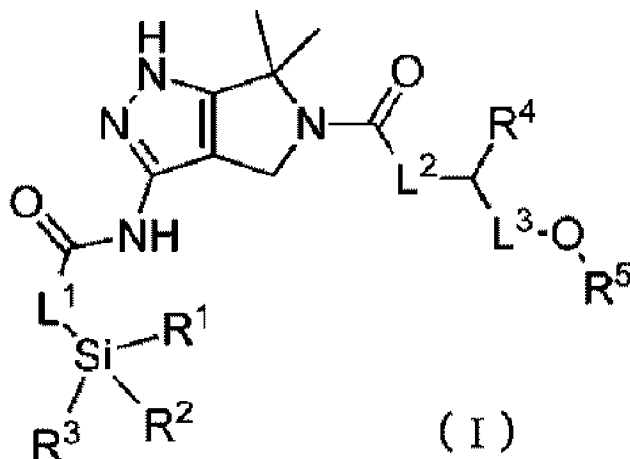




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(54) Titre : COMPOSE DE DIHYDROPYRROLOPYRAZOLE SUBSTITUE
(54) Title: SUBSTITUTED DIHYDROPYRROLOPYRAZOLE COMPOUND



(57) Abrégé/Abstract:

Compounds represented by formula (I) and pharmacologically acceptable salts thereof:

(see formula I)

for use as CDK7 inhibitors, and which compounds may be useful in the treatment or prevention of cancers or inflammatory



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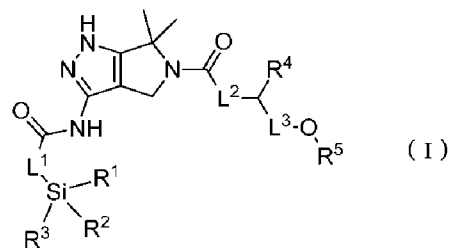
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diseases.

Abstract

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for use as CDK7 inhibitors, and which compounds may be useful in the treatment or prevention of cancers or inflammatory diseases.

DEMANDE OU BREVET VOLUMINEUX

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CECI EST LE TOME 1 DE 3
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DESCRIPTION

Title of Invention

SUBSTITUTED DIHYDROPYRROLOPYRAZOLE COMPOUND

5 Technical Field

[0001] The present invention relates to a substituted dihydropyrrolopyrazole compound or a pharmacologically acceptable salt thereof which has excellent CDK7 inhibitory activity and is useful as a medicament (e.g., a medicament for the treatment or prevention of
10 cancers or inflammatory diseases), or a prodrug thereof.

Background Art

[0002] CDKs (cyclin-dependent kinases) are cell growth control factors that are involved in entry to DNA synthesis (S phase) of the cell cycle and a mitotic phase (M phase), etc., and many types of CDKs are
15 known. Also, the activation of CDK is controlled in multiple stages through the phosphorylation or dephosphorylation of the threonine residue of active loop (T loop) in its three-dimensional structure. When the particular threonine residue of CDK is phosphorylated, it forms a complex with a particular cyclin and is activated. This
20 complex, which is important for cell cycle control, includes CDK1, CDK2/cyclin A, CDK1/cyclins B1 to B3 and CDK2, CDK4, CDK5, CDK6/cyclin D1 to D3, and CDK2/cyclin E, which are respectively involved in the particular periods of the cell cycle. CDK7 forms a CDK-activating kinase (CAK) together with cyclin H and MAT1 in
25 metazoans and participates in the phosphorylation of CDKs (e.g., CDK1, CDK2, CDK4, and CDK6) necessary for the progression of the cell

cycle (see Non Patent Literature 1).

[0003] Cell overgrowth by the abnormal activation of CDKs is a common feature in many cancers, and it is known that this is associated with a loss of checkpoint functions involved in the cell cycle control of cancer cells (see Non Patent Literature 2). Also, CDKs are known to have functions other than cell cycle control, and CDK7 is known to promote the binding of RNA polymerase II (RNAPII) to DNA and elongation thereof to positively control the transcription through the phosphorylation of serine in the COOH-terminal domain of the RNAPII (see Non Patent Literature 3).

[0004] CDK7 inhibitors exhibit effects in cell growth tests of various cancer cells and cancer-bearing mouse models, and the inhibition is expected to be useful as anticancer agents (see Non Patent Literatures 4 and 5).

[0005] Furthermore, it has been reported that in collagen-induced rheumatism mouse models, amelioration of clinical scores or tissue damage, decrease in the levels of inflammation-induced cytokines such as IL-6, IL-1 β , and IL-17, and anti-CII-IgG2 α , and decrease in the proportion of Th17 cells are attained by inhibiting CDK7 (see Non Patent Literature 6).

[0006] The CDK7 inhibitors, which play an important role in the progression of the cell cycle, are further expected to also have effects on the suppression of infection by viruses such as HIV, EBV, and HCV, and cardiomegaly (see Non Patent Literatures 7 and 8). Examples of diseases for which the CDK7 inhibitors seem to be useful, in addition to those described above, include autoimmune diseases typified by

psoriasis and multiple sclerosis, neurodegenerative diseases typified by Alzheimer's disease, etc., allergic diseases typified by atopic dermatitis, etc., chronic respiratory diseases typified by chronic obstructive pulmonary disease (COPD), etc., and fibrosis typified by idiopathic pulmonary fibrosis, etc. (see Non Patent Literatures 9 to 11 and Non Patent Literatures 16 to 18).

[0007] Although the development of many CDK inhibitors is currently underway, there are not many compounds having an excellent CDK7 inhibitory effect (see Non Patent Literature 15).

Citation List

Patent Literature

[0008]

Patent Literature 1: WO 2002/012242

Patent Literature 2: WO 2004/056827

Patent Literature 3: WO 2004/080457

Patent Literature 4: WO 2007/068637

Patent Literature 5: WO 2007/072153

Patent Literature 6: WO 2007/099171

Patent Literature 7: WO 2008/043745

Patent Literature 8: WO 2008/125945

Patent Literature 9: WO 2011/044264

Patent Literature 10: WO 2008/151304

Patent Literature 11: WO 2013/128028

Patent Literature 12: WO 2013/128029

Patent Literature 13: WO 2014/063068

Patent Literature 14: WO 2015/058126

Patent Literature 15: WO 2015/058140

Patent Literature 16: WO 2015/058163

Patent Literature 17: WO 2015/124941

Patent Literature 18: WO 2015/154022

5 Patent Literature 19: WO 2015/154038

Patent Literature 20: WO 2015/154039

Non Patent Literature

[0009]

10 Non Patent Literature 1: Journal of Cell Science 2005, 118 (20),
5171-5180

Non Patent Literature 2: Nature Reviews Cancer 2009, 9, 153-166

Non Patent Literature 3: Biochim Biophys Acta 2004, 1677, 64-73

Non Patent Literature 4: Nature 2014, 511, 616-620

Non Patent Literature 5: Cancer Res 2009, 69, 6208-6215

15 Non Patent Literature 6: Clinical and Experimental Medicine, 2015, 15,
269-275

Non Patent Literature 7: Curr HIV Res 2003, 1 (2), 131-152

Non Patent Literature 8: Mol Cell Biol 1998, 18 (11), 6729-6736

Non Patent Literature 9: Br J Dermatol 2000, 143 (5), 950-956

20 Non Patent Literature 10: Biochem Biophys Res Commun 2013, 435
(3), 378-384

Non Patent Literature 11: Neurobiol Aging 2000, 6, 807-813

Non Patent Literature 12: Journal of Medicinal Chemistry 2012, 55
(10), 4728-4739

25 Non Patent Literature 13: Bioorganic & Medicinal Chemistry 2010, 18
(5), 1844-1853

Non Patent Literature 14: ChemMedChem 2007, 2, 841-852

Non Patent Literature 15: Current Drug Targets, 2010, 11, 291-302

Non Patent Literature 16: Clinical & Experimental Allergy, 2011, 41, 673-687

5 Non Patent Literature 17: Cell Death and Differentiation, 2012, 19, 1950-1961

Non Patent Literature 18: Am. J. Physiol. Lung Cell Mol, 2004, 286, 727-733

Summary of Invention

10 Technical Problem

[0010] The present inventors have conducted studies on novel substituted dihydropyrrolopyrazole compounds with the aim of developing excellent CDK7 inhibitors and completed the present invention by finding that a novel substituted dihydropyrrolopyrazole compound having a particular structure or a pharmacologically acceptable salt thereof has excellent CDK7 inhibitory activity and is useful as a medicament (e.g., a medicament for the treatment or prevention of cancers or inflammatory diseases), and further finding even a compound that can serve as a prodrug of the compound.

20 [0011] Patent Literatures 1 to 9 and Non Patent Literatures 12 to 14 describe a compound having a 6,6-dimethyl-4,6-dihydropyrrolo[3,4-c]pyrazole skeleton, but do not disclose the compound according to the present invention or the pharmacologically acceptable salt thereof.

25 [0012] As compounds inhibiting CDK7, pyrazolopyrimidine derivatives are disclosed in Patent Literature 10, pyrazolotriazine

derivatives are disclosed in Patent Literatures 11 and 12, phenyl derivatives are disclosed in Patent Literature 13 and Non Patent Literature 4, and heterocyclic compounds are disclosed in Patent Literatures 14 to 20; however, a compound having a
5 4,6-dihydropyrrolo[3,4-c]pyrazole skeleton is not disclosed.

Solution to Problem

[0013] The present invention provides a novel substituted dihydropyrrolopyrazole compound or a pharmacologically acceptable salt thereof which has excellent CDK7 inhibitory activity, or a prodrug thereof;
10

a pharmaceutical composition, preferably a pharmaceutical composition for the treatment or prevention of cancers, inflammatory diseases (e.g., autoimmune diseases), infection by viruses (HIV, EBV, HCV, etc.), neurodegenerative diseases (e.g., Alzheimer's disease), allergic diseases
15 (e.g., atopic dermatitis), chronic respiratory diseases (e.g., chronic obstructive pulmonary disease (COPD)), fibrosis (e.g., idiopathic pulmonary fibrosis), circulatory diseases such as cardiomegaly, or impotence, comprising the substituted dihydropyrrolopyrazole compound or the pharmacologically acceptable salt thereof, or the prodrug thereof as an active ingredient;
20

use of the substituted dihydropyrrolopyrazole compound or the pharmacologically acceptable salt thereof, or the prodrug thereof for the production of a pharmaceutical composition for the treatment or prevention (preferably, treatment) of diseases (preferably, the diseases
25 described above);

a method for treating or preventing (preferably, treating) diseases

(preferably, the diseases described above) by administering a pharmaceutically effective amount of the substituted dihydropyrrolopyrazole compound or the pharmacologically acceptable salt thereof, or the prodrug thereof to a warm-blooded animal (preferably, a human); and a method for producing the substituted dihydropyrrolopyrazole compound or the pharmacologically acceptable salt thereof, or the prodrug thereof, or an intermediate thereof.

Examples of the cancers include urinary bladder cancer, breast cancer, large intestine cancer (e.g., colorectal cancer, for example, colon adenocarcinoma and colon adenoma), gastrointestinal stromal tumor, kidney cancer, epidermal cancer, liver cancer, lung cancer (e.g., adenocarcinoma, small-cell lung cancer, and non-small cell lung cancer), esophageal cancer, gallbladder cancer, ovary cancer, pancreatic cancer (e.g., exocrine pancreatic tumor), gastric cancer, cervical cancer, endometrial cancer, thyroid gland cancer, cancer of the nose, head and neck cancer, prostate cancer, skin cancer (e.g., squamous cell cancer), hematopoietic organ tumors of the lymphatic system (e.g., leukemia, acute lymphatic leukemia, chronic lymphatic leukemia, B cell lymphoma (e.g., diffuse large B cell lymphoma), T cell lymphoma, multiple myeloma, Hodgkin's lymphoma, non-Hodgkin's lymphoma, hairy cell lymphoma, and Burkitt's lymphoma), hematopoietic organ tumors of the myeloid system (e.g., acute or chronic myeloid leukemia, myelodysplastic syndrome, and promyelocytic leukemia), follicular carcinoma of thyroid, mesenchymal tumors (e.g., fibrosarcoma, Ewing's sarcoma, and rhabdomyosarcoma), tumors of the central or peripheral nervous system (e.g., astrocytoma, neuroblastoma, glioma, brain tumor,

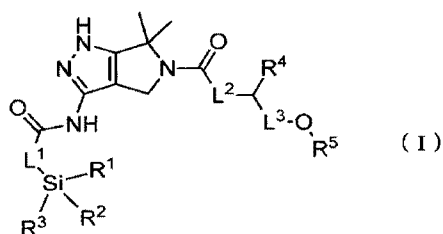
and schwannoma), melanoma, seminoma, teratoma, osteosarcoma, xeroderma pigmentosum, keratoacanthoma, follicular carcinoma of thyroid, and Kaposi's sarcoma.

5 Examples of the autoimmune diseases include multiple sclerosis, Guillain-Barre syndrome, myasthenia gravis, chronic atrophic gastritis, autoimmune hepatitis, primary biliary cirrhosis, ulcerative colitis, Crohn's disease, primary sclerosing cholangitis, autoimmune pancreatitis, aortitis syndrome, Goodpasture's syndrome, rapidly progressive glomerulonephritis, megaloblastic anemia, autoimmune
10 hemolytic anemia, autoimmune neutropenia, idiopathic thrombocytopenic purpura, Graves' disease, Hashimoto disease, primary hypothyroidism, idiopathic Addison's disease, type 1 diabetes mellitus, circumscribed scleroderma, epidermolysis bullosa acquisita, vitiligo vulgaris, autoimmune optic neuropathy, autoimmune inner ear disorder,
15 idiopathic azoospermia, rheumatoid arthritis, systemic lupus erythematosus, drug-induced lupus erythematosus, Sjogren's syndrome, polymyositis, psoriasis, dermatomyositis, scleroderma, vasculitis syndrome, mixed connective-tissue disease, and inflammatory bowel disease. In this context, the inflammatory bowel disease (IBD) is a
20 generic name for diseases that cause chronic inflammation or ulcer in the large intestinal or small intestinal mucosa, and examples thereof include Crohn disease and ulcerative colitis.

[0014] In one aspect, the present invention provides the following [1] to [24]:

25 [1] A compound represented by formula (I) or a pharmacologically acceptable salt thereof:

[Chemical Formula 1]



wherein

5 L^1 is an optionally substituted linear or branched C_{1-6} alkylene group, an optionally substituted linear or branched C_{2-6} alkenylene group, an optionally substituted linear or branched C_{2-6} alkynylene group, an optionally substituted C_{3-6} cycloalkylene group, or an optionally substituted C_{3-6} cycloalkenylene group,

10 L^2 is a single bond, an oxygen atom, an optionally substituted nitrogen atom, an optionally substituted linear or branched C_{1-6} alkylene group, an optionally substituted linear or branched C_{2-6} alkenylene group, an optionally substituted linear or branched C_{2-6} alkynylene group, an optionally substituted C_{3-6} cycloalkylene group, or an optionally substituted C_{3-6} cycloalkenylene group,

15 L^3 is a single bond, an optionally substituted linear or branched C_{1-6} alkylene group, an optionally substituted linear or branched C_{2-6} alkenylene group, an optionally substituted linear or branched C_{2-6} alkynylene group, an optionally substituted C_{3-6} cycloalkylene group, or an optionally substituted C_{3-6} cycloalkenylene group,

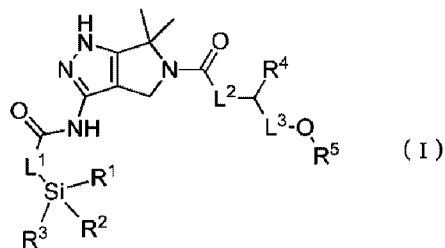
20 R^1 , R^2 , and R^3 are each independently an optionally substituted linear or branched C_{1-4} alkyl group, an optionally substituted linear or branched C_{2-4} alkenyl group, an optionally substituted linear or

branched C₂₋₄ alkynyl group, an optionally substituted C₆₋₁₀ aryl group, or an optionally substituted heterocyclic group,

R⁴ is a hydrogen atom, an optionally substituted linear or branched C₁₋₆ alkyl group, an optionally substituted linear or branched C₂₋₆ alkenyl group, an optionally substituted linear or branched C₂₋₆ alkynyl group, an optionally substituted C₃₋₆ cycloalkyl group, an optionally substituted C₃₋₆ cycloalkenyl group, an optionally substituted C₆₋₁₀ aryl group, or an optionally substituted heterocyclic group, and

R⁵ is a hydrogen atom, an optionally substituted linear or branched C₁₋₁₆ alkyl group, an optionally substituted linear or branched C₂₋₁₆ alkenyl group, an optionally substituted linear or branched C₂₋₁₆ alkynyl group, an optionally substituted C₃₋₆ cycloalkyl group, an optionally substituted C₃₋₆ cycloalkenyl group, an optionally substituted C₆₋₁₀ aryl group, or an optionally substituted heterocyclic group.

In some embodiments, there is more particularly provided a compound represented by formula (I) or a pharmacologically acceptable salt thereof:



wherein

L¹ is a linear or branched C₁₋₆ alkylene group or a C₃₋₆ cycloalkylene group;

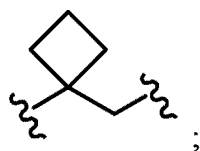
L² is a single bond, an oxygen atom, a nitrogen atom which is optionally substituted with a C₁₋₆ alkyl group, or a linear or branched C₁₋₆ alkylene group;

L³ is:

- (i) a single bond,
- (ii) a linear or branched C₁₋₆ alkylene group which is optionally substituted with one or more substituents selected from the group consisting of a halogen atom, an oxo group, and a C₆₋₁₀ aryl group,
- (iii) a linear or branched C₂₋₆ alkynylene group,
- (iv) a C₃₋₆ cycloalkylene group,
- (v) a group represented by formula (M-2):



(vi) a group represented by formula (N1):



R^1 , R^2 , and R^3 are each independently a linear or branched C_{1-4} alkyl group;

R^4 is

- 5 (i) a hydrogen atom,
- (ii) a linear or branched C_{1-6} alkyl group which is optionally substituted with one or more substituents selected from the group consisting of a C_{6-10} aryl group, a C_{7-12} aralkyloxy group, a hydroxy group, a linear or branched C_{1-6} alkoxy group, a di- $(C_{1-6}$ alkyl)amino group, and a non-aromatic heterocyclic group selected from the group consisting of a pyrrolidinyl group, a piperidinyl group, a piperazinyl group, a morpholinyl group, and a thiomorpholinyl group and which is optionally further substituted with one or more halogen atoms,
- 10 (iii) a C_{3-6} cycloalkyl group
- 15 (iv) a C_{6-10} aryl group which is optionally substituted with one or more substituents selected from the group consisting of a halogen atom, a linear or branched C_{1-6} alkyl group, and a methylenedioxy group, or
- (v) an aromatic heterocyclic group selected from the group consisting of a pyridyl group, a thienyl group, a pyrimidine group, a pyridazine group, a pyrazine group, a triazine group, a pyrrole group, an imidazole group, a pyrazole group, an indole group, an indazole group, a furan group, a benzofuran group, a benzothiophene group, a thiazole group, an isothiazole group, an oxazole group, an isoxazole group, and an oxadiazole group, and
- 20

25 R^5 is:

- (i) a hydrogen atom,
- (ii) a linear or branched C_{1-16} alkyl group which is optionally substituted with one or more substituents selected from the group consisting of a linear or branched C_{1-6} alkoxy group, a halogen atom, an oxo group, a C_{6-10} aryl group, a carboxy group, a C_{6-10} alkanoyloxy group where $-O-R^5$ forms an
- 30

wherein

5 L^2 is a single bond, an oxygen atom, an optionally substituted nitrogen atom, an optionally substituted linear or branched C_{1-6} alkylene group, an optionally substituted linear or branched C_{2-6} alkenylene group, an optionally substituted linear or branched C_{2-6} alkynylene group, an optionally substituted C_{3-6} cycloalkylene group, or an optionally substituted C_{3-6} cycloalkenylene group,

10 L^3 is a single bond, an optionally substituted linear or branched C_{1-6} alkylene group, an optionally substituted linear or branched C_{2-6} alkenylene group, an optionally substituted linear or branched C_{2-6} alkynylene group, an optionally substituted C_{3-6} cycloalkylene group, or an optionally substituted C_{3-6} cycloalkenylene group,

15 R^1 , R^2 , and R^3 are each independently an optionally substituted linear or branched C_{1-4} alkyl group, an optionally substituted linear or branched C_{2-4} alkenyl group, an optionally substituted linear or branched C_{2-4} alkynyl group, an optionally substituted C_{6-10} aryl group, or an optionally substituted heterocyclic group,

20 R^4 is a hydrogen atom, an optionally substituted linear or branched C_{1-6} alkyl group, an optionally substituted linear or branched C_{2-6} alkenyl group, an optionally substituted linear or branched C_{2-6} alkynyl group, an optionally substituted C_{3-6} cycloalkyl group, an optionally substituted C_{3-6} cycloalkenyl group, an optionally substituted C_{6-10} aryl group, or an optionally substituted heterocyclic group, and

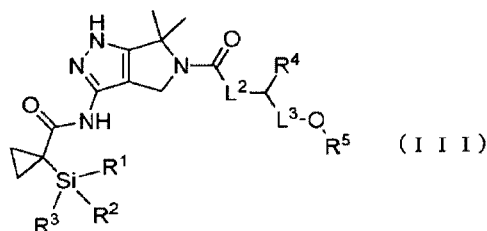
25 R^5 is a hydrogen atom, an optionally substituted linear or branched C_{1-16} alkyl group, an optionally substituted linear or branched C_{2-16} alkenyl group, an optionally substituted linear or branched C_{2-16}

alkynyl group, an optionally substituted C₃₋₆ cycloalkyl group, an optionally substituted C₃₋₆ cycloalkenyl group, an optionally substituted C₆₋₁₀ aryl group, or an optionally substituted heterocyclic group.

[4] The compound or a pharmacologically acceptable salt thereof according to [3], wherein R¹, R², and R³ are each independently an optionally substituted linear or branched C₁₋₄ alkyl group.

[5] A compound represented by formula (III) or a pharmacologically acceptable salt thereof:

[Chemical Formula 3]



wherein

L² is a single bond, an oxygen atom, an optionally substituted nitrogen atom, an optionally substituted linear or branched C₁₋₆ alkylene group, an optionally substituted linear or branched C₂₋₆ alkenylene group, an optionally substituted linear or branched C₂₋₆ alkynylene group, an optionally substituted C₃₋₆ cycloalkylene group, or an optionally substituted C₃₋₆ cycloalkenylene group,

L³ is a single bond, an optionally substituted linear or branched C₁₋₆ alkylene group, an optionally substituted linear or branched C₂₋₆ alkenylene group, an optionally substituted linear or branched C₂₋₆ alkynylene group, an optionally substituted C₃₋₆ cycloalkylene group, or an optionally substituted C₃₋₆ cycloalkenylene group,

R¹, R², and R³ are each independently an optionally substituted linear or branched C₁₋₄ alkyl group, an optionally substituted linear or branched C₂₋₄ alkenyl group, an optionally substituted linear or branched C₂₋₄ alkynyl group, an optionally substituted C₆₋₁₀ aryl group, or an optionally substituted heterocyclic group,

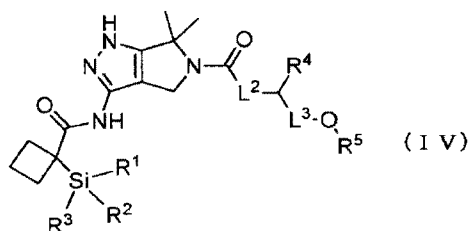
R⁴ is a hydrogen atom, an optionally substituted linear or branched C₁₋₆ alkyl group, an optionally substituted linear or branched C₂₋₆ alkenyl group, an optionally substituted linear or branched C₂₋₆ alkynyl group, an optionally substituted C₃₋₆ cycloalkyl group, an optionally substituted C₃₋₆ cycloalkenyl group, an optionally substituted C₆₋₁₀ aryl group, or an optionally substituted heterocyclic group, and

R⁵ is a hydrogen atom, an optionally substituted linear or branched C₁₋₁₆ alkyl group, an optionally substituted linear or branched C₂₋₁₆ alkenyl group, an optionally substituted linear or branched C₂₋₁₆ alkynyl group, an optionally substituted C₃₋₆ cycloalkyl group, an optionally substituted C₃₋₆ cycloalkenyl group, an optionally substituted C₆₋₁₀ aryl group, or an optionally substituted heterocyclic group.

[6] The compound or a pharmacologically acceptable salt thereof according to [5], wherein R¹, R², and R³ are each independently an optionally substituted linear or branched C₁₋₄ alkyl group.

[7] A compound represented by formula (IV) or a pharmacologically acceptable salt thereof:

[Chemical Formula 4]



wherein

L^2 is a single bond, an oxygen atom, an optionally substituted nitrogen atom, an optionally substituted linear or branched C_{1-6} alkylene group, an optionally substituted linear or branched C_{2-6} alkenylene group, an optionally substituted linear or branched C_{2-6} alkynylene group, an optionally substituted C_{3-6} cycloalkylene group, or an optionally substituted C_{3-6} cycloalkenylene group,

L^3 is a single bond, an optionally substituted linear or branched C_{1-6} alkylene group, an optionally substituted linear or branched C_{2-6} alkenylene group, an optionally substituted linear or branched C_{2-6} alkynylene group, an optionally substituted C_{3-6} cycloalkylene group, or an optionally substituted C_{3-6} cycloalkenylene group,

R^1 , R^2 , and R^3 are each independently an optionally substituted linear or branched C_{1-4} alkyl group, an optionally substituted linear or branched C_{2-4} alkenyl group, an optionally substituted linear or branched C_{2-4} alkynyl group, an optionally substituted C_{6-10} aryl group, or an optionally substituted heterocyclic group,

R^4 is a hydrogen atom, an optionally substituted linear or branched C_{1-6} alkyl group, an optionally substituted linear or branched C_{2-6} alkenyl group, an optionally substituted linear or branched C_{2-6} alkynyl group, an optionally substituted C_{3-6} cycloalkyl group, an

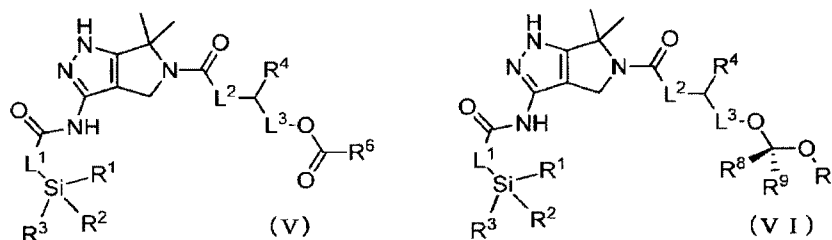
optionally substituted C₃₋₆ cycloalkenyl group, an optionally substituted C₆₋₁₀ aryl group, or an optionally substituted heterocyclic group, and

R⁵ is a hydrogen atom, an optionally substituted linear or branched C₁₋₁₆ alkyl group, an optionally substituted linear or branched C₂₋₁₆ alkenyl group, an optionally substituted linear or branched C₂₋₁₆ alkynyl group, an optionally substituted C₃₋₆ cycloalkyl group, an optionally substituted C₃₋₆ cycloalkenyl group, an optionally substituted C₆₋₁₀ aryl group, or an optionally substituted heterocyclic group.

[8] The compound or a pharmacologically acceptable salt thereof according to [7], wherein R¹, R², and R³ are each independently an optionally substituted linear or branched C₁₋₄ alkyl group.

[9] A compound represented by formula (V) or (VI) or a pharmacologically acceptable salt thereof:

[Chemical Formula 5]



wherein

L¹ is an optionally substituted linear or branched C₁₋₆ alkylene group, an optionally substituted linear or branched C₂₋₆ alkenylene group, an optionally substituted linear or branched C₂₋₆ alkynylene group, an optionally substituted C₃₋₆ cycloalkylene group, or an optionally substituted C₃₋₆ cycloalkenylene group,

L² is a single bond, an oxygen atom, an optionally substituted

nitrogen atom, an optionally substituted linear or branched C₁₋₆ alkylene group, an optionally substituted linear or branched C₂₋₆ alkenylene group, an optionally substituted linear or branched C₂₋₆ alkynylene group, an optionally substituted C₃₋₆ cycloalkylene group, or an optionally substituted C₃₋₆ cycloalkenylene group,

L³ is a single bond, an optionally substituted linear or branched C₁₋₆ alkylene group, an optionally substituted linear or branched C₂₋₆ alkenylene group, an optionally substituted linear or branched C₂₋₆ alkynylene group, an optionally substituted C₃₋₆ cycloalkylene group, or an optionally substituted C₃₋₆ cycloalkenylene group,

R¹, R², and R³ are each independently an optionally substituted linear or branched C₁₋₄ alkyl group, an optionally substituted linear or branched C₂₋₄ alkenyl group, an optionally substituted linear or branched C₂₋₄ alkynyl group, an optionally substituted C₆₋₁₀ aryl group, or an optionally substituted heterocyclic group,

R⁴ is a hydrogen atom, an optionally substituted linear or branched C₁₋₆ alkyl group, an optionally substituted linear or branched C₂₋₆ alkenyl group, an optionally substituted linear or branched C₂₋₆ alkynyl group, an optionally substituted C₃₋₆ cycloalkyl group, an optionally substituted C₃₋₆ cycloalkenyl group, an optionally substituted C₆₋₁₀ aryl group, or an optionally substituted heterocyclic group, and

R⁶ is a hydrogen atom, an optionally substituted linear or branched C₁₋₁₆ alkyl group, an optionally substituted linear or branched C₂₋₁₆ alkenyl group, an optionally substituted linear or branched C₂₋₁₆ alkynyl group, an optionally substituted C₃₋₆ cycloalkyl group, an optionally substituted C₃₋₆ cycloalkenyl group, an optionally substituted

linear or branched C₁₋₆ alkoxy group, an optionally substituted C₆₋₁₀ aryl group, or an optionally substituted heterocyclic group,

R⁷ is an optionally substituted linear or branched C₁₋₁₆ alkyl group, an optionally substituted linear or branched C₂₋₁₆ alkenyl group, an optionally substituted linear or branched C₂₋₁₆ alkynyl group, an optionally substituted C₃₋₆ cycloalkyl group, an optionally substituted C₃₋₆ cycloalkenyl group, an optionally substituted C₆₋₁₀ aryl group, or an optionally substituted heterocyclic group, and

R⁸ and R⁹ are each independently a hydrogen atom or a C₁₋₄ alkyl group.

[10] The compound or a pharmacologically acceptable salt thereof according to [9], wherein L¹ is an optionally substituted linear or branched C₁₋₆ alkylene group or an optionally substituted C₃₋₆ cycloalkylene group, and R¹, R², and R³ are each independently an optionally substituted linear or branched C₁₋₄ alkyl group.

[11] A compound selected from a compound group consisting of (S)-N-(2-hydroxy-1-phenylethyl)-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,

(S)-N-(2-hydroxy-1-phenylethyl)-6,6-dimethyl-3-[1-(trimethylsilyl)cyclopropanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,

(S)-N-(2-hydroxy-1-phenylethyl)-6,6-dimethyl-3-[2-methyl-2-(trimethylsilyl)propanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,

(S)-3-[1-(ethyltrimethylsilyl)cyclobutanecarboxamido]-N-(2-hydroxy-1-

- phenylethyl)-6,6-dimethyl-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,
- (S)-3-[2-(ethyldimethylsilyl)-2-methylpropaneamido]-N-(2-hydroxy-1-phenylethyl)-6,6-dimethyl-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,
- 5 (S)-N-(2-hydroxy-1-phenylethyl)-N,6,6-trimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,
- (S)-2-[(2-methoxypropan-2-yl)oxy]-1-phenylethyl-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxylate,
- 10 (S)-2-hydroxy-1-phenylethyl-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxylate,
- 15 2-Methoxy-1-phenylethyl-6,6-dimethyl-3-[1-(trimethylsilyl)cyclopropanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxylate,
- N-[5-(4-hydroxy-3-phenylbutanoyl)-6,6-dimethyl-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazol-3-yl]-1-(trimethylsilyl)cyclobutanecarboxamide,
- 20 N-[5-(3-hydroxy-3-phenylpropanoyl)-6,6-dimethyl-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazol-3-yl]-1-(trimethylsilyl)cyclobutanecarboxamide,
- (R)-N-(3-hydroxy-1-phenylpropyl)-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,
- 25 (R)-N-(3-hydroxy-1-phenylpropyl)-6,6-dimethyl-3-[1-(trimethylsilyl)cyclopropanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carb

oxamide,

(R)-N-(4-hydroxy-1-phenylbutyl)-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,

5 (R)-N-(5-hydroxy-1-phenylpentyl)-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,

(S)-N-(2-hydroxy-2-methyl-1-phenylpropyl)-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,

(S)-N-(2-hydroxy-2-methyl-1-phenylpropyl)-6,6-dimethyl-3-[1-(trimethylsilyl)cyclopropanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,

15 N-(3-hydroxy-2,2-dimethyl-1-phenylpropyl)-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,

(R)-N-(3-hydroxy-3-methyl-1-phenylbutyl)-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,

20 (S)-N-(2-methoxy-1-phenylethyl)-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,

(S)-N-[2-(difluoromethoxy)-1-phenylethyl]-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,

25 (S)-N-(2-ethoxy-1-phenylethyl)-6,6-dimethyl-3-[1-(trimethylsilyl)cyclo

butanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,

(R)-N-(3-methoxy-1-phenylpropyl)-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,

Sodium

(S)-2-{6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazole-5-carboxamido}-2-phenylacetate,

(R)-N-(2-hydroxy-1-phenylethyl)-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,

N-[1-(2-fluorophenyl)-2-hydroxyethyl]-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,

(S)-N-[1-(3-fluorophenyl)-2-hydroxyethyl]-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,

(S)-N-[1-(4-fluorophenyl)-2-hydroxyethyl]-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,

N-[2-hydroxy-1-(pyridin-2-yl)ethyl]-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,

N-[2-hydroxy-1-(pyridin-3-yl)ethyl]-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,

- N-[1-(benzo[d][1,3]dioxol-4-yl)-2-hydroxyethyl]-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,
- (S)-N-(1-cyclohexyl-2-hydroxyethyl)-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,
- (S)-N-(1-hydroxy-3-methylbutan-2-yl)-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,
- (S)-N-(1-hydroxypropan-2-yl)-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,
- N-(2-hydroxyethyl)-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,
- N-(2-hydroxy-2-phenylethyl)-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,
- N-(2-hydroxypropyl)-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,
- N-[(2S)-1-hydroxy-3-methyl-1-phenylbutan-2-yl]-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,
- N-(4-hydroxy-1-phenyl-2-butyne-1-yl)-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,
- (S)-2-{6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-1,4,

5,6-tetrahydropyrrolo[3,4-c]pyrazole-5-carboxamido}-2-phenylethyl
acetate,

(S)-2-{6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-1,4,
5,6-tetrahydropyrrolo[3,4-c]pyrazole-5-carboxamido}-2-phenylethyl
5 propionate,

(S)-2-{6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-1,4,
5,6-tetrahydropyrrolo[3,4-c]pyrazole-5-carboxamido}-2-phenylethyl
butanoate,

(S)-2-{6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-1,4,
10 5,6-tetrahydropyrrolo[3,4-c]pyrazole-5-carboxamido}-2-phenylethyl
pentanoate,

(S)-2-{6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-1,4,
5,6-tetrahydropyrrolo[3,4-c]pyrazole-5-carboxamido}-2-phenylethyl
octanoate,

15 (S)-2-{6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-1,4,
5,6-tetrahydropyrrolo[3,4-c]pyrazole-5-carboxamido}-2-phenylethyl
dodecanoate,

(S)-2-{6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-1,4,
5,6-tetrahydropyrrolo[3,4-c]pyrazole-5-carboxamido}-2-phenylethyl
20 palmitate,

(S)-2-{6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-1,4,
5,6-tetrahydropyrrolo[3,4-c]pyrazole-5-carboxamido}-2-phenylethyl
isobutanoate,

(S)-2-{6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-1,4,
25 5,6-tetrahydropyrrolo[3,4-c]pyrazole-5-carboxamido}-2-phenylethyl
pivalate,

- (S)-2-{6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazole-5-carboxamido}-2-phenylethyl 3-methyl butanoate,
- 5 (S)-2-{6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazole-5-carboxamido}-2-phenylethyl benzoate,
- (S)-2-{6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazole-5-carboxamido}-2-phenylethyl ethyl carbonate,
- 10 Sodium
- (S)-4-(2-{6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazole-5-carboxamido}-2-phenylethoxy)-4-oxobutanoate,
- (S)-(2-{6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazole-5-carboxamido}-2-phenylethoxy) methyl pivalate,
- 15 (S)-2-acetoxy-1-phenylethyl
- 6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydro pyrrolo[3,4-c]pyrazole-5(1H)-carboxylate,
- 20 (S)-benzyl
- 2-{6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazole-5-carboxamido}-2-phenylacetate,
- (S)-methyl
- 2-{6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazole-5-carboxamido}-2-phenylacetate,
- 25 N-(2,2-difluoro-3-hydroxy-1-phenylpropyl)-6,6-dimethyl-3-[1-(trimeth

- ylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,
- N-(2-isopropoxy-1-phenylethyl)-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,
- 5 6,6-Dimethyl-N-(2-phenoxy-1-phenylethyl)-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,
- (S)-N-[1-(2-chlorophenyl)-2-hydroxyethyl]-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,
- 10 (S)-N-[2-hydroxy-1-(o-tolyl)ethyl]-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,
- 15 (S)-N-(1-hydroxy-3-phenylpropan-2-yl)-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,
- N-(2-hydroxy-3-methylbutyl)-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,
- 20 (R)-N-(1-hydroxy-3-phenylpropan-2-yl)-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,
- (R)-N-(2-hydroxy-2-phenylethyl)-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,
- 25

(S)-N-(2-hydroxy-2-phenylethyl)-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,

2-Hydroxy-2-phenylethyl

- 5 6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxylate,
- (R)-N-[6,6-dimethyl-5-(2-phenoxypropanoyl)-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazol-3-yl]-1-(trimethylsilyl)cyclobutanecarboxamide,
- (S)-N-[6,6-dimethyl-5-(2-phenoxypropanoyl)-1,4,5,6-tetrahydropyrrolo
- 10 [3,4-c]pyrazol-3-yl]-1-(trimethylsilyl)cyclobutanecarboxamide,
- N-[6,6-dimethyl-5-(2-phenoxyacetyl)-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazol-3-yl]-1-(trimethylsilyl)cyclobutanecarboxamide,
- (R)-N-[6,6-dimethyl-5-(2-phenoxypropanoyl)-1,4,5,6-tetrahydropyrrolo
- [3,4-c]pyrazol-3-yl]-1-(trimethylsilyl)cyclopropanecarboxamide,
- 15 N-{5-[3-(benzyloxy)-2-phenoxypropanoyl]-6,6-dimethyl-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazol-3-yl}-1-(trimethylsilyl)cyclobutanecarboxamide,
- N-[5-(3-hydroxy-2-phenoxypropanoyl)-6,6-dimethyl-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazol-3-yl]-1-(trimethylsilyl)cyclobutanecarboxamide,
- 20 N-{5-[2-(4-chlorophenoxy)propanoyl]-6,6-dimethyl-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazol-3-yl}-1-(trimethylsilyl)cyclobutanecarboxamide,
- N-{5-[2-(2-chlorophenoxy)propanoyl]-6,6-dimethyl-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazol-3-yl}-1-(trimethylsilyl)cyclobutanecarboxamide,
- N-{5-[2-(cyclohexyloxy)propanoyl]-6,6-dimethyl-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazol-3-yl}-1-(trimethylsilyl)cyclobutanecarboxamide,
- 25 N-{5-[2-(3-chlorophenoxy)propanoyl]-6,6-dimethyl-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazol-3-yl}-1-(trimethylsilyl)cyclobutanecarboxamide,

pyrrolo[3,4-c]pyrazol-3-yl}-1-(trimethylsilyl)cyclobutanecarboxamide,
 N-[5-(2-methoxypropanoyl)-6,6-dimethyl-1,4,5,6-tetrahydropyrrolo[3,4-
 -c]pyrazol-3-yl]-1-(trimethylsilyl)cyclobutanecarboxamide,
 N-[5-(3-methoxy-2-phenoxypropanoyl)-6,6-dimethyl-1,4,5,6-tetrahydro
 5 pyrrolo[3,4-c]pyrazol-3-yl]-1-(trimethylsilyl)cyclobutanecarboxamide,
 N-{6,6-dimethyl-5-[2-(pyridin-3-yloxy)propanoyl]-1,4,5,6-tetrahydropy
 rrolo[3,4-c]pyrazol-3-yl}-1-(trimethylsilyl)cyclobutanecarboxamide,
 N-{5-[3-(dimethylamino)-2-phenoxypropanoyl]-6,6-dimethyl-1,4,5,6-te
 trahydropyrrolo[3,4-c]pyrazol-3-yl}-1-(trimethylsilyl)cyclobutanecarbo
 10 xamide,
 N-[6,6-dimethyl-5-(2-phenoxy-2-phenylacetyl)-1,4,5,6-tetrahydropyrrol
 o[3,4-c]pyrazol-3-yl]-1-(trimethylsilyl)cyclobutanecarboxamide,
 N-{5-[3-(3,3-difluoropyrrolidin-1-yl)-2-phenoxypropanoyl]-6,6-dimeth
 yl-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazol-3-yl}-1-(trimethylsilyl)cyclo
 15 butanecarboxamide,
 N-[5-(3-hydroxy-2-phenylpropanoyl)-6,6-dimethyl-1,4,5,6-tetrahydropy
 rrolo[3,4-c]pyrazol-3-yl]-1-(trimethylsilyl)cyclobutanecarboxamide,
 (S)-N-[5-(3-hydroxy-2-phenylpropanoyl)-6,6-dimethyl-1,4,5,6-tetrahyd
 ropyrrolo[3,4-c]pyrazol-3-yl]-1-(trimethylsilyl)cyclobutanecarboxamide
 20 ,
 (R)-N-{5-[3-(benzyloxy)-2-phenylpropanoyl]-6,6-dimethyl-1,4,5,6-tetra
 hydropyrrolo[3,4-c]pyrazol-3-yl}-1-(trimethylsilyl)cyclobutanecarboxa
 mide,
 (R)-N-[5-(3-hydroxy-2-phenylpropanoyl)-6,6-dimethyl-1,4,5,6-tetrahyd
 25 ropyrrolo[3,4-c]pyrazol-3-yl]-1-(trimethylsilyl)cyclobutanecarboxamide
 ,

- (R)-N-[5-(2-methoxy-2-phenylacetyl)-6,6-dimethyl-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazol-3-yl]-1-(trimethylsilyl)cyclobutanecarboxamide,
- (S)-N-[5-(2-methoxy-2-phenylacetyl)-6,6-dimethyl-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazol-3-yl]-1-(trimethylsilyl)cyclobutanecarboxamide,
- 5 N-[5-(3-methoxy-2-phenylpropanoyl)-6,6-dimethyl-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazol-3-yl]-1-(trimethylsilyl)cyclobutanecarboxamide,
- N-[5-(4-methoxy-2-phenylbutanoyl)-6,6-dimethyl-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazol-3-yl]-1-(trimethylsilyl)cyclobutanecarboxamide,
- (S)-N-[5-(3-hydroxy-2-phenylpropanoyl)-6,6-dimethyl-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazol-3-yl]-1-(trimethylsilyl)cyclopropanecarboxamide,
- 10 de,
- (R)-N-[5-(2-methoxy-2-phenylacetyl)-6,6-dimethyl-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazol-3-yl]-1-(trimethylsilyl)cyclopropanecarboxamide,
- (R)-N-{5-[2-(difluoromethoxy)-2-phenylacetyl]-6,6-dimethyl-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazol-3-yl}-1-(trimethylsilyl)cyclobutanecarboxamide,
- 15 xamide,
- (R)-N-[5-(2-ethoxy-2-phenylacetyl)-6,6-dimethyl-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazol-3-yl]-1-(trimethylsilyl)cyclobutanecarboxamide,
- (R)-1-(ethyltrimethylsilyl)-N-[5-(2-methoxy-2-phenylacetyl)-6,6-dimethyl-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazol-3-yl]cyclobutanecarboxamide,
- 20 e,
- (R)-N-[5-(2-cyclopropoxy-2-phenylacetyl)-6,6-dimethyl-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazol-3-yl]-1-(trimethylsilyl)cyclobutanecarboxamide,
- e,
- 25 (R)-N-[5-(2-isopropoxy-2-phenylacetyl)-6,6-dimethyl-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazol-3-yl]-1-(trimethylsilyl)cyclobutanecarboxamide,

(R)-N-{6,6-dimethyl-5-[2-phenyl-2-(trifluoromethoxy)acetyl]-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazol-3-yl}-1-(trimethylsilyl)cyclobutanecarboxamide,

5 (R)-N-[6,6-dimethyl-5-(2-phenyl-2-propoxyacetyl)-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazol-3-yl]-1-(trimethylsilyl)cyclobutanecarboxamide,

N-{5-[2-(4-fluorophenyl)-2-methoxyacetyl]-6,6-dimethyl-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazol-3-yl}-1-(trimethylsilyl)cyclobutanecarboxamide,

10 N-{5-[2-(3-fluorophenyl)-2-methoxyacetyl]-6,6-dimethyl-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazol-3-yl}-1-(trimethylsilyl)cyclobutanecarboxamide,

(R)-N-{5-[2-(2-fluorophenyl)-2-methoxyacetyl]-6,6-dimethyl-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazol-3-yl}-1-(trimethylsilyl)cyclobutanecarboxamide,

15 N-{5-[2-methoxy-2-(thiophen-2-yl)acetyl]-6,6-dimethyl-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazol-3-yl}-1-(trimethylsilyl)cyclobutanecarboxamide,

20 (-)-N-{5-[2-methoxy-2-(thiophen-2-yl)acetyl]-6,6-dimethyl-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazol-3-yl}-1-(trimethylsilyl)cyclobutanecarboxamide,

(+)-N-{5-[2-methoxy-2-(thiophen-2-yl)acetyl]-6,6-dimethyl-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazol-3-yl}-1-(trimethylsilyl)cyclobutanecarboxamide,

25 N-{[1-(hydroxymethyl)cyclobutyl](phenyl)methyl}-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,

- (R)-N-[2-(1-hydroxycyclopropyl)-1-phenylethyl]-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,
- 5 (R)-N-(3-ethyl-3-hydroxy-1-phenylpentyl)-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,
- (R)-N-[1-(4-fluorophenyl)-3-hydroxy-3-methylbutyl]-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,
- 10 (R)-N-[1-(3-fluorophenyl)-3-hydroxy-3-methylbutyl]-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,
- (R)-N-[1-(2-fluorophenyl)-3-hydroxy-3-methylbutyl]-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,
- 15 (R)-N-(5-hydroxy-2,5-dimethylhexan-3-yl)-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,
- N-[1-(4-fluorophenyl)-3-hydroxy-2,2-dimethylpropyl]-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,
- 20 N-[1-(3-fluorophenyl)-3-hydroxy-2,2-dimethylpropyl]-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,
- 25 (-)-N-[1-(3-fluorophenyl)-3-hydroxy-2,2-dimethylpropyl]-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]

-]pyrazole-5(1H)-carboxamide,
 (+)-N-[1-(3-fluorophenyl)-3-hydroxy-2,2-dimethylpropyl]-6,6-dimethyl
 -3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-
 c]pyrazole-5(1H)-carboxamide,
 5 N-[1-(2-fluorophenyl)-3-hydroxy-2,2-dimethylpropyl]-6,6-dimethyl-3-[
 1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]py
 razole-5(1H)-carboxamide,
 N-(1-hydroxy-2,2,4-trimethylpentan-3-yl)-6,6-dimethyl-3-[1-(trimethyls
 iyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)
 10 -carboxamide,
 (R)-N-(3-hydroxy-3-methyl-1-phenylbutyl)-6,6-dimethyl-3-[1-(trimethy
 lsilyl)cyclopropanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1
 H)-carboxamide,
 N-(3-hydroxy-2,2-dimethyl-1-phenylpropyl)-6,6-dimethyl-3-[1-(trimeth
 ylsilyl)cyclopropanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(
 15 1H)-carboxamide,
 (-)-N-(3-hydroxy-2,2-dimethyl-1-phenylpropyl)-6,6-dimethyl-3-[1-(tri
 methylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-
 5(1H)-carboxamide,
 20 (+)-N-(3-hydroxy-2,2-dimethyl-1-phenylpropyl)-6,6-dimethyl-3-[1-(tri
 methylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazol
 e-5(1H)-carboxamide,
 (R)-N-[5-(2-butoxy-2-phenylacetyl)-6,6-dimethyl-1,4,5,6-tetrahydropyr
 rolo[3,4-c]pyrazol-3-yl]-1-(trimethylsilyl)cyclobutanecarboxamide, and
 25 N-(3-methoxy-2,2-dimethyl-1-phenylpropyl)-6,6-dimethyl-3-[1-(trimet
 hylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(

1H)-carboxamide

or a pharmacologically acceptable salt thereof.

