d, 2H), 2.14(s, 3H)

[0347] Example 42. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[6-(dimethylamino)pyridin-3-yl]thiophen-2-ylmethyl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0348] 7.5 mg of the title compound (yield: 53.9 %) was prepared in the same fashion as Example 4, except that 21.6 mg of (6-(dimethylamino)pyridin-3-yl)boronic acid was used in Step 1 instead of (3-(dimethylamino)phenyl)boronic acid. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 8.23(d, 1H), 8.06(s, 1H), 8.00(s, 1H), 7.36-7.31(m, 2H), 7.20(d, 1H), 7.13(d, 1H), 5.09(s, 2H), 4.49(s, 2H), 3.69(s, 2H)

[0349] Example 43. 5-[5-(1-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]-*N*-tert-butylpyridin-3-sulfonamide hydrochloride

[0350] 11.7 mg of the title compound (yield: 59.2 %) was prepared in the same fashion as Example 4, except that 22.5 mg of *N*-(tert-butyl)-5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)pyridine-3-sulfonamide was used in Step 1 instead of (3-(dimethylamino)phenyl)boronic acid. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 9.07(s, 1H), 8.95(s, 1H), 8.50(s, 1H), 8.03(s, 1H), 7.59(d, 1H), 7.27(d, 1H), 7.15(d, 1H), 5.14(s, 2H), 4.49(d, 2H), 3.69(d, 2H), 1.25(s, 9H)

[0351] Example 44. 2-[(2*Z*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-(6-methoxypyridin-3-yl)thiophen-2-yl]methyl-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride

[0352] 6.7 mg of the title compound (yield: 48.7 %) was prepared in the same fashion as Example 26, except that 13.3 mg of (6-methoxypyridin-3-yl)boronic acid was used in Step 1 instead of morpholino(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)methanone. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 8.49(s, 1H), 8.29(m, 1H), 8.00(s, 1H), 7.37(d, 1H), 7.24(d, 1H), 7.19(s, 1H), 7.08(d, 1H), 5.10(s, 2H), 4.66(s, 2H), 4.10(s, 3H), 3.68(s, 2H)

[0353] Example 45. 2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-(6-methoxypyridin-3-yl)thiophen-2-yl]methyl-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride

[0354] 6.7 mg of the title compound (yield: 53.7 %) was prepared in the same fashion as Example 4, except that 22.5 mg of (6-methoxypyridin-3-yl)boronic acid was used in Step 1 instead of (3-(dimethylamino)phenyl)boronic acid. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 8.50(s, 1H), 8.34(d, 1H), 7.99(s, 1H), 7.38(s, 1H), 7.30(d, 1H), 7.19(s, 1H), 7.12(d, 1H), 5.09(s, 2H), 4.47(s, 2H), 4.12(s, 3H), 3.67(d, 2H)

[0355] Example 46. 2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[6-(methylsulfanyl)pyridin-3-yl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride

[0356] 8.9 mg of the title compound (yield: 66.4 %) was prepared in the same fashion as Example 4, except that 21.9 mg of 2-(methylthio)-5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)pyridine was used in Step 1 instead of (3-(dimethylamino)phenyl)boronic acid. <sup>1</sup>H-NMR

(MeOD, 400 MHz)  $\delta$  8.79(d, 1H), 8.33(dd, 1H), 8.02(s, 1H), 7.74(d, 1H), 7.53(d, 1H), 7.24(s, 1H), 7.14(d, 1H), 5.10(s, 2H), 4.49(d, 2H), 3.68(d, 2H), 2.77(s, 3H)

[0357] Example 47. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[6-(2,2,2-trifluoroethoxy)pyridin-3-yl]thiophen-2-ylmethyl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0358] 3.1 mg of the title compound (yield: 45.0 %) was prepared in the same fashion as Example 4, except that 19.3 mg of (6-(2,2,2-trifluoroethoxy)pyridin-3-yl)boronic acid was used in Step 1 instead of (3-(dimethylamino)phenyl)boronic acid. <sup>1</sup>H-NMR (MeOD, 400 MHz)  $\delta$  8.00(s, 1H), 7.26-7.03(m, 6H), 6.76(d, 1H), 5.08(s, 2H), 4.50(s, 2H), 3.70(s, 2H)

[0359] Example 48. 2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[6-(trifluoromethyl)pyridin-3-yl]thiophen-2-ylmethyl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0360] 3.1 mg of the title compound (yield: 35.0 %) was prepared in the same fashion as Example 26, except that 23.8 mg of (6-(trifluoromethyl)pyridin-3-yl)boronic acid was used in Step 1 instead of morpholino(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)methanone. <sup>1</sup>H-NMR (MeOD, 400 MHz)  $\delta$  8.97(s, 1H), 8.24(d, 1H), 8.02(s, 1H), 7.84(d, 1H), 7.58(d, 1H), 7.25(s, 1H), 7.08(d, 1H), 5.13(s, 2H), 4.67(s, 2H), 3.57(s, 2H)

[0361] Example 49. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[6-(trifluoromethyl)pyridin-3-yl]thiophen-2-ylmethyl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0362] 5.2 mg of the title compound (yield: 52.1 %) was prepared in the same fashion as Example 4, except that 23.8 mg of 5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-2-(trifluoromethyl)pyridine was used in Step 1 instead of (3-(dimethylamino)phenyl)boronic acid. <sup>1</sup>H-NMR (MeOD, 400 MHz)  $\delta$  8.91(s, 1H), 8.23(d, 1H), 8.00(s, 1H), 7.83(d, 1H), 7.56(s, 1H), 7.24(s, 1H), 7.13(d, 1H), 5.12(s, 2H), 4.48(s, 2H), 3.67(s, 2H)

[0363] Example 50. 5-[5-(1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]pyridine-2-carbonitrile hydrochloride

[0364] 3.2 mg of the title compound (yield: 41.6 %) was prepared in the same fashion as

Example 4, except that 20.1 mg of 5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)picolinonitrile was used in Step 1 instead of (3-(dimethylamino)phenyl)boronic acid. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 7.97 (s, 1H), 7.42 (d, 2H), 7.15 (d, 1H), 7.09 (d, 2H), 6.80 (d, 2H), 5.04 (s, 2H), 4.49 (s, 2H), 3.68 (s, 2H)

**[0365]** Example 51. 2-[(2*Z*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[6-(morpholin-4-yl)pyridin-3-yl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride

**[0366]** 3.1 mg of the title compound (yield: 11.7 %) was prepared in the same fashion as Example 26, except that 35.0 mg of 6-morpholinopyridin-3-ylboronic acid was used in Step 1 instead of morpholino(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)methanone. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 8.36 (s, 1H), 7.94 (s, 1H), 7.79 (d, 1H), 7.21-7.05 (m, 2H), 6.89 (d, 1H), 6.86 (d, 1H), 5.12-4.92 (d, 2H), 4.80-4.53 (d, 2H), 3.85-3.75 (m, 4H), 3.53 (m, 4H), 3.20 (d, 2H)

**[0367]** Example 52. 2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[6-(piperidin-1-yl)pyridin-3-yl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride

**[0368]** 9.6 mg of the title compound (yield: 70.0 %) was prepared in the same fashion as Example 4, except that 25.1 mg of 2-(piperidin-1-yl)-5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)pyridine was used in Step 1 instead of (3-(dimethylamino)phenyl)boronic acid. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 8.22 (d, 1H), 8.05 (s, 1H), 8.00 (s, 1H), 7.46 (d, 1H), 7.36 (d, 1H), 7.20 (s, 1H), 7.14 (d, 1H), 5.10 (s, 2H), 4.49 (s, 2H), 3.76 (s, 4H), 3.67 (s, 2H)

**[0369]** Example 53. 2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[(5-2-[(2-methoxyethyl)amino]pyrimidin-5-yl]thiophen-2-yl)methyl]-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride

**[0370]** 4.5 mg of the title compound (yield: 49.8 %) was prepared in the same fashion as Example 4, except that 24.3 mg of *N*-(2-methoxyethyl)-5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)pyrimidin-2-amine was used in Step 1 instead of (3-(dimethylamino)phenyl)boronic acid. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 8.76 (s, 2H), 8.00 (s, 1H), 7.36 (d, 1H), 7.21 (d, 1H), 7.14 (d, 1H), 5.10 (s, 2H), 4.49 (d, 2H), 3.73-3.62 (m, 6H), 3.40 (s, 3H)

[0371] Example 54. 5-[5-(1-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]pyrimidine-2-carbonitrile hydrochloride

[0372] 2.6 mg of the title compound (yield: 35.8 %) was prepared in the same fashion as Example 4, except that 18.2 mg of 5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)pyrimidine-2-carbonitrile was used in Step 1 instead of (3-(dimethylamino)phenyl)boronic acid. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 9.16(s, 2H), 8.02(s, 1H), 7.70(d, 1H), 7.39(d, 1H), 7.14(d, 1H), 5.15(s, 2H), 4.49(s, 2H), 3.69(s, 2H)

[0373] Example 55. 2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[2-(dimethylamino)pyrimidin-5-yl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride

[0374] 5.2 mg of the title compound (yield: 57.5 %) was prepared in the same fashion as Example 4, except that 21.7 mg of *N,N*-dimethyl-5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)pyrimidin-2-amine was used in Step 1 instead of (3-(dimethylamino)phenyl)boronic acid. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 8.75(s, 2H), 7.99(s, 1H), 7.37(d, 1H), 7.20(d, 1H), 7.12(d, 1H), 5.09(s, 2H), 4.47(s, 2H), 3.67(s, 2H), 3.31(s, 6H)

[0375] Example 56. 2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[2-(methylsulfanyl)pyrimidin-5-yl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride

[0376] 4.4 mg of the title compound (yield: 56.8 %) was prepared in the same fashion as Example 4, except that 14.8 mg of (2-(methylthio)pyrimidin-5-yl)boronic acid was used in Step 1 instead of (3-(dimethylamino)phenyl)boronic acid. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 8.79(s, 2H), 7.99(s, 1H), 7.41(d, 1H), 7.20(d, 1H), 7.12(d, 1H), 5.09(s, 2H), 4.47(s, 2H), 3.67(s, 2H), 2.58(s, 3H)

[0377] Example 57. 2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[2-(morpholin-4-yl)pyrimidin-5-yl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride

[0378] 2.1 mg of the title compound (yield: 29.1 %) was prepared in the same fashion as Example 4, except that 18.2 mg of 4-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-

yl)pyrimidin-2-yl)morpholine was used in Step 1 instead of (3-(dimethylamino)phenyl)boronic acid. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 8.62(d, 1H), 7.98(s, 1H), 7.24(s, 1H), 7.17(s, 1H), 7.14(d, 1H), 5.08(s, 2H), 4.48(s, 2H), 3.83(d, 4H), 3.78(d, 4H), 3.68(s, 2H)

**[0379]** Example 58. 2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-(1-methyl-1,2,3,6-tetrahydropyridin-4-yl)thiophen-2-yl]methyl-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride

**[0380]** 5.0 mg of the title compound (yield: 64.4 %) was prepared in the same fashion as Example 4, except that 19.5 mg of 1-methyl-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-1,2,3,6-tetrahydropyridine was used in Step 1 instead of (3-(dimethylamino)phenyl)boronic acid. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 7.96(s, 1H), 7.13(d, 1H), 7.07(s, 2H), 6.09(s, 1H), 5.03(s, 2H), 4.47(s, 2H), 4.01(d, 1H), 3.80(d, 1H), 3.71(s, 1H), 3.66(s, 2H), 3.40(s, 1H), 3.00(s, 3H), 2.89(s, 2H)

**[0381]** Example 59. 4-[[5-(1-acetyl-3,6-dihydro-2*H*-pyridin-4-yl)-2-thienyl]methyl]-2-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-1,2,4-triazol-3-one

**[0382]** 25.0 mg of the title compound (yield: 98.1 %) was prepared in the same fashion as Example 31, except that 36.5 mg of 1-[4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-3,6-dihydro-2*H*-pyridin-1-yl]ethanone was used in Step 1 instead of the compound prepared in Reference Example 9. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 7.39(s, 1H), 6.94(d, 1H), 6.84(dd, 1H), 6.68(d, 1H), 6.05(d, 1H), 4.91(d, 2H), 4.38(d, 2H), 4.21(d, 1H), 4.11(d, 1H), 3.79(t, 1H), 3.64(t, 1H), 3.37(d, 2H), 2.55(d, 2H), 2.14(d, 3H)

**[0383]** Example 60. 2-[(2*Z*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-(1,3-benzodioxol-5-yl)thiophen-2-yl]methyl-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride

**[0384]** 3.1 mg of the title compound (yield: 27.4 %) was prepared in the same fashion as Example 26, except that 28.0 mg of 3,4-(methylenedioxy)phenyl boronic acid was used in Step 1 instead of morpholino(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)methanone. <sup>1</sup>H-NMR (CDCl<sub>3</sub>, 400 MHz) δ 7.48(s, 1H), 7.16(s, 1H), 6.98(m, 4H), 6.77(d, 1H), 5.96(s, 2H), 4.92(s, 2H), 4.70(d, 2H), 3.58(d, 2H)

[0385] Example 61. 2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-(2,1,3-benzooxadiazol-5-yl)thiophen-2-yl]methyl-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0386] 3.1 mg of the title compound (yield: 10.5 %) was prepared in the same fashion as Example 26, except that 42.0 mg of benzo[*c*][1,2,5]oxadiazole-5-boronic acid pinacol ester was used in Step 1 instead of morpholino(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)methanone. MS (ESI)  $m/z = 387.1$  (M + H)<sup>+</sup>

[0387] Example 62. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-(1,3-benzooxazol-5-yl)thiophen-2-yl]methyl-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0388] 6.7 mg of the title compound (yield: 53.5 %) was prepared in the same fashion as Example 4, except that 14.2 mg of benzo[*d*]oxazol-5-ylboronic acid was used in Step 1 instead of (3-(dimethylamino)phenyl)boronic acid. <sup>1</sup>H-NMR (MeOD, 400 MHz)  $\delta$  7.99(s, 1H), 7.55(s, 2H), 7.21(s, 2H), 7.15(d, 1H), 7.14(s, 1H), 7.05(d, 1H), 5.07(s, 2H), 4.50(s, 2H), 3.70(s, 2H)

[0389] Example 63. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-(1H-indazol-5-yl)thiophen-2-yl]methyl-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0390] 2.4 mg of the title compound (yield: 32.9 %) was prepared in the same fashion as Example 4, except that 21.3 mg of 5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-1H-indazole was used in Step 1 instead of (3-(dimethylamino)phenyl)boronic acid. <sup>1</sup>H-NMR (MeOD, 400 MHz)  $\delta$  8.10(s, 1H), 8.00(s, 2H), 7.70(d, 1H), 7.58(d, 1H), 7.28(s, 1H), 7.15(s, 1H), 7.14(d, 1H), 5.07(s, 2H), 4.49(s, 2H), 3.68(s, 2H)

[0391] Example 64. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-([1,3]thiazolo[5,4-*b*]pyridin-6-yl)thiophen-2-yl]methyl-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

[0392] 7.0 mg of the title compound (yield: 56.8 %) was prepared in the same fashion as Example 4, except that 23.0 mg of 6-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)thiazolo[5,4-*b*]pyridine was used in Step 1 instead of (3-(dimethylamino)phenyl)boronic acid. <sup>1</sup>H-NMR (MeOD, 400 MHz)  $\delta$  9.44(s, 1H), 8.95(d, 1H), 8.60(d, 1H), 8.03(s, 1H), 7.54(d, 1H), 7.25(d, 1H), 7.14(d, 1H), 5.13(s, 2H), 4.50(d, 2H), 3.69(s, 2H)

[0393] Example 65. 2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-(1*H*-pyrrolo[2,3-*b*]pyridin-5-yl)thiophen-2-yl]methyl-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride

[0394] 12.0 mg of the title compound (yield: 66.4 %) was prepared in the same fashion as Example 4, except that 21.3 mg of 5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-1*H*-pyrrolo[2,3-*b*]pyridine was used in Step 1 instead of (3-(dimethylamino)phenyl)boronic acid. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 8.83(s, 1H), 8.67(s, 2H), 8.02(s, 1H), 7.76(d, 1H), 7.49(s, 1H), 7.24(s, 1H), 7.14(d, 1H), 6.89(s, 1H), 5.13(s, 2H), 4.49(s, 2H), 3.70(s, 2H)

[0395] Example 66. 2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-([1,2,4]triazolo[1,5-*a*]pyridin-7-yl)thiophen-2-yl]methyl-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride

[0396] 7.0 mg of the title compound (yield: 57.5 %) was prepared in the same fashion as Example 4, except that 21.4 mg of 7-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-[1,2,4]triazolo[1,5-*a*]pyridine was used in Step 1 instead of (3-(dimethylamino)phenyl)boronic acid. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 9.02(s, 2H), 8.15(d, 1H), 8.03(d, 1H), 7.86(m, 2H), 7.30(s, 1H), 7.13(d, 1H), 5.15(s, 2H), 4.49(s, 2H), 3.69(s, 2H)

[0397] Example 67. 6-[5-(1-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]-2,3-dihydro-1*H*-isoindol-1-one hydrochloride

[0398] 7.2 mg of the title compound (yield: 55.4 %) was prepared in the same fashion as Example 4, except that 22.6 mg of 6-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)isoindolin-1-one was used in Step 1 instead of (3-(dimethylamino)phenyl)boronic acid. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 8.01(s, 1H), 7.98(d, 1H), 7.89(d, 1H), 7.62(d, 1H), 7.39(d, 1H), 7.17(d, 1H), 7.14(d, 1H), 5.10(s, 2H), 4.50(d, 2H), 3.69(s, 2H)

[0399] Example 68. 5-[5-(1-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]-2,3-dihydro-1*H*-isoindol-1-one hydrochloride

[0400] 6.0 mg of the title compound (yield: 46.5 %) was prepared in the same fashion as

Example 4, except that 22.6 mg of 5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)isoindolin-1-one was used in Step 1 instead of (3-(dimethylamino)phenyl)boronic acid. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 8.01(s, 1H), 7.84(s, 1H), 7.79(dd, 2H), 7.45(d, 1H), 7.19(d, 1H), 7.15(d, 1H), 5.10(s, 2H), 4.50(d, 4H), 3.69(s, 2H)

**[0401]** Example 69. 5-[5-(1-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]-1,3-dihydro-2*H*-indol-2-one hydrochloride

**[0402]** 3.2 mg of the title compound (yield: 26.8 %) was prepared in the same fashion as Example 4, except that 22.6 mg of 5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)indolin-2-one was used in Step 1 instead of (3-(dimethylamino)phenyl)boronic acid. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 7.98 (s, 1H), 7.55(s, 1H), 7.51-7.45(m, 2H), 7.18(d, 1H), 7.14(d, 1H), 7.11(d, 1H), 6.91(d, 1H), 5.05(s, 2H), 4.48(s, 2H), 3.69(s, 2H), 3.57(s, 2H)

**[0403]** Example 70. 5-[5-[[1-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]methyl]-2-thienyl]-7-fluoro-isoindol-1-one hydrochloride

**[0404]** 4.5 mg of the title compound (yield: 46.6 %) was prepared in the same fashion as Example 4, except that 24.2 mg of 7-fluoro-5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)isoindolin-1-one was used in Step 1 instead of (3-(dimethylamino)phenyl)boronic acid. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 8.02(s, 1H), 7.63(s, 1H), 7.48(d, 1H), 7.42(d, 1H), 7.19(s, 1H), 7.14(d, 1H), 5.10(s, 2H), 4.49(s, 4H), 3.69(s, 2H)

**[0405]** Example 71. 5-[5-[[1-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]methyl]-2-thienyl]-7-fluoro-indolin-2-one hydrochloride

**[0406]** 4.5 mg of the title compound (yield: 12.2 %) was prepared in the same fashion as Example 4, except that 24.0 mg of 7-fluoro-5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)indolin-2-one was used in Step 1 instead of (3-(dimethylamino)phenyl)boronic acid. MS (ESI) *m/z*= 418.1 (M + H)<sup>+</sup>

**[0407]** Example 72. 5-[5-(1-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]-1,3-benzooxazol-2(3*H*)-one hydrochloride

[0408] 2.5 mg of the title compound (yield: 27.3 %) was prepared in the same fashion as Example 4, except that 22.8 mg of 5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)benzo[d]oxazol-2(3*H*)-one was used in Step 1 instead of (3-(dimethylamino)phenyl)boronic acid. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 7.99(s, 1H), 7.36(d, 1H), 7.30(s, 1H), 7.24(d, 2H), 7.15(d, 1H), 7.14(d, 1H), 5.07(s, 2H), 4.49(d, 2H), 3.68(s, 2H)

[0409] Example 73. 5-[5-(1-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]-1-methyl-1,3-dihydro-2*H*-pyrrolo[2,3-*b*]pyridin-2-one hydrochloride

[0410] 4.9 mg of the title compound (yield: 54.8 %) was prepared in the same fashion as Example 4, except that 23.9 mg of 1-methyl-5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-1,3-dihydro-2*H*-pyrrolo[2,3-*b*]pyridin-2-one was used in Step 1 instead of (3-(dimethylamino)phenyl)boronic acid. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 8.38(s, 1H), 8.00(s, 1H), 7.94(s, 1H), 7.31(s, 1H), 7.17(s, 1H), 7.14(d, 1H), 5.08(s, 2H), 4.49(s, 2H), 3.70(s, 2H), 3.29(s, 3H)

[0411] Example 74. 7-[5-(1-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]-3,4-dihydroisoquinolin-1(2*H*)-one hydrochloride

[0412] 4.2 mg of the title compound (yield: 47.5 %) was prepared in the same fashion as Example 4, except that 23.8 mg of 7-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-3,4-dihydroisoquinolin-1(2*H*)-one was used in Step 1 instead of (3-(dimethylamino)phenyl)boronic acid. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 8.13(s, 1H), 7.99(s, 1H), 7.74(d, 1H), 7.36-7.32(m, 2H), 7.15(d, 1H), 7.13(d, 1H), 5.07(s, 2H), 4.48(d, 2H), 3.67(s, 2H), 3.52(t, 2H), 3.00(t, 2H)

[0413] Example 75. 6-[5-(1-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]-3,4-dihydroquinolin-2(1*H*)-one hydrochloride

[0414] 5.2 mg of the title compound (yield: 43.0 %) was prepared in the same fashion as Example 4, except that 23.8 mg of 6-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-3,4-dihydroquinolin-2(1*H*)-one was used in Step 1 instead of (3-(dimethylamino)phenyl)boronic

acid. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 7.98(s, 1H), 7.45-7.40(m, 2H), 7.21(d, 1H), 7.14(d, 1H), 7.11(d, 1H), 6.89(d, 1H), 5.05(s, 2H), 4.50(d, 2H), 3.69(s, 2H), 3.00(t, 2H), 2.60(t, 2H)

[0415] Example 76. 6-[5-(1-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl)methyl)thiophen-2-yl]-8-methyl-3,4-dihydroquinolin-2(1H)-one hydrochloride

[0416] 5.9 mg of the title compound (yield: 46.6 %) was prepared in the same fashion as Example 26, except that 25.0 mg of 8-methyl-6-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-3,4-dihydroquinolin-2(1H)-one was used in Step 1 instead of morpholino(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)methanone. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 7.98(s, 1H), 7.30(s, 2H), 7.20(s, 1H), 7.10(d, 1H), 7.08(d, 1H), 5.05(s, 2H), 4.66(s, 2H), 3.57(s, 2H), 3.00(t, 2H), 2.58(m, 2H), 2.29(s, 3H)

[0417] Example 77. 6-[5-(1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl)methyl)thiophen-2-yl]-8-methyl-3,4-dihydroquinolin-2(1H)-one hydrochloride

[0418] 4.7 mg of the title compound (yield: 49.0 %) was prepared in the same fashion as Example 4, except that 25.0 mg of 8-methyl-6-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-3,4-dihydroquinolin-2(1H)-one was used in Step 1 instead of (3-(dimethylamino)phenyl)boronic acid. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 7.96(s, 1H), 7.28(s, 2H), 7.19(s, 1H), 7.13(d, 1H), 7.09(s, 1H), 5.04(s, 2H), 4.47(s, 2H), 3.67(s, 2H), 2.96(t, 2H), 2.57(t, 2H), 2.27(s, 3H)

[0419] Example 78. 6-[5-[[1-[(E)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]methyl]-2-thienyl]-8-methyl-1H-quinolin-2-one

[0420] 7.0 mg of the title compound (yield: 24.7 %) was prepared in the same fashion as Example 31, except that 41.4 mg of 8-methyl-6-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-1H-quinolin-2-one was used in Step 1 instead of the compound prepared in Reference Example 9. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 7.98(s, 1H), 7.15(d, 1H), 7.11-7.08(m, 2H), 7.13(d, 1H), 7.01(d, 1H), 6.95(d, 1H), 5.05(s, 2H), 4.62(s, 2H), 4.48(d, 2H), 3.68(d, 2H)

[0421] Example 79. 6-[5-(1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-

dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]-1-methyl-3,4-dihydroquinolin-2(1*H*)-one hydrochloride

[0422] 7.4 mg of the title compound (yield: 61.0 %) was prepared in the same fashion as Example 4, except that 21.3 mg of 1-methyl-6-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-3,4-dihydroquinolin-2(1*H*)-one was used in Step 1 instead of (3-(dimethylamino)phenyl)boronic acid. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 7.98(s, 1H), 7.52-7.47(m, 2H), 7.24(s, 1H), 7.14(d, 1H), 7.13(d, 2H), 5.06(s, 2H), 4.48(s, 2H), 3.68(s, 2H), 2.95(s, 2H), 2.65(s, 2H)

[0423] Example 80. 6-[5-[[1-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]methyl]-2-thienyl]-8-fluoro-3,4-dihydro-1*H*-quinolin-2-one

[0424] 16.2 mg of the title compound (yield: 83.2 %) was prepared in the same fashion as Example 31, except that 42.3 mg of 8-fluoro-6-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-3,4-dihydro-1*H*-quinolin-2-one was used in Step 1 instead of the compound prepared in Reference Example 9. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 7.59 (s, 1H), 7.43(s, 1H), 7.18(d, 1H), 7.15(s, 1H), 7.10(d, 1H), 7.03(d, 1H), 6.70(d, 1H), 4.96(s, 2H), 4.40(d, 2H), 3.40(d, 2H), 3.04(t, 2H), 2.69(t, 2H)

[0425] Example 81. 6-[5-[[1-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]methyl]-2-thienyl]-8-(trifluoromethyl)-3,4-dihydro-1*H*-quinolin-2-one

[0426] 13.1 mg of the title compound (yield: 79.1 %) was prepared in the same fashion as Example 31, except that 30.0 mg of 6-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-8-(trifluoromethyl)-3,4-dihydro-1*H*-quinolin-2-one was used in Step 1 instead of the compound prepared in Reference Example 9. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 7.97(s, 1H), 7.71(s, 1H), 7.66(s, 1H), 7.32(d, 1H), 7.14(d, 1H), 7.12(d, 1H), 5.06(s, 2H), 4.47(s, 2H), 3.67(s, 2H), 3.07(t, 2H), 2.64(t, 2H)

[0427] Example 82. 6-[5-(1-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]-8-fluoroquinolin-2(1*H*)-one hydrochloride

[0428] 6.8 mg of the title compound (yield: 71.6 %) was prepared in the same fashion as

Example 4, except that 25.2 mg of 8-fluoro-6-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)quinolin-2(1*H*)-one was used in Step 1 instead of (3-(dimethylamino)phenyl)boronic acid. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 7.98(s, 1H), 7.26(d, 1H), 7.14(d, 2H), 7.12(d, 1H), 6.86(d, 1H), 5.07(s, 2H), 4.63(s, 2H), 4.47(s, 2H), 3.67(s, 2H)

[0429] Example 83. 6-[5-[[1-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]methyl]-2-thienyl]-8-methyl-4-(trifluoromethyl)-1*H*-quinolin-2-one

[0430] 6.8 mg of the title compound (yield: 49.6 %) was prepared in the same fashion as Example 31, except that 41.4 mg of 8-methyl-6-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-4-(trifluoromethyl)-1*H*-quinolin-2-one was used in Step 1 instead of the compound prepared in Reference Example 9. MS (ESI) *m/z*= 494.1 (M + H)<sup>+</sup>

[0431] Example 84. 7-[5-(1-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]-2*H*-1,4-benzoxazin-3(4*H*)-one hydrochloride

[0432] 5.0 mg of the title compound (yield: 58.2 %) was prepared in the same fashion as Example 4, except that 24.0 mg of 7-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-2*H*-benzo[*b*][1,4]oxazin-3(4*H*)-one was used in Step 1 instead of (3-(dimethylamino)phenyl)boronic acid. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 7.95(d, 1H), 7.62(d, 1H), 7.29(s, 1H), 7.22(s, 1H), 7.05(d, 1H), 7.00(d, 2H), 5.05(d, 2H), 4.46(s, 2H), 3.67(s, 2H), 2.32(s, 2H)

[0433] Example 85. 7-[5-[[1-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]methyl]-2-thienyl]-4*H*-1,4-benzothiazin-3-one hydrochloride

[0434] 7.0 mg of the title compound (yield: 56.8 %) was prepared in the same fashion as Example 4, except that 25.4 mg of 7-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-4*H*-1,4-benzothiazin-3-one was used in Step 1 instead of (3-(dimethylamino)phenyl)boronic acid. MS (ESI) *m/z*= 432.1 (M + H)<sup>+</sup>

[0435] Example 86. 7-[5-[[1-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]methyl]-2-thienyl]-4-methyl-1,4-benzoxazin-3-one hydrochloride

[0436] 16.0 mg of the title compound (yield: 72.7 %) was prepared in the same fashion as

Example 4, except that 18.0 mg of (4-methyl-3-oxo-1,4-benzoxazin-7-yl)boronic acid was used in Step 1 instead of (3-(dimethylamino)phenyl)boronic acid. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 7.97(s, 1H), 7.31(d, 1H), 7.24-7.22(m, 2H), 7.15(d, 1H), 7.13(d, 1H), 7.11(d, 1H), 5.05(s, 2H), 4.64(s, 2H), 4.47(s, 2H), 3.67(s, 2H), 3.37(s, 3H)

[0437] Example 87. 7-[5-[[1-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]methyl]-2-thienyl]-2,2-dimethyl-4*H*-1,4-benzoxazin-3-one

[0438] 9.0 mg of the title compound (yield: 50.1 %) was prepared in the same fashion as Example 31, except that 44.0 mg of 2,2-dimethyl-7-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-4*H*-1,4-benzoxazin-3-one was used in Step 1 instead of the compound prepared in Reference Example 9. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 8.18(s, 1H), 7.42(s, 1H), 7.17-7.10(m, 3H), 7.02(s, 2H), 6.79(s, 1H), 6.68(d, 1H), 4.96(s, 2H), 4.40(d, 2H), 3.38(s, 2H), 1.55(s, 6H)

[0439] Example 88. 7-[5-[[1-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]methyl]-2-thienyl]-8-methyl-3,4-dihydro-1*H*-quinolin-2-one

[0440] 2.0 mg of the title compound (yield: 8.2 %) was prepared in the same fashion as Example 31, except that 41.7 mg of 8-methyl-7-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-3,4-dihydro-1*H*-quinolin-2-one was used in Step 1 instead of the compound prepared in Reference Example 9. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 7.43(s, 1H), 7.42(s, 1H), 7.23(d, 2H), 7.10(d, 1H), 7.02(d, 1H), 6.69(d, 1H), 4.96(s, 2H), 4.40(d, 2H), 3.37(d, 2H), 2.99(t, 2H), 2.65(t, 2H), 2.25(s, 3H)

[0441] Example 89. 6-[5-(1-[(2*Z*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-yl)methyl]thiophen-2-yl]-2*H*-1,4-benzoxazin-3(4*H*)-one hydrochloride

[0442] 4.8 mg of the title compound (yield: 43.1 %) was prepared in the same fashion as Example 26, except that 24.0 mg of 6-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-2*H*-benzo[*b*][1,4]oxazin-3(4*H*)-one was used in Step 1 instead of morpholino(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)methanone. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 7.98(s, 1H), 7.18-7.10(m, 4H), 7.07(d, 1H), 6.98(d, 1H), 5.06(s, 2H), 4.66(s, 2H), 4.61(s, 2H), 3.56(s, 2H)

[0443] Example 90. 6-[5-(1-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]-2*H*-1,4-benzoxazin-3(4*H*)-one hydrochloride