[12]

(R)-N-[1-(4-fluorophenyl)-3-hydroxy-3-methylbutyl]-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide or a pharmacologically acceptable salt thereof.

[13]

(-)-N-(3-hydroxy-2,2-dimethyl-1-phenylpropyl)-6,6-dimethyl-3-[1-(trimethylsilyl)cyclopropane-1-carboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide or a pharmacologically acceptable salt thereof.

[14]

(R)-N-[5-(2-methoxy-2-phenylacetyl)-6,6-dimethyl-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazol-3-yl]-1-(trimethylsilyl)cyclobutanecarboxamide or a pharmacologically acceptable salt thereof.

[15]

(R)-N-[6,6-dimethyl-5-(2-phenyl-2-propoxyacetyl)-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazol-3-yl]-1-(trimethylsilyl)cyclobutanecarboxamide or a pharmacologically acceptable salt thereof.

[16]

(R)-N-{5-[2-(2-fluorophenyl)-2-methoxyacetyl]-6,6-dimethyl-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazol-3-yl}-1-(trimethylsilyl)cyclobutanecarboxamide or a pharmacologically acceptable salt thereof.

[17]

(R)-N-[5-(2-ethoxy-2-phenylacetyl)-6,6-dimethyl-1,4,5,6-tetra

ydpyrrolo[3,4-c]pyrazol-3-yl]-1-(trimethylsilyl)cyclobutanecarboxamide or a pharmacologically acceptable salt thereof.

[18]

(R)-N-[5-(2-cyclopropoxy-2-phenylacetyl)-6,6-dimethyl-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazol-3-yl]-1-(trimethylsilyl)cyclobutanecarboxamide or a pharmacologically acceptable salt thereof.

[19]

(R)-N-[5-(2-isopropoxy-2-phenylacetyl)-6,6-dimethyl-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazol-3-yl]-1-(trimethylsilyl)cyclobutanecarboxamide or a pharmacologically acceptable salt thereof.

[20]

(+)-N-{5-[2-methoxy-2-(thiophen-2-yl)acetyl]-6,6-dimethyl-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazol-3-yl}-1-(trimethylsilyl)cyclobutanecarboxamide or a pharmacologically acceptable salt thereof.

[21]

(-)-N-[1-(3-fluorophenyl)-3-hydroxy-2,2-dimethylpropyl]-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide or a pharmacologically acceptable salt thereof.

[22]

N-{5-[2-(3-fluorophenyl)-2-methoxyacetyl]-6,6-dimethyl-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazol-3-yl}-1-(trimethylsilyl)cyclobutanecarboxamide or a pharmacologically acceptable salt thereof.

[23]

N-[1-(4-fluorophenyl)-3-hydroxy-2,2-dimethylpropyl]-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[

3,4-c]pyrazole-5(1H)-carboxamide or a pharmacologically acceptable salt thereof.

[24]

(R)-N-[1-(3-fluorophenyl)-3-hydroxy-3-methylbutyl]-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide or a pharmacologically acceptable salt thereof.

[25]

(R)-N-{6,6-dimethyl-5-[2-phenyl-2-(trifluoromethoxy)acetyl]-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazol-3-yl}-1-(trimethylsilyl)cyclobutanecarboxamide or a pharmacologically acceptable salt thereof.

[26]

(R)-N-[2-(1-hydroxycyclopropyl)-1-phenylethyl]-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide or a pharmacologically acceptable salt thereof.

[27]

(R)-N-(3-hydroxy-3-methyl-1-phenylbutyl)-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide or a pharmacologically acceptable salt thereof.

[28]

(R)-N-[5-(2-methoxy-2-phenylacetyl)-6,6-dimethyl-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazol-3-yl]-1-(trimethylsilyl)cyclopropanecarboxamide or a pharmacologically acceptable salt thereof.

[29]

(R)-N-{5-[2-(difluoromethoxy)-2-phenylacetyl]-6,6-dimethyl-1,

4,5,6-tetrahydropyrrolo[3,4-c]pyrazol-3-yl}-1-(trimethylsilyl)cyclobutanecarboxamide or a pharmacologically acceptable salt thereof.

[30]

(R)-1-(ethyldimethylsilyl)-N-[5-(2-methoxy-2-phenylacetyl)-6,6-dimethyl-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazol-3-yl]cyclobutanecarboxamide or a pharmacologically acceptable salt thereof.

[31]

N-{[1-(hydroxymethyl)cyclobutyl](phenyl)methyl}-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide or a pharmacologically acceptable salt thereof.

[32] A pharmaceutical composition comprising the compound or a pharmacologically acceptable salt thereof according to any of [1] to [31].

[33] The pharmaceutical composition according to [32], wherein the pharmaceutical composition is a CDK7 inhibitor or a prophylactic agent.

[34] The pharmaceutical composition according to [32] or [33], wherein the pharmaceutical composition is for treating or preventing a cancer or an inflammatory disease.

[35] The pharmaceutical composition according to [34], wherein the inflammatory disease is an autoimmune disease.

[36] The pharmaceutical composition according to [35], wherein the autoimmune disease is rheumatoid arthritis or psoriasis.

[37] A method for treating or preventing a cancer or an inflammatory disease, comprising administering the compound or the

pharmacologically acceptable salt thereof according to any of [1] to [31]
to a subject in need thereof.

[38] The method according to [37], wherein the inflammatory disease
is an autoimmune disease.

5 [39] The method according to [38], wherein the autoimmune disease
is rheumatoid arthritis or psoriasis.

[40] Use of the compound or the pharmacologically acceptable salt
thereof according to any of [1] to [31] for the production of a
pharmaceutical composition which is a CDK7 inhibitor or a
10 prophylactic agent.

[41] Use of the compound or the pharmacologically acceptable salt
thereof according to any of [1] to [31] for inhibiting CDK7.

[42] Use of the compound or the pharmacologically acceptable salt
thereof according to any of [1] to [31] for treating or preventing a cancer
15 or an inflammatory disease.

[43] Use according to [42], wherein the inflammatory disease is an
autoimmune disease.

[44] Use according to [43], wherein the autoimmune disease is
rheumatoid arthritis or psoriasis.

20 [45] The compound or the pharmacologically acceptable salt thereof
according to any of [1] to [31] for use as an active ingredient for a
pharmaceutical composition.

[46] The compound or the pharmacologically acceptable salt thereof
according to [45], wherein the pharmaceutical composition is a
25 pharmaceutical composition for the treatment of a cancer or an
inflammatory disease.

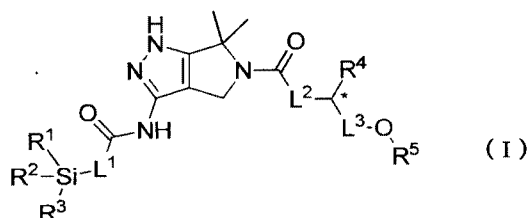
[47] The compound or the pharmacologically acceptable salt thereof according to [46], wherein the inflammatory disease is an autoimmune disease.

[48] The compound or the pharmacologically acceptable salt thereof according to [47], wherein the autoimmune disease is rheumatoid arthritis or psoriasis.

[0015] Specific examples of the compound represented by formula (I) of the present invention can include compounds as shown in Tables 1 to 164 described below. In Tables 1 to 164 described below, Me represents a methyl group, Et represents an ethyl group, nPr represents a n-propyl group, iPr represents an isopropyl group, cPr represents a cyclopropyl group, nBu represents a n-butyl group, iBu represents an isobutyl group, tBu represents a tert-butyl group, cHex represents a cyclohexyl group, Ph represents a phenyl group, 2-F-Ph represents a 2-fluorophenyl group, 3-F-Ph represents a 3-fluorophenyl group, 4-F-Ph represents a 4-fluorophenyl group, 2-Cl-Ph represents a 2-chlorophenyl group, 3-Cl-Ph represents a 3-chlorophenyl group, 4-Cl-Ph represents a 4-chlorophenyl group, 2-Me-Ph represents a 2-methylphenyl group, 3-Me-Ph represents a 3-methylphenyl group, 4-Me-Ph represents a 4-methylphenyl group, 2-Py represents a 2-pyridyl group, 3-Py represents a 3-pyridyl group, 4-Py represents a 4-pyridyl group, Bn represents a benzyl group, "-" represents a single bond, "(R)-" and "(S)-" each represent the configuration of a carbon atom with "*" in the following formulas (I), (II), (III), (IV), (Va), (Vb), (Vc), (VIa), (VIb), and (VIc), "racemic" represents being a racemate, "(+)" represents being a dextrorotatory optically active form, and "(-)" represents being a

levorotatory optically active form. As for each chemical structure described as L^1 , L^2 , or L^3 in the tables, the atom positioned on the left side of the chemical structure binds to a silicon atom, a carbonyl group, or a carbon atom with "*" in the corresponding formula. In the case of, for example, a compound of compound No. I-21, $\text{CH}_2\text{-C}(\text{Me})_2$ corresponding to L^1 means that the methylene carbon atom (CH_2) binds to a silicon atom and the quaternary carbon atom (C) binds to a carbonyl group, and $\text{C}\equiv\text{CCH}_2$ corresponding to L^3 means that the quaternary carbon atom (C) binds to a carbon atom with "*" and the methylene carbon atom (CH_2) binds to an oxygen atom adjacent to R^5 .

[0016] [Table 1]

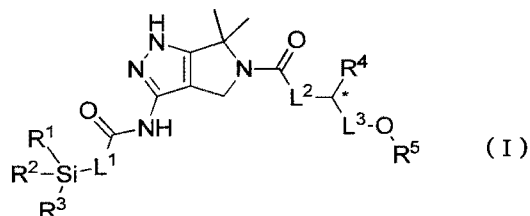


Compound No.	R ¹	R ²	R ³	L ¹	L ²	R ⁴	L ³	R ⁵	Configuration
I-1	Me	Me	Me	CH ₂	O	Ph	CH ₂	H	racemic
I-2	Me	Me	Me	CH ₂	O	Ph	CH ₂	H	(S)-
I-3	Me	Me	Me	CH ₂	O	Ph	CH ₂	Me	racemic
I-4	Me	Me	Me	CH ₂	O	Ph	CH ₂	Me	(S)-
I-5	Me	Me	Me	CH ₂	O	Ph	(CH ₂) ₂	H	racemic
I-6	Me	Me	Me	CH ₂	O	Ph	(CH ₂) ₂	H	(R)-
I-7	Me	Me	Me	CH ₂	O	Ph	(CH ₂) ₂	Me	racemic
I-8	Me	Me	Me	CH ₂	O	Ph	(CH ₂) ₂	Me	(R)-
I-9	Me	Me	Me	CH ₂	O	Ph	C≡CCH ₂	H	racemic
I-10	Me	Me	Me	CH ₂	O	Ph	C≡CCH ₂	H	(S)-
I-11	Me	Me	Me	CH ₂	O	Ph	C≡CCH ₂	Me	racemic
I-12	Me	Me	Me	CH ₂	O	Ph	C≡CCH ₂	Me	(S)-
I-13	Me	Me	Me	CH ₂ C(Me) ₂	O	Ph	CH ₂	H	racemic

I-14	Me	Me	Me	CH ₂ C(Me) ₂	O	Ph	CH ₂	H	(S)-
I-15	Me	Me	Me	CH ₂ C(Me) ₂	O	Ph	CH ₂	Me	racemic
I-16	Me	Me	Me	CH ₂ C(Me) ₂	O	Ph	CH ₂	Me	(S)-
I-17	Me	Me	Me	CH ₂ C(Me) ₂	O	Ph	(CH ₂) ₂	H	racemic
I-18	Me	Me	Me	CH ₂ C(Me) ₂	O	Ph	(CH ₂) ₂	H	(R)-
I-19	Me	Me	Me	CH ₂ C(Me) ₂	O	Ph	(CH ₂) ₂	Me	racemic
I-20	Me	Me	Me	CH ₂ C(Me) ₂	O	Ph	(CH ₂) ₂	Me	(R)-
I-21	Me	Me	Me	CH ₂ C(Me) ₂	O	Ph	C≡CCH ₂	H	racemic
I-22	Me	Me	Me	CH ₂ C(Me) ₂	O	Ph	C≡CCH ₂	H	(S)-
I-23	Me	Me	Me	CH ₂ C(Me) ₂	O	Ph	C≡CCH ₂	Me	racemic
I-24	Me	Me	Me	CH ₂ C(Me) ₂	O	Ph	C≡CCH ₂	Me	(S)-
I-25	Me	Me	Me	CH ₂ CH=CH	O	Ph	CH ₂	H	racemic
I-26	Me	Me	Me	CH ₂ CH=CH	O	Ph	CH ₂	H	(S)-
I-27	Me	Me	Me	CH ₂ CH=CH	O	Ph	CH ₂	Me	racemic
I-28	Me	Me	Me	CH ₂ CH=CH	O	Ph	CH ₂	Me	(S)-
I-29	Me	Me	Me	CH ₂ CH=CH	O	Ph	(CH ₂) ₂	H	racemic
I-30	Me	Me	Me	CH ₂ CH=CH	O	Ph	(CH ₂) ₂	H	(R)-
I-31	Me	Me	Me	CH ₂ CH=CH	O	Ph	(CH ₂) ₂	Me	racemic
I-32	Me	Me	Me	CH ₂ CH=CH	O	Ph	(CH ₂) ₂	Me	(R)-
I-33	Me	Me	Me	CH ₂ CH=CH	O	Ph	C≡CCH ₂	H	racemic
I-34	Me	Me	Me	CH ₂ CH=CH	O	Ph	C≡CCH ₂	H	(S)-
I-35	Me	Me	Me	CH ₂ CH=CH	O	Ph	C≡CCH ₂	Me	racemic
I-36	Me	Me	Me	CH ₂ CH=CH	O	Ph	C≡CCH ₂	Me	(S)-
I-37	Me	Me	Me	CH ₂ C≡C	O	Ph	CH ₂	H	racemic
I-38	Me	Me	Me	CH ₂ C≡C	O	Ph	CH ₂	H	(S)-
I-39	Me	Me	Me	CH ₂ C≡C	O	Ph	CH ₂	Me	racemic
I-40	Me	Me	Me	CH ₂ C≡C	O	Ph	CH ₂	Me	(S)-
I-41	Me	Me	Me	CH ₂ C≡C	O	Ph	(CH ₂) ₂	H	racemic
I-42	Me	Me	Me	CH ₂ C≡C	O	Ph	(CH ₂) ₂	H	(R)-
I-43	Me	Me	Me	CH ₂ C≡C	O	Ph	(CH ₂) ₂	Me	racemic
I-44	Me	Me	Me	CH ₂ C≡C	O	Ph	(CH ₂) ₂	Me	(R)-
I-45	Me	Me	Me	CH ₂ C≡C	O	Ph	C≡CCH ₂	H	racemic
I-46	Me	Me	Me	CH ₂ C≡C	O	Ph	C≡CCH ₂	H	(S)-
I-47	Me	Me	Me	CH ₂ C≡C	O	Ph	C≡CCH ₂	Me	racemic
I-48	Me	Me	Me	CH ₂ C≡C	O	Ph	C≡CCH ₂	Me	(S)-
I-49	Me	Me	Me	1,2-Cyclopropylene	O	Ph	CH ₂	H	racemic
I-50	Me	Me	Me	1,2-Cyclopropylene	O	Ph	CH ₂	H	(S)-

				pylene					
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[0017] [Table 2]

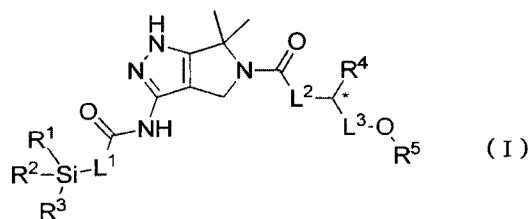


Compound No.	R ¹	R ²	R ³	L ¹	L ²	R ⁴	L ³	R ⁵	Configuration
I-51	Me	Me	Me	1,2-Cyclopropylene	O	Ph	CH ₂	Me	racemic
I-52	Me	Me	Me	1,2-Cyclopropylene	O	Ph	CH ₂	Me	(S)-
I-53	Me	Me	Me	1,2-Cyclopropylene	O	Ph	(CH ₂) ₂	H	racemic
I-54	Me	Me	Me	1,2-Cyclopropylene	O	Ph	(CH ₂) ₂	H	(R)-
I-55	Me	Me	Me	1,2-Cyclopropylene	O	Ph	(CH ₂) ₂	Me	racemic
I-56	Me	Me	Me	1,2-Cyclopropylene	O	Ph	(CH ₂) ₂	Me	(R)-
I-57	Me	Me	Me	1,2-Cyclopropylene	O	Ph	C≡CCH ₂	H	racemic
I-58	Me	Me	Me	1,2-Cyclopropylene	O	Ph	C≡CCH ₂	H	(S)-
I-59	Me	Me	Me	1,2-Cyclopropylene	O	Ph	C≡CCH ₂	Me	racemic
I-60	Me	Me	Me	1,2-Cyclopropylene	O	Ph	C≡CCH ₂	Me	(S)-
I-61	Me	Me	Me	5,5-Spiro[2.3]hexylene	O	Ph	CH ₂	H	racemic
I-62	Me	Me	Me	5,5-Spiro[2.3]hexylene	O	Ph	CH ₂	H	(S)-
I-63	Me	Me	Me	5,5-Spiro[2.3]hexylene	O	Ph	CH ₂	Me	racemic
I-64	Me	Me	Me	5,5-Spiro[2.3]hexylene	O	Ph	CH ₂	Me	(S)-
I-65	Me	Me	Me	5,5-Spiro[2.3]hexylene	O	Ph	(CH ₂) ₂	H	racemic
I-66	Me	Me	Me	5,5-Spiro[2.3]hexylene	O	Ph	(CH ₂) ₂	H	(R)-
I-67	Me	Me	Me	5,5-Spiro[2.3]hexylene	O	Ph	(CH ₂) ₂	Me	racemic

I-68	Me	Me	Me	5,5-Spiro[2.3]hexylene	O	Ph	(CH ₂) ₂	Me	(R)-
I-69	Me	Me	Me	5,5-Spiro[2.3]hexylene	O	Ph	C≡CCH ₂	H	racemic
I-70	Me	Me	Me	5,5-Spiro[2.3]hexylene	O	Ph	C≡CCH ₂	H	(S)-
I-71	Me	Me	Me	5,5-Spiro[2.3]hexylene	O	Ph	C≡CCH ₂	Me	racemic
I-72	Me	Me	Me	5,5-Spiro[2.3]hexylene	O	Ph	C≡CCH ₂	Me	(S)-
I-73	Me	Me	Me	4,4-Cyclophenylene	O	Ph	CH ₂	H	racemic
I-74	Me	Me	Me	4,4-Cyclophenylene	O	Ph	CH ₂	H	(S)-
I-75	Me	Me	Me	4,4-Cyclophenylene	O	Ph	CH ₂	Me	racemic
I-76	Me	Me	Me	4,4-Cyclophenylene	O	Ph	CH ₂	Me	(S)-
I-77	Me	Me	Me	4,4-Cyclophenylene	O	Ph	(CH ₂) ₂	H	racemic
I-78	Me	Me	Me	4,4-Cyclophenylene	O	Ph	(CH ₂) ₂	H	(R)-
I-79	Me	Me	Me	4,4-Cyclophenylene	O	Ph	(CH ₂) ₂	Me	racemic
I-80	Me	Me	Me	4,4-Cyclophenylene	O	Ph	(CH ₂) ₂	Me	(R)-
I-81	Me	Me	Me	4,4-Cyclophenylene	O	Ph	C≡CCH ₂	H	racemic
I-82	Me	Me	Me	4,4-Cyclophenylene	O	Ph	C≡CCH ₂	H	(S)-
I-83	Me	Me	Me	4,4-Cyclophenylene	O	Ph	C≡CCH ₂	Me	racemic
I-84	Me	Me	Me	4,4-Cyclophenylene	O	Ph	C≡CCH ₂	Me	(S)-
I-85	Me	Me	Me	CH ₂	NH	Ph	CH ₂	H	racemic
I-86	Me	Me	Me	CH ₂	NH	Ph	CH ₂	H	(S)-
I-87	Me	Me	Me	CH ₂	NH	Ph	CH ₂	Me	racemic
I-88	Me	Me	Me	CH ₂	NH	Ph	CH ₂	Me	(S)-
I-89	Me	Me	Me	CH ₂	NH	Ph	(CH ₂) ₂	H	racemic
I-90	Me	Me	Me	CH ₂	NH	Ph	(CH ₂) ₂	H	(R)-
I-91	Me	Me	Me	CH ₂	NH	Ph	(CH ₂) ₂	Me	racemic
I-92	Me	Me	Me	CH ₂	NH	Ph	(CH ₂) ₂	Me	(R)-
I-93	Me	Me	Me	CH ₂	NH	Ph	C≡CCH ₂	H	racemic
I-94	Me	Me	Me	CH ₂	NH	Ph	C≡CCH ₂	H	(S)-
I-95	Me	Me	Me	CH ₂	NH	Ph	C≡CCH ₂	Me	racemic
I-96	Me	Me	Me	CH ₂	NH	Ph	C≡CCH ₂	Me	(S)-

I-97	Me	Me	Me	CH ₂ C(Me) ₂	NH	Ph	CH ₂	H	racemic
I-98	Me	Me	Me	CH ₂ C(Me) ₂	NH	Ph	CH ₂	H	(S)-
I-99	Me	Me	Me	CH ₂ C(Me) ₂	NH	Ph	CH ₂	Me	racemic
I-100	Me	Me	Me	CH ₂ C(Me) ₂	NH	Ph	CH ₂	Me	(S)-

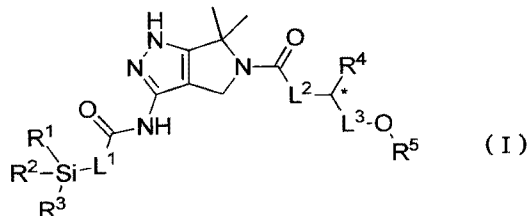
[0018] [Table 3]



Compound No.	R ¹	R ²	R ³	L ¹	L ²	R ⁴	L ³	R ⁵	Configuration
I-101	Me	Me	Me	CH ₂ C(Me) ₂	NH	Ph	(CH ₂) ₂	H	racemic
I-102	Me	Me	Me	CH ₂ C(Me) ₂	NH	Ph	(CH ₂) ₂	H	(R)-
I-103	Me	Me	Me	CH ₂ C(Me) ₂	NH	Ph	(CH ₂) ₂	Me	racemic
I-104	Me	Me	Me	CH ₂ C(Me) ₂	NH	Ph	(CH ₂) ₂	Me	(R)-
I-105	Me	Me	Me	CH ₂ C(Me) ₂	NH	Ph	C≡CCH ₂	H	racemic
I-106	Me	Me	Me	CH ₂ C(Me) ₂	NH	Ph	C≡CCH ₂	H	(S)-
I-107	Me	Me	Me	CH ₂ C(Me) ₂	NH	Ph	C≡CCH ₂	Me	racemic
I-108	Me	Me	Me	CH ₂ C(Me) ₂	NH	Ph	C≡CCH ₂	Me	(S)-
I-109	Me	Me	Me	CH ₂ CH=CH	NH	Ph	CH ₂	H	racemic
I-110	Me	Me	Me	CH ₂ CH=CH	NH	Ph	CH ₂	H	(S)-
I-111	Me	Me	Me	CH ₂ CH=CH	NH	Ph	CH ₂	Me	racemic
I-112	Me	Me	Me	CH ₂ CH=CH	NH	Ph	CH ₂	Me	(S)-
I-113	Me	Me	Me	CH ₂ CH=CH	NH	Ph	(CH ₂) ₂	H	racemic
I-114	Me	Me	Me	CH ₂ CH=CH	NH	Ph	(CH ₂) ₂	H	(R)-
I-115	Me	Me	Me	CH ₂ CH=CH	NH	Ph	(CH ₂) ₂	Me	racemic
I-116	Me	Me	Me	CH ₂ CH=CH	NH	Ph	(CH ₂) ₂	Me	(R)-
I-117	Me	Me	Me	CH ₂ CH=CH	NH	Ph	C≡CCH ₂	H	racemic
I-118	Me	Me	Me	CH ₂ CH=CH	NH	Ph	C≡CCH ₂	H	(S)-
I-119	Me	Me	Me	CH ₂ CH=CH	NH	Ph	C≡CCH ₂	Me	racemic
I-120	Me	Me	Me	CH ₂ CH=CH	NH	Ph	C≡CCH ₂	Me	(S)-
I-121	Me	Me	Me	CH ₂ C≡C	NH	Ph	CH ₂	H	racemic
I-122	Me	Me	Me	CH ₂ C≡C	NH	Ph	CH ₂	H	(S)-

I-123	Me	Me	Me	CH ₂ C≡C	NH	Ph	CH ₂	Me	racemic
I-124	Me	Me	Me	CH ₂ C≡C	NH	Ph	CH ₂	Me	(S)-
I-125	Me	Me	Me	CH ₂ C≡C	NH	Ph	(CH ₂) ₂	H	racemic
I-126	Me	Me	Me	CH ₂ C≡C	NH	Ph	(CH ₂) ₂	H	(R)-
I-127	Me	Me	Me	CH ₂ C≡C	NH	Ph	(CH ₂) ₂	Me	racemic
I-128	Me	Me	Me	CH ₂ C≡C	NH	Ph	(CH ₂) ₂	Me	(R)-
I-129	Me	Me	Me	CH ₂ C≡C	NH	Ph	C≡CCH ₂	H	racemic
I-130	Me	Me	Me	CH ₂ C≡C	NH	Ph	C≡CCH ₂	H	(S)-
I-131	Me	Me	Me	CH ₂ C≡C	NH	Ph	C≡CCH ₂	Me	racemic
I-132	Me	Me	Me	CH ₂ C≡C	NH	Ph	C≡CCH ₂	Me	(S)-
I-133	Me	Me	Me	1,2-Cyclopropylene	NH	Ph	CH ₂	H	racemic
I-134	Me	Me	Me	1,2-Cyclopropylene	NH	Ph	CH ₂	H	(S)-
I-135	Me	Me	Me	1,2-Cyclopropylene	NH	Ph	CH ₂	Me	racemic
I-136	Me	Me	Me	1,2-Cyclopropylene	NH	Ph	CH ₂	Me	(S)-
I-137	Me	Me	Me	1,2-Cyclopropylene	NH	Ph	(CH ₂) ₂	H	racemic
I-138	Me	Me	Me	1,2-Cyclopropylene	NH	Ph	(CH ₂) ₂	H	(R)-
I-139	Me	Me	Me	1,2-Cyclopropylene	NH	Ph	(CH ₂) ₂	Me	racemic
I-140	Me	Me	Me	1,2-Cyclopropylene	NH	Ph	(CH ₂) ₂	Me	(R)-
I-141	Me	Me	Me	1,2-Cyclopropylene	NH	Ph	C≡CCH ₂	H	racemic
I-142	Me	Me	Me	1,2-Cyclopropylene	NH	Ph	C≡CCH ₂	H	(S)-
I-143	Me	Me	Me	1,2-Cyclopropylene	NH	Ph	C≡CCH ₂	Me	racemic
I-144	Me	Me	Me	1,2-Cyclopropylene	NH	Ph	C≡CCH ₂	Me	(S)-
I-145	Me	Me	Me	5,5-Spiro[2.3]hexylene	NH	Ph	CH ₂	H	racemic
I-146	Me	Me	Me	5,5-Spiro[2.3]hexylene	NH	Ph	CH ₂	H	(S)-
I-147	Me	Me	Me	5,5-Spiro[2.3]hexylene	NH	Ph	CH ₂	Me	racemic
I-148	Me	Me	Me	5,5-Spiro[2.3]hexylene	NH	Ph	CH ₂	Me	(S)-
I-149	Me	Me	Me	5,5-Spiro[2.3]hexylene	NH	Ph	(CH ₂) ₂	H	racemic
I-150	Me	Me	Me	5,5-Spiro[2.3]hexylene	NH	Ph	(CH ₂) ₂	H	(R)-

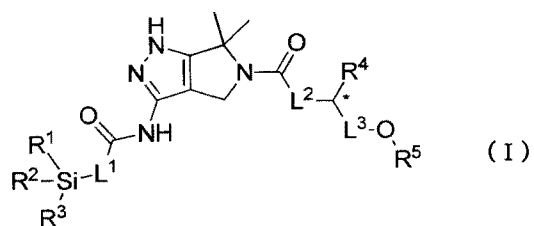
[0019] [Table 4]



Compound No.	R ¹	R ²	R ³	L ¹	L ²	R ⁴	L ³	R ⁵	Configuration
I-151	Me	Me	Me	5,5-Spiro[2.3]hexylene	NH	Ph	(CH ₂) ₂	Me	racemic
I-152	Me	Me	Me	5,5-Spiro[2.3]hexylene	NH	Ph	(CH ₂) ₂	Me	(R)-
I-153	Me	Me	Me	5,5-Spiro[2.3]hexylene	NH	Ph	C≡CCH ₂	H	racemic
I-154	Me	Me	Me	5,5-Spiro[2.3]hexylene	NH	Ph	C≡CCH ₂	H	(S)-
I-155	Me	Me	Me	5,5-Spiro[2.3]hexylene	NH	Ph	C≡CCH ₂	Me	racemic
I-156	Me	Me	Me	5,5-Spiro[2.3]hexylene	NH	Ph	C≡CCH ₂	Me	(S)-
I-157	Me	Me	Me	4,4-Cyclopentylene	NH	Ph	CH ₂	H	racemic
I-158	Me	Me	Me	4,4-Cyclopentylene	NH	Ph	CH ₂	H	(S)-
I-159	Me	Me	Me	4,4-Cyclopentylene	NH	Ph	CH ₂	Me	racemic
I-160	Me	Me	Me	4,4-Cyclopentylene	NH	Ph	CH ₂	Me	(S)-
I-161	Me	Me	Me	4,4-Cyclopentylene	NH	Ph	(CH ₂) ₂	H	racemic
I-162	Me	Me	Me	4,4-Cyclopentylene	NH	Ph	(CH ₂) ₂	H	(R)-
I-163	Me	Me	Me	4,4-Cyclopentylene	NH	Ph	(CH ₂) ₂	Me	racemic
I-164	Me	Me	Me	4,4-Cyclopentylene	NH	Ph	(CH ₂) ₂	Me	(R)-
I-165	Me	Me	Me	4,4-Cyclopentylene	NH	Ph	C≡CCH ₂	H	racemic
I-166	Me	Me	Me	4,4-Cyclopentylene	NH	Ph	C≡CCH ₂	H	(S)-
I-167	Me	Me	Me	4,4-Cyclopentylene	NH	Ph	C≡CCH ₂	Me	racemic
I-168	Me	Me	Me	4,4-Cyclopentylene	NH	Ph	C≡CCH ₂	Me	(S)-

				tenylene					
I-169	Me	Me	Me	CH ₂	CH ₂	Ph	CH ₂	H	racemic
I-170	Me	Me	Me	CH ₂	CH ₂	Ph	CH ₂	H	(S)-
I-171	Me	Me	Me	CH ₂	CH ₂	Ph	-	H	racemic
I-172	Me	Me	Me	CH ₂	CH ₂	Ph	-	H	(S)-
I-173	Me	Me	Me	CH ₂	CH ₂	Ph	CH ₂	Me	racemic
I-174	Me	Me	Me	CH ₂	CH ₂	Ph	CH ₂	Me	(S)-
I-175	Me	Me	Me	CH ₂	CH ₂	Ph	C(Me) ₂	H	racemic
I-176	Me	Me	Me	CH ₂	CH ₂	Ph	C(Me) ₂	H	(S)-
I-177	Me	Me	Me	CH ₂	CH ₂	Ph	C(Me) ₂	Me	racemic
I-178	Me	Me	Me	CH ₂	CH ₂	Ph	C(Me) ₂	Me	(S)-
I-179	Me	Me	Me	CH ₂	CH ₂	Ph	(CH ₂) ₂	H	racemic
I-180	Me	Me	Me	CH ₂	CH ₂	Ph	(CH ₂) ₂	H	(S)-
I-181	Me	Me	Me	CH ₂	CH ₂	Ph	(CH ₂) ₂	Me	racemic
I-182	Me	Me	Me	CH ₂	CH ₂	Ph	(CH ₂) ₂	Me	(S)-
I-183	Me	Me	Me	CH ₂	CH ₂	Ph	C≡CCH ₂	H	racemic
I-184	Me	Me	Me	CH ₂	CH ₂	Ph	C≡CCH ₂	H	(S)-
I-185	Me	Me	Me	CH ₂	CH ₂	Ph	C≡CCH ₂	Me	racemic
I-186	Me	Me	Me	CH ₂	CH ₂	Ph	C≡CCH ₂	Me	(S)-
I-187	Me	Me	Me	CH ₂ C(Me) ₂	CH ₂	Ph	CH ₂	H	racemic
I-188	Me	Me	Me	CH ₂ C(Me) ₂	CH ₂	Ph	CH ₂	H	(S)-
I-189	Me	Me	Me	CH ₂ C(Me) ₂	CH ₂	Ph	-	H	racemic
I-190	Me	Me	Me	CH ₂ C(Me) ₂	CH ₂	Ph	-	H	(S)-
I-191	Me	Me	Me	CH ₂ C(Me) ₂	CH ₂	Ph	CH ₂	Me	racemic
I-192	Me	Me	Me	CH ₂ C(Me) ₂	CH ₂	Ph	CH ₂	Me	(S)-
I-193	Me	Me	Me	CH ₂ C(Me) ₂	CH ₂	Ph	C(Me) ₂	H	racemic
I-194	Me	Me	Me	CH ₂ C(Me) ₂	CH ₂	Ph	C(Me) ₂	H	(S)-
I-195	Me	Me	Me	CH ₂ C(Me) ₂	CH ₂	Ph	C(Me) ₂	Me	racemic
I-196	Me	Me	Me	CH ₂ C(Me) ₂	CH ₂	Ph	C(Me) ₂	Me	(S)-
I-197	Me	Me	Me	CH ₂ C(Me) ₂	CH ₂	Ph	(CH ₂) ₂	H	racemic
I-198	Me	Me	Me	CH ₂ C(Me) ₂	CH ₂	Ph	(CH ₂) ₂	H	(S)-
I-199	Me	Me	Me	CH ₂ C(Me) ₂	CH ₂	Ph	(CH ₂) ₂	Me	racemic
I-200	Me	Me	Me	CH ₂ C(Me) ₂	CH ₂	Ph	(CH ₂) ₂	Me	(S)-

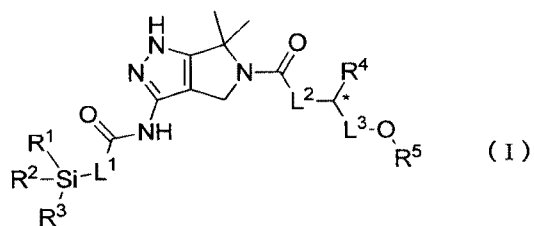
[0020] [Table 5]



Compound No.	R ¹	R ²	R ³	L ¹	L ²	R ⁴	L ³	R ⁵	Configuration
I-201	Me	Me	Me	CH ₂ C(Me) ₂	CH ₂	Ph	C≡CCH ₂	H	racemic
I-202	Me	Me	Me	CH ₂ C(Me) ₂	CH ₂	Ph	C≡CCH ₂	H	(S)-
I-203	Me	Me	Me	CH ₂ C(Me) ₂	CH ₂	Ph	C≡CCH ₂	Me	racemic
I-204	Me	Me	Me	CH ₂ C(Me) ₂	CH ₂	Ph	C≡CCH ₂	Me	(S)-
I-205	Me	Me	Me	CH ₂ CH=CH	CH ₂	Ph	CH ₂	H	racemic
I-206	Me	Me	Me	CH ₂ CH=CH	CH ₂	Ph	CH ₂	H	(S)-
I-207	Me	Me	Me	CH ₂ CH=CH	CH ₂	Ph	-	H	racemic
I-208	Me	Me	Me	CH ₂ CH=CH	CH ₂	Ph	-	H	(S)-
I-209	Me	Me	Me	CH ₂ CH=CH	CH ₂	Ph	CH ₂	Me	racemic
I-210	Me	Me	Me	CH ₂ CH=CH	CH ₂	Ph	CH ₂	Me	(S)-
I-211	Me	Me	Me	CH ₂ CH=CH	CH ₂	Ph	C(Me) ₂	H	racemic
I-212	Me	Me	Me	CH ₂ CH=CH	CH ₂	Ph	C(Me) ₂	H	(S)-
I-213	Me	Me	Me	CH ₂ CH=CH	CH ₂	Ph	C(Me) ₂	Me	racemic
I-214	Me	Me	Me	CH ₂ CH=CH	CH ₂	Ph	C(Me) ₂	Me	(S)-
I-215	Me	Me	Me	CH ₂ CH=CH	CH ₂	Ph	(CH ₂) ₂	H	racemic
I-216	Me	Me	Me	CH ₂ CH=CH	CH ₂	Ph	(CH ₂) ₂	H	(S)-
I-217	Me	Me	Me	CH ₂ CH=CH	CH ₂	Ph	(CH ₂) ₂	Me	racemic
I-218	Me	Me	Me	CH ₂ CH=CH	CH ₂	Ph	(CH ₂) ₂	Me	(S)-
I-219	Me	Me	Me	CH ₂ CH=CH	CH ₂	Ph	C≡CCH ₂	H	racemic
I-220	Me	Me	Me	CH ₂ CH=CH	CH ₂	Ph	C≡CCH ₂	H	(S)-
I-221	Me	Me	Me	CH ₂ CH=CH	CH ₂	Ph	C≡CCH ₂	Me	racemic
I-222	Me	Me	Me	CH ₂ CH=CH	CH ₂	Ph	C≡CCH ₂	Me	(S)-
I-223	Me	Me	Me	CH ₂ C≡C	CH ₂	Ph	CH ₂	H	racemic
I-224	Me	Me	Me	CH ₂ C≡C	CH ₂	Ph	CH ₂	H	(S)-
I-225	Me	Me	Me	CH ₂ C≡C	CH ₂	Ph	-	H	racemic
I-226	Me	Me	Me	CH ₂ C≡C	CH ₂	Ph	-	H	(S)-
I-227	Me	Me	Me	CH ₂ C≡C	CH ₂	Ph	CH ₂	Me	racemic
I-228	Me	Me	Me	CH ₂ C≡C	CH ₂	Ph	CH ₂	Me	(S)-
I-229	Me	Me	Me	CH ₂ C≡C	CH ₂	Ph	C(Me) ₂	H	racemic

I-230	Me	Me	Me	CH ₂ C≡C	CH ₂	Ph	C(Me) ₂	H	(S)-
I-231	Me	Me	Me	CH ₂ C≡C	CH ₂	Ph	C(Me) ₂	Me	racemic
I-232	Me	Me	Me	CH ₂ C≡C	CH ₂	Ph	C(Me) ₂	Me	(S)-
I-233	Me	Me	Me	CH ₂ C≡C	CH ₂	Ph	(CH ₂) ₂	H	racemic
I-234	Me	Me	Me	CH ₂ C≡C	CH ₂	Ph	(CH ₂) ₂	H	(S)-
I-235	Me	Me	Me	CH ₂ C≡C	CH ₂	Ph	(CH ₂) ₂	Me	racemic
I-236	Me	Me	Me	CH ₂ C≡C	CH ₂	Ph	(CH ₂) ₂	Me	(S)-
I-237	Me	Me	Me	CH ₂ C≡C	CH ₂	Ph	C≡CCH ₂	H	racemic
I-238	Me	Me	Me	CH ₂ C≡C	CH ₂	Ph	C≡CCH ₂	H	(S)-
I-239	Me	Me	Me	CH ₂ C≡C	CH ₂	Ph	C≡CCH ₂	Me	racemic
I-240	Me	Me	Me	CH ₂ C≡C	CH ₂	Ph	C≡CCH ₂	Me	(S)-
I-241	Me	Me	Me	1,2-Cyclopropylene	CH ₂	Ph	CH ₂	H	racemic
I-242	Me	Me	Me	1,2-Cyclopropylene	CH ₂	Ph	CH ₂	H	(S)-
I-243	Me	Me	Me	1,2-Cyclopropylene	CH ₂	Ph	-	H	racemic
I-244	Me	Me	Me	1,2-Cyclopropylene	CH ₂	Ph	-	H	(S)-
I-245	Me	Me	Me	1,2-Cyclopropylene	CH ₂	Ph	CH ₂	Me	racemic
I-246	Me	Me	Me	1,2-Cyclopropylene	CH ₂	Ph	CH ₂	Me	(S)-
I-247	Me	Me	Me	1,2-Cyclopropylene	CH ₂	Ph	C(Me) ₂	H	racemic
I-248	Me	Me	Me	1,2-Cyclopropylene	CH ₂	Ph	C(Me) ₂	H	(S)-
I-249	Me	Me	Me	1,2-Cyclopropylene	CH ₂	Ph	C(Me) ₂	Me	racemic
I-250	Me	Me	Me	1,2-Cyclopropylene	CH ₂	Ph	C(Me) ₂	Me	(S)-

[0021] [Table 6]

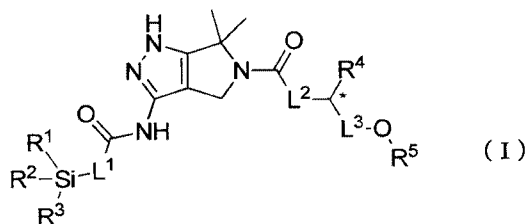


Compound No.	R ¹	R ²	R ³	L ¹	L ²	R ⁴	L ³	R ⁵	Configuration
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I-251	Me	Me	Me	1,2-Cyclopropylene	CH ₂	Ph	(CH ₂) ₂	H	racemic
I-252	Me	Me	Me	1,2-Cyclopropylene	CH ₂	Ph	(CH ₂) ₂	H	(S)-
I-253	Me	Me	Me	1,2-Cyclopropylene	CH ₂	Ph	(CH ₂) ₂	Me	racemic
I-254	Me	Me	Me	1,2-Cyclopropylene	CH ₂	Ph	(CH ₂) ₂	Me	(S)-
I-255	Me	Me	Me	1,2-Cyclopropylene	CH ₂	Ph	C≡CCH ₂	H	racemic
I-256	Me	Me	Me	1,2-Cyclopropylene	CH ₂	Ph	C≡CCH ₂	H	(S)-
I-257	Me	Me	Me	1,2-Cyclopropylene	CH ₂	Ph	C≡CCH ₂	Me	racemic
I-258	Me	Me	Me	1,2-Cyclopropylene	CH ₂	Ph	C≡CCH ₂	Me	(S)-
I-259	Me	Me	Me	5,5-Spiro[2.3]hexylene	CH ₂	Ph	CH ₂	H	racemic
I-260	Me	Me	Me	5,5-Spiro[2.3]hexylene	CH ₂	Ph	CH ₂	H	(S)-
I-261	Me	Me	Me	5,5-Spiro[2.3]hexylene	CH ₂	Ph	-	H	racemic
I-262	Me	Me	Me	5,5-Spiro[2.3]hexylene	CH ₂	Ph	-	H	(S)-
I-263	Me	Me	Me	5,5-Spiro[2.3]hexylene	CH ₂	Ph	CH ₂	Me	racemic
I-264	Me	Me	Me	5,5-Spiro[2.3]hexylene	CH ₂	Ph	CH ₂	Me	(S)-
I-265	Me	Me	Me	5,5-Spiro[2.3]hexylene	CH ₂	Ph	C(Me) ₂	H	racemic
I-266	Me	Me	Me	5,5-Spiro[2.3]hexylene	CH ₂	Ph	C(Me) ₂	H	(S)-
I-267	Me	Me	Me	5,5-Spiro[2.3]hexylene	CH ₂	Ph	C(Me) ₂	Me	racemic
I-268	Me	Me	Me	5,5-Spiro[2.3]hexylene	CH ₂	Ph	C(Me) ₂	Me	(S)-
I-269	Me	Me	Me	5,5-Spiro[2.3]hexylene	CH ₂	Ph	(CH ₂) ₂	H	racemic
I-270	Me	Me	Me	5,5-Spiro[2.3]hexylene	CH ₂	Ph	(CH ₂) ₂	H	(S)-
I-271	Me	Me	Me	5,5-Spiro[2.3]hexylene	CH ₂	Ph	(CH ₂) ₂	Me	racemic
I-272	Me	Me	Me	5,5-Spiro[2.3]hexylene	CH ₂	Ph	(CH ₂) ₂	Me	(S)-
I-273	Me	Me	Me	5,5-Spiro[2.3]hexylene	CH ₂	Ph	C≡CCH ₂	H	racemic
I-274	Me	Me	Me	5,5-Spiro[2.3]hexylene	CH ₂	Ph	C≡CCH ₂	H	(S)-
I-275	Me	Me	Me	5,5-Spiro[2.3]hexylene	CH ₂	Ph	C≡CCH ₂	Me	racemic

				]hexylene					
I-276	Me	Me	Me	5,5-Spiro[2.3]]hexylene	CH ₂	Ph	C≡CCH ₂	Me	(S)-
I-277	Me	Me	Me	4,4-Cyclophenylene	CH ₂	Ph	CH ₂	H	racemic
I-278	Me	Me	Me	4,4-Cyclophenylene	CH ₂	Ph	CH ₂	H	(S)-
I-279	Me	Me	Me	4,4-Cyclophenylene	CH ₂	Ph	-	H	racemic
I-280	Me	Me	Me	4,4-Cyclophenylene	CH ₂	Ph	-	H	(S)-
I-281	Me	Me	Me	4,4-Cyclophenylene	CH ₂	Ph	CH ₂	Me	racemic
I-282	Me	Me	Me	4,4-Cyclophenylene	CH ₂	Ph	CH ₂	Me	(S)-
I-283	Me	Me	Me	4,4-Cyclophenylene	CH ₂	Ph	C(Me) ₂	H	racemic
I-284	Me	Me	Me	4,4-Cyclophenylene	CH ₂	Ph	C(Me) ₂	H	(S)-
I-285	Me	Me	Me	4,4-Cyclophenylene	CH ₂	Ph	C(Me) ₂	Me	racemic
I-286	Me	Me	Me	4,4-Cyclophenylene	CH ₂	Ph	C(Me) ₂	Me	(S)-
I-287	Me	Me	Me	4,4-Cyclophenylene	CH ₂	Ph	(CH ₂) ₂	H	racemic
I-288	Me	Me	Me	4,4-Cyclophenylene	CH ₂	Ph	(CH ₂) ₂	H	(S)-
I-289	Me	Me	Me	4,4-Cyclophenylene	CH ₂	Ph	(CH ₂) ₂	Me	racemic
I-290	Me	Me	Me	4,4-Cyclophenylene	CH ₂	Ph	(CH ₂) ₂	Me	(S)-
I-291	Me	Me	Me	4,4-Cyclophenylene	CH ₂	Ph	C≡CCH ₂	H	racemic
I-292	Me	Me	Me	4,4-Cyclophenylene	CH ₂	Ph	C≡CCH ₂	H	(S)-
I-293	Me	Me	Me	4,4-Cyclophenylene	CH ₂	Ph	C≡CCH ₂	Me	racemic
I-294	Me	Me	Me	4,4-Cyclophenylene	CH ₂	Ph	C≡CCH ₂	Me	(S)-

[0022] [Table 7]