[0444] 4.0 mg of the title compound (yield: 57.8 %) was prepared in the same fashion as Example 4, except that 24.0 mg of 6-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-2*H*-benzo[*b*][1,4]oxazin-3(4*H*)-one was used in Step 1 instead of (3-(dimethylamino)phenyl)boronic acid. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 7.96(s, 1H), 7.23-7.15(m, 2H), 7.13(d, 1H), 7.11(s, 2H), 6.96(d, 1H), 5.04(s, 2H), 4.59(s, 2H), 4.47(s, 2H), 3.67(s, 2H)

[0445] Example 91. 6-[5-(1-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]-8-methyl-2*H*-1,4-benzoxazin-3(4*H*)-one hydrochloride

[0446] 5.2 mg of the title compound (yield: 45.8 %) was prepared in the same fashion as Example 4, except that 20.1 mg of 8-methyl-6-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-2*H*-benzo[*b*][1,4]oxazin-3(4*H*)-one was used in Step 1 instead of (3-(dimethylamino)phenyl)boronic acid. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 7.97(s, 1H), 7.15(d, 1H), 7.10(d, 2H), 6.97(s, 1H), 5.05(s, 2H), 4.62(s, 2H), 4.49(s, 2H), 3.69(s, 2H), 2.25(s, 3H)

[0447] Example 92. 6-[5-[[1-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]methyl]-2-thienyl]-5-methyl-4*H*-1,4-benzoxazin-3-one hydrochloride

[0448] 12.0 mg of the title compound (yield: 56.8 %) was prepared in the same fashion as Example 4, except that 25.2 mg of 5-methyl-6-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-4*H*-1,4-benzoxazin-3-one was used in Step 1 instead of (3-(dimethylamino)phenyl)boronic acid. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 7.98(s, 1H), 7.13(d, 1H), 7.12(s, 1H), 6.96(d, 1H), 6.85(d, 2H), 5.06(s, 2H), 4.55(s, 2H), 4.47(s, 2H), 3.67(s, 2H), 2.24(s, 3H)

[0449] Example 93. 6-[5-(1-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]-7-fluoro-2*H*-1,4-benzoxazin-3(4*H*)-one hydrochloride

[0450] 2.3 mg of the title compound (yield: 36.3 %) was prepared in the same fashion as

Example 4, except that 25.6 mg of 7-fluoro-6-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-2*H*-benzo[*b*][1,4]oxazin-3(4*H*)-one was used in Step 1 instead of (3-(dimethylamino)phenyl)boronic acid. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 7.97(s, 1H), 7.23-7.18(m, 3H), 7.12(d, 1H), 7.10(d, 1H), 6.90(d, 1H), 5.04(s, 2H), 4.59(s, 2H), 4.47(s, 2H), 3.67(s, 2H)

[0451] Example 94. 6-[5-[[1-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]methyl]-2-thienyl]-8-fluoro-4*H*-1,4-benzoxazin-3-one hydrochloride

[0452] 13.0 mg of the title compound (yield: 70.3 %) was prepared in the same fashion as Example 4, except that 25.6 mg of 8-fluoro-6-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-4*H*-1,4-benzoxazin-3-one was used in Step 1 instead of (3-(dimethylamino)phenyl)boronic acid. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 7.96(d, 1H), 7.23-7.03(m, 4H), 6.93(d, 1H), 5.04(d, 2H), 4.66(d, 2H), 4.47(s, 2H), 3.67(s, 2H)

[0453] Example 95. 6-[5-[[1-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]methyl]-2-thienyl]-5-chloro-4*H*-1,4-benzoxazin-3-one hydrochloride

[0454] 24.6 mg of the title compound (yield: 55.6 %) was prepared in the same fashion as Example 4, except that 27.0 mg of 5-chloro-6-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-2*H*-1,4-benzoxazin-3(4*H*)-one was used in Step 1 instead of (3-(dimethylamino)phenyl)boronic acid. <sup>1</sup>H NMR (DMSO-*d*<sub>6</sub>, 400 MHz) δ 8.17(1H, s), 7.20(1H, d), 7.15-7.12(2H, m), 7.08(1H, d), 7.04(1H, d), 5.01(2H, s), 4.64(2H, s), 4.42(2H, s), 3.46(2H, s)

[0455] Example 96. 6-[5-(1-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]-4-methyl-2*H*-1,4-benzoxazin-3(4*H*)-one hydrochloride

[0456] 11.0 mg of the title compound (yield: 65.8 %) was prepared in the same fashion as Example 4, except that 25.2 mg of 4-methyl-6-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-2*H*-benzo[*b*][1,4]oxazin-3(4*H*)-one was used in Step 1 instead of (3-(dimethylamino)phenyl)boronic acid. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 8.00(s, 1H), 7.33(s, 1H), 7.28(d, 2H), 7.15(d, 1H), 7.14(s, 1H), 7.02(d, 1H), 5.07(s, 2H), 4.65(s, 2H), 4.49(s, 2H), 3.68(s, 2H), 3.42(s, 3H)

[0457] Example 97. 6-[5-[[1-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-

yl)methyl]-2-thienyl]-2-methyl-4*H*-1,4-benzoxazin-3-one hydrochloride

**[0458]** 7.0 mg of the title compound (yield: 46.8 %) was prepared in the same fashion as Example 4, except that 25.2 mg of 2-methyl-6-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-4*H*-1,4-benzoxazin-3-one was used in Step 1 instead of (3-(dimethylamino)phenyl)boronic acid. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 7.98(s, 1H), 7.24-6.67(m, 6H), 5.05(s, 2H), 4.67(s, 1H), 4.48(s, 2H), 3.68(s, 2H), 3.32(s, 3H)

**[0459]** Example 98. 6-[5-[[1-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl)methyl]-2-thienyl]-2,2-dimethyl-4*H*-1,4-benzoxazin-3-one

**[0460]** 22.0 mg of the title compound (yield: 93.2 %) was prepared in the same fashion as Example 31, except that 44.1 mg of 2,2-dimethyl-6-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-2*H*-benzo[*b*][1,4]oxazin-3(4*H*)-one was used in Step 1 instead of the compound prepared in Reference Example 9. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 7.97(s, 1H), 7.22-7.02(m, 5H), 6.94(d, 1H), 5.05(s, 2H), 4.48(s, 2H), 3.66(s, 2H), 1.49(s, 6H)

**[0461]** Example 99. 7-[5-(1-[(2*Z*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]-1,4-dihydro-2*H*-3,1-benzoxazin-2-one hydrochloride

**[0462]** 3.5 mg of the title compound (yield: 39.1 %) was prepared in the same fashion as Example 26, except that 24.2 mg of 7-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-1,4-dihydro-2*H*-benzo[*d*][1,3]oxazin-2-one was used in Step 1 instead of morpholino(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)methanone. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 7.99(s, 1H), 7.30(m, 2H), 7.22(d, 1H), 7.17-7.11(m, 2H), 7.07(d, 1H), 5.34(s, 2H), 5.07(s, 2H), 4.66(s, 2H), 3.56(s, 2H)

**[0463]** Example 100. 7-[5-(1-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]-1,4-dihydro-2*H*-3,1-benzoxazin-2-one hydrochloride

**[0464]** 2.6 mg of the title compound (yield: 44.9 %) was prepared in the same fashion as Example 4, except that 24.2 mg of 7-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-1,4-dihydro-2*H*-benzo[*d*][1,3]oxazin-2-one was used in Step 1 instead of (3-

(dimethylamino)phenyl)boronic acid. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 7.98(s, 1H), 7.28(m, 2H), 7.22(d, 1H), 7.12(d, 2H), 7.11(d, 1H), 5.32(s, 2H), 5.06(s, 2H), 4.48(s, 2H), 3.67(s, 2H)

**[0465]** Example 101. 6-[5-(1-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]-1,4-dihydro-2*H*-3,1-benzoxazin-2-one hydrochloride

**[0466]** 6.0 mg of the title compound (yield: 56.6 %) was prepared in the same fashion as Example 4, except that 24.0 mg of 6-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-1,4-dihydro-2*H*-benzo[*d*][1,3]oxazin-2-one was used in Step 1 instead of (3-(dimethylamino)phenyl)boronic acid. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 7.98(s, 1H), 7.52(d, 1H), 7.46(s, 1H), 7.23(d, 1H), 7.14(d, 1H), 7.12(d, 1H), 6.91(d, 1H), 5.35(s, 2H), 5.06(s, 2H), 4.49(s, 2H), 3.69(s, 2H)

**[0467]** Example 102. 6-[5-[[1-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]methyl]-2-thienyl]-5-methyl-1,4-dihydro-3,1-benzoxazin-2-one

**[0468]** 9.5 mg of the title compound (yield: 29.5 %) was prepared in the same fashion as Example 31, except that 42.0 mg of 5-methyl-6-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-1,4-dihydro-3,1-benzoxazin-2-one was used in Step 1 instead of the compound prepared in Reference Example 9. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 8.00(s, 1H), 7.95(d, 1H), 7.70(s, 1H), 7.65(s, 1H), 7.30(d, 1H), 7.14(d, 1H), 7.13(d, 1H), 6.63(d, 1H), 5.08(s, 2H), 4.50(s, 2H), 3.70(d, 2H), 2.51(s, 3H)

**[0469]** Example 103. 2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-(4-methyl-3,4-dihydro-2*H*-1,4-benzoxazin-7-yl)thiophen-2-yl]methyl-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride

**[0470]** 8.7 mg of the title compound (yield: 66.6 %) was prepared in the same fashion as Example 4, except that 24.0 mg of 4-methyl-7-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-3,4-dihydro-2*H*-benzo[*b*][1,4]oxazine was used in Step 1 instead of (3-(dimethylamino)phenyl)boronic acid. MS (ESI) *m/z*= 416.2 (M + H)<sup>+</sup>

**[0471]** Example 104. 2-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-4-[[5-(1-methyl-3,4-dihydro-2*H*-quinoxalin-6-yl)-2-thienyl]methyl]-1,2,4-triazol-3-one

[0472] 22.2 mg of the title compound (yield: 86.1 %) was prepared in the same fashion as Example 31, except that 39.8 mg of 4-methyl-7-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-2,3-dihydro-1*H*-quinoxaline was used in Step 1 instead of the compound prepared in Reference Example 9. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 7.40(s, 1H), 6.96(s, 1H), 6.87(dd, 1H), 6.69(d, 1H), 6.66(d, 1H), 6.51(d, 1H), 4.92(s, 2H), 4.39(d, 2H), 3.49(t, 2H), 3.38(d, 2H), 3.30(t, 2H), 2.89(s, 3H)

[0473] Example 105. 2-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-4-[[5-(3,4-dihydro-2*H*-1,4-benzoxazin-6-yl)-2-thienyl]methyl]-1,2,4-triazol-3-one

[0474] 17.5 mg of the title compound (yield: 66.3 %) was prepared in the same fashion as Example 31, except that 37.9 mg of 6-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-3,4-dihydro-2*H*-benzo[*b*][1,4]oxazine was used in Step 1 instead of the compound prepared in Reference Example 9. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 7.95(s, 1H), 7.12(d, 1H), 7.06(s, 1H), 6.85(d, 1H), 6.80(dd, 1H), 6.67(d, 1H), 5.02(s, 2H), 4.61(s, 2H), 4.48(d, 2H), 4.20(t, 2H), 3.67(d, 2H), 3.36(t, 2H)

[0475] Example 106. 2-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-4-[[5-(5-fluoro-3,4-dihydro-2*H*-1,4-benzoxazin-6-yl)-2-thienyl]methyl]-1,2,4-triazol-3-one

[0476] 16.7 mg of the title compound (yield: 53.0 %) was prepared in the same fashion as Example 31, except that 40.6 mg of 5-fluoro-6-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-3,4-dihydro-2*H*-1,4-benzoxazine was used in Step 1 instead of the compound prepared in Reference Example 9. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 7.41(s, 1H), 7.18(d, 1H), 7.03(d, 1H), 6.79(d, 1H), 6.70(d, 1H), 6.58(d, 1H), 4.96(s, 2H), 4.39(d, 2H), 4.30(t, 2H), 3.47(t, 2H), 3.37(s, 2H)

[0477] Example 107. 2-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-4-[[5-(7-fluoro-3,4-dihydro-2*H*-1,4-benzoxazin-6-yl)-2-thienyl]methyl]-1,2,4-triazol-3-one

[0478] 17.0 mg of the title compound (yield: 84.2 %) was prepared in the same fashion as Example 31, except that 40.6 mg of 7-fluoro-6-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-3,4-dihydro-2*H*-1,4-benzoxazine was used in Step 1 instead of the compound prepared in Reference Example 9. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 7.41(s, 1H), 7.16(d, 1H), 7.02(d, 1H), 6.73(d, 1H), 6.70(d, 1H), 6.59(s, 1H), 4.95(s, 2H), 4.39(d, 2H), 4.27(t, 2H), 3.41(t, 2H),

3.37(s, 2H)

[0479] Example 108. 2-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-4-[[5-(5-methyl-3,4-dihydro-2*H*-1,4-benzoxazin-6-yl)-2-thienyl]methyl]-1,2,4-triazol-3-one

[0480] 20.1 mg of the title compound (yield: 86.0 %) was prepared in the same fashion as Example 31, except that 40.0 mg of 5-methyl-6-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-3,4-dihydro-2*H*-1,4-benzoxazine was used in Step 1 instead of the compound prepared in Reference Example 9. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 7.43(s, 1H), 7.01(d, 1H), 6.79(s, 1H), 6.70(s, 1H), 6.69(d, 1H), 4.96(s, 2H), 4.39(d, 2H), 4.25(t, 2H), 3.51(t, 2H), 3.37(s, 2H)

[0481] Example 109. 2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-(3,4-dihydro-2*H*-chromen-6-yl)thiophen-2-yl]methyl-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride

[0482] 5.3 mg of the title compound (yield: 49.2 %) was prepared in the same fashion as Example 4, except that 24.9 mg of 2-(chroman-6-yl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane was used in Step 1 instead of (3-(dimethylamino)phenyl)boronic acid. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 7.97(s, 1H), 7.28(d, 2H), 7.14(d, 1H), 7.10(dd, 2H), 6.74(d, 1H), 5.04(s, 2H), 4.49(d, 2H), 4.19(t, 2H), 3.68(d, 2H), 2.82(t, 3H) 2.03(m, 2H)

[0483] Example 110. 2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-(1,2,3,4-tetrahydroquinolin-6-yl)thiophen-2-yl]methyl-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride

[0484] 3.2 mg of the title compound (yield: 38.2 %) was prepared in the same fashion as Example 4, except that 24.4 mg of 6-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-1,2,3,4-tetrahydroquinoline was used in Step 1 instead of (3-(dimethylamino)phenyl)boronic acid. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 7.99(s, 1H), 7.60(s, 2H), 7.36(d, 1H), 7.31(d, 1H), 7.16(d, 1H), 7.12(d, 1H), 5.07(s, 2H), 4.48(d, 2H), 3.68(s, 2H), 3.54(t, 2H), 3.00(t, 2H), 2.17(m, 2H)

[0485] Example 111. 2-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-4-[[5-(5,6,7,8-tetrahydro-1,8-naphthyridin-3-yl)-2-thienyl]methyl]-1,2,4-triazol-3-one

[0486] 23.0 mg of the title compound (yield: 92.7 %) was prepared in the same fashion as Example 31, except that 37.8 mg of 6-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-1,2,3,4-

tetrahydro-1,8-naphthyridine was used in Step 1 instead of the compound prepared in Reference Example 9. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 7.98(s, 1H), 7.91(s, 1H), 7.82(s, 1H), 7.23(d, 1H), 7.14(d, 1H), 7.13(d, 1H), 5.07(s, 2H), 4.48(d, 2H), 3.69(s, 2H), 3.51(t, 2H), 2.89(t, 2H), 1.98(m, 2H)

**[0487]** Example 112. 2-[(*2E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[2-(methylamino)quinazolin-6-yl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride

**[0488]** 6.7 mg of the title compound (yield: 52.9 %) was prepared in the same fashion as Example 4, except that 24.9 mg of *N*-methyl-6-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)quinazolin-2-amine was used in Step 1 instead of (3-(dimethylamino)phenyl)boronic acid. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 8.00(s, 1H), 7.71(d, 2H), 7.32(d, 1H), 7.24(m, 1H), 7.16(d, 1H), 7.14(d, 1H), 5.08(s, 2H), 4.50(s, 2H), 3.70(s, 2H), 3.07(s, 2H)

**[0489]** Example 113. 2-[(*2E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-(quinolin-5-yl)thiophen-2-yl]methyl-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride

**[0490]** 7.4 mg of the title compound (yield: 59.8 %) was prepared in the same fashion as Example 4, except that 22.2 mg of 5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)quinoline was used in Step 1 instead of (3-(dimethylamino)phenyl)boronic acid. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 9.38(d, 1H), 9.27(s, 1H), 8.25(dd, 2H), 8.12-8.03(m, 3H), 7.36(s, 2H), 7.14(d, 1H), 5.19(s, 2H), 4.50(s, 2H), 3.70(s, 2H)

**[0491]** Example 114. 2-[(*2E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-(isoquinolin-5-yl)thiophen-2-yl]methyl-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride

**[0492]** 4.9 mg of the title compound (yield: 51.6 %) was prepared in the same fashion as Example 4, except that 22.2 mg of 5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)isoquinoline was used in Step 1 instead of (3-(dimethylamino)phenyl)boronic acid. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 8.75(s, 2H), 7.99(s, 1H), 7.37(d, 1H), 7.20(d, 1H), 7.12(d, 1H), 5.09(s, 2H), 4.47(s, 2H), 3.67(s, 2H), 3.35(s, 3H)

**[0493]** Example 115. 2-[(*2E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-(1*H*-pyrrolo[2,3-*b*]pyridin-3-yl)thiophen-2-yl]methyl-2,4-dihydro-3*H*-1,2,4-triazol-3-one

hydrochloride

**[0494]** 11.9 mg of the title compound (yield: 64.9 %) was prepared in the same fashion as Example 4, except that 21.3 mg of 3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-1*H*-pyrrolo[2,3-*b*]pyridine was used in Step 1 instead of (3-(dimethylamino)phenyl)boronic acid. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 8.93(d, 1H), 8.51(s, 1H), 8.01(d, 2H), 7.67(d, 1H), 7.36(s, 1H), 7.24(s, 1H), 7.14(d, 1H), 5.12(s, 2H), 4.49(s, 2H), 3.70(s, 2H)

**[0495]** Example 116. 2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[(*E*)-2-(4-hydroxyphenyl)ethenyl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride

**[0496]** 7.2 mg of the title compound (yield: 41.4 %) was prepared in the same fashion as Example 4, except that 24.0 mg of (*E*)-4-(2-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)vinyl)phenyl acetate was used in Step 1 instead of (3-(dimethylamino)phenyl)boronic acid. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 7.95(s, 1H), 7.32(d, 2H), 7.12(d, 1H), 7.11(d, 1H), 7.01(d, 1H), 6.91(d, 1H), 6.82-6.78(m, 1H), 6.75(d, 2H), 5.01(d, 2H), 4.47(d, 2H), 3.66(d, 2H)

**[0497]** Example 117. 2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[(*E*)-2-(4-fluorophenyl)ethenyl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride

**[0498]** 8.2 mg of the title compound (yield: 67.3 %) was prepared in the same fashion as Example 4, except that 14.0 mg of trans-2-(4-fluorophenyl)vinyl boronic acid was used in Step 1 instead of (3-(dimethylamino)phenyl)boronic acid. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 7.98(s, 1H), 7.50(dd, 2H), 7.22(d, 1H), 7.04(d, 1H), 7.09-7.01(m, 5H), 5.03(s, 2H), 4.48-4.46(m, 2H), 3.67-3.66(m, 2H)

**[0499]** Example 118. 2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[(*E*)-2-(3-fluorophenyl)ethenyl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride

**[0500]** 6.6 mg of the title compound (yield: 50.6 %) was prepared in the same fashion as Example 4, except that 14.0 mg of trans-2-(3-fluorophenyl)vinyl boronic acid was used in Step 1 instead of (3-(dimethylamino)phenyl)boronic acid. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 7.96(s, 1H), 7.34-7.26(m, 4H), 7.23(s, 1H), 7.06-7.03(m, 2H), 6.98(d, 1H), 6.97(t, 1H), 5.04(s, 2H), 4.47(d, 2H), 3.67(s, 2H)

[0501] Example 119. 2-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-4-[[5-[(*E*)-2-[4-(trifluoromethyl)phenyl]vinyl]-2-thienyl]methyl]-1,2,4-triazol-3-one hydrochloride

[0502] 16.9 mg of the title compound (yield: 71.0 %) was prepared in the same fashion as Example 4, except that 15.0 mg of [(*E*)-2-[4-(trifluoromethyl)phenyl]vinyl]boronic acid was used in Step 1 instead of (3-(dimethylamino)phenyl)boronic acid. <sup>1</sup>H-NMR (DMSO-*d*<sub>6</sub>, 400 MHz) δ 8.16(s, 1H), 7.78(d, 2H), 7.70(d, 2H), 7.59(d, 1H), 7.16(d, 1H), 7.11(d, 1H), 7.08(d, 1H), 7.02(d, 1H), 5.00(s, 2H), 4.44(s, 2H), 3.46(s, 2H)

[0503] Example 120. 2-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-4-[[5-[2-[4-(dimethylamino)phenyl]vinyl]-2-thienyl]methyl]-1,2,4-triazol-3-one

[0504] 10.2 mg of the title compound (yield: 79.2 %) was prepared in the same fashion as Example 31, except that 18.0 mg of *N,N*-dimethyl-4-[(*E*)-2-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)vinyl]aniline was used in Step 1 instead of the compound prepared in Reference Example 9. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 7.86(d, 1H), 7.32(d, 1H), 7.16(d, 1H), 6.98(d, 1H), 6.96(d, 1H), 6.86(d, 1H), 6.78(t, 1H), 6.70(dd, 2H), 6.46(s, 1H), 4.99(s, 2H), 4.41(d, 2H), 3.38(d, 2H)

[0505] Example 121. 2-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-4-[[5-[(*E*)-2-(3-thienyl)vinyl]-2-thienyl]methyl]-1,2,4-triazol-3-one hydrochloride

[0506] 17.8 mg of the title compound (yield: 82.2 %) was prepared in the same fashion as Example 4, except that 16.0 mg of 4,4,5,5-tetramethyl-2-[(*E*)-2-(3-thienyl)vinyl]-1,3,2-dioxaborolane was used in Step 1 instead of (3-(dimethylamino)phenyl)boronic acid. <sup>1</sup>H-NMR (DMSO-*d*<sub>6</sub>, 400 MHz) δ 8.14(s, 1H), 7.57-7.55(m, 2H), 7.44(d, 1H), 7.24(s, 1H), 7.10(d, 1H), 7.03(dd, 2H), 6.92(d, 1H), 4.96(s, 2H), 4.43(d, 2H), 3.46(s, 2H)

[0507] Example 122. 6-[(*E*)-2-[5-[[1-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]methyl]-2-thienyl]vinyl]-3*H*-oxazolo[4,5-*b*]pyridin-2-one hydrochloride

[0508] 4.1 mg of the title compound (yield: 77.7 %) was prepared in the same fashion as Example 4, except that 19.0 mg of 6-[(*E*)-2-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)vinyl]-3*H*-oxazolo[4,5-*b*]pyridin-2-one was used in Step 1 instead of (3-(dimethylamino)phenyl)boronic acid. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 8.08(s, 1H), 7.96(s,

1H), 7.82(s, 1H), 7.32(d, 1H), 7.13(d, 1H), 7.04(d, 2H), 6.92(d, 1H), 5.03(s, 2H), 4.48(d, 2H), 3.67(s, 2H)

[0509] Example 123. 2-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-4-[[5-[(*E*)-2-(3-methylimidazo[4,5-*b*]pyridin-6-yl)vinyl]-2-thienyl]methyl]-1,2,4-triazol-3-one hydrochloride

[0510] 16.3 mg of the title compound (yield: 11.8 %) was prepared in the same fashion as Example 4, except that 19.0 mg of 3-methyl-6-[(*E*)-2-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)vinyl]imidazo[4,5-*b*]pyridine was used in Step 1 instead of (3-(dimethylamino)phenyl)boronic acid. <sup>1</sup>H-NMR (DMSO-*d*<sub>6</sub>, 400 MHz) δ 8.97(s, 1H), 8.73(s, 1H), 8.40(s, 1H), 8.16(s, 1H), 7.62(d, 1H), 7.15(d, 1H), 7.13-7.10(m, 3H), 5.00(s, 2H), 4.44(s, 2H), 3.91(s, 3H), 3.47(d, 2H)

[0511] Example 124. 7-[(*E*)-2-[5-[[1-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]methyl]-2-thienyl]vinyl]-1*H*-pyrido[2,3-*b*][1,4]oxazin-2-one hydrochloride

[0512] 6.2 mg of the title compound (yield: 58.5 %) was prepared in the same fashion as Example 4, except that 30.0 mg of 7-[(*E*)-2-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)vinyl]-1*H*-pyrido[2,3-*b*][1,4]oxazin-2-one was used in Step 1 instead of (3-(dimethylamino)phenyl)boronic acid. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 7.97(s, 2H), 7.71(s, 1H), 7.37(d, 1H), 7.12(d, 1H), 7.09(dd, 2H), 6.89(d, 1H), 5.00(s, 2H), 4.48(d, 2H), 4.09(dd, 2H), 3.68(s, 2H)

[0513] Example 125. 2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[(3-aminophenyl)ethynyl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride

[0514] Step 1: tert-butyl (*E*)-(2-((4-((5-((3-aminophenyl)ethynyl)thiophen-2-yl)methyl)-5-oxo-4,5-dihydro-1*H*-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate

[0515] 25.0 mg of tert-butyl (*E*)-(2-((4-((5-bromothiophen-2-yl)methyl)-5-oxo-4,5-dihydro-1*H*-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 6, 16.0 μL of 3-ethynylaniline, 3.0 mg of tetrakis(triphenylphosphine)palladium(Pd(PPh<sub>3</sub>)<sub>4</sub>), and 1.0 mg of copper(I) iodide(CuI) were dissolved in 0.5 mL of *N,N*-dimethylformamide. To the resulting solution, 23.0 μL of

triethylamine was added and then the solution was stirred overnight at 100 °C. The resulting reaction mixture was filtered through a celite pad and concentrated under reduced pressure to give a residue. The residue thus obtained was dissolved in ethylacetate, 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 12.0 mg of the title compound as a yellow liquid (yield: 83.1 %). <sup>1</sup>H-NMR (CDCl<sub>3</sub>, 400MHz) δ 11.71(brs, 1H), 7.42(d, 2H), 7.23(d, 1H), 7.13(d, 1H), 6.97(d, 1H), 4.09-4.00(m, 4H), 3.74(d, 2H), 3.15(d, 2H), 2.10-2.04(m, 1H), 1.27(t, 6H), 1.01(d, 6H)

**[0516]** Step 2: 2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[(3-aminophenyl)ethynyl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride

**[0517]** 12.0 mg of tert-butyl (*E*)-(2-((4-((5-((3-aminophenyl)ethynyl)thiophen-2-yl)methyl)-5-oxo-4,5-dihydro-1*H*-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Step 1 was dissolved in 0.5 mL of ethylacetate, and 0.25 mL of 4M dioxane HCl solution was added thereto. The resulting solution was stirred at room temperature for three days. The residue obtained from the concentration of the reaction mixture was washed with ethyl acetate and concentrated under reduced pressure to give 5.1 mg of the brown title compound (yield: 48.9 %). <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 7.98(s, 1H), 7.54(d, 2H), 7.46(s, 1H), 7.38-7.35(m, 1H), 7.24(d, 1H), 7.12(d, 1H), 7.10(d, 1H), 5.06(s, 2H), 4.47(d, 2H), 3.68(d, 2H), 3.66(d, 2H)

**[0518]** Example 126. 2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[(4-methoxyphenyl)ethynyl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride

**[0519]** 6.2 mg of the title compound (yield: 47.4 %) was prepared in the same fashion as Example 125, except that 18.0 uL of 4-ethynylanisole was used in Step 1 instead of 3-ethynylaniline. <sup>1</sup>H-NMR (CDCl<sub>3</sub>, 400 MHz) δ 7.47(s, 1H), 7.42(d, 2H), 7.08(d, 1H), 6.97(d, 1H), 6.86(d, 2H), 6.80(d, 1H), 4.94(s, 2H), 4.53(s, 2H), 3.83(s, 3H), 3.70(s, 2H)

**[0520]** Example 127. 2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-(pyridin-3-

ylethynyl)thiophen-2-yl]methyl-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride

[0521] 8.5 mg of the title compound (yield: 57.8 %) was prepared in the same fashion as Example 125, except that 14.0 mg of 3-ethynylpyridine was used in Step 1 instead of 3-ethynylaniline. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 8.70(d, 1H), 8.41(d, 1H), 8.16-8.10(m, 1H), 8.02(s, 1H), 7.48(d, 1H), 7.24(d, 1H), 7.23-7.07(m, 2H), 5.10(s, 2H), 4.48(s, 2H), 3.69(s, 2H)

[0522] Example 128. 2-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-4-[[5-[2-[6-(dimethylamino)-3-pyridyl]ethynyl]-2-thienyl]methyl]-1,2,4-triazol-3-one

[0523] A hydrochloric acid salt of the title compound was prepared in the same fashion as Example 125, except that 20.5 mg of 5-ethynyl-*N,N*-dimethylpyridin-2-amine was used in Step 1 instead of 3-ethynylaniline. This hydrochloric acid salt was dissolved in 5.0 mL of ethylacetate, the resulting solution was washed with 5.0 mL of an aqueous solution of saturated sodium bicarbonate to separate a layer of ethylacetate, and the layer thus obtained was dried over anhydrous magnesium sulfate and concentrated under reduced pressure to give a residue as a yellow liquid. The residue was purified with silica gel column chromatography (developing solvent: dichloromethane/methanol = 10/1) to give 19.1 mg of the title compound (yield: 76.0 %). <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 8.28(d, 1H), 7.87(s, 1H), 7.06(dd, 1H), 7.03-7.01(m, 2H), 6.65-6.47(m, 1H), 5.01(s, 2H), 4.40(s, 2H), 3.29(d, 2H), 3.09(s, 6H)

[0524] Example 129. 2-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-4-[[5-[2-(6-morpholino-3-pyridyl)ethynyl]-2-thienyl]methyl]-1,2,4-triazol-3-one hydrochloride

[0525] 9.6 mg of the title compound (yield: 36.1 %) was prepared in the same fashion as Example 125, except that 26.7 mg of 4-(5-ethynyl-2-pyridyl)morpholine was used in Step 1 instead of 3-ethynylaniline. <sup>1</sup>H-NMR (DMSO-*d*<sub>6</sub>, 400 MHz) δ 8.40(d, 1H), 7.98(s, 1H), 7.30(d, 1H), 7.20(d, 1H), 7.09(d, 1H), 6.83(d, 1H), 6.87-6.74(m, 1H), 4.99(s, 2H), 4.35(s, 2H), 3.68(t, 4H), 3.52(t, 4H), 3.21(s, 2H)

[0526] Example 130. 2-[(*2E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[(1-methyl-1*H*-imidazol-5-yl)ethynyl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride

[0527] 15.0 mg of the title compound (yield: 72.2 %) was prepared in the same fashion as Example 125, except that 14.0 uL of 5-ethynyl-1-methyl-1*H*-imidazole was used in Step 1 instead of 3-ethynylaniline. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 9.02(s, 1H), 8.00(s, 1H), 7.90(s, 1H), 7.40(d, 1H), 7.16(d, 1H), 7.12(d, 1H), 5.10(s, 2H), 4.47(d, 2H), 3.96(s, 3H), 3.68(d, 2H)

[0528] Example 131. 2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[(1-methyl-1*H*-pyrazol-4-yl)ethynyl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride

[0529] 6.2 mg of the title compound (yield: 42.1 %) was prepared in the same fashion as Example 4, except that 16.0 mg of 1-methyl-4-[(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)ethynyl]-1*H*-pyrazole was used in Step 1 instead of (3-(dimethylamino)phenyl)boronic acid. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 7.96(s, 1H), 7.83(s, 1H), 7.60(s, 1H), 7.12(d, 1H), 7.10-7.04(m, 2H), 5.03(s, 2H), 4.47(d, 2H), 3.89(s, 3H), 3.67(s, 2H)

[0530] Example 132. 2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[3-(1,1-dioxidothiomorpholin-4-yl)prop-1-yn-1-yl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride

[0531] 9.6 mg of the title compound (yield: 83.7 %) was prepared in the same fashion as Example 125, except that 24.0 mg of 4-propargyl thiomorpholine-1,1-dioxide was used in Step 1 instead of 3-ethynylaniline. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 8.00(s, 1H), 7.41(d, 1H), 7.12(d, 1H), 7.10(d, 1H), 5.06(s, 2H), 4.47(d, 2H), 3.90(d, 2H), 3.67-3.66(m, 6H), 3.61(t, 4H)

[0532] Example 133. 6-[2-[5-[[1-(*E*)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]methyl]-2-thienyl]ethynyl]-3,4-dihydro-1*H*-quinolin-2-one hydrochloride

[0533] 25.0 mg of the title compound (yield: 94.5 %) was prepared in the same fashion as Example 125, except that 29.0 mg of 6-ethynyl-3,4-dihydro-1*H*-quinolin-2-one was used in Step 1 instead of 3-ethynylaniline. <sup>1</sup>H-NMR (DMSO-*d*<sub>6</sub>, 400 MHz) δ 10.31(s, 1H), 8.15(s, 1H), 7.35(s, 1H), 7.31(d, 1H), 7.25(s, 1H), 7.14(d, 1H), 7.08(d, 1H), 6.87(d, 1H), 5.00(s, 2H), 4.43(d, 2H), 3.46(s, 2H), 2.88(t, 2H), 2.46(t, 2H)

[0534] Example 134. 2-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-4-[[5-[2-(3,4-dihydro-2*H*-1,4-benzoxazin-6-yl)ethynyl]-2-thienyl]methyl]-1,2,4-triazol-3-one

[0535] A hydrochloric acid salt of the title compound was prepared in the same fashion as Example 125, except that 36.0 mg of tert-butyl-6-ethynyl-2,3-dihydro-1,4-benzoxazin-4-carboxylate was used in Step 1 instead of 3-ethynylaniline. This hydrochloric acid salt was dissolved in 5.0 mL of ethylacetate, the resulting solution was washed with 5.0 mL of an aqueous solution of saturated sodium bicarbonate to separate a layer of ethylacetate, and the layer thus obtained was dried over anhydrous magnesium sulfate and concentrated under reduced pressure to give a residue as a yellow liquid. The residue was purified with silica gel column chromatography (developing solvent: dichloromethane/methanol = 10/1) to give 29.6 mg of the title compound (yield: 69.0 %). <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 7.99(s, 1H), 7.06-7.00(m, 2H), 6.95(dd, 1H), 6.72-6.65(m, 3H), 4.99(s, 2H), 4.40(d, 2H), 4.21-4.14(m, 2H), 3.34-3.30(m, 4H)

[0536] Example 135. 2-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-4-[[5-[2-(2,3-dihydro-1*H*-pyrido[2,3-*b*][1,4]oxazin-7-yl)ethynyl]-2-thienyl]methyl]-1,2,4-triazol-3-one

[0537] A hydrochloric acid salt of the title compound was prepared in the same fashion as Example 125, except that 22.5 mg of 7-ethynyl-2,3-dihydro-1*H*-pyrido[2,3-*b*][1,4]oxazine was used in Step 1 instead of 3-ethynylaniline. This hydrochloric acid salt was dissolved in 5.0 mL of ethylacetate, the resulting solution was washed with 5.0 mL of an aqueous solution of saturated sodium bicarbonate to separate a layer of ethylacetate, and the layer thus obtained was dried over anhydrous magnesium sulfate and concentrated under reduced pressure to give a residue as a yellow liquid. The residue was purified with silica gel column chromatography (developing solvent: dichloromethane/methanol = 10/1) to give 24.6 mg of the title compound (yield: 75.9 %). <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 7.91(s, 1H), 7.53(dd, 1H), 7.14(d, 1H), 7.05(d, 1H), 7.00(d, 1H), 6.82(d, 1H), 5.02(s, 2H), 4.40-4.36(m, 4H), 3.36(t, 2H), 3.29(d, 2H)

[0538] Example 136. 2-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-4-[[5-[2-(2,3-dihydro-1*H*-pyrido[2,3-*b*][1,4]oxazin-6-yl)ethynyl]-2-thienyl]methyl]-1,2,4-triazol-3-one hydrochloride

[0539] 12.3 mg of the title compound (yield: 51.8 %) was prepared in the same fashion as Example 125, except that 27.0 mg of 6-ethynyl-2,3-dihydro-1*H*-pyrido[2,3-*b*][1,4]oxazine was used in Step 1 instead of 3-ethynylaniline. <sup>1</sup>H-NMR (DMSO-*d*<sub>6</sub>, 400 MHz) δ 8.16(s, 1H), 7.51(d, 1H), 7.32(d, 1H), 7.10(d, 1H), 7.09-6.98(m, 2H), 6.86(d, 1H), 4.99(s, 2H), 4.43(d,

2H), 4.30(d, 2H), 3.46(d, 2H), 3.34(t, 2H)

**[0540]** Example 137. 2-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-4-[[5-[2-(3,4-dihydro-2*H*-pyrido[3,2-*b*][1,4]oxazin-7-yl)ethynyl]-2-thienyl]methyl]-1,2,4-triazol-3-one

**[0541]** A hydrochloric acid salt of the title compound was prepared in the same fashion as Example 125, except that 22.5 mg of 7-ethynyl-3,4-dihydro-2*H*-pyrido[3,2-*b*][1,4]oxazine was used in Step 1 instead of 3-ethynylaniline. This hydrochloric acid salt was dissolved in 5.0 mL of ethylacetate, the resulting solution was washed with 5.0 mL of an aqueous solution of saturated sodium bicarbonate to separate a layer of ethylacetate, and the layer thus obtained was dried over anhydrous magnesium sulfate and concentrated under reduced pressure to give a residue as a yellow liquid. The residue was purified with silica gel column chromatography (developing solvent: dichloromethane/methanol = 10/1) to give 19.7 mg of the title compound (yield: 67.6 %). <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 7.91(s, 1H), 7.68(d, 1H), 7.09(d, 1H), 7.04-7.00(m, 2H), 6.84(d, 1H), 5.01(s, 2H), 4.41(d, 2H), 4.16(dd, 2H), 3.52(dd, 2H), 3.30(d, 2H)

**[0542]** Example 138. 7-[2-[5-[[1-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]methyl]-2-thienyl]ethynyl]-1*H*-pyrido[2,3-*b*][1,4]oxazin-2-one hydrochloride

**[0543]** 9.9 mg of the title compound (yield: 48.8 %) was prepared in the same fashion as Example 125, except that 29.0 mg of 7-ethynyl-1*H*-pyrido[2,3-*b*][1,4]oxazin-2-one was used in Step 1 instead of 3-ethynylaniline. <sup>1</sup>H-NMR (DMSO-*d*<sub>6</sub>, 400 MHz) δ 11.04(s, 1H), 8.15(s, 1H), 7.98(s, 1H), 7.34(d, 1H), 7.27(d, 1H), 7.14(d, 1H), 7.11(d, 1H), 5.01(s, 2H), 4.85(s, 2H), 4.43(d, 2H), 3.46(d, 2H)

**[0544]** Example 139. 7-[2-[5-[[1-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]methyl]-2-thienyl]ethynyl]-4*H*-pyrido[3,2-*b*][1,4]oxazin-3-one hydrochloride

**[0545]** 15.0 mg of the title compound (yield: 94.4 %) was prepared in the same fashion as Example 125, except that 29.0 mg of 7-ethynyl-4*H*-pyrido[3,2-*b*][1,4]oxazin-3-one was used in Step 1 instead of 3-ethynylaniline. <sup>1</sup>H-NMR (DMSO-*d*<sub>6</sub>, 400 MHz) δ 11.53(1H, s), 8.08(1H, s), 7.50(1H, d), 7.31(1H, d), 7.12(1H, dd), 7.10(1H, d), 5.01(2H, s), 4.69(2H, s), 4.43(2H, s), 3.45(2H, s)

[0546] Example 140. 2-[(2*Z*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[2-(thiophen-2-yl)ethyl]-2,4-dihydro-3*H*-1,2,4-triazol-3-one

[0547] 11.0 mg of tert-butyl (*Z*)-(3-fluoro-2-((5-oxo-4-(2-(thiophen-2-yl)ethyl)-4,5-dihydro-1*H*-1,2,4-triazol-1-yl)methyl)allyl)carbamate prepared in Reference Example 7 was dissolved in 0.9 mL of dichloromethane. 0.27 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 6.3 mg of the title compound as a yellow solid (yield: 77.6 %). <sup>1</sup>H-NMR (CDCl<sub>3</sub>, 400 MHz) δ 7.26(s, 1H), 7.19(d, 1H), 7.05(s, 1H), 6.94(d, 1H), 6.79(s, 1H), 6.68(d, 1H), 4.63(s, 2H), 3.93(t, 2H), 3.25(t, 2H), 3.10(s, 2H)

[0548] Example 141. 2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[2-(thiophen-2-yl)ethyl]-2,4-dihydro-3*H*-1,2,4-triazol-3-one

[0549] 6.0 mg of the title compound (yield: 42.8 %) was prepared in the same fashion as Example 140, except that 19.0 mg of tert-butyl (*E*)-(3-fluoro-2-((5-oxo-4-(2-(thiophene-2-yl)ethyl)-4,5-dihydro-1*H*-1,2,4-triazol-1-yl)methyl)allyl)carbamate prepared in Reference Example 8 was used in Step 1 instead of the compound prepared in Reference Example 7. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 7.59(s, 1H), 7.24(m, 1H), 6.92(m, 1H), 6.83(d, 1H), 6.76(d, 1H), 4.36(s, 2H), 3.94(t, 2H), 3.26-3.22(m, 4H)

[0550] Example 142. 2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{{[5-(1-methyl-1*H*-pyrazol-4-yl)thiophen-2-yl]methyl}-2,4-dihydro-3*H*-1,2,4-triazol-3-one

[0551] 24.1 mg of the title compound (yield: 63.6 %) was prepared in the same fashion as Example 31, except that 28.0 mg of 1-methylpyrazole-4-boronic acid pinacol ester was used in Step 1 instead of the compound prepared in Reference Example 9. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 7.93(s, 1H), 7.82(s, 1H), 7.63(s, 1H), 7.11(d, 1H), 7.04(d, 1H), 7.00(d, 1H), 5.01(s, 2H), 4.46(d, 2H), 3.89(s, 3H), 3.67(s, 2H)

[0552] Example 143. 5-[5-({1-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-

1,5-dihydro-4H-1,2,4-triazol-4-yl)methyl]thiophen-2-yl]-1-(propan-2-yl)pyridin-2(1H)-one

[0553] 20.5 mg of the title compound (yield: 45.4 %) was prepared in the same fashion as Example 31, except that 35.3 mg of 1-isopropyl-6-oxo-1,6-dihydropyridine-3-boronic acid pinacol ester was used in Step 1 instead of the compound prepared in Reference Example 9. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 7.95(s, 1H), 7.84(s, 1H), 7.72(dd, 1H), 7.16(d, 1H), 7.12(d, 1H), 7.11(d, 1H), 6.59(d, 1H), 5.19(p, 1H), 5.04(s, 2H), 4.47(d, 2H), 3.68(s, 2H), 1.42(d, 6H)

[0554] Example 144. 3-{4-[5-({1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl)methyl]thiophen-2-yl}phenyl}-1,2,4-oxadiazol-5(4H)-one

[0555] 11.7 mg of the title compound (yield: 18.2 %) was prepared in the same fashion as Example 31, except that 38.6 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 in Step 1 instead of the compound prepared in Reference Example 9. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 7.98(s, 1H), 7.81-7.78(m, 4H), 7.43(d, 1H), 7.17(d, 1H), 7.12(d, 1H), 5.08(s, 2H), 4.47(s, 2H), 3.68(s, 2H)

[0556] Example 145. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({5-[6-(morpholin-4-ylmethyl)pyridin-3-yl]thiophen-2-yl)methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one

[0557] 9.1 mg of the title compound (yield: 18.2 %) was prepared in the same fashion as Example 31, except that 40.8 mg of 4-[[5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-2-pyridyl]methyl]morpholine was used in Step 1 instead of the compound prepared in Reference Example 9. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 8.87(s, 1H), 8.07(d, 1H), 7.98(s, 1H), 7.54(d, 1H), 7.45(d, 1H), 7.20(d, 1H), 7.12(d, 1H), 5.09(s, 2H), 4.47(s, 2H), 4.29(s, 2H), 3.88(s, 4H), 3.68(s, 2H), 3.16-3.15(m, 4H)

[0558] Example 146. 6-[5-({1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl)methyl]thiophen-2-yl]-7-fluoro-3,4-dihydroquinolin-2(1H)-one

[0559] 4.5 mg of the title compound (yield: 9.1 %) was prepared in the same fashion as Example 31, except that 39.0 mg of 7-fluoro-6-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-3,4-dihydro-1H-quinolin-2-one was used in Step 1 instead of the compound prepared in

Reference Example 9. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 7.95(s, 1H), 7.47(d, 1H), 7.28(s, 1H), 7.12(d, 1H), 7.05(d, 1H), 6.70(d, 1H), 5.06(s, 2H), 4.45(s, 2H), 3.58(s, 2H), 2.96(t, 2H), 2.59(t, 2H)

**[0560]** Example 147. 6-[5-({1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}methyl)thiophen-2-yl]-3-methyl-3,4-dihydroquinazolin-2(1H)-one

**[0561]** 10.1 mg of the title compound (yield: 18.2 %) was prepared in the same fashion as Example 31, except that 38.6 mg of 3-methyl-6-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-1,4-dihydroquinazolin-2-one was used in Step 1 instead of the compound prepared in Reference Example 9. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 7.97(s, 1H), 7.39(d, 1H), 7.35(s, 1H), 7.17(s, 1H), 7.13(d, 1H), 7.10(s, 1H), 6.79(d, 1H), 5.04(s, 2H), 4.51(s, 2H), 4.48(s, 2H), 3.68(s, 2H), 3.00(s, 3H)

**[0562]** Example 148. 6-[5-({1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}methyl)thiophen-2-yl]-3,4-dihydro-1,8-naphthyridin-2(1H)-one

**[0563]** 32.2 mg of the title compound (yield: 72.7 %) was prepared in the same fashion as Example 31, except that 36.8 mg of 6-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-3,4-dihydro-1H-1,8-naphthyridin-2-one was used in Step 1 instead of the compound prepared in Reference Example 9. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 8.34(s, 1H), 7.97(s, 1H), 7.82(s, 1H), 7.28(d, 1H), 7.14(d, 1H), 7.12(d, 1H), 5.06(s, 2H), 4.47(s, 2H), 3.67(s, 2H), 3.01(t, 2H), 2.64(t, 2H)

**[0564]** Example 149. 6-[5-({1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}methyl)thiophen-2-yl]-1,4-dihydro-2H-pyrido[2,3-d][1,3]oxazin-2-one

**[0565]** 10.4 mg of the title compound (yield: 18.2 %) was prepared in the same fashion as Example 31, except that 37.0 mg of 6-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-1,4-dihydropyrido[2,3-d][1,3]oxazin-2-one was used in Step 1 instead of the compound prepared in Reference Example 9. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 8.41(s, 1H), 7.97(s, 1H), 7.83(s, 1H), 7.28(d, 1H), 7.14(d, 1H), 7.12(d, 1H), 5.37(s, 2H), 5.06(s, 2H), 4.47(s, 2H), 3.68(s, 2H)

**[0566]** Example 150. 7-[5-({1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}methyl)thiophen-2-yl]-1-methyl-1H-pyrido[2,3-b][1,4]oxazin-2(3H)-one

**[0567]** 22.8 mg of the title compound (yield: 45.4 %) was prepared in the same fashion as Example 31, except that 38.9 mg of 1-methyl-7-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)pyrido[2,3-b][1,4]oxazin-2-one was used in Step 1 instead of the compound prepared in Reference Example 9. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 8.01(d, 1H), 7.98(s, 1H), 7.64(d, 1H), 7.34(d, 1H), 7.16(d, 1H), 7.12(d, 1H), 5.07(s, 2H), 4.87(s, 2H), 4.48(d, 2H), 3.69(s, 2H), 3.38(s, 3H)

**[0568]** Example 151. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{{5-(1-benzyl-1H-pyrazol-4-yl)thiophen-2-yl}methyl}-2,4-dihydro-3H-1,2,4-triazol-3-one

**[0569]** 25.2 mg of the title compound (yield: 53.1 %) was prepared in the same fashion as Example 31, except that 38.1 mg of 1-benzyl-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)pyrazole was used in Step 1 instead of the compound prepared in Reference Example 9. <sup>1</sup>H-NMR (CDCl<sub>3</sub>, 400 MHz) δ 7.66(s, 1H), 7.51(s, 1H), 7.40(s, 1H), 7.36-7.30(m, 3H), 7.26-7.23(m, 2H), 6.93(d, 1H), 6.86(d, 1H), 6.82(d, 1H), 5.28(s, 2H), 4.87(s, 2H), 4.45(s, 2H), 3.70(s, 2H)

**[0570]** Example 152. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{{5-(1H-pyrazol-4-yl)thiophen-2-yl}methyl}-2,4-dihydro-3H-1,2,4-triazol-3-one

**[0571]** 9.2 mg of the title compound (yield: 24.6 %) was prepared in the same fashion as Example 31, except that 39.4 mg of tert-butyl 4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-1H-pyrazol-1-carboxylate was used in Step 1 instead of the compound prepared in Reference Example 9. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 7.95(s, 1H), 7.81-7.79(m, 2H), 7.14(d, 1H), 7.07(d, 1H), 7.03(d, 1H), 5.03(s, 2H), 4.48(d, 2H), 3.67(s, 2H)

**[0572]** Example 153. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{{5-(1-ethyl-1H-pyrazol-4-yl)thiophen-2-yl}methyl}-2,4-dihydro-3H-1,2,4-triazol-3-one

**[0573]** 20.0 mg of the title compound (yield: 49.4 %) was prepared in the same fashion as Example 31, except that 29.8 mg of 1-ethylpyrazol-4-boronic acid pinacol ester was used

in Step 1 instead of the compound prepared in Reference Example 9. <sup>1</sup>H-NMR (CDCl<sub>3</sub>, 400 MHz) δ 7.62(s, 1H), 7.55(s, 1H), 7.43(s, 1H), 6.96(d, 1H), 6.89(d, 1H), 6.84(d, 1H), 4.91(s, 2H), 4.48(s, 2H), 4.16(q, 2H), 3.72(s, 2H), 1.50(t, 3H)

**[0574]** Example 154. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{{[5-(2-chloro-1-methyl-1H-imidazol-5-yl)thiophen-2-yl]methyl}}-2,4-dihydro-3H-1,2,4-triazol-3-one

**[0575]** 8.1 mg of the title compound (yield: 18.9 %) was prepared in the same fashion as Example 31, except that 32.5 mg of 2-chloro-1-methylimidazole-5-boronic acid pinacol ester was used in Step 1 instead of the compound prepared in Reference Example 9. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 8.00(s, 1H), 7.21(s, 1H), 7.14(s, 1H), 7.13(d, 1H), 7.04(s, 1H), 5.09(s, 2H), 4.48(s, 2H), 3.68(s, 5H)

**[0576]** Example 155. 4-[(5'-acetyl-2,2'-bithiophen-5-yl)methyl]-2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one

**[0577]** 10.2 mg of the title compound (yield: 23.2 %) was prepared in the same fashion as Example 31, except that 22.8 mg of 5-acetyl-2-thiopheneboronic acid was used in Step 1 instead of the compound prepared in Reference Example 9. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 7.99(s, 1H), 7.79(d, 1H), 7.32(d, 1H), 7.30(d, 1H), 7.14(d, 1H), 7.13(d, 1H), 5.08(s, 2H), 4.48(d, 2H), 3.68(s, 2H), 2.56(s, 3H)

**[0578]** Example 156. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{{[5-(1-methyl-1H-pyrazol-5-yl)thiophen-2-yl]methyl}}-2,4-dihydro-3H-1,2,4-triazol-3-one

**[0579]** 14.1 mg of the title compound (yield: 31.9 %) was prepared in the same fashion as Example 31, except that 27.9 mg of 1-methylpyrazole-5-boronic acid pinacol ester was used in Step 1 instead of the compound prepared in Reference Example 9. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 8.00(s, 1H), 7.47(s, 1H), 7.22(d, 2H), 7.13(d, 1H), 6.44(s, 1H), 5.10(s, 2H), 4.48(d, 2H), 3.95(s, 3H), 3.68(s, 2H)

**[0580]** Example 157. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{{[5-(1,3-dimethyl-1H-pyrazol-4-yl)thiophen-2-yl]methyl}}-2,4-dihydro-3H-1,2,4-triazol-3-one

**[0581]** 15.7 mg of the title compound (yield: 38.7 %) was prepared in the same fashion as Example 31, except that 29.8 mg of 1,3-dimethylpyrazole-4-boronic acid pinacol ester was

used in Step 1 instead of the compound prepared in Reference Example 9. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 7.95(s, 1H), 7.72(s, 1H), 7.12(d, 1H), 7.09(d, 1H), 6.94(d, 1H), 5.04(s, 2H), 4.48(d, 2H), 3.83(s, 3H), 3.68(s, 2H), 2.34(s, 3H)

**[0582]** Example 158. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{{5-(1,3-dimethyl-1H-pyrazol-5-yl)thiophen-2-yl}methyl}-2,4-dihydro-3H-1,2,4-triazol-3-one

**[0583]** 12.0 mg of the title compound (yield: 29.6 %) was prepared in the same fashion as Example 31, except that 29.8 mg of 1,3-dimethylpyrazole-5-boronic acid pinacol ester was used in Step 1 instead of the compound prepared in Reference Example 9. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 7.99(s, 1H), 7.19(d, 1H), 7.16(d, 1H), 7.12(d, 1H), 6.22(s, 1H), 5.09(s, 2H), 4.48(d, 2H), 3.87(s, 3H), 3.68(s, 2H), 2.22(s, 3H)

**[0584]** Example 159. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({5-[1-(1-methylpiperidin-4-yl)-1H-pyrazol-4-yl]thiophen-2-yl}methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one

**[0585]** 18.1 mg of the title compound (yield: 37.5 %) was prepared in the same fashion as Example 31, except that 39.0 mg of 1-methyl-4-[4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-1H-pyrazol-1-yl]piperidine was used in Step 1 instead of the compound prepared in Reference Example 9. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 7.97(s, 1H), 7.94(s, 1H), 7.72(s, 1H), 7.12(d, 1H), 7.06(d, 1H), 7.03(d, 1H), 5.03(s, 2H), 4.52-4.48(m, 1H), 4.47(d, 2H), 3.68(d, 2H), 3.56(d, 2H), 3.16-3.08(m, 2H), 2.86(s, 3H), 2.33(t, 4H)

**[0586]** Example 160. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{{5-(pyridin-4-yl)thiophen-2-yl}methyl}-2,4-dihydro-3H-1,2,4-triazol-3-one

**[0587]** 8.5 mg of the title compound (yield: 10.3 %) was prepared in the same fashion as Example 31, except that 100.0 mg of 4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-1,2,3,6-tetrahydropyridine was used in Step 1 instead of the compound prepared in Reference Example 9. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 8.54(d, 2H), 8.00(s, 1H), 7.73(d, 2H), 7.67(d, 1H), 7.23(d, 1H), 7.12(d, 1H), 5.11(s, 2H), 4.48(d, 2H), 3.68(s, 2H)

**[0588]** Example 161. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({5-[1-(propan-2-yl)-1H-pyrazol-5-yl]thiophen-2-yl}methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one

[0589] 7.0 mg of the title compound (yield: 18.2 %) was prepared in the same fashion as Example 31, except that 31.7 mg of 1-isopropylpyrazol-5-boronic acid pinacol ester was used in Step 1 instead of the compound prepared in Reference Example 9. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 8.00(s, 1H), 7.53(s, 1H), 7.21-7.18(m, 1H), 7.11(d, 1H), 7.10(s, 1H), 6.36(s, 1H), 5.10(s, 2H), 4.77-4.76(m, 1H), 4.48(s, 2H), 3.68(s, 2H), 1.45(d, 6H)

[0590] Example 162. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({5-[1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl]thiophen-2-yl}methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one

[0591] 2.7 mg of the title compound (yield: 9.1 %) was prepared in the same fashion as Example 31, except that 37.0 mg of 1-methyl-5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-3-(trifluoromethyl)pyrazole was used in Step 1 instead of the compound prepared in Reference Example 9. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 7.97(s, 1H), 7.28(s, 1H), 7.23(s, 1H), 6.96(d, 1H), 6.75(s, 1H), 5.11(s, 2H), 4.44(s, 2H), 4.01(s, 3H), 3.46(s, 2H)

[0592] Example 163. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{{5-(1,2,3,6-tetrahydropyridin-4-yl)thiophen-2-yl}methyl}-2,4-dihydro-3H-1,2,4-triazol-3-one

[0593] 11.7 mg of the title compound (yield: 27.3 %) was prepared in the same fashion as Example 31, except that 41.5 mg of tert-butyl 4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-3,6-dihydropyridin-1(2H)-carboxylate was used in Step 1 instead of the compound prepared in Reference Example 9. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 8.20(s, 1H), 7.12(d, 1H), 7.05-7.02(m, 2H), 6.11(s, 1H), 5.02(s, 2H), 4.47(s, 2H), 3.82(s, 2H), 3.68(s, 2H), 3.45(s, 2H), 2.79(s, 2H)

[0594] Example 164. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{{5'-(hydroxymethyl)-2,3'-bithiophen-5-yl}methyl}-2,4-dihydro-3H-1,2,4-triazol-3-one

[0595] 5.2 mg of the title compound (yield: 9.1 %) was prepared in the same fashion as Example 31, except that 21.2 mg of [5-(hydroxymethyl)thiophen-3-yl]boronic acid was used in Step 1 instead of the compound prepared in Reference Example 9. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 7.96(s, 1H), 7.42(s, 1H), 7.22(s, 1H), 7.13(s, 1H), 7.12(d, 1H), 7.07(s, 1H), 5.03(s, 2H), 4.74(s, 2H), 4.48(s, 2H), 3.68(s, 2H)

**[0596]** Example 165. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[(4'-methyl-2,3'-bithiophen-5-yl)methyl]-2,4-dihydro-3H-1,2,4-triazol-3-one

**[0597]** 26.8 mg of the title compound (yield: 63.6 %) was prepared in the same fashion as Example 31, except that 19.0 mg of 4-methyl-3-thiopheneboronic acid was used in Step 1 instead of the compound prepared in Reference Example 9. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 7.96(s, 1H), 7.39(s, 1H), 7.12(d, 1H), 7.11(s, 2H), 7.02(s, 1H), 5.05(s, 2H), 4.48(s, 2H), 3.69(s, 2H), 2.33(s, 3H)

**[0598]** Example 166. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{{[5-(4-aminophenyl)thiophen-2-yl]methyl}}-2,4-dihydro-3H-1,2,4-triazol-3-one

**[0599]** 11 mg of the title compound (yield: 27.3 %) was prepared in the same fashion as Example 31, except that 31.8 mg of (4-((tert-butoxycarbonyl)amino)phenyl)boronic acid was used in Step 1 instead of the compound prepared in Reference Example 9. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 7.94(s, 1H), 7.32(d, 2H), 7.12(d, 1H), 7.04(s, 2H), 6.70(d, 2H), 5.01(s, 2H), 4.48(s, 2H), 3.68(s, 2H)

**[0600]** Example 167. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{{[5-(2-aminopyrimidin-5-yl)thiophen-2-yl]methyl}}-2,4-dihydro-3H-1,2,4-triazol-3-one

**[0601]** 18.7 mg of the title compound (yield: 45.4 %) was prepared in the same fashion as Example 31, except that 43.1 mg of 2-(tert-butoxycarbonylamino)pyrimidin-5-boronic acid pinacol ester was used in Step 1 instead of the compound prepared in Reference Example 9. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 8.46(s, 2H), 7.96(s, 1H), 7.14(d, 2H), 7.09(d, 1H), 5.06(s, 2H), 4.48(s, 2H), 3.65(s, 2H)

**[0602]** Example 168. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({5-[4-(trifluoromethoxy)benzyl]thiophen-2-yl}methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one

**[0603]** 12.3 mg of the title compound (yield: 27.3 %) was prepared in the same fashion as Example 31, except that 40.5 mg of 4-(trifluoromethoxy)benzyl boronic acid pinacol ester was used in Step 1 instead of the compound prepared in Reference Example 9. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 7.88(s, 1H), 7.32(d, 2H), 7.20(d, 2H), 7.10(d, 1H), 6.97(s, 1H), 6.76(s, 1H), 4.96(s, 2H), 4.45(s, 2H), 4.14(s, 2H), 3.66(s, 2H)

**[0604]** Example 169. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({5-[4-(hydroxymethyl)phenyl]thiophen-2-yl}methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one

**[0605]** 13.4 mg of the title compound (yield: 27.3 %) was prepared in the same fashion as Example 31, except that 27.9 mg of 4-(tert-butoxymethyl)phenylboronic acid was used in Step 1 instead of the compound prepared in Reference Example 9. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 7.97(s, 1H), 7.57(d, 2H), 7.37(d, 2H), 7.26(s, 1H), 7.13(d, 1H), 7.12(s, 1H), 5.06(s, 2H), 4.62(s, 2H), 4.48(s, 2H), 3.68(s, 2H)

**[0606]** Example 170. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({5-[4-(difluoromethoxy)phenyl]thiophen-2-yl}methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one

**[0607]** 30.3 mg of the title compound (yield: 63.6 %) was prepared in the same fashion as Example 31, except that 30.2 mg of 2-[4-(difluoromethoxy)phenyl]-4,4,5,5-tetramethyl-1,3,2-dioxaborolane was used in Step 1 instead of the compound prepared in Reference Example 9. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 7.97(s, 1H), 7.61(d, 2H), 7.25(d, 1H), 7.17-7.12(m, 3H), 7.03(d, 1H), 7.02(s, 1H), 5.06(s, 2H), 4.48(s, 2H), 3.69(s, 2H)

**[0608]** Example 171. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({5-[4-(2-hydroxyethyl)phenyl]thiophen-2-yl}methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one

**[0609]** 22.4 mg of the title compound (yield: 54.5 %) was prepared in the same fashion as Example 31, except that 18.6 mg of 4-(2-hydroxyethyl)phenylboronic acid was used in Step 1 instead of the compound prepared in Reference Example 9. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 7.96(s, 1H), 7.51(d, 2H), 7.26-7.22(m, 3H), 7.12(d, 1H), 7.11(s, 1H), 5.05(s, 2H), 4.48(s, 2H), 3.77(t, 2H), 3.68(s, 2H), 2.84(t, 2H)

**[0610]** Example 172. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({5-[5-methyl-6-(morpholin-4-yl)pyridin-3-yl]thiophen-2-yl}methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one

**[0611]** 34.5 mg of the title compound (yield: 72.7 %) was prepared in the same fashion as Example 31, except that 34.0 mg of 5-methyl-6-(morpholin-4-yl)pyridin-3-boronic acid pinacol ester was used in Step 1 instead of the compound prepared in Reference Example 9. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 8.29(s, 1H), 7.97(s, 1H), 7.73(s, 1H), 7.23(d, 1H), 7.13(s, 1H), 7.12(d, 1H), 5.06(s, 2H), 4.49(s, 2H), 3.83(s, 4H), 3.69(s, 2H), 3.15(s, 4H), 2.33(s, 3H)

[0612] Example 173. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({5-[6-(dimethylamino)-5-fluoropyridin-3-yl]thiophen-2-yl}methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one

[0613] 11.2 mg of the title compound (yield: 27.3 %) was prepared in the same fashion as Example 31, except that 33.8 mg of 2-(N,N-dimethylamino)-3-fluoropyridin-5-boronic acid pinacol ester hydrochloride was used in Step 1 instead of the compound prepared in Reference Example 9. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 8.13(s, 1H), 7.96(s, 1H), 7.55(d, 1H), 7.17(s, 1H), 7.13(d, 1H), 7.11(s, 1H), 5.05(s, 2H), 4.48(s, 2H), 3.68(s, 2H), 3.12(s, 6H)

[0614] Example 174. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({5-[6-(cyclopropylmethoxy)pyridin-3-yl]thiophen-2-yl}methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one

[0615] 24.2 mg of the title compound (yield: 54.5 %) was prepared in the same fashion as Example 31, except that 30.8 mg of 6-(cyclopropylmethoxy)pyridin-3-boronic acid pinacol ester was used in Step 1 instead of the compound prepared in Reference Example 9. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 8.31(s, 1H), 7.97(s, 1H), 7.87(d, 1H), 7.21(d, 1H), 7.13(s, 1H), 7.12(d, 1H), 6.81(d, 1H), 5.06(s, 2H), 4.48(s, 2H), 4.13(d, 2H), 3.69(s, 2H), 1.28-1.27(m, 1H), 0.60(d, 2H), 0.35(s, 2H)

[0616] Example 175. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{{5-(pyridazin-4-yl)thiophen-2-yl}methyl}-2,4-dihydro-3H-1,2,4-triazol-3-one

[0617] 7.4 mg of the title compound (yield: 18.2 %) was prepared in the same fashion as Example 31, except that 23.0 mg of 4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)pyridazine was used in Step 1 instead of the compound prepared in Reference Example 9. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 9.47(s, 1H), 9.13(s, 1H), 8.02(s, 1H), 7.88(s, 1H), 7.78(s, 1H), 7.28(s, 1H), 7.13(d, 1H), 5.14(s, 2H), 4.49(s, 2H), 3.69(s, 2H)

[0618] Example 176. 4-[5-({1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}methyl)thiophen-2-yl]-N-methylbenzamide

[0619] 14.6 mg of the title compound (yield: 32.6 %) was prepared in the same fashion as Example 31, except that 24.0 mg of 4-(methylcarbamoyl)benzene boronic acid was used in Step 1 instead of the compound prepared in Reference Example 9. <sup>1</sup>H-NMR (MeOD, 400

MHz)  $\delta$  7.99(s, 1H), 7.83(d, 2H), 7.68(d, 2H), 7.40(d, 1H), 7.16(d, 1H), 7.13(d, 1H), 5.08(s, 2H), 4.48(s, 2H), 3.68(s, 2H), 2.93(s, 3H)

[0620] Example 177. N-{3-[5-({1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}methyl)thiophen-2-yl]phenyl}methansulfonamide

[0621] 22.1 mg of the title compound (yield: 39.0 %) was prepared in the same fashion as Example 31, except that 28.8 mg of 3-(methylsulfonylamino)phenylboronic acid was used in Step 1 instead of the compound prepared in Reference Example 9. <sup>1</sup>H-NMR (MeOD, 400 MHz)  $\delta$  7.97(s, 1H), 7.49(s, 1H), 7.36(d, 2H), 7.26(s, 1H), 7.17(s, 1H), 7.12(s, 1H), 7.07(d, 1H), 5.06(s, 2H), 4.47(s, 2H), 3.62(s, 2H), 2.99(s, 3H)

[0622] Example 178. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{[5-(5,6-dimethoxypyridin-3-yl)thiophen-2-yl]methyl}-2,4-dihydro-3H-1,2,4-triazol-3-one

[0623] 5.2 mg of the title compound (yield: 9.8 %) was prepared in the same fashion as Example 31, except that 24.5 mg of 2,3-dimethoxypyridin-5-boronic acid was used in Step 1 instead of the compound prepared in Reference Example 9. MS (ESI) m/z= 406.2 (M + H)<sup>+</sup>

[0624] Example 179. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{[5-(2-ethoxypyrimidin-5-yl)thiophen-2-yl]methyl}-2,4-dihydro-3H-1,2,4-triazol-3-one

[0625] 4.8 mg of the title compound (yield: 10.4 %) was prepared in the same fashion as Example 31, except that 22.5 mg of 2-ethoxypyrimidin-5-boronic acid was used in Step 1 instead of the compound prepared in Reference Example 9. MS (ESI) m/z= 391.1 (M + H)<sup>+</sup>

[0626] Example 180. 4-{[5-(4-acetylphenyl)thiophen-2-yl]methyl}-2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one

[0627] 28.5 mg of the title compound (yield: 62.2 %) was prepared in the same fashion as Example 31, except that 22.0 mg of 4-acetylphenylboronic acid was used in Step 1 instead of the compound prepared in Reference Example 9. <sup>1</sup>H-NMR (MeOD, 400 MHz)  $\delta$  8.02-8.00(m, 3H), 7.73(d, 2H), 7.45(s, 1H), 7.18(s, 1H), 7.13(d, 1H), 5.09(s, 2H), 4.49(s, 2H), 3.68(s, 2H), 2.61(s, 3H)

[0628] Example 181. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({5-[3-

(methylsulfonyl)phenyl]thiophen-2-yl)methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one

**[0629]** 30.1 mg of the title compound (yield: 63.1 %) was prepared in the same fashion as Example 31, except that 26.8 mg of 3-(methansulfonyl)phenylboronic acid was used in Step 1 instead of the compound prepared in Reference Example 9. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 8.12(s, 1H), 8.00(s, 1H), 7.93(d, 1H), 7.87(d, 1H), 7.66(t, 1H), 7.44(s, 1H), 7.18(s, 1H), 7.13(d, 1H), 5.09(s, 2H), 4.49(s, 2H), 3.69(s, 2H), 3.17(s, 3H)

**[0630]** Example 182. 3-[5-({1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl)methyl}thiophen-2-yl]-N,N-dimethylbenzamide

**[0631]** 25.8 mg of the title compound (yield: 53.1 %) was prepared in the same fashion as Example 31, except that 25.9 mg of 3-(dimethylcarbamoyl)phenylboronic acid was used in Step 1 instead of the compound prepared in Reference Example 9. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 7.99(s, 1H), 7.70(d, 1H), 7.64(s, 1H), 7.48(t, 1H), 7.35(s, 2H), 7.16(s, 1H), 7.13(d, 1H), 5.08(s, 2H), 4.48(s, 2H), 3.68(s, 2H), 3.13(s, 3H), 3.03(s, 3H)

**[0632]** Example 183. 3-[5-({1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl)methyl}thiophen-2-yl]-N,N-dimethylbenzenesulfonamide

**[0633]** 22.2 mg of the title compound (yield: 41.0 %) was prepared in the same fashion as Example 31, except that 41.7 mg of 3-(N,N-dimethylaminosulfonyl)phenylboronic acid pinacol ester was used in Step 1 instead of the compound prepared in Reference Example 9. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 8.00(s, 1H), 7.92(s, 2H), 7.70-7.65(m, 2H), 7.42(s, 1H), 7.19(s, 1H), 7.11(d, 1H), 5.09(s, 2H), 4.48(s, 2H), 3.65(s, 2H), 2.72(s, 6H)

**[0634]** Example 184. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({5-[3-(1H-pyrazol-3-yl)phenyl]thiophen-2-yl)methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one

**[0635]** 15.4 mg of the title compound (yield: 32.5 %) was prepared in the same fashion as Example 31, except that 25.2 mg of [3-(1H-pyrazol-3-yl)phenylboronic acid was used in Step 1 instead of the compound prepared in Reference Example 9. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 8.02(d, 1H), 8.00(s, 1H), 7.70(s, 2H), 7.56(d, 1H), 7.44(t, 1H), 7.36(s, 1H), 7.16(s, 1H), 7.13(d, 1H), 6.73(s, 1H), 5.08(s, 2H), 4.49(s, 2H), 3.67(s, 2H)

**[0636]** Example 185. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({5-[6-

(propan-2-yloxy)pyridin-3-yl}thiophen-2-yl}methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one

**[0637]** 30.3 mg of the title compound (yield: 68.2 %) was prepared in the same fashion as Example 31, except that 35.3 mg of 2-isopropoxy-pyridin-5-boronic acid pinacol ester was used in Step 1 instead of the compound prepared in Reference Example 9. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 8.32(s, 1H), 7.98(s, 1H), 7.85(d, 1H), 7.20(s, 1H), 7.13(s, 1H), 7.12(d, 1H), 6.75(d, 1H), 5.26-5.25(m, 1H), 5.06(s, 2H), 4.48(s, 2H), 3.68(s, 2H), 1.34(d, 6H)

**[0638]** Example 186. 5-[5-({1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}methyl)thiophen-2-yl]-N-tert-butylpyridin-3-sulfonamide

**[0639]** 32.5 mg of the title compound (yield: 61.5 %) was prepared in the same fashion as Example 31, except that 34.6 mg of B-[5-[(1,1-dimethylethyl)amino]sulfonyl]-3-pyridinyl]boronic acid was used in Step 1 instead of the compound prepared in Reference Example 9. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 8.98(s, 1H), 8.89(s, 1H), 8.37(s, 1H), 8.02(s, 1H), 7.52(s, 1H), 7.23(s, 1H), 7.13(d, 1H), 5.12(s, 2H), 4.49(s, 2H), 3.69(s, 2H), 1.23(s, 9H)

**[0640]** Example 187. 5-[5-({1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}methyl)thiophen-2-yl]-1-methylpyridin-2(1H)-one

**[0641]** 15.3 mg of the title compound (yield: 37.1 %) was prepared in the same fashion as Example 31, except that 31.5 mg of 1-methyl-5-(4,4,5,5-tetramethyl-[1,3,2]dioxaborolan-2-yl)-1H-pyridin-2-one was used in Step 1 instead of the compound prepared in Reference Example 9. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 7.97(s, 2H), 7.77(d, 1H), 7.13(d, 2H), 7.12(d, 1H), 6.59(d, 1H), 5.05(s, 2H), 4.48(s, 2H), 3.68(s, 2H), 3.60(s, 3H)

**[0642]** Example 188. 5-[5-({1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}methyl)thiophen-2-yl]-1-[2-(methylsulfonyl)ethyl]pyridin-2(1H)-one

**[0643]** 12.7 mg of the title compound (yield: 24.7 %) was prepared in the same fashion as Example 31, except that 43.9 mg of 1-[2-(methylsulfonyl)ethyl]-6-oxo-1,6-dihydropyridine-3-boronic acid pinacol ester was used in Step 1 instead of the compound prepared in Reference Example 9. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 8.00(s, 1H), 7.97(s, 1H), 7.79(d, 1H), 7.13(d, 2H), 7.12(d, 1H), 6.60(d, 1H), 5.05(s, 2H), 4.48(s, 4H), 3.67(d, 4H),

3.04(s, 3H)

**[0644]** Example 189. 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}methyl)thiophen-2-yl]-1,3-benzothiazol-2-yl}acetamide

**[0645]** 9.8 mg of the title compound (yield: 19.4 %) was prepared in the same fashion as Example 31, except that 42.7 mg of N-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)benzo[d]thiazol-2-yl)acetamide was used in Step 1 instead of the compound prepared in Reference Example 9. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 8.08(s, 1H), 7.99(s, 1H), 7.71(d, 1H), 7.65(d, 1H), 7.30(s, 1H), 7.14(d, 1H), 7.13(s, 1H), 5.07(s, 2H), 4.49(s, 2H), 3.68(s, 2H), 2.27(s, 3H)

**[0646]** Example 190. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({5-[1-(piperidin-4-yl)-1H-pyrazol-4-yl]thiophen-2-yl}methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one

**[0647]** 19.7 mg of the title compound (yield: 45.4 %) was prepared in the same fashion as Example 31, except that 50.6 mg of tert-butyl 4-[4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)pyrazol-1-yl]piperidin-1-carboxylate was used in Step 1 instead of the compound prepared in Reference Example 9. MS (ESI) m/z= 418.1 (M + H)<sup>+</sup>

**[0648]** Example 191. 5-[5-({1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}methyl)thiophen-2-yl]-1-benzylpyridin-2(1H)-one

**[0649]** 29.3 mg of the title compound (yield: 55.4 %) was prepared in the same fashion as Example 31, except that 41.7 mg of 1-benzyl-6-oxo-1,6-dihydropyridine-3-boronic acid pinacol ester was used in Step 1 instead of the compound prepared in Reference Example 9. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 7.99(s, 1H), 7.96(s, 1H), 7.78(d, 1H), 7.34-7.30(m, 5H), 7.12(d, 1H), 7.11(d, 2H), 6.63(d, 1H), 5.23(s, 2H), 5.03(s, 2H), 4.47(s, 2H), 3.68(s, 2H)

**[0650]** Example 192. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{[5-(2,1,3-benzothiadiazol-5-yl)thiophen-2-yl]methyl}-2,4-dihydro-3H-1,2,4-triazol-3-one

**[0651]** 12.4 mg of the title compound (yield: 26.4 %) was prepared in the same fashion as Example 31, except that 35.2 mg of benzo[c][1,2,5]thiadiazol-5-ylboronic acid pinacol ester was used in Step 1 instead of the compound prepared in Reference Example 9. <sup>1</sup>H-NMR

(MeOD, 400 MHz)  $\delta$  8.15(s, 1H), 8.03-7.97(m, 3H), 7.53(s, 1H), 7.21(s, 1H), 7.15(d, 1H), 5.11(s, 2H), 4.50(s, 2H), 3.70(s, 2H)

**[0652]** Example 193. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{[5-(1,3-dihydro-2-benzofuran-5-yl)thiophen-2-yl]methyl}-2,4-dihydro-3H-1,2,4-triazol-3-one

**[0653]** 25.1 mg of the title compound (yield: 53.4 %) was prepared in the same fashion as Example 31, except that 33.0 mg of 1,3-dihydroisobenzofuran-5-boronic acid pinacol ester was used in Step 1 instead of the compound prepared in Reference Example 9. <sup>1</sup>H-NMR (MeOD, 400 MHz)  $\delta$  7.99(d, 1H), 7.84(s, 1H), 7.50(s, 1H), 7.29-7.20(m, 3H), 7.08(d, 1H), 5.38(s, 1H), 5.10(s, 1H), 5.06(s, 3H), 4.49(s, 2H), 3.69(s, 2H)

**[0654]** Example 194. 5-[5-({1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}methyl)thiophen-2-yl]-1-ethylpyridin-2(1H)-one

**[0655]** 18.2 mg of the title compound (yield: 42.5 %) was prepared in the same fashion as Example 31, except that 33.4 mg of 1-ethyl-6-oxo-1,6-dihydropyridine-3-boronic acid pinacol ester was used in Step 1 instead of the compound prepared in Reference Example 9. <sup>1</sup>H-NMR (MeOD, 400 MHz)  $\delta$  7.98(s, 2H), 7.76(d, 1H), 7.13(d, 2H), 7.12(d, 1H), 6.59(d, 1H), 5.05(s, 2H), 4.48(s, 2H), 4.07(q, 2H), 3.68(s, 2H), 1.36(t, 3H)

**[0656]** Example 195. 5-[5-({1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}methyl)thiophen-2-yl]-1-cyclopropylpyridin-2(1H)-one

**[0657]** 19.5 mg of the title compound (yield: 44.2 %) was prepared in the same fashion as Example 31, except that 35.0 mg of 1-cyclopropyl-6-oxo-1,6-dihydropyridine-3-boronic acid pinacol ester was used in Step 1 instead of the compound prepared in Reference Example 9. <sup>1</sup>H-NMR (MeOD, 400 MHz)  $\delta$  7.97(s, 1H), 7.80(s, 1H), 7.75(d, 1H), 7.13(d, 2H), 7.12(d, 1H), 6.58(d, 1H), 5.05(s, 2H), 4.48(s, 2H), 3.69(s, 2H), 3.35-3.31(m, 1H), 1.16(d, 2H), 0.96(s, 2H)

**[0658]** Example 196. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({5-[4-(ethylsulfonyl)phenyl]thiophen-2-yl}methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one

**[0659]** 42.5 mg of the title compound (yield: 88.5 %) was prepared in the same fashion as Example 31, except that 28.7 mg of 4-(ethylsulfonyl)benzene boronic acid was used in

Step 1 instead of the compound prepared in Reference Example 9. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 8.01(s, 1H), 7.89(d, 2H), 7.85(d, 2H), 7.48(s, 1H), 7.19(s, 1H), 7.13(d, 1H), 5.10(s, 2H), 4.49(s, 2H), 3.69(s, 2H), 3.23(q, 2H), 1.23(t, 3H)

**[0660]** Example 197. 4-[5-({1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}methyl)thiophen-2-yl]-N-cyclopropylbenzenesulfonamide

**[0661]** 14.3 mg of the title compound (yield: 28.0 %) was prepared in the same fashion as Example 31, except that 43.4 mg of N-cyclopropyl-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)benzenesulfonamide was used in Step 1 instead of the compound prepared in Reference Example 9. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 7.97(s, 1H), 7.87(d, 2H), 7.81(d, 2H), 7.46(s, 1H), 7.17(s, 1H), 6.89(d, 1H), 5.09(s, 2H), 4.44(s, 2H), 3.36(s, 2H), 2.18(bs, 1H), 0.54-0.51(m, 3H)

**[0662]** Example 198. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({5-[4-(morpholin-4-ylsulfonyl)phenyl]thiophen-2-yl}methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one

**[0663]** 47.6 mg of the title compound (yield: 87.7 %) was prepared in the same fashion as Example 31, except that 47.4 mg of 4-(morpholinylsulfonyl)phenylboronic acid pinacol ester was used in Step 1 instead of the compound prepared in Reference Example 9. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 8.01(s, 1H), 7.82(d, 2H), 7.76(d, 2H), 7.47(s, 1H), 7.19(s, 1H), 7.13(d, 1H), 5.10(s, 2H), 4.49(s, 2H), 3.69(s, 6H), 2.97(s, 4H)

**[0664]** Example 199. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({5-[6-(piperazin-1-yl)pyridin-3-yl]thiophen-2-yl}methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one

**[0665]** 27.9 mg of the title compound (yield: 59.0 %) was prepared in the same fashion as Example 31, except that 56.6 mg of tert-butyl 4-(5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)pyridin-2-yl)piperazin-1-carboxylate was used in Step 1 instead of the compound prepared in Reference Example 9. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 8.29(s, 1H), 7.86(s, 1H), 7.71(dd, 1H), 7.07(d, 1H), 7.01(d, 1H), 7.00(d, 1H), 6.84(d, 1H), 4.94(s, 2H), 4.37(d, 2H), 3.72(t, 4H), 3.56(s, 2H), 3.21-3.19(m, 4H)

**[0666]** Example 200. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{{4-[(1,3-benzodioxol-5-yl)thiophen-3-yl]methyl}-2,4-dihydro-3H-1,2,4-triazol-3-one};

[0667] Step 1: tert-butyl (E)-(2-((4-((4-(1,3-benzodioxol-5-yl)thiophen-2-yl)methyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate

[0668] 50.0 mg of tert-butyl (E)-(2-((4-((4-bromothiophen-2-yl)methyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 17 and 27.7 mg of 2-(1,3-benzodioxol-5-yl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane were dissolved in 1.0 mL of 1,4-dioxane. To the resulting solution, 0.5 mL of 1M potassium carbonate and 2.5 mg of palladium di[1,1'-bis(diphenylphosphino)ferrocene] dichloride (PdCl<sub>2</sub>(dppf)) were added and the solution was stirred overnight at 100 °C. The resulting reaction mixture was filtered through a celite pad and concentrated under reduced pressure to give a residue. The residue thus obtained was dissolved in ethylacetate, 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-Hex/EtOAc = 1/1) to give 15 mg of the title compound as a yellow liquid (yield: 27.4 %). MS (ESI) m/z = 389.1 (M + H)<sup>+</sup>

[0669] Step 2: 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{{4-(1,3-benzodioxol-5-yl)thiophen-3-yl}methyl}-2,4-dihydro-3H-1,2,4-triazol-3-one

[0670] 15 mg of tert-butyl (E)-(2-((4-((4-(1,3-benzodioxol-5-yl)thiophen-2-yl)methyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Step 1 was dissolved in 1.0 mL of dichloromethane, and 0.1 mL of trifluoroacetic acid was added thereto. The solution was stirred at room temperature for 2 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 1.0 mg of the title compound (yield: 8.3 %). <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 7.94(s, 1H), 7.45(s, 1H), 7.41(s, 1H), 7.11(s, 1H), 7.10(s, 1H), 6.83(d, 1H), 6.82(d, 1H), 5.95(s, 2H), 5.05(s, 2H), 4.42(s, 2H), 3.39(s, 2H)

[0671] Example 201. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({4-[4-(methylsulfonyl)phenyl]thiophen-3-yl}methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one;

[0672] 29.7 mg of the title compound (yield: 62.8 %) was prepared in the same fashion as Example 200, except that 31.5 mg of 4,4,5,5-tetramethyl-2-(4-methylsulfonylphenyl)-1,3,2-dioxaborolane was used in Step 1 instead of 2-(1,3-benzodioxol-5-yl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 8.00(s, 1H), 7.95(d, 2H), 7.88(d, 2H), 7.84(s, 1H), 7.60(s, 1H), 7.07(d, 1H), 5.10(s, 2H), 4.48(s, 2H), 3.67(s, 2H), 3.34(s, 1H), 3.13(s, 3H)

[0673] Example 202. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({4-[6-(trifluoromethyl)pyridin-3-yl]thiophen-3-yl}methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one

[0674] 19.1 mg of the title compound (yield: 41.2 %) was prepared in the same fashion as Example 200, except that 30.5 mg of 2-(trifluoromethyl)pyridine-5-boronic acid pinacol ester was used in Step 1 instead of 2-(1,3-benzodioxol-5-yl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 9.00(s, 1H), 8.27(s, 1H), 8.01(s, 1H), 7.95(s, 1H), 7.83(d, 1H), 7.65(s, 1H), 7.07(d, 1H), 5.12(s, 2H), 4.47(s, 2H), 3.67(s, 2H)

[0675] Example 203. 6-[4-({1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}methyl)thiophen-3-yl]-8-methyl-3,4-dihydroquinolin-2(1H)-one

[0676] 21.8 mg of the title compound (yield: 45.5 %) was prepared in the same fashion as Example 200, except that 32.1 mg of 8-methyl-2-oxo-1,2,3,4-tetrahydroquinoline-6-boronic acid pinacol ester was used in Step 1 instead of 2-(1,3-benzodioxol-5-yl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 7.98(s, 1H), 7.50(s, 1H), 7.46(s, 1H), 7.30(s, 2H), 7.11(d, 1H), 5.06(s, 2H), 4.47(s, 2H), 3.67(s, 2H), 2.95(t, 2H), 2.55(t, 2H), 2.27(t, 3H)

[0677] Example 204. 6-[4-({1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}methyl)thiophen-3-yl]-1-methyl-3,4-dihydroquinolin-2(1H)-one

[0678] 8.1 mg of the title compound (yield: 16.9 %) was prepared in the same fashion as Example 200, except that 32.1 mg of (1-methyl-2-oxo-1,2,3,4-tetrahydroquinolin-6-yl)boronic acid pinacol ester was used in Step 1 instead of 2-(1,3-benzodioxol-5-yl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 7.98(s, 1H), 7.56-7.50(m, 4H), 7.13(d,

1H), 7.12(d, 1H), 5.07(s, 2H), 4.47(s, 2H), 3.67(s, 2H), 3.36(s, 2H), 2.94(t, 2H), 2.63(t, 2H)

**[0679]** Example 205. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({4-[6-(dimethylamino)pyridin-3-yl]thiophen-3-yl}methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one

**[0680]** 37.0 mg of the title compound (yield: 86.4 %) was prepared in the same fashion as Example 200, except that 27.7 mg of 6-(dimethylamino)pyridine-3-boronic acid was used in Step 1 instead of 2-(1,3-benzodioxol-5-yl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 8.29(d, 1H), 8.17(s, 1H), 7.99(s, 1H), 7.74(s, 1H), 7.52(s, 1H), 7.28(d, 1H), 7.16(d, 1H), 5.09(s, 2H), 4.47(s, 2H), 3.68(s, 2H), 3.31(s, 6H)

**[0681]** Example 206. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{{4-(1-ethyl-1H-pyrazol-4-yl)thiophen-3-yl}methyl}-2,4-dihydro-3H-1,2,4-triazol-3-one

**[0682]** 9.4 mg of the title compound (yield: 23.2 %) was prepared in the same fashion as Example 200, except that 24.8 mg of 1-ethylpyrazole-4-boronic acid pinacol ester was used in Step 1 instead of 2-(1,3-benzodioxol-5-yl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 7.96(s, 1H), 7.90(s, 1H), 7.72(s, 1H), 7.35(d, 2H), 7.11(d, 1H), 5.04(s, 2H), 4.46(s, 2H), 4.18(q, 2H), 3.66(s, 2H), 1.46(t, 3H)

**[0683]** Example 207. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{{5-(1,3-benzodioxol-5-yl)-1-benzothiophen-2-yl}methyl}-2,4-dihydro-3H-1,2,4-triazol-3-one

**[0684]** Step 1: tert-butyl (E)-(2-((4-((5-(1,3-benzodioxol-5-yl)-1-benzothiophen-2-yl)methyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate

**[0685]** 50.0 mg of tert-butyl (E)-(2-((4-((5-bromobenzo[b]thiophen-2-yl)methyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 19 and 24.9 mg of 2-(1,3-benzodioxol-5-yl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane were dissolved in 1.0 mL of 1,4-dioxane. To the resulting solution, 0.5 mL of 1M potassium carbonate and 2.5 mg of palladiumdi[1,1'-bis(diphenylphosphino)ferrocene] dichloride (PdCl<sub>2</sub>(dppf)) were added and the solution was stirred overnight at 100 °C. The resulting reaction mixture was filtered through a celite pad and concentrated under reduced pressure to give a residue. The residue thus obtained was dissolved in ethylacetate, 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-Hex/EtOAc = 2/1) to give 45 mg of the title compound as a pale yellow solid (yield: 84.0 %). MS (ESI)  $m/z = 439.2$  (M + H)<sup>+</sup>

**[0686]** Step 2: 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[[4-(1,3-benzodioxol-5-yl)thiophen-3-yl]methyl]-2,4-dihydro-3H-1,2,4-triazol-3-one

**[0687]** 37 mg of tert-butyl (E)-2-((4-((4-(1,3-benzodioxol-5-yl)thiophen-2-yl)methyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Step 1 was dissolved in 1.0 mL of dichloromethane, and 0.1 mL of trifluoroacetic acid was added thereto. The solution was stirred at room temperature for 2 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 33.0 mg of the title compound (yield: 89.3 %). <sup>1</sup>H-NMR (MeOD, 400 MHz)  $\delta$  7.98(s, 1H), 7.87(s, 1H), 7.80(d, 1H), 7.50(d, 1H), 7.37(s, 1H), 7.10(s, 2H), 7.00(d, 1H), 6.87(d, 1H), 5.97(s, 2H), 5.14(s, 2H), 4.46(s, 2H), 3.54(s, 2H)

**[0688]** Example 208. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({5-[4-(methylsulfonyl)phenyl]-1-benzothiophen-2-yl}methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one

**[0689]** 30.8 mg of the title compound (yield: 64.5 %) was prepared in the same fashion as Example 207, except that 28.4 mg of 4,4,5,5-tetramethyl-2-(4-methylsulfonylphenyl)-1,3,2-dioxaborolane was used in Step 1 instead of 2-(1,3-benzodioxol-5-yl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane. <sup>1</sup>H-NMR (MeOD, 400 MHz)  $\delta$  8.07(s, 1H), 8.03-8.00(m, 3H), 7.93-7.88(m, 3H), 7.65(d, 1H), 7.46(s, 1H), 7.13(d, 1H), 5.19(s, 2H), 4.50(s, 2H), 3.69(s, 2H), 3.16(s, 3H)

**[0690]** Example 209. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({5-[6-(trifluoromethyl)pyridin-3-yl]-1-benzothiophen-2-yl}methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one

**[0691]** 37.0 mg of the title compound (yield: 79.0 %) was prepared in the same fashion as

Example 207, except that 27.4 mg of 2-(trifluoromethyl)pyridine-5-boronic acid pinacol ester was used in Step 1 instead of 2-(1,3-benzodioxol-5-yl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 9.01(s, 1H), 8.31(d, 1H), 8.13(s, 1H), 8.04(s, 1H), 7.98(d, 1H), 7.89(d, 1H), 7.69(d, 1H), 7.49(s, 1H), 7.14(d, 1H), 5.20(s, 2H), 4.51(s, 2H), 3.70(s, 2H)

[0692] Example 210. 6-[2-({1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}methyl)-1-benzothiophen-5-yl]-8-methyl-3,4-dihydroquinolin-2(1H)-one

[0693] 18.0 mg of the title compound (yield: 37.3 %) was prepared in the same fashion as Example 207, except that 28.9 mg of 8-methyl-2-oxo-1,2,3,4-tetrahydroquinoline-6-boronic acid pinacol ester was used in Step 1 instead of 2-(1,3-benzodioxol-5-yl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 8.01(s, 1H), 7.93(s, 1H), 7.83(d, 1H), 7.56(d, 1H), 7.41(s, 1H), 7.33(s, 2H), 7.13(d, 1H), 5.17(s, 2H), 4.50(s, 2H), 3.68(s, 2H), 2.97(s, 2H), 2.57(s, 2H), 2.31(s, 3H)

[0694] Example 211. 6-[2-({1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}methyl)-1-benzothiophen-5-yl]-1-methyl-3,4-dihydroquinolin-2(1H)-one

[0695] 27.2 mg of the title compound (yield: 56.0 %) was prepared in the same fashion as Example 207, except that 28.9 mg of (1-methyl-2-oxo-1,2,3,4-tetrahydroquinolin-6-yl)boronic acid pinacol ester was used in Step 1 instead of 2-(1,3-benzodioxol-5-yl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 8.02(s, 1H), 7.98(s, 1H), 7.85(d, 1H), 7.60-7.55(m, 2H), 7.51(s, 1H), 7.43(s, 1H), 7.16(d, 1H), 7.13(d, 1H), 5.17(s, 2H), 4.50(s, 2H), 3.69(s, 2H), 3.36(s, 3H), 2.96(s, 2H), 2.63(s, 2H)

[0696] Example 212. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({5-[6-(dimethylamino)pyridin-3-yl]-1-benzothiophen-2-yl}methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one

[0697] 42.1 mg of the title compound (yield: 94.8 %) was prepared in the same fashion as Example 207, except that 24.9 mg of 6-(dimethylamino)pyridine-3-boronic acid pinacol ester was used in Step 1 instead of 2-(1,3-benzodioxol-5-yl)-4,4,5,5-tetramethyl-1,3,2-

dioxaborolane. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 8.26(d, 1H), 8.19(s, 1H), 8.02(d, 2H), 7.92(d, 1H), 7.57(d, 1H), 7.46(s, 1H), 7.21(s, 1H), 7.13(d, 1H), 5.19(s, 2H), 4.50(s, 2H), 3.70(s, 2H), 3.28(s, 6H)

**[0698]** Example 213. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({5-[4-(piperazin-1-yl)phenyl]-1-benzothiophen-2-yl}methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one

**[0699]** 24.3 mg of the title compound (yield: 41.1 %) was prepared in the same fashion as Example 207, except that 39.2 mg of tert-butyl 4-[4-(tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]piperazine-1-carboxylate was used in Step 1 instead of 2-(1,3-benzodioxol-5-yl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 8.01(s, 1H), 7.97(s, 1H), 7.85(d, 1H), 7.63-7.58(m, 3H), 7.42(s, 1H), 7.15(d, 1H), 7.12(d, 1H), 5.17(s, 2H), 4.49(s, 2H), 3.67(s, 2H), 3.46(s, 4H), 3.39(s, 4H)

**[0700]** Example 214. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{{5-(1-ethyl-1H-pyrazol-4-yl)-1-benzothiophen-2-yl}methyl}-2,4-dihydro-3H-1,2,4-triazol-3-one

**[0701]** 35.0 mg of the title compound (yield: 84.0 %) was prepared in the same fashion as Example 207, except that 22.3 mg of 1-ethylpyrazole-4-boronic acid pinacol ester was used in Step 1 instead of 2-(1,3-benzodioxol-5-yl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 8.03(s, 1H), 8.00(s, 1H), 7.94(s, 1H), 7.87(s, 1H), 7.78(d, 1H), 7.54(d, 1H), 7.37(s, 1H), 7.12(d, 1H), 5.15(s, 2H), 4.49(s, 2H), 4.21(q, 2H), 3.68(s, 2H), 1.49(t, 3H)

**[0702]** Example 215. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{2-[5-(1,3-benzodioxol-5-yl)thiophen-2-yl]ethyl}-2,4-dihydro-3H-1,2,4-triazol-3-one

**[0703]** Step 1: tert-butyl (E)-(2-((4-(2-(5-(benzo[d][1,3]dioxol-5-yl)thiophen-2-yl)ethyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate

**[0704]** 50.0 mg of tert-butyl (E)-(2-((4-(2-(5-bromothiophen-2-yl)ethyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Reference Example 21 and 26.9 mg of 2-(1,3-benzodioxol-5-yl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane were dissolved in 1.0 mL of 1,4-dioxane. To the resulting solution, 0.5 mL of 1M potassium carbonate and 2.5 mg of palladiumdi[1,1'-bis(diphenylphosphino)ferrocene]

dichloride (PdCl<sub>2</sub>(dppf)) were added and the solution was stirred overnight at 100 °C. The resulting reaction mixture was filtered through a celite pad and concentrated under reduced pressure to give a residue. The residue thus obtained was dissolved in ethylacetate, 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-Hex/EtOAc = 1/1) to give 34 mg of the title compound as a pale yellow solid (yield: 62.6 %). MS (ESI) m/z= 403.1 (M + H)<sup>+</sup>