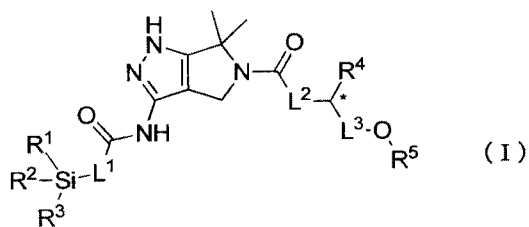


Compound No.	R ¹	R ²	R ³	L ¹	L ²	R ⁴	L ³	R ⁵	Configuration
I-295	Me	Me	Me	5,5-Spiro[2.3]hexylene	NH	Ph	CH ₂ C(Me) ₂	H	racemic
I-296	Me	Me	Me	5,5-Spiro[2.3]hexylene	NH	Ph	CH ₂ C(Me) ₂	H	(R)-
I-297	Me	Me	Me	5,5-Spiro[2.3]hexylene	NH	Ph	CH ₂ C(Me) ₂	Me	racemic
I-298	Me	Me	Me	5,5-Spiro[2.3]hexylene	NH	Ph	CH ₂ C(Me) ₂	Me	(R)-
I-299	Me	Me	Me	5,5-Spiro[2.3]hexylene	NH	Ph	C(Me) ₂ CH ₂	H	racemic
I-300	Me	Me	Me	5,5-Spiro[2.3]hexylene	NH	Ph	C(Me) ₂ CH ₂	H	(+)
I-301	Me	Me	Me	5,5-Spiro[2.3]hexylene	NH	Ph	C(Me) ₂ CH ₂	H	(-)
I-302	Me	Me	Me	5,5-Spiro[2.3]hexylene	NH	Ph	C(Me) ₂ CH ₂	Me	racemic
I-303	Me	Me	Me	5,5-Spiro[2.3]hexylene	NH	Ph	C(Me) ₂ CH ₂	Me	(+)
I-304	Me	Me	Me	5,5-Spiro[2.3]hexylene	NH	Ph	C(Me) ₂ CH ₂	Me	(-)
I-305	Me	Me	Me	4,4-Cyclophentylene	NH	Ph	CH ₂ C(Me) ₂	H	racemic
I-306	Me	Me	Me	4,4-Cyclophentylene	NH	Ph	CH ₂ C(Me) ₂	H	(R)-
I-307	Me	Me	Me	4,4-Cyclophentylene	NH	Ph	CH ₂ C(Me) ₂	Me	racemic
I-308	Me	Me	Me	4,4-Cyclophentylene	NH	Ph	CH ₂ C(Me) ₂	Me	(R)-
I-309	Me	Me	Me	4,4-Cyclophentylene	NH	Ph	C(Me) ₂ CH ₂	H	racemic
I-310	Me	Me	Me	4,4-Cyclophentylene	NH	Ph	C(Me) ₂ CH ₂	H	(+)
I-311	Me	Me	Me	4,4-Cyclophentylene	NH	Ph	C(Me) ₂ CH ₂	H	(-)
I-312	Me	Me	Me	4,4-Cyclophentylene	NH	Ph	C(Me) ₂ CH ₂	Me	racemic
I-313	Me	Me	Me	4,4-Cyclophentylene	NH	Ph	C(Me) ₂ CH ₂	Me	(+)

I-314	Me	Me	Me	4,4-Cyclo ntenylene	NH	Ph	C(Me) ₂ CH ₂	Me	(-)
I-315	Me	Me	Me	1,2-Cyclopr opylene	-	Ph	-	H	racemic
I-316	Me	Me	Me	1,2-Cyclopr opylene	-	Ph	-	H	(S)-
I-317	Me	Me	Me	1,2-Cyclopr opylene	-	Ph	-	Me	racemic
I-318	Me	Me	Me	1,2-Cyclopr opylene	-	Ph	-	Me	(S)-
I-319	Me	Me	Me	5,5-Spiro[2. 3]hexylene	-	Ph	-	H	racemic
I-320	Me	Me	Me	5,5-Spiro[2. 3]hexylene	-	Ph	-	H	(S)-
I-321	Me	Me	Me	5,5-Spiro[2. 3]hexylene	-	Ph	-	Me	racemic
I-322	Me	Me	Me	5,5-Spiro[2. 3]hexylene	-	Ph	-	Me	(S)-
I-323	Me	Me	Me	4,4-Cyclope ntenylene	-	Ph	-	H	racemic
I-324	Me	Me	Me	4,4-Cyclope ntenylene	-	Ph	-	H	(S)-
I-325	Me	Me	Me	4,4-Cyclope ntenylene	-	Ph	-	Me	racemic
I-326	Me	Me	Me	4,4-Cyclope ntenylene	-	Ph	-	Me	(S)-
I-327	Me	Me	Me	1,2-Cyclopr opylene	-	Ph	CH ₂	H	racemic
I-328	Me	Me	Me	1,2-Cyclopr opylene	-	Ph	CH ₂	H	(S)-
I-329	Me	Me	Me	1,2-Cyclopr opylene	-	Ph	CH ₂	Me	racemic
I-330	Me	Me	Me	1,2-Cyclopr opylene	-	Ph	CH ₂	Me	(S)-
I-331	Me	Me	Me	1,2-Cyclopr opylene	-	Ph	(CH ₂) ₂	H	racemic
I-332	Me	Me	Me	1,2-Cyclopr opylene	-	Ph	(CH ₂) ₂	H	(S)-
I-333	Me	Me	Me	1,2-Cyclopr opylene	-	Ph	(CH ₂) ₂	Me	racemic
I-334	Me	Me	Me	1,2-Cyclopr opylene	-	Ph	(CH ₂) ₂	Me	(S)-
I-335	Me	Me	Me	5,5-Spiro[2. 3]hexylene	-	Ph	CH ₂	H	racemic
I-336	Me	Me	Me	5,5-Spiro[2. 3]hexylene	-	Ph	CH ₂	H	(S)-
I-337	Me	Me	Me	5,5-Spiro[2. 3]hexylene	-	Ph	CH ₂	Me	racemic
I-338	Me	Me	Me	5,5-Spiro[2.	-	Ph	CH ₂	Me	(S)-

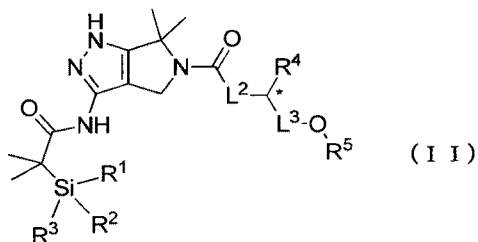
				3]hexylene					
I-339	Me	Me	Me	5,5-Spiro[2.3]hexylene	-	Ph	(CH ₂) ₂	H	racemic
I-340	Me	Me	Me	5,5-Spiro[2.3]hexylene	-	Ph	(CH ₂) ₂	H	(S)-
I-341	Me	Me	Me	5,5-Spiro[2.3]hexylene	-	Ph	(CH ₂) ₂	Me	racemic
I-342	Me	Me	Me	5,5-Spiro[2.3]hexylene	-	Ph	(CH ₂) ₂	Me	(S)-
I-343	Me	Me	Me	4,4-Cyclophenylene	-	Ph	CH ₂	H	racemic
I-344	Me	Me	Me	4,4-Cyclophenylene	-	Ph	CH ₂	H	(S)-
I-345	Me	Me	Me	4,4-Cyclophenylene	-	Ph	CH ₂	Me	racemic

[0023] [Table 8]



Compound No.	R ¹	R ²	R ³	L ¹	L ²	R ⁴	L ³	R ⁵	Configuration
I-346	Me	Me	Me	4,4-Cyclopentenylene	-	Ph	CH ₂	Me	(S)-
I-347	Me	Me	Me	4,4-Cyclopentenylene	-	Ph	(CH ₂) ₂	H	racemic
I-348	Me	Me	Me	4,4-Cyclopentenylene	-	Ph	(CH ₂) ₂	H	(S)-
I-349	Me	Me	Me	4,4-Cyclopentenylene	-	Ph	(CH ₂) ₂	Me	racemic
I-350	Me	Me	Me	4,4-Cyclopentenylene	-	Ph	(CH ₂) ₂	Me	(S)-

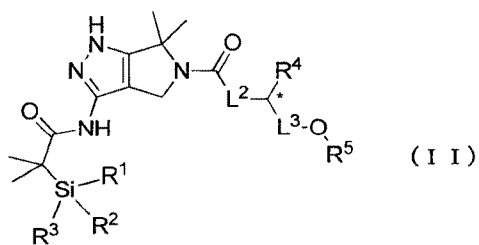
[0024] [Table 9]



Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁵	Configuration
II-1	Me	Me	Me	O	Ph	CH ₂	H	racemic
II-2	Me	Me	Me	O	Ph	CH ₂	H	(S)-
II-3	Me	Et	Me	O	Ph	CH ₂	H	racemic
II-4	Me	Et	Me	O	Ph	CH ₂	H	(S)-
II-5	Me	Me	Me	O	Ph	CH ₂	Me	racemic
II-6	Me	Me	Me	O	Ph	CH ₂	Me	(S)-
II-7	Me	Et	Me	O	Ph	CH ₂	Me	racemic
II-8	Me	Et	Me	O	Ph	CH ₂	Me	(S)-
II-9	Me	Me	Me	O	Ph	CH ₂	CHF ₂	racemic
II-10	Me	Me	Me	O	Ph	CH ₂	CHF ₂	(S)-
II-11	Me	Et	Me	O	Ph	CH ₂	CHF ₂	racemic
II-12	Me	Et	Me	O	Ph	CH ₂	CHF ₂	(S)-
II-13	Me	Me	Me	O	Ph	CH ₂	Et	racemic
II-14	Me	Me	Me	O	Ph	CH ₂	Et	(S)-
II-15	Me	Et	Me	O	Ph	CH ₂	Et	racemic
II-16	Me	Et	Me	O	Ph	CH ₂	Et	(S)-
II-17	Me	Me	Me	O	Ph	CH ₂	iPr	racemic
II-18	Me	Me	Me	O	Ph	CH ₂	iPr	(S)-
II-19	Me	Et	Me	O	Ph	CH ₂	iPr	racemic
II-20	Me	Et	Me	O	Ph	CH ₂	iPr	(S)-
II-21	Me	Me	Me	O	Ph	CH ₂	cPr	racemic
II-22	Me	Me	Me	O	Ph	CH ₂	cPr	(S)-
II-23	Me	Et	Me	O	Ph	CH ₂	cPr	racemic
II-24	Me	Et	Me	O	Ph	CH ₂	cPr	(S)-
II-25	Me	Me	Me	O	Ph	CH ₂	Ph	racemic
II-26	Me	Me	Me	O	Ph	CH ₂	Ph	(S)-
II-27	Me	Et	Me	O	Ph	CH ₂	Ph	racemic
II-28	Me	Et	Me	O	Ph	CH ₂	Ph	(S)-
II-29	Me	Me	Me	O	Ph	C(Me) ₂	H	racemic

II-30	Me	Me	Me	O	Ph	C(Me) ₂	H	(S)-
II-31	Me	Et	Me	O	Ph	C(Me) ₂	H	racemic
II-32	Me	Et	Me	O	Ph	C(Me) ₂	H	(S)-
II-33	Me	Me	Me	O	Ph	C(Me) ₂	Me	racemic
II-34	Me	Me	Me	O	Ph	C(Me) ₂	Me	(S)-
II-35	Me	Et	Me	O	Ph	C(Me) ₂	Me	racemic
II-36	Me	Et	Me	O	Ph	C(Me) ₂	Me	(S)-
II-37	Me	Me	Me	O	Ph	C(Me) ₂	CHF ₂	racemic
II-38	Me	Me	Me	O	Ph	C(Me) ₂	CHF ₂	(S)-
II-39	Me	Et	Me	O	Ph	C(Me) ₂	CHF ₂	racemic
II-40	Me	Et	Me	O	Ph	C(Me) ₂	CHF ₂	(S)-
II-41	Me	Me	Me	O	Ph	C(Me) ₂	Et	racemic
II-42	Me	Me	Me	O	Ph	C(Me) ₂	Et	(S)-
II-43	Me	Et	Me	O	Ph	C(Me) ₂	Et	racemic
II-44	Me	Et	Me	O	Ph	C(Me) ₂	Et	(S)-
II-45	Me	Me	Me	O	Ph	C(Me) ₂	iPr	racemic
II-46	Me	Me	Me	O	Ph	C(Me) ₂	iPr	(S)-
II-47	Me	Et	Me	O	Ph	C(Me) ₂	iPr	racemic
II-48	Me	Et	Me	O	Ph	C(Me) ₂	iPr	(S)-
II-49	Me	Me	Me	O	Ph	C(Me) ₂	cPr	racemic
II-50	Me	Me	Me	O	Ph	C(Me) ₂	cPr	(S)-

[0025] [Table 10]

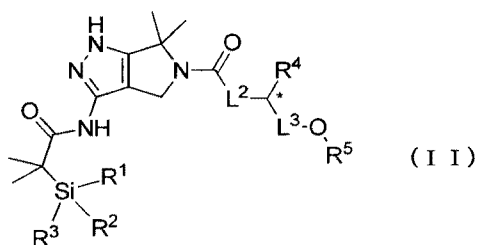


Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁵	Configura- tion
II-51	Me	Et	Me	O	Ph	C(Me) ₂	cPr	racemic
II-52	Me	Et	Me	O	Ph	C(Me) ₂	cPr	(S)-
II-53	Me	Me	Me	O	Ph	C(Me) ₂	Ph	racemic
II-54	Me	Me	Me	O	Ph	C(Me) ₂	Ph	(S)-
II-55	Me	Et	Me	O	Ph	C(Me) ₂	Ph	racemic

II-56	Me	Et	Me	O	Ph	C(Me) ₂	Ph	(S)-
II-57	Me	Me	Me	O	Ph	(CH ₂) ₂	H	racemic
II-58	Me	Me	Me	O	Ph	(CH ₂) ₂	H	(R)-
II-59	Me	Et	Me	O	Ph	(CH ₂) ₂	H	racemic
II-60	Me	Et	Me	O	Ph	(CH ₂) ₂	H	(R)-
II-61	Me	Me	Me	O	Ph	(CH ₂) ₂	Me	racemic
II-62	Me	Me	Me	O	Ph	(CH ₂) ₂	Me	(R)-
II-63	Me	Et	Me	O	Ph	(CH ₂) ₂	Me	racemic
II-64	Me	Et	Me	O	Ph	(CH ₂) ₂	Me	(R)-
II-65	Me	Me	Me	O	Ph	(CH ₂) ₂	CHF ₂	racemic
II-66	Me	Me	Me	O	Ph	(CH ₂) ₂	CHF ₂	(R)-
II-67	Me	Et	Me	O	Ph	(CH ₂) ₂	CHF ₂	racemic
II-68	Me	Et	Me	O	Ph	(CH ₂) ₂	CHF ₂	(R)-
II-69	Me	Me	Me	O	Ph	(CH ₂) ₂	Et	racemic
II-70	Me	Me	Me	O	Ph	(CH ₂) ₂	Et	(R)-
II-71	Me	Et	Me	O	Ph	(CH ₂) ₂	Et	racemic
II-72	Me	Et	Me	O	Ph	(CH ₂) ₂	Et	(R)-
II-73	Me	Me	Me	O	Ph	(CH ₂) ₂	iPr	racemic
II-74	Me	Me	Me	O	Ph	(CH ₂) ₂	iPr	(R)-
II-75	Me	Et	Me	O	Ph	(CH ₂) ₂	iPr	racemic
II-76	Me	Et	Me	O	Ph	(CH ₂) ₂	iPr	(R)-
II-77	Me	Me	Me	O	Ph	(CH ₂) ₂	cPr	racemic
II-78	Me	Me	Me	O	Ph	(CH ₂) ₂	cPr	(R)-
II-79	Me	Et	Me	O	Ph	(CH ₂) ₂	cPr	racemic
II-80	Me	Et	Me	O	Ph	(CH ₂) ₂	cPr	(R)-
II-81	Me	Me	Me	O	Ph	(CH ₂) ₂	Ph	racemic
II-82	Me	Me	Me	O	Ph	(CH ₂) ₂	Ph	(R)-
II-83	Me	Et	Me	O	Ph	(CH ₂) ₂	Ph	racemic
II-84	Me	Et	Me	O	Ph	(CH ₂) ₂	Ph	(R)-
II-85	Me	Me	Me	O	Ph	CH ₂ C(Me) ₂	H	racemic
II-86	Me	Me	Me	O	Ph	CH ₂ C(Me) ₂	H	(R)-
II-87	Me	Et	Me	O	Ph	CH ₂ C(Me) ₂	H	racemic
II-88	Me	Et	Me	O	Ph	CH ₂ C(Me) ₂	H	(R)-
II-89	Me	Me	Me	O	Ph	CH ₂ C(Me) ₂	Me	racemic
II-90	Me	Me	Me	O	Ph	CH ₂ C(Me) ₂	Me	(R)-
II-91	Me	Et	Me	O	Ph	CH ₂ C(Me) ₂	Me	racemic
II-92	Me	Et	Me	O	Ph	CH ₂ C(Me) ₂	Me	(R)-

II-93	Me	Me	Me	O	Ph	CH ₂ C(Me) ₂	CHF ₂	racemic
II-94	Me	Me	Me	O	Ph	CH ₂ C(Me) ₂	CHF ₂	(R)-
II-95	Me	Et	Me	O	Ph	CH ₂ C(Me) ₂	CHF ₂	racemic
II-96	Me	Et	Me	O	Ph	CH ₂ C(Me) ₂	CHF ₂	(R)-
II-97	Me	Me	Me	O	Ph	CH ₂ C(Me) ₂	Et	racemic
II-98	Me	Me	Me	O	Ph	CH ₂ C(Me) ₂	Et	(R)-
II-99	Me	Et	Me	O	Ph	CH ₂ C(Me) ₂	Et	racemic
II-100	Me	Et	Me	O	Ph	CH ₂ C(Me) ₂	Et	(R)-

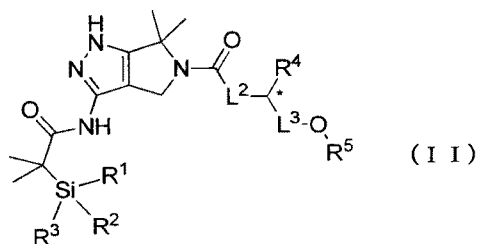
[0026] [Table 11]



Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁵	Configuration
II-101	Me	Me	Me	O	Ph	CH ₂ C(Me) ₂	iPr	racemic
II-102	Me	Me	Me	O	Ph	CH ₂ C(Me) ₂	iPr	(R)-
II-103	Me	Et	Me	O	Ph	CH ₂ C(Me) ₂	iPr	racemic
II-104	Me	Et	Me	O	Ph	CH ₂ C(Me) ₂	iPr	(R)-
II-105	Me	Me	Me	O	Ph	CH ₂ C(Me) ₂	cPr	racemic
II-106	Me	Me	Me	O	Ph	CH ₂ C(Me) ₂	cPr	(R)-
II-107	Me	Et	Me	O	Ph	CH ₂ C(Me) ₂	cPr	racemic
II-108	Me	Et	Me	O	Ph	CH ₂ C(Me) ₂	cPr	(R)-
II-109	Me	Me	Me	O	Ph	CH ₂ C(Me) ₂	Ph	racemic
II-110	Me	Me	Me	O	Ph	CH ₂ C(Me) ₂	Ph	(R)-
II-111	Me	Et	Me	O	Ph	CH ₂ C(Me) ₂	Ph	racemic
II-112	Me	Et	Me	O	Ph	CH ₂ C(Me) ₂	Ph	(R)-
II-113	Me	Me	Me	NH	Ph	CH ₂	H	racemic
II-114	Me	Me	Me	NH	Ph	CH ₂	H	(S)-
II-115	Me	Et	Me	NH	Ph	CH ₂	H	racemic
II-116	Me	Et	Me	NH	Ph	CH ₂	H	(S)-
II-117	Me	Me	Me	N(Me)	Ph	CH ₂	H	racemic
II-118	Me	Me	Me	N(Me)	Ph	CH ₂	H	(S)-

II-119	Me	Et	Me	N(Me)	Ph	CH ₂	H	racemic
II-120	Me	Et	Me	N(Me)	Ph	CH ₂	H	(S)-
II-121	Me	Me	Me	NH	Ph	CH ₂	Me	racemic
II-122	Me	Me	Me	NH	Ph	CH ₂	Me	(S)-
II-123	Me	Et	Me	NH	Ph	CH ₂	Me	racemic
II-124	Me	Et	Me	NH	Ph	CH ₂	Me	(S)-
II-125	Me	Me	Me	N(Me)	Ph	CH ₂	Me	racemic
II-126	Me	Me	Me	N(Me)	Ph	CH ₂	Me	(S)-
II-127	Me	Et	Me	N(Me)	Ph	CH ₂	Me	racemic
II-128	Me	Et	Me	N(Me)	Ph	CH ₂	Me	(S)-
II-129	Me	Me	Me	NH	Ph	CH ₂	CHF ₂	racemic
II-130	Me	Me	Me	NH	Ph	CH ₂	CHF ₂	(S)-
II-131	Me	Et	Me	NH	Ph	CH ₂	CHF ₂	racemic
II-132	Me	Et	Me	NH	Ph	CH ₂	CHF ₂	(S)-
II-133	Me	Me	Me	N(Me)	Ph	CH ₂	CHF ₂	racemic
II-134	Me	Me	Me	N(Me)	Ph	CH ₂	CHF ₂	(S)-
II-135	Me	Et	Me	N(Me)	Ph	CH ₂	CHF ₂	racemic
II-136	Me	Et	Me	N(Me)	Ph	CH ₂	CHF ₂	(S)-
II-137	Me	Me	Me	NH	Ph	CH ₂	Et	racemic
II-138	Me	Me	Me	NH	Ph	CH ₂	Et	(S)-
II-139	Me	Et	Me	NH	Ph	CH ₂	Et	racemic
II-140	Me	Et	Me	NH	Ph	CH ₂	Et	(S)-
II-141	Me	Me	Me	N(Me)	Ph	CH ₂	Et	racemic
II-142	Me	Me	Me	N(Me)	Ph	CH ₂	Et	(S)-
II-143	Me	Et	Me	N(Me)	Ph	CH ₂	Et	racemic
II-144	Me	Et	Me	N(Me)	Ph	CH ₂	Et	(S)-
II-145	Me	Me	Me	NH	Ph	CH ₂	iPr	racemic
II-146	Me	Me	Me	NH	Ph	CH ₂	iPr	(S)-
II-147	Me	Et	Me	NH	Ph	CH ₂	iPr	racemic
II-148	Me	Et	Me	NH	Ph	CH ₂	iPr	(S)-
II-149	Me	Me	Me	N(Me)	Ph	CH ₂	iPr	racemic
II-150	Me	Me	Me	N(Me)	Ph	CH ₂	iPr	(S)-

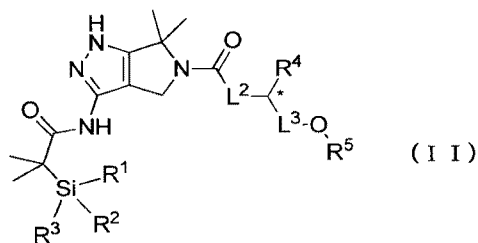
[0027] [Table 12]



Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁵	Configuration
II-151	Me	Et	Me	N(Me)	Ph	CH ₂	iPr	racemic
II-152	Me	Et	Me	N(Me)	Ph	CH ₂	iPr	(S)-
II-153	Me	Me	Me	NH	Ph	CH ₂	cPr	racemic
II-154	Me	Me	Me	NH	Ph	CH ₂	cPr	(S)-
II-155	Me	Et	Me	NH	Ph	CH ₂	cPr	racemic
II-156	Me	Et	Me	NH	Ph	CH ₂	cPr	(S)-
II-157	Me	Me	Me	N(Me)	Ph	CH ₂	cPr	racemic
II-158	Me	Me	Me	N(Me)	Ph	CH ₂	cPr	(S)-
II-159	Me	Et	Me	N(Me)	Ph	CH ₂	cPr	racemic
II-160	Me	Et	Me	N(Me)	Ph	CH ₂	cPr	(S)-
II-161	Me	Me	Me	NH	Ph	CH ₂	Ph	racemic
II-162	Me	Me	Me	NH	Ph	CH ₂	Ph	(S)-
II-163	Me	Et	Me	NH	Ph	CH ₂	Ph	racemic
II-164	Me	Et	Me	NH	Ph	CH ₂	Ph	(S)-
II-165	Me	Me	Me	N(Me)	Ph	CH ₂	Ph	racemic
II-166	Me	Me	Me	N(Me)	Ph	CH ₂	Ph	(S)-
II-167	Me	Et	Me	N(Me)	Ph	CH ₂	Ph	racemic
II-168	Me	Et	Me	N(Me)	Ph	CH ₂	Ph	(S)-
II-169	Me	Me	Me	NH	Ph	C(Me) ₂	H	racemic
II-170	Me	Me	Me	NH	Ph	C(Me) ₂	H	(S)-
II-171	Me	Et	Me	NH	Ph	C(Me) ₂	H	racemic
II-172	Me	Et	Me	NH	Ph	C(Me) ₂	H	(S)-
II-173	Me	Me	Me	N(Me)	Ph	C(Me) ₂	H	racemic
II-174	Me	Me	Me	N(Me)	Ph	C(Me) ₂	H	(S)-
II-175	Me	Et	Me	N(Me)	Ph	C(Me) ₂	H	racemic
II-176	Me	Et	Me	N(Me)	Ph	C(Me) ₂	H	(S)-
II-177	Me	Me	Me	NH	Ph	C(Me) ₂	Me	racemic
II-178	Me	Me	Me	NH	Ph	C(Me) ₂	Me	(S)-
II-179	Me	Et	Me	NH	Ph	C(Me) ₂	Me	racemic

II-180	Me	Et	Me	NH	Ph	C(Me) ₂	Me	(S)-
II-181	Me	Me	Me	N(Me)	Ph	C(Me) ₂	Me	racemic
II-182	Me	Me	Me	N(Me)	Ph	C(Me) ₂	Me	(S)-
II-183	Me	Et	Me	N(Me)	Ph	C(Me) ₂	Me	racemic
II-184	Me	Et	Me	N(Me)	Ph	C(Me) ₂	Me	(S)-
II-185	Me	Me	Me	NH	Ph	C(Me) ₂	CHF ₂	racemic
II-186	Me	Me	Me	NH	Ph	C(Me) ₂	CHF ₂	(S)-
II-187	Me	Et	Me	NH	Ph	C(Me) ₂	CHF ₂	racemic
II-188	Me	Et	Me	NH	Ph	C(Me) ₂	CHF ₂	(S)-
II-189	Me	Me	Me	N(Me)	Ph	C(Me) ₂	CHF ₂	racemic
II-190	Me	Me	Me	N(Me)	Ph	C(Me) ₂	CHF ₂	(S)-
II-191	Me	Et	Me	N(Me)	Ph	C(Me) ₂	CHF ₂	racemic
II-192	Me	Et	Me	N(Me)	Ph	C(Me) ₂	CHF ₂	(S)-
II-193	Me	Me	Me	NH	Ph	C(Me) ₂	Et	racemic
II-194	Me	Me	Me	NH	Ph	C(Me) ₂	Et	(S)-
II-195	Me	Et	Me	NH	Ph	C(Me) ₂	Et	racemic
II-196	Me	Et	Me	NH	Ph	C(Me) ₂	Et	(S)-
II-197	Me	Me	Me	N(Me)	Ph	C(Me) ₂	Et	racemic
II-198	Me	Me	Me	N(Me)	Ph	C(Me) ₂	Et	(S)-
II-199	Me	Et	Me	N(Me)	Ph	C(Me) ₂	Et	racemic
II-200	Me	Et	Me	N(Me)	Ph	C(Me) ₂	Et	(S)-

[0028] [Table 13]

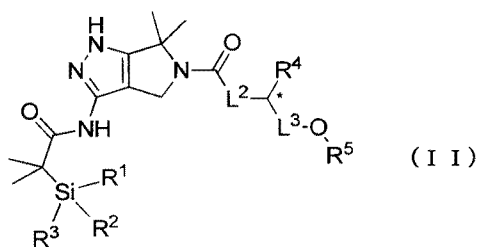


Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁵	Configura- tion
II-201	Me	Me	Me	NH	Ph	C(Me) ₂	iPr	racemic
II-202	Me	Me	Me	NH	Ph	C(Me) ₂	iPr	(S)-
II-203	Me	Et	Me	NH	Ph	C(Me) ₂	iPr	racemic
II-204	Me	Et	Me	NH	Ph	C(Me) ₂	iPr	(S)-
II-205	Me	Me	Me	N(Me)	Ph	C(Me) ₂	iPr	racemic

II-206	Me	Me	Me	N(Me)	Ph	C(Me) ₂	iPr	(S)-
II-207	Me	Et	Me	N(Me)	Ph	C(Me) ₂	iPr	racemic
II-208	Me	Et	Me	N(Me)	Ph	C(Me) ₂	iPr	(S)-
II-209	Me	Me	Me	NH	Ph	C(Me) ₂	cPr	racemic
II-210	Me	Me	Me	NH	Ph	C(Me) ₂	cPr	(S)-
II-211	Me	Et	Me	NH	Ph	C(Me) ₂	cPr	racemic
II-212	Me	Et	Me	NH	Ph	C(Me) ₂	cPr	(S)-
II-213	Me	Me	Me	N(Me)	Ph	C(Me) ₂	cPr	racemic
II-214	Me	Me	Me	N(Me)	Ph	C(Me) ₂	cPr	(S)-
II-215	Me	Et	Me	N(Me)	Ph	C(Me) ₂	cPr	racemic
II-216	Me	Et	Me	N(Me)	Ph	C(Me) ₂	cPr	(S)-
II-217	Me	Me	Me	NH	Ph	C(Me) ₂	Ph	racemic
II-218	Me	Me	Me	NH	Ph	C(Me) ₂	Ph	(S)-
II-219	Me	Et	Me	NH	Ph	C(Me) ₂	Ph	racemic
II-220	Me	Et	Me	NH	Ph	C(Me) ₂	Ph	(S)-
II-221	Me	Me	Me	N(Me)	Ph	C(Me) ₂	Ph	racemic
II-222	Me	Me	Me	N(Me)	Ph	C(Me) ₂	Ph	(S)-
II-223	Me	Et	Me	N(Me)	Ph	C(Me) ₂	Ph	racemic
II-224	Me	Et	Me	N(Me)	Ph	C(Me) ₂	Ph	(S)-
II-225	Me	Me	Me	NH	Ph	(CH ₂) ₂	H	racemic
II-226	Me	Me	Me	NH	Ph	(CH ₂) ₂	H	(R)-
II-227	Me	Et	Me	NH	Ph	(CH ₂) ₂	H	racemic
II-228	Me	Et	Me	NH	Ph	(CH ₂) ₂	H	(R)-
II-229	Me	Me	Me	N(Me)	Ph	(CH ₂) ₂	H	racemic
II-230	Me	Me	Me	N(Me)	Ph	(CH ₂) ₂	H	(R)-
II-231	Me	Et	Me	N(Me)	Ph	(CH ₂) ₂	H	racemic
II-232	Me	Et	Me	N(Me)	Ph	(CH ₂) ₂	H	(R)-
II-233	Me	Me	Me	NH	Ph	(CH ₂) ₂	Me	racemic
II-234	Me	Me	Me	NH	Ph	(CH ₂) ₂	Me	(R)-
II-235	Me	Et	Me	NH	Ph	(CH ₂) ₂	Me	racemic
II-236	Me	Et	Me	NH	Ph	(CH ₂) ₂	Me	(R)-
II-237	Me	Me	Me	N(Me)	Ph	(CH ₂) ₂	Me	racemic
II-238	Me	Me	Me	N(Me)	Ph	(CH ₂) ₂	Me	(R)-
II-239	Me	Et	Me	N(Me)	Ph	(CH ₂) ₂	Me	racemic
II-240	Me	Et	Me	N(Me)	Ph	(CH ₂) ₂	Me	(R)-
II-241	Me	Me	Me	NH	Ph	(CH ₂) ₂	CHF ₂	racemic
II-242	Me	Me	Me	NH	Ph	(CH ₂) ₂	CHF ₂	(R)-

II-243	Me	Et	Me	NH	Ph	(CH ₂) ₂	CHF ₂	racemic
II-244	Me	Et	Me	NH	Ph	(CH ₂) ₂	CHF ₂	(R)-
II-245	Me	Me	Me	N(Me)	Ph	(CH ₂) ₂	CHF ₂	racemic
II-246	Me	Me	Me	N(Me)	Ph	(CH ₂) ₂	CHF ₂	(R)-
II-247	Me	Et	Me	N(Me)	Ph	(CH ₂) ₂	CHF ₂	racemic
II-248	Me	Et	Me	N(Me)	Ph	(CH ₂) ₂	CHF ₂	(R)-
II-249	Me	Me	Me	NH	Ph	(CH ₂) ₂	Et	racemic
II-250	Me	Me	Me	NH	Ph	(CH ₂) ₂	Et	(R)-

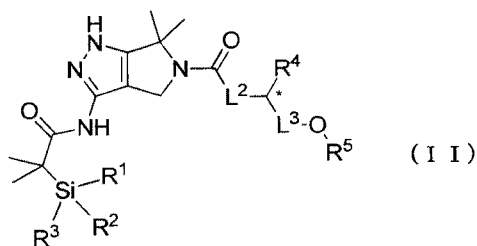
[0029] [Table 14]



Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁵	Configuration
II-251	Me	Et	Me	NH	Ph	(CH ₂) ₂	Et	racemic
II-252	Me	Et	Me	NH	Ph	(CH ₂) ₂	Et	(R)-
II-253	Me	Me	Me	N(Me)	Ph	(CH ₂) ₂	Et	racemic
II-254	Me	Me	Me	N(Me)	Ph	(CH ₂) ₂	Et	(R)-
II-255	Me	Et	Me	N(Me)	Ph	(CH ₂) ₂	Et	racemic
II-256	Me	Et	Me	N(Me)	Ph	(CH ₂) ₂	Et	(R)-
II-257	Me	Me	Me	NH	Ph	(CH ₂) ₂	iPr	racemic
II-258	Me	Me	Me	NH	Ph	(CH ₂) ₂	iPr	(R)-
II-259	Me	Et	Me	NH	Ph	(CH ₂) ₂	iPr	racemic
II-260	Me	Et	Me	NH	Ph	(CH ₂) ₂	iPr	(R)-
II-261	Me	Me	Me	N(Me)	Ph	(CH ₂) ₂	iPr	racemic
II-262	Me	Me	Me	N(Me)	Ph	(CH ₂) ₂	iPr	(R)-
II-263	Me	Et	Me	N(Me)	Ph	(CH ₂) ₂	iPr	racemic
II-264	Me	Et	Me	N(Me)	Ph	(CH ₂) ₂	iPr	(R)-
II-265	Me	Me	Me	NH	Ph	(CH ₂) ₂	cPr	racemic
II-266	Me	Me	Me	NH	Ph	(CH ₂) ₂	cPr	(R)-
II-267	Me	Et	Me	NH	Ph	(CH ₂) ₂	cPr	racemic
II-268	Me	Et	Me	NH	Ph	(CH ₂) ₂	cPr	(R)-

II-269	Me	Me	Me	N(Me)	Ph	(CH ₂) ₂	cPr	racemic
II-270	Me	Me	Me	N(Me)	Ph	(CH ₂) ₂	cPr	(R)-
II-271	Me	Et	Me	N(Me)	Ph	(CH ₂) ₂	cPr	racemic
II-272	Me	Et	Me	N(Me)	Ph	(CH ₂) ₂	cPr	(R)-
II-273	Me	Me	Me	NH	Ph	(CH ₂) ₂	Ph	racemic
II-274	Me	Me	Me	NH	Ph	(CH ₂) ₂	Ph	(R)-
II-275	Me	Et	Me	NH	Ph	(CH ₂) ₂	Ph	racemic
II-276	Me	Et	Me	NH	Ph	(CH ₂) ₂	Ph	(R)-
II-277	Me	Me	Me	N(Me)	Ph	(CH ₂) ₂	Ph	racemic
II-278	Me	Me	Me	N(Me)	Ph	(CH ₂) ₂	Ph	(R)-
II-279	Me	Et	Me	N(Me)	Ph	(CH ₂) ₂	Ph	racemic
II-280	Me	Et	Me	N(Me)	Ph	(CH ₂) ₂	Ph	(R)-
II-281	Me	Me	Me	NH	Ph	(CH ₂) ₃	H	racemic
II-282	Me	Me	Me	NH	Ph	(CH ₂) ₃	H	(R)-
II-283	Me	Et	Me	NH	Ph	(CH ₂) ₃	H	racemic
II-284	Me	Et	Me	NH	Ph	(CH ₂) ₃	H	(R)-
II-285	Me	Me	Me	N(Me)	Ph	(CH ₂) ₃	H	racemic
II-286	Me	Me	Me	N(Me)	Ph	(CH ₂) ₃	H	(R)-
II-287	Me	Et	Me	N(Me)	Ph	(CH ₂) ₃	H	racemic
II-288	Me	Et	Me	N(Me)	Ph	(CH ₂) ₃	H	(R)-
II-289	Me	Me	Me	NH	Ph	(CH ₂) ₄	H	racemic
II-290	Me	Me	Me	NH	Ph	(CH ₂) ₄	H	(R)-
II-291	Me	Et	Me	NH	Ph	(CH ₂) ₄	H	racemic
II-292	Me	Et	Me	NH	Ph	(CH ₂) ₄	H	(R)-
II-293	Me	Me	Me	N(Me)	Ph	(CH ₂) ₄	H	racemic
II-294	Me	Me	Me	N(Me)	Ph	(CH ₂) ₄	H	(R)-
II-295	Me	Et	Me	N(Me)	Ph	(CH ₂) ₄	H	racemic
II-296	Me	Et	Me	N(Me)	Ph	(CH ₂) ₄	H	(R)-
II-297	Me	Me	Me	NH	Ph	CH ₂ C(Me) ₂	H	racemic
II-298	Me	Me	Me	NH	Ph	CH ₂ C(Me) ₂	H	(R)-
II-299	Me	Et	Me	NH	Ph	CH ₂ C(Me) ₂	H	racemic
II-300	Me	Et	Me	NH	Ph	CH ₂ C(Me) ₂	H	(R)-

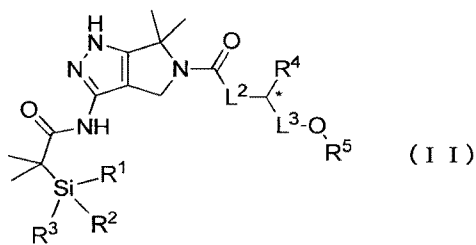
[0030] [Table 15]



Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁵	Configuration
II-301	Me	Me	Me	N(Me)	Ph	CH ₂ C(Me) ₂	H	racemic
II-302	Me	Me	Me	N(Me)	Ph	CH ₂ C(Me) ₂	H	(R)-
II-303	Me	Et	Me	N(Me)	Ph	CH ₂ C(Me) ₂	H	racemic
II-304	Me	Et	Me	N(Me)	Ph	CH ₂ C(Me) ₂	H	(R)-
II-305	Me	Me	Me	NH	Ph	CH ₂ C(Me) ₂	Me	racemic
II-306	Me	Me	Me	NH	Ph	CH ₂ C(Me) ₂	Me	(R)-
II-307	Me	Et	Me	NH	Ph	CH ₂ C(Me) ₂	Me	racemic
II-308	Me	Et	Me	NH	Ph	CH ₂ C(Me) ₂	Me	(R)-
II-309	Me	Me	Me	N(Me)	Ph	CH ₂ C(Me) ₂	Me	racemic
II-310	Me	Me	Me	N(Me)	Ph	CH ₂ C(Me) ₂	Me	(R)-
II-311	Me	Et	Me	N(Me)	Ph	CH ₂ C(Me) ₂	Me	racemic
II-312	Me	Et	Me	N(Me)	Ph	CH ₂ C(Me) ₂	Me	(R)-
II-313	Me	Me	Me	NH	Ph	CH ₂ C(Me) ₂	CHF ₂	racemic
II-314	Me	Me	Me	NH	Ph	CH ₂ C(Me) ₂	CHF ₂	(R)-
II-315	Me	Et	Me	NH	Ph	CH ₂ C(Me) ₂	CHF ₂	racemic
II-316	Me	Et	Me	NH	Ph	CH ₂ C(Me) ₂	CHF ₂	(R)-
II-317	Me	Me	Me	N(Me)	Ph	CH ₂ C(Me) ₂	CHF ₂	racemic
II-318	Me	Me	Me	N(Me)	Ph	CH ₂ C(Me) ₂	CHF ₂	(R)-
II-319	Me	Et	Me	N(Me)	Ph	CH ₂ C(Me) ₂	CHF ₂	racemic
II-320	Me	Et	Me	N(Me)	Ph	CH ₂ C(Me) ₂	CHF ₂	(R)-
II-321	Me	Me	Me	NH	Ph	CH ₂ C(Me) ₂	Et	racemic
II-322	Me	Me	Me	NH	Ph	CH ₂ C(Me) ₂	Et	(R)-
II-323	Me	Et	Me	NH	Ph	CH ₂ C(Me) ₂	Et	racemic
II-324	Me	Et	Me	NH	Ph	CH ₂ C(Me) ₂	Et	(R)-
II-325	Me	Me	Me	N(Me)	Ph	CH ₂ C(Me) ₂	Et	racemic
II-326	Me	Me	Me	N(Me)	Ph	CH ₂ C(Me) ₂	Et	(R)-
II-327	Me	Et	Me	N(Me)	Ph	CH ₂ C(Me) ₂	Et	racemic
II-328	Me	Et	Me	N(Me)	Ph	CH ₂ C(Me) ₂	Et	(R)-
II-329	Me	Me	Me	NH	Ph	CH ₂ C(Me) ₂	iPr	racemic

II-330	Me	Me	Me	NH	Ph	CH ₂ C(Me) ₂	iPr	(R)-
II-331	Me	Et	Me	NH	Ph	CH ₂ C(Me) ₂	iPr	racemic
II-332	Me	Et	Me	NH	Ph	CH ₂ C(Me) ₂	iPr	(R)-
II-333	Me	Me	Me	N(Me)	Ph	CH ₂ C(Me) ₂	iPr	racemic
II-334	Me	Me	Me	N(Me)	Ph	CH ₂ C(Me) ₂	iPr	(R)-
II-335	Me	Et	Me	N(Me)	Ph	CH ₂ C(Me) ₂	iPr	racemic
II-336	Me	Et	Me	N(Me)	Ph	CH ₂ C(Me) ₂	iPr	(R)-
II-337	Me	Me	Me	NH	Ph	CH ₂ C(Me) ₂	cPr	racemic
II-338	Me	Me	Me	NH	Ph	CH ₂ C(Me) ₂	cPr	(R)-
II-339	Me	Et	Me	NH	Ph	CH ₂ C(Me) ₂	cPr	racemic
II-340	Me	Et	Me	NH	Ph	CH ₂ C(Me) ₂	cPr	(R)-
II-341	Me	Me	Me	N(Me)	Ph	CH ₂ C(Me) ₂	cPr	racemic
II-342	Me	Me	Me	N(Me)	Ph	CH ₂ C(Me) ₂	cPr	(R)-
II-343	Me	Et	Me	N(Me)	Ph	CH ₂ C(Me) ₂	cPr	racemic
II-344	Me	Et	Me	N(Me)	Ph	CH ₂ C(Me) ₂	cPr	(R)-
II-345	Me	Me	Me	NH	Ph	CH ₂ C(Me) ₂	Ph	racemic
II-346	Me	Me	Me	NH	Ph	CH ₂ C(Me) ₂	Ph	(R)-
II-347	Me	Et	Me	NH	Ph	CH ₂ C(Me) ₂	Ph	racemic
II-348	Me	Et	Me	NH	Ph	CH ₂ C(Me) ₂	Ph	(R)-
II-349	Me	Me	Me	N(Me)	Ph	CH ₂ C(Me) ₂	Ph	racemic
II-350	Me	Me	Me	N(Me)	Ph	CH ₂ C(Me) ₂	Ph	(R)-

[0031] [Table 16]

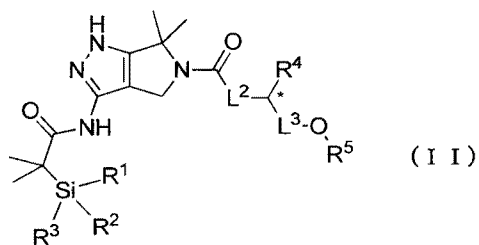


Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁵	Configuration
II-351	Me	Et	Me	N(Me)	Ph	CH ₂ C(Me) ₂	Ph	racemic
II-352	Me	Et	Me	N(Me)	Ph	CH ₂ C(Me) ₂	Ph	(R)-
II-353	Me	Me	Me	NH	Ph	C(Me) ₂ CH ₂	H	racemic
II-354	Me	Me	Me	NH	Ph	C(Me) ₂ CH ₂	H	(S)-
II-355	Me	Et	Me	NH	Ph	C(Me) ₂ CH ₂	H	racemic

II-356	Me	Et	Me	NH	Ph	C(Me) ₂ CH ₂	H	(S)-
II-357	Me	Me	Me	N(Me)	Ph	C(Me) ₂ CH ₂	H	racemic
II-358	Me	Me	Me	N(Me)	Ph	C(Me) ₂ CH ₂	H	(S)-
II-359	Me	Et	Me	N(Me)	Ph	C(Me) ₂ CH ₂	H	racemic
II-360	Me	Et	Me	N(Me)	Ph	C(Me) ₂ CH ₂	H	(S)-
II-361	Me	Me	Me	NH	Ph	CF ₂ CH ₂	H	racemic
II-362	Me	Me	Me	NH	Ph	CF ₂ CH ₂	H	(S)-
II-363	Me	Et	Me	NH	Ph	CF ₂ CH ₂	H	racemic
II-364	Me	Et	Me	NH	Ph	CF ₂ CH ₂	H	(S)-
II-365	Me	Me	Me	N(Me)	Ph	CF ₂ CH ₂	H	racemic
II-366	Me	Me	Me	N(Me)	Ph	CF ₂ CH ₂	H	(S)-
II-367	Me	Et	Me	N(Me)	Ph	CF ₂ CH ₂	H	racemic
II-368	Me	Et	Me	N(Me)	Ph	CF ₂ CH ₂	H	(S)-
II-369	Me	Me	Me	NH	Ph	CH=CHCH ₂	H	racemic
II-370	Me	Me	Me	NH	Ph	CH=CHCH ₂	H	(S)-
II-371	Me	Et	Me	NH	Ph	CH=CHCH ₂	H	racemic
II-372	Me	Et	Me	NH	Ph	CH=CHCH ₂	H	(S)-
II-373	Me	Me	Me	N(Me)	Ph	CH=CHCH ₂	H	racemic
II-374	Me	Me	Me	N(Me)	Ph	CH=CHCH ₂	H	(S)-
II-375	Me	Et	Me	N(Me)	Ph	CH=CHCH ₂	H	racemic
II-376	Me	Et	Me	N(Me)	Ph	CH=CHCH ₂	H	(S)-
II-377	Me	Me	Me	NH	Ph	C≡CCH ₂	H	racemic
II-378	Me	Me	Me	NH	Ph	C≡CCH ₂	H	(S)-
II-379	Me	Et	Me	NH	Ph	C≡CCH ₂	H	racemic
II-380	Me	Et	Me	NH	Ph	C≡CCH ₂	H	(S)-
II-381	Me	Me	Me	N(Me)	Ph	C≡CCH ₂	H	racemic
II-382	Me	Me	Me	N(Me)	Ph	C≡CCH ₂	H	(S)-
II-383	Me	Et	Me	N(Me)	Ph	C≡CCH ₂	H	racemic
II-384	Me	Et	Me	N(Me)	Ph	C≡CCH ₂	H	(S)-
II-385	Me	Me	Me	NH	Ph	1,1-Cyclopropylene	H	racemic
II-386	Me	Me	Me	NH	Ph	1,1-Cyclopropylene	H	(S)-
II-387	Me	Et	Me	NH	Ph	1,1-Cyclopropylene	H	racemic
II-388	Me	Et	Me	NH	Ph	1,1-Cyclopropylene	H	(S)-
II-389	Me	Me	Me	N(Me)	Ph	1,1-Cyclopropylene	H	racemic
II-390	Me	Me	Me	N(Me)	Ph	1,1-Cyclopropylene	H	(S)-

						opylene		
II-391	Me	Et	Me	N(Me)	Ph	1,1-Cyclopropylene	H	racemic
II-392	Me	Et	Me	N(Me)	Ph	1,1-Cyclopropylene	H	(S)-
II-393	Me	Me	Me	NH	Ph	1,2-Cyclopropylene	H	racemic
II-394	Me	Me	Me	NH	Ph	1,2-Cyclopropylene	H	(S)-
II-395	Me	Et	Me	NH	Ph	1,2-Cyclopropylene	H	racemic
II-396	Me	Et	Me	NH	Ph	1,2-Cyclopropylene	H	(S)-
II-397	Me	Me	Me	N(Me)	Ph	1,2-Cyclopropylene	H	racemic
II-398	Me	Me	Me	N(Me)	Ph	1,2-Cyclopropylene	H	(S)-
II-399	Me	Et	Me	N(Me)	Ph	1,2-Cyclopropylene	H	racemic
II-400	Me	Et	Me	N(Me)	Ph	1,2-Cyclopropylene	H	(S)-