**[0705]** Step 2: 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{2-[5-(1,3-benzodioxol-5-yl)thiophen-2-yl]ethyl}-2,4-dihydro-3H-1,2,4-triazol-3-one

**[0706]** 34 mg of tert-butyl (E)-(2-((4-(2-(5-(benzo[d][1,3]dioxol-5-yl)thiophen-2-yl)ethyl)-5-oxo-4,5-dihydro-1H-1,2,4-triazol-1-yl)methyl)-3-fluoroallyl)carbamate prepared in Step 1 was dissolved in 1.0 mL of dichloromethane, and 0.1 mL of trifluoroacetic acid was added thereto. The solution was stirred at room temperature for 2 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 27.7 mg of the title compound (yield: 100 %). <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 7.72(s, 1H), 7.06(d, 1H), 7.06-7.01(m, 3H), 6.81-6.77(m, 2H), 5.96(s, 2H), 4.44(s, 2H), 3.96(s, 2H), 3.62(s, 2H), 3.22(s, 2H)

**[0707]** Example 216. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(2-{5-[4-(methylsulfonyl)phenyl]thiophen-2-yl}ethyl)-2,4-dihydro-3H-1,2,4-triazol-3-one

**[0708]** 32.1 mg of the title compound (yield: 68.1 %) was prepared in the same fashion as Example 215, except that 30.6 mg of 4,4,5,5-tetramethyl-2-(4-methylsulfonylphenyl)-1,3,2-dioxaborolane was used in Step 1 instead of 2-(1,3-benzodioxol-5-yl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 7.92(d, 2H), 7.81-7.77(m, 3H), 7.43(s, 1H), 7.07 (d, 1H), 6.91(s, 1H), 4.45(s, 2H), 4.00(s, 2H), 3.63(s, 2H), 3.31(s, 2H), 3.13(s, 3H)

**[0709]** Example 217. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(2-{5-[6-(trifluoromethyl)pyridin-3-yl]thiophen-2-yl}ethyl)-2,4-dihydro-3H-1,2,4-triazol-3-one

[0710] 11.6 mg of the title compound (yield: 25.1 %) was prepared in the same fashion as Example 215, except that 30.0 mg of 2-(trifluoromethyl)pyridine-5-boronic acid pinacol ester was used in Step 1 instead of 2-(1,3-benzodioxol-5-yl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 8.92(s, 1H), 8.18(d, 1H), 7.81(d, 1H), 7.78(s, 1H), 7.51(s, 1H), 7.05 (d, 1H), 6.96(s, 1H), 4.44(s, 2H), 4.01(s, 2H), 3.60(s, 2H)

[0711] Example 218. 6-[5-(2-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}ethyl)thiophen-2-yl]-8-methyl-3,4-dihydroquinolin-2(1H)-one

[0712] 10.8 mg of the title compound (yield: 22.6 %) was prepared in the same fashion as Example 215, except that 31.1 mg of 8-methyl-2-oxo-1,2,3,4-tetrahydroquinoline-6-boronic acid pinacol ester was used in Step 1 instead of 2-(1,3-benzodioxol-5-yl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 7.74(s, 1H), 7.24(s, 2H), 7.13(s, 1H), 7.08(d, 1H), 6.79(s, 1H), 4.44(s, 2H), 3.97(s, 2H), 3.61(s, 2H), 3.24(s, 2H), 2.95(s, 2H), 2.58(s, 2H), 2.27(s, 3H)

[0713] Example 219. 6-[5-(2-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}ethyl)thiophen-2-yl]-1-methyl-3,4-dihydroquinolin-2(1H)-one

[0714] 26.6 mg of the title compound (yield: 55.8 %) was prepared in the same fashion as Example 215, except that 31.1 mg of (1-methyl-2-oxo-1,2,3,4-tetrahydroquinolin-6-yl)boronic acid pinacol ester was used in Step 1 instead of 2-(1,3-benzodioxol-5-yl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 7.74(s, 1H), 7.45(d, 1H), 7.40(s, 1H), 7.17(s, 1H), 7.10(d, 1H), 7.07(d, 1H), 6.81(s, 1H), 4.45(s, 2H), 3.98(s, 2H), 3.63(s, 2H), 3.34(s, 3H), 3.25(s, 2H), 2.92(s, 2H), 2.63(s, 2H)

[0715] Example 220. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(2-{5-[6-(dimethylamino)pyridin-3-yl]thiophen-2-yl}ethyl)-2,4-dihydro-3H-1,2,4-triazol-3-one

[0716] 34.1 mg of the title compound (yield: 78.4 %) was prepared in the same fashion as Example 215, except that 26.9 mg of 6-(dimethylamino)pyridine-3-boronic acid pinacol ester was used in Step 1 instead of 2-(1,3-benzodioxol-5-yl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 8.08(s, 1H), 8.06(s, 1H), 7.76(s, 1H), 7.22(s,

1H), 7.17-7.12(m, 1H), 7.07(d, 1H), 6.86(s, 1H), 4.45(s, 2H), 3.98(s, 2H), 3.64(s, 2H), 3.31(s, 2H), 3.26(s, 3H)

[0717] Example 221. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(2-{5-[4-(piperazin-1-yl)phenyl]thiophen-2-yl}ethyl)-2,4-dihydro-3H-1,2,4-triazol-3-one

[0718] 16.1 mg of the title compound (yield: 33.7 %) was prepared in the same fashion as Example 215, except that 42.1 mg of tert-butyl 4-[4-(tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]piperazine-1-carboxylate was used in Step 1 instead of 2-(1,3-benzodioxol-5-yl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 7.73(s, 1H), 7.48(d, 2H), 7.09(s, 1H), 7.06(d, 1H), 7.02(d, 2H), 6.78(s, 1H), 4.44(s, 2H), 3.97(s, 2H), 3.61(s, 2H), 3.42(s, 4H), 3.36(s, 4H), 3.23(s, 2H)

[0719] Example 222. 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{2-[5-(1-ethyl-1H-pyrazol-4-yl)thiophen-2-yl]ethyl}-2,4-dihydro-3H-1,2,4-triazol-3-one

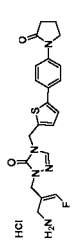
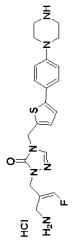
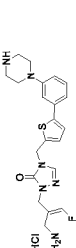
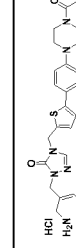
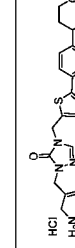
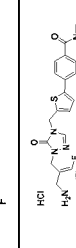
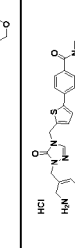
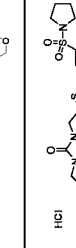
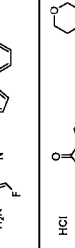
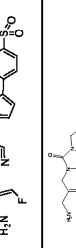
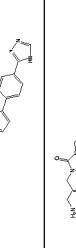
[0720] 11.0 mg of the title compound (yield: 27.0 %) was prepared in the same fashion as Example 215, except that 24.1 mg of 1-ethylpyrazole-4-boronic acid pinacol ester was used in Step 1 instead of 2-(1,3-benzodioxol-5-yl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane. <sup>1</sup>H-NMR (MeOD, 400 MHz) δ 7.83(s, 1H), 7.70(s, 1H), 7.62(s, 1H), 7.01(d, 1H), 6.94(s, 1H), 6.74(s, 1H), 4.42(s, 2H), 4.17(q, 2H), 3.95(s, 2H), 3.54(s, 2H), 3.21(s, 2H), 1.46(t, 3H)

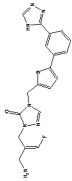
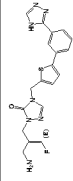
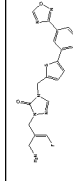
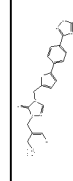
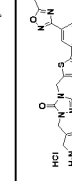
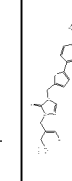
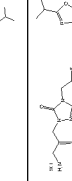
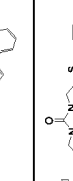
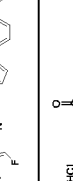
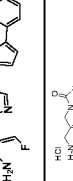
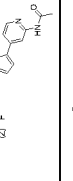
[0721] Compounds from the Examples are shown in Table 1.

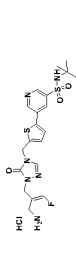
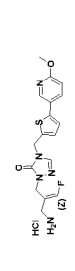
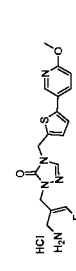
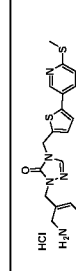
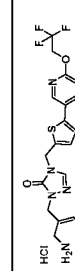
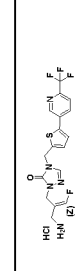
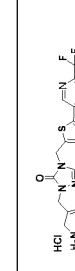
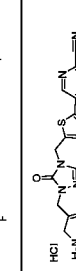
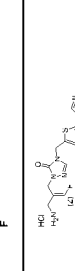
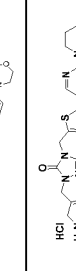
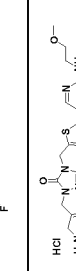
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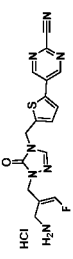
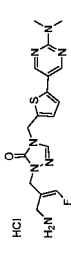
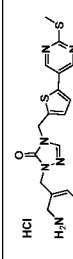
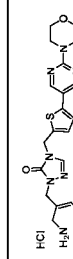
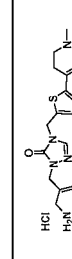
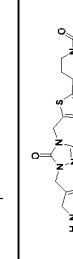
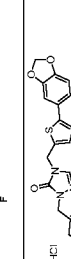
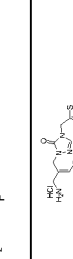
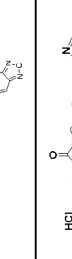
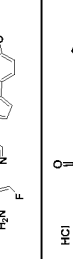
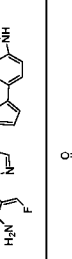
Ex No	Structure	Chemical Name
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2		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[(5-bromothiophen-2-yl)methyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
3		2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{{5-(4-aminophenyl)thiophen-2-yl}methyl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
4		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{{5-[3-(dimethylamino)phenyl]thiophen-2-yl}methyl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
5		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{{5-[4-(dimethylamino)phenyl]thiophen-2-yl}methyl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
6		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{{5-[3-(dimethylamino)-4-fluorophenyl]thiophen-2-yl}methyl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
7		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}methyl}thiophen-2-yl]phenyl}methanesulfonamide hydrochloride
8		3-[5-{{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}methyl}thiophen-2-yl]-N-methylbenzenesulfonamide hydrochloride
9		4-[5-{{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}methyl}thiophen-2-yl]-N,N-dimethylbenzenesulfonamide hydrochloride
10		methyl 4-[5-{{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-

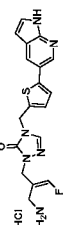
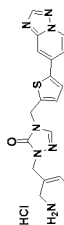
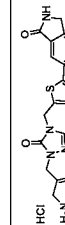
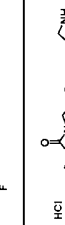
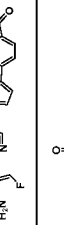
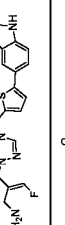
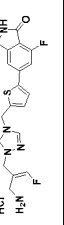
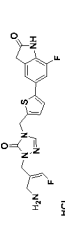
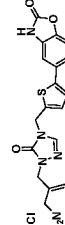
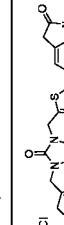
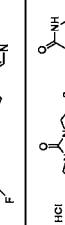
		triazol-4-yl}methyl)thiophen-2-yl]benzoate hydrochloride	
11		methyl 3-[5-({1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}methyl)thiophen-2-yl]benzoate hydrochloride	
12		methyl 4-[5-({1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}methyl)thiophen-2-yl]-2-fluorobenzoate hydrochloride	
13		methyl 3-[5-({1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}methyl)thiophen-2-yl]-5-fluorobenzoate hydrochloride	
14		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{{[5-(3,4-difluorophenyl)thiophen-2-yl]methyl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride	
15		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({5-[4-fluoro-3-(trifluoromethyl)phenyl]thiophen-2-yl}methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride	
16		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{{[5-(3,4-dimethoxyphenyl)thiophen-2-yl]methyl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride	
17		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{{[5-(3,4,5-trimethoxyphenyl)thiophen-2-yl]methyl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride	
18		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{{[5-{{4-[(3,5-dimethoxybenzyl)oxy]-3,5-dimethylphenyl}thiophen-2-yl}methyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride	
19		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{{[5-[4-(2-methoxyethoxy)phenyl]thiophen-2-yl]methyl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride	
20		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{{[5-[4-(pyrrolidin-1-yl)phenyl]thiophen-2-yl]methyl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride	

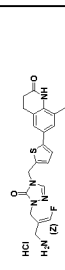
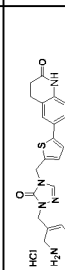

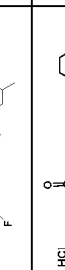
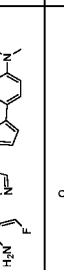
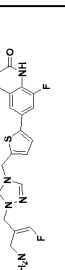
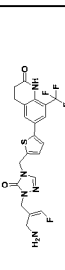
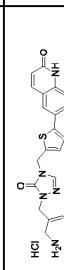
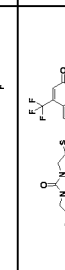
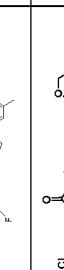
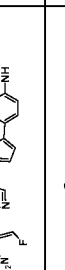
21		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({5-[4-(2-oxopyrrolidin-1-yl)phenyl]thiophen-2-yl)methyl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
22		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({5-[4-(piperazin-1-yl)phenyl]thiophen-2-yl)methyl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
23		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({5-[3-(piperazin-1-yl)phenyl]thiophen-1-yl)phenyl]thiophen-2-yl)methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
24		4-({5-[4-(4-acetylpiperazin-1-yl)phenyl]thiophen-2-yl)methyl)-2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
25		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({5-[4-(tetrahydro-2H-pyran-4-yl)phenyl]thiophen-2-yl)methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
26		2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({5-[4-(morpholin-4-ylcarbonyl)phenyl]thiophen-2-yl)methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
27		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({5-[4-(morpholin-4-ylcarbonyl)phenyl]thiophen-2-yl)methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
28		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({5-[3-(pyrrolidin-1-yl)sulfonyl)phenyl]thiophen-2-yl)methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
29		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({5-[4-(morpholin-4-yl)sulfonyl)phenyl]thiophen-2-yl)methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
30		2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({5-[4-(4H-1,2,4-triazol-3-yl)phenyl]thiophen-2-yl)methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one
31		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({5-[4-(4H-1,2,4-triazol-3-yl)phenyl]thiophen-2-yl)methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one

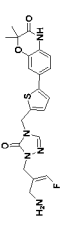
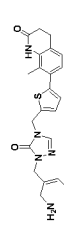
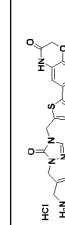
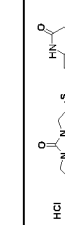

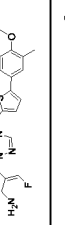
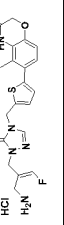
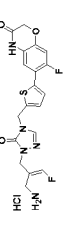
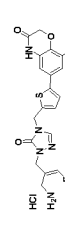
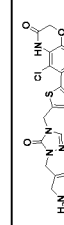
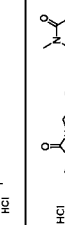
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33		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({5-[3-(4H-1,2,4-triazol-3-yl)phenyl]thiophen-2-yl}methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one
34		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({5-[3-(1,2,4-oxadiazol-3-yl)phenyl]thiophen-2-yl}methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one
35		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({5-[4-(5-cyclopropyl-1,2,4-oxadiazol-3-yl)phenyl]thiophen-2-yl}methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
36		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({5-[3-(5-cyclopropyl-1,2,4-oxadiazol-3-yl)phenyl]thiophen-2-yl}methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
37		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({5-[4-[5-(propan-2-yl)-1,2,4-oxadiazol-3-yl]phenyl]thiophen-2-yl}methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
38		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({5-[3-[5-(propan-2-yl)-1,2,4-oxadiazol-3-yl]phenyl]thiophen-2-yl}methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
39		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({5-[4-(1,2,5-oxadiazol-3-yl)phenyl]thiophen-2-yl}methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
40		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({5-[4-(1,2-oxazol-3-yl)phenyl]thiophen-2-yl}methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
41		N-{4-[5-({1-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}methyl)thiophen-2-yl]pyridin-2-yl}acetamide hydrochloride
42		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({5-[6-(dimethylamino)pyridin-3-yl]thiophen-2-yl}methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

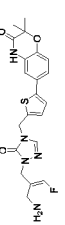
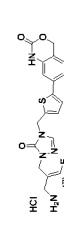
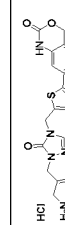
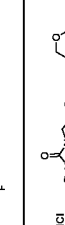
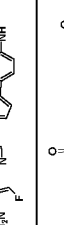
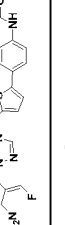
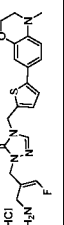
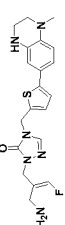
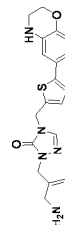
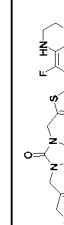
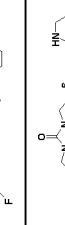
43		5-[5-({1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl)methyl}thiophen-2-yl)-N-tert-butylpyridine-3-sulfonamide hydrochloride
44		2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[[5-(6-methoxy)pyridin-3-yl]thiophen-2-yl]methylthiophen-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
45		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[[5-(6-methoxy)pyridin-3-yl]thiophen-2-yl]methylthiophen-2-yl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
46		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-((5-[6-(methylsulfanyl)pyridin-3-yl]thiophen-2-yl)methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
47		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[[5-(6-(2,2,2-trifluoroethoxy)pyridin-3-yl]thiophen-2-yl)methylthiophen-2-yl]methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
48		2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-((5-[6-(trifluoromethyl)pyridin-3-yl]thiophen-2-yl)methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
49		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-((5-[6-(trifluoromethyl)pyridin-3-yl]thiophen-2-yl)methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
50		5-[[5-((2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl)-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl)methyl]thiophen-2-yl]pyridine-2-carbonitrile hydrochloride
51		2-[[2-((2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl)-4-((5-[6-(morpholin-4-yl)pyridin-3-yl]thiophen-2-yl)methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
52		2-[[2-((2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl)-4-((5-[6-(piperidin-1-yl)pyridin-3-yl]thiophen-2-yl)methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
53		2-[[2-((2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl)-4-((5-[2-((2-methoxyethyl)amino)pyrimidin-5-yl]thiophen-2-yl)methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride

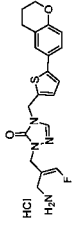
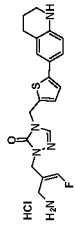
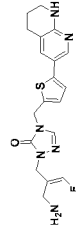
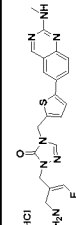
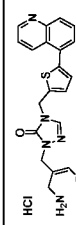
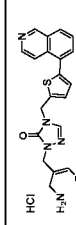
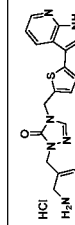
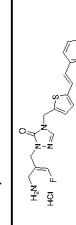
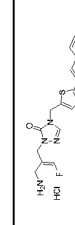
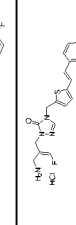
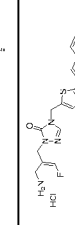
54		5-[5-(1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl)methyl]thiophen-2-yl]pyrimidine-2-carbonitrile hydrochloride
55		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[(5-[2-(dimethylamino)pyrimidin-5-yl]thiophen-2-yl)methyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
56		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[(5-[2-(methylsulfanyl)pyrimidin-5-yl]thiophen-2-yl)methyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
57		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[(5-[2-(morpholin-4-yl)pyrimidin-5-yl]thiophen-2-yl)methyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
58		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[(5-(1-methyl-1,2,3,6-tetrahydropyridin-4-yl)thiophen-2-yl)methyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
59		4-[5-(1-acetyl-3,6-dihydro-2H-pyridin-4-yl)-2-thienyl]methyl]-2-[(E)-2-(aminomethyl)-3-fluoro-allyl]-1,2,4-triazol-3-one
60		2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[(5-(1,3-benzodioxol-5-yl)thiophen-2-yl)methyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
61		2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[(5-(2,1,3-benzoxadiazol-5-yl)thiophen-2-yl)methyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
62		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[(5-(1,3-benzoxazol-5-yl)thiophen-2-yl)methyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
63		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[(5-(1H-indazol-5-yl)thiophen-2-yl)methyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
64		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[(5-([1,3]thiazolo[5,4-b]pyridin-6-yl)thiophen-2-yl)methyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride


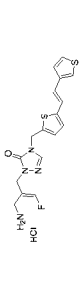
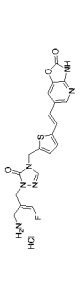
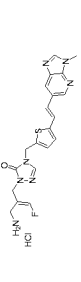
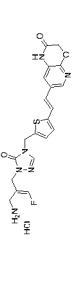
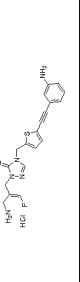
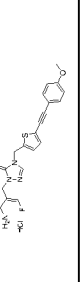
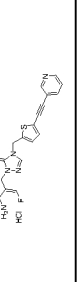
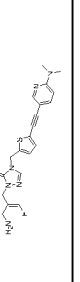
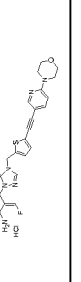
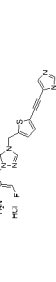
65		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{{5-(1H-pyrrolo[2,3-b]pyridin-5-yl)thiophen-2-yl}}methyl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
66		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{{5-([1,2,4]triazolo[1,5-a]pyridin-7-yl)thiophen-2-yl}}methyl}-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
67		6-[5-{{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}methyl}thiophen-2-yl]-2,3-dihydro-1H-indol-1-one hydrochloride
68		5-[5-{{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}methyl}thiophen-2-yl]-2,3-dihydro-1H-indol-1-one hydrochloride
69		5-[5-{{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}methyl}thiophen-2-yl]-1,3-dihydro-2H-indol-2-one hydrochloride
70		5-[5-[[1-[(E)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]methyl]-2-thienyl]-7-fluoro-indolin-1-one hydrochloride
71		5-[5-[[1-[(E)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]methyl]-2-thienyl]-7-fluoro-indolin-2-one hydrochloride
72		5-[5-{{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}methyl}thiophen-2-yl]-1,3-benzoxazol-2(3H)-one hydrochloride
73		5-[5-{{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}methyl}thiophen-2-yl]-1-methyl-1,3-dihydro-2H-pyrrolo[2,3-b]pyridin-2-one hydrochloride
74		7-[5-{{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}methyl}thiophen-2-yl]-3,4-dihydroisoquinolin-1(2H)-one hydrochloride
75		6-[5-{{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}methyl}thiophen-2-yl]-3,4-dihydroquinolin-2(1H)-one hydrochloride

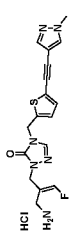
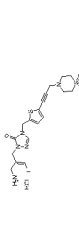
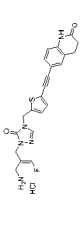
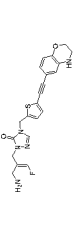
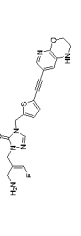
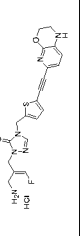
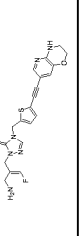
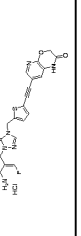
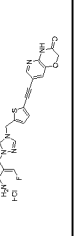
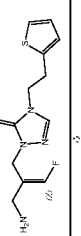
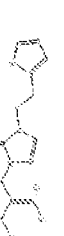
76		6-[5-({1-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}methyl)thiophen-2-yl]-8-methyl-3,4-dihydroquinolin-2(1H)-one hydrochloride
77		6-[5-({1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}methyl)thiophen-2-yl]-8-methyl-3,4-dihydroquinolin-2(1H)-one hydrochloride
78		6-[5-[[1-(E)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]methyl]-2-thienyl]-8-methyl-1H-quinolin-2-one
79		6-[5-({1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}methyl)thiophen-2-yl]-1-methyl-3,4-dihydroquinolin-2(1H)-one hydrochloride
80		6-[5-[[1-(E)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]methyl]-2-thienyl]-8-fluoro-3,4-dihydro-1H-quinolin-2-one
81		6-[5-[[1-(E)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]methyl]-2-thienyl]-8-(trifluoromethyl)-3,4-dihydro-1H-quinolin-2-one
82		6-[5-({1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}methyl)thiophen-2-yl]-8-fluoroquinolin-2(1H)-one hydrochloride
83		6-[5-[[1-(E)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]methyl]-2-thienyl]-8-methyl-4-(trifluoromethyl)-1H-quinolin-2-one
84		7-[5-({1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}methyl)thiophen-2-yl]-2H-1,4-benzoxazin-3(4H)-one hydrochloride
85		7-[5-[[1-(E)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]methyl]-2-thienyl]-4H-1,4-benzothiazin-3-one hydrochloride
86		7-[5-[[1-(E)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]methyl]-2-thienyl]-4-methyl-1,4-benzoxazin-3-one hydrochloride

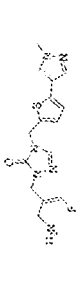



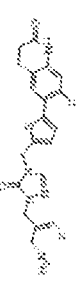
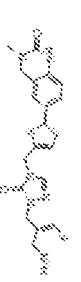



87		7-[5-[[1-(E)-2-(aminomethyl)-3-fluoroallyl]-5-oxo-1,2,4-triazol-4-yl]methyl]-2-thienyl]-2,2-dimethyl-4H-1,4-benzoxazin-3-one
88		7-[5-[[1-(E)-2-(aminomethyl)-3-fluoroallyl]-5-oxo-1,2,4-triazol-4-yl]methyl]-2-thienyl]-8-methyl-3,4-dihydro-1H-quinolin-2-one
89		6-[5-{{1-[(2Z)-2-(aminomethyl)-3-fluoroallyl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}methyl}thiophen-2-yl]-2H-1,4-benzoxazin-3(4H)-one hydrochloride
90		6-[5-{{1-[(2E)-2-(aminomethyl)-3-fluoroallyl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}methyl}thiophen-2-yl]-2H-1,4-benzoxazin-3(4H)-one hydrochloride
91		6-[5-{{1-[(2E)-2-(aminomethyl)-3-fluoroallyl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}methyl}thiophen-2-yl]-8-methyl-2H-1,4-benzoxazin-3(4H)-one hydrochloride
92		6-[5-[[1-(E)-2-(aminomethyl)-3-fluoroallyl]-5-oxo-1,2,4-triazol-4-yl]methyl]-2-thienyl]-5-methyl-4H-1,4-benzoxazin-3-one hydrochloride
93		6-[5-{{1-[(2E)-2-(aminomethyl)-3-fluoroallyl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}methyl}thiophen-2-yl]-7-fluoro-2H-1,4-benzoxazin-3(4H)-one hydrochloride
94		6-[5-[[1-(E)-2-(aminomethyl)-3-fluoroallyl]-5-oxo-1,2,4-triazol-4-yl]methyl]-2-thienyl]-8-fluoro-4H-1,4-benzoxazin-3-one hydrochloride
95		6-[5-[[1-(E)-2-(aminomethyl)-3-fluoroallyl]-5-oxo-1,2,4-triazol-4-yl]methyl]-2-thienyl]-5-chloro-4H-1,4-benzoxazin-3-one hydrochloride
96		6-[5-{{1-[(2E)-2-(aminomethyl)-3-fluoroallyl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}methyl}thiophen-2-yl]-4-methyl-2H-1,4-benzoxazin-3(4H)-one hydrochloride
97		6-[5-[[1-(E)-2-(aminomethyl)-3-fluoroallyl]-5-oxo-1,2,4-triazol-4-yl]methyl]-2-thienyl]-2-methyl-4H-1,4-benzoxazin-3-one hydrochloride


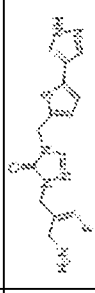
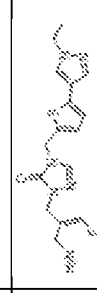
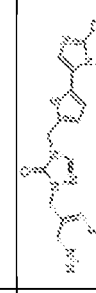
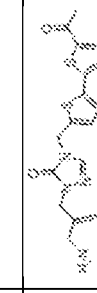
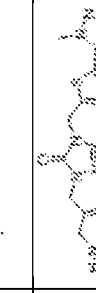
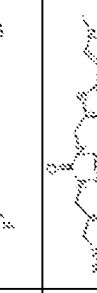
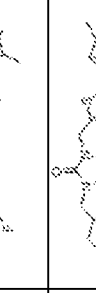

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99		7-[5-({1-(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl)methylthiophen-2-yl]-1,4-dihydro-2H-3,1-benzoxazin-2-one hydrochloride
100		7-[5-({1-(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl)methylthiophen-2-yl]-1,4-dihydro-2H-3,1-benzoxazin-2-one hydrochloride
101		6-[5-({1-(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl)methylthiophen-2-yl]-1,4-dihydro-2H-3,1-benzoxazin-2-one hydrochloride
102		6-[5-[[1-(E)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]methyl]-2-thienyl]-5-methyl-1,4-dihydro-3,1-benzoxazin-2-one
103		2-[2-(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[[5-(4-methyl-3,4-dihydro-2H-1,4-benzoxazin-7-yl)methyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
104		2-[2-(E)-2-(aminomethyl)-3-fluoro-allyl]-4-[[5-(1-methyl-3,4-dihydro-2H-quinoxalin-6-yl)-2-thienyl]methyl]-1,2,4-triazol-3-one
105		2-[2-(E)-2-(aminomethyl)-3-fluoro-allyl]-4-[[5-(3,4-dihydro-2H-1,4-benzoxazin-6-yl)-2-thienyl]methyl]-1,2,4-triazol-3-one
106		2-[2-(E)-2-(aminomethyl)-3-fluoro-allyl]-4-[[5-(5-fluoro-3,4-dihydro-2H-1,4-benzoxazin-6-yl)-2-thienyl]methyl]-1,2,4-triazol-3-one
107		2-[2-(E)-2-(aminomethyl)-3-fluoro-allyl]-4-[[5-(7-fluoro-3,4-dihydro-2H-1,4-benzoxazin-6-yl)-2-thienyl]methyl]-1,2,4-triazol-3-one
108		2-[2-(E)-2-(aminomethyl)-3-fluoro-allyl]-4-[[5-(5-methyl-3,4-dihydro-2H-1,4-benzoxazin-6-yl)-2-thienyl]methyl]-1,2,4-triazol-3-one

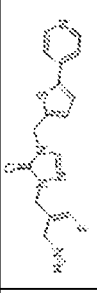
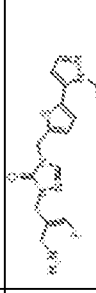
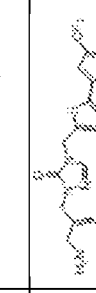
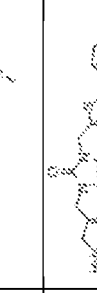
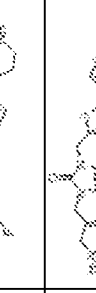

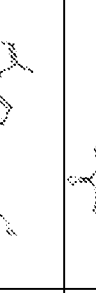

1109		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[[5-(3,4-dihydro-2H-chromen-6-yl)thiophen-2-yl]methyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
1110		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[[5-(1,2,3,4-tetrahydroquinolin-6-yl)thiophen-2-yl]methyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
1111		2-[(E)-2-(aminomethyl)-3-fluoro-allyl]-4-[[5-(5,6,7,8-tetrahydro-1,8-naphthyridin-3-yl)-2-thienyl]methyl]-1,2,4-triazol-3-one
1112		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[(5-[2-(methylamino)quinazolin-6-yl]thiophen-2-yl)methyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
1113		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[[5-(quinolin-5-yl)thiophen-2-yl]methyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
1114		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[[5-(isoquinolin-5-yl)thiophen-2-yl]methyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
1115		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[[5-(1H-pyrrolo[2,3-b]pyridin-3-yl)thiophen-2-yl]methyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
1116		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[(5-(E)-2-(4-hydroxyphenyl)ethenyl)thiophen-2-yl]methyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
1117		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[(5-(E)-2-(4-fluorophenyl)ethenyl)thiophen-2-yl]methyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
1118		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[(5-(E)-2-(3-fluorophenyl)ethenyl)thiophen-2-yl]methyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
1119		2-[(E)-2-(aminomethyl)-3-fluoro-allyl]-4-[[5-(E)-2-[4-(trifluoromethyl)phenyl]vinyl]-2-thienyl]methyl]-1,2,4-triazol-3-one hydrochloride


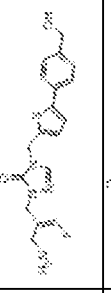
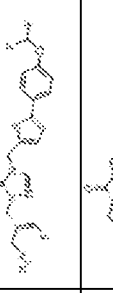
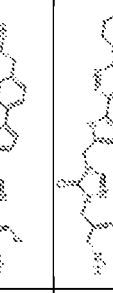
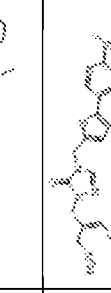
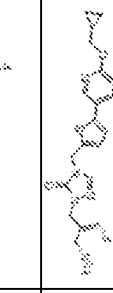
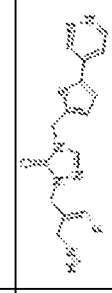
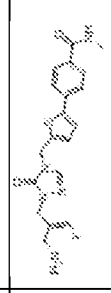

120		2-[(E)-2-(aminomethyl)-3-fluoro-allyl]-4-[[5-[2-[4-(dimethylamino)phenoxy]vinyl]-2-thienyl]methyl]-1,2,4-triazol-3-one
121		2-[(E)-2-(aminomethyl)-3-fluoro-allyl]-4-[[5-(E)-2-(3-thienyl)vinyl]-2-thienyl]methyl]-1,2,4-triazol-3-one hydrochloride
122		6-[(E)-2-[5-[1-(E)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]methyl]-2-thienyl]vinyl]-3H-oxazol-4,5-b]pyridin-2-one hydrochloride
123		2-[(E)-2-(aminomethyl)-3-fluoro-allyl]-4-[[5-(E)-2-(3-methylimidazo[4,5-b]pyridin-6-yl)vinyl]-2-thienyl]methyl]-1,2,4-triazol-3-one hydrochloride
124		7-[(E)-2-[5-[1-(E)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]methyl]-2-thienyl]vinyl]-1H-pyrido[2,3-b][1,4]oxazin-2-one hydrochloride
125		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[(5-(3-aminophenyl)ethynyl]thiophen-2-yl]methyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
126		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[(5-(4-methoxyphenyl)ethynyl]thiophen-2-yl]methyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
127		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[[5-(pyridin-3-ylethynyl]thiophen-2-yl]methyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
128		2-[(E)-2-(aminomethyl)-3-fluoro-allyl]-4-[[5-[2-[6-(dimethylamino)-3-pyridyl]ethynyl]-2-thienyl]methyl]-1,2,4-triazol-3-one
129		2-[(E)-2-(aminomethyl)-3-fluoro-allyl]-4-[[5-[2-(6-morpholino-3-pyridyl)ethynyl]-2-thienyl]methyl]-1,2,4-triazol-3-one hydrochloride
130		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[(5-[(1-methyl-1H-imidazol-5-yl)ethynyl]thiophen-2-yl]methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride



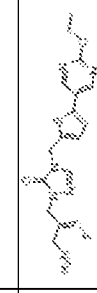

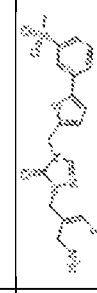
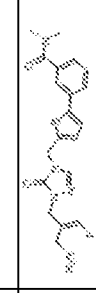
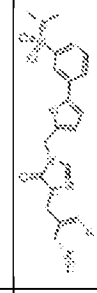
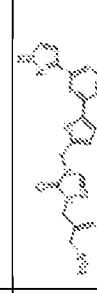
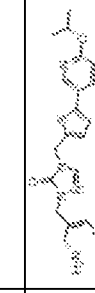
131		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({5-[(1-methyl-1H-pyrazol-4-yl)ethynyl]thiophen-2-yl}methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
132		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({5-[3-(1,1-dioxidothiomorpholin-4-yl)prop-1-yn-1-yl]thiophen-2-yl}methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride
133		6-[2-[5-[1-(E)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]methyl]-2-thienyl]ethynyl]-3,4-dihydro-1H-quinolin-2-one hydrochloride
134		2-[(E)-2-(aminomethyl)-3-fluoro-allyl]-4-[5-[2-(3,4-dihydro-2H-1,4-benzoxazin-6-yl)ethynyl]methyl]-1,2,4-triazol-3-one
135		2-[(E)-2-(aminomethyl)-3-fluoro-allyl]-4-[5-[2-(2,3-dihydro-1H-pyrido[2,3-b][1,4]oxazin-7-yl)ethynyl]-2-thienyl]methyl]-1,2,4-triazol-3-one
136		2-[(E)-2-(aminomethyl)-3-fluoro-allyl]-4-[5-[2-(2,3-dihydro-1H-pyrido[2,3-b][1,4]oxazin-6-yl)ethynyl]-2-thienyl]methyl]-1,2,4-triazol-3-one hydrochloride
137		2-[(E)-2-(aminomethyl)-3-fluoro-allyl]-4-[5-[2-(3,4-dihydro-2H-pyrido[3,2-b][1,4]oxazin-7-yl)ethynyl]-2-thienyl]methyl]-1,2,4-triazol-3-one
138		7-[2-[5-[1-(E)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]methyl]-2-thienyl]ethynyl]-1H-pyrido[2,3-b][1,4]oxazin-2-one hydrochloride
139		7-[2-[5-[1-(E)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]methyl]-2-thienyl]ethynyl]-4H-pyrido[3,2-b][1,4]oxazin-3-one hydrochloride
140		2-[(2Z)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[2-(thiophen-2-yl)ethyl]-2,4-dihydro-3H-1,2,4-triazol-3-one
141		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[2-(thiophen-2-yl)ethyl]-2,4-dihydro-3H-1,2,4-triazol-3-one


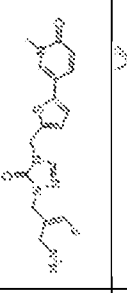
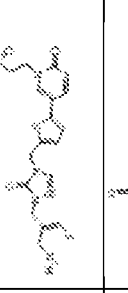

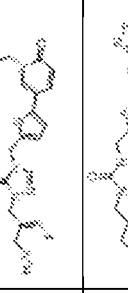
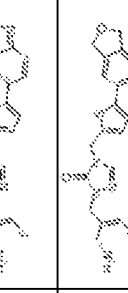

142		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[[5-(1-methyl-1H-pyrazol-4-yl)thiophen-2-yl]methyl]-2,4-dihydro-3H-1,2,4-triazol-3-one
143		5-[5-({1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}methyl)thiophen-2-yl]-1-(propan-2-yl)pyridin-2(1H)-one
144		3-{4-[5-({1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}methyl)thiophen-2-yl]phenyl}-1,2,4-oxadiazol-5(4H)-one
145		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({5-[6-(morpholin-4-ylmethyl)pyridin-3-yl]thiophen-2-yl}methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one
146		6-[5-({1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}methyl)thiophen-2-yl]-7-fluoro-3,4-dihydroquinolin-2(1H)-one
147		6-[5-({1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}methyl)thiophen-2-yl]-3-methyl-3,4-dihydroquinazolin-2(1H)-one
148		6-[5-({1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}methyl)thiophen-2-yl]-3,4-dihydro-1,8-naphthyridin-2(1H)-one
149		6-[5-({1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}methyl)thiophen-2-yl]-1,4-dihydro-2H-pyrido[2,3-d][1,3]oxazin-2-one
150		7-[5-({1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}methyl)thiophen-2-yl]-1-methyl-1H-pyrido[2,3-b][1,4]oxazin-2(3H)-one


151		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{{[5-(1-benzyl-1H-pyrazol-4-yl)thiophen-2-yl]methyl}}-2,4-dihydro-3H-1,2,4-triazol-3-one
152		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{{[5-(1H-pyrazol-4-yl)thiophen-2-yl]methyl}}-2,4-dihydro-3H-1,2,4-triazol-3-one
153		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{{[5-(1-ethyl-1H-pyrazol-4-yl)thiophen-2-yl]methyl}}-2,4-dihydro-3H-1,2,4-triazol-3-one
154		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{{[5-(2-chloro-1-methyl-1H-imidazol-5-yl)thiophen-2-yl]methyl}}-2,4-dihydro-3H-1,2,4-triazol-3-one
155		4-[(5'-acetyl-2,2'-bithiophen-5-yl)methyl]-2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one
156		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{{[5-(1-methyl-1H-pyrazol-5-yl)thiophen-2-yl]methyl}}-2,4-dihydro-3H-1,2,4-triazol-3-one
157		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{{[5-(1,3-dimethyl-1H-pyrazol-4-yl)thiophen-2-yl]methyl}}-2,4-dihydro-3H-1,2,4-triazol-3-one
158		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{{[5-(1,3-dimethyl-1H-pyrazol-5-yl)thiophen-2-yl]methyl}}-2,4-dihydro-3H-1,2,4-triazol-3-one
159		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{{[5-[1-(1-methylpiperidin-4-yl)-1H-pyrazol-4-yl]thiophen-2-yl]methyl}}-2,4-dihydro-3H-1,2,4-triazol-3-one


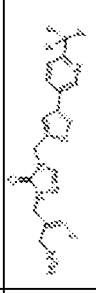
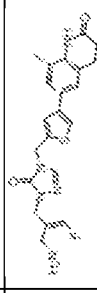
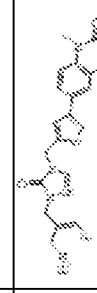
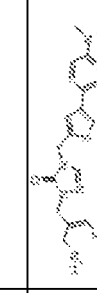
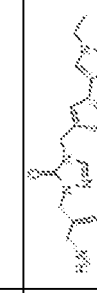
160		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[[5-(pyridin-4-yl)thiophen-2-yl]methyl]-2,4-dihydro-3H-1,2,4-triazol-3-one
161		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[(5-[1-(propan-2-yl)-1H-pyrazol-5-yl]thiophen-2-yl)methyl]-2,4-dihydro-3H-1,2,4-triazol-3-one
162		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[(5-[1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl]thiophen-2-yl)methyl]-2,4-dihydro-3H-1,2,4-triazol-3-one
163		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[[5-(1,2,3,6-tetrahydropyridin-4-yl)thiophen-2-yl]methyl]-2,4-dihydro-3H-1,2,4-triazol-3-one
164		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[[5'-(hydroxymethyl)-2,3'-bithiophen-5-yl]methyl]-2,4-dihydro-3H-1,2,4-triazol-3-one
165		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[(4'-methyl-2,3'-bithiophen-5-yl)methyl]-2,4-dihydro-3H-1,2,4-triazol-3-one
166		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[[5-(4-aminophenyl)thiophen-2-yl]methyl]-2,4-dihydro-3H-1,2,4-triazol-3-one
167		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[[5-(2-aminopyrimidin-5-yl)thiophen-2-yl]methyl]-2,4-dihydro-3H-1,2,4-triazol-3-one

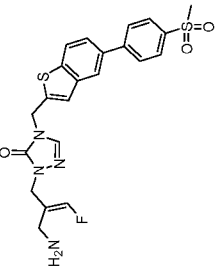
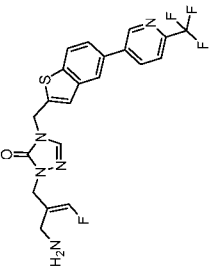
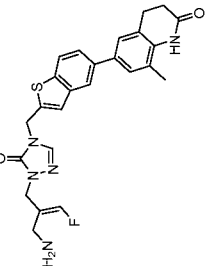
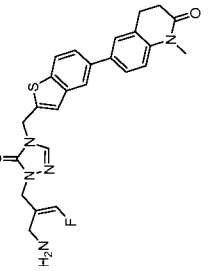
168		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({5-[4-(trifluoromethoxy)benzyl]thiophen-2-yl}methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one
169		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({5-[4-(hydroxymethyl)phenyl]thiophen-2-yl}methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one
170		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({5-[4-(difluoromethoxy)phenyl]thiophen-2-yl}methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one
171		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({5-[4-(2-hydroxyethyl)phenyl]thiophen-2-yl}methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one
172		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({5-[5-methyl-6-(morpholin-4-yl)pyridin-3-yl]thiophen-2-yl}methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one
173		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({5-[6-(dimethylamino)-5-fluoropyridin-3-yl]thiophen-2-yl}methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one
174		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({5-[6-(cyclopropylmethoxy)pyridin-3-yl]thiophen-2-yl}methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one
175		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({5-(pyridazin-4-yl)thiophen-2-yl}methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one
176		4-[5-({1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}methyl)thiophen-2-yl]-N-methylbenzamide

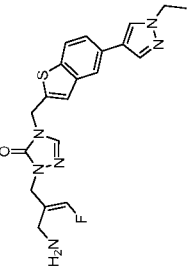
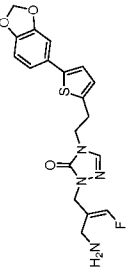
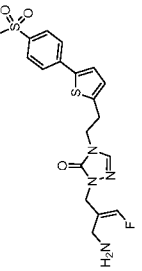
177		N-{3-[5-({1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}methyl)thiophen-2-yl]phenyl}methanesulfonamide
178		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{{5-(5,6-dimethoxypyridin-3-yl)thiophen-2-yl}methyl}-2,4-dihydro-3H-1,2,4-triazol-3-one
179		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{{5-(2-ethoxyrimidin-5-yl)thiophen-2-yl}methyl}-2,4-dihydro-3H-1,2,4-triazol-3-one
180		4-{{5-(4-acetylphenyl)thiophen-2-yl}methyl}-2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-2,4-dihydro-3H-1,2,4-triazol-3-one
181		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({5-[3-(methylsulfonyl)phenyl]thiophen-2-yl}methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one
182		3-[5-({1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}methyl)thiophen-2-yl]-N,N-dimethylbenzamide
183		3-[5-({1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}methyl)thiophen-2-yl]-N,N-dimethylbenzenesulfonamide
184		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({5-[3-(1H-pyrazol-3-yl)phenyl]thiophen-2-yl}methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one
185		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({5-[6-(propan-2-yloxy)pyridin-3-yl]thiophen-2-yl}methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one

186		5-[5-({1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}methyl)thiophen-2-yl]-N-tert-butylpyridine-3-sulfonamide
187		5-[5-({1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}methyl)thiophen-2-yl]-1-methylpyridin-2(1H)-one
188		5-[5-({1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}methyl)thiophen-2-yl]-1-[2-(methylsulfonyl)ethyl]pyridin-2(1H)-one
189		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}methyl)thiophen-2-yl]-1,3-benzothiazol-2-yl}acetamide
190		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({5-[1-(piperidin-4-yl)-1H-pyrazol-4-yl]thiophen-2-yl}methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one
191		5-[5-({1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}methyl)thiophen-2-yl]-1-benzylpyridin-2(1H)-one
192		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{[5-(2,1,3-benzothiadiazol-5-yl)thiophen-2-yl]methyl}-2,4-dihydro-3H-1,2,4-triazol-3-one
193		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{[5-(1,3-dihydro-2-benzofuran-5-yl)thiophen-2-yl]methyl}-2,4-dihydro-3H-1,2,4-triazol-3-one

194		5-[5-({1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}methyl)thiophen-2-yl]-1-ethylpyridin-2(1H)-one
195		5-[5-({1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}methyl)thiophen-2-yl]-1-cyclopropylpyridin-2(1H)-one
196		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({5-[4-(ethylsulfonyl)phenyl]thiophen-2-yl}methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one
197		4-[5-({1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}methyl)thiophen-2-yl]-N-cyclopropylbenzenesulfonamide
198		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({5-[4-(morpholin-4-ylsulfonyl)phenyl]thiophen-2-yl}methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one
199		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({5-[6-(piperazin-1-yl)pyridin-3-yl]thiophen-2-yl}methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one
200		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({4-(1,3-benzodioxol-5-yl)thiophen-3-yl}methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one

201		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({4-[4-(methylsulfonyl)phenyl]thiophen-3-yl}methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one
202		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({4-[6-(trifluoromethyl)pyridin-3-yl]thiophen-3-yl}methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one
203		6-[4-({1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}methyl)thiophen-3-yl]-8-methyl-3,4-dihydroquinolin-2(1H)-one
204		6-[4-({1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}methyl)thiophen-3-yl]-1-methyl-3,4-dihydroquinolin-2(1H)-one
205		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({4-[6-(dimethylamino)pyridin-3-yl]thiophen-3-yl}methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one
206		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({4-[1-ethyl-1H-pyrazol-4-yl]thiophen-3-yl}methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one
207		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({5-(1,3-benzodioxol-5-yl)-1-benzothiophen-2-yl}methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one

208		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({5-[4-(methylsulfonyl)phenyl]-1-benzothiophen-2-yl}methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one
209		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({5-[6-(trifluoromethyl)pyridin-3-yl]-1-benzothiophen-2-yl}methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one
210		6-[2-({1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}methyl)-1-benzothiophen-5-yl]-8-methyl-3,4-dihydroquinolin-2(1H)-one
211		6-[2-({1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}methyl)-1-benzothiophen-5-yl]-1-methyl-3,4-dihydroquinolin-2(1H)-one

212		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({5-[6-(dimethylamino)pyridin-3-yl]-1-benzothiophen-2-yl}methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one
213		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({5-[4-(piperazin-1-yl)phenyl]phenyl}-1-benzothiophen-2-yl}methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one
214		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({5-[1-ethyl-1H-pyrazol-4-yl]-1-benzothiophen-2-yl}methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one
215		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({2-[5-(1,3-benzodioxol-5-yl)thiophen-2-yl]ethyl}-2,4-dihydro-3H-1,2,4-triazol-3-one
216		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({2-[5-[4-(methylsulfonyl)phenyl]thiophen-2-yl]ethyl}-2,4-dihydro-3H-1,2,4-triazol-3-one

217		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(2-{5-[6-(trifluoromethyl)pyridin-3-yl]thiophen-2-yl}ethyl)-2,4-dihydro-3H-1,2,4-triazol-3-one
218		6-[5-(2-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}ethyl)thiophen-2-yl]-8-methyl-3,4-dihydroquinolin-2(1H)-one
219		6-[5-(2-{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}ethyl)thiophen-2-yl]-1-methyl-3,4-dihydroquinolin-2(1H)-one
220		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(2-{5-[6-(dimethylamino)pyridin-3-yl]thiophen-2-yl}ethyl)-2,4-dihydro-3H-1,2,4-triazol-3-one
221		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(2-{5-[4-(piperazin-1-yl)phenyl]thiophen-2-yl}ethyl)-2,4-dihydro-3H-1,2,4-triazol-3-one
222		2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{2-[5-(1-ethyl-1H-pyrazol-4-yl)thiophen-2-yl]ethyl}-2,4-dihydro-3H-1,2,4-triazol-3-one

[0722] Experimental Example 1: Activity evaluation with respect to amine oxidases

[0723] 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 fitted to a logistic model with four variables and IC<sub>50</sub> value was calculated using GraphPad Prism program.

[0724] 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.

[0725] 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 <sub>50</sub> , nM)			
	Example human VAP-1	MAO-A	MAO-B	DAO
1	5.7	18,000	14	3,019
2	5.2	> 100,000	14	3,300
3	4.7	72,000	21	860
4	4.6	35,000	50	9,500
5	3.3	>100,000	680	
6	3.4	>100,000	70	2,900
7	0.4	35,000	11,000	1,000
8	1.2	>100,000	210	520
9	1.5	>100,000	1,600	170
10	1.5	>100,000	77	500
11	1.8	>100,000	35	1,100
12	1.8	>100,000	110	200
13	0.8	>100,000	260	320

14	6.5	>100,000	<100	2,400
15	0.9	>100,000	5	670
16	1.2	>100,000	300	2,800
17	1.2	>100,000	1,000	620
18	2.1	13,000	220	350
19	4.6	>100,000	1,100	1,800
20	5	6,600	730	2,700
21	2	>100,000	3,000	1,300
22	6.4	>100,000	2,600	2,400
23	2.5	>100,000	300	3,200
24	1.4	21,000	>10,000	670
25	3.1	>100,000	1,300	690
26	1.4			
27	1.1	>100,000	18,000	470
28	0.5	>100,000	200	120
29	1.4	>100,000	>10,000	150
30	0.97			340
31	0.67	>100,000	73	120

32	1.2			220
33	0.8	>100,000	600	790
34	2	>100,000	116	660
35	0.89	39,600	247	67
36	0.7	>100,000	101	344
37	0.76	5,590	590	120
38	2.8	7,900	1,030	2,400
39	0.3	>100,000	11	310
40	0.6	>100,000	110	370
41	1.3			380
42	0.7	>100,000	5,100	570
43	4.1	>100,000	>10,000	110
44	1.9			
45	1.1	>100,000	400	330
46	1.3	>10,000	740	380
47	4.7	>100,000	980	530
48	1.6			
49	0.5	>100,000	360	98

50	0.5	>100,000	3,700	360
51	0.75			110
52	0.8	>100,000	640	170
53	2.6	>100,000	10,000	380
54	1.7	>10,000	10,000	110
55	0.5	>100,000	6,400	180
56	0.4	>100,000	4,200	40
57	2.2	6,600	1,600	83
58	3.9	>100,000	>10,000	780
59	0.5	>100,000	990	1,300
60	1.8	>10,000	<100	3,000
61	1.1	16,000	10.1	<100
62	3.7	2,100	310	530
63	0.9	67,000	380	1,200
64	0.7	6,700	2,200	110
65	0.7	>100,000	3,400	1,500
66	0.5	>10,000	1,200	340
67	0.3	>100,000	11,000	1,200

68	0.4	>100,000	1,600	1,000
69	0.1	70,000	1,800	1,100
70	0.1	>100,000	2,800	289
71	0.2	>100,000	>10,000	530
72	0.4	100,000	<10	230
73	0.2	>100,000	6,100	93
74	0.3	>100,000	>10,000	620
75	0.3	>100,000	2,600	950
76	2.7			
77	0.2	>100,000	1,300	460
78	0.2	>100,000	4,800	630
79	0.1	>100,000	1,900	210
80	0.2	>100,000	6,300	380
81	0.18	>100,000	430	68
82	0.1	>100,000	6,300	300
83	0.5	36,000	650	280
84	0.2	63,000	>10,000	1,300
85	0.3	>100,000	1,700	320

86	0.2	>100,000	2,500	380
87	0.4	>100,000	2,700	760
88	0.3	>100,000	2,400	897
89	1.9			
90	0.4	24,000	660	230
91	0.9	>10,000	3,200	340
92	0.2	29,000	600	350
93	0.4	54,000	1,100	230
94	0.3	11,000	1,700	160
95	0.25	25,000	370	240
96	0.8	87,000	120	310
97	0.4	28,000	380	230
98	0.4	>100,000	530	200
99	1.2			
100	0.1	>100,000	810	140
101	0.2	>100,000	540	900
102	0.2	>100,000	480	760
103	3.2	15,000	1,500	1,100

Table 3.

Example	Inhibitory Activity (IC <sub>50</sub> , nM)			
	Example human VAP-1	MAO-A	MAO-B	DAO
104	1.1	4,000	1,200	390
105	1.8	38,400	420	8,500
106	0.8	11,000	250	2,500
107	1.5	18,000	320	960
108	0.8	21,000	3,200	250
109	2.7	25,300	104	2,540
110	0.9	32,000	370	220
111	0.6	>100,000	5,900	530
112	0.9	>100,000	950	310
113	0.8	>100,000	160	340
114	0.3	143,000	23	110
115	0.7	>100,000	120	860
116	0.6	4,400	180	1,700
117	1.3	93,000	270	1,200

118	1.1	140,000	58	560
119	1.8	>100,000	1,200	860
120	6.2	16,000	340	1,100
121	1.2	>100,000	290	1,800
122	1.0	>100,000	10,000	680
123	0.6	>100,000	>10,000	250
124	0.1	>100,000	5,100	270
125	3.5	>100,000	2,100	1,600
126	3.4	57,000	250	1,000
127	0.8	>100,000	150	910
128	0.7	30,000	490	9,800
129	0.6	>100,000	770	360
130	0.5	57,400	440	1,500
131	2.3	>100,000	240	1,300
132	3.1	>100,000	2,500	1,400
133	0.2	49,000	180	530
134	2.0	7,100	300	2,100
135	1.1	>100,000	750	1,100

136	1.5	20,000	640	790
137	1.4	>100,000	390	1,400
138	0.2	>100,000	2,300	470
139	0.5	>100,000	73	290
140	31			
141	9.2	> 100000	> 10,000	4,200
142	2.9	>100,000	1,000	11,000
143	1.4	>100,000	2,600	1,700
144	4.6	>100,000	13,000	7,400
145	4.4	>100,000	>100,000	490
146	0.4	>100,000	3,900	1,300
147	0.3	>100,000	6,700	1,000
148	0.4	>100,000	24,000	420
149	0.4	>100,000	4,500	470
150	0.8	>100,000	26,000	190
151	2.7	59,000	1,500	790
152	4.9	13,000	3,500	4,400
153	1.3	>100,000	1,900	4,700

154	4.4	>100,000	1,400	690
155	0.4	>100,000	470	950
156	4.2	>100,000	28	1,300
157	2.6	>100,000	330	1,700
158	1.9	>100,000	430	340
159	4.3	>100,000	>100,000	1,200
160	2.2	>100,000	51	1,100
161	3.7	>100,000	15	4,300
162	3.2	>100,000	250	250
163	2.1	>100,000	5,500	300
164	2.5	>100,000	170	6,800
165	7.5	>100,000	2.8	5,300
166	7.7	>100,000	1,300	14,000
167	2.4	>100,000	>10,000	1,800
168	12	>100,000	340	4,500
169	3.3	>100,000	930	12,000
170	3	>100,000	190	3,100
171	2.4	>100,000	740	16,000

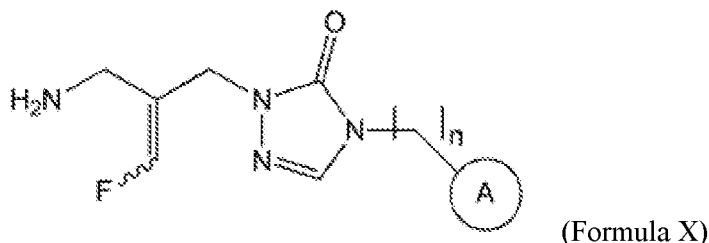
172	2.8	>100,000	3,900	490
173	2.1	>100,000	2,000	1,200
174	7.7	>100,000	570	1,000
175	3.6	>100,000	4,400	670
176	1.5	>100,000	1,900	1,100
177	1.5	>100,000	300	570
178	0.8	>100,000	700	280
179	1.2	>100,000	8400	89
180	0.9	>100,000	410	1200
181	1.5	>100,000	250	760
182	3.7	>100,000	6,600	3,600
183	1.2	>100,000	220	440
184	3.4	>100,000	330	3,800
185	2.7	>100,000	310	730
186	2.4	>100,000	20,000	140
187	1.3	>100,000	1,600	1,800
188	4.2	>100,000	>10,000	450
189	0.7	22,500	420	780

190	3.8	>100,000	>100,000	1,800
191	1.1	>100,000	1,500	130
192	1	>100,000	120	310
193	1	>100,000	85	2,000
194	1.6	>100,000	1,500	1,200
195	1.6	>100,000	2,300	710
196	2.3	>100,000	4,700	230
197	2	>100,000	2,700	340
198	2.2	>100,000	6,200	220
199	8.8	>100,000	>100,000	1,100
200	3.1	>100,000	130	4,200
201	1.5	>100,000	3,000	450
202	1.5	>100,000	890	390
203	0.6	>100,000	1,200	640
204	0.4	36,700	280	260
205	1.1	>100,000	2,500	20,000
206	2.4	>100,000	1,800	240
207	3.8	>100,000	64	2,800

208	1.2	>100,000	26,000	780
209	2.3	>100,000	990	1,500
210	1.7	>100,000	9,200	590
211	1.9	49,000	7,900	1,000
212	2.3	37,000	4,900	77,000
213	3.0	>100,000	5,200	1,500
214	1.6	>100,000	2,200	1,400
215	1.3	>100,000	310	380
216	0.5	>100,000	37,000	68
217	0.7	>100,000	6,900	61
218	0.7	>100,000	76,000	200
219	0.5	>100,000	38,000	120
220	0.7	>100,000	25,000	520
221	1.8	>100,000	>100,000	1,420
222	0.7	>100,000	38,000	890

[0726] 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.

[0727] Para. A. A compound of Formula X



an isomer thereof, or a pharmaceutically acceptable salt thereof;

wherein

n is 1 or 2,

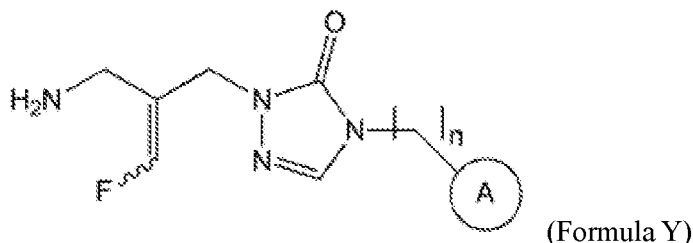
A is a heteroaryl group; said heteroaryl group has 1 to 5 heteroatom ring members chosen from O, N, or S, and said heteroaryl group is optionally substituted with a substituent chosen from C<sub>1-3</sub> alkyl, halogen, -R, -CH=CH-R, and -C≡C-R, and

R is a 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.

[0728] Para. B. The compound, or an isomer thereof, or a pharmaceutically acceptable salt thereof, of Para. A, wherein A is selected from thiazole, thiophene, pyrrole, pyrazole, imidazole, triazole, tetrazole, furan, oxazole, isoxazole, oxadiazole, thiadiazole, pyridine, pyrimidine, pyrazine, triazine, indole, azaindole, isoindole, azaisoindole, indazole, azaindazole, benzimidazole, azabenzimidazole, benzothiophene, azabenzothiophene, benzofuran, azabenzofuran, isobenzofuran, azabenzofuran, benzoisoxazole, benzooxazole, benzothiazole, benzothiadiazole, purine, and pyrazolo[1,5-a]pyrimidine.

[0729] Para. C. The compound, or an isomer thereof, or a pharmaceutically acceptable salt thereof, of Para. A or Para. B, wherein A is selected from thiophene, thiazole, and benzothiophene.

[0730] Para. D. A compound of Formula Y



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

wherein

n is 1 or 2,

wherein A is a heteroaryl group selected from the group consisting of thiophene, thiazole, and benzothiophene,

wherein said heteroaryl group is optionally substituted with a substituent selected from the group consisting of C<sub>1-3</sub> alkyl, halogen, -R, -CH=CH-R, and -C≡C-R,

wherein said R is a cyclic ring selected from the group consisting of benzene, benzyl, pyridine, pyridin-2-one, tetrahydropyridine, pyrimidine, pyridazine, thiophene, imidazole, pyrazole, oxadiazol-5-one, 1,1-dioxidothiomorpholin-4-yl-methyl, benzodioxole, benzooxadiazole, benzothiadiazole, benzooxazole, benzooxazolone, benzothiazole, 1,3-dihydrobenzofuran, indazole, thiazolo[5,4-b]pyridine, pyrrolo[2,3-b]pyridine, pyrrolo[2,3-b]pyridin-2-one, triazolone[1,5-a]pyridine, 1,3-dihydroindol-2-one, 2,3-dihydroisoindol-1-one, triazolone, quinoline, isoquinoline, quinolin-2-one, 3,4-dihydroquinolin-2-one, 3,4-dihydroisoquinolin-1-one, 3,4-dihydroquinazolin-2-one, 3,4-dihydronaphthyridin-2-one, 3,4-dihydro-1,4-benzooxazine, 1,4-benzooxazin-3-one, 3,1-benzooxazin-2-one, 1,4-benzothiazin-3-one, 3,4-dihydro-quinoxaline, 3,4-dihydro-2H-chromene, 1,2,3,4-tetrahydroquinoline, 5,6,7,8-tetrahydronaphthyridine, oxazolo[4,5-b]pyridin-2-one, imidazo[4,5-b]pyridine, pyrido[2,3-b][1,4]oxazine, pyrido[2,3-b][1,4]oxazin-2-one, pyrido[2,3-d][1,3]oxazin-2-one, pyrido[2,3-b][1,4]oxazin-3-one, pyrido[3,2-b][1,4]oxazine, and pyrido[3,2-b][1,4]oxazin-3-one, and

wherein said cyclic ring is optionally substituted with one to three substituents selected from the group consisting of hydroxy, halogen, cyano, C<sub>1-6</sub> alkyl, C<sub>1-6</sub> cycloalkyl,

benzyl, trifluoromethyl, hydroxy- C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkoxy, C<sub>1-6</sub> alkoxy-C<sub>1-6</sub> alkoxy, difluoromethoxy, trifluoro-C<sub>1-6</sub> alkoxy, 3,5-dimethoxybenzyloxy, (C<sub>1-6</sub> cycloalkyl)methoxy, amino, mono- or di-C<sub>1-6</sub> alkylamino, C<sub>1-6</sub> alkoxy-C<sub>1-6</sub> alkylamino, C<sub>1-6</sub> alkylsulfonylamino, C<sub>1-6</sub> alkylcarbonylamino, C<sub>1-6</sub> alkylthio, mono- or di-C<sub>1-6</sub> alkylaminocarbonyl, mono- or di-C<sub>1-6</sub> alkylaminosulfonyl, mono- or di-C<sub>1-6</sub> cycloalkylaminosulfonyl, pyrrolidinylsulfonyl, morpholinylsulfonyl, C<sub>1-6</sub> alkylcarbonyl, C<sub>1-6</sub> alkylsulfonyl, C<sub>1-6</sub> alkylsulfonyl-C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkoxy-carbonyl, morpholinylcarbonyl, pyrrolidinyl, 5-oxopyrrolidinyl, piperidinyl, C<sub>1-6</sub> alkyl-piperidinyl, piperazinyl, acetylpiperazinyl, morpholinyl, morpholinyl- C<sub>1-6</sub> alkyl, tetrahydropyranyl, pyrazolyl, triazolyl, isoxazolyl, oxazolyl, oxadiazolyl, 1,2,4-oxadiazol-5(4H)-onyl, cyclopropyl-oxadiazolyl, and C<sub>1-6</sub> alkyl-oxadiazolyl.