[0032] [Table 17]

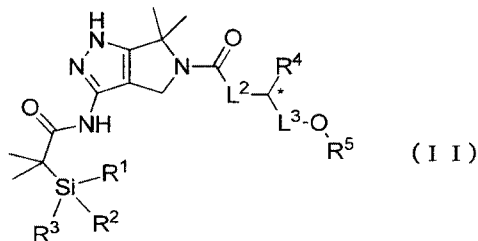


Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁵	Configuration
II-401	Me	Me	Me	NH	Ph	C(=O)	H	racemic
II-402	Me	Me	Me	NH	Ph	C(=O)	H	(S)-
II-403	Me	Et	Me	NH	Ph	C(=O)	H	racemic
II-404	Me	Et	Me	NH	Ph	C(=O)	H	(S)-
II-405	Me	Me	Me	N(Me)	Ph	C(=O)	H	racemic
II-406	Me	Me	Me	N(Me)	Ph	C(=O)	H	(S)-
II-407	Me	Et	Me	N(Me)	Ph	C(=O)	H	racemic
II-408	Me	Et	Me	N(Me)	Ph	C(=O)	H	(S)-
II-409	Me	Me	Me	NH	Ph	C(=O)	Bn	racemic
II-410	Me	Me	Me	NH	Ph	C(=O)	Bn	(S)-

II-411	Me	Et	Me	NH	Ph	C(=O)	Bn	racemic
II-412	Me	Et	Me	NH	Ph	C(=O)	Bn	(S)-
II-413	Me	Me	Me	N(Me)	Ph	C(=O)	Bn	racemic
II-414	Me	Me	Me	N(Me)	Ph	C(=O)	Bn	(S)-
II-415	Me	Et	Me	N(Me)	Ph	C(=O)	Bn	racemic
II-416	Me	Et	Me	N(Me)	Ph	C(=O)	Bn	(S)-
II-417	Me	Me	Me	NH	Ph	C(=O)	Me	racemic
II-418	Me	Me	Me	NH	Ph	C(=O)	Me	(S)-
II-419	Me	Et	Me	NH	Ph	C(=O)	Me	racemic
II-420	Me	Et	Me	NH	Ph	C(=O)	Me	(S)-
II-421	Me	Me	Me	N(Me)	Ph	C(=O)	Me	racemic
II-422	Me	Me	Me	N(Me)	Ph	C(=O)	Me	(S)-
II-423	Me	Et	Me	N(Me)	Ph	C(=O)	Me	racemic
II-424	Me	Et	Me	N(Me)	Ph	C(=O)	Me	(S)-
II-425	Me	Me	Me	NH	H	CH ₂	H	
II-426	Me	Et	Me	NH	H	CH ₂	H	
II-427	Me	Me	Me	N(Me)	H	CH ₂	H	
II-428	Me	Et	Me	N(Me)	H	CH ₂	H	
II-429	Me	Me	Me	NH	H	CH(Me)	H	
II-430	Me	Et	Me	NH	H	CH(Me)	H	
II-431	Me	Me	Me	N(Me)	H	CH(Me)	H	
II-432	Me	Et	Me	N(Me)	H	CH(Me)	H	
II-433	Me	Me	Me	NH	H	CH(iPr)	H	
II-434	Me	Et	Me	NH	H	CH(iPr)	H	
II-435	Me	Me	Me	N(Me)	H	CH(iPr)	H	
II-436	Me	Et	Me	N(Me)	H	CH(iPr)	H	
II-437	Me	Me	Me	NH	H	CH(Ph)	H	
II-438	Me	Et	Me	NH	H	CH(Ph)	H	
II-439	Me	Me	Me	N(Me)	H	CH(Ph)	H	
II-440	Me	Et	Me	N(Me)	H	CH(Ph)	H	
II-441	Me	Me	Me	NH	Me	CH ₂	H	racemic
II-442	Me	Me	Me	NH	Me	CH ₂	H	(S)-
II-443	Me	Et	Me	NH	Me	CH ₂	H	racemic
II-444	Me	Et	Me	NH	Me	CH ₂	H	(S)-
II-445	Me	Me	Me	N(Me)	Me	CH ₂	H	racemic
II-446	Me	Me	Me	N(Me)	Me	CH ₂	H	(S)-

II-447	Me	Et	Me	N(Me)	Me	CH ₂	H	racemic
II-448	Me	Et	Me	N(Me)	Me	CH ₂	H	(S)-
II-449	Me	Me	Me	NH	iPr	CH ₂	H	racemic
II-450	Me	Me	Me	NH	iPr	CH ₂	H	(S)-

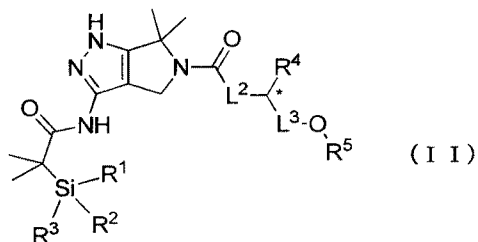
[0033] [Table 18]



Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁵	Configuration
II-451	Me	Et	Me	NH	iPr	CH ₂	H	racemic
II-452	Me	Et	Me	NH	iPr	CH ₂	H	(S)-
II-453	Me	Me	Me	N(Me)	iPr	CH ₂	H	racemic
II-454	Me	Me	Me	N(Me)	iPr	CH ₂	H	(S)-
II-455	Me	Et	Me	N(Me)	iPr	CH ₂	H	racemic
II-456	Me	Et	Me	N(Me)	iPr	CH ₂	H	(S)-
II-457	Me	Me	Me	NH	cHex	CH ₂	H	racemic
II-458	Me	Me	Me	NH	cHex	CH ₂	H	(S)-
II-459	Me	Et	Me	NH	cHex	CH ₂	H	racemic
II-460	Me	Et	Me	NH	cHex	CH ₂	H	(S)-
II-461	Me	Me	Me	N(Me)	cHex	CH ₂	H	racemic
II-462	Me	Me	Me	N(Me)	cHex	CH ₂	H	(S)-
II-463	Me	Et	Me	N(Me)	cHex	CH ₂	H	racemic
II-464	Me	Et	Me	N(Me)	cHex	CH ₂	H	(S)-
II-465	Me	Me	Me	NH	1,3-Benzodioxol-4-yl	CH ₂	H	racemic
II-466	Me	Me	Me	NH	1,3-Benzodioxol-4-yl	CH ₂	H	(S)-
II-467	Me	Et	Me	NH	1,3-Benzodioxol-4-yl	CH ₂	H	racemic
II-468	Me	Et	Me	NH	1,3-Benzodioxol-4-yl	CH ₂	H	(S)-
II-469	Me	Me	Me	N(Me)	1,3-Benzodioxol-4-yl	CH ₂	H	racemic
II-470	Me	Me	Me	N(Me)	1,3-Benzodioxol-4-yl	CH ₂	H	(S)-

					oxol-4-yl			
II-471	Me	Et	Me	N(Me)	1,3-Benzodi oxol-4-yl	CH ₂	H	racemic
II-472	Me	Et	Me	N(Me)	1,3-Benzodi oxol-4-yl	CH ₂	H	(S)-
II-473	Me	Me	Me	NH	2-F-Ph	CH ₂	H	racemic
II-474	Me	Me	Me	NH	2-F-Ph	CH ₂	H	(S)-
II-475	Me	Et	Me	NH	2-F-Ph	CH ₂	H	racemic
II-476	Me	Et	Me	NH	2-F-Ph	CH ₂	H	(S)-
II-477	Me	Me	Me	N(Me)	2-F-Ph	CH ₂	H	racemic
II-478	Me	Me	Me	N(Me)	2-F-Ph	CH ₂	H	(S)-
II-479	Me	Et	Me	N(Me)	2-F-Ph	CH ₂	H	racemic
II-480	Me	Et	Me	N(Me)	2-F-Ph	CH ₂	H	(S)-
II-481	Me	Me	Me	NH	3-F-Ph	CH ₂	H	racemic
II-482	Me	Me	Me	NH	3-F-Ph	CH ₂	H	(S)-
II-483	Me	Et	Me	NH	3-F-Ph	CH ₂	H	racemic
II-484	Me	Et	Me	NH	3-F-Ph	CH ₂	H	(S)-
II-485	Me	Me	Me	N(Me)	3-F-Ph	CH ₂	H	racemic
II-486	Me	Me	Me	N(Me)	3-F-Ph	CH ₂	H	(S)-
II-487	Me	Et	Me	N(Me)	3-F-Ph	CH ₂	H	racemic
II-488	Me	Et	Me	N(Me)	3-F-Ph	CH ₂	H	(S)-
II-489	Me	Me	Me	NH	4-F-Ph	CH ₂	H	racemic
II-490	Me	Me	Me	NH	4-F-Ph	CH ₂	H	(S)-
II-491	Me	Et	Me	NH	4-F-Ph	CH ₂	H	racemic
II-492	Me	Et	Me	NH	4-F-Ph	CH ₂	H	(S)-
II-493	Me	Me	Me	N(Me)	4-F-Ph	CH ₂	H	racemic
II-494	Me	Me	Me	N(Me)	4-F-Ph	CH ₂	H	(S)-
II-495	Me	Et	Me	N(Me)	4-F-Ph	CH ₂	H	racemic
II-496	Me	Et	Me	N(Me)	4-F-Ph	CH ₂	H	(S)-
II-497	Me	Me	Me	NH	2-Py	CH ₂	H	racemic
II-498	Me	Me	Me	NH	2-Py	CH ₂	H	(S)-
II-499	Me	Et	Me	NH	2-Py	CH ₂	H	racemic
II-500	Me	Et	Me	NH	2-Py	CH ₂	H	(S)-

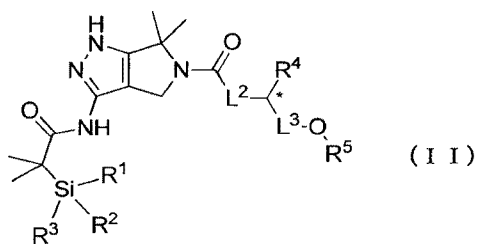
[0034] [Table 19]



Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁵	Configuration
II-501	Me	Me	Me	N(Me)	2-Py	CH ₂	H	racemic
II-502	Me	Me	Me	N(Me)	2-Py	CH ₂	H	(S)-
II-503	Me	Et	Me	N(Me)	2-Py	CH ₂	H	racemic
II-504	Me	Et	Me	N(Me)	2-Py	CH ₂	H	(S)-
II-505	Me	Me	Me	NH	3-Py	CH ₂	H	racemic
II-506	Me	Me	Me	NH	3-Py	CH ₂	H	(S)-
II-507	Me	Et	Me	NH	3-Py	CH ₂	H	racemic
II-508	Me	Et	Me	NH	3-Py	CH ₂	H	(S)-
II-509	Me	Me	Me	N(Me)	3-Py	CH ₂	H	racemic
II-510	Me	Me	Me	N(Me)	3-Py	CH ₂	H	(S)-
II-511	Me	Et	Me	N(Me)	3-Py	CH ₂	H	racemic
II-512	Me	Et	Me	N(Me)	3-Py	CH ₂	H	(S)-
II-513	Me	Me	Me	NH	4-Py	CH ₂	H	racemic
II-514	Me	Me	Me	NH	4-Py	CH ₂	H	(S)-
II-515	Me	Et	Me	NH	4-Py	CH ₂	H	racemic
II-516	Me	Et	Me	NH	4-Py	CH ₂	H	(S)-
II-517	Me	Me	Me	N(Me)	4-Py	CH ₂	H	racemic
II-518	Me	Me	Me	N(Me)	4-Py	CH ₂	H	(S)-
II-519	Me	Et	Me	N(Me)	4-Py	CH ₂	H	racemic
II-520	Me	Et	Me	N(Me)	4-Py	CH ₂	H	(S)-
II-521	Me	Me	Me	CH ₂	Ph	CH ₂	H	racemic
II-522	Me	Me	Me	CH ₂	Ph	CH ₂	H	(S)-
II-523	Me	Et	Me	CH ₂	Ph	CH ₂	H	racemic
II-524	Me	Et	Me	CH ₂	Ph	CH ₂	H	(S)-
II-525	Me	Me	Me	CH ₂	Ph	-	H	racemic
II-526	Me	Me	Me	CH ₂	Ph	-	H	(S)-
II-527	Me	Et	Me	CH ₂	Ph	-	H	racemic
II-528	Me	Et	Me	CH ₂	Ph	-	H	(S)-
II-529	Me	Me	Me	CH ₂	Ph	CH ₂	Me	racemic

II-530	Me	Me	Me	CH ₂	Ph	CH ₂	Me	(S)-
II-531	Me	Et	Me	CH ₂	Ph	CH ₂	Me	racemic
II-532	Me	Et	Me	CH ₂	Ph	CH ₂	Me	(S)-
II-533	Me	Me	Me	CH ₂	Ph	C(Me) ₂	H	racemic
II-534	Me	Me	Me	CH ₂	Ph	C(Me) ₂	H	(S)-
II-535	Me	Et	Me	CH ₂	Ph	C(Me) ₂	H	racemic
II-536	Me	Et	Me	CH ₂	Ph	C(Me) ₂	H	(S)-
II-537	Me	Me	Me	CH ₂	Ph	C(Me) ₂	Me	racemic
II-538	Me	Me	Me	CH ₂	Ph	C(Me) ₂	Me	(S)-
II-539	Me	Et	Me	CH ₂	Ph	C(Me) ₂	Me	racemic
II-540	Me	Et	Me	CH ₂	Ph	C(Me) ₂	Me	(S)-
II-541	Me	Me	Me	CH ₂	Ph	(CH ₂) ₂	H	racemic
II-542	Me	Me	Me	CH ₂	Ph	(CH ₂) ₂	H	(S)-
II-543	Me	Et	Me	CH ₂	Ph	(CH ₂) ₂	H	racemic
II-544	Me	Et	Me	CH ₂	Ph	(CH ₂) ₂	H	(S)-
II-545	Me	Me	Me	CH ₂	Ph	(CH ₂) ₂	Me	racemic
II-546	Me	Me	Me	CH ₂	Ph	(CH ₂) ₂	Me	(S)-
II-547	Me	Et	Me	CH ₂	Ph	(CH ₂) ₂	Me	racemic
II-548	Me	Et	Me	CH ₂	Ph	(CH ₂) ₂	Me	(S)-
II-549	Me	Me	Me	CH ₂	Ph	CH ₂ C(Me) ₂	H	racemic
II-550	Me	Me	Me	CH ₂	Ph	CH ₂ C(Me) ₂	H	(S)-

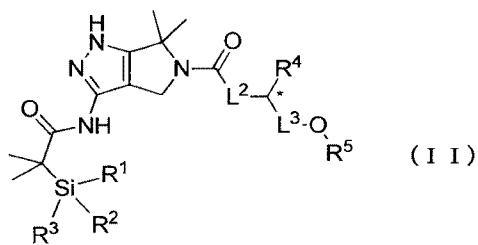
[0035] [Table 20]



Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁵	Configuration
II-551	Me	Et	Me	CH ₂	Ph	CH ₂ C(Me) ₂	H	racemic
II-552	Me	Et	Me	CH ₂	Ph	CH ₂ C(Me) ₂	H	(S)-
II-553	Me	Me	Me	CH ₂	Ph	CH ₂ C(Me) ₂	Me	racemic
II-554	Me	Me	Me	CH ₂	Ph	CH ₂ C(Me) ₂	Me	(S)-
II-555	Me	Et	Me	CH ₂	Ph	CH ₂ C(Me) ₂	Me	racemic

II-556	Me	Et	Me	CH ₂	Ph	CH ₂ C(Me) ₂	Me	(S)-
II-557	Me	Me	Me	-	Ph	CH ₂	H	racemic
II-558	Me	Me	Me	-	Ph	CH ₂	H	(S)-
II-559	Me	Me	Me	-	Ph	CH ₂	Me	racemic
II-560	Me	Me	Me	-	Ph	CH ₂	Me	(S)-
II-561	Me	Me	Me	CH=CH	Ph	CH ₂	H	racemic
II-562	Me	Me	Me	CH=CH	Ph	CH ₂	H	(S)-
II-563	Me	Me	Me	CH=CH	Ph	CH ₂	Me	racemic
II-564	Me	Me	Me	CH=CH	Ph	CH ₂	Me	(S)-
II-565	Me	Me	Me	C≡C	Ph	CH ₂	H	racemic
II-566	Me	Me	Me	C≡C	Ph	CH ₂	H	(S)-
II-567	Me	Me	Me	C≡C	Ph	CH ₂	Me	racemic
II-568	Me	Me	Me	C≡C	Ph	CH ₂	Me	(S)-
II-569	Me	Me	Me	1,2-Cyclopropylene	Ph	CH ₂	H	racemic
II-570	Me	Me	Me	1,2-Cyclopropylene	Ph	CH ₂	H	(S)-
II-571	Me	Me	Me	1,2-Cyclopropylene	Ph	CH ₂	Me	racemic
II-572	Me	Me	Me	1,2-Cyclopropylene	Ph	CH ₂	Me	(S)-
II-573	Me	Me	Me	1,2-Cyclopropynylene	Ph	CH ₂	H	racemic
II-574	Me	Me	Me	1,2-Cyclopropynylene	Ph	CH ₂	H	(S)-
II-575	Me	Me	Me	1,2-Cyclopropynylene	Ph	CH ₂	Me	racemic
II-576	Me	Me	Me	1,2-Cyclopropynylene	Ph	CH ₂	Me	(S)-

[0036] [Table 21]

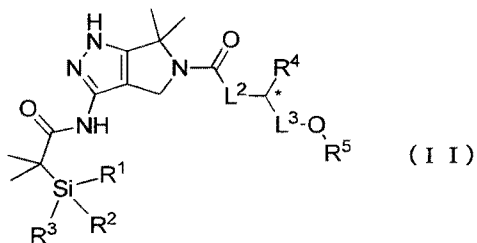


Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁵	Configuration
II-577	Me	Me	Me	O	Ph	C(Me) ₂ CH ₂	H	racemic

II-578	Me	Me	Me	O	Ph	C(Me) ₂ CH ₂	H	(+)
II-579	Me	Me	Me	O	Ph	C(Me) ₂ CH ₂	H	(-)
II-580	Me	Et	Me	O	Ph	C(Me) ₂ CH ₂	H	racemic
II-581	Me	Et	Me	O	Ph	C(Me) ₂ CH ₂	H	(+)
II-582	Me	Et	Me	O	Ph	C(Me) ₂ CH ₂	H	(-)
II-583	Me	Me	Me	O	Ph	C(Me) ₂ CH ₂	Me	racemic
II-584	Me	Me	Me	O	Ph	C(Me) ₂ CH ₂	Me	(+)
II-585	Me	Me	Me	O	Ph	C(Me) ₂ CH ₂	Me	(-)
II-586	Me	Et	Me	O	Ph	C(Me) ₂ CH ₂	Me	racemic
II-587	Me	Et	Me	O	Ph	C(Me) ₂ CH ₂	Me	(+)
II-588	Me	Et	Me	O	Ph	C(Me) ₂ CH ₂	Me	(-)
II-589	Me	Me	Me	O	Ph	C(Me) ₂ CH ₂	CHF ₂	racemic
II-590	Me	Me	Me	O	Ph	C(Me) ₂ CH ₂	CHF ₂	(+)
II-591	Me	Me	Me	O	Ph	C(Me) ₂ CH ₂	CHF ₂	(-)
II-592	Me	Me	Me	O	Ph	C(Me) ₂ CH ₂	Et	racemic
II-593	Me	Me	Me	O	Ph	C(Me) ₂ CH ₂	Et	(+)
II-594	Me	Me	Me	O	Ph	C(Me) ₂ CH ₂	Et	(-)
II-595	Me	Me	Me	NH	Ph	C(Me) ₂ CH ₂	H	(+)
II-596	Me	Me	Me	NH	Ph	C(Me) ₂ CH ₂	H	(-)
II-597	Me	Et	Me	NH	Ph	C(Me) ₂ CH ₂	H	(+)
II-598	Me	Et	Me	NH	Ph	C(Me) ₂ CH ₂	H	(-)
II-599	Me	Me	Me	NH	Ph	C(Me) ₂ CH ₂	Me	racemic
II-600	Me	Me	Me	NH	Ph	C(Me) ₂ CH ₂	Me	(+)
II-601	Me	Me	Me	NH	Ph	C(Me) ₂ CH ₂	Me	(-)
II-602	Me	Et	Me	NH	Ph	C(Me) ₂ CH ₂	Me	racemic
II-603	Me	Et	Me	NH	Ph	C(Me) ₂ CH ₂	Me	(+)
II-604	Me	Et	Me	NH	Ph	C(Me) ₂ CH ₂	Me	(-)
II-605	Me	Me	Me	NH	Ph	C(Me) ₂ CH ₂	CHF ₂	racemic
II-606	Me	Me	Me	NH	Ph	C(Me) ₂ CH ₂	CHF ₂	(+)
II-607	Me	Me	Me	NH	Ph	C(Me) ₂ CH ₂	CHF ₂	(-)
II-608	Me	Et	Me	NH	Ph	C(Me) ₂ CH ₂	CHF ₂	racemic
II-609	Me	Et	Me	NH	Ph	C(Me) ₂ CH ₂	CHF ₂	(+)
II-610	Me	Et	Me	NH	Ph	C(Me) ₂ CH ₂	CHF ₂	(-)
II-611	Me	Me	Me	NH	Ph	C(Me) ₂ CH ₂	Et	racemic
II-612	Me	Me	Me	NH	Ph	C(Me) ₂ CH ₂	Et	(+)
II-613	Me	Me	Me	NH	Ph	C(Me) ₂ CH ₂	Et	(-)

II-614	Me	Et	Me	NH	Ph	C(Me) ₂ CH ₂	Et	racemic
II-615	Me	Et	Me	NH	Ph	C(Me) ₂ CH ₂	Et	(+)
II-616	Me	Et	Me	NH	Ph	C(Me) ₂ CH ₂	Et	(-)
II-617	Me	Me	Me	NH	Ph	C(Me) ₂ CH ₂	iPr	racemic
II-618	Me	Me	Me	NH	Ph	C(Me) ₂ CH ₂	iPr	(+)
II-619	Me	Me	Me	NH	Ph	C(Me) ₂ CH ₂	iPr	(-)
II-620	Me	Et	Me	NH	Ph	C(Me) ₂ CH ₂	iPr	racemic
II-621	Me	Et	Me	NH	Ph	C(Me) ₂ CH ₂	iPr	(+)
II-622	Me	Et	Me	NH	Ph	C(Me) ₂ CH ₂	iPr	(-)
II-623	Me	Me	Me	NH	Ph	C(Me) ₂ CH ₂	cPr	racemic
II-624	Me	Me	Me	NH	Ph	C(Me) ₂ CH ₂	cPr	(+)
II-625	Me	Me	Me	NH	Ph	C(Me) ₂ CH ₂	cPr	(-)
II-626	Me	Et	Me	NH	Ph	C(Me) ₂ CH ₂	cPr	racemic

[0037] [Table 22]

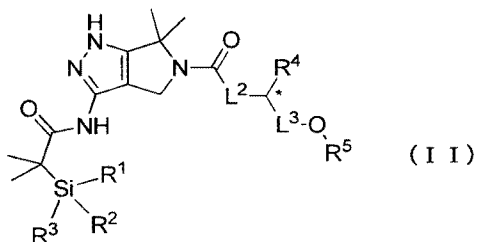


Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁵	Configuration
II-627	Me	Et	Me	NH	Ph	C(Me) ₂ CH ₂	cPr	(+)
II-628	Me	Et	Me	NH	Ph	C(Me) ₂ CH ₂	cPr	(-)
II-629	Me	Me	Me	NH	Ph	1,1-Cyclopropylene-CH ₂	H	racemic
II-630	Me	Me	Me	NH	Ph	1,1-Cyclopropylene-CH ₂	H	(+)
II-631	Me	Me	Me	NH	Ph	1,1-Cyclopropylene-CH ₂	H	(-)
II-632	Me	Et	Me	NH	Ph	1,1-Cyclopropylene-CH ₂	H	racemic
II-633	Me	Et	Me	NH	Ph	1,1-Cyclopropylene-CH ₂	H	(+)
II-634	Me	Et	Me	NH	Ph	1,1-Cyclopropylene-CH ₂	H	(-)
II-635	Me	Me	Me	NH	Ph	1,1-Cyclopropylene-CH ₂	Me	racemic

II-636	Me	Me	Me	NH	Ph	1,1-Cyclopropylene-CH ₂	Me	(+)
II-637	Me	Me	Me	NH	Ph	1,1-Cyclopropylene-CH ₂	Me	(-)
II-638	Me	Et	Me	NH	Ph	1,1-Cyclopropylene-CH ₂	Me	racemic
II-639	Me	Et	Me	NH	Ph	1,1-Cyclopropylene-CH ₂	Me	(+)
II-640	Me	Et	Me	NH	Ph	1,1-Cyclopropylene-CH ₂	Me	(-)
II-641	Me	Me	Me	NH	Ph	1,1-Cyclobutylene-CH ₂	H	racemic
II-642	Me	Me	Me	NH	Ph	1,1-Cyclobutylene-CH ₂	H	(+)
II-643	Me	Me	Me	NH	Ph	1,1-Cyclobutylene-CH ₂	H	(-)
II-644	Me	Et	Me	NH	Ph	1,1-Cyclobutylene-CH ₂	H	racemic
II-645	Me	Et	Me	NH	Ph	1,1-Cyclobutylene-CH ₂	H	(+)
II-646	Me	Et	Me	NH	Ph	1,1-Cyclobutylene-CH ₂	H	(-)
II-647	Me	Me	Me	NH	Ph	1,1-Cyclobutylene-CH ₂	Me	racemic
II-648	Me	Me	Me	NH	Ph	1,1-Cyclobutylene-CH ₂	Me	(+)
II-649	Me	Me	Me	NH	Ph	1,1-Cyclobutylene-CH ₂	Me	(-)
II-650	Me	Et	Me	NH	Ph	1,1-Cyclobutylene-CH ₂	Me	racemic
II-651	Me	Et	Me	NH	Ph	1,1-Cyclobutylene-CH ₂	Me	(+)
II-652	Me	Et	Me	NH	Ph	1,1-Cyclobutylene-CH ₂	Me	(-)
II-653	Me	Me	Me	NH	Ph	C(Et) ₂ CH ₂	H	racemic
II-654	Me	Me	Me	NH	Ph	C(Et) ₂ CH ₂	H	(+)
II-655	Me	Me	Me	NH	Ph	C(Et) ₂ CH ₂	H	(-)
II-656	Me	Et	Me	NH	Ph	C(Et) ₂ CH ₂	H	racemic
II-657	Me	Et	Me	NH	Ph	C(Et) ₂ CH ₂	H	(+)
II-658	Me	Et	Me	NH	Ph	C(Et) ₂ CH ₂	H	(-)
II-659	Me	Me	Me	NH	Ph	C(Et) ₂ CH ₂	Me	racemic
II-660	Me	Me	Me	NH	Ph	C(Et) ₂ CH ₂	Me	(+)
II-661	Me	Me	Me	NH	Ph	C(Et) ₂ CH ₂	Me	(-)
II-662	Me	Et	Me	NH	Ph	C(Et) ₂ CH ₂	Me	racemic
II-663	Me	Et	Me	NH	Ph	C(Et) ₂ CH ₂	Me	(+)
II-664	Me	Et	Me	NH	Ph	C(Et) ₂ CH ₂	Me	(-)

II-665	Me	Me	Me	NH	Ph	CH ₂ -1,1-Cyclopropylene	H	racemic
II-666	Me	Me	Me	NH	Ph	CH ₂ -1,1-Cyclopropylene	H	(R)-
II-667	Me	Et	Me	NH	Ph	CH ₂ -1,1-Cyclopropylene	H	racemic
II-668	Me	Et	Me	NH	Ph	CH ₂ -1,1-Cyclopropylene	H	(R)-
II-669	Me	Me	Me	NH	Ph	CH ₂ -1,1-Cyclopropylene	Me	racemic
II-670	Me	Me	Me	NH	Ph	CH ₂ -1,1-Cyclopropylene	Me	(R)-
II-671	Me	Et	Me	NH	Ph	CH ₂ -1,1-Cyclopropylene	Me	racemic
II-672	Me	Et	Me	NH	Ph	CH ₂ -1,1-Cyclopropylene	Me	(R)-
II-673	Me	Me	Me	NH	Ph	CH ₂ -1,1-cyclobutylene	H	racemic
II-674	Me	Me	Me	NH	Ph	CH ₂ -1,1-cyclobutylene	H	(R)-
II-675	Me	Et	Me	NH	Ph	CH ₂ -1,1-cyclobutylene	H	racemic
II-676	Me	Et	Me	NH	Ph	CH ₂ -1,1-cyclobutylene	H	(R)-

[0038] [Table 23]

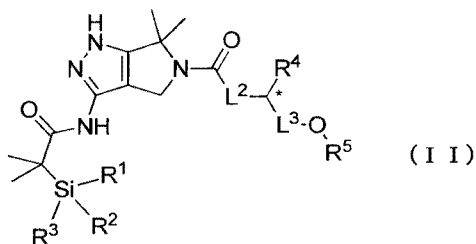


Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁵	Configuration
II-677	Me	Me	Me	NH	Ph	CH ₂ -1,1-cyclobutylene	Me	racemic
II-678	Me	Me	Me	NH	Ph	CH ₂ -1,1-cyclobutylene	Me	(R)-
II-679	Me	Et	Me	NH	Ph	CH ₂ -1,1-cyclobutylene	Me	racemic
II-680	Me	Et	Me	NH	Ph	CH ₂ -1,1-cyclobutylene	Me	(R)-
II-681	Me	Me	Me	NH	Ph	CH ₂ C(Et) ₂	H	racemic
II-682	Me	Me	Me	NH	Ph	CH ₂ C(Et) ₂	H	(R)-

II-683	Me	Et	Me	NH	Ph	CH ₂ C(Et) ₂	H	racemic
II-684	Me	Et	Me	NH	Ph	CH ₂ C(Et) ₂	H	(R)-
II-685	Me	Me	Me	NH	Ph	CH ₂ C(Et) ₂	Me	racemic
II-686	Me	Me	Me	NH	Ph	CH ₂ C(Et) ₂	Me	(R)-
II-687	Me	Et	Me	NH	Ph	CH ₂ C(Et) ₂	Me	racemic
II-688	Me	Et	Me	NH	Ph	CH ₂ C(Et) ₂	Me	(R)-
II-689	Me	Me	Me	NH	iPr	CH ₂	Me	racemic
II-690	Me	Me	Me	NH	iPr	CH ₂	Me	(S)-
II-691	Me	Et	Me	NH	iPr	CH ₂	Me	racemic
II-692	Me	Et	Me	NH	iPr	CH ₂	Me	(S)-
II-693	Me	Me	Me	NH	iPr	(CH ₂) ₂	H	racemic
II-694	Me	Me	Me	NH	iPr	(CH ₂) ₂	H	(R)-
II-695	Me	Et	Me	NH	iPr	(CH ₂) ₂	H	racemic
II-696	Me	Et	Me	NH	iPr	(CH ₂) ₂	H	(R)-
II-697	Me	Me	Me	NH	iPr	(CH ₂) ₂	Me	racemic
II-698	Me	Me	Me	NH	iPr	(CH ₂) ₂	Me	(R)-
II-699	Me	Et	Me	NH	iPr	(CH ₂) ₂	Me	racemic
II-700	Me	Et	Me	NH	iPr	(CH ₂) ₂	Me	(R)-
II-701	Me	Me	Me	NH	CF ₃	CH ₂	H	racemic
II-702	Me	Me	Me	NH	CF ₃	CH ₂	H	(S)-
II-703	Me	Et	Me	NH	CF ₃	CH ₂	H	racemic
II-704	Me	Et	Me	NH	CF ₃	CH ₂	H	(S)-
II-705	Me	Me	Me	NH	CH ₂ OH	CH ₂	H	
II-706	Me	Et	Me	NH	CH ₂ OH	CH ₂	H	
II-707	Me	Me	Me	NH	CH ₂ OMe	CH ₂	H	racemic
II-708	Me	Me	Me	NH	CH ₂ OMe	CH ₂	H	(R)-
II-709	Me	Et	Me	NH	CH ₂ OMe	CH ₂	H	racemic
II-710	Me	Et	Me	NH	CH ₂ OMe	CH ₂	H	(R)-
II-711	Me	Me	Me	NH	CH ₂ Ph	CH ₂	H	racemic
II-712	Me	Me	Me	NH	CH ₂ Ph	CH ₂	H	(R)-
II-713	Me	Me	Me	NH	CH ₂ Ph	CH ₂	H	(S)-
II-714	Me	Et	Me	NH	CH ₂ Ph	CH ₂	H	racemic
II-715	Me	Et	Me	NH	CH ₂ Ph	CH ₂	H	(S)-
II-716	Me	Me	Me	NH	2-F-Ph	CH ₂	Me	racemic
II-717	Me	Me	Me	NH	2-F-Ph	CH ₂	Me	(S)-
II-718	Me	Et	Me	NH	2-F-Ph	CH ₂	Me	racemic

II-719	Me	Et	Me	NH	2-F-Ph	CH ₂	Me	(S)-
II-720	Me	Me	Me	NH	2-F-Ph	(CH ₂) ₂	H	racemic
II-721	Me	Me	Me	NH	2-F-Ph	(CH ₂) ₂	H	(R)-
II-722	Me	Et	Me	NH	2-F-Ph	(CH ₂) ₂	H	racemic
II-723	Me	Et	Me	NH	2-F-Ph	(CH ₂) ₂	H	(R)-
II-724	Me	Me	Me	NH	2-F-Ph	(CH ₂) ₂	Me	racemic
II-725	Me	Me	Me	NH	2-F-Ph	(CH ₂) ₂	Me	(R)-
II-726	Me	Et	Me	NH	2-F-Ph	(CH ₂) ₂	Me	racemic

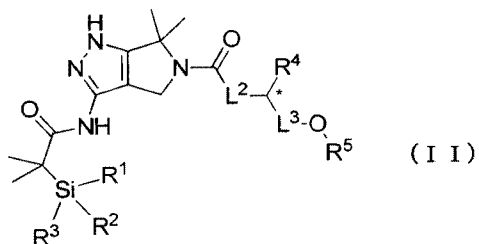
[0039] [Table 24]



Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁵	Configuration
II-727	Me	Et	Me	NH	2-F-Ph	(CH ₂) ₂	Me	(R)-
II-728	Me	Me	Me	NH	2-F-Ph	CH ₂ C(Me) ₂	H	racemic
II-729	Me	Me	Me	NH	2-F-Ph	CH ₂ C(Me) ₂	H	(R)-
II-730	Me	Et	Me	NH	2-F-Ph	CH ₂ C(Me) ₂	H	racemic
II-731	Me	Et	Me	NH	2-F-Ph	CH ₂ C(Me) ₂	H	(R)-
II-732	Me	Me	Me	NH	2-F-Ph	CH ₂ C(Me) ₂	Me	racemic
II-733	Me	Me	Me	NH	2-F-Ph	CH ₂ C(Me) ₂	Me	(R)-
II-734	Me	Et	Me	NH	2-F-Ph	CH ₂ C(Me) ₂	Me	racemic
II-735	Me	Et	Me	NH	2-F-Ph	CH ₂ C(Me) ₂	Me	(R)-
II-736	Me	Me	Me	NH	2-F-Ph	C(Me) ₂ CH ₂	H	racemic
II-737	Me	Me	Me	NH	2-F-Ph	C(Me) ₂ CH ₂	H	(+)
II-738	Me	Me	Me	NH	2-F-Ph	C(Me) ₂ CH ₂	H	(-)
II-739	Me	Et	Me	NH	2-F-Ph	C(Me) ₂ CH ₂	H	racemic
II-740	Me	Et	Me	NH	2-F-Ph	C(Me) ₂ CH ₂	H	(+)
II-741	Me	Et	Me	NH	2-F-Ph	C(Me) ₂ CH ₂	H	(-)
II-742	Me	Me	Me	NH	2-F-Ph	C(Me) ₂ CH ₂	Me	racemic
II-743	Me	Me	Me	NH	2-F-Ph	C(Me) ₂ CH ₂	Me	(+)

II-744	Me	Me	Me	NH	2-F-Ph	C(Me) ₂ CH ₂	Me	(-)
II-745	Me	Et	Me	NH	2-F-Ph	C(Me) ₂ CH ₂	Me	racemic
II-746	Me	Et	Me	NH	2-F-Ph	C(Me) ₂ CH ₂	Me	(+)
II-747	Me	Et	Me	NH	2-F-Ph	C(Me) ₂ CH ₂	Me	(-)
II-748	Me	Me	Me	NH	3-F-Ph	(CH ₂) ₂	H	racemic
II-749	Me	Me	Me	NH	3-F-Ph	(CH ₂) ₂	H	(R)-
II-750	Me	Et	Me	NH	3-F-Ph	(CH ₂) ₂	H	racemic
II-751	Me	Et	Me	NH	3-F-Ph	(CH ₂) ₂	H	(R)-
II-752	Me	Me	Me	NH	3-F-Ph	(CH ₂) ₂	Me	racemic
II-753	Me	Me	Me	NH	3-F-Ph	(CH ₂) ₂	Me	(R)-
II-754	Me	Et	Me	NH	3-F-Ph	(CH ₂) ₂	Me	racemic
II-755	Me	Et	Me	NH	3-F-Ph	(CH ₂) ₂	Me	(R)-
II-756	Me	Me	Me	NH	3-F-Ph	CH ₂ C(Me) ₂	H	racemic
II-757	Me	Me	Me	NH	3-F-Ph	CH ₂ C(Me) ₂	H	(R)-
II-758	Me	Et	Me	NH	3-F-Ph	CH ₂ C(Me) ₂	H	racemic
II-759	Me	Et	Me	NH	3-F-Ph	CH ₂ C(Me) ₂	H	(R)-
II-760	Me	Me	Me	NH	3-F-Ph	CH ₂ C(Me) ₂	Me	racemic
II-761	Me	Me	Me	NH	3-F-Ph	CH ₂ C(Me) ₂	Me	(R)-
II-762	Me	Et	Me	NH	3-F-Ph	CH ₂ C(Me) ₂	Me	racemic
II-763	Me	Et	Me	NH	3-F-Ph	CH ₂ C(Me) ₂	Me	(R)-
II-764	Me	Me	Me	NH	3-F-Ph	C(Me) ₂ CH ₂	H	racemic
II-765	Me	Me	Me	NH	3-F-Ph	C(Me) ₂ CH ₂	H	(+)
II-766	Me	Me	Me	NH	3-F-Ph	C(Me) ₂ CH ₂	H	(-)
II-767	Me	Et	Me	NH	3-F-Ph	C(Me) ₂ CH ₂	H	racemic
II-768	Me	Et	Me	NH	3-F-Ph	C(Me) ₂ CH ₂	H	(+)
II-769	Me	Et	Me	NH	3-F-Ph	C(Me) ₂ CH ₂	H	(-)
II-770	Me	Me	Me	NH	3-F-Ph	C(Me) ₂ CH ₂	Me	racemic
II-771	Me	Me	Me	NH	3-F-Ph	C(Me) ₂ CH ₂	Me	(+)
II-772	Me	Me	Me	NH	3-F-Ph	C(Me) ₂ CH ₂	Me	(-)
II-773	Me	Et	Me	NH	3-F-Ph	C(Me) ₂ CH ₂	Me	racemic
II-774	Me	Et	Me	NH	3-F-Ph	C(Me) ₂ CH ₂	Me	(+)
II-775	Me	Et	Me	NH	3-F-Ph	C(Me) ₂ CH ₂	Me	(-)
II-776	Me	Me	Me	NH	4-F-Ph	(CH ₂) ₂	H	racemic

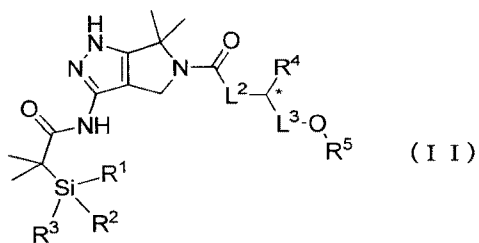
[0040] [Table 25]



Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁵	Configuration
II-777	Me	Me	Me	NH	4-F-Ph	(CH ₂) ₂	H	(R)-
II-778	Me	Et	Me	NH	4-F-Ph	(CH ₂) ₂	H	racemic
II-779	Me	Et	Me	NH	4-F-Ph	(CH ₂) ₂	H	(R)-
II-780	Me	Me	Me	NH	4-F-Ph	(CH ₂) ₂	Me	racemic
II-781	Me	Me	Me	NH	4-F-Ph	(CH ₂) ₂	Me	(R)-
II-782	Me	Et	Me	NH	4-F-Ph	(CH ₂) ₂	Me	racemic
II-783	Me	Et	Me	NH	4-F-Ph	(CH ₂) ₂	Me	(R)-
II-784	Me	Me	Me	NH	4-F-Ph	CH ₂ C(Me) ₂	H	racemic
II-785	Me	Me	Me	NH	4-F-Ph	CH ₂ C(Me) ₂	H	(R)-
II-786	Me	Et	Me	NH	4-F-Ph	CH ₂ C(Me) ₂	H	racemic
II-787	Me	Et	Me	NH	4-F-Ph	CH ₂ C(Me) ₂	H	(R)-
II-788	Me	Me	Me	NH	4-F-Ph	CH ₂ C(Me) ₂	Me	racemic
II-789	Me	Me	Me	NH	4-F-Ph	CH ₂ C(Me) ₂	Me	(R)-
II-790	Me	Et	Me	NH	4-F-Ph	CH ₂ C(Me) ₂	Me	racemic
II-791	Me	Et	Me	NH	4-F-Ph	CH ₂ C(Me) ₂	Me	(R)-
II-792	Me	Me	Me	NH	4-F-Ph	C(Me) ₂ CH ₂	H	racemic
II-793	Me	Me	Me	NH	4-F-Ph	C(Me) ₂ CH ₂	H	(+)
II-794	Me	Me	Me	NH	4-F-Ph	C(Me) ₂ CH ₂	H	(-)
II-795	Me	Et	Me	NH	4-F-Ph	C(Me) ₂ CH ₂	H	racemic
II-796	Me	Et	Me	NH	4-F-Ph	C(Me) ₂ CH ₂	H	(+)
II-797	Me	Et	Me	NH	4-F-Ph	C(Me) ₂ CH ₂	H	(-)
II-798	Me	Me	Me	NH	4-F-Ph	C(Me) ₂ CH ₂	Me	racemic
II-799	Me	Me	Me	NH	4-F-Ph	C(Me) ₂ CH ₂	Me	(+)
II-800	Me	Me	Me	NH	4-F-Ph	C(Me) ₂ CH ₂	Me	(-)
II-801	Me	Et	Me	NH	4-F-Ph	C(Me) ₂ CH ₂	Me	racemic
II-802	Me	Et	Me	NH	4-F-Ph	C(Me) ₂ CH ₂	Me	(+)
II-803	Me	Et	Me	NH	4-F-Ph	C(Me) ₂ CH ₂	Me	(-)
II-804	Me	Me	Me	NH	2-Cl-Ph	CH ₂	H	racemic

II-805	Me	Me	Me	NH	2-Cl-Ph	CH ₂	H	(S)-
II-806	Me	Et	Me	NH	2-Cl-Ph	CH ₂	H	racemic
II-807	Me	Et	Me	NH	2-Cl-Ph	CH ₂	H	(S)-
II-808	Me	Me	Me	NH	2-Cl-Ph	CH ₂	Me	racemic
II-809	Me	Me	Me	NH	2-Cl-Ph	CH ₂	Me	(S)-
II-810	Me	Et	Me	NH	2-Cl-Ph	CH ₂	Me	racemic
II-811	Me	Et	Me	NH	2-Cl-Ph	CH ₂	Me	(S)-
II-812	Me	Me	Me	NH	2-Cl-Ph	(CH ₂) ₂	H	racemic
II-813	Me	Me	Me	NH	2-Cl-Ph	(CH ₂) ₂	H	(R)-
II-814	Me	Et	Me	NH	2-Cl-Ph	(CH ₂) ₂	H	racemic
II-815	Me	Et	Me	NH	2-Cl-Ph	(CH ₂) ₂	H	(R)-
II-816	Me	Me	Me	NH	2-Cl-Ph	(CH ₂) ₂	Me	racemic
II-817	Me	Me	Me	NH	2-Cl-Ph	(CH ₂) ₂	Me	(R)-
II-818	Me	Et	Me	NH	2-Cl-Ph	(CH ₂) ₂	Me	racemic
II-819	Me	Et	Me	NH	2-Cl-Ph	(CH ₂) ₂	Me	(R)-
II-820	Me	Me	Me	NH	2-Cl-Ph	CH ₂ C(Me) ₂	H	racemic
II-821	Me	Me	Me	NH	2-Cl-Ph	CH ₂ C(Me) ₂	H	(R)-
II-822	Me	Et	Me	NH	2-Cl-Ph	CH ₂ C(Me) ₂	H	racemic
II-823	Me	Et	Me	NH	2-Cl-Ph	CH ₂ C(Me) ₂	H	(R)-
II-824	Me	Me	Me	NH	2-Cl-Ph	CH ₂ C(Me) ₂	Me	racemic
II-825	Me	Me	Me	NH	2-Cl-Ph	CH ₂ C(Me) ₂	Me	(R)-
II-826	Me	Et	Me	NH	2-Cl-Ph	CH ₂ C(Me) ₂	Me	racemic

[0041] [Table 26]

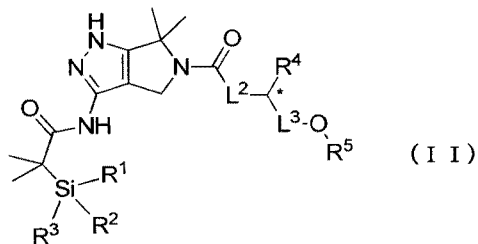


Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁵	Configuration
II-827	Me	Et	Me	NH	2-Cl-Ph	CH ₂ C(Me) ₂	Me	(R)-
II-828	Me	Me	Me	NH	2-Cl-Ph	C(Me) ₂ CH ₂	H	racemic
II-829	Me	Me	Me	NH	2-Cl-Ph	C(Me) ₂ CH ₂	H	(+)