[0731] Para. E. The compound, or an isomer thereof, or a pharmaceutically acceptable salt thereof, of any one of Paras. A-D, wherein n is 1.

[0732] Para. F. The compound, or an isomer thereof, or a pharmaceutically acceptable salt thereof, of any one of Paras. A-D, wherein n is 2.

[0733] Para. G. The compound, or an isomer thereof, or a pharmaceutically acceptable salt thereof, of any one of Paras. A-F, wherein A is thiophene or benzothiophene.

[0734] Para. H. The compound, or an isomer thereof, or a pharmaceutically acceptable salt thereof, of any one of Paras. A-F, wherein A is thiophene.

[0735] Para. I. The compound, or an isomer thereof, or a pharmaceutically acceptable salt thereof, of any one of Paras. A-F, wherein A is benzothiophene.

[0736] Para. J. The compound, or an isomer thereof, or a pharmaceutically acceptable salt thereof, of any one of Paras. A-I, wherein said heteroaryl group is substituted with -R.

[0737] Para. K. The compound, or an isomer thereof, or a pharmaceutically acceptable salt thereof, of any one of Paras. A-J, wherein said R is a cyclic ring selected from the group consisting of benzene, pyridin-2-one, pyrazole, pyrrolo[2,3-b]pyridin-2-one, 1,3-dihydroindol-2-one, 2,3-dihydroisoindol-1-one, quinolin-2-one, 3,4-dihydroquinolin-2-one, 3,4-dihydroisoquinolin-1-one, 3,4-dihydroquinazolin-2-one, 1,4-benzooxazin-3-one, 3,1-benzooxazin-2-one, 1,4-benzothiazin-3-one, pyrido[2,3-b][1,4]oxazin-2-one, and pyrido[3,2-

b][1,4]oxazine.

[0738] Para. L. The compound, or an isomer thereof, or a pharmaceutically acceptable salt thereof, of any one of Paras. A-K, wherein said R is a cyclic ring selected from the group consisting of benzene, pyridin-2-one, pyrazole, 3,4-dihydroquinolin-2-one, 3,4-dihydroquinazolin-2-one, 1,4-benzooxazin-3-one, and 3,1-benzooxazin-2-one.

[0739] Para. M. The compound, or an isomer thereof, or a pharmaceutically acceptable salt thereof, of any one of Paras. A-L, wherein said cyclic ring is substituted with halogen, C<sub>1-6</sub> alkyl or di-C<sub>1-6</sub> alkylaminocarbonyl.

[0740] Para. N. The compound, or an isomer thereof, or a pharmaceutically acceptable salt thereof, of any one of Paras. A-D,

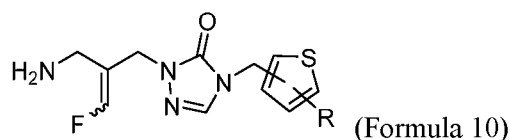
wherein n is 1,

wherein A is thiophene substituted with a cyclic ring selected from the group consisting of benzene, pyridin-2-one, pyrazole, 3,4-dihydroquinolin-2-one, 3,4-dihydroquinazolin-2-one, 1,4-benzooxazin-3-one, and 3,1-benzooxazin-2-one, and

wherein said cyclic ring is substituted with halogen, C<sub>1-6</sub> alkyl or di-C<sub>1-6</sub> alkylaminocarbonyl.

[0741] Para. O. 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.

[0742] Para. P. A compound of Formula 10:

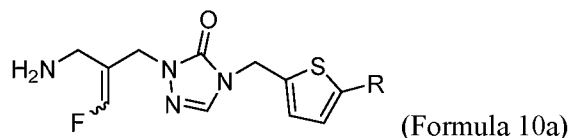


or an isomer thereof, or a pharmaceutically acceptable salt thereof,

wherein

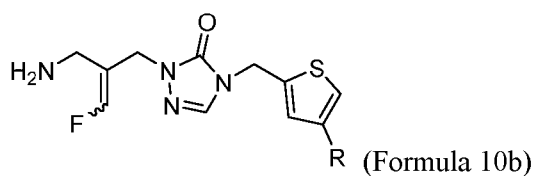
R is a substituted or unsubstituted cyclic ring, optionally containing at least one heteroatom, and the cyclic ring is aromatic or non-aromatic.

[0743] Para. Q. The compound of Para. P of Formula 10a:



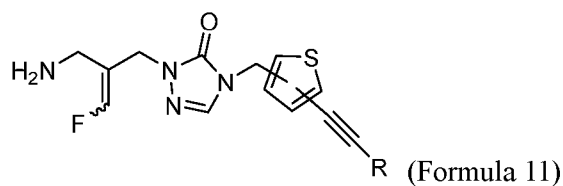
or an isomer thereof, or a pharmaceutically acceptable salt thereof.

[0744] Para. R. The compound of Para. P of Formula 10b:



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

[0745] Para. S. A compound of Formula 11:

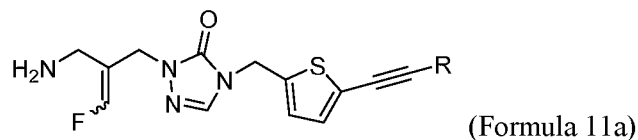


or an isomer thereof, or a pharmaceutically acceptable salt thereof,

wherein

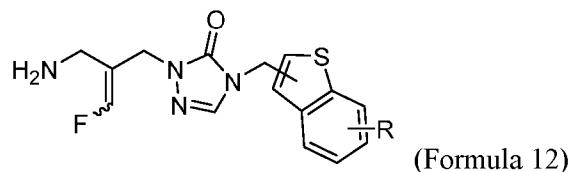
R is a substituted or unsubstituted cyclic ring, optionally containing at least one heteroatom, and the cyclic ring is aromatic or non-aromatic.

[0746] Para. T. The compound of Para. S of Formula 11a:



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

[0747] Para. U. A compound of Formula 12:

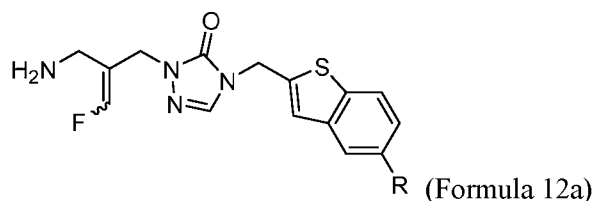


or an isomer thereof, or a pharmaceutically acceptable salt thereof,

wherein

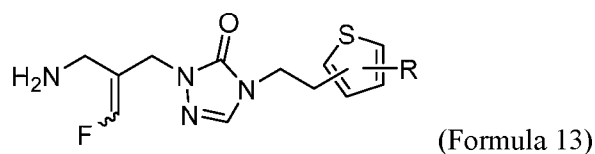
R is a substituted or unsubstituted cyclic ring, optionally containing at least one heteroatom, and the cyclic ring is aromatic or non-aromatic.

[0748] Para. V. The compound of Para. U of Formula 12a:



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

[0749] Para. W. A compound of Formula 13:

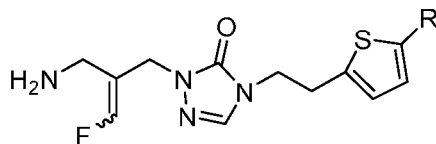


or an isomer thereof, or a pharmaceutically acceptable salt thereof,

wherein

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

[0750] Para. X. The compound of Para. W of Formula 13a:



(Formula 13a)

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

[0751] Para. Y. The compound of any one of Paras. A-D or Paras. P-X, or an isomer thereof, or a pharmaceutically acceptable salt thereof, wherein R is selected from the group consisting of benzene, benzyl, pyridine, pyridin-2-one, tetrahydropyridine, pyrimidine, pyridazine, thiophene, imidazole, pyrazole, oxadiazol-5-one, 1,1-dioxidothiomorpholin-4-yl-methyl, benzodioxole, benzooxadiazole, benzothiadiazole, benzooxazole, benzooxazolone, benzothiazole, 1,3-dihydrobenzofuran, indazole, thiazolo[5,4-b]pyridine, pyrrolo[2,3-b]pyridine, pyrrolo[2,3-b]pyridin-2-one, triazolone[1,5-a]pyridine, 1,3-dihydroindol-2-one, 2,3-dihydroisoindol-1-one, triazolone, quinoline, isoquinoline, quinolin-2-one, 3,4-dihydroquinolin-2-one, 3,4-dihydroisoquinolin-1-one, 3,4-dihydroquinazolin-2-one, 3,4-dihydronaphthyridin-2-one, 3,4-dihydro-1,4-benzooxazine, 1,4-benzooxazin-3-one, 3,1-benzooxazin-2-one, 1,4-benzothiazin-3-one, 3,4-dihydro-quinoxaline, 3,4-dihydro-2H-chromene, 1,2,3,4-tetrahydroquinoline, 5,6,7,8-tetrahydronaphthyridine, oxazolo[4,5-b]pyridin-2-one, imidazo[4,5-b]pyridine, pyrido[2,3-b][1,4]oxazine, pyrido[2,3-b][1,4]oxazin-2-one, pyrido[2,3-d][1,3]oxazin-2-one, pyrido[2,3-b][1,4]oxazin-3-one, pyrido[3,2-b][1,4]oxazine, and pyrido[3,2-b][1,4]oxazin-3-one, and

R is optionally substituted with one to three substituents selected from the group consisting of hydroxy, halogen, cyano, C<sub>1-6</sub> alkyl, C<sub>1-6</sub> cycloalkyl, benzyl, trifluoromethyl, hydroxy-C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkoxy, C<sub>1-6</sub> alkoxy-C<sub>1-6</sub> alkoxy, difluoromethoxy, trifluoro-C<sub>1-6</sub> alkoxy, 3,5-dimethoxybenzyloxy, (C<sub>1-6</sub> cycloalkyl)methoxy, amino, mono- or di-C<sub>1-6</sub> alkylamino, C<sub>1-6</sub> alkoxy-C<sub>1-6</sub> alkylamino, C<sub>1-6</sub> alkylsulfonylamino, C<sub>1-6</sub> alkylcarbonylamino, C<sub>1-6</sub> alkylthio, mono- or di-C<sub>1-6</sub> alkylaminocarbonyl, mono- or di-C<sub>1-6</sub> alkylaminosulfonyl, mono- or di-C<sub>1-6</sub> cycloalkylaminosulfonyl, pyrrolidinylsulfonyl, morpholinylsulfonyl, C<sub>1-6</sub> alkylcarbonyl, C<sub>1-6</sub> alkylsulfonyl, C<sub>1-6</sub> alkylsulfonyl-C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkoxy-carbonyl, morpholinylcarbonyl, pyrrolidinyl, 5-oxopyrrolidinyl, piperidinyl, C<sub>1-6</sub> alkyl-piperidinyl, piperazinyl, acetylpiperazinyl, morpholinyl, morpholinyl-C<sub>1-6</sub> alkyl, tetrahydropyranyl, pyrazolyl, triazolyl, isoxazolyl, oxazolyl, oxadiazolyl, 1,2,4-oxadiazol-5(4H)-onyl,

cyclopropyl-oxadiazolyl, and C<sub>1-6</sub> alkyl-oxadiazolyl.

[0752] Para. Z. A pharmaceutical composition comprising the compound according to any one of Paras. A-Y, or an isomer thereof, or a pharmaceutically acceptable salt thereof, and at least one pharmaceutically acceptable excipient.

[0753] Para. AA. 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-Y.

[0754] Para. AB. 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 Paras. A-Y, or an isomer thereof, or a pharmaceutically acceptable salt thereof, or a therapeutically effective amount of the pharmaceutical composition according to Para. Z.

[0755] Para. AC. Use of the compound according to any one of Paras. A-Y, or an isomer thereof, or a pharmaceutically acceptable salt thereof, for the manufacture of a medicament for the treatment of NASH.

[0756] Para. AD. A compound according any one of Paras. A-Y, or an isomer thereof, or a pharmaceutically acceptable salt thereof, for use in treating NASH.

[0757] Para. AE. A composition according to Para. Z for use in treating NASH.

[0758] Para. AF. A compound according any one of Paras. A-Y, or an isomer thereof, or a pharmaceutically acceptable salt thereof, for use in selectively inhibiting VAP-1.

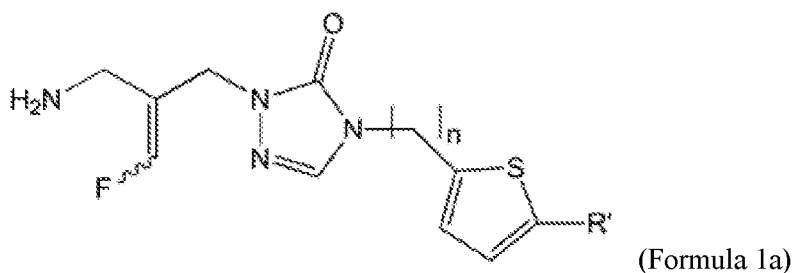
[0759] Para. AG. A composition according to Para. Z for use in selectively inhibiting VAP-1.

[0760] Para. AH. 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 Paras. A-Y, or an isomer thereof, or a pharmaceutically acceptable salt thereof, or a therapeutically effective amount of the

pharmaceutical composition according to Para. Z.

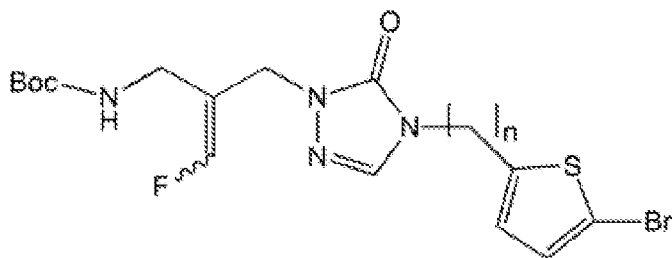
[0761] Para. AI. The method of Para. AH, wherein the disease mediated by VAP-1 is selected from the group consisting of lipid and lipoprotein disorders, conditions and diseases which result from chronic fatty and fibrotic degeneration of organs due to accumulated lipid and specifically triglyceride accumulation 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.

[0762] Para. AJ. A method of preparing a compound of Formula 1a,



or an isomer thereof, or a pharmaceutically acceptable salt thereof, the method comprising

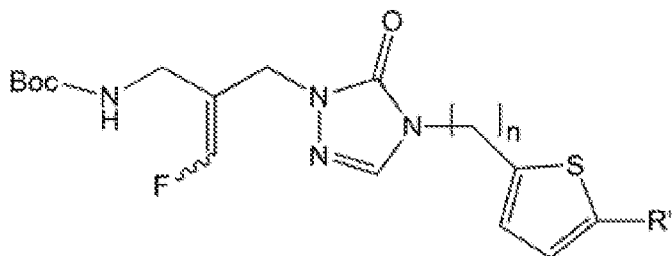
reacting a compound of Formula 2 with a compound of Formula 3a or a compound of Formula 3b to obtain a compound of Formula 1aa;



(Formula 2)

Z<sub>2</sub>-B-R' (Formula 3a)

HC≡CR' (Formula 3b)



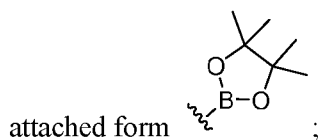
(Formula 1aa); and

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;

wherein n is 1 or 2;

Boc is an amine protecting group;

Z is hydroxy or C<sub>1-3</sub> alkoxy, or two Z together with the boron to which they are



R' is -R, -CH<sub>2</sub>-R, -CH=CH-R, or -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.

[0763] Para. AK. The method of Para. AJ, wherein R is selected from the group

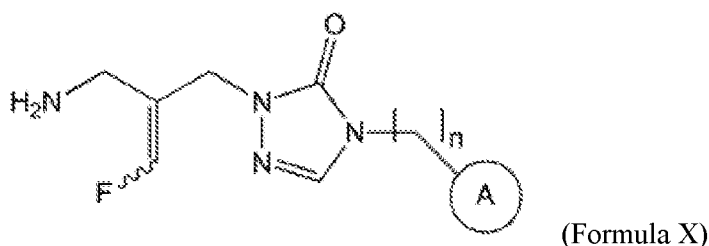
consisting of benzene, benzyl, pyridine, pyridin-2-one, tetrahydropyridine, pyrimidine, pyridazine, thiophene, imidazole, pyrazole, oxadiazol-5-one, 1,1-dioxidothiomorpholin-4-yl-methyl, benzodioxole, benzooxadiazole, benzothiadiazole, benzooxazole, benzooxazolone, benzothiazole, 1,3-dihydrobenzofuran, indazole, thiazolo[5,4-b]pyridine, pyrrolo[2,3-b]pyridine, pyrrolo[2,3-b]pyridin-2-one, triazolone[1,5-a]pyridine, 1,3-dihydroindol-2-one, 2,3-dihydroisoindol-1-one, triazolone, quinoline, isoquinoline, quinolin-2-one, 3,4-dihydroquinolin-2-one, 3,4-dihydroisoquinolin-1-one, 3,4-dihydroquinazolin-2-one, 3,4-dihydronaphthyridin-2-one, 3,4-dihydro-1,4-benzooxazine, 1,4-benzooxazin-3-one, 3,1-benzooxazin-2-one, 1,4-benzothiazin-3-one, 3,4-dihydro-quinoxaline, 3,4-dihydro-2H-chromene, 1,2,3,4-tetrahydroquinoline, 5,6,7,8-tetrahydronaphthyridine, oxazolo[4,5-b]pyridin-2-one, imidazo[4,5-b]pyridine, pyrido[2,3-b][1,4]oxazine, pyrido[2,3-b][1,4]oxazin-2-one, pyrido[2,3-d][1,3]oxazin-2-one, pyrido[2,3-b][1,4]oxazin-3-one, pyrido[3,2-b][1,4]oxazine, and pyrido[3,2-b][1,4]oxazin-3-one, and

wherein R is optionally substituted with one to three substituents selected from the group consisting of hydroxy, halogen, cyano, C<sub>1-6</sub> alkyl, C<sub>1-6</sub> cycloalkyl, benzyl, trifluoromethyl, hydroxy-C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkoxy, C<sub>1-6</sub> alkoxy-C<sub>1-6</sub> alkoxy, difluoromethoxy, trifluoro-C<sub>1-6</sub> alkoxy, trifluoroethoxy, 3,5-dimethoxybenzyloxy, (C<sub>1-6</sub> cycloalkyl)methoxy, amino, mono- or di-C<sub>1-6</sub> alkylamino, C<sub>1-6</sub> alkoxy-C<sub>1-6</sub> alkylamino, C<sub>1-6</sub> alkylsulfonylamino, C<sub>1-6</sub> alkylcarbonylamino, C<sub>1-6</sub> alkylthio, mono- or di-C<sub>1-6</sub> alkylaminocarbonyl, mono- or di-C<sub>1-6</sub> alkylaminosulfonyl, mono- or di-C<sub>1-6</sub> cycloalkylaminosulfonyl, pyrrolidinylsulfonyl, morpholinylsulfonyl, C<sub>1-6</sub> alkylcarbonyl, C<sub>1-6</sub> alkylsulfonyl, C<sub>1-6</sub> alkylsulfonyl-C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkoxy-carbonyl, morpholinylcarbonyl, pyrrolidinyl, 5-oxopyrrolidinyl, piperidinyl, C<sub>1-6</sub> alkyl-piperidinyl, piperazinyl, acetylpiperazinyl, morpholinyl, morpholinyl-C<sub>1-6</sub> alkyl, tetrahydropyranyl, pyrazolyl, triazolyl, isoxazolyl, oxazolyl, oxadiazolyl, 1,2,4-oxadiazol-5(4H)-onyl, cyclopropyl-oxadiazolyl, and C<sub>1-6</sub> alkyl-oxadiazolyl.

## CLAIMS

WHAT IS CLAIMED IS:

1. A compound of Formula X



an isomer thereof, or a pharmaceutically acceptable salt thereof;

wherein

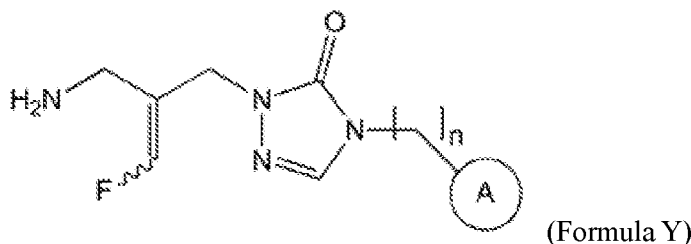
n is 1 or 2,

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 optionally substituted with a substituent chosen from C<sub>1-3</sub> alkyl, halogen, -R, -CH=CH-R, and -C≡C-R, and

R is a 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 thiazole, thiophene, pyrrole, pyrazole, imidazole, triazole, tetrazole, furan, oxazole, isoxazole, oxadiazole, thiadiazole, pyridine, pyrimidine, pyrazine, triazine, indole, azaindole, isoindole, azaisoindole, indazole, azaindazole, benzimidazole, azabenzimidazole, benzothiophene, azabenzothiophene, benzofuran, azabenzofuran, isobenzofuran, azabenzofuran, benzoisoxazole, benzooxazole, benzothiazole, benzothiadiazole, purine, and pyrazolo[1,5-a]pyrimidine.
3. The compound, or an isomer thereof, or a pharmaceutically acceptable salt thereof, of Claim 1, wherein A is selected from thiophene, thiazole, and benzothiophene.

4. A compound of Formula Y



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

wherein

n is 1 or 2,

wherein A is a heteroaryl group selected from the group consisting of thiophene, thiazole, and benzothiophene,

wherein said heteroaryl group is optionally substituted with a substituent selected from the group consisting of C<sub>1-3</sub> alkyl, halogen, -R, -CH=CH-R, and -C≡C-R,

wherein said R is a cyclic ring selected from the group consisting of benzene, benzyl, pyridine, pyridin-2-one, tetrahydropyridine, pyrimidine, pyridazine, thiophene, imidazole, pyrazole, oxadiazol-5-one, 1,1-dioxidothiomorpholin-4-yl-methyl, benzodioxole, benzooxadiazole, benzothiadiazole, benzooxazole, benzooxazolone, benzothiazole, 1,3-dihydrobenzofuran, indazole, thiazolo[5,4-b]pyridine, pyrrolo[2,3-b]pyridine, pyrrolo[2,3-b]pyridin-2-one, triazolone[1,5-a]pyridine, 1,3-dihydroindol-2-one, 2,3-dihydroisoindol-1-one, triazolone, quinoline, isoquinoline, quinolin-2-one, 3,4-dihydroquinolin-2-one, 3,4-dihydroisoquinolin-1-one, 3,4-dihydroquinazolin-2-one, 3,4-dihydronaphthyridin-2-one, 3,4-dihydro-1,4-benzooxazine, 1,4-benzooxazin-3-one, 3,1-benzooxazin-2-one, 1,4-benzothiazin-3-one, 3,4-dihydro-quinoxaline, 3,4-dihydro-2H-chromene, 1,2,3,4-tetrahydroquinoline, 5,6,7,8-tetrahydronaphthyridine, oxazolo[4,5-b]pyridin-2-one, imidazo[4,5-b]pyridine, pyrido[2,3-b][1,4]oxazine, pyrido[2,3-b][1,4]oxazin-2-one, pyrido[2,3-d][1,3]oxazin-2-one, pyrido[2,3-b][1,4]oxazin-3-one, pyrido[3,2-b][1,4]oxazine, and pyrido[3,2-b][1,4]oxazin-3-one, and

wherein said cyclic ring is optionally substituted with one to three substituents

selected from the group consisting of hydroxy, halogen, cyano, C<sub>1-6</sub> alkyl, C<sub>1-6</sub> cycloalkyl, benzyl, trifluoromethyl, hydroxy- C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkoxy, C<sub>1-6</sub> alkoxy-C<sub>1-6</sub> alkoxy, difluoromethoxy, trifluoro-C<sub>1-6</sub> alkoxy, 3,5-dimethoxybenzyloxy, (C<sub>1-6</sub> cycloalkyl)methoxy, amino, mono- or di-C<sub>1-6</sub> alkylamino, C<sub>1-6</sub> alkoxy-C<sub>1-6</sub> alkylamino, C<sub>1-6</sub> alkylsulfonylamino, C<sub>1-6</sub> alkylcarbonylamino, C<sub>1-6</sub> alkylthio, mono- or di-C<sub>1-6</sub> alkylaminocarbonyl, mono- or di-C<sub>1-6</sub> alkylaminosulfonyl, mono- or di-C<sub>1-6</sub> cycloalkylaminosulfonyl, pyrrolidinylsulfonyl, morpholinylsulfonyl, C<sub>1-6</sub> alkylcarbonyl, C<sub>1-6</sub> alkylsulfonyl, C<sub>1-6</sub> alkylsulfonyl-C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkoxy carbonyl, morpholinylcarbonyl, pyrrolidinyl, 5-oxopyrrolidinyl, piperidinyl, C<sub>1-6</sub> alkyl-piperidinyl, piperazinyl, acetylpiperazinyl, morpholinyl, morpholinyl- C<sub>1-6</sub> alkyl, tetrahydropyrynyl, pyrazolyl, triazolyl, isoxazolyl, oxazolyl, oxadiazolyl, 1,2,4-oxadiazol-5(4H)-onyl, cyclopropyl-oxadiazolyl, and C<sub>1-6</sub> alkyl-oxadiazolyl.

5. The compound, or an isomer thereof, or a pharmaceutically acceptable salt thereof, of any one of Claims 1-4, wherein n is 1.

6. The compound, or an isomer thereof, or a pharmaceutically acceptable salt thereof, of any one of Claims 1-5, wherein A is thiophene.

7. The compound, or an isomer thereof, or a pharmaceutically acceptable salt thereof, of any one of Claims 1-6, wherein said heteroaryl group is substituted with -R.

8. The compound, or an isomer thereof, or a pharmaceutically acceptable salt thereof, of any one of Claims 1-7, wherein said R is a cyclic ring selected from the group consisting of benzene, pyridin-2-one, pyrazole, pyrrolo[2,3-b]pyridin-2-one, 1,3-dihydroindol-2-one, 2,3-dihydroisoindol-1-one, quinolin-2-one, 3,4-dihydroquinolin-2-one, 3,4-dihydroisoquinolin-1-one, 3,4-dihydroquinazolin-2-one, 1,4-benzooxazin-3-one, 3,1-benzooxazin-2-one, 1,4-benzothiazin-3-one, pyrido[2,3-b][1,4]oxazin-2-one, and pyrido[3,2-b][1,4]oxazine.

9. The compound, or an isomer thereof, or a pharmaceutically acceptable salt thereof, of any one of Claims 1-8, wherein said R is a cyclic ring selected from the group consisting of benzene, pyridin-2-one, pyrazole, 3,4-dihydroquinolin-2-one, 3,4-dihydroquinazolin-2-one, 1,4-benzooxazin-3-one, and 3,1-benzooxazin-2-one.

10. The compound, or an isomer thereof, or a pharmaceutically acceptable salt thereof, of any one of Claims 1-9, wherein said cyclic ring is substituted with halogen, C<sub>1-6</sub> alkyl or di-

C<sub>1-6</sub> alkylaminocarbonyl.

11. The compound, or an isomer thereof, or a pharmaceutically acceptable salt thereof, of any one of Claims 1-4,

wherein n is 1,

wherein A is thiophene substituted with a cyclic ring selected from the group consisting of benzene, pyridin-2-one, pyrazole, 3,4-dihydroquinolin-2-one, 3,4-dihydroquinazolin-2-one, 1,4-benzooxazin-3-one, and 3,1-benzooxazin-2-one, and

wherein said cyclic ring is substituted with halogen, C<sub>1-6</sub> alkyl or di-C<sub>1-6</sub> alkylaminocarbonyl.