II-830	Me	Me	Me	NH	2-Cl-Ph	C(Me) ₂ CH ₂	H	(-)
II-831	Me	Et	Me	NH	2-Cl-Ph	C(Me) ₂ CH ₂	H	racemic
II-832	Me	Et	Me	NH	2-Cl-Ph	C(Me) ₂ CH ₂	H	(+)
II-833	Me	Et	Me	NH	2-Cl-Ph	C(Me) ₂ CH ₂	H	(-)
II-834	Me	Me	Me	NH	2-Cl-Ph	C(Me) ₂ CH ₂	Me	racemic
II-835	Me	Me	Me	NH	2-Cl-Ph	C(Me) ₂ CH ₂	Me	(+)
II-836	Me	Me	Me	NH	2-Cl-Ph	C(Me) ₂ CH ₂	Me	(-)
II-837	Me	Et	Me	NH	2-Cl-Ph	C(Me) ₂ CH ₂	Me	racemic
II-838	Me	Et	Me	NH	2-Cl-Ph	C(Me) ₂ CH ₂	Me	(+)
II-839	Me	Et	Me	NH	2-Cl-Ph	C(Me) ₂ CH ₂	Me	(-)
II-840	Me	Me	Me	NH	3-Cl-Ph	CH ₂	H	racemic
II-841	Me	Me	Me	NH	3-Cl-Ph	CH ₂	H	(S)-
II-842	Me	Et	Me	NH	3-Cl-Ph	CH ₂	H	racemic
II-843	Me	Et	Me	NH	3-Cl-Ph	CH ₂	H	(S)-
II-844	Me	Me	Me	NH	3-Cl-Ph	CH ₂	Me	racemic
II-845	Me	Me	Me	NH	3-Cl-Ph	CH ₂	Me	(S)-
II-846	Me	Et	Me	NH	3-Cl-Ph	CH ₂	Me	racemic
II-847	Me	Et	Me	NH	3-Cl-Ph	CH ₂	Me	(S)-
II-848	Me	Me	Me	NH	3-Cl-Ph	(CH ₂) ₂	H	racemic
II-849	Me	Me	Me	NH	3-Cl-Ph	(CH ₂) ₂	H	(R)-
II-850	Me	Et	Me	NH	3-Cl-Ph	(CH ₂) ₂	H	racemic
II-851	Me	Et	Me	NH	3-Cl-Ph	(CH ₂) ₂	H	(R)-
II-852	Me	Me	Me	NH	3-Cl-Ph	(CH ₂) ₂	Me	racemic
II-853	Me	Me	Me	NH	3-Cl-Ph	(CH ₂) ₂	Me	(R)-
II-854	Me	Et	Me	NH	3-Cl-Ph	(CH ₂) ₂	Me	racemic
II-855	Me	Et	Me	NH	3-Cl-Ph	(CH ₂) ₂	Me	(R)-
II-856	Me	Me	Me	NH	3-Cl-Ph	CH ₂ C(Me) ₂	H	racemic
II-857	Me	Me	Me	NH	3-Cl-Ph	CH ₂ C(Me) ₂	H	(R)-
II-858	Me	Et	Me	NH	3-Cl-Ph	CH ₂ C(Me) ₂	H	racemic
II-859	Me	Et	Me	NH	3-Cl-Ph	CH ₂ C(Me) ₂	H	(R)-
II-860	Me	Me	Me	NH	3-Cl-Ph	CH ₂ C(Me) ₂	Me	racemic
II-861	Me	Me	Me	NH	3-Cl-Ph	CH ₂ C(Me) ₂	Me	(R)-
II-862	Me	Et	Me	NH	3-Cl-Ph	CH ₂ C(Me) ₂	Me	racemic
II-863	Me	Et	Me	NH	3-Cl-Ph	CH ₂ C(Me) ₂	Me	(R)-
II-864	Me	Me	Me	NH	3-Cl-Ph	C(Me) ₂ CH ₂	H	racemic
II-865	Me	Me	Me	NH	3-Cl-Ph	C(Me) ₂ CH ₂	H	(+)

II-866	Me	Me	Me	NH	3-Cl-Ph	C(Me) ₂ CH ₂	H	(-)
II-867	Me	Et	Me	NH	3-Cl-Ph	C(Me) ₂ CH ₂	H	racemic
II-868	Me	Et	Me	NH	3-Cl-Ph	C(Me) ₂ CH ₂	H	(+)
II-869	Me	Et	Me	NH	3-Cl-Ph	C(Me) ₂ CH ₂	H	(-)
II-870	Me	Me	Me	NH	3-Cl-Ph	C(Me) ₂ CH ₂	Me	racemic
II-871	Me	Me	Me	NH	3-Cl-Ph	C(Me) ₂ CH ₂	Me	(+)
II-872	Me	Me	Me	NH	3-Cl-Ph	C(Me) ₂ CH ₂	Me	(-)
II-873	Me	Et	Me	NH	3-Cl-Ph	C(Me) ₂ CH ₂	Me	racemic
II-874	Me	Et	Me	NH	3-Cl-Ph	C(Me) ₂ CH ₂	Me	(+)
II-875	Me	Et	Me	NH	3-Cl-Ph	C(Me) ₂ CH ₂	Me	(-)
II-876	Me	Me	Me	NH	4-Cl-Ph	CH ₂	H	racemic

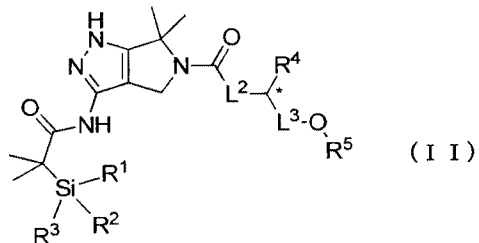
[0042] [Table 27]



Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁵	Configuration
II-877	Me	Me	Me	NH	4-Cl-Ph	CH ₂	H	(S)-
II-878	Me	Et	Me	NH	4-Cl-Ph	CH ₂	H	racemic
II-879	Me	Et	Me	NH	4-Cl-Ph	CH ₂	H	(S)-
II-880	Me	Me	Me	NH	4-Cl-Ph	CH ₂	Me	racemic
II-881	Me	Me	Me	NH	4-Cl-Ph	CH ₂	Me	(S)-
II-882	Me	Et	Me	NH	4-Cl-Ph	CH ₂	Me	racemic
II-883	Me	Et	Me	NH	4-Cl-Ph	CH ₂	Me	(S)-
II-884	Me	Me	Me	NH	4-Cl-Ph	(CH ₂) ₂	H	racemic
II-885	Me	Me	Me	NH	4-Cl-Ph	(CH ₂) ₂	H	(R)-
II-886	Me	Et	Me	NH	4-Cl-Ph	(CH ₂) ₂	H	racemic
II-887	Me	Et	Me	NH	4-Cl-Ph	(CH ₂) ₂	H	(R)-
II-888	Me	Me	Me	NH	4-Cl-Ph	(CH ₂) ₂	Me	racemic
II-889	Me	Me	Me	NH	4-Cl-Ph	(CH ₂) ₂	Me	(R)-
II-890	Me	Et	Me	NH	4-Cl-Ph	(CH ₂) ₂	Me	racemic

II-891	Me	Et	Me	NH	4-Cl-Ph	(CH ₂) ₂	Me	(R)-
II-892	Me	Me	Me	NH	4-Cl-Ph	CH ₂ C(Me) ₂	H	racemic
II-893	Me	Me	Me	NH	4-Cl-Ph	CH ₂ C(Me) ₂	H	(R)-
II-894	Me	Et	Me	NH	4-Cl-Ph	CH ₂ C(Me) ₂	H	racemic
II-895	Me	Et	Me	NH	4-Cl-Ph	CH ₂ C(Me) ₂	H	(R)-
II-896	Me	Me	Me	NH	4-Cl-Ph	CH ₂ C(Me) ₂	Me	racemic
II-897	Me	Me	Me	NH	4-Cl-Ph	CH ₂ C(Me) ₂	Me	(R)-
II-898	Me	Et	Me	NH	4-Cl-Ph	CH ₂ C(Me) ₂	Me	racemic
II-899	Me	Et	Me	NH	4-Cl-Ph	CH ₂ C(Me) ₂	Me	(R)-
II-900	Me	Me	Me	NH	4-Cl-Ph	C(Me) ₂ CH ₂	H	racemic
II-901	Me	Me	Me	NH	4-Cl-Ph	C(Me) ₂ CH ₂	H	(+)
II-902	Me	Me	Me	NH	4-Cl-Ph	C(Me) ₂ CH ₂	H	(-)
II-903	Me	Et	Me	NH	4-Cl-Ph	C(Me) ₂ CH ₂	H	racemic
II-904	Me	Et	Me	NH	4-Cl-Ph	C(Me) ₂ CH ₂	H	(+)
II-905	Me	Et	Me	NH	4-Cl-Ph	C(Me) ₂ CH ₂	H	(-)
II-906	Me	Me	Me	NH	4-Cl-Ph	C(Me) ₂ CH ₂	Me	racemic
II-907	Me	Me	Me	NH	4-Cl-Ph	C(Me) ₂ CH ₂	Me	(+)
II-908	Me	Me	Me	NH	4-Cl-Ph	C(Me) ₂ CH ₂	Me	(-)
II-909	Me	Et	Me	NH	4-Cl-Ph	C(Me) ₂ CH ₂	Me	racemic
II-910	Me	Et	Me	NH	4-Cl-Ph	C(Me) ₂ CH ₂	Me	(+)
II-911	Me	Et	Me	NH	4-Cl-Ph	C(Me) ₂ CH ₂	Me	(-)
II-912	Me	Me	Me	NH	2-Me-Ph	CH ₂	H	racemic
II-913	Me	Me	Me	NH	2-Me-Ph	CH ₂	H	(S)-
II-914	Me	Et	Me	NH	2-Me-Ph	CH ₂	H	racemic
II-915	Me	Et	Me	NH	2-Me-Ph	CH ₂	H	(S)-
II-916	Me	Me	Me	NH	2-Me-Ph	CH ₂	Me	racemic
II-917	Me	Me	Me	NH	2-Me-Ph	CH ₂	Me	(S)-
II-918	Me	Et	Me	NH	2-Me-Ph	CH ₂	Me	racemic
II-919	Me	Et	Me	NH	2-Me-Ph	CH ₂	Me	(S)-
II-920	Me	Me	Me	NH	2-Me-Ph	(CH ₂) ₂	H	racemic
II-921	Me	Me	Me	NH	2-Me-Ph	(CH ₂) ₂	H	(R)-
II-922	Me	Et	Me	NH	2-Me-Ph	(CH ₂) ₂	H	racemic
II-923	Me	Et	Me	NH	2-Me-Ph	(CH ₂) ₂	H	(R)-
II-924	Me	Me	Me	NH	2-Me-Ph	(CH ₂) ₂	Me	racemic
II-925	Me	Me	Me	NH	2-Me-Ph	(CH ₂) ₂	Me	(R)-
II-926	Me	Et	Me	NH	2-Me-Ph	(CH ₂) ₂	Me	racemic

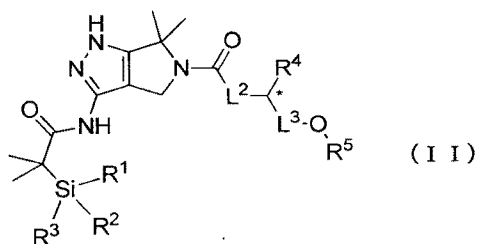
[0043] [Table 28]



Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁵	Configuration
II-927	Me	Et	Me	NH	2-Me-Ph	(CH ₂) ₂	Me	(R)-
II-928	Me	Me	Me	NH	2-Me-Ph	CH ₂ C(Me) ₂	H	racemic
II-929	Me	Me	Me	NH	2-Me-Ph	CH ₂ C(Me) ₂	H	(R)-
II-930	Me	Et	Me	NH	2-Me-Ph	CH ₂ C(Me) ₂	H	racemic
II-931	Me	Et	Me	NH	2-Me-Ph	CH ₂ C(Me) ₂	H	(R)-
II-932	Me	Me	Me	NH	2-Me-Ph	CH ₂ C(Me) ₂	Me	racemic
II-933	Me	Me	Me	NH	2-Me-Ph	CH ₂ C(Me) ₂	Me	(R)-
II-934	Me	Et	Me	NH	2-Me-Ph	CH ₂ C(Me) ₂	Me	racemic
II-935	Me	Et	Me	NH	2-Me-Ph	CH ₂ C(Me) ₂	Me	(R)-
II-936	Me	Me	Me	NH	2-Me-Ph	C(Me) ₂ CH ₂	H	racemic
II-937	Me	Me	Me	NH	2-Me-Ph	C(Me) ₂ CH ₂	H	(+)
II-938	Me	Me	Me	NH	2-Me-Ph	C(Me) ₂ CH ₂	H	(-)
II-939	Me	Et	Me	NH	2-Me-Ph	C(Me) ₂ CH ₂	H	racemic
II-940	Me	Et	Me	NH	2-Me-Ph	C(Me) ₂ CH ₂	H	(+)
II-941	Me	Et	Me	NH	2-Me-Ph	C(Me) ₂ CH ₂	H	(-)
II-942	Me	Me	Me	NH	2-Me-Ph	C(Me) ₂ CH ₂	Me	racemic
II-943	Me	Me	Me	NH	2-Me-Ph	C(Me) ₂ CH ₂	Me	(+)
II-944	Me	Me	Me	NH	2-Me-Ph	C(Me) ₂ CH ₂	Me	(-)
II-945	Me	Et	Me	NH	2-Me-Ph	C(Me) ₂ CH ₂	Me	racemic
II-946	Me	Et	Me	NH	2-Me-Ph	C(Me) ₂ CH ₂	Me	(+)
II-947	Me	Et	Me	NH	2-Me-Ph	C(Me) ₂ CH ₂	Me	(-)
II-948	Me	Me	Me	NH	3-Me-Ph	CH ₂	H	racemic
II-949	Me	Me	Me	NH	3-Me-Ph	CH ₂	H	(S)-
II-950	Me	Et	Me	NH	3-Me-Ph	CH ₂	H	racemic
II-951	Me	Et	Me	NH	3-Me-Ph	CH ₂	H	(S)-

II-952	Me	Me	Me	NH	3-Me-Ph	CH ₂	Me	racemic
II-953	Me	Me	Me	NH	3-Me-Ph	CH ₂	Me	(S)-
II-954	Me	Et	Me	NH	3-Me-Ph	CH ₂	Me	racemic
II-955	Me	Et	Me	NH	3-Me-Ph	CH ₂	Me	(S)-
II-956	Me	Me	Me	NH	3-Me-Ph	(CH ₂) ₂	H	racemic
II-957	Me	Me	Me	NH	3-Me-Ph	(CH ₂) ₂	H	(R)-
II-958	Me	Et	Me	NH	3-Me-Ph	(CH ₂) ₂	H	racemic
II-959	Me	Et	Me	NH	3-Me-Ph	(CH ₂) ₂	H	(R)-
II-960	Me	Me	Me	NH	3-Me-Ph	(CH ₂) ₂	Me	racemic
II-961	Me	Me	Me	NH	3-Me-Ph	(CH ₂) ₂	Me	(R)-
II-962	Me	Et	Me	NH	3-Me-Ph	(CH ₂) ₂	Me	racemic
II-963	Me	Et	Me	NH	3-Me-Ph	(CH ₂) ₂	Me	(R)-
II-964	Me	Me	Me	NH	3-Me-Ph	CH ₂ C(Me) ₂	H	racemic
II-965	Me	Me	Me	NH	3-Me-Ph	CH ₂ C(Me) ₂	H	(R)-
II-966	Me	Et	Me	NH	3-Me-Ph	CH ₂ C(Me) ₂	H	racemic
II-967	Me	Et	Me	NH	3-Me-Ph	CH ₂ C(Me) ₂	H	(R)-
II-968	Me	Me	Me	NH	3-Me-Ph	CH ₂ C(Me) ₂	Me	racemic
II-969	Me	Me	Me	NH	3-Me-Ph	CH ₂ C(Me) ₂	Me	(R)-
II-970	Me	Et	Me	NH	3-Me-Ph	CH ₂ C(Me) ₂	Me	racemic
II-971	Me	Et	Me	NH	3-Me-Ph	CH ₂ C(Me) ₂	Me	(R)-
II-972	Me	Me	Me	NH	3-Me-Ph	C(Me) ₂ CH ₂	H	racemic
II-973	Me	Me	Me	NH	3-Me-Ph	C(Me) ₂ CH ₂	H	(+)
II-974	Me	Me	Me	NH	3-Me-Ph	C(Me) ₂ CH ₂	H	(-)
II-975	Me	Et	Me	NH	3-Me-Ph	C(Me) ₂ CH ₂	H	racemic
II-976	Me	Et	Me	NH	3-Me-Ph	C(Me) ₂ CH ₂	H	(+)

[0044] [Table 29]

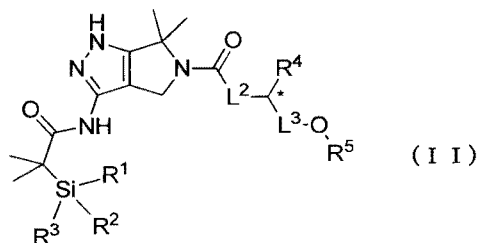


Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁵	Configura tion
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II-977	Me	Et	Me	NH	3-Me-Ph	C(Me) ₂ CH ₂	H	(-)
II-978	Me	Me	Me	NH	3-Me-Ph	C(Me) ₂ CH ₂	Me	racemic
II-979	Me	Me	Me	NH	3-Me-Ph	C(Me) ₂ CH ₂	Me	(+)
II-980	Me	Me	Me	NH	3-Me-Ph	C(Me) ₂ CH ₂	Me	(-)
II-981	Me	Et	Me	NH	3-Me-Ph	C(Me) ₂ CH ₂	Me	racemic
II-982	Me	Et	Me	NH	3-Me-Ph	C(Me) ₂ CH ₂	Me	(+)
II-983	Me	Et	Me	NH	3-Me-Ph	C(Me) ₂ CH ₂	Me	(-)
II-984	Me	Me	Me	NH	4-Me-Ph	CH ₂	H	racemic
II-985	Me	Me	Me	NH	4-Me-Ph	CH ₂	H	(S)-
II-986	Me	Et	Me	NH	4-Me-Ph	CH ₂	H	racemic
II-987	Me	Et	Me	NH	4-Me-Ph	CH ₂	H	(S)-
II-988	Me	Me	Me	NH	4-Me-Ph	CH ₂	Me	racemic
II-989	Me	Me	Me	NH	4-Me-Ph	CH ₂	Me	(S)-
II-990	Me	Et	Me	NH	4-Me-Ph	CH ₂	Me	racemic
II-991	Me	Et	Me	NH	4-Me-Ph	CH ₂	Me	(S)-
II-992	Me	Me	Me	NH	4-Me-Ph	(CH ₂) ₂	H	racemic
II-993	Me	Me	Me	NH	4-Me-Ph	(CH ₂) ₂	H	(R)-
II-994	Me	Et	Me	NH	4-Me-Ph	(CH ₂) ₂	H	racemic
II-995	Me	Et	Me	NH	4-Me-Ph	(CH ₂) ₂	H	(R)-
II-996	Me	Me	Me	NH	4-Me-Ph	(CH ₂) ₂	Me	racemic
II-997	Me	Me	Me	NH	4-Me-Ph	(CH ₂) ₂	Me	(R)-
II-998	Me	Et	Me	NH	4-Me-Ph	(CH ₂) ₂	Me	racemic
II-999	Me	Et	Me	NH	4-Me-Ph	(CH ₂) ₂	Me	(R)-
II-1000	Me	Me	Me	NH	4-Me-Ph	CH ₂ C(Me) ₂	H	racemic
II-1001	Me	Me	Me	NH	4-Me-Ph	CH ₂ C(Me) ₂	H	(R)-
II-1002	Me	Et	Me	NH	4-Me-Ph	CH ₂ C(Me) ₂	H	racemic
II-1003	Me	Et	Me	NH	4-Me-Ph	CH ₂ C(Me) ₂	H	(R)-
II-1004	Me	Me	Me	NH	4-Me-Ph	CH ₂ C(Me) ₂	Me	racemic
II-1005	Me	Me	Me	NH	4-Me-Ph	CH ₂ C(Me) ₂	Me	(R)-
II-1006	Me	Et	Me	NH	4-Me-Ph	CH ₂ C(Me) ₂	Me	racemic
II-1007	Me	Et	Me	NH	4-Me-Ph	CH ₂ C(Me) ₂	Me	(R)-
II-1008	Me	Me	Me	NH	4-Me-Ph	C(Me) ₂ CH ₂	H	racemic
II-1009	Me	Me	Me	NH	4-Me-Ph	C(Me) ₂ CH ₂	H	(+)
II-1010	Me	Me	Me	NH	4-Me-Ph	C(Me) ₂ CH ₂	H	(-)
II-1011	Me	Et	Me	NH	4-Me-Ph	C(Me) ₂ CH ₂	H	racemic
II-1012	Me	Et	Me	NH	4-Me-Ph	C(Me) ₂ CH ₂	H	(+)

II-1013	Me	Et	Me	NH	4-Me-Ph	C(Me) ₂ CH ₂	H	(-)
II-1014	Me	Me	Me	NH	4-Me-Ph	C(Me) ₂ CH ₂	Me	racemic
II-1015	Me	Me	Me	NH	4-Me-Ph	C(Me) ₂ CH ₂	Me	(+)
II-1016	Me	Me	Me	NH	4-Me-Ph	C(Me) ₂ CH ₂	Me	(-)
II-1017	Me	Et	Me	NH	4-Me-Ph	C(Me) ₂ CH ₂	Me	racemic
II-1018	Me	Et	Me	NH	4-Me-Ph	C(Me) ₂ CH ₂	Me	(+)
II-1019	Me	Et	Me	NH	4-Me-Ph	C(Me) ₂ CH ₂	Me	(-)
II-1020	Me	Me	Me	-	Ph	-	H	racemic
II-1021	Me	Me	Me	-	Ph	-	H	(R)-
II-1022	Me	Et	Me	-	Ph	-	H	racemic
II-1023	Me	Et	Me	-	Ph	-	H	(R)-
II-1024	Me	Me	Me	-	Ph	-	Me	racemic
II-1025	Me	Me	Me	-	Ph	-	Me	(R)-
II-1026	Me	Et	Me	-	Ph	-	Me	racemic

[0045] [Table 30]

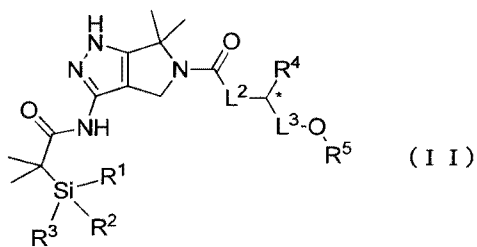


Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁵	Configuration
II-1027	Me	Et	Me	-	Ph	-	Me	(R)-
II-1028	Me	Me	Me	-	Ph	-	CHF ₂	racemic
II-1029	Me	Me	Me	-	Ph	-	CHF ₂	(R)-
II-1030	Me	Et	Me	-	Ph	-	CHF ₂	racemic
II-1031	Me	Et	Me	-	Ph	-	CHF ₂	(R)-
II-1032	Me	Me	Me	-	Ph	-	CF ₃	racemic
II-1033	Me	Me	Me	-	Ph	-	CF ₃	(R)-
II-1034	Me	Et	Me	-	Ph	-	CF ₃	racemic
II-1035	Me	Et	Me	-	Ph	-	CF ₃	(R)-
II-1036	Me	Me	Me	-	Ph	-	Et	racemic
II-1037	Me	Me	Me	-	Ph	-	Et	(R)-
II-1038	Me	Et	Me	-	Ph	-	Et	racemic

II-1039	Me	Et	Me	-	Ph	-	Et	(R)-
II-1040	Me	Me	Me	-	Ph	-	CF ₂ CH ₃	racemic
II-1041	Me	Me	Me	-	Ph	-	CF ₂ CH ₃	(R)-
II-1042	Me	Et	Me	-	Ph	-	CF ₂ CH ₃	racemic
II-1043	Me	Et	Me	-	Ph	-	CF ₂ CH ₃	(R)-
II-1044	Me	Me	Me	-	Ph	-	nPr	racemic
II-1045	Me	Me	Me	-	Ph	-	nPr	(R)-
II-1046	Me	Et	Me	-	Ph	-	nPr	racemic
II-1047	Me	Et	Me	-	Ph	-	nPr	(R)-
II-1048	Me	Me	Me	-	Ph	-	nBu	racemic
II-1049	Me	Me	Me	-	Ph	-	nBu	(R)-
II-1050	Me	Et	Me	-	Ph	-	nBu	racemic
II-1051	Me	Et	Me	-	Ph	-	nBu	(R)-
II-1052	Me	Me	Me	-	Ph	-	iPr	racemic
II-1053	Me	Me	Me	-	Ph	-	iPr	(R)-
II-1054	Me	Et	Me	-	Ph	-	iPr	racemic
II-1055	Me	Et	Me	-	Ph	-	iPr	(R)-
II-1056	Me	Me	Me	-	Ph	-	cPr	racemic
II-1057	Me	Me	Me	-	Ph	-	cPr	(R)-
II-1058	Me	Et	Me	-	Ph	-	cPr	racemic
II-1059	Me	Et	Me	-	Ph	-	cPr	(R)-
II-1060	Me	Me	Me	-	Ph	-	Ph	racemic
II-1061	Me	Me	Me	-	Ph	-	Ph	(R)-
II-1062	Me	Et	Me	-	Ph	-	Ph	racemic
II-1063	Me	Et	Me	-	Ph	-	Ph	(R)-
II-1064	Me	Me	Me	-	Ph	CH ₂	CHF ₂	racemic
II-1065	Me	Me	Me	-	Ph	CH ₂	CHF ₂	(S)-
II-1066	Me	Me	Me	-	Ph	CH ₂	Et	racemic
II-1067	Me	Me	Me	-	Ph	CH ₂	Et	(S)-
II-1068	Me	Me	Me	-	Ph	CH ₂	Ph	racemic
II-1069	Me	Me	Me	-	Ph	CH ₂	Ph	(R)-
II-1070	Me	Me	Me	-	Ph	(CH ₂) ₂	H	racemic
II-1071	Me	Me	Me	-	Ph	(CH ₂) ₂	H	(S)-
II-1072	Me	Me	Me	-	Ph	(CH ₂) ₂	Me	racemic
II-1073	Me	Me	Me	-	Ph	(CH ₂) ₂	Me	(S)-

II-1074	Me	Me	Me	-	Ph	(CH ₂) ₂	CHF ₂	racemic
II-1075	Me	Me	Me	-	Ph	(CH ₂) ₂	CHF ₂	(S)-
II-1076	Me	Me	Me	-	Ph	(CH ₂) ₂	Et	racemic

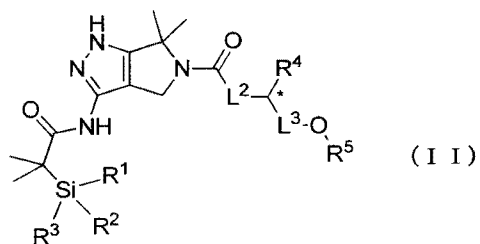
[0046] [Table 31]



Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁵	Configuration
II-1077	Me	Me	Me	-	Ph	(CH ₂) ₂	Et	(S)-
II-1078	Me	Me	Me	-	H	-	H	
II-1079	Me	Me	Me	-	H	-	Me	
II-1080	Me	Me	Me	-	H	-	CHF ₂	
II-1081	Me	Me	Me	-	H	-	CF ₃	
II-1082	Me	Me	Me	-	H	-	Et	
II-1083	Me	Me	Me	-	H	-	nPr	
II-1084	Me	Me	Me	-	H	-	iPr	
II-1085	Me	Me	Me	-	H	-	cPr	
II-1086	Me	Me	Me	-	H	-	Ph	
II-1087	Me	Me	Me	-	Me	-	H	racemic
II-1088	Me	Me	Me	-	Me	-	H	(R)-
II-1089	Me	Me	Me	-	Me	-	Me	racemic
II-1090	Me	Me	Me	-	Me	-	Me	(R)-
II-1091	Me	Me	Me	-	Me	-	CHF ₂	racemic
II-1092	Me	Me	Me	-	Me	-	CHF ₂	(R)-
II-1093	Me	Me	Me	-	Me	-	CF ₃	racemic
II-1094	Me	Me	Me	-	Me	-	CF ₃	(R)-
II-1095	Me	Me	Me	-	Me	-	Et	racemic
II-1096	Me	Me	Me	-	Me	-	Et	(R)-
II-1097	Me	Me	Me	-	Me	-	nPr	racemic
II-1098	Me	Me	Me	-	Me	-	nPr	(R)-

II-1099	Me	Me	Me	-	Me	-	iPr	racemic
II-1100	Me	Me	Me	-	Me	-	iPr	(R)-
II-1101	Me	Me	Me	-	Me	-	cPr	racemic
II-1102	Me	Me	Me	-	Me	-	cPr	(R)-
II-1103	Me	Me	Me	-	Me	-	cHex	racemic
II-1104	Me	Me	Me	-	Me	-	cHex	(R)-
II-1105	Me	Me	Me	-	Me	-	Ph	racemic
II-1106	Me	Me	Me	-	Me	-	Ph	(R)-
II-1107	Me	Me	Me	-	Me	-	2-F-Ph	racemic
II-1108	Me	Me	Me	-	Me	-	2-F-Ph	(R)-
II-1109	Me	Me	Me	-	Me	-	3-F-Ph	racemic
II-1110	Me	Me	Me	-	Me	-	3-F-Ph	(R)-
II-1111	Me	Me	Me	-	Me	-	4-F-Ph	racemic
II-1112	Me	Me	Me	-	Me	-	4-F-Ph	(R)-
II-1113	Me	Me	Me	-	Me	-	2-Cl-Ph	racemic
II-1114	Me	Me	Me	-	Me	-	2-Cl-Ph	(R)-
II-1115	Me	Me	Me	-	Me	-	3-Cl-Ph	racemic
II-1116	Me	Me	Me	-	Me	-	3-Cl-Ph	(R)-
II-1117	Me	Me	Me	-	Me	-	4-Cl-Ph	racemic
II-1118	Me	Me	Me	-	Me	-	4-Cl-Ph	(R)-
II-1119	Me	Me	Me	-	Me	-	2-Py	racemic
II-1120	Me	Me	Me	-	Me	-	2-Py	(R)-
II-1121	Me	Me	Me	-	Me	-	3-Py	racemic
II-1122	Me	Me	Me	-	Me	-	3-Py	(R)-
II-1123	Me	Me	Me	-	Me	-	4-Py	racemic
II-1124	Me	Me	Me	-	Me	-	4-Py	(R)-
II-1125	Me	Me	Me	-	iPr	-	H	racemic
II-1126	Me	Me	Me	-	iPr	-	H	(R)-

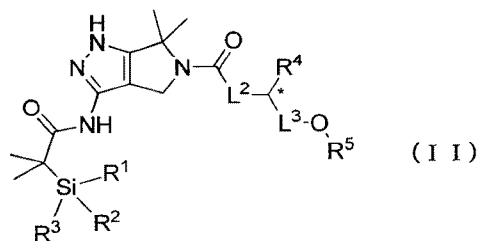
[0047] [Table 32]



Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁵	Configuration
II-1127	Me	Me	Me	-	iPr	-	Me	racemic
II-1128	Me	Me	Me	-	iPr	-	Me	(R)-
II-1129	Me	Me	Me	-	iPr	-	CHF ₂	racemic
II-1130	Me	Me	Me	-	iPr	-	CHF ₂	(R)-
II-1131	Me	Me	Me	-	iPr	-	CF ₃	racemic
II-1132	Me	Me	Me	-	iPr	-	CF ₃	(R)-
II-1133	Me	Me	Me	-	iPr	-	Et	racemic
II-1134	Me	Me	Me	-	iPr	-	Et	(R)-
II-1135	Me	Me	Me	-	iPr	-	nPr	racemic
II-1136	Me	Me	Me	-	iPr	-	nPr	(R)-
II-1137	Me	Me	Me	-	iPr	-	iPr	racemic
II-1138	Me	Me	Me	-	iPr	-	iPr	(R)-
II-1139	Me	Me	Me	-	iPr	-	cPr	racemic
II-1140	Me	Me	Me	-	iPr	-	cPr	(R)-
II-1141	Me	Me	Me	-	iPr	-	Ph	racemic
II-1142	Me	Me	Me	-	iPr	-	Ph	(R)-
II-1143	Me	Me	Me	-	CF ₃	-	H	racemic
II-1144	Me	Me	Me	-	CF ₃	-	H	(R)-
II-1145	Me	Me	Me	-	CF ₃	-	Me	racemic
II-1146	Me	Me	Me	-	CF ₃	-	Me	(R)-
II-1147	Me	Me	Me	-	CF ₃	-	CHF ₂	racemic
II-1148	Me	Me	Me	-	CF ₃	-	CHF ₂	(R)-
II-1149	Me	Me	Me	-	CF ₃	-	CF ₃	racemic
II-1150	Me	Me	Me	-	CF ₃	-	CF ₃	(R)-
II-1151	Me	Me	Me	-	CF ₃	-	Et	racemic
II-1152	Me	Me	Me	-	CF ₃	-	Et	(R)-
II-1153	Me	Me	Me	-	CF ₃	-	nPr	racemic
II-1154	Me	Me	Me	-	CF ₃	-	nPr	(R)-

II-1155	Me	Me	Me	-	CF ₃	-	iPr	racemic
II-1156	Me	Me	Me	-	CF ₃	-	iPr	(R)-
II-1157	Me	Me	Me	-	CF ₃	-	cPr	racemic
II-1158	Me	Me	Me	-	CF ₃	-	cPr	(R)-
II-1159	Me	Me	Me	-	CF ₃	-	Ph	racemic
II-1160	Me	Me	Me	-	CF ₃	-	Ph	(R)-
II-1161	Me	Me	Me	-	CH ₂ OH	-	H	racemic
II-1162	Me	Me	Me	-	CH ₂ OH	-	H	(R)-
II-1163	Me	Me	Me	-	CH ₂ OH	-	Me	racemic
II-1164	Me	Me	Me	-	CH ₂ OH	-	Me	(R)-
II-1165	Me	Me	Me	-	CH ₂ OH	-	CHF ₂	racemic
II-1166	Me	Me	Me	-	CH ₂ OH	-	CHF ₂	(R)-
II-1167	Me	Me	Me	-	CH ₂ OH	-	CF ₃	racemic
II-1168	Me	Me	Me	-	CH ₂ OH	-	CF ₃	(R)-
II-1169	Me	Me	Me	-	CH ₂ OH	-	Et	racemic
II-1170	Me	Me	Me	-	CH ₂ OH	-	Et	(R)-
II-1171	Me	Me	Me	-	CH ₂ OH	-	nPr	racemic
II-1172	Me	Me	Me	-	CH ₂ OH	-	nPr	(R)-
II-1173	Me	Me	Me	-	CH ₂ OH	-	iPr	racemic
II-1174	Me	Me	Me	-	CH ₂ OH	-	iPr	(R)-
II-1175	Me	Me	Me	-	CH ₂ OH	-	cPr	racemic
II-1176	Me	Me	Me	-	CH ₂ OH	-	cPr	(R)-

[0048] [Table 33]

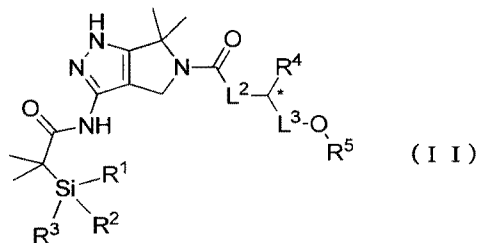


Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁵	Configuration
II-1177	Me	Me	Me	-	CH ₂ OH	-	Ph	racemic
II-1178	Me	Me	Me	-	CH ₂ OH	-	Ph	(R)-
II-1179	Me	Me	Me	-	CH ₂ OMe	-	H	racemic

II-1180	Me	Me	Me	-	CH ₂ OMe	-	H	(R)-
II-1181	Me	Me	Me	-	CH ₂ OMe	-	Me	racemic
II-1182	Me	Me	Me	-	CH ₂ OMe	-	Me	(R)-
II-1183	Me	Me	Me	-	CH ₂ OMe	-	CHF ₂	racemic
II-1184	Me	Me	Me	-	CH ₂ OMe	-	CHF ₂	(R)-
II-1185	Me	Me	Me	-	CH ₂ OMe	-	CF ₃	racemic
II-1186	Me	Me	Me	-	CH ₂ OMe	-	CF ₃	(R)-
II-1187	Me	Me	Me	-	CH ₂ OMe	-	Et	racemic
II-1188	Me	Me	Me	-	CH ₂ OMe	-	Et	(R)-
II-1189	Me	Me	Me	-	CH ₂ OMe	-	nPr	racemic
II-1190	Me	Me	Me	-	CH ₂ OMe	-	nPr	(R)-
II-1191	Me	Me	Me	-	CH ₂ OMe	-	iPr	racemic
II-1192	Me	Me	Me	-	CH ₂ OMe	-	iPr	(R)-
II-1193	Me	Me	Me	-	CH ₂ OMe	-	cPr	racemic
II-1194	Me	Me	Me	-	CH ₂ OMe	-	cPr	(R)-
II-1195	Me	Me	Me	-	CH ₂ OMe	-	Ph	racemic
II-1196	Me	Me	Me	-	CH ₂ OMe	-	Ph	(R)-
II-1197	Me	Me	Me	-	CH ₂ OBn	-	H	racemic
II-1198	Me	Me	Me	-	CH ₂ OBn	-	H	(R)-
II-1199	Me	Me	Me	-	CH ₂ OBn	-	Me	racemic
II-1200	Me	Me	Me	-	CH ₂ OBn	-	Me	(R)-
II-1201	Me	Me	Me	-	CH ₂ OBn	-	Ph	racemic
II-1202	Me	Me	Me	-	CH ₂ OBn	-	Ph	(R)-
II-1203	Me	Me	Me	-	CH ₂ NMe ₂	-	H	racemic
II-1204	Me	Me	Me	-	CH ₂ NMe ₂	-	H	(R)-
II-1205	Me	Me	Me	-	CH ₂ NMe ₂	-	Me	racemic
II-1206	Me	Me	Me	-	CH ₂ NMe ₂	-	Me	(R)-
II-1207	Me	Me	Me	-	CH ₂ NMe ₂	-	CHF ₂	racemic
II-1208	Me	Me	Me	-	CH ₂ NMe ₂	-	CHF ₂	(R)-
II-1209	Me	Me	Me	-	CH ₂ NMe ₂	-	CF ₃	racemic
II-1210	Me	Me	Me	-	CH ₂ NMe ₂	-	CF ₃	(R)-
II-1211	Me	Me	Me	-	CH ₂ NMe ₂	-	Et	racemic
II-1212	Me	Me	Me	-	CH ₂ NMe ₂	-	Et	(R)-
II-1213	Me	Me	Me	-	CH ₂ NMe ₂	-	nPr	racemic
II-1214	Me	Me	Me	-	CH ₂ NMe ₂	-	nPr	(R)-
II-1215	Me	Me	Me	-	CH ₂ NMe ₂	-	iPr	racemic
II-1216	Me	Me	Me	-	CH ₂ NMe ₂	-	iPr	(R)-

II-1217	Me	Me	Me	-	CH ₂ NMe ₂	-	cPr	racemic
II-1218	Me	Me	Me	-	CH ₂ NMe ₂	-	cPr	(R)-
II-1219	Me	Me	Me	-	CH ₂ NMe ₂	-	Ph	racemic
II-1220	Me	Me	Me	-	CH ₂ NMe ₂	-	Ph	(R)-
II-1221	Me	Me	Me	-	CH ₂ -(3,3-difluoro pyrrolidyl)	-	H	racemic
II-1222	Me	Me	Me	-	CH ₂ -(3,3-difluoro pyrrolidyl)	-	H	(R)-
II-1223	Me	Me	Me	-	CH ₂ -(3,3-difluoro pyrrolidyl)	-	Me	racemic
II-1224	Me	Me	Me	-	CH ₂ -(3,3-difluoro pyrrolidyl)	-	Me	(R)-
II-1225	Me	Me	Me	-	CH ₂ -(3,3-difluoro pyrrolidyl)	-	Ph	racemic
II-1226	Me	Me	Me	-	CH ₂ -(3,3-difluoro pyrrolidyl)	-	Ph	(R)-

[0049] [Table 34]

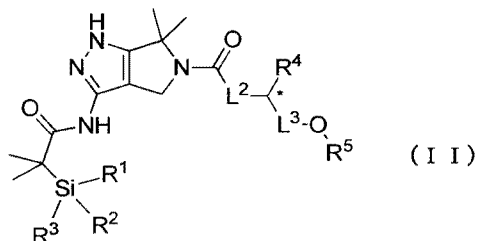


Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁵	Configuration
II-1227	Me	Me	Me	-	2-F-Ph	-	H	racemic
II-1228	Me	Me	Me	-	2-F-Ph	-	H	(R)-
II-1229	Me	Me	Me	-	2-F-Ph	-	Me	racemic
II-1230	Me	Me	Me	-	2-F-Ph	-	Me	(R)-
II-1231	Me	Me	Me	-	2-F-Ph	-	CHF ₂	racemic
II-1232	Me	Me	Me	-	2-F-Ph	-	CHF ₂	(R)-
II-1233	Me	Me	Me	-	2-F-Ph	-	CF ₃	racemic
II-1234	Me	Me	Me	-	2-F-Ph	-	CF ₃	(R)-
II-1235	Me	Me	Me	-	2-F-Ph	-	Et	racemic
II-1236	Me	Me	Me	-	2-F-Ph	-	Et	(R)-
II-1237	Me	Me	Me	-	2-F-Ph	-	nPr	racemic
II-1238	Me	Me	Me	-	2-F-Ph	-	nPr	(R)-
II-1239	Me	Me	Me	-	2-F-Ph	-	iPr	racemic

II-1240	Me	Me	Me	-	2-F-Ph	-	iPr	(R)-
II-1241	Me	Me	Me	-	2-F-Ph	-	cPr	racemic
II-1242	Me	Me	Me	-	2-F-Ph	-	cPr	(R)-
II-1243	Me	Me	Me	-	3-F-Ph	-	H	racemic
II-1244	Me	Me	Me	-	3-F-Ph	-	H	(R)-
II-1245	Me	Me	Me	-	3-F-Ph	-	Me	racemic
II-1246	Me	Me	Me	-	3-F-Ph	-	Me	(R)-
II-1247	Me	Me	Me	-	3-F-Ph	-	CHF ₂	racemic
II-1248	Me	Me	Me	-	3-F-Ph	-	CHF ₂	(R)-
II-1249	Me	Me	Me	-	3-F-Ph	-	CF ₃	racemic
II-1250	Me	Me	Me	-	3-F-Ph	-	CF ₃	(R)-
II-1251	Me	Me	Me	-	3-F-Ph	-	Et	racemic
II-1252	Me	Me	Me	-	3-F-Ph	-	Et	(R)-
II-1253	Me	Me	Me	-	3-F-Ph	-	nPr	racemic
II-1254	Me	Me	Me	-	3-F-Ph	-	nPr	(R)-
II-1255	Me	Me	Me	-	3-F-Ph	-	iPr	racemic
II-1256	Me	Me	Me	-	3-F-Ph	-	iPr	(R)-
II-1257	Me	Me	Me	-	3-F-Ph	-	cPr	racemic
II-1258	Me	Me	Me	-	3-F-Ph	-	cPr	(R)-
II-1259	Me	Me	Me	-	4-F-Ph	-	H	racemic
II-1260	Me	Me	Me	-	4-F-Ph	-	H	(R)-
II-1261	Me	Me	Me	-	4-F-Ph	-	Me	racemic
II-1262	Me	Me	Me	-	4-F-Ph	-	Me	(R)-
II-1263	Me	Me	Me	-	4-F-Ph	-	CHF ₂	racemic
II-1264	Me	Me	Me	-	4-F-Ph	-	CHF ₂	(R)-
II-1265	Me	Me	Me	-	4-F-Ph	-	CF ₃	racemic
II-1266	Me	Me	Me	-	4-F-Ph	-	CF ₃	(R)-
II-1267	Me	Me	Me	-	4-F-Ph	-	Et	racemic
II-1268	Me	Me	Me	-	4-F-Ph	-	Et	(R)-
II-1269	Me	Me	Me	-	4-F-Ph	-	nPr	racemic
II-1270	Me	Me	Me	-	4-F-Ph	-	nPr	(R)-
II-1271	Me	Me	Me	-	4-F-Ph	-	iPr	racemic
II-1272	Me	Me	Me	-	4-F-Ph	-	iPr	(R)-
II-1273	Me	Me	Me	-	4-F-Ph	-	cPr	racemic
II-1274	Me	Me	Me	-	4-F-Ph	-	cPr	(R)-
II-1275	Me	Me	Me	-	2-thienyl	-	H	racemic

II-1276	Me	Me	Me	-	2-thienyl	-	H	(S)-
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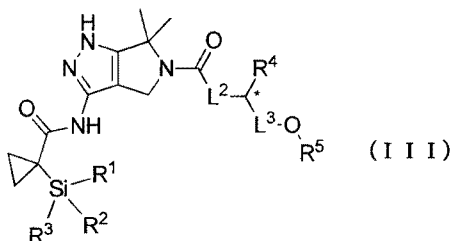
[0050] [Table 35]



Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁵	Configuration
II-1277	Me	Me	Me	-	2-thienyl	-	Me	racemic
II-1278	Me	Me	Me	-	2-thienyl	-	Me	(S)-
II-1279	Me	Me	Me	-	2-thienyl	-	CHF ₂	racemic
II-1280	Me	Me	Me	-	2-thienyl	-	CHF ₂	(S)-
II-1281	Me	Me	Me	-	2-thienyl	-	CF ₃	racemic
II-1282	Me	Me	Me	-	2-thienyl	-	CF ₃	(S)-
II-1283	Me	Me	Me	-	2-thienyl	-	Et	racemic
II-1284	Me	Me	Me	-	2-thienyl	-	Et	(S)-
II-1285	Me	Me	Me	-	2-thienyl	-	nPr	racemic
II-1286	Me	Me	Me	-	2-thienyl	-	nPr	(S)-
II-1287	Me	Me	Me	-	2-thienyl	-	iPr	racemic
II-1288	Me	Me	Me	-	2-thienyl	-	iPr	(S)-
II-1289	Me	Me	Me	-	2-thienyl	-	cPr	racemic
II-1290	Me	Me	Me	-	2-thienyl	-	cPr	(S)-
II-1291	Me	Me	Me	-	3-thienyl	-	H	racemic
II-1292	Me	Me	Me	-	3-thienyl	-	H	(R)-
II-1293	Me	Me	Me	-	3-thienyl	-	Me	racemic
II-1294	Me	Me	Me	-	3-thienyl	-	Me	(R)-
II-1295	Me	Me	Me	-	3-thienyl	-	CHF ₂	racemic
II-1296	Me	Me	Me	-	3-thienyl	-	CHF ₂	(R)-
II-1297	Me	Me	Me	-	3-thienyl	-	CF ₃	racemic
II-1298	Me	Me	Me	-	3-thienyl	-	CF ₃	(R)-
II-1299	Me	Me	Me	-	3-thienyl	-	Et	racemic
II-1300	Me	Me	Me	-	3-thienyl	-	Et	(R)-

II-1301	Me	Me	Me	-	3-thienyl	-	nPr	racemic
II-1302	Me	Me	Me	-	3-thienyl	-	nPr	(R)-
II-1303	Me	Me	Me	-	3-thienyl	-	iPr	racemic
II-1304	Me	Me	Me	-	3-thienyl	-	iPr	(R)-
II-1305	Me	Me	Me	-	3-thienyl	-	cPr	racemic
II-1306	Me	Me	Me	-	3-thienyl	-	cPr	(R)-

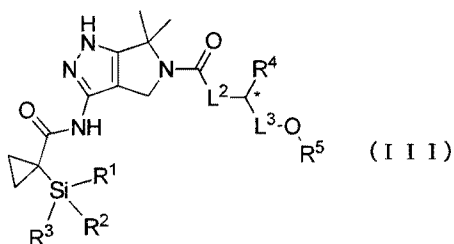
[0051] [Table 36]



Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁵	Configuration
III-1	Me	Me	Me	O	Ph	CH ₂	H	racemic
III-2	Me	Me	Me	O	Ph	CH ₂	H	(S)-
III-3	Me	Et	Me	O	Ph	CH ₂	H	racemic
III-4	Me	Et	Me	O	Ph	CH ₂	H	(S)-
III-5	Me	Me	Me	O	Ph	CH ₂	Me	racemic
III-6	Me	Me	Me	O	Ph	CH ₂	Me	(S)-
III-7	Me	Et	Me	O	Ph	CH ₂	Me	racemic
III-8	Me	Et	Me	O	Ph	CH ₂	Me	(S)-
III-9	Me	Me	Me	O	Ph	CH ₂	CHF ₂	racemic
III-10	Me	Me	Me	O	Ph	CH ₂	CHF ₂	(S)-
III-11	Me	Et	Me	O	Ph	CH ₂	CHF ₂	racemic
III-12	Me	Et	Me	O	Ph	CH ₂	CHF ₂	(S)-
III-13	Me	Me	Me	O	Ph	CH ₂	Et	racemic
III-14	Me	Me	Me	O	Ph	CH ₂	Et	(S)-
III-15	Me	Et	Me	O	Ph	CH ₂	Et	racemic
III-16	Me	Et	Me	O	Ph	CH ₂	Et	(S)-
III-17	Me	Me	Me	O	Ph	CH ₂	iPr	racemic
III-18	Me	Me	Me	O	Ph	CH ₂	iPr	(S)-
III-19	Me	Et	Me	O	Ph	CH ₂	iPr	racemic
III-20	Me	Et	Me	O	Ph	CH ₂	iPr	(S)-

III-21	Me	Me	Me	O	Ph	CH ₂	cPr	racemic
III-22	Me	Me	Me	O	Ph	CH ₂	cPr	(S)-
III-23	Me	Et	Me	O	Ph	CH ₂	cPr	racemic
III-24	Me	Et	Me	O	Ph	CH ₂	cPr	(S)-
III-25	Me	Me	Me	O	Ph	CH ₂	Ph	racemic
III-26	Me	Me	Me	O	Ph	CH ₂	Ph	(S)-
III-27	Me	Et	Me	O	Ph	CH ₂	Ph	racemic
III-28	Me	Et	Me	O	Ph	CH ₂	Ph	(S)-
III-29	Me	Me	Me	O	Ph	C(Me) ₂	H	racemic
III-30	Me	Me	Me	O	Ph	C(Me) ₂	H	(S)-
III-31	Me	Et	Me	O	Ph	C(Me) ₂	H	racemic
III-32	Me	Et	Me	O	Ph	C(Me) ₂	H	(S)-
III-33	Me	Me	Me	O	Ph	C(Me) ₂	Me	racemic
III-34	Me	Me	Me	O	Ph	C(Me) ₂	Me	(S)-
III-35	Me	Et	Me	O	Ph	C(Me) ₂	Me	racemic
III-36	Me	Et	Me	O	Ph	C(Me) ₂	Me	(S)-
III-37	Me	Me	Me	O	Ph	C(Me) ₂	CHF ₂	racemic
III-38	Me	Me	Me	O	Ph	C(Me) ₂	CHF ₂	(S)-
III-39	Me	Et	Me	O	Ph	C(Me) ₂	CHF ₂	racemic
III-40	Me	Et	Me	O	Ph	C(Me) ₂	CHF ₂	(S)-
III-41	Me	Me	Me	O	Ph	C(Me) ₂	Et	racemic
III-42	Me	Me	Me	O	Ph	C(Me) ₂	Et	(S)-
III-43	Me	Et	Me	O	Ph	C(Me) ₂	Et	racemic
III-44	Me	Et	Me	O	Ph	C(Me) ₂	Et	(S)-
III-45	Me	Me	Me	O	Ph	C(Me) ₂	iPr	racemic
III-46	Me	Me	Me	O	Ph	C(Me) ₂	iPr	(S)-
III-47	Me	Et	Me	O	Ph	C(Me) ₂	iPr	racemic
III-48	Me	Et	Me	O	Ph	C(Me) ₂	iPr	(S)-
III-49	Me	Me	Me	O	Ph	C(Me) ₂	cPr	racemic
III-50	Me	Me	Me	O	Ph	C(Me) ₂	cPr	(S)-

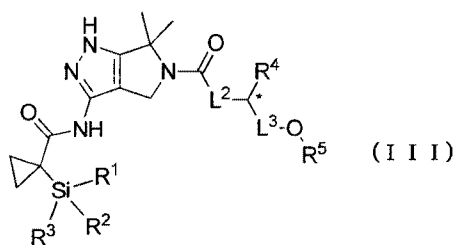
[0052] [Table 37]



Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁵	Configuration
III-51	Me	Et	Me	O	Ph	C(Me) ₂	cPr	racemic
III-52	Me	Et	Me	O	Ph	C(Me) ₂	cPr	(S)-
III-53	Me	Me	Me	O	Ph	C(Me) ₂	Ph	racemic
III-54	Me	Me	Me	O	Ph	C(Me) ₂	Ph	(S)-
III-55	Me	Et	Me	O	Ph	C(Me) ₂	Ph	racemic
III-56	Me	Et	Me	O	Ph	C(Me) ₂	Ph	(S)-
III-57	Me	Me	Me	O	Ph	(CH ₂) ₂	H	racemic
III-58	Me	Me	Me	O	Ph	(CH ₂) ₂	H	(R)-
III-59	Me	Et	Me	O	Ph	(CH ₂) ₂	H	racemic
III-60	Me	Et	Me	O	Ph	(CH ₂) ₂	H	(R)-
III-61	Me	Me	Me	O	Ph	(CH ₂) ₂	Me	racemic
III-62	Me	Me	Me	O	Ph	(CH ₂) ₂	Me	(R)-
III-63	Me	Et	Me	O	Ph	(CH ₂) ₂	Me	racemic
III-64	Me	Et	Me	O	Ph	(CH ₂) ₂	Me	(R)-
III-65	Me	Me	Me	O	Ph	(CH ₂) ₂	CHF ₂	racemic
III-66	Me	Me	Me	O	Ph	(CH ₂) ₂	CHF ₂	(R)-
III-67	Me	Et	Me	O	Ph	(CH ₂) ₂	CHF ₂	racemic
III-68	Me	Et	Me	O	Ph	(CH ₂) ₂	CHF ₂	(R)-
III-69	Me	Me	Me	O	Ph	(CH ₂) ₂	Et	racemic
III-70	Me	Me	Me	O	Ph	(CH ₂) ₂	Et	(R)-
III-71	Me	Et	Me	O	Ph	(CH ₂) ₂	Et	racemic
III-72	Me	Et	Me	O	Ph	(CH ₂) ₂	Et	(R)-
III-73	Me	Me	Me	O	Ph	(CH ₂) ₂	iPr	racemic
III-74	Me	Me	Me	O	Ph	(CH ₂) ₂	iPr	(R)-
III-75	Me	Et	Me	O	Ph	(CH ₂) ₂	iPr	racemic
III-76	Me	Et	Me	O	Ph	(CH ₂) ₂	iPr	(R)-
III-77	Me	Me	Me	O	Ph	(CH ₂) ₂	cPr	racemic
III-78	Me	Me	Me	O	Ph	(CH ₂) ₂	cPr	(R)-
III-79	Me	Et	Me	O	Ph	(CH ₂) ₂	cPr	racemic

III-80	Me	Et	Me	O	Ph	(CH ₂) ₂	cPr	(R)-
III-81	Me	Me	Me	O	Ph	(CH ₂) ₂	Ph	racemic
III-82	Me	Me	Me	O	Ph	(CH ₂) ₂	Ph	(R)-
III-83	Me	Et	Me	O	Ph	(CH ₂) ₂	Ph	racemic
III-84	Me	Et	Me	O	Ph	(CH ₂) ₂	Ph	(R)-
III-85	Me	Me	Me	O	Ph	CH ₂ C(Me) ₂	H	racemic
III-86	Me	Me	Me	O	Ph	CH ₂ C(Me) ₂	H	(R)-
III-87	Me	Et	Me	O	Ph	CH ₂ C(Me) ₂	H	racemic
III-88	Me	Et	Me	O	Ph	CH ₂ C(Me) ₂	H	(R)-
III-89	Me	Me	Me	O	Ph	CH ₂ C(Me) ₂	Me	racemic
III-90	Me	Me	Me	O	Ph	CH ₂ C(Me) ₂	Me	(R)-
III-91	Me	Et	Me	O	Ph	CH ₂ C(Me) ₂	Me	racemic
III-92	Me	Et	Me	O	Ph	CH ₂ C(Me) ₂	Me	(R)-
III-93	Me	Me	Me	O	Ph	CH ₂ C(Me) ₂	CHF ₂	racemic
III-94	Me	Me	Me	O	Ph	CH ₂ C(Me) ₂	CHF ₂	(R)-
III-95	Me	Et	Me	O	Ph	CH ₂ C(Me) ₂	CHF ₂	racemic
III-96	Me	Et	Me	O	Ph	CH ₂ C(Me) ₂	CHF ₂	(R)-
III-97	Me	Me	Me	O	Ph	CH ₂ C(Me) ₂	Et	racemic
III-98	Me	Me	Me	O	Ph	CH ₂ C(Me) ₂	Et	(R)-
III-99	Me	Et	Me	O	Ph	CH ₂ C(Me) ₂	Et	racemic
III-100	Me	Et	Me	O	Ph	CH ₂ C(Me) ₂	Et	(R)-

[0053] [Table 38]

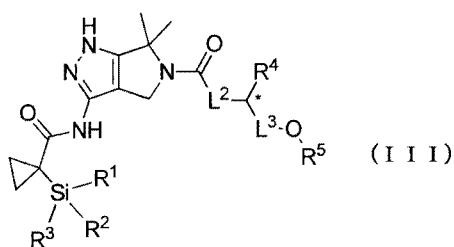


Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁵	Configuration
III-101	Me	Me	Me	O	Ph	CH ₂ C(Me) ₂	iPr	racemic
III-102	Me	Me	Me	O	Ph	CH ₂ C(Me) ₂	iPr	(R)-
III-103	Me	Et	Me	O	Ph	CH ₂ C(Me) ₂	iPr	racemic
III-104	Me	Et	Me	O	Ph	CH ₂ C(Me) ₂	iPr	(R)-
III-105	Me	Me	Me	O	Ph	CH ₂ C(Me) ₂	cPr	racemic

III-106	Me	Me	Me	O	Ph	CH ₂ C(Me) ₂	cPr	(R)-
III-107	Me	Et	Me	O	Ph	CH ₂ C(Me) ₂	cPr	racemic
III-108	Me	Et	Me	O	Ph	CH ₂ C(Me) ₂	cPr	(R)-
III-109	Me	Me	Me	O	Ph	CH ₂ C(Me) ₂	Ph	racemic
III-110	Me	Me	Me	O	Ph	CH ₂ C(Me) ₂	Ph	(R)-
III-111	Me	Et	Me	O	Ph	CH ₂ C(Me) ₂	Ph	racemic
III-112	Me	Et	Me	O	Ph	CH ₂ C(Me) ₂	Ph	(R)-
III-113	Me	Me	Me	NH	Ph	CH ₂	H	racemic
III-114	Me	Me	Me	NH	Ph	CH ₂	H	(S)-
III-115	Me	Et	Me	NH	Ph	CH ₂	H	racemic
III-116	Me	Et	Me	NH	Ph	CH ₂	H	(S)-
III-117	Me	Me	Me	N(Me)	Ph	CH ₂	H	racemic
III-118	Me	Me	Me	N(Me)	Ph	CH ₂	H	(S)-
III-119	Me	Et	Me	N(Me)	Ph	CH ₂	H	racemic
III-120	Me	Et	Me	N(Me)	Ph	CH ₂	H	(S)-
III-121	Me	Me	Me	NH	Ph	CH ₂	Me	racemic
III-122	Me	Me	Me	NH	Ph	CH ₂	Me	(S)-
III-123	Me	Et	Me	NH	Ph	CH ₂	Me	racemic
III-124	Me	Et	Me	NH	Ph	CH ₂	Me	(S)-
III-125	Me	Me	Me	N(Me)	Ph	CH ₂	Me	racemic
III-126	Me	Me	Me	N(Me)	Ph	CH ₂	Me	(S)-
III-127	Me	Et	Me	N(Me)	Ph	CH ₂	Me	racemic
III-128	Me	Et	Me	N(Me)	Ph	CH ₂	Me	(S)-
III-129	Me	Me	Me	NH	Ph	CH ₂	CHF ₂	racemic
III-130	Me	Me	Me	NH	Ph	CH ₂	CHF ₂	(S)-
III-131	Me	Et	Me	NH	Ph	CH ₂	CHF ₂	racemic
III-132	Me	Et	Me	NH	Ph	CH ₂	CHF ₂	(S)-
III-133	Me	Me	Me	N(Me)	Ph	CH ₂	CHF ₂	racemic
III-134	Me	Me	Me	N(Me)	Ph	CH ₂	CHF ₂	(S)-
III-135	Me	Et	Me	N(Me)	Ph	CH ₂	CHF ₂	racemic
III-136	Me	Et	Me	N(Me)	Ph	CH ₂	CHF ₂	(S)-
III-137	Me	Me	Me	NH	Ph	CH ₂	Et	racemic
III-138	Me	Me	Me	NH	Ph	CH ₂	Et	(S)-
III-139	Me	Et	Me	NH	Ph	CH ₂	Et	racemic
III-140	Me	Et	Me	NH	Ph	CH ₂	Et	(S)-
III-141	Me	Me	Me	N(Me)	Ph	CH ₂	Et	racemic
III-142	Me	Me	Me	N(Me)	Ph	CH ₂	Et	(S)-

III-143	Me	Et	Me	N(Me)	Ph	CH ₂	Et	racemic
III-144	Me	Et	Me	N(Me)	Ph	CH ₂	Et	(S)-
III-145	Me	Me	Me	NH	Ph	CH ₂	iPr	racemic
III-146	Me	Me	Me	NH	Ph	CH ₂	iPr	(S)-
III-147	Me	Et	Me	NH	Ph	CH ₂	iPr	racemic
III-148	Me	Et	Me	NH	Ph	CH ₂	iPr	(S)-
III-149	Me	Me	Me	N(Me)	Ph	CH ₂	iPr	racemic
III-150	Me	Me	Me	N(Me)	Ph	CH ₂	iPr	(S)-

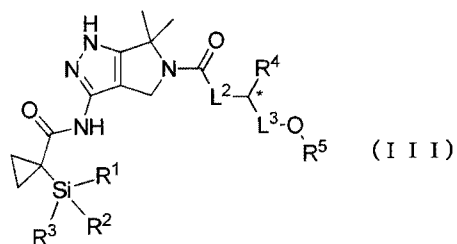
[0054] [Table 39]



Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁵	Configuration
III-151	Me	Et	Me	N(Me)	Ph	CH ₂	iPr	racemic
III-152	Me	Et	Me	N(Me)	Ph	CH ₂	iPr	(S)-
III-153	Me	Me	Me	NH	Ph	CH ₂	cPr	racemic
III-154	Me	Me	Me	NH	Ph	CH ₂	cPr	(S)-
III-155	Me	Et	Me	NH	Ph	CH ₂	cPr	racemic
III-156	Me	Et	Me	NH	Ph	CH ₂	cPr	(S)-
III-157	Me	Me	Me	N(Me)	Ph	CH ₂	cPr	racemic
III-158	Me	Me	Me	N(Me)	Ph	CH ₂	cPr	(S)-
III-159	Me	Et	Me	N(Me)	Ph	CH ₂	cPr	racemic
III-160	Me	Et	Me	N(Me)	Ph	CH ₂	cPr	(S)-
III-161	Me	Me	Me	NH	Ph	CH ₂	Ph	racemic
III-162	Me	Me	Me	NH	Ph	CH ₂	Ph	(S)-
III-163	Me	Et	Me	NH	Ph	CH ₂	Ph	racemic
III-164	Me	Et	Me	NH	Ph	CH ₂	Ph	(S)-
III-165	Me	Me	Me	N(Me)	Ph	CH ₂	Ph	racemic
III-166	Me	Me	Me	N(Me)	Ph	CH ₂	Ph	(S)-
III-167	Me	Et	Me	N(Me)	Ph	CH ₂	Ph	racemic
III-168	Me	Et	Me	N(Me)	Ph	CH ₂	Ph	(S)-

III-169	Me	Me	Me	NH	Ph	C(Me) ₂	H	racemic
III-170	Me	Me	Me	NH	Ph	C(Me) ₂	H	(S)-
III-171	Me	Et	Me	NH	Ph	C(Me) ₂	H	racemic
III-172	Me	Et	Me	NH	Ph	C(Me) ₂	H	(S)-
III-173	Me	Me	Me	N(Me)	Ph	C(Me) ₂	H	racemic
III-174	Me	Me	Me	N(Me)	Ph	C(Me) ₂	H	(S)-
III-175	Me	Et	Me	N(Me)	Ph	C(Me) ₂	H	racemic
III-176	Me	Et	Me	N(Me)	Ph	C(Me) ₂	H	(S)-
III-177	Me	Me	Me	NH	Ph	C(Me) ₂	Me	racemic
III-178	Me	Me	Me	NH	Ph	C(Me) ₂	Me	(S)-
III-179	Me	Et	Me	NH	Ph	C(Me) ₂	Me	racemic
III-180	Me	Et	Me	NH	Ph	C(Me) ₂	Me	(S)-
III-181	Me	Me	Me	N(Me)	Ph	C(Me) ₂	Me	racemic
III-182	Me	Me	Me	N(Me)	Ph	C(Me) ₂	Me	(S)-
III-183	Me	Et	Me	N(Me)	Ph	C(Me) ₂	Me	racemic
III-184	Me	Et	Me	N(Me)	Ph	C(Me) ₂	Me	(S)-
III-185	Me	Me	Me	NH	Ph	C(Me) ₂	CHF ₂	racemic
III-186	Me	Me	Me	NH	Ph	C(Me) ₂	CHF ₂	(S)-
III-187	Me	Et	Me	NH	Ph	C(Me) ₂	CHF ₂	racemic
III-188	Me	Et	Me	NH	Ph	C(Me) ₂	CHF ₂	(S)-
III-189	Me	Me	Me	N(Me)	Ph	C(Me) ₂	CHF ₂	racemic
III-190	Me	Me	Me	N(Me)	Ph	C(Me) ₂	CHF ₂	(S)-
III-191	Me	Et	Me	N(Me)	Ph	C(Me) ₂	CHF ₂	racemic
III-192	Me	Et	Me	N(Me)	Ph	C(Me) ₂	CHF ₂	(S)-
III-193	Me	Me	Me	NH	Ph	C(Me) ₂	Et	racemic
III-194	Me	Me	Me	NH	Ph	C(Me) ₂	Et	(S)-
III-195	Me	Et	Me	NH	Ph	C(Me) ₂	Et	racemic
III-196	Me	Et	Me	NH	Ph	C(Me) ₂	Et	(S)-
III-197	Me	Me	Me	N(Me)	Ph	C(Me) ₂	Et	racemic
III-198	Me	Me	Me	N(Me)	Ph	C(Me) ₂	Et	(S)-
III-199	Me	Et	Me	N(Me)	Ph	C(Me) ₂	Et	racemic
III-200	Me	Et	Me	N(Me)	Ph	C(Me) ₂	Et	(S)-

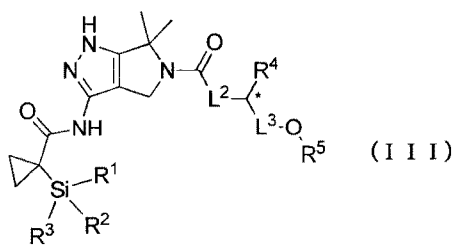
[0055] [Table 40]



Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁵	Configuration
III-201	Me	Me	Me	NH	Ph	C(Me) ₂	iPr	racemic
III-202	Me	Me	Me	NH	Ph	C(Me) ₂	iPr	(S)-
III-203	Me	Et	Me	NH	Ph	C(Me) ₂	iPr	racemic
III-204	Me	Et	Me	NH	Ph	C(Me) ₂	iPr	(S)-
III-205	Me	Me	Me	N(Me)	Ph	C(Me) ₂	iPr	racemic
III-206	Me	Me	Me	N(Me)	Ph	C(Me) ₂	iPr	(S)-
III-207	Me	Et	Me	N(Me)	Ph	C(Me) ₂	iPr	racemic
III-208	Me	Et	Me	N(Me)	Ph	C(Me) ₂	iPr	(S)-
III-209	Me	Me	Me	NH	Ph	C(Me) ₂	cPr	racemic
III-210	Me	Me	Me	NH	Ph	C(Me) ₂	cPr	(S)-
III-211	Me	Et	Me	NH	Ph	C(Me) ₂	cPr	racemic
III-212	Me	Et	Me	NH	Ph	C(Me) ₂	cPr	(S)-
III-213	Me	Me	Me	N(Me)	Ph	C(Me) ₂	cPr	racemic
III-214	Me	Me	Me	N(Me)	Ph	C(Me) ₂	cPr	(S)-
III-215	Me	Et	Me	N(Me)	Ph	C(Me) ₂	cPr	racemic
III-216	Me	Et	Me	N(Me)	Ph	C(Me) ₂	cPr	(S)-
III-217	Me	Me	Me	NH	Ph	C(Me) ₂	Ph	racemic
III-218	Me	Me	Me	NH	Ph	C(Me) ₂	Ph	(S)-
III-219	Me	Et	Me	NH	Ph	C(Me) ₂	Ph	racemic
III-220	Me	Et	Me	NH	Ph	C(Me) ₂	Ph	(S)-
III-221	Me	Me	Me	N(Me)	Ph	C(Me) ₂	Ph	racemic
III-222	Me	Me	Me	N(Me)	Ph	C(Me) ₂	Ph	(S)-
III-223	Me	Et	Me	N(Me)	Ph	C(Me) ₂	Ph	racemic
III-224	Me	Et	Me	N(Me)	Ph	C(Me) ₂	Ph	(S)-
III-225	Me	Me	Me	NH	Ph	(CH ₂) ₂	H	racemic
III-226	Me	Me	Me	NH	Ph	(CH ₂) ₂	H	(R)-
III-227	Me	Et	Me	NH	Ph	(CH ₂) ₂	H	racemic
III-228	Me	Et	Me	NH	Ph	(CH ₂) ₂	H	(R)-
III-229	Me	Me	Me	N(Me)	Ph	(CH ₂) ₂	H	racemic

III-230	Me	Me	Me	N(Me)	Ph	(CH ₂) ₂	H	(R)-
III-231	Me	Et	Me	N(Me)	Ph	(CH ₂) ₂	H	racemic
III-232	Me	Et	Me	N(Me)	Ph	(CH ₂) ₂	H	(R)-
III-233	Me	Me	Me	NH	Ph	(CH ₂) ₂	Me	racemic
III-234	Me	Me	Me	NH	Ph	(CH ₂) ₂	Me	(R)-
III-235	Me	Et	Me	NH	Ph	(CH ₂) ₂	Me	racemic
III-236	Me	Et	Me	NH	Ph	(CH ₂) ₂	Me	(R)-
III-237	Me	Me	Me	N(Me)	Ph	(CH ₂) ₂	Me	racemic
III-238	Me	Me	Me	N(Me)	Ph	(CH ₂) ₂	Me	(R)-
III-239	Me	Et	Me	N(Me)	Ph	(CH ₂) ₂	Me	racemic
III-240	Me	Et	Me	N(Me)	Ph	(CH ₂) ₂	Me	(R)-
III-241	Me	Me	Me	NH	Ph	(CH ₂) ₂	CHF ₂	racemic
III-242	Me	Me	Me	NH	Ph	(CH ₂) ₂	CHF ₂	(R)-
III-243	Me	Et	Me	NH	Ph	(CH ₂) ₂	CHF ₂	racemic
III-244	Me	Et	Me	NH	Ph	(CH ₂) ₂	CHF ₂	(R)-
III-245	Me	Me	Me	N(Me)	Ph	(CH ₂) ₂	CHF ₂	racemic
III-246	Me	Me	Me	N(Me)	Ph	(CH ₂) ₂	CHF ₂	(R)-
III-247	Me	Et	Me	N(Me)	Ph	(CH ₂) ₂	CHF ₂	racemic
III-248	Me	Et	Me	N(Me)	Ph	(CH ₂) ₂	CHF ₂	(R)-
III-249	Me	Me	Me	NH	Ph	(CH ₂) ₂	Et	racemic
III-250	Me	Me	Me	NH	Ph	(CH ₂) ₂	Et	(R)-

[0056] [Table 41]



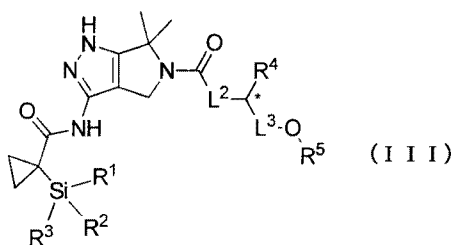
Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁵	Configuration
III-251	Me	Et	Me	NH	Ph	(CH ₂) ₂	Et	racemic
III-252	Me	Et	Me	NH	Ph	(CH ₂) ₂	Et	(R)-
III-253	Me	Me	Me	N(Me)	Ph	(CH ₂) ₂	Et	racemic
III-254	Me	Me	Me	N(Me)	Ph	(CH ₂) ₂	Et	(R)-
III-255	Me	Et	Me	N(Me)	Ph	(CH ₂) ₂	Et	racemic

III-256	Me	Et	Me	N(Me)	Ph	(CH ₂) ₂	Et	(R)-
III-257	Me	Me	Me	NH	Ph	(CH ₂) ₂	iPr	racemic
III-258	Me	Me	Me	NH	Ph	(CH ₂) ₂	iPr	(R)-
III-259	Me	Et	Me	NH	Ph	(CH ₂) ₂	iPr	racemic
III-260	Me	Et	Me	NH	Ph	(CH ₂) ₂	iPr	(R)-
III-261	Me	Me	Me	N(Me)	Ph	(CH ₂) ₂	iPr	racemic
III-262	Me	Me	Me	N(Me)	Ph	(CH ₂) ₂	iPr	(R)-
III-263	Me	Et	Me	N(Me)	Ph	(CH ₂) ₂	iPr	racemic
III-264	Me	Et	Me	N(Me)	Ph	(CH ₂) ₂	iPr	(R)-
III-265	Me	Me	Me	NH	Ph	(CH ₂) ₂	cPr	racemic
III-266	Me	Me	Me	NH	Ph	(CH ₂) ₂	cPr	(R)-
III-267	Me	Et	Me	NH	Ph	(CH ₂) ₂	cPr	racemic
III-268	Me	Et	Me	NH	Ph	(CH ₂) ₂	cPr	(R)-
III-269	Me	Me	Me	N(Me)	Ph	(CH ₂) ₂	cPr	racemic
III-270	Me	Me	Me	N(Me)	Ph	(CH ₂) ₂	cPr	(R)-
III-271	Me	Et	Me	N(Me)	Ph	(CH ₂) ₂	cPr	racemic
III-272	Me	Et	Me	N(Me)	Ph	(CH ₂) ₂	cPr	(R)-
III-273	Me	Me	Me	NH	Ph	(CH ₂) ₂	Ph	racemic
III-274	Me	Me	Me	NH	Ph	(CH ₂) ₂	Ph	(R)-
III-275	Me	Et	Me	NH	Ph	(CH ₂) ₂	Ph	racemic
III-276	Me	Et	Me	NH	Ph	(CH ₂) ₂	Ph	(R)-
III-277	Me	Me	Me	N(Me)	Ph	(CH ₂) ₂	Ph	racemic
III-278	Me	Me	Me	N(Me)	Ph	(CH ₂) ₂	Ph	(R)-
III-279	Me	Et	Me	N(Me)	Ph	(CH ₂) ₂	Ph	racemic
III-280	Me	Et	Me	N(Me)	Ph	(CH ₂) ₂	Ph	(R)-
III-281	Me	Me	Me	NH	Ph	(CH ₂) ₃	H	racemic
III-282	Me	Me	Me	NH	Ph	(CH ₂) ₃	H	(R)-
III-283	Me	Et	Me	NH	Ph	(CH ₂) ₃	H	racemic
III-284	Me	Et	Me	NH	Ph	(CH ₂) ₃	H	(R)-
III-285	Me	Me	Me	N(Me)	Ph	(CH ₂) ₃	H	racemic
III-286	Me	Me	Me	N(Me)	Ph	(CH ₂) ₃	H	(R)-
III-287	Me	Et	Me	N(Me)	Ph	(CH ₂) ₃	H	racemic
III-288	Me	Et	Me	N(Me)	Ph	(CH ₂) ₃	H	(R)-
III-289	Me	Me	Me	NH	Ph	(CH ₂) ₄	H	racemic
III-290	Me	Me	Me	NH	Ph	(CH ₂) ₄	H	(R)-
III-291	Me	Et	Me	NH	Ph	(CH ₂) ₄	H	racemic
III-292	Me	Et	Me	NH	Ph	(CH ₂) ₄	H	(R)-

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III-293	Me	Me	Me	N(Me)	Ph	(CH ₂) ₄	H	racemic
III-294	Me	Me	Me	N(Me)	Ph	(CH ₂) ₄	H	(R)-
III-295	Me	Et	Me	N(Me)	Ph	(CH ₂) ₄	H	racemic
III-296	Me	Et	Me	N(Me)	Ph	(CH ₂) ₄	H	(R)-
III-297	Me	Me	Me	NH	Ph	CH ₂ C(Me) ₂	H	racemic
III-298	Me	Me	Me	NH	Ph	CH ₂ C(Me) ₂	H	(R)-
III-299	Me	Et	Me	NH	Ph	CH ₂ C(Me) ₂	H	racemic
III-300	Me	Et	Me	NH	Ph	CH ₂ C(Me) ₂	H	(R)-

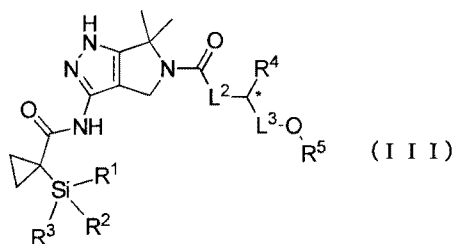
[0057] [Table 42]



Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁵	Configuration
III-301	Me	Me	Me	N(Me)	Ph	CH ₂ C(Me) ₂	H	racemic
III-302	Me	Me	Me	N(Me)	Ph	CH ₂ C(Me) ₂	H	(R)-
III-303	Me	Et	Me	N(Me)	Ph	CH ₂ C(Me) ₂	H	racemic
III-304	Me	Et	Me	N(Me)	Ph	CH ₂ C(Me) ₂	H	(R)-
III-305	Me	Me	Me	NH	Ph	CH ₂ C(Me) ₂	Me	racemic
III-306	Me	Me	Me	NH	Ph	CH ₂ C(Me) ₂	Me	(R)-
III-307	Me	Et	Me	NH	Ph	CH ₂ C(Me) ₂	Me	racemic
III-308	Me	Et	Me	NH	Ph	CH ₂ C(Me) ₂	Me	(R)-
III-309	Me	Me	Me	N(Me)	Ph	CH ₂ C(Me) ₂	Me	racemic
III-310	Me	Me	Me	N(Me)	Ph	CH ₂ C(Me) ₂	Me	(R)-
III-311	Me	Et	Me	N(Me)	Ph	CH ₂ C(Me) ₂	Me	racemic
III-312	Me	Et	Me	N(Me)	Ph	CH ₂ C(Me) ₂	Me	(R)-
III-313	Me	Me	Me	NH	Ph	CH ₂ C(Me) ₂	CHF ₂	racemic
III-314	Me	Me	Me	NH	Ph	CH ₂ C(Me) ₂	CHF ₂	(R)-
III-315	Me	Et	Me	NH	Ph	CH ₂ C(Me) ₂	CHF ₂	racemic
III-316	Me	Et	Me	NH	Ph	CH ₂ C(Me) ₂	CHF ₂	(R)-
III-317	Me	Me	Me	N(Me)	Ph	CH ₂ C(Me) ₂	CHF ₂	racemic
III-318	Me	Me	Me	N(Me)	Ph	CH ₂ C(Me) ₂	CHF ₂	(R)-

III-319	Me	Et	Me	N(Me)	Ph	CH ₂ C(Me) ₂	CHF ₂	racemic
III-320	Me	Et	Me	N(Me)	Ph	CH ₂ C(Me) ₂	CHF ₂	(R)-
III-321	Me	Me	Me	NH	Ph	CH ₂ C(Me) ₂	Et	racemic
III-322	Me	Me	Me	NH	Ph	CH ₂ C(Me) ₂	Et	(R)-
III-323	Me	Et	Me	NH	Ph	CH ₂ C(Me) ₂	Et	racemic
III-324	Me	Et	Me	NH	Ph	CH ₂ C(Me) ₂	Et	(R)-
III-325	Me	Me	Me	N(Me)	Ph	CH ₂ C(Me) ₂	Et	racemic
III-326	Me	Me	Me	N(Me)	Ph	CH ₂ C(Me) ₂	Et	(R)-
III-327	Me	Et	Me	N(Me)	Ph	CH ₂ C(Me) ₂	Et	racemic
III-328	Me	Et	Me	N(Me)	Ph	CH ₂ C(Me) ₂	Et	(R)-
III-329	Me	Me	Me	NH	Ph	CH ₂ C(Me) ₂	iPr	racemic
III-330	Me	Me	Me	NH	Ph	CH ₂ C(Me) ₂	iPr	(R)-
III-331	Me	Et	Me	NH	Ph	CH ₂ C(Me) ₂	iPr	racemic
III-332	Me	Et	Me	NH	Ph	CH ₂ C(Me) ₂	iPr	(R)-
III-333	Me	Me	Me	N(Me)	Ph	CH ₂ C(Me) ₂	iPr	racemic
III-334	Me	Me	Me	N(Me)	Ph	CH ₂ C(Me) ₂	iPr	(R)-
III-335	Me	Et	Me	N(Me)	Ph	CH ₂ C(Me) ₂	iPr	racemic
III-336	Me	Et	Me	N(Me)	Ph	CH ₂ C(Me) ₂	iPr	(R)-
III-337	Me	Me	Me	NH	Ph	CH ₂ C(Me) ₂	cPr	racemic
III-338	Me	Me	Me	NH	Ph	CH ₂ C(Me) ₂	cPr	(R)-
III-339	Me	Et	Me	NH	Ph	CH ₂ C(Me) ₂	cPr	racemic
III-340	Me	Et	Me	NH	Ph	CH ₂ C(Me) ₂	cPr	(R)-
III-341	Me	Me	Me	N(Me)	Ph	CH ₂ C(Me) ₂	cPr	racemic
III-342	Me	Me	Me	N(Me)	Ph	CH ₂ C(Me) ₂	cPr	(R)-
III-343	Me	Et	Me	N(Me)	Ph	CH ₂ C(Me) ₂	cPr	racemic
III-344	Me	Et	Me	N(Me)	Ph	CH ₂ C(Me) ₂	cPr	(R)-
III-345	Me	Me	Me	NH	Ph	CH ₂ C(Me) ₂	Ph	racemic
III-346	Me	Me	Me	NH	Ph	CH ₂ C(Me) ₂	Ph	(R)-
III-347	Me	Et	Me	NH	Ph	CH ₂ C(Me) ₂	Ph	racemic
III-348	Me	Et	Me	NH	Ph	CH ₂ C(Me) ₂	Ph	(R)-
III-349	Me	Me	Me	N(Me)	Ph	CH ₂ C(Me) ₂	Ph	racemic
III-350	Me	Me	Me	N(Me)	Ph	CH ₂ C(Me) ₂	Ph	(R)-

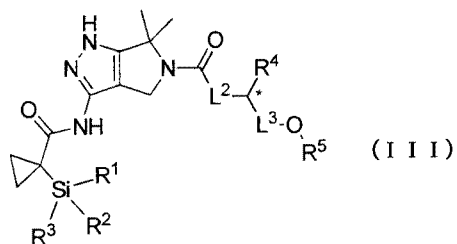
[0058] [Table 43]



Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁵	Configuration
III-351	Me	Et	Me	N(Me)	Ph	CH ₂ C(Me) ₂	Ph	racemic
III-352	Me	Et	Me	N(Me)	Ph	CH ₂ C(Me) ₂	Ph	(R)-
III-353	Me	Me	Me	NH	Ph	C(Me) ₂ CH ₂	H	racemic
III-354	Me	Me	Me	NH	Ph	C(Me) ₂ CH ₂	H	(S)-
III-355	Me	Et	Me	NH	Ph	C(Me) ₂ CH ₂	H	racemic
III-356	Me	Et	Me	NH	Ph	C(Me) ₂ CH ₂	H	(S)-
III-357	Me	Me	Me	N(Me)	Ph	C(Me) ₂ CH ₂	H	racemic
III-358	Me	Me	Me	N(Me)	Ph	C(Me) ₂ CH ₂	H	(S)-
III-359	Me	Et	Me	N(Me)	Ph	C(Me) ₂ CH ₂	H	racemic
III-360	Me	Et	Me	N(Me)	Ph	C(Me) ₂ CH ₂	H	(S)-
III-361	Me	Me	Me	NH	Ph	CF ₂ CH ₂	H	racemic
III-362	Me	Me	Me	NH	Ph	CF ₂ CH ₂	H	(S)-
III-363	Me	Et	Me	NH	Ph	CF ₂ CH ₂	H	racemic
III-364	Me	Et	Me	NH	Ph	CF ₂ CH ₂	H	(S)-
III-365	Me	Me	Me	N(Me)	Ph	CF ₂ CH ₂	H	racemic
III-366	Me	Me	Me	N(Me)	Ph	CF ₂ CH ₂	H	(S)-
III-367	Me	Et	Me	N(Me)	Ph	CF ₂ CH ₂	H	racemic
III-368	Me	Et	Me	N(Me)	Ph	CF ₂ CH ₂	H	(S)-
III-369	Me	Me	Me	NH	Ph	CH=CHCH ₂	H	racemic
III-370	Me	Me	Me	NH	Ph	CH=CHCH ₂	H	(S)-
III-371	Me	Et	Me	NH	Ph	CH=CHCH ₂	H	racemic
III-372	Me	Et	Me	NH	Ph	CH=CHCH ₂	H	(S)-
III-373	Me	Me	Me	N(Me)	Ph	CH=CHCH ₂	H	racemic
III-374	Me	Me	Me	N(Me)	Ph	CH=CHCH ₂	H	(S)-
III-375	Me	Et	Me	N(Me)	Ph	CH=CHCH ₂	H	racemic
III-376	Me	Et	Me	N(Me)	Ph	CH=CHCH ₂	H	(S)-
III-377	Me	Me	Me	NH	Ph	C≡CCH ₂	H	racemic
III-378	Me	Me	Me	NH	Ph	C≡CCH ₂	H	(S)-
III-379	Me	Et	Me	NH	Ph	C≡CCH ₂	H	racemic

III-380	Me	Et	Me	NH	Ph	C≡CCH ₂	H	(S)-
III-381	Me	Me	Me	N(Me)	Ph	C≡CCH ₂	H	racemic
III-382	Me	Me	Me	N(Me)	Ph	C≡CCH ₂	H	(S)-
III-383	Me	Et	Me	N(Me)	Ph	C≡CCH ₂	H	racemic
III-384	Me	Et	Me	N(Me)	Ph	C≡CCH ₂	H	(S)-
III-385	Me	Me	Me	NH	Ph	1,1-Cyclopropylene	H	racemic
III-386	Me	Me	Me	NH	Ph	1,1-Cyclopropylene	H	(S)-
III-387	Me	Et	Me	NH	Ph	1,1-Cyclopropylene	H	racemic
III-388	Me	Et	Me	NH	Ph	1,1-Cyclopropylene	H	(S)-
III-389	Me	Me	Me	N(Me)	Ph	1,1-Cyclopropylene	H	racemic
III-390	Me	Me	Me	N(Me)	Ph	1,1-Cyclopropylene	H	(S)-
III-391	Me	Et	Me	N(Me)	Ph	1,1-Cyclopropylene	H	racemic
III-392	Me	Et	Me	N(Me)	Ph	1,1-Cyclopropylene	H	(S)-
III-393	Me	Me	Me	NH	Ph	1,2-Cyclopropylene	H	racemic
III-394	Me	Me	Me	NH	Ph	1,2-Cyclopropylene	H	(S)-
III-395	Me	Et	Me	NH	Ph	1,2-Cyclopropylene	H	racemic
III-396	Me	Et	Me	NH	Ph	1,2-Cyclopropylene	H	(S)-
III-397	Me	Me	Me	N(Me)	Ph	1,2-Cyclopropylene	H	racemic
III-398	Me	Me	Me	N(Me)	Ph	1,2-Cyclopropylene	H	(S)-
III-399	Me	Et	Me	N(Me)	Ph	1,2-Cyclopropylene	H	racemic
III-400	Me	Et	Me	N(Me)	Ph	1,2-Cyclopropylene	H	(S)-

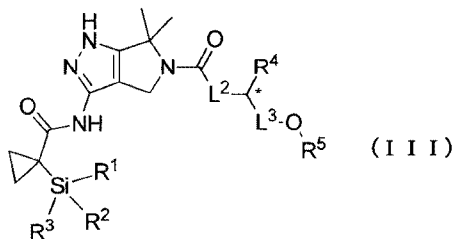
[0059] [Table 44]



Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁵	Configuration
III-401	Me	Me	Me	NH	Ph	C(=O)	H	racemic
III-402	Me	Me	Me	NH	Ph	C(=O)	H	(S)-
III-403	Me	Et	Me	NH	Ph	C(=O)	H	racemic
III-404	Me	Et	Me	NH	Ph	C(=O)	H	(S)-
III-405	Me	Me	Me	N(Me)	Ph	C(=O)	H	racemic
III-406	Me	Me	Me	N(Me)	Ph	C(=O)	H	(S)-
III-407	Me	Et	Me	N(Me)	Ph	C(=O)	H	racemic
III-408	Me	Et	Me	N(Me)	Ph	C(=O)	H	(S)-
III-409	Me	Me	Me	NH	Ph	C(=O)	Bn	racemic
III-410	Me	Me	Me	NH	Ph	C(=O)	Bn	(S)-
III-411	Me	Et	Me	NH	Ph	C(=O)	Bn	racemic
III-412	Me	Et	Me	NH	Ph	C(=O)	Bn	(S)-
III-413	Me	Me	Me	N(Me)	Ph	C(=O)	Bn	racemic
III-414	Me	Me	Me	N(Me)	Ph	C(=O)	Bn	(S)-
III-415	Me	Et	Me	N(Me)	Ph	C(=O)	Bn	racemic
III-416	Me	Et	Me	N(Me)	Ph	C(=O)	Bn	(S)-
III-417	Me	Me	Me	NH	Ph	C(=O)	Me	racemic
III-418	Me	Me	Me	NH	Ph	C(=O)	Me	(S)-
III-419	Me	Et	Me	NH	Ph	C(=O)	Me	racemic
III-420	Me	Et	Me	NH	Ph	C(=O)	Me	(S)-
III-421	Me	Me	Me	N(Me)	Ph	C(=O)	Me	racemic
III-422	Me	Me	Me	N(Me)	Ph	C(=O)	Me	(S)-
III-423	Me	Et	Me	N(Me)	Ph	C(=O)	Me	racemic
III-424	Me	Et	Me	N(Me)	Ph	C(=O)	Me	(S)-
III-425	Me	Me	Me	NH	H	CH ₂	H	
III-426	Me	Et	Me	NH	H	CH ₂	H	
III-427	Me	Me	Me	N(Me)	H	CH ₂	H	
III-428	Me	Et	Me	N(Me)	H	CH ₂	H	

III-429	Me	Me	Me	NH	H	CH(Me)	H	
III-430	Me	Et	Me	NH	H	CH(Me)	H	
III-431	Me	Me	Me	N(Me)	H	CH(Me)	H	
III-432	Me	Et	Me	N(Me)	H	CH(Me)	H	
III-433	Me	Me	Me	NH	H	CH(iPr)	H	
III-434	Me	Et	Me	NH	H	CH(iPr)	H	
III-435	Me	Me	Me	N(Me)	H	CH(iPr)	H	
III-436	Me	Et	Me	N(Me)	H	CH(iPr)	H	
III-437	Me	Me	Me	NH	H	CH(Ph)	H	
III-438	Me	Et	Me	NH	H	CH(Ph)	H	
III-439	Me	Me	Me	N(Me)	H	CH(Ph)	H	
III-440	Me	Et	Me	N(Me)	H	CH(Ph)	H	
III-441	Me	Me	Me	NH	Me	CH ₂	H	racemic
III-442	Me	Me	Me	NH	Me	CH ₂	H	(S)-
III-443	Me	Et	Me	NH	Me	CH ₂	H	racemic
III-444	Me	Et	Me	NH	Me	CH ₂	H	(S)-
III-445	Me	Me	Me	N(Me)	Me	CH ₂	H	racemic
III-446	Me	Me	Me	N(Me)	Me	CH ₂	H	(S)-
III-447	Me	Et	Me	N(Me)	Me	CH ₂	H	racemic
III-448	Me	Et	Me	N(Me)	Me	CH ₂	H	(S)-
III-449	Me	Me	Me	NH	iPr	CH ₂	H	racemic
III-450	Me	Me	Me	NH	iPr	CH ₂	H	(S)-

[0060] [Table 45]

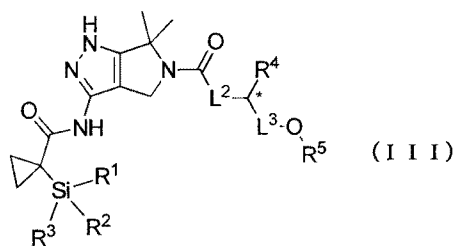


Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁵	Configuration
III-451	Me	Et	Me	NH	iPr	CH ₂	H	racemic
III-452	Me	Et	Me	NH	iPr	CH ₂	H	(S)-
III-453	Me	Me	Me	N(Me)	iPr	CH ₂	H	racemic
III-454	Me	Me	Me	N(Me)	iPr	CH ₂	H	(S)-

III-455	Me	Et	Me	N(Me)	iPr	CH ₂	H	racemic
III-456	Me	Et	Me	N(Me)	iPr	CH ₂	H	(S)-
III-457	Me	Me	Me	NH	cHex	CH ₂	H	racemic
III-458	Me	Me	Me	NH	cHex	CH ₂	H	(S)-
III-459	Me	Et	Me	NH	cHex	CH ₂	H	racemic
III-460	Me	Et	Me	NH	cHex	CH ₂	H	(S)-
III-461	Me	Me	Me	N(Me)	cHex	CH ₂	H	racemic
III-462	Me	Me	Me	N(Me)	cHex	CH ₂	H	(S)-
III-463	Me	Et	Me	N(Me)	cHex	CH ₂	H	racemic
III-464	Me	Et	Me	N(Me)	cHex	CH ₂	H	(S)-
III-465	Me	Me	Me	NH	1,3-Benzodioxol-4-yl	CH ₂	H	racemic
III-466	Me	Me	Me	NH	1,3-Benzodioxol-4-yl	CH ₂	H	(S)-
III-467	Me	Et	Me	NH	1,3-Benzodioxol-4-yl	CH ₂	H	racemic
III-468	Me	Et	Me	NH	1,3-Benzodioxol-4-yl	CH ₂	H	(S)-
III-469	Me	Me	Me	N(Me)	1,3-Benzodioxol-4-yl	CH ₂	H	racemic
III-470	Me	Me	Me	N(Me)	1,3-Benzodioxol-4-yl	CH ₂	H	(S)-
III-471	Me	Et	Me	N(Me)	1,3-Benzodioxol-4-yl	CH ₂	H	racemic
III-472	Me	Et	Me	N(Me)	1,3-Benzodioxol-4-yl	CH ₂	H	(S)-
III-473	Me	Me	Me	NH	2-F-Ph	CH ₂	H	racemic
III-474	Me	Me	Me	NH	2-F-Ph	CH ₂	H	(S)-
III-475	Me	Et	Me	NH	2-F-Ph	CH ₂	H	racemic
III-476	Me	Et	Me	NH	2-F-Ph	CH ₂	H	(S)-
III-477	Me	Me	Me	N(Me)	2-F-Ph	CH ₂	H	racemic
III-478	Me	Me	Me	N(Me)	2-F-Ph	CH ₂	H	(S)-
III-479	Me	Et	Me	N(Me)	2-F-Ph	CH ₂	H	racemic
III-480	Me	Et	Me	N(Me)	2-F-Ph	CH ₂	H	(S)-
III-481	Me	Me	Me	NH	3-F-Ph	CH ₂	H	racemic
III-482	Me	Me	Me	NH	3-F-Ph	CH ₂	H	(S)-
III-483	Me	Et	Me	NH	3-F-Ph	CH ₂	H	racemic
III-484	Me	Et	Me	NH	3-F-Ph	CH ₂	H	(S)-
III-485	Me	Me	Me	N(Me)	3-F-Ph	CH ₂	H	racemic
III-486	Me	Me	Me	N(Me)	3-F-Ph	CH ₂	H	(S)-
III-487	Me	Et	Me	N(Me)	3-F-Ph	CH ₂	H	racemic

III-488	Me	Et	Me	N(Me)	3-F-Ph	CH ₂	H	(S)-
III-489	Me	Me	Me	NH	4-F-Ph	CH ₂	H	racemic
III-490	Me	Me	Me	NH	4-F-Ph	CH ₂	H	(S)-
III-491	Me	Et	Me	NH	4-F-Ph	CH ₂	H	racemic
III-492	Me	Et	Me	NH	4-F-Ph	CH ₂	H	(S)-
III-493	Me	Me	Me	N(Me)	4-F-Ph	CH ₂	H	racemic
III-494	Me	Me	Me	N(Me)	4-F-Ph	CH ₂	H	(S)-
III-495	Me	Et	Me	N(Me)	4-F-Ph	CH ₂	H	racemic
III-496	Me	Et	Me	N(Me)	4-F-Ph	CH ₂	H	(S)-
III-497	Me	Me	Me	NH	2-Py	CH ₂	H	racemic
III-498	Me	Me	Me	NH	2-Py	CH ₂	H	(S)-
III-499	Me	Et	Me	NH	2-Py	CH ₂	H	racemic
III-500	Me	Et	Me	NH	2-Py	CH ₂	H	(S)-

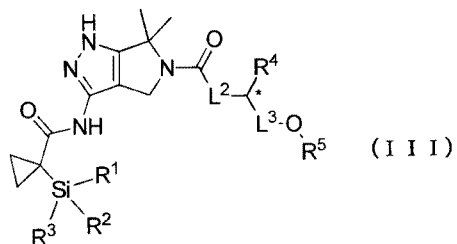
[0061] [Table 46]



Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁵	Configuration
III-501	Me	Me	Me	N(Me)	2-Py	CH ₂	H	racemic
III-502	Me	Me	Me	N(Me)	2-Py	CH ₂	H	(S)-
III-503	Me	Et	Me	N(Me)	2-Py	CH ₂	H	racemic
III-504	Me	Et	Me	N(Me)	2-Py	CH ₂	H	(S)-
III-505	Me	Me	Me	NH	3-Py	CH ₂	H	racemic
III-506	Me	Me	Me	NH	3-Py	CH ₂	H	(S)-
III-507	Me	Et	Me	NH	3-Py	CH ₂	H	racemic
III-508	Me	Et	Me	NH	3-Py	CH ₂	H	(S)-
III-509	Me	Me	Me	N(Me)	3-Py	CH ₂	H	racemic
III-510	Me	Me	Me	N(Me)	3-Py	CH ₂	H	(S)-
III-511	Me	Et	Me	N(Me)	3-Py	CH ₂	H	racemic
III-512	Me	Et	Me	N(Me)	3-Py	CH ₂	H	(S)-
III-513	Me	Me	Me	NH	4-Py	CH ₂	H	racemic

III-514	Me	Me	Me	NH	4-Py	CH ₂	H	(S)-
III-515	Me	Et	Me	NH	4-Py	CH ₂	H	racemic
III-516	Me	Et	Me	NH	4-Py	CH ₂	H	(S)-
III-517	Me	Me	Me	N(Me)	4-Py	CH ₂	H	racemic
III-518	Me	Me	Me	N(Me)	4-Py	CH ₂	H	(S)-
III-519	Me	Et	Me	N(Me)	4-Py	CH ₂	H	racemic
III-520	Me	Et	Me	N(Me)	4-Py	CH ₂	H	(S)-
III-521	Me	Me	Me	CH ₂	Ph	CH ₂	H	racemic
III-522	Me	Me	Me	CH ₂	Ph	CH ₂	H	(S)-
III-523	Me	Et	Me	CH ₂	Ph	CH ₂	H	racemic
III-524	Me	Et	Me	CH ₂	Ph	CH ₂	H	(S)-
III-525	Me	Me	Me	CH ₂	Ph	-	H	racemic
III-526	Me	Me	Me	CH ₂	Ph	-	H	(S)-
III-527	Me	Et	Me	CH ₂	Ph	-	H	racemic
III-528	Me	Et	Me	CH ₂	Ph	-	H	(S)-
III-529	Me	Me	Me	CH ₂	Ph	CH ₂	Me	racemic
III-530	Me	Me	Me	CH ₂	Ph	CH ₂	Me	(S)-
III-531	Me	Et	Me	CH ₂	Ph	CH ₂	Me	racemic
III-532	Me	Et	Me	CH ₂	Ph	CH ₂	Me	(S)-
III-533	Me	Me	Me	CH ₂	Ph	CH ₂	CHF ₂	racemic
III-534	Me	Me	Me	CH ₂	Ph	CH ₂	CHF ₂	(S)-
III-535	Me	Et	Me	CH ₂	Ph	CH ₂	CHF ₂	racemic
III-536	Me	Et	Me	CH ₂	Ph	CH ₂	CHF ₂	(S)-
III-537	Me	Me	Me	CH ₂	Ph	CH ₂	Et	racemic
III-538	Me	Me	Me	CH ₂	Ph	CH ₂	Et	(S)-
III-539	Me	Et	Me	CH ₂	Ph	CH ₂	Et	racemic
III-540	Me	Et	Me	CH ₂	Ph	CH ₂	Et	(S)-
III-541	Me	Me	Me	CH ₂	Ph	CH ₂	cPr	racemic
III-542	Me	Me	Me	CH ₂	Ph	CH ₂	cPr	(S)-
III-543	Me	Me	Me	CH ₂	Ph	CH ₂	Ph	racemic
III-544	Me	Me	Me	CH ₂	Ph	CH ₂	Ph	(S)-
III-545	Me	Me	Me	CH ₂	Ph	C(Me) ₂	H	racemic
III-546	Me	Me	Me	CH ₂	Ph	C(Me) ₂	H	(S)-
III-547	Me	Et	Me	CH ₂	Ph	C(Me) ₂	H	racemic
III-548	Me	Et	Me	CH ₂	Ph	C(Me) ₂	H	(S)-
III-549	Me	Me	Me	CH ₂	Ph	C(Me) ₂	Me	racemic
III-550	Me	Me	Me	CH ₂	Ph	C(Me) ₂	Me	(S)-

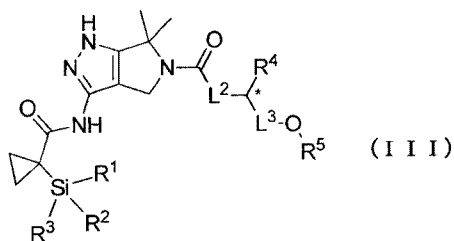
[0062] [Table 47]



Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁵	Configuration
III-551	Me	Et	Me	CH ₂	Ph	C(Me) ₂	Me	racemic
III-552	Me	Et	Me	CH ₂	Ph	C(Me) ₂	Me	(S)-
III-553	Me	Me	Me	CH ₂	Ph	C(Me) ₂	CHF ₂	racemic
III-554	Me	Me	Me	CH ₂	Ph	C(Me) ₂	CHF ₂	(S)-
III-555	Me	Me	Me	CH ₂	Ph	C(Me) ₂	Et	racemic
III-556	Me	Me	Me	CH ₂	Ph	C(Me) ₂	Et	(S)-
III-557	Me	Me	Me	CH ₂	Ph	C(Me) ₂	cPr	racemic
III-558	Me	Me	Me	CH ₂	Ph	C(Me) ₂	cPr	(S)-
III-559	Me	Me	Me	CH ₂	Ph	C(Me) ₂	Ph	racemic
III-560	Me	Me	Me	CH ₂	Ph	C(Me) ₂	Ph	(S)-
III-561	Me	Me	Me	CH ₂	Ph	(CH ₂) ₂	H	racemic
III-562	Me	Me	Me	CH ₂	Ph	(CH ₂) ₂	H	(S)-
III-563	Me	Et	Me	CH ₂	Ph	(CH ₂) ₂	H	racemic
III-564	Me	Et	Me	CH ₂	Ph	(CH ₂) ₂	H	(S)-
III-565	Me	Me	Me	CH ₂	Ph	(CH ₂) ₂	Me	racemic
III-566	Me	Me	Me	CH ₂	Ph	(CH ₂) ₂	Me	(S)-
III-567	Me	Me	Me	CH ₂	Ph	(CH ₂) ₂	CHF ₂	racemic
III-568	Me	Me	Me	CH ₂	Ph	(CH ₂) ₂	CHF ₂	(S)-
III-569	Me	Me	Me	CH ₂	Ph	(CH ₂) ₂	Et	racemic
III-570	Me	Me	Me	CH ₂	Ph	(CH ₂) ₂	Et	(S)-
III-571	Me	Me	Me	CH ₂	Ph	(CH ₂) ₂	cPr	racemic
III-572	Me	Me	Me	CH ₂	Ph	(CH ₂) ₂	cPr	(S)-
III-573	Me	Me	Me	CH ₂	Ph	(CH ₂) ₂	Ph	racemic
III-574	Me	Me	Me	CH ₂	Ph	(CH ₂) ₂	Ph	(S)-
III-575	Me	Me	Me	CH ₂	Ph	CH ₂ C(Me) ₂	H	racemic
III-576	Me	Me	Me	CH ₂	Ph	CH ₂ C(Me) ₂	H	(S)-

III-577	Me	Et	Me	CH ₂	Ph	CH ₂ C(Me) ₂	H	racemic
III-578	Me	Et	Me	CH ₂	Ph	CH ₂ C(Me) ₂	H	(S)-
III-579	Me	Me	Me	CH ₂	Ph	CH ₂ C(Me) ₂	Me	racemic
III-580	Me	Me	Me	CH ₂	Ph	CH ₂ C(Me) ₂	Me	(S)-
III-581	Me	Et	Me	CH ₂	Ph	CH ₂ C(Me) ₂	Me	racemic
III-582	Me	Et	Me	CH ₂	Ph	CH ₂ C(Me) ₂	Me	(S)-
III-583	Me	Me	Me	CH ₂	Ph	CH ₂ C(Me) ₂	CHF ₂	racemic
III-584	Me	Me	Me	CH ₂	Ph	CH ₂ C(Me) ₂	CHF ₂	(S)-
III-585	Me	Me	Me	CH ₂	Ph	CH ₂ C(Me) ₂	Et	racemic
III-586	Me	Me	Me	CH ₂	Ph	CH ₂ C(Me) ₂	Et	(S)-
III-587	Me	Me	Me	CH ₂	Ph	CH ₂ C(Me) ₂	cPr	racemic
III-588	Me	Me	Me	CH ₂	Ph	CH ₂ C(Me) ₂	cPr	(S)-
III-589	Me	Me	Me	CH ₂	Ph	CH ₂ C(Me) ₂	Ph	racemic
III-590	Me	Me	Me	CH ₂	Ph	CH ₂ C(Me) ₂	Ph	(S)-
III-591	Me	Me	Me	-	Ph	CH ₂	H	racemic
III-592	Me	Me	Me	-	Ph	CH ₂	H	(S)-
III-593	Me	Me	Me	-	Ph	CH ₂	Me	racemic
III-594	Me	Me	Me	-	Ph	CH ₂	Me	(S)-
III-595	Me	Me	Me	CH=CH	Ph	CH ₂	H	racemic
III-596	Me	Me	Me	CH=CH	Ph	CH ₂	H	(S)-
III-597	Me	Me	Me	CH=CH	Ph	CH ₂	Me	racemic
III-598	Me	Me	Me	CH=CH	Ph	CH ₂	Me	(S)-
III-599	Me	Me	Me	C≡C	Ph	CH ₂	H	racemic
III-600	Me	Me	Me	C≡C	Ph	CH ₂	H	(S)-

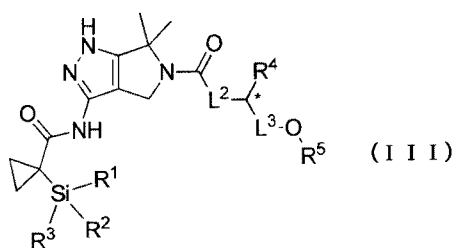
[0063] [Table 48]



Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁵	Configuration
III-601	Me	Me	Me	C≡C	Ph	CH ₂	Me	racemic
III-602	Me	Me	Me	C≡C	Ph	CH ₂	Me	(S)-

III-603	Me	Me	Me	1,2-Cyclopropylene	Ph	CH ₂	H	racemic
III-604	Me	Me	Me	1,2-Cyclopropylene	Ph	CH ₂	H	(S)-
III-605	Me	Me	Me	1,2-Cyclopropylene	Ph	CH ₂	Me	racemic
III-606	Me	Me	Me	1,2-Cyclopropylene	Ph	CH ₂	Me	(S)-
III-607	Me	Me	Me	1,2-Cyclopropynylene	Ph	CH ₂	H	racemic
III-608	Me	Me	Me	1,2-Cyclopropynylene	Ph	CH ₂	H	(S)-
III-609	Me	Me	Me	1,2-Cyclopropynylene	Ph	CH ₂	Me	racemic
III-610	Me	Me	Me	1,2-Cyclopropynylene	Ph	CH ₂	Me	(S)-

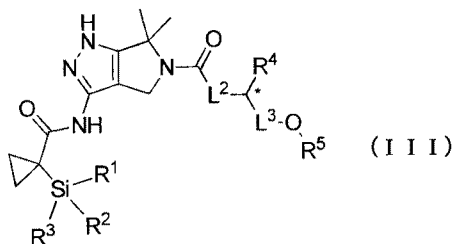
[0064] [Table 49]



Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁵	Configuration
III-611	Me	Me	Me	O	Ph	C(Me) ₂ CH ₂	H	racemic
III-612	Me	Me	Me	O	Ph	C(Me) ₂ CH ₂	H	(+)
III-613	Me	Me	Me	O	Ph	C(Me) ₂ CH ₂	H	(-)
III-614	Me	Et	Me	O	Ph	C(Me) ₂ CH ₂	H	racemic
III-615	Me	Et	Me	O	Ph	C(Me) ₂ CH ₂	H	(+)
III-616	Me	Et	Me	O	Ph	C(Me) ₂ CH ₂	H	(-)
III-617	Me	Me	Me	O	Ph	C(Me) ₂ CH ₂	Me	racemic
III-618	Me	Me	Me	O	Ph	C(Me) ₂ CH ₂	Me	(+)
III-619	Me	Me	Me	O	Ph	C(Me) ₂ CH ₂	Me	(-)
III-620	Me	Et	Me	O	Ph	C(Me) ₂ CH ₂	Me	racemic
III-621	Me	Et	Me	O	Ph	C(Me) ₂ CH ₂	Me	(+)
III-622	Me	Et	Me	O	Ph	C(Me) ₂ CH ₂	Me	(-)
III-623	Me	Me	Me	O	Ph	C(Me) ₂ CH ₂	CHF ₂	racemic
III-624	Me	Me	Me	O	Ph	C(Me) ₂ CH ₂	CHF ₂	(+)

III-625	Me	Me	Me	O	Ph	C(Me) ₂ CH ₂	CHF ₂	(-)
III-626	Me	Me	Me	O	Ph	C(Me) ₂ CH ₂	Et	racemic
III-627	Me	Me	Me	O	Ph	C(Me) ₂ CH ₂	Et	(+)
III-628	Me	Me	Me	O	Ph	C(Me) ₂ CH ₂	Et	(-)
III-629	Me	Me	Me	NH	Ph	C(Me) ₂ CH ₂	H	(+)
III-630	Me	Me	Me	NH	Ph	C(Me) ₂ CH ₂	H	(-)
III-631	Me	Et	Me	NH	Ph	C(Me) ₂ CH ₂	H	(+)
III-632	Me	Et	Me	NH	Ph	C(Me) ₂ CH ₂	H	(-)
III-633	Me	Me	Me	NH	Ph	C(Me) ₂ CH ₂	Me	racemic
III-634	Me	Me	Me	NH	Ph	C(Me) ₂ CH ₂	Me	(+)
III-635	Me	Me	Me	NH	Ph	C(Me) ₂ CH ₂	Me	(-)
III-636	Me	Et	Me	NH	Ph	C(Me) ₂ CH ₂	Me	racemic
III-637	Me	Et	Me	NH	Ph	C(Me) ₂ CH ₂	Me	(+)
III-638	Me	Et	Me	NH	Ph	C(Me) ₂ CH ₂	Me	(-)
III-639	Me	Me	Me	NH	Ph	C(Me) ₂ CH ₂	CHF ₂	racemic
III-640	Me	Me	Me	NH	Ph	C(Me) ₂ CH ₂	CHF ₂	(+)
III-641	Me	Me	Me	NH	Ph	C(Me) ₂ CH ₂	CHF ₂	(-)
III-642	Me	Et	Me	NH	Ph	C(Me) ₂ CH ₂	CHF ₂	racemic
III-643	Me	Et	Me	NH	Ph	C(Me) ₂ CH ₂	CHF ₂	(+)
III-644	Me	Et	Me	NH	Ph	C(Me) ₂ CH ₂	CHF ₂	(-)
III-645	Me	Me	Me	NH	Ph	C(Me) ₂ CH ₂	Et	racemic
III-646	Me	Me	Me	NH	Ph	C(Me) ₂ CH ₂	Et	(+)
III-647	Me	Me	Me	NH	Ph	C(Me) ₂ CH ₂	Et	(-)
III-648	Me	Et	Me	NH	Ph	C(Me) ₂ CH ₂	Et	racemic
III-649	Me	Et	Me	NH	Ph	C(Me) ₂ CH ₂	Et	(+)
III-650	Me	Et	Me	NH	Ph	C(Me) ₂ CH ₂	Et	(-)

[0065] [Table 50]

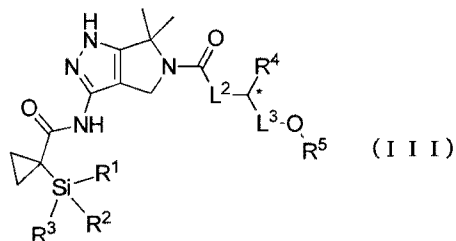


Compound	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁵	Configura
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No.								tion
III-651	Me	Me	Me	NH	Ph	C(Me) ₂ CH ₂	iPr	racemic
III-652	Me	Me	Me	NH	Ph	C(Me) ₂ CH ₂	iPr	(+)
III-653	Me	Me	Me	NH	Ph	C(Me) ₂ CH ₂	iPr	(-)
III-654	Me	Et	Me	NH	Ph	C(Me) ₂ CH ₂	iPr	racemic
III-655	Me	Et	Me	NH	Ph	C(Me) ₂ CH ₂	iPr	(+)
III-656	Me	Et	Me	NH	Ph	C(Me) ₂ CH ₂	iPr	(-)
III-657	Me	Me	Me	NH	Ph	C(Me) ₂ CH ₂	cPr	racemic
III-658	Me	Me	Me	NH	Ph	C(Me) ₂ CH ₂	cPr	(+)
III-659	Me	Me	Me	NH	Ph	C(Me) ₂ CH ₂	cPr	(-)
III-660	Me	Et	Me	NH	Ph	C(Me) ₂ CH ₂	cPr	racemic
III-661	Me	Et	Me	NH	Ph	C(Me) ₂ CH ₂	cPr	(+)
III-662	Me	Et	Me	NH	Ph	C(Me) ₂ CH ₂	cPr	(-)
III-663	Me	Me	Me	NH	Ph	1,1-Cyclopropylene-CH ₂	H	racemic
III-664	Me	Me	Me	NH	Ph	1,1-Cyclopropylene-CH ₂	H	(+)
III-665	Me	Me	Me	NH	Ph	1,1-Cyclopropylene-CH ₂	H	(-)
III-666	Me	Et	Me	NH	Ph	1,1-Cyclopropylene-CH ₂	H	racemic
III-667	Me	Et	Me	NH	Ph	1,1-Cyclopropylene-CH ₂	H	(+)
III-668	Me	Et	Me	NH	Ph	1,1-Cyclopropylene-CH ₂	H	(-)
III-669	Me	Me	Me	NH	Ph	1,1-Cyclopropylene-CH ₂	Me	racemic
III-670	Me	Me	Me	NH	Ph	1,1-Cyclopropylene-CH ₂	Me	(+)
III-671	Me	Me	Me	NH	Ph	1,1-Cyclopropylene-CH ₂	Me	(-)
III-672	Me	Et	Me	NH	Ph	1,1-Cyclopropylene-CH ₂	Me	racemic
III-673	Me	Et	Me	NH	Ph	1,1-Cyclopropylene-CH ₂	Me	(+)
III-674	Me	Et	Me	NH	Ph	1,1-Cyclopropylene-CH ₂	Me	(-)
III-675	Me	Me	Me	NH	Ph	1,1-Cyclobutylene-CH ₂	H	racemic
III-676	Me	Me	Me	NH	Ph	1,1-Cyclobutylene-CH ₂	H	(+)
III-677	Me	Me	Me	NH	Ph	1,1-Cyclobutylene-CH ₂	H	(-)
III-678	Me	Et	Me	NH	Ph	1,1-Cyclobutylene-CH ₂	H	racemic

						ene-CH ₂		
III-679	Me	Et	Me	NH	Ph	1,1-Cyclobutyl ene-CH ₂	H	(+)
III-680	Me	Et	Me	NH	Ph	1,1-Cyclobutyl ene-CH ₂	H	(-)
III-681	Me	Me	Me	NH	Ph	1,1-Cyclobutyl ene-CH ₂	Me	racemic
III-682	Me	Me	Me	NH	Ph	1,1-Cyclobutyl ene-CH ₂	Me	(+)
III-683	Me	Me	Me	NH	Ph	1,1-Cyclobutyl ene-CH ₂	Me	(-)
III-684	Me	Et	Me	NH	Ph	1,1-Cyclobutyl ene-CH ₂	Me	racemic
III-685	Me	Et	Me	NH	Ph	1,1-Cyclobutyl ene-CH ₂	Me	(+)
III-686	Me	Et	Me	NH	Ph	1,1-Cyclobutyl ene-CH ₂	Me	(-)
III-687	Me	Me	Me	NH	Ph	C(Et) ₂ CH ₂	H	racemic
III-688	Me	Me	Me	NH	Ph	C(Et) ₂ CH ₂	H	(+)
III-689	Me	Me	Me	NH	Ph	C(Et) ₂ CH ₂	H	(-)
III-690	Me	Et	Me	NH	Ph	C(Et) ₂ CH ₂	H	racemic
III-691	Me	Et	Me	NH	Ph	C(Et) ₂ CH ₂	H	(+)
III-692	Me	Et	Me	NH	Ph	C(Et) ₂ CH ₂	H	(-)
III-693	Me	Me	Me	NH	Ph	C(Et) ₂ CH ₂	Me	racemic
III-694	Me	Me	Me	NH	Ph	C(Et) ₂ CH ₂	Me	(+)
III-695	Me	Me	Me	NH	Ph	C(Et) ₂ CH ₂	Me	(-)
III-696	Me	Et	Me	NH	Ph	C(Et) ₂ CH ₂	Me	racemic
III-697	Me	Et	Me	NH	Ph	C(Et) ₂ CH ₂	Me	(+)
III-698	Me	Et	Me	NH	Ph	C(Et) ₂ CH ₂	Me	(-)
III-699	Me	Me	Me	NH	Ph	CH ₂ -1,1-Cyclo propylene	H	racemic
III-700	Me	Me	Me	NH	Ph	CH ₂ -1,1-Cyclo propylene	H	(R)-

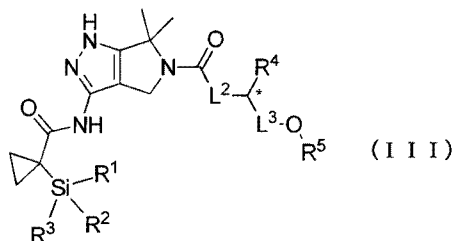
[0066] [Table 51]



Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁵	Configuration
III-701	Me	Et	Me	NH	Ph	CH ₂ -1,1-Cyclopropylene	H	racemic
III-702	Me	Et	Me	NH	Ph	CH ₂ -1,1-Cyclopropylene	H	(R)-
III-703	Me	Me	Me	NH	Ph	CH ₂ -1,1-Cyclopropylene	Me	racemic
III-704	Me	Me	Me	NH	Ph	CH ₂ -1,1-Cyclopropylene	Me	(R)-
III-705	Me	Et	Me	NH	Ph	CH ₂ -1,1-Cyclopropylene	Me	racemic
III-706	Me	Et	Me	NH	Ph	CH ₂ -1,1-Cyclopropylene	Me	(R)-
III-707	Me	Me	Me	NH	Ph	CH ₂ -1,1-cyclobutylene	H	racemic
III-708	Me	Me	Me	NH	Ph	CH ₂ -1,1-cyclobutylene	H	(R)-
III-709	Me	Et	Me	NH	Ph	CH ₂ -1,1-cyclobutylene	H	racemic
III-710	Me	Et	Me	NH	Ph	CH ₂ -1,1-cyclobutylene	H	(R)-
III-711	Me	Me	Me	NH	Ph	CH ₂ -1,1-cyclobutylene	Me	racemic
III-712	Me	Me	Me	NH	Ph	CH ₂ -1,1-cyclobutylene	Me	(R)-
III-713	Me	Et	Me	NH	Ph	CH ₂ -1,1-cyclobutylene	Me	racemic
III-714	Me	Et	Me	NH	Ph	CH ₂ -1,1-cyclobutylene	Me	(R)-
III-715	Me	Me	Me	NH	Ph	CH ₂ C(Et) ₂	H	racemic
III-716	Me	Me	Me	NH	Ph	CH ₂ C(Et) ₂	H	(R)-
III-717	Me	Et	Me	NH	Ph	CH ₂ C(Et) ₂	H	racemic
III-718	Me	Et	Me	NH	Ph	CH ₂ C(Et) ₂	H	(R)-
III-719	Me	Me	Me	NH	Ph	CH ₂ C(Et) ₂	Me	racemic
III-720	Me	Me	Me	NH	Ph	CH ₂ C(Et) ₂	Me	(R)-
III-721	Me	Et	Me	NH	Ph	CH ₂ C(Et) ₂	Me	racemic
III-722	Me	Et	Me	NH	Ph	CH ₂ C(Et) ₂	Me	(R)-

III-723	Me	Me	Me	NH	iPr	CH ₂	Me	racemic
III-724	Me	Me	Me	NH	iPr	CH ₂	Me	(S)-
III-725	Me	Et	Me	NH	iPr	CH ₂	Me	racemic
III-726	Me	Et	Me	NH	iPr	CH ₂	Me	(S)-
III-727	Me	Me	Me	NH	iPr	(CH ₂) ₂	H	racemic
III-728	Me	Me	Me	NH	iPr	(CH ₂) ₂	H	(R)-
III-729	Me	Et	Me	NH	iPr	(CH ₂) ₂	H	racemic
III-730	Me	Et	Me	NH	iPr	(CH ₂) ₂	H	(R)-
III-731	Me	Me	Me	NH	iPr	(CH ₂) ₂	Me	racemic
III-732	Me	Me	Me	NH	iPr	(CH ₂) ₂	Me	(R)-
III-733	Me	Et	Me	NH	iPr	(CH ₂) ₂	Me	racemic
III-734	Me	Et	Me	NH	iPr	(CH ₂) ₂	Me	(R)-
III-735	Me	Me	Me	NH	CF ₃	CH ₂	H	racemic
III-736	Me	Me	Me	NH	CF ₃	CH ₂	H	(S)-
III-737	Me	Et	Me	NH	CF ₃	CH ₂	H	racemic
III-738	Me	Et	Me	NH	CF ₃	CH ₂	H	(S)-
III-739	Me	Me	Me	NH	CH ₂ OH	CH ₂	H	
III-740	Me	Et	Me	NH	CH ₂ OH	CH ₂	H	
III-741	Me	Me	Me	NH	CH ₂ OMe	CH ₂	H	racemic
III-742	Me	Me	Me	NH	CH ₂ OMe	CH ₂	H	(R)-
III-743	Me	Et	Me	NH	CH ₂ OMe	CH ₂	H	racemic
III-744	Me	Et	Me	NH	CH ₂ OMe	CH ₂	H	(R)-
III-745	Me	Me	Me	NH	CH ₂ Ph	CH ₂	H	racemic
III-746	Me	Me	Me	NH	CH ₂ Ph	CH ₂	H	(R)-
III-747	Me	Me	Me	NH	CH ₂ Ph	CH ₂	H	(S)-
III-748	Me	Et	Me	NH	CH ₂ Ph	CH ₂	H	racemic
III-749	Me	Et	Me	NH	CH ₂ Ph	CH ₂	H	(S)-
III-750	Me	Me	Me	NH	2-F-Ph	CH ₂	Me	racemic

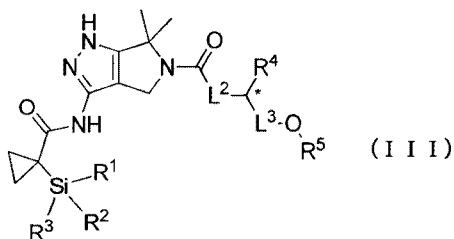
[0067] [Table 52]



Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁵	Configuration
III-751	Me	Me	Me	NH	2-F-Ph	CH ₂	Me	(S)-
III-752	Me	Et	Me	NH	2-F-Ph	CH ₂	Me	racemic
III-753	Me	Et	Me	NH	2-F-Ph	CH ₂	Me	(S)-
III-754	Me	Me	Me	NH	2-F-Ph	(CH ₂) ₂	H	racemic
III-755	Me	Me	Me	NH	2-F-Ph	(CH ₂) ₂	H	(R)-
III-756	Me	Et	Me	NH	2-F-Ph	(CH ₂) ₂	H	racemic
III-757	Me	Et	Me	NH	2-F-Ph	(CH ₂) ₂	H	(R)-
III-758	Me	Me	Me	NH	2-F-Ph	(CH ₂) ₂	Me	racemic
III-759	Me	Me	Me	NH	2-F-Ph	(CH ₂) ₂	Me	(R)-
III-760	Me	Et	Me	NH	2-F-Ph	(CH ₂) ₂	Me	racemic
III-761	Me	Et	Me	NH	2-F-Ph	(CH ₂) ₂	Me	(R)-
III-762	Me	Me	Me	NH	2-F-Ph	CH ₂ C(Me) ₂	H	racemic
III-763	Me	Me	Me	NH	2-F-Ph	CH ₂ C(Me) ₂	H	(R)-
III-764	Me	Et	Me	NH	2-F-Ph	CH ₂ C(Me) ₂	H	racemic
III-765	Me	Et	Me	NH	2-F-Ph	CH ₂ C(Me) ₂	H	(R)-
III-766	Me	Me	Me	NH	2-F-Ph	CH ₂ C(Me) ₂	Me	racemic
III-767	Me	Me	Me	NH	2-F-Ph	CH ₂ C(Me) ₂	Me	(R)-
III-768	Me	Et	Me	NH	2-F-Ph	CH ₂ C(Me) ₂	Me	racemic
III-769	Me	Et	Me	NH	2-F-Ph	CH ₂ C(Me) ₂	Me	(R)-
III-770	Me	Me	Me	NH	2-F-Ph	C(Me) ₂ CH ₂	H	racemic
III-771	Me	Me	Me	NH	2-F-Ph	C(Me) ₂ CH ₂	H	(+)
III-772	Me	Me	Me	NH	2-F-Ph	C(Me) ₂ CH ₂	H	(-)
III-773	Me	Et	Me	NH	2-F-Ph	C(Me) ₂ CH ₂	H	racemic
III-774	Me	Et	Me	NH	2-F-Ph	C(Me) ₂ CH ₂	H	(+)
III-775	Me	Et	Me	NH	2-F-Ph	C(Me) ₂ CH ₂	H	(-)
III-776	Me	Me	Me	NH	2-F-Ph	C(Me) ₂ CH ₂	Me	racemic
III-777	Me	Me	Me	NH	2-F-Ph	C(Me) ₂ CH ₂	Me	(+)
III-778	Me	Me	Me	NH	2-F-Ph	C(Me) ₂ CH ₂	Me	(-)

III-779	Me	Et	Me	NH	2-F-Ph	C(Me) ₂ CH ₂	Me	racemic
III-780	Me	Et	Me	NH	2-F-Ph	C(Me) ₂ CH ₂	Me	(+)
III-781	Me	Et	Me	NH	2-F-Ph	C(Me) ₂ CH ₂	Me	(-)
III-782	Me	Me	Me	NH	3-F-Ph	(CH ₂) ₂	H	racemic
III-783	Me	Me	Me	NH	3-F-Ph	(CH ₂) ₂	H	(R)-
III-784	Me	Et	Me	NH	3-F-Ph	(CH ₂) ₂	H	racemic
III-785	Me	Et	Me	NH	3-F-Ph	(CH ₂) ₂	H	(R)-
III-786	Me	Me	Me	NH	3-F-Ph	(CH ₂) ₂	Me	racemic
III-787	Me	Me	Me	NH	3-F-Ph	(CH ₂) ₂	Me	(R)-
III-788	Me	Et	Me	NH	3-F-Ph	(CH ₂) ₂	Me	racemic
III-789	Me	Et	Me	NH	3-F-Ph	(CH ₂) ₂	Me	(R)-
III-790	Me	Me	Me	NH	3-F-Ph	CH ₂ C(Me) ₂	H	racemic
III-791	Me	Me	Me	NH	3-F-Ph	CH ₂ C(Me) ₂	H	(R)-
III-792	Me	Et	Me	NH	3-F-Ph	CH ₂ C(Me) ₂	H	racemic
III-793	Me	Et	Me	NH	3-F-Ph	CH ₂ C(Me) ₂	H	(R)-
III-794	Me	Me	Me	NH	3-F-Ph	CH ₂ C(Me) ₂	Me	racemic
III-795	Me	Me	Me	NH	3-F-Ph	CH ₂ C(Me) ₂	Me	(R)-
III-796	Me	Et	Me	NH	3-F-Ph	CH ₂ C(Me) ₂	Me	racemic
III-797	Me	Et	Me	NH	3-F-Ph	CH ₂ C(Me) ₂	Me	(R)-
III-798	Me	Me	Me	NH	3-F-Ph	C(Me) ₂ CH ₂	H	racemic
III-799	Me	Me	Me	NH	3-F-Ph	C(Me) ₂ CH ₂	H	(+)
III-800	Me	Me	Me	NH	3-F-Ph	C(Me) ₂ CH ₂	H	(-)

[0068] [Table 53]



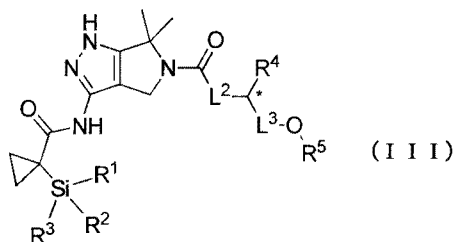
Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁵	Configuration
III-801	Me	Et	Me	NH	3-F-Ph	C(Me) ₂ CH ₂	H	racemic
III-802	Me	Et	Me	NH	3-F-Ph	C(Me) ₂ CH ₂	H	(+)
III-803	Me	Et	Me	NH	3-F-Ph	C(Me) ₂ CH ₂	H	(-)

III-804	Me	Me	Me	NH	3-F-Ph	C(Me) ₂ CH ₂	Me	racemic
III-805	Me	Me	Me	NH	3-F-Ph	C(Me) ₂ CH ₂	Me	(+)
III-806	Me	Me	Me	NH	3-F-Ph	C(Me) ₂ CH ₂	Me	(-)
III-807	Me	Et	Me	NH	3-F-Ph	C(Me) ₂ CH ₂	Me	racemic
III-808	Me	Et	Me	NH	3-F-Ph	C(Me) ₂ CH ₂	Me	(+)
III-809	Me	Et	Me	NH	3-F-Ph	C(Me) ₂ CH ₂	Me	(-)
III-810	Me	Me	Me	NH	4-F-Ph	(CH ₂) ₂	H	racemic
III-811	Me	Me	Me	NH	4-F-Ph	(CH ₂) ₂	H	(R)-
III-812	Me	Et	Me	NH	4-F-Ph	(CH ₂) ₂	H	racemic
III-813	Me	Et	Me	NH	4-F-Ph	(CH ₂) ₂	H	(R)-
III-814	Me	Me	Me	NH	4-F-Ph	(CH ₂) ₂	Me	racemic
III-815	Me	Me	Me	NH	4-F-Ph	(CH ₂) ₂	Me	(R)-
III-816	Me	Et	Me	NH	4-F-Ph	(CH ₂) ₂	Me	racemic
III-817	Me	Et	Me	NH	4-F-Ph	(CH ₂) ₂	Me	(R)-
III-818	Me	Me	Me	NH	4-F-Ph	CH ₂ C(Me) ₂	H	racemic
III-819	Me	Me	Me	NH	4-F-Ph	CH ₂ C(Me) ₂	H	(R)-
III-820	Me	Et	Me	NH	4-F-Ph	CH ₂ C(Me) ₂	H	racemic
III-821	Me	Et	Me	NH	4-F-Ph	CH ₂ C(Me) ₂	H	(R)-
III-822	Me	Me	Me	NH	4-F-Ph	CH ₂ C(Me) ₂	Me	racemic
III-823	Me	Me	Me	NH	4-F-Ph	CH ₂ C(Me) ₂	Me	(R)-
III-824	Me	Et	Me	NH	4-F-Ph	CH ₂ C(Me) ₂	Me	racemic
III-825	Me	Et	Me	NH	4-F-Ph	CH ₂ C(Me) ₂	Me	(R)-
III-826	Me	Me	Me	NH	4-F-Ph	C(Me) ₂ CH ₂	H	racemic
III-827	Me	Me	Me	NH	4-F-Ph	C(Me) ₂ CH ₂	H	(+)
III-828	Me	Me	Me	NH	4-F-Ph	C(Me) ₂ CH ₂	H	(-)
III-829	Me	Et	Me	NH	4-F-Ph	C(Me) ₂ CH ₂	H	racemic
III-830	Me	Et	Me	NH	4-F-Ph	C(Me) ₂ CH ₂	H	(+)
III-831	Me	Et	Me	NH	4-F-Ph	C(Me) ₂ CH ₂	H	(-)
III-832	Me	Me	Me	NH	4-F-Ph	C(Me) ₂ CH ₂	Me	racemic
III-833	Me	Me	Me	NH	4-F-Ph	C(Me) ₂ CH ₂	Me	(+)
III-834	Me	Me	Me	NH	4-F-Ph	C(Me) ₂ CH ₂	Me	(-)
III-835	Me	Et	Me	NH	4-F-Ph	C(Me) ₂ CH ₂	Me	racemic
III-836	Me	Et	Me	NH	4-F-Ph	C(Me) ₂ CH ₂	Me	(+)
III-837	Me	Et	Me	NH	4-F-Ph	C(Me) ₂ CH ₂	Me	(-)
III-838	Me	Me	Me	NH	2-Cl-Ph	CH ₂	H	racemic
III-839	Me	Me	Me	NH	2-Cl-Ph	CH ₂	H	(S)-

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III-840	Me	Et	Me	NH	2-Cl-Ph	CH ₂	H	racemic
III-841	Me	Et	Me	NH	2-Cl-Ph	CH ₂	H	(S)-
III-842	Me	Me	Me	NH	2-Cl-Ph	CH ₂	Me	racemic
III-843	Me	Me	Me	NH	2-Cl-Ph	CH ₂	Me	(S)-
III-844	Me	Et	Me	NH	2-Cl-Ph	CH ₂	Me	racemic
III-845	Me	Et	Me	NH	2-Cl-Ph	CH ₂	Me	(S)-
III-846	Me	Me	Me	NH	2-Cl-Ph	(CH ₂) ₂	H	racemic
III-847	Me	Me	Me	NH	2-Cl-Ph	(CH ₂) ₂	H	(R)-
III-848	Me	Et	Me	NH	2-Cl-Ph	(CH ₂) ₂	H	racemic
III-849	Me	Et	Me	NH	2-Cl-Ph	(CH ₂) ₂	H	(R)-
III-850	Me	Me	Me	NH	2-Cl-Ph	(CH ₂) ₂	Me	racemic

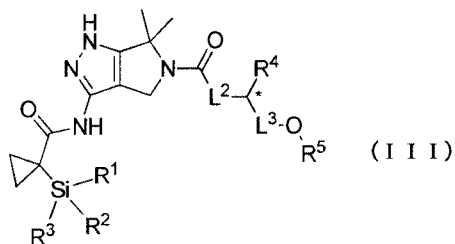
[0069] [Table 54]



Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁵	Configuration
III-851	Me	Me	Me	NH	2-Cl-Ph	(CH ₂) ₂	Me	(R)-
III-852	Me	Et	Me	NH	2-Cl-Ph	(CH ₂) ₂	Me	racemic
III-853	Me	Et	Me	NH	2-Cl-Ph	(CH ₂) ₂	Me	(R)-
III-854	Me	Me	Me	NH	2-Cl-Ph	CH ₂ C(Me) ₂	H	racemic
III-855	Me	Me	Me	NH	2-Cl-Ph	CH ₂ C(Me) ₂	H	(R)-
III-856	Me	Et	Me	NH	2-Cl-Ph	CH ₂ C(Me) ₂	H	racemic
III-857	Me	Et	Me	NH	2-Cl-Ph	CH ₂ C(Me) ₂	H	(R)-
III-858	Me	Me	Me	NH	2-Cl-Ph	CH ₂ C(Me) ₂	Me	racemic
III-859	Me	Me	Me	NH	2-Cl-Ph	CH ₂ C(Me) ₂	Me	(R)-
III-860	Me	Et	Me	NH	2-Cl-Ph	CH ₂ C(Me) ₂	Me	racemic
III-861	Me	Et	Me	NH	2-Cl-Ph	CH ₂ C(Me) ₂	Me	(R)-
III-862	Me	Me	Me	NH	2-Cl-Ph	C(Me) ₂ CH ₂	H	racemic
III-863	Me	Me	Me	NH	2-Cl-Ph	C(Me) ₂ CH ₂	H	(+)
III-864	Me	Me	Me	NH	2-Cl-Ph	C(Me) ₂ CH ₂	H	(-)

III-865	Me	Et	Me	NH	2-Cl-Ph	C(Me) ₂ CH ₂	H	racemic
III-866	Me	Et	Me	NH	2-Cl-Ph	C(Me) ₂ CH ₂	H	(+)
III-867	Me	Et	Me	NH	2-Cl-Ph	C(Me) ₂ CH ₂	H	(-)
III-868	Me	Me	Me	NH	2-Cl-Ph	C(Me) ₂ CH ₂	Me	racemic
III-869	Me	Me	Me	NH	2-Cl-Ph	C(Me) ₂ CH ₂	Me	(+)
III-870	Me	Me	Me	NH	2-Cl-Ph	C(Me) ₂ CH ₂	Me	(-)
III-871	Me	Et	Me	NH	2-Cl-Ph	C(Me) ₂ CH ₂	Me	racemic
III-872	Me	Et	Me	NH	2-Cl-Ph	C(Me) ₂ CH ₂	Me	(+)
III-873	Me	Et	Me	NH	2-Cl-Ph	C(Me) ₂ CH ₂	Me	(-)
III-874	Me	Me	Me	NH	3-Cl-Ph	CH ₂	H	racemic
III-875	Me	Me	Me	NH	3-Cl-Ph	CH ₂	H	(S)-
III-876	Me	Et	Me	NH	3-Cl-Ph	CH ₂	H	racemic
III-877	Me	Et	Me	NH	3-Cl-Ph	CH ₂	H	(S)-
III-878	Me	Me	Me	NH	3-Cl-Ph	CH ₂	Me	racemic
III-879	Me	Me	Me	NH	3-Cl-Ph	CH ₂	Me	(S)-
III-880	Me	Et	Me	NH	3-Cl-Ph	CH ₂	Me	racemic
III-881	Me	Et	Me	NH	3-Cl-Ph	CH ₂	Me	(S)-
III-882	Me	Me	Me	NH	3-Cl-Ph	(CH ₂) ₂	H	racemic
III-883	Me	Me	Me	NH	3-Cl-Ph	(CH ₂) ₂	H	(R)-
III-884	Me	Et	Me	NH	3-Cl-Ph	(CH ₂) ₂	H	racemic
III-885	Me	Et	Me	NH	3-Cl-Ph	(CH ₂) ₂	H	(R)-
III-886	Me	Me	Me	NH	3-Cl-Ph	(CH ₂) ₂	Me	racemic
III-887	Me	Me	Me	NH	3-Cl-Ph	(CH ₂) ₂	Me	(R)-
III-888	Me	Et	Me	NH	3-Cl-Ph	(CH ₂) ₂	Me	racemic
III-889	Me	Et	Me	NH	3-Cl-Ph	(CH ₂) ₂	Me	(R)-
III-890	Me	Me	Me	NH	3-Cl-Ph	CH ₂ C(Me) ₂	H	racemic
III-891	Me	Me	Me	NH	3-Cl-Ph	CH ₂ C(Me) ₂	H	(R)-
III-892	Me	Et	Me	NH	3-Cl-Ph	CH ₂ C(Me) ₂	H	racemic
III-893	Me	Et	Me	NH	3-Cl-Ph	CH ₂ C(Me) ₂	H	(R)-
III-894	Me	Me	Me	NH	3-Cl-Ph	CH ₂ C(Me) ₂	Me	racemic
III-895	Me	Me	Me	NH	3-Cl-Ph	CH ₂ C(Me) ₂	Me	(R)-
III-896	Me	Et	Me	NH	3-Cl-Ph	CH ₂ C(Me) ₂	Me	racemic
III-897	Me	Et	Me	NH	3-Cl-Ph	CH ₂ C(Me) ₂	Me	(R)-
III-898	Me	Me	Me	NH	3-Cl-Ph	C(Me) ₂ CH ₂	H	racemic
III-899	Me	Me	Me	NH	3-Cl-Ph	C(Me) ₂ CH ₂	H	(+)
III-900	Me	Me	Me	NH	3-Cl-Ph	C(Me) ₂ CH ₂	H	(-)

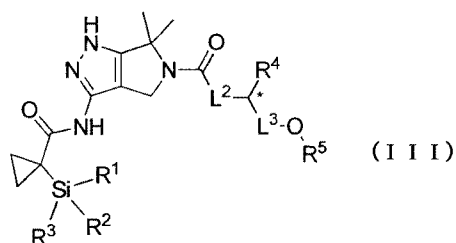
[0070] [Table 55]



Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁵	Configuration
III-901	Me	Et	Me	NH	3-Cl-Ph	C(Me) ₂ CH ₂	H	racemic
III-902	Me	Et	Me	NH	3-Cl-Ph	C(Me) ₂ CH ₂	H	(+)
III-903	Me	Et	Me	NH	3-Cl-Ph	C(Me) ₂ CH ₂	H	(-)
III-904	Me	Me	Me	NH	3-Cl-Ph	C(Me) ₂ CH ₂	Me	racemic
III-905	Me	Me	Me	NH	3-Cl-Ph	C(Me) ₂ CH ₂	Me	(+)
III-906	Me	Me	Me	NH	3-Cl-Ph	C(Me) ₂ CH ₂	Me	(-)
III-907	Me	Et	Me	NH	3-Cl-Ph	C(Me) ₂ CH ₂	Me	racemic
III-908	Me	Et	Me	NH	3-Cl-Ph	C(Me) ₂ CH ₂	Me	(+)
III-909	Me	Et	Me	NH	3-Cl-Ph	C(Me) ₂ CH ₂	Me	(-)
III-910	Me	Me	Me	NH	4-Cl-Ph	CH ₂	H	racemic
III-911	Me	Me	Me	NH	4-Cl-Ph	CH ₂	H	(S)-
III-912	Me	Et	Me	NH	4-Cl-Ph	CH ₂	H	racemic
III-913	Me	Et	Me	NH	4-Cl-Ph	CH ₂	H	(S)-
III-914	Me	Me	Me	NH	4-Cl-Ph	CH ₂	Me	racemic
III-915	Me	Me	Me	NH	4-Cl-Ph	CH ₂	Me	(S)-
III-916	Me	Et	Me	NH	4-Cl-Ph	CH ₂	Me	racemic
III-917	Me	Et	Me	NH	4-Cl-Ph	CH ₂	Me	(S)-
III-918	Me	Me	Me	NH	4-Cl-Ph	(CH ₂) ₂	H	racemic
III-919	Me	Me	Me	NH	4-Cl-Ph	(CH ₂) ₂	H	(R)-
III-920	Me	Et	Me	NH	4-Cl-Ph	(CH ₂) ₂	H	racemic
III-921	Me	Et	Me	NH	4-Cl-Ph	(CH ₂) ₂	H	(R)-
III-922	Me	Me	Me	NH	4-Cl-Ph	(CH ₂) ₂	Me	racemic
III-923	Me	Me	Me	NH	4-Cl-Ph	(CH ₂) ₂	Me	(R)-
III-924	Me	Et	Me	NH	4-Cl-Ph	(CH ₂) ₂	Me	racemic
III-925	Me	Et	Me	NH	4-Cl-Ph	(CH ₂) ₂	Me	(R)-

III-926	Me	Me	Me	NH	4-Cl-Ph	CH ₂ C(Me) ₂	H	racemic
III-927	Me	Me	Me	NH	4-Cl-Ph	CH ₂ C(Me) ₂	H	(R)-
III-928	Me	Et	Me	NH	4-Cl-Ph	CH ₂ C(Me) ₂	H	racemic
III-929	Me	Et	Me	NH	4-Cl-Ph	CH ₂ C(Me) ₂	H	(R)-
III-930	Me	Me	Me	NH	4-Cl-Ph	CH ₂ C(Me) ₂	Me	racemic
III-931	Me	Me	Me	NH	4-Cl-Ph	CH ₂ C(Me) ₂	Me	(R)-
III-932	Me	Et	Me	NH	4-Cl-Ph	CH ₂ C(Me) ₂	Me	racemic
III-933	Me	Et	Me	NH	4-Cl-Ph	CH ₂ C(Me) ₂	Me	(R)-
III-934	Me	Me	Me	NH	4-Cl-Ph	C(Me) ₂ CH ₂	H	racemic
III-935	Me	Me	Me	NH	4-Cl-Ph	C(Me) ₂ CH ₂	H	(+)
III-936	Me	Me	Me	NH	4-Cl-Ph	C(Me) ₂ CH ₂	H	(-)
III-937	Me	Et	Me	NH	4-Cl-Ph	C(Me) ₂ CH ₂	H	racemic
III-938	Me	Et	Me	NH	4-Cl-Ph	C(Me) ₂ CH ₂	H	(+)
III-939	Me	Et	Me	NH	4-Cl-Ph	C(Me) ₂ CH ₂	H	(-)
III-940	Me	Me	Me	NH	4-Cl-Ph	C(Me) ₂ CH ₂	Me	racemic
III-941	Me	Me	Me	NH	4-Cl-Ph	C(Me) ₂ CH ₂	Me	(+)
III-942	Me	Me	Me	NH	4-Cl-Ph	C(Me) ₂ CH ₂	Me	(-)
III-943	Me	Et	Me	NH	4-Cl-Ph	C(Me) ₂ CH ₂	Me	racemic
III-944	Me	Et	Me	NH	4-Cl-Ph	C(Me) ₂ CH ₂	Me	(+)
III-945	Me	Et	Me	NH	4-Cl-Ph	C(Me) ₂ CH ₂	Me	(-)
III-946	Me	Me	Me	NH	2-Me-Ph	CH ₂	H	racemic
III-947	Me	Me	Me	NH	2-Me-Ph	CH ₂	H	(S)-
III-948	Me	Et	Me	NH	2-Me-Ph	CH ₂	H	racemic
III-949	Me	Et	Me	NH	2-Me-Ph	CH ₂	H	(S)-
III-950	Me	Me	Me	NH	2-Me-Ph	CH ₂	Me	racemic

[0071] [Table 56]

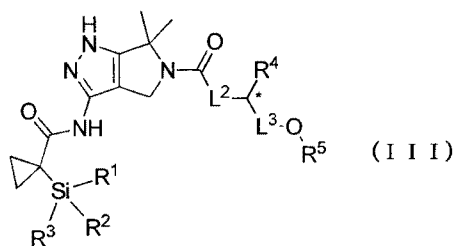


Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁵	Configuration
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III-951	Me	Me	Me	NH	2-Me-Ph	CH ₂	Me	(S)-
III-952	Me	Et	Me	NH	2-Me-Ph	CH ₂	Me	racemic
III-953	Me	Et	Me	NH	2-Me-Ph	CH ₂	Me	(S)-
III-954	Me	Me	Me	NH	2-Me-Ph	(CH ₂) ₂	H	racemic
III-955	Me	Me	Me	NH	2-Me-Ph	(CH ₂) ₂	H	(R)-
III-956	Me	Et	Me	NH	2-Me-Ph	(CH ₂) ₂	H	racemic
III-957	Me	Et	Me	NH	2-Me-Ph	(CH ₂) ₂	H	(R)-
III-958	Me	Me	Me	NH	2-Me-Ph	(CH ₂) ₂	Me	racemic
III-959	Me	Me	Me	NH	2-Me-Ph	(CH ₂) ₂	Me	(R)-
III-960	Me	Et	Me	NH	2-Me-Ph	(CH ₂) ₂	Me	racemic
III-961	Me	Et	Me	NH	2-Me-Ph	(CH ₂) ₂	Me	(R)-
III-962	Me	Me	Me	NH	2-Me-Ph	CH ₂ C(Me) ₂	H	racemic
III-963	Me	Me	Me	NH	2-Me-Ph	CH ₂ C(Me) ₂	H	(R)-
III-964	Me	Et	Me	NH	2-Me-Ph	CH ₂ C(Me) ₂	H	racemic
III-965	Me	Et	Me	NH	2-Me-Ph	CH ₂ C(Me) ₂	H	(R)-
III-966	Me	Me	Me	NH	2-Me-Ph	CH ₂ C(Me) ₂	Me	racemic
III-967	Me	Me	Me	NH	2-Me-Ph	CH ₂ C(Me) ₂	Me	(R)-
III-968	Me	Et	Me	NH	2-Me-Ph	CH ₂ C(Me) ₂	Me	racemic
III-969	Me	Et	Me	NH	2-Me-Ph	CH ₂ C(Me) ₂	Me	(R)-
III-970	Me	Me	Me	NH	2-Me-Ph	C(Me) ₂ CH ₂	H	racemic
III-971	Me	Me	Me	NH	2-Me-Ph	C(Me) ₂ CH ₂	H	(+)
III-972	Me	Me	Me	NH	2-Me-Ph	C(Me) ₂ CH ₂	H	(-)
III-973	Me	Et	Me	NH	2-Me-Ph	C(Me) ₂ CH ₂	H	racemic
III-974	Me	Et	Me	NH	2-Me-Ph	C(Me) ₂ CH ₂	H	(+)
III-975	Me	Et	Me	NH	2-Me-Ph	C(Me) ₂ CH ₂	H	(-)
III-976	Me	Me	Me	NH	2-Me-Ph	C(Me) ₂ CH ₂	Me	racemic
III-977	Me	Me	Me	NH	2-Me-Ph	C(Me) ₂ CH ₂	Me	(+)
III-978	Me	Me	Me	NH	2-Me-Ph	C(Me) ₂ CH ₂	Me	(-)
III-979	Me	Et	Me	NH	2-Me-Ph	C(Me) ₂ CH ₂	Me	racemic
III-980	Me	Et	Me	NH	2-Me-Ph	C(Me) ₂ CH ₂	Me	(+)
III-981	Me	Et	Me	NH	2-Me-Ph	C(Me) ₂ CH ₂	Me	(-)
III-982	Me	Me	Me	NH	3-Me-Ph	CH ₂	H	racemic
III-983	Me	Me	Me	NH	3-Me-Ph	CH ₂	H	(S)-
III-984	Me	Et	Me	NH	3-Me-Ph	CH ₂	H	racemic
III-985	Me	Et	Me	NH	3-Me-Ph	CH ₂	H	(S)-
III-986	Me	Me	Me	NH	3-Me-Ph	CH ₂	Me	racemic

III-987	Me	Me	Me	NH	3-Me-Ph	CH ₂	Me	(S)-
III-988	Me	Et	Me	NH	3-Me-Ph	CH ₂	Me	racemic
III-989	Me	Et	Me	NH	3-Me-Ph	CH ₂	Me	(S)-
III-990	Me	Me	Me	NH	3-Me-Ph	(CH ₂) ₂	H	racemic
III-991	Me	Me	Me	NH	3-Me-Ph	(CH ₂) ₂	H	(R)-
III-992	Me	Et	Me	NH	3-Me-Ph	(CH ₂) ₂	H	racemic
III-993	Me	Et	Me	NH	3-Me-Ph	(CH ₂) ₂	H	(R)-
III-994	Me	Me	Me	NH	3-Me-Ph	(CH ₂) ₂	Me	racemic
III-995	Me	Me	Me	NH	3-Me-Ph	(CH ₂) ₂	Me	(R)-
III-996	Me	Et	Me	NH	3-Me-Ph	(CH ₂) ₂	Me	racemic
III-997	Me	Et	Me	NH	3-Me-Ph	(CH ₂) ₂	Me	(R)-
III-998	Me	Me	Me	NH	3-Me-Ph	CH ₂ C(Me) ₂	H	racemic
III-999	Me	Me	Me	NH	3-Me-Ph	CH ₂ C(Me) ₂	H	(R)-
III-1000	Me	Et	Me	NH	3-Me-Ph	CH ₂ C(Me) ₂	H	racemic

[0072] [Table 57]

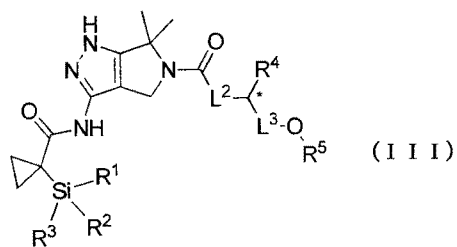


Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁵	Configuration
III-1001	Me	Et	Me	NH	3-Me-Ph	CH ₂ C(Me) ₂	H	(R)-
III-1002	Me	Me	Me	NH	3-Me-Ph	CH ₂ C(Me) ₂	Me	racemic
III-1003	Me	Me	Me	NH	3-Me-Ph	CH ₂ C(Me) ₂	Me	(R)-
III-1004	Me	Et	Me	NH	3-Me-Ph	CH ₂ C(Me) ₂	Me	racemic
III-1005	Me	Et	Me	NH	3-Me-Ph	CH ₂ C(Me) ₂	Me	(R)-
III-1006	Me	Me	Me	NH	3-Me-Ph	C(Me) ₂ CH ₂	H	racemic
III-1007	Me	Me	Me	NH	3-Me-Ph	C(Me) ₂ CH ₂	H	(+)
III-1008	Me	Me	Me	NH	3-Me-Ph	C(Me) ₂ CH ₂	H	(-)
III-1009	Me	Et	Me	NH	3-Me-Ph	C(Me) ₂ CH ₂	H	racemic
III-1010	Me	Et	Me	NH	3-Me-Ph	C(Me) ₂ CH ₂	H	(+)
III-1011	Me	Et	Me	NH	3-Me-Ph	C(Me) ₂ CH ₂	H	(-)

III-1012	Me	Me	Me	NH	3-Me-Ph	C(Me) ₂ CH ₂	Me	racemic
III-1013	Me	Me	Me	NH	3-Me-Ph	C(Me) ₂ CH ₂	Me	(+)
III-1014	Me	Me	Me	NH	3-Me-Ph	C(Me) ₂ CH ₂	Me	(-)
III-1015	Me	Et	Me	NH	3-Me-Ph	C(Me) ₂ CH ₂	Me	racemic
III-1016	Me	Et	Me	NH	3-Me-Ph	C(Me) ₂ CH ₂	Me	(+)
III-1017	Me	Et	Me	NH	3-Me-Ph	C(Me) ₂ CH ₂	Me	(-)
III-1018	Me	Me	Me	NH	4-Me-Ph	CH ₂	H	racemic
III-1019	Me	Me	Me	NH	4-Me-Ph	CH ₂	H	(S)-
III-1020	Me	Et	Me	NH	4-Me-Ph	CH ₂	H	racemic
III-1021	Me	Et	Me	NH	4-Me-Ph	CH ₂	H	(S)-
III-1022	Me	Me	Me	NH	4-Me-Ph	CH ₂	Me	racemic
III-1023	Me	Me	Me	NH	4-Me-Ph	CH ₂	Me	(S)-
III-1024	Me	Et	Me	NH	4-Me-Ph	CH ₂	Me	racemic
III-1025	Me	Et	Me	NH	4-Me-Ph	CH ₂	Me	(S)-
III-1026	Me	Me	Me	NH	4-Me-Ph	(CH ₂) ₂	H	racemic
III-1027	Me	Me	Me	NH	4-Me-Ph	(CH ₂) ₂	H	(R)-
III-1028	Me	Et	Me	NH	4-Me-Ph	(CH ₂) ₂	H	racemic
III-1029	Me	Et	Me	NH	4-Me-Ph	(CH ₂) ₂	H	(R)-
III-1030	Me	Me	Me	NH	4-Me-Ph	(CH ₂) ₂	Me	racemic
III-1031	Me	Me	Me	NH	4-Me-Ph	(CH ₂) ₂	Me	(R)-
III-1032	Me	Et	Me	NH	4-Me-Ph	(CH ₂) ₂	Me	racemic
III-1033	Me	Et	Me	NH	4-Me-Ph	(CH ₂) ₂	Me	(R)-
III-1034	Me	Me	Me	NH	4-Me-Ph	CH ₂ C(Me) ₂	H	racemic
III-1035	Me	Me	Me	NH	4-Me-Ph	CH ₂ C(Me) ₂	H	(R)-
III-1036	Me	Et	Me	NH	4-Me-Ph	CH ₂ C(Me) ₂	H	racemic
III-1037	Me	Et	Me	NH	4-Me-Ph	CH ₂ C(Me) ₂	H	(R)-
III-1038	Me	Me	Me	NH	4-Me-Ph	CH ₂ C(Me) ₂	Me	racemic
III-1039	Me	Me	Me	NH	4-Me-Ph	CH ₂ C(Me) ₂	Me	(R)-
III-1040	Me	Et	Me	NH	4-Me-Ph	CH ₂ C(Me) ₂	Me	racemic
III-1041	Me	Et	Me	NH	4-Me-Ph	CH ₂ C(Me) ₂	Me	(R)-
III-1042	Me	Me	Me	NH	4-Me-Ph	C(Me) ₂ CH ₂	H	racemic
III-1043	Me	Me	Me	NH	4-Me-Ph	C(Me) ₂ CH ₂	H	(+)
III-1044	Me	Me	Me	NH	4-Me-Ph	C(Me) ₂ CH ₂	H	(-)
III-1045	Me	Et	Me	NH	4-Me-Ph	C(Me) ₂ CH ₂	H	racemic
III-1046	Me	Et	Me	NH	4-Me-Ph	C(Me) ₂ CH ₂	H	(+)
III-1047	Me	Et	Me	NH	4-Me-Ph	C(Me) ₂ CH ₂	H	(-)

III-1048	Me	Me	Me	NH	4-Me-Ph	C(Me) ₂ CH ₂	Me	racemic
III-1049	Me	Me	Me	NH	4-Me-Ph	C(Me) ₂ CH ₂	Me	(+)
III-1050	Me	Me	Me	NH	4-Me-Ph	C(Me) ₂ CH ₂	Me	(-)

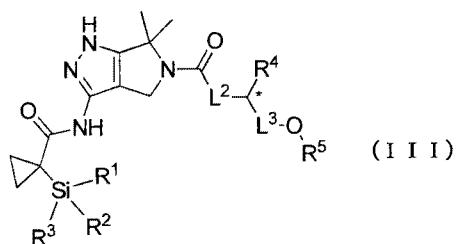
[0073] [Table 58]



Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁵	Configuration
III-1051	Me	Et	Me	NH	4-Me-Ph	C(Me) ₂ CH ₂	Me	racemic
III-1052	Me	Et	Me	NH	4-Me-Ph	C(Me) ₂ CH ₂	Me	(+)
III-1053	Me	Et	Me	NH	4-Me-Ph	C(Me) ₂ CH ₂	Me	(-)
III-1054	Me	Me	Me	-	Ph	-	H	racemic
III-1055	Me	Me	Me	-	Ph	-	H	(R)-
III-1056	Me	Et	Me	-	Ph	-	H	racemic
III-1057	Me	Et	Me	-	Ph	-	H	(R)-
III-1058	Me	Me	Me	-	Ph	-	Me	racemic
III-1059	Me	Me	Me	-	Ph	-	Me	(R)-
III-1060	Me	Et	Me	-	Ph	-	Me	racemic
III-1061	Me	Et	Me	-	Ph	-	Me	(R)-
III-1062	Me	Me	Me	-	Ph	-	CHF ₂	racemic
III-1063	Me	Me	Me	-	Ph	-	CHF ₂	(R)-
III-1064	Me	Et	Me	-	Ph	-	CHF ₂	racemic
III-1065	Me	Et	Me	-	Ph	-	CHF ₂	(R)-
III-1066	Me	Me	Me	-	Ph	-	CF ₃	racemic
III-1067	Me	Me	Me	-	Ph	-	CF ₃	(R)-
III-1068	Me	Et	Me	-	Ph	-	CF ₃	racemic
III-1069	Me	Et	Me	-	Ph	-	CF ₃	(R)-
III-1070	Me	Me	Me	-	Ph	-	Et	racemic
III-1071	Me	Me	Me	-	Ph	-	Et	(R)-
III-1072	Me	Et	Me	-	Ph	-	Et	racemic

III-1073	Me	Et	Me	-	Ph	-	Et	(R)-
III-1074	Me	Me	Me	-	Ph	-	CF ₂ C H ₃	racemic
III-1075	Me	Me	Me	-	Ph	-	CF ₂ C H ₃	(R)-
III-1076	Me	Et	Me	-	Ph	-	CF ₂ C H ₃	racemic
III-1077	Me	Et	Me	-	Ph	-	CF ₂ C H ₃	(R)-
III-1078	Me	Me	Me	-	Ph	-	nPr	racemic
III-1079	Me	Me	Me	-	Ph	-	nPr	(R)-
III-1080	Me	Et	Me	-	Ph	-	nPr	racemic
III-1081	Me	Et	Me	-	Ph	-	nPr	(R)-
III-1082	Me	Me	Me	-	Ph	-	nBu	racemic
III-1083	Me	Me	Me	-	Ph	-	nBu	(R)-
III-1084	Me	Et	Me	-	Ph	-	nBu	racemic
III-1085	Me	Et	Me	-	Ph	-	nBu	(R)-
III-1086	Me	Me	Me	-	Ph	-	iPr	racemic
III-1087	Me	Me	Me	-	Ph	-	iPr	(R)-
III-1088	Me	Et	Me	-	Ph	-	iPr	racemic
III-1089	Me	Et	Me	-	Ph	-	iPr	(R)-
III-1090	Me	Me	Me	-	Ph	-	cPr	racemic
III-1091	Me	Me	Me	-	Ph	-	cPr	(R)-
III-1092	Me	Et	Me	-	Ph	-	cPr	racemic
III-1093	Me	Et	Me	-	Ph	-	cPr	(R)-
III-1094	Me	Me	Me	-	Ph	-	Ph	racemic
III-1095	Me	Me	Me	-	Ph	-	Ph	(R)-
III-1096	Me	Et	Me	-	Ph	-	Ph	racemic
III-1097	Me	Et	Me	-	Ph	-	Ph	(R)-
III-1098	Me	Me	Me	-	Ph	CH ₂	CHF ₂	racemic
III-1099	Me	Me	Me	-	Ph	CH ₂	CHF ₂	(S)-
III-1100	Me	Me	Me	-	Ph	CH ₂	Et	racemic

[0074] [Table 59]

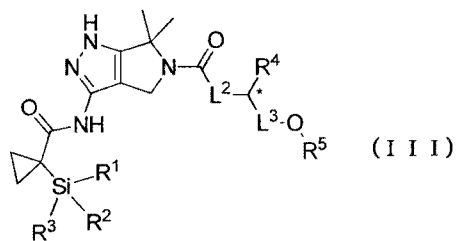


Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁵	Configuration
III-1101	Me	Me	Me	-	Ph	CH ₂	Et	(S)-
III-1102	Me	Me	Me	-	Ph	CH ₂	Ph	racemic
III-1103	Me	Me	Me	-	Ph	CH ₂	Ph	(R)-
III-1104	Me	Me	Me	-	Ph	(CH ₂) ₂	H	racemic
III-1105	Me	Me	Me	-	Ph	(CH ₂) ₂	H	(S)-
III-1106	Me	Me	Me	-	Ph	(CH ₂) ₂	Me	racemic
III-1107	Me	Me	Me	-	Ph	(CH ₂) ₂	Me	(S)-
III-1108	Me	Me	Me	-	Ph	(CH ₂) ₂	CHF ₂	racemic
III-1109	Me	Me	Me	-	Ph	(CH ₂) ₂	CHF ₂	(S)-
III-1110	Me	Me	Me	-	Ph	(CH ₂) ₂	Et	racemic
III-1111	Me	Me	Me	-	Ph	(CH ₂) ₂	Et	(S)-
III-1112	Me	Me	Me	-	H	-	H	
III-1113	Me	Me	Me	-	H	-	Me	
III-1114	Me	Me	Me	-	H	-	CHF ₂	
III-1115	Me	Me	Me	-	H	-	CF ₃	
III-1116	Me	Me	Me	-	H	-	Et	
III-1117	Me	Me	Me	-	H	-	nPr	
III-1118	Me	Me	Me	-	H	-	iPr	
III-1119	Me	Me	Me	-	H	-	cPr	
III-1120	Me	Me	Me	-	H	-	Ph	
III-1121	Me	Me	Me	-	Me	-	H	racemic
III-1122	Me	Me	Me	-	Me	-	H	(R)-
III-1123	Me	Me	Me	-	Me	-	Me	racemic
III-1124	Me	Me	Me	-	Me	-	Me	(R)-
III-1125	Me	Me	Me	-	Me	-	CHF ₂	racemic
III-1126	Me	Me	Me	-	Me	-	CHF ₂	(R)-
III-1127	Me	Me	Me	-	Me	-	CF ₃	racemic
III-1128	Me	Me	Me	-	Me	-	CF ₃	(R)-

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III-1129	Me	Me	Me	-	Me	-	Et	racemic
III-1130	Me	Me	Me	-	Me	-	Et	(R)-
III-1131	Me	Me	Me	-	Me	-	nPr	racemic
III-1132	Me	Me	Me	-	Me	-	nPr	(R)-
III-1133	Me	Me	Me	-	Me	-	iPr	racemic
III-1134	Me	Me	Me	-	Me	-	iPr	(R)-
III-1135	Me	Me	Me	-	Me	-	cPr	racemic
III-1136	Me	Me	Me	-	Me	-	cPr	(R)-
III-1137	Me	Me	Me	-	Me	-	cHex	racemic
III-1138	Me	Me	Me	-	Me	-	cHex	(R)-
III-1139	Me	Me	Me	-	Me	-	Ph	racemic
III-1140	Me	Me	Me	-	Me	-	Ph	(R)-
III-1141	Me	Me	Me	-	Me	-	2-F-Ph	racemic
III-1142	Me	Me	Me	-	Me	-	2-F-Ph	(R)-
III-1143	Me	Me	Me	-	Me	-	3-F-Ph	racemic
III-1144	Me	Me	Me	-	Me	-	3-F-Ph	(R)-
III-1145	Me	Me	Me	-	Me	-	4-F-Ph	racemic
III-1146	Me	Me	Me	-	Me	-	4-F-Ph	(R)-
III-1147	Me	Me	Me	-	Me	-	2-Cl-Ph	racemic
III-1148	Me	Me	Me	-	Me	-	2-Cl-Ph	(R)-
III-1149	Me	Me	Me	-	Me	-	3-Cl-Ph	racemic
III-1150	Me	Me	Me	-	Me	-	3-Cl-Ph	(R)-

[0075] [Table 60]



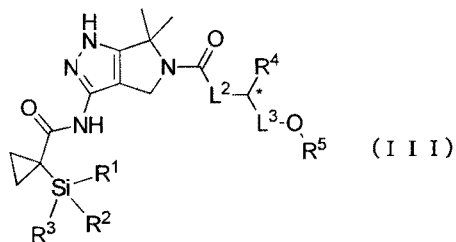
Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁵	Configuration
III-1151	Me	Me	Me	-	Me	-	4-Cl-Ph	racemic
III-1152	Me	Me	Me	-	Me	-	4-Cl-Ph	(R)-
III-1153	Me	Me	Me	-	Me	-	2-Py	racemic

III-1154	Me	Me	Me	-	Me	-	2-Py	(R)-
III-1155	Me	Me	Me	-	Me	-	3-Py	racemic
III-1156	Me	Me	Me	-	Me	-	3-Py	(R)-
III-1157	Me	Me	Me	-	Me	-	4-Py	racemic
III-1158	Me	Me	Me	-	Me	-	4-Py	(R)-
III-1159	Me	Me	Me	-	iPr	-	H	racemic
III-1160	Me	Me	Me	-	iPr	-	H	(R)-
III-1161	Me	Me	Me	-	iPr	-	Me	racemic
III-1162	Me	Me	Me	-	iPr	-	Me	(R)-
III-1163	Me	Me	Me	-	iPr	-	CHF ₂	racemic
III-1164	Me	Me	Me	-	iPr	-	CHF ₂	(R)-
III-1165	Me	Me	Me	-	iPr	-	CF ₃	racemic
III-1166	Me	Me	Me	-	iPr	-	CF ₃	(R)-
III-1167	Me	Me	Me	-	iPr	-	Et	racemic
III-1168	Me	Me	Me	-	iPr	-	Et	(R)-
III-1169	Me	Me	Me	-	iPr	-	nPr	racemic
III-1170	Me	Me	Me	-	iPr	-	nPr	(R)-
III-1171	Me	Me	Me	-	iPr	-	iPr	racemic
III-1172	Me	Me	Me	-	iPr	-	iPr	(R)-
III-1173	Me	Me	Me	-	iPr	-	cPr	racemic
III-1174	Me	Me	Me	-	iPr	-	cPr	(R)-
III-1175	Me	Me	Me	-	iPr	-	Ph	racemic
III-1176	Me	Me	Me	-	iPr	-	Ph	(R)-
III-1177	Me	Me	Me	-	CF ₃	-	H	racemic
III-1178	Me	Me	Me	-	CF ₃	-	H	(R)-
III-1179	Me	Me	Me	-	CF ₃	-	Me	racemic
III-1180	Me	Me	Me	-	CF ₃	-	Me	(R)-
III-1181	Me	Me	Me	-	CF ₃	-	CHF ₂	racemic
III-1182	Me	Me	Me	-	CF ₃	-	CHF ₂	(R)-
III-1183	Me	Me	Me	-	CF ₃	-	CF ₃	racemic
III-1184	Me	Me	Me	-	CF ₃	-	CF ₃	(R)-
III-1185	Me	Me	Me	-	CF ₃	-	Et	racemic
III-1186	Me	Me	Me	-	CF ₃	-	Et	(R)-
III-1187	Me	Me	Me	-	CF ₃	-	nPr	racemic
III-1188	Me	Me	Me	-	CF ₃	-	nPr	(R)-
III-1189	Me	Me	Me	-	CF ₃	-	iPr	racemic

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III-1190	Me	Me	Me	-	CF ₃	-	iPr	(R)-
III-1191	Me	Me	Me	-	CF ₃	-	cPr	racemic
III-1192	Me	Me	Me	-	CF ₃	-	cPr	(R)-
III-1193	Me	Me	Me	-	CF ₃	-	Ph	racemic
III-1194	Me	Me	Me	-	CF ₃	-	Ph	(R)-
III-1195	Me	Me	Me	-	CH ₂ OH	-	H	racemic
III-1196	Me	Me	Me	-	CH ₂ OH	-	H	(R)-
III-1197	Me	Me	Me	-	CH ₂ OH	-	Me	racemic
III-1198	Me	Me	Me	-	CH ₂ OH	-	Me	(R)-
III-1199	Me	Me	Me	-	CH ₂ OH	-	CHF ₂	racemic
III-1200	Me	Me	Me	-	CH ₂ OH	-	CHF ₂	(R)-

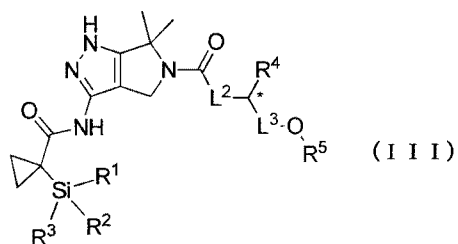
[0076] [Table 61]



Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁵	Configuration
III-1201	Me	Me	Me	-	CH ₂ OH	-	CF ₃	racemic
III-1202	Me	Me	Me	-	CH ₂ OH	-	CF ₃	(R)-
III-1203	Me	Me	Me	-	CH ₂ OH	-	Et	racemic
III-1204	Me	Me	Me	-	CH ₂ OH	-	Et	(R)-
III-1205	Me	Me	Me	-	CH ₂ OH	-	nPr	racemic
III-1206	Me	Me	Me	-	CH ₂ OH	-	nPr	(R)-
III-1207	Me	Me	Me	-	CH ₂ OH	-	iPr	racemic
III-1208	Me	Me	Me	-	CH ₂ OH	-	iPr	(R)-
III-1209	Me	Me	Me	-	CH ₂ OH	-	cPr	racemic
III-1210	Me	Me	Me	-	CH ₂ OH	-	cPr	(R)-
III-1211	Me	Me	Me	-	CH ₂ OH	-	Ph	racemic
III-1212	Me	Me	Me	-	CH ₂ OH	-	Ph	(R)-
III-1213	Me	Me	Me	-	CH ₂ OMe	-	H	racemic
III-1214	Me	Me	Me	-	CH ₂ OMe	-	H	(R)-

III-1215	Me	Me	Me	-	CH ₂ OMe	-	Me	racemic
III-1216	Me	Me	Me	-	CH ₂ OMe	-	Me	(R)-
III-1217	Me	Me	Me	-	CH ₂ OMe	-	CHF ₂	racemic
III-1218	Me	Me	Me	-	CH ₂ OMe	-	CHF ₂	(R)-
III-1219	Me	Me	Me	-	CH ₂ OMe	-	CF ₃	racemic
III-1220	Me	Me	Me	-	CH ₂ OMe	-	CF ₃	(R)-
III-1221	Me	Me	Me	-	CH ₂ OMe	-	Et	racemic
III-1222	Me	Me	Me	-	CH ₂ OMe	-	Et	(R)-
III-1223	Me	Me	Me	-	CH ₂ OMe	-	nPr	racemic
III-1224	Me	Me	Me	-	CH ₂ OMe	-	nPr	(R)-
III-1225	Me	Me	Me	-	CH ₂ OMe	-	iPr	racemic
III-1226	Me	Me	Me	-	CH ₂ OMe	-	iPr	(R)-
III-1227	Me	Me	Me	-	CH ₂ OMe	-	cPr	racemic
III-1228	Me	Me	Me	-	CH ₂ OMe	-	cPr	(R)-
III-1229	Me	Me	Me	-	CH ₂ OMe	-	Ph	racemic
III-1230	Me	Me	Me	-	CH ₂ OMe	-	Ph	(R)-
III-1231	Me	Me	Me	-	CH ₂ OBn	-	H	racemic
III-1232	Me	Me	Me	-	CH ₂ OBn	-	H	(R)-
III-1233	Me	Me	Me	-	CH ₂ OBn	-	Me	racemic
III-1234	Me	Me	Me	-	CH ₂ OBn	-	Me	(R)-
III-1235	Me	Me	Me	-	CH ₂ OBn	-	Ph	racemic
III-1236	Me	Me	Me	-	CH ₂ OBn	-	Ph	(R)-
III-1237	Me	Me	Me	-	CH ₂ NMe ₂	-	H	racemic
III-1238	Me	Me	Me	-	CH ₂ NMe ₂	-	H	(R)-
III-1239	Me	Me	Me	-	CH ₂ NMe ₂	-	Me	racemic
III-1240	Me	Me	Me	-	CH ₂ NMe ₂	-	Me	(R)-
III-1241	Me	Me	Me	-	CH ₂ NMe ₂	-	CHF ₂	racemic
III-1242	Me	Me	Me	-	CH ₂ NMe ₂	-	CHF ₂	(R)-
III-1243	Me	Me	Me	-	CH ₂ NMe ₂	-	CF ₃	racemic
III-1244	Me	Me	Me	-	CH ₂ NMe ₂	-	CF ₃	(R)-
III-1245	Me	Me	Me	-	CH ₂ NMe ₂	-	Et	racemic
III-1246	Me	Me	Me	-	CH ₂ NMe ₂	-	Et	(R)-
III-1247	Me	Me	Me	-	CH ₂ NMe ₂	-	nPr	racemic
III-1248	Me	Me	Me	-	CH ₂ NMe ₂	-	nPr	(R)-
III-1249	Me	Me	Me	-	CH ₂ NMe ₂	-	iPr	racemic
III-1250	Me	Me	Me	-	CH ₂ NMe ₂	-	iPr	(R)-

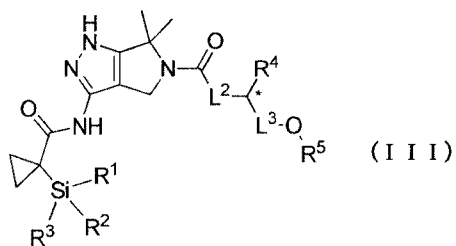
[0077] [Table 62]



Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁵	Configuration
III-1251	Me	Me	Me	-	CH ₂ NMe ₂	-	cPr	racemic
III-1252	Me	Me	Me	-	CH ₂ NMe ₂	-	cPr	(R)-
III-1253	Me	Me	Me	-	CH ₂ NMe ₂	-	Ph	racemic
III-1254	Me	Me	Me	-	CH ₂ NMe ₂	-	Ph	(R)-
III-1255	Me	Me	Me	-	CH ₂ -(3,3-difluoro pyrrolidyl)	-	H	racemic
III-1256	Me	Me	Me	-	CH ₂ -(3,3-difluoro pyrrolidyl)	-	H	(R)-
III-1257	Me	Me	Me	-	CH ₂ -(3,3-difluoro pyrrolidyl)	-	Me	racemic
III-1258	Me	Me	Me	-	CH ₂ -(3,3-difluoro pyrrolidyl)	-	Me	(R)-
III-1259	Me	Me	Me	-	CH ₂ -(3,3-difluoro pyrrolidyl)	-	Ph	racemic
III-1260	Me	Me	Me	-	CH ₂ -(3,3-difluoro pyrrolidyl)	-	Ph	(R)-
III-1261	Me	Me	Me	-	2-F-Ph	-	H	racemic
III-1262	Me	Me	Me	-	2-F-Ph	-	H	(R)-
III-1263	Me	Me	Me	-	2-F-Ph	-	Me	racemic
III-1264	Me	Me	Me	-	2-F-Ph	-	Me	(R)-
III-1265	Me	Me	Me	-	2-F-Ph	-	CHF ₂	racemic
III-1266	Me	Me	Me	-	2-F-Ph	-	CHF ₂	(R)-
III-1267	Me	Me	Me	-	2-F-Ph	-	CF ₃	racemic
III-1268	Me	Me	Me	-	2-F-Ph	-	CF ₃	(R)-
III-1269	Me	Me	Me	-	2-F-Ph	-	Et	racemic
III-1270	Me	Me	Me	-	2-F-Ph	-	Et	(R)-
III-1271	Me	Me	Me	-	2-F-Ph	-	nPr	racemic
III-1272	Me	Me	Me	-	2-F-Ph	-	nPr	(R)-
III-1273	Me	Me	Me	-	2-F-Ph	-	iPr	racemic

III-1274	Me	Me	Me	-	2-F-Ph	-	iPr	(R)-
III-1275	Me	Me	Me	-	2-F-Ph	-	cPr	racemic
III-1276	Me	Me	Me	-	2-F-Ph	-	cPr	(R)-
III-1277	Me	Me	Me	-	3-F-Ph	-	H	racemic
III-1278	Me	Me	Me	-	3-F-Ph	-	H	(R)-
III-1279	Me	Me	Me	-	3-F-Ph	-	Me	racemic
III-1280	Me	Me	Me	-	3-F-Ph	-	Me	(R)-
III-1281	Me	Me	Me	-	3-F-Ph	-	CHF ₂	racemic
III-1282	Me	Me	Me	-	3-F-Ph	-	CHF ₂	(R)-
III-1283	Me	Me	Me	-	3-F-Ph	-	CF ₃	racemic
III-1284	Me	Me	Me	-	3-F-Ph	-	CF ₃	(R)-
III-1285	Me	Me	Me	-	3-F-Ph	-	Et	racemic
III-1286	Me	Me	Me	-	3-F-Ph	-	Et	(R)-
III-1287	Me	Me	Me	-	3-F-Ph	-	nPr	racemic
III-1288	Me	Me	Me	-	3-F-Ph	-	nPr	(R)-
III-1289	Me	Me	Me	-	3-F-Ph	-	iPr	racemic
III-1290	Me	Me	Me	-	3-F-Ph	-	iPr	(R)-
III-1291	Me	Me	Me	-	3-F-Ph	-	cPr	racemic
III-1292	Me	Me	Me	-	3-F-Ph	-	cPr	(R)-
III-1293	Me	Me	Me	-	4-F-Ph	-	H	racemic
III-1294	Me	Me	Me	-	4-F-Ph	-	H	(R)-
III-1295	Me	Me	Me	-	4-F-Ph	-	Me	racemic
III-1296	Me	Me	Me	-	4-F-Ph	-	Me	(R)-
III-1297	Me	Me	Me	-	4-F-Ph	-	CHF ₂	racemic
III-1298	Me	Me	Me	-	4-F-Ph	-	CHF ₂	(R)-
III-1299	Me	Me	Me	-	4-F-Ph	-	CF ₃	racemic
III-1300	Me	Me	Me	-	4-F-Ph	-	CF ₃	(R)-

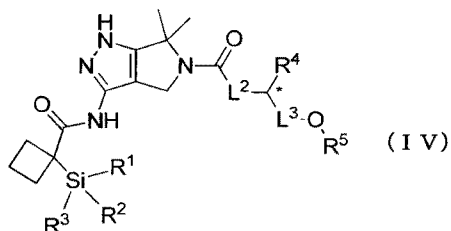
[0078] [Table 63]



Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁵	Configuration
III-1301	Me	Me	Me	-	4-F-Ph	-	Et	racemic
III-1302	Me	Me	Me	-	4-F-Ph	-	Et	(R)-
III-1303	Me	Me	Me	-	4-F-Ph	-	nPr	racemic
III-1304	Me	Me	Me	-	4-F-Ph	-	nPr	(R)-
III-1305	Me	Me	Me	-	4-F-Ph	-	iPr	racemic
III-1306	Me	Me	Me	-	4-F-Ph	-	iPr	(R)-
III-1307	Me	Me	Me	-	4-F-Ph	-	cPr	racemic
III-1308	Me	Me	Me	-	4-F-Ph	-	cPr	(R)-
III-1309	Me	Me	Me	-	2-thienyl	-	H	racemic
III-1310	Me	Me	Me	-	2-thienyl	-	H	(S)-
III-1311	Me	Me	Me	-	2-thienyl	-	Me	racemic
III-1312	Me	Me	Me	-	2-thienyl	-	Me	(S)-
III-1313	Me	Me	Me	-	2-thienyl	-	CHF ₂	racemic
III-1314	Me	Me	Me	-	2-thienyl	-	CHF ₂	(S)-
III-1315	Me	Me	Me	-	2-thienyl	-	CF ₃	racemic
III-1316	Me	Me	Me	-	2-thienyl	-	CF ₃	(S)-
III-1317	Me	Me	Me	-	2-thienyl	-	Et	racemic
III-1318	Me	Me	Me	-	2-thienyl	-	Et	(S)-
III-1319	Me	Me	Me	-	2-thienyl	-	nPr	racemic
III-1320	Me	Me	Me	-	2-thienyl	-	nPr	(S)-
III-1321	Me	Me	Me	-	2-thienyl	-	iPr	racemic
III-1322	Me	Me	Me	-	2-thienyl	-	iPr	(S)-
III-1323	Me	Me	Me	-	2-thienyl	-	cPr	racemic
III-1324	Me	Me	Me	-	2-thienyl	-	cPr	(S)-
III-1325	Me	Me	Me	-	3-thienyl	-	H	racemic
III-1326	Me	Me	Me	-	3-thienyl	-	H	(R)-
III-1327	Me	Me	Me	-	3-thienyl	-	Me	racemic
III-1328	Me	Me	Me	-	3-thienyl	-	Me	(R)-
III-1329	Me	Me	Me	-	3-thienyl	-	CHF ₂	racemic
III-1330	Me	Me	Me	-	3-thienyl	-	CHF ₂	(R)-
III-1331	Me	Me	Me	-	3-thienyl	-	CF ₃	racemic
III-1332	Me	Me	Me	-	3-thienyl	-	CF ₃	(R)-
III-1333	Me	Me	Me	-	3-thienyl	-	Et	racemic
III-1334	Me	Me	Me	-	3-thienyl	-	Et	(R)-

III-1335	Me	Me	Me	-	3-thienyl	-	nPr	racemic
III-1336	Me	Me	Me	-	3-thienyl	-	nPr	(R)-
III-1337	Me	Me	Me	-	3-thienyl	-	iPr	racemic
III-1338	Me	Me	Me	-	3-thienyl	-	iPr	(R)-
III-1339	Me	Me	Me	-	3-thienyl	-	cPr	racemic
III-1340	Me	Me	Me	-	3-thienyl	-	cPr	(R)-

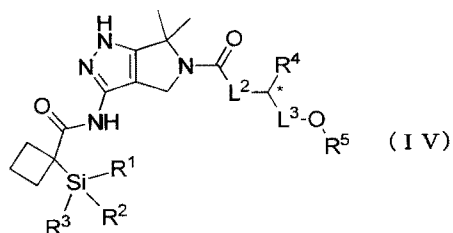
[0079] [Table 64]



Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁵	Configuration
IV-1	Me	Me	Me	O	Ph	CH ₂	H	racemic
IV-2	Me	Me	Me	O	Ph	CH ₂	H	(S)-
IV-3	Me	Et	Me	O	Ph	CH ₂	H	racemic
IV-4	Me	Et	Me	O	Ph	CH ₂	H	(S)-
IV-5	Me	Me	Me	O	Ph	CH ₂	Me	racemic
IV-6	Me	Me	Me	O	Ph	CH ₂	Me	(S)-
IV-7	Me	Et	Me	O	Ph	CH ₂	Me	racemic
IV-8	Me	Et	Me	O	Ph	CH ₂	Me	(S)-
IV-9	Me	Me	Me	O	Ph	CH ₂	CHF ₂	racemic
IV-10	Me	Me	Me	O	Ph	CH ₂	CHF ₂	(S)-
IV-11	Me	Et	Me	O	Ph	CH ₂	CHF ₂	racemic
IV-12	Me	Et	Me	O	Ph	CH ₂	CHF ₂	(S)-
IV-13	Me	Me	Me	O	Ph	CH ₂	Et	racemic
IV-14	Me	Me	Me	O	Ph	CH ₂	Et	(S)-
IV-15	Me	Et	Me	O	Ph	CH ₂	Et	racemic
IV-16	Me	Et	Me	O	Ph	CH ₂	Et	(S)-
IV-17	Me	Me	Me	O	Ph	CH ₂	iPr	racemic
IV-18	Me	Me	Me	O	Ph	CH ₂	iPr	(S)-
IV-19	Me	Et	Me	O	Ph	CH ₂	iPr	racemic

IV-20	Me	Et	Me	O	Ph	CH ₂	iPr	(S)-
IV-21	Me	Me	Me	O	Ph	CH ₂	cPr	racemic
IV-22	Me	Me	Me	O	Ph	CH ₂	cPr	(S)-
IV-23	Me	Et	Me	O	Ph	CH ₂	cPr	racemic
IV-24	Me	Et	Me	O	Ph	CH ₂	cPr	(S)-
IV-25	Me	Me	Me	O	Ph	CH ₂	Ph	racemic
IV-26	Me	Me	Me	O	Ph	CH ₂	Ph	(S)-
IV-27	Me	Et	Me	O	Ph	CH ₂	Ph	racemic
IV-28	Me	Et	Me	O	Ph	CH ₂	Ph	(S)-
IV-29	Me	Me	Me	O	Ph	C(Me) ₂	H	racemic
IV-30	Me	Me	Me	O	Ph	C(Me) ₂	H	(S)-
IV-31	Me	Et	Me	O	Ph	C(Me) ₂	H	racemic
IV-32	Me	Et	Me	O	Ph	C(Me) ₂	H	(S)-
IV-33	Me	Me	Me	O	Ph	C(Me) ₂	Me	racemic
IV-34	Me	Me	Me	O	Ph	C(Me) ₂	Me	(S)-
IV-35	Me	Et	Me	O	Ph	C(Me) ₂	Me	racemic
IV-36	Me	Et	Me	O	Ph	C(Me) ₂	Me	(S)-
IV-37	Me	Me	Me	O	Ph	C(Me) ₂	CHF ₂	racemic
IV-38	Me	Me	Me	O	Ph	C(Me) ₂	CHF ₂	(S)-
IV-39	Me	Et	Me	O	Ph	C(Me) ₂	CHF ₂	racemic
IV-40	Me	Et	Me	O	Ph	C(Me) ₂	CHF ₂	(S)-
IV-41	Me	Me	Me	O	Ph	C(Me) ₂	Et	racemic
IV-42	Me	Me	Me	O	Ph	C(Me) ₂	Et	(S)-
IV-43	Me	Et	Me	O	Ph	C(Me) ₂	Et	racemic
IV-44	Me	Et	Me	O	Ph	C(Me) ₂	Et	(S)-
IV-45	Me	Me	Me	O	Ph	C(Me) ₂	iPr	racemic
IV-46	Me	Me	Me	O	Ph	C(Me) ₂	iPr	(S)-
IV-47	Me	Et	Me	O	Ph	C(Me) ₂	iPr	racemic
IV-48	Me	Et	Me	O	Ph	C(Me) ₂	iPr	(S)-
IV-49	Me	Me	Me	O	Ph	C(Me) ₂	cPr	racemic
IV-50	Me	Me	Me	O	Ph	C(Me) ₂	cPr	(S)-

[0080] [Table 65]

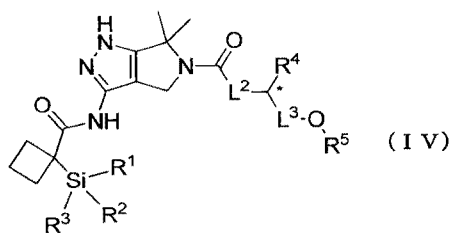


Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁵	Configuration
IV-51	Me	Et	Me	O	Ph	C(Me) ₂	cPr	racemic
IV-52	Me	Et	Me	O	Ph	C(Me) ₂	cPr	(S)-
IV-53	Me	Me	Me	O	Ph	C(Me) ₂	Ph	racemic
IV-54	Me	Me	Me	O	Ph	C(Me) ₂	Ph	(S)-
IV-55	Me	Et	Me	O	Ph	C(Me) ₂	Ph	racemic
IV-56	Me	Et	Me	O	Ph	C(Me) ₂	Ph	(S)-
IV-57	Me	Me	Me	O	Ph	(CH ₂) ₂	H	racemic
IV-58	Me	Me	Me	O	Ph	(CH ₂) ₂	H	(R)-
IV-59	Me	Et	Me	O	Ph	(CH ₂) ₂	H	racemic
IV-60	Me	Et	Me	O	Ph	(CH ₂) ₂	H	(R)-
IV-61	Me	Me	Me	O	Ph	(CH ₂) ₂	Me	racemic
IV-62	Me	Me	Me	O	Ph	(CH ₂) ₂	Me	(R)-
IV-63	Me	Et	Me	O	Ph	(CH ₂) ₂	Me	racemic
IV-64	Me	Et	Me	O	Ph	(CH ₂) ₂	Me	(R)-
IV-65	Me	Me	Me	O	Ph	(CH ₂) ₂	CHF ₂	racemic
IV-66	Me	Me	Me	O	Ph	(CH ₂) ₂	CHF ₂	(R)-
IV-67	Me	Et	Me	O	Ph	(CH ₂) ₂	CHF ₂	racemic
IV-68	Me	Et	Me	O	Ph	(CH ₂) ₂	CHF ₂	(R)-
IV-69	Me	Me	Me	O	Ph	(CH ₂) ₂	Et	racemic
IV-70	Me	Me	Me	O	Ph	(CH ₂) ₂	Et	(R)-
IV-71	Me	Et	Me	O	Ph	(CH ₂) ₂	Et	racemic
IV-72	Me	Et	Me	O	Ph	(CH ₂) ₂	Et	(R)-
IV-73	Me	Me	Me	O	Ph	(CH ₂) ₂	iPr	racemic
IV-74	Me	Me	Me	O	Ph	(CH ₂) ₂	iPr	(R)-
IV-75	Me	Et	Me	O	Ph	(CH ₂) ₂	iPr	racemic
IV-76	Me	Et	Me	O	Ph	(CH ₂) ₂	iPr	(R)-
IV-77	Me	Me	Me	O	Ph	(CH ₂) ₂	cPr	racemic
IV-78	Me	Me	Me	O	Ph	(CH ₂) ₂	cPr	(R)-

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IV-79	Me	Et	Me	O	Ph	(CH ₂) ₂	cPr	racemic
IV-80	Me	Et	Me	O	Ph	(CH ₂) ₂	cPr	(R)-
IV-81	Me	Me	Me	O	Ph	(CH ₂) ₂	Ph	racemic
IV-82	Me	Me	Me	O	Ph	(CH ₂) ₂	Ph