12. 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-[(2*Z*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[(5-bromothiophen-2-yl)methyl]-2,4-dihydro-3*H*-1,2,4-triazol-3-one;

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

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

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

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

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

*N*-4-[5-(1-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]phenylmethanesulfonamide hydrochloride;

3-[5-(1-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]-*N*-methylbenzenesulfonamide hydrochloride;

4-[5-(1-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]-*N,N*-dimethylbenzenesulfonamide hydrochloride;

methyl 4-[5-(1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]benzoate hydrochloride;

methyl 3-[5-(1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]benzoate hydrochloride;

methyl 4-[5-(1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]-2-fluorobenzoate hydrochloride;

methyl 3-[5-(1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]-5-fluorobenzoate hydrochloride;

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

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

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

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

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[(5-4-[(3,5-dimethoxybenzyl)oxy]-3,5-dimethylphenyl)thiophen-2-yl]methyl]-2,4-dihydro-3H-1,2,4-triazol-3-one hydrochloride;

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

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

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

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

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

4-(5-[4-(4-acetylpiperazin-1-yl)phenyl]thiophen-2-ylmethyl)-2-[(2E)-2-

(aminomethyl)-3-fluoroprop-2-en-1-yl]-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;  
2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[4-(tetrahydro-2*H*-pyran-4-yl)phenyl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;  
2-[(2*Z*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[4-(morpholin-4-ylcarbonyl)phenyl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;  
2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[4-(morpholin-4-ylcarbonyl)phenyl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;  
2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[3-(pyrrolidin-1-ylsulfonyl)phenyl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;  
2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[4-(morpholin-4-ylsulfonyl)phenyl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;  
2-[(2*Z*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[4-(4*H*-1,2,4-triazol-3-yl)phenyl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one;  
2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[4-(4*H*-1,2,4-triazol-3-yl)phenyl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one;  
2-[(2*Z*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[3-(4*H*-1,2,4-triazol-3-yl)phenyl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one;  
2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[3-(4*H*-1,2,4-triazol-3-yl)phenyl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one;  
2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[3-(1,2,4-oxadiazol-3-yl)phenyl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one;  
2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[4-(5-cyclopropyl-1,2,4-oxadiazol-3-yl)phenyl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;  
2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[3-(5-cyclopropyl-1,2,4-oxadiazol-3-yl)phenyl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;  
2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[(5-4-[5-(propan-2-yl)-1,2,4-oxadiazol-3-yl]phenyl]thiophen-2-yl)methyl]-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;  
2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[(5-3-[5-(propan-2-yl)-1,2,4-oxadiazol-3-yl]phenyl]thiophen-2-yl)methyl]-2,4-dihydro-3*H*-1,2,4-triazol-3-one

hydrochloride;

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

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

*N*-4-[5-(1-[(2*Z*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]pyridin-2-ylacetamide hydrochloride;

2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[6-(dimethylamino)pyridin-3-yl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;

5-[5-(1-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]-*N*-tert-butylpyridin-3-sulfonamide hydrochloride;

2-[(2*Z*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-(6-methoxypyridin-3-yl)thiophen-2-yl]methyl-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;

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

2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[6-(methylsulfanyl)pyridin-3-yl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;

2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[6-(2,2,2-trifluoroethoxy)pyridin-3-yl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;

2-[(2*Z*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[6-(trifluoromethyl)pyridin-3-yl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;

2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[6-(trifluoromethyl)pyridin-3-yl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;

5-[5-(1-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]pyridin-2-carbonitrile hydrochloride;

2-[(2*Z*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[6-(morpholin-4-yl)pyridin-3-yl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;

2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[6-(piperidin-1-yl)pyridin-3-yl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;

2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[(5-2-[(2-methoxyethyl)amino]pyrimidin-5-yl)thiophen-2-yl)methyl]-2,4-dihydro-3*H*-1,2,4-triazol-3-

one hydrochloride;

5-[5-(1-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]pyrimidin-2-carbonitrile hydrochloride;

2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[2-(dimethylamino)pyrimidin-5-yl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;

2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[2-(methylsulfanyl)pyrimidin-5-yl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;

2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[2-(morpholin-4-yl)pyrimidin-5-yl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;

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

4-[[5-(1-acetyl-3,6-dihydro-2*H*-pyridin-4-yl)-2-thienyl]methyl]-2-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-1,2,4-triazol-3-one;

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

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

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

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

2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-([1,3]thiazolo[5,4-*b*]pyridin-6-yl)thiophen-2-yl]methyl-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;

2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-(1*H*-pyrrolo[2,3-*b*]pyridin-5-yl)thiophen-2-yl]methyl-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;

2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-([1,2,4]triazolo[1,5-*a*]pyridin-7-yl)thiophen-2-yl]methyl-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;

6-[5-(1-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]-2,3-dihydro-1*H*-isoindol-1-one hydrochloride;

5-[5-(1-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]-2,3-dihydro-1*H*-isoindol-1-one hydrochloride;

5-[5-(1-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]-1,3-dihydro-2*H*-indol-2-one hydrochloride;

5-[5-[[1-(*E*)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]methyl]-2-thienyl]-7-fluoro-isoindol-1-one hydrochloride;

5-[5-[[1-(*E*)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]methyl]-2-thienyl]-7-fluoro-indolin-2-one hydrochloride;

5-[5-(1-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]-1,3-benzooxazol-2(3*H*)-one hydrochloride;

5-[5-(1-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]-1-methyl-1,3-dihydro-2*H*-pyrrolo[2,3-*b*]pyridin-2-one hydrochloride;

7-[5-(1-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]-3,4-dihydroisoquinolin-1(2*H*)-one hydrochloride;

6-[5-(1-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]-3,4-dihydroquinolin-2(1*H*)-one hydrochloride;

6-[5-(1-[(2*Z*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]-8-methyl-3,4-dihydroquinolin-2(1*H*)-one hydrochloride;

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

6-[5-[[1-(*E*)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]methyl]-2-thienyl]-8-methyl-1*H*-quinolin-2-one;

6-[5-(1-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]-1-methyl-3,4-dihydroquinolin-2(1*H*)-one hydrochloride;

6-[5-[[1-(*E*)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]methyl]-2-thienyl]-8-fluoro-3,4-dihydro-1*H*-quinolin-2-one;

6-[5-[[1-(*E*)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]methyl]-2-thienyl]-8-(trifluoromethyl)-3,4-dihydro-1*H*-quinolin-2-one;

6-[5-(1-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]-8-fluoroquinolin-2(1*H*)-one hydrochloride;

6-[5-[[1-(*E*)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]methyl]-2-

thienyl]-8-methyl-4-(trifluoromethyl)-1*H*-quinolin-2-one;

7-[5-(1-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]-2*H*-1,4-benzoxazin-3(4*H*)-one hydrochloride;

7-[5-[[1-(*E*)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]methyl]-2-thienyl]-4*H*-1,4-benzothiazin-3-one hydrochloride;

7-[5-[[1-(*E*)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]methyl]-2-thienyl]-4-methyl-1,4-benzoxazin-3-one hydrochloride;

7-[5-[[1-(*E*)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]methyl]-2-thienyl]-2,2-dimethyl-4*H*-1,4-benzoxazin-3-one;

7-[5-[[1-(*E*)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]methyl]-2-thienyl]-8-methyl-3,4-dihydro-1*H*-quinolin-2-one;

6-[5-(1-[(2*Z*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]-2*H*-1,4-benzoxazin-3(4*H*)-one hydrochloride;

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

6-[5-(1-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]-8-methyl-2*H*-1,4-benzoxazin-3(4*H*)-one hydrochloride;

6-[5-[[1-(*E*)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]methyl]-2-thienyl]-5-methyl-4*H*-1,4-benzoxazin-3-one hydrochloride;

6-[5-(1-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]-7-fluoro-2*H*-1,4-benzoxazin-3(4*H*)-one hydrochloride;

6-[5-[[1-(*E*)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]methyl]-2-thienyl]-8-fluoro-4*H*-1,4-benzoxazin-3-one hydrochloride;

6-[5-[[1-(*E*)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]methyl]-2-thienyl]-5-chloro-4*H*-1,4-benzoxazin-3-one hydrochloride;

6-[5-(1-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]-4-methyl-2*H*-1,4-benzoxazin-3(4*H*)-one hydrochloride;

6-[5-[[1-(*E*)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]methyl]-2-thienyl]-2-methyl-4*H*-1,4-benzoxazin-3-one hydrochloride;

6-[5-[[1-(*E*)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]methyl]-2-thienyl]-2,2-dimethyl-4*H*-1,4-benzoxazin-3-one;

7-[5-(1-[(2*Z*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-

triazol-4-ylmethyl)thiophen-2-yl]-1,4-dihydro-2*H*-3,1-benzoxazin-2-one hydrochloride;  
 7-[5-(1-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]-1,4-dihydro-2*H*-3,1-benzoxazin-2-one hydrochloride;  
 6-[5-(1-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4*H*-1,2,4-triazol-4-ylmethyl)thiophen-2-yl]-1,4-dihydro-2*H*-3,1-benzoxazin-2-one hydrochloride;  
 6-[5-[[1-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-5-oxo-1,2,4-triazol-4-yl]methyl]-2-thienyl]-5-methyl-1,4-dihydro-3,1-benzoxazin-2-one;  
 2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-(4-methyl-3,4-dihydro-2*H*-1,4-benzoxazin-7-yl)thiophen-2-yl]methyl-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;  
 2-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-4-[[5-(1-methyl-3,4-dihydro-2*H*-quinoxalin-6-yl)-2-thienyl]methyl]-1,2,4-triazol-3-one;  
 2-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-4-[[5-(3,4-dihydro-2*H*-1,4-benzoxazin-6-yl)-2-thienyl]methyl]-1,2,4-triazol-3-one;  
 2-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-4-[[5-(5-fluoro-3,4-dihydro-2*H*-1,4-benzoxazin-6-yl)-2-thienyl]methyl]-1,2,4-triazol-3-one;  
 2-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-4-[[5-(7-fluoro-3,4-dihydro-2*H*-1,4-benzoxazin-6-yl)-2-thienyl]methyl]-1,2,4-triazol-3-one;  
 2-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-4-[[5-(5-methyl-3,4-dihydro-2*H*-1,4-benzoxazin-6-yl)-2-thienyl]methyl]-1,2,4-triazol-3-one;  
 2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-(3,4-dihydro-2*H*-chromen-6-yl)thiophen-2-yl]methyl-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;  
 2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-(1,2,3,4-tetrahydroquinolin-6-yl)thiophen-2-yl]methyl-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;  
 2-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-4-[[5-(5,6,7,8-tetrahydro-1,8-naphthyridin-3-yl)-2-thienyl]methyl]-1,2,4-triazol-3-one;  
 2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[2-(methylamino)quinazolin-6-yl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;  
 2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-(quinolin-5-yl)thiophen-2-yl]methyl-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;  
 2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-(isoquinolin-5-yl)thiophen-2-yl]methyl-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;  
 2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-[5-(1*H*-pyrrolo[2,3-*b*]pyridin-3-

yl)thiophen-2-yl]methyl-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;

2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[(*E*)-2-(4-hydroxyphenyl)ethenyl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;

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

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

2-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-4-[[5-[(*E*)-2-[4-(trifluoromethyl)phenyl]vinyl]-2-thienyl]methyl]-1,2,4-triazol-3-one hydrochloride;

2-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-4-[[5-[2-[4-(dimethylamino)phenyl]vinyl]-2-thienyl]methyl]-1,2,4-triazol-3-one;

2-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-4-[[5-[(*E*)-2-(3-thienyl)vinyl]-2-thienyl]methyl]-1,2,4-triazol-3-one hydrochloride;

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

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

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

2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[(3-aminophenyl)ethynyl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;

2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[(4-methoxyphenyl)ethynyl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;

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

2-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-4-[[5-[2-[6-(dimethylamino)-3-pyridyl]ethynyl]-2-thienyl]methyl]-1,2,4-triazol-3-one;

2-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-4-[[5-[2-(6-morpholino-3-pyridyl)ethynyl]-2-thienyl]methyl]-1,2,4-triazol-3-one hydrochloride;

2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[(1-methyl-1*H*-imidazol-5-yl)ethynyl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;

2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[(1-methyl-1*H*-pyrazol-4-yl)ethynyl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;

2-[(2*E*)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(5-[3-(1,1-dioxidothiomorpholin-4-yl)prop-1-yn-1-yl]thiophen-2-ylmethyl)-2,4-dihydro-3*H*-1,2,4-triazol-3-one hydrochloride;

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

2-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-4-[[5-[2-(3,4-dihydro-2*H*-1,4-benzoxazin-6-yl)ethynyl]-2-thienyl]methyl]-1,2,4-triazol-3-one;

2-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-4-[[5-[2-(2,3-dihydro-1*H*-pyrido[2,3-*b*][1,4]oxazin-7-yl)ethynyl]-2-thienyl]methyl]-1,2,4-triazol-3-one;

2-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-4-[[5-[2-(2,3-dihydro-1*H*-pyrido[2,3-*b*][1,4]oxazin-6-yl)ethynyl]-2-thienyl]methyl]-1,2,4-triazol-3-one hydrochloride;

2-[(*E*)-2-(aminomethyl)-3-fluoro-allyl]-4-[[5-[2-(3,4-dihydro-2*H*-pyrido[3,2-*b*][1,4]oxazin-7-yl)ethynyl]-2-thienyl]methyl]-1,2,4-triazol-3-one;

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

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

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

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

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

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

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

1,2,4-triazol-4-yl}methyl)thiophen-2-yl]phenyl}-1,2,4-oxadiazol-5(4H)-one;

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

6-[5-({1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}methyl)thiophen-2-yl]-7-fluoro-3,4-dihydroquinolin-2(1H)-one;

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

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

6-[5-({1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}methyl)thiophen-2-yl]-1,4-dihydro-2H-pyrido[2,3-d][1,3]oxazin-2-one;

7-[5-({1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}methyl)thiophen-2-yl]-1-methyl-1H-pyrido[2,3-b][1,4]oxazin-2(3H)-one;

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

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

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

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

4-[(5'-acetyl-2,2'-bithiophen-5-yl)methyl]-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-(1-methyl-1H-pyrazol-5-yl)thiophen-2-yl}methyl}-2,4-dihydro-3H-1,2,4-triazol-3-one;

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

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

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

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{{5-(pyridin-4-yl)thiophen-2-

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

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({5-[1-(propan-2-yl)-1H-pyrazol-5-yl]thiophen-2-yl)methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one;

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

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

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

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

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

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

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({5-[4-(trifluoromethoxy)benzyl]thiophen-2-yl)methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one;

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

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

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

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

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

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

2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{{5-(pyridazin-4-yl)thiophen-2-

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

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

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

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

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

4-{{5-(4-acetylphenyl)thiophen-2-yl}methyl}-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-[3-(methylsulfonyl)phenyl]thiophen-2-yl}methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one;

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

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

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

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

5-[5-({1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}methyl)thiophen-2-yl]-N-tert-butylpyridin-3-sulfonamide;

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

5-[5-({1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}methyl)thiophen-2-yl]-1-[2-(methylsulfonyl)ethyl]pyridin-2(1H)-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}methyl)thiophen-2-yl]-1,3-benzothiazol-2-yl}acetamide;

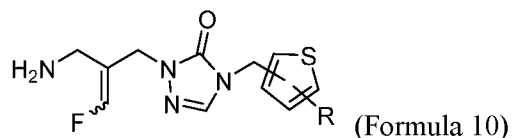
2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({5-[1-(piperidin-4-yl)-1H-pyrazol-4-yl]thiophen-2-yl}methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one;

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

1,2,4-triazol-4-yl}methyl)thiophen-2-yl]-1-benzylpyridin-2(1H)-one;  
 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{[5-(2,1,3-benzothiadiazol-5-yl)thiophen-2-yl]methyl}-2,4-dihydro-3H-1,2,4-triazol-3-one;  
 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{[5-(1,3-dihydro-2-benzofuran-5-yl)thiophen-2-yl]methyl}-2,4-dihydro-3H-1,2,4-triazol-3-one;  
 5-[5-({1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}methyl)thiophen-2-yl]-1-ethylpyridin-2(1H)-one;  
 5-[5-({1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}methyl)thiophen-2-yl]-1-cyclopropylpyridin-2(1H)-one;  
 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({5-[4-(ethylsulfonyl)phenyl]thiophen-2-yl}methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one;  
 4-[5-({1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}methyl)thiophen-2-yl]-N-cyclopropylbenzenesulfonamide;  
 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({5-[4-(morpholin-4-ylsulfonyl)phenyl]thiophen-2-yl}methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one;  
 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({5-[6-(piperazin-1-yl)pyridin-3-yl]thiophen-2-yl}methyl)-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)thiophen-3-yl}methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one;  
 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({4-[4-(methylsulfonyl)phenyl]thiophen-3-yl}methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one;  
 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({4-[6-(trifluoromethyl)pyridin-3-yl]thiophen-3-yl}methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one;  
 6-[4-({1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}methyl)thiophen-3-yl]-8-methyl-3,4-dihydroquinolin-2(1H)-one;  
 6-[4-({1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}methyl)thiophen-3-yl]-1-methyl-3,4-dihydroquinolin-2(1H)-one;  
 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({4-[6-(dimethylamino)pyridin-3-yl]thiophen-3-yl}methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one;  
 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({4-(1-ethyl-1H-pyrazol-4-yl)thiophen-3-yl}methyl)-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)-1-

benzothiophen-2-yl]methyl}-2,4-dihydro-3H-1,2,4-triazol-3-one;  
 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({5-[4-(methylsulfonyl)phenyl]-1-benzothiophen-2-yl}methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one;  
 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({5-[6-(trifluoromethyl)pyridin-3-yl]-1-benzothiophen-2-yl}methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one;  
 6-[2-({1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}methyl)-1-benzothiophen-5-yl]-8-methyl-3,4-dihydroquinolin-2(1H)-one;  
 6-[2-({1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}methyl)-1-benzothiophen-5-yl]-1-methyl-3,4-dihydroquinolin-2(1H)-one;  
 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({5-[6-(dimethylamino)pyridin-3-yl]-1-benzothiophen-2-yl}methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one;  
 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-({5-[4-(piperazin-1-yl)phenyl]-1-benzothiophen-2-yl}methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one;  
 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{{5-(1-ethyl-1H-pyrazol-4-yl)-1-benzothiophen-2-yl}methyl}-2,4-dihydro-3H-1,2,4-triazol-3-one;  
 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{{2-[5-(1,3-benzodioxol-5-yl)thiophen-2-yl]ethyl}-2,4-dihydro-3H-1,2,4-triazol-3-one;  
 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(2-{{5-[4-(methylsulfonyl)phenyl]thiophen-2-yl}ethyl)-2,4-dihydro-3H-1,2,4-triazol-3-one;  
 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(2-{{5-[6-(trifluoromethyl)pyridin-3-yl]thiophen-2-yl}ethyl)-2,4-dihydro-3H-1,2,4-triazol-3-one;  
 6-[5-(2-{{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}ethyl)thiophen-2-yl]-8-methyl-3,4-dihydroquinolin-2(1H)-one;  
 6-[5-(2-{{1-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-5-oxo-1,5-dihydro-4H-1,2,4-triazol-4-yl}ethyl)thiophen-2-yl]-1-methyl-3,4-dihydroquinolin-2(1H)-one;  
 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(2-{{5-[6-(dimethylamino)pyridin-3-yl]thiophen-2-yl}ethyl)-2,4-dihydro-3H-1,2,4-triazol-3-one;  
 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-(2-{{5-[4-(piperazin-1-yl)phenyl]thiophen-2-yl}ethyl)-2,4-dihydro-3H-1,2,4-triazol-3-one; and  
 2-[(2E)-2-(aminomethyl)-3-fluoroprop-2-en-1-yl]-4-{{2-[5-(1-ethyl-1H-pyrazol-4-yl)thiophen-2-yl]ethyl}-2,4-dihydro-3H-1,2,4-triazol-3-one;  
 or an isomer thereof, or a pharmaceutically acceptable salt thereof.

13. A compound of Formula 10:

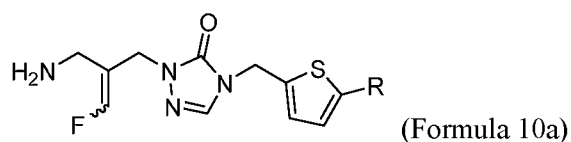


or an isomer thereof, or a pharmaceutically acceptable salt thereof,

wherein

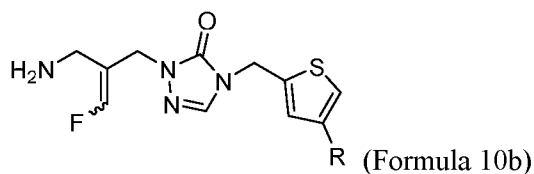
R is a substituted or unsubstituted cyclic ring, optionally containing at least one heteroatom, and the cyclic ring is aromatic or non-aromatic.

14. The compound of Claim 13 of Formula 10a:



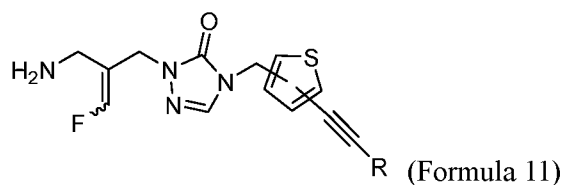
or an isomer thereof, or a pharmaceutically acceptable salt thereof.

15. The compound of Claim 13 of Formula 10b:



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

16. A compound of Formula 11:

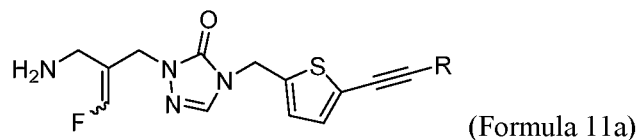


or an isomer thereof, or a pharmaceutically acceptable salt thereof,

wherein

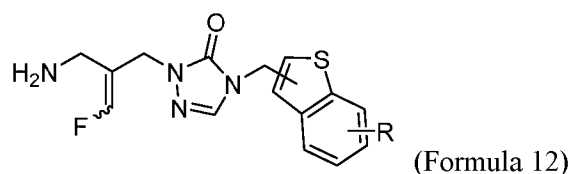
R is a substituted or unsubstituted cyclic ring, optionally containing at least one heteroatom, and the cyclic ring is aromatic or non-aromatic.

17. The compound of Claim 16 of Formula 11a:



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

18. A compound of Formula 12:

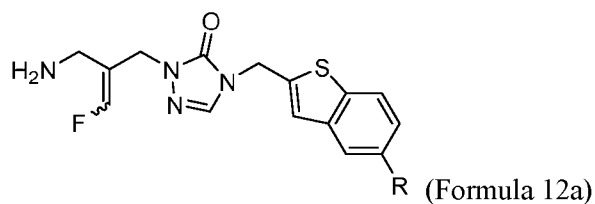


or an isomer thereof, or a pharmaceutically acceptable salt thereof,

wherein

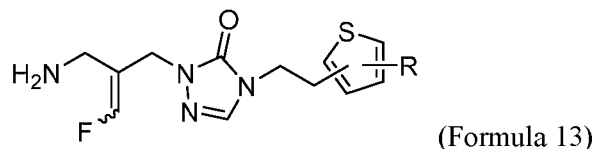
R is a substituted or unsubstituted cyclic ring, optionally containing at least one heteroatom, and the cyclic ring is aromatic or non-aromatic.

19. The compound of Claim 18 of Formula 12a:



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

20. A compound of Formula 13:

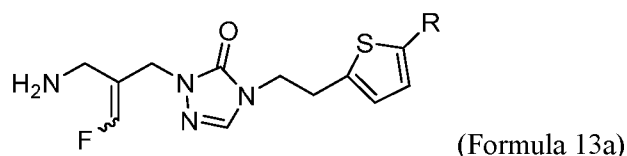


or an isomer thereof, or a pharmaceutically acceptable salt thereof,

wherein

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

21. The compound of Claim 20 of Formula 13a:



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

22. The compound of any one of Claims 1-3 or 13-21, or an isomer thereof, or a pharmaceutically acceptable salt thereof, wherein R is selected from the group consisting of benzene, benzyl, pyridine, pyridin-2-one, tetrahydropyridine, pyrimidine, pyridazine, thiophene, imidazole, pyrazole, oxadiazol-5-one, 1,1-dioxidithiomorpholin-4-yl-methyl, benzodioxole, benzooxadiazole, benzothiadiazole, benzooxazole, benzooxazolone, benzothiazole, 1,3-dihydrobenzofuran, indazole, thiazolo[5,4-b]pyridine, pyrrolo[2,3-b]pyridine, pyrrolo[2,3-b]pyridin-2-one, triazolone[1,5-a]pyridine, 1,3-dihydroindol-2-one, 2,3-dihydroisoindol-1-one, triazolone, quinoline, isoquinoline, quinolin-2-one, 3,4-dihydroquinolin-2-one, 3,4-dihydroisoquinolin-1-one, 3,4-dihydroquinazolin-2-one, 3,4-dihydronaphthyridin-2-one, 3,4-dihydro-1,4-benzooxazine, 1,4-benzooxazin-3-one, 3,1-benzooxazin-2-one, 1,4-benzothiazin-3-one, 3,4-dihydro-quinoxaline, 3,4-dihydro-2H-chromene, 1,2,3,4-tetrahydroquinoline, 5,6,7,8-tetrahydronaphthyridine, oxazolo[4,5-b]pyridin-2-one, imidazo[4,5-b]pyridine, pyrido[2,3-b][1,4]oxazine, pyrido[2,3-b][1,4]oxazin-2-one, pyrido[2,3-d][1,3]oxazin-2-one, pyrido[2,3-b][1,4]oxazin-3-one, pyrido[3,2-b][1,4]oxazine, and pyrido[3,2-b][1,4]oxazin-3-one, and

R is optionally substituted with one to three substituents selected from the group consisting of hydroxy, halogen, cyano, C<sub>1-6</sub> alkyl, C<sub>1-6</sub> cycloalkyl, benzyl, trifluoromethyl, hydroxy-C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkoxy, C<sub>1-6</sub> alkoxy-C<sub>1-6</sub> alkoxy, difluoromethoxy, trifluoro-C<sub>1-6</sub> alkoxy, 3,5-dimethoxybenzyloxy, (C<sub>1-6</sub> cycloalkyl)methoxy, amino, mono- or di-C<sub>1-6</sub> alkylamino, C<sub>1-6</sub> alkoxy-C<sub>1-6</sub> alkylamino, C<sub>1-6</sub> alkylsulfonylamino, C<sub>1-6</sub> alkylcarbonylamino, C<sub>1-6</sub> alkylthio, mono- or di-C<sub>1-6</sub> alkylaminocarbonyl, mono- or di-C<sub>1-6</sub> alkylaminosulfonyl, mono- or di-C<sub>1-6</sub> cycloalkylaminosulfonyl, pyrrolidinylsulfonyl, morpholinylsulfonyl, C<sub>1-6</sub> alkylcarbonyl, C<sub>1-6</sub> alkylsulfonyl, C<sub>1-6</sub> alkylsulfonyl-C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkoxy-carbonyl, morpholinylcarbonyl, pyrrolidinyl, 5-oxopyrrolidinyl, piperidinyl, C<sub>1-6</sub> alkyl-piperidinyl, piperazinyl, acetylpiperazinyl, morpholinyl, morpholinyl-C<sub>1-6</sub> alkyl, tetrahydropyranyl, pyrazolyl, triazolyl, isoxazolyl, oxazolyl, oxadiazolyl, 1,2,4-oxadiazol-5(4H)-onyl, cyclopropyl-oxadiazolyl, and C<sub>1-6</sub> alkyl-oxadiazolyl.

23. A pharmaceutical composition comprising the compound according to any one of Claims 1-22, or an isomer thereof, or a pharmaceutically acceptable salt thereof, and at least one pharmaceutically acceptable excipient.

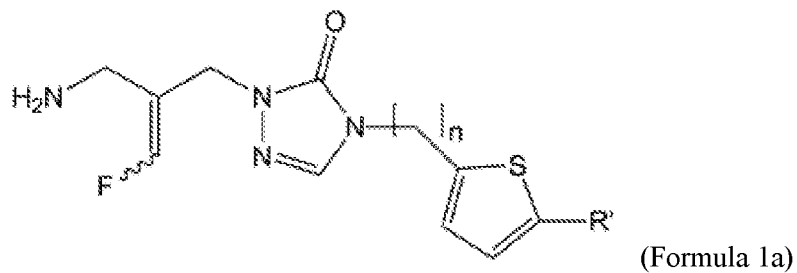
24. A method of selectively inhibiting vascular adhesion protein (VAP)-1, comprising administering, to a mammal, a therapeutically effective amount of the compound, or the isomer thereof, or a pharmaceutically acceptable salt thereof according to any one of Claims 1-22.

25. 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 1-22, or an isomer thereof, or a pharmaceutically acceptable salt thereof, or a therapeutically effective amount of the pharmaceutical composition according to Claim 23.

26. Use of the compound according to any one of Claims 1-22, or an isomer thereof, or a pharmaceutically acceptable salt thereof, for the manufacture of a medicament for the treatment of NASH.

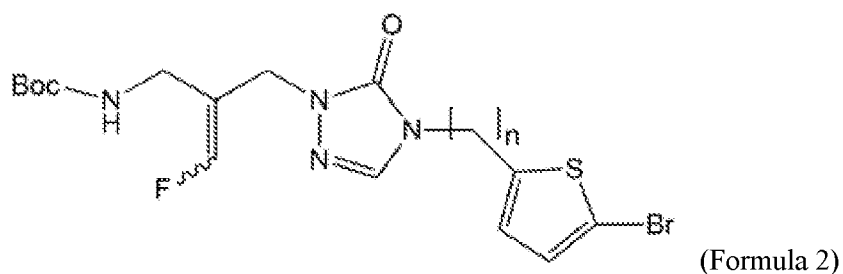
27. A compound according any one of Claims 1-22, or an isomer thereof, or a pharmaceutically acceptable salt thereof, for use in treating NASH.

28. A composition according to Claim 23 for use in treating NASH.
29. A compound according any one of Claims 1-22, or an isomer thereof, or a pharmaceutically acceptable salt thereof, for use in selectively inhibiting VAP-1.
30. A composition according to Claim 23 for use in selectively inhibiting VAP-1.
31. 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 1-22, or an isomer thereof, or a pharmaceutically acceptable salt thereof, or a therapeutically effective amount of the pharmaceutical composition according to Claim 23.
32. The method of Claim 31, 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 intraheptic 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.
33. A method of preparing a compound of Formula 1a,



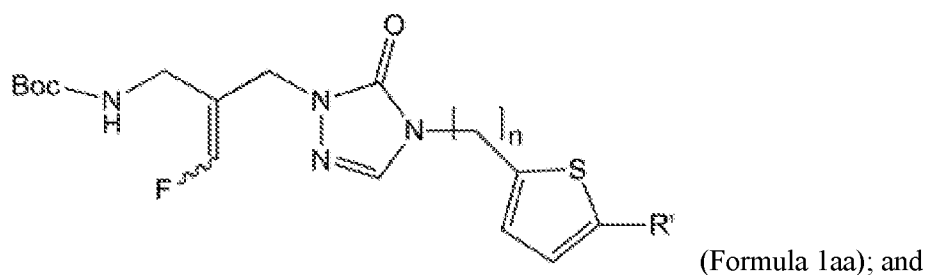
or an isomer thereof, or a pharmaceutically acceptable salt thereof, the method comprising

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<sub>2</sub>-B-R' (Formula 3a)

HC≡CR' (Formula 3b)

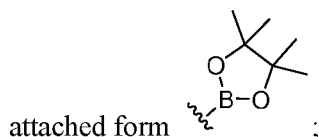


removing Boc from the compound of Formula 1aa under reaction conditions to obtain the compound of Formula 1a, or the isomer thereof, or the pharmaceutically acceptable salt thereof;

wherein n is 1 or 2;

Boc is an amine protecting group;

Z is hydroxy or C<sub>1-3</sub> alkoxy, or two Z together with the boron to which they are



R' is -R, -CH<sub>2</sub>-R, -CH=CH-R, or -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.

34. The method of Claim 33, wherein R is selected from the group consisting of benzene, benzyl, pyridine, pyridin-2-one, tetrahydropyridine, pyrimidine, pyridazine, thiophene, imidazole, pyrazole, oxadiazol-5-one, 1,1-dioxidothiomorpholin-4-yl-methyl, benzodioxole, benzooxadiazole, benzothiadiazole, benzooxazole, benzooxazolone, benzothiazole, 1,3-dihydrobenzofuran, indazole, thiazolo[5,4-b]pyridine, pyrrolo[2,3-b]pyridine, pyrrolo[2,3-b]pyridin-2-one, triazolone[1,5-a]pyridine, 1,3-dihydroindol-2-one, 2,3-dihydroisoindol-1-one, triazolone, quinoline, isoquinoline, quinolin-2-one, 3,4-dihydroquinolin-2-one, 3,4-dihydroisoquinolin-1-one, 3,4-dihydroquinazolin-2-one, 3,4-dihydronaphthyridin-2-one, 3,4-dihydro-1,4-benzooxazine, 1,4-benzooxazin-3-one, 3,1-benzooxazin-2-one, 1,4-benzothiazin-3-one, 3,4-dihydro-quinoxaline, 3,4-dihydro-2H-chromene, 1,2,3,4-tetrahydroquinoline, 5,6,7,8-tetrahydronaphthyridine, oxazolo[4,5-b]pyridin-2-one, imidazo[4,5-b]pyridine, pyrido[2,3-b][1,4]oxazine, pyrido[2,3-b][1,4]oxazin-2-one, pyrido[2,3-d][1,3]oxazin-2-one, pyrido[2,3-b][1,4]oxazin-3-one, pyrido[3,2-b][1,4]oxazine, and pyrido[3,2-b][1,4]oxazin-3-one, and

wherein R is optionally substituted with one to three substituents selected from the group consisting of hydroxy, halogen, cyano, C<sub>1-6</sub> alkyl, C<sub>1-6</sub> cycloalkyl, benzyl, trifluoromethyl, hydroxy-C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkoxy, C<sub>1-6</sub> alkoxy-C<sub>1-6</sub> alkoxy, difluoromethoxy, trifluoro-C<sub>1-6</sub> alkoxy, trifluoroethoxy, 3,5-dimethoxybenzyloxy, (C<sub>1-6</sub> cycloalkyl)methoxy, amino, mono- or di-C<sub>1-6</sub> alkylamino, C<sub>1-6</sub> alkoxy-C<sub>1-6</sub> alkylamino, C<sub>1-6</sub> alkylsulfonylamino, C<sub>1-6</sub> alkylcarbonylamino, C<sub>1-6</sub> alkylthio, mono- or di-C<sub>1-6</sub> alkylaminocarbonyl, mono- or di-C<sub>1-6</sub> alkylaminosulfonyl, mono- or di-C<sub>1-6</sub> cycloalkylaminosulfonyl, pyrrolidinylsulfonyl, morpholinylsulfonyl, C<sub>1-6</sub> alkylcarbonyl, C<sub>1-6</sub> alkylsulfonyl, C<sub>1-6</sub> alkylsulfonyl-C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkoxy-carbonyl, morpholinylcarbonyl, pyrrolidinyl, 5-oxopyrrolidinyl, piperidinyl, C<sub>1-6</sub> alkyl-

piperidinyl, piperazinyl, acetylpiperazinyl, morpholinyl, morpholinyl-C<sub>1-6</sub> alkyl, tetrahydropyranyl, pyrazolyl, triazolyl, isoxazolyl, oxazolyl, oxadiazolyl, 1,2,4-oxadiazol-5(4H)-onyl, cyclopropyl-oxadiazolyl, and C<sub>1-6</sub> alkyl-oxadiazolyl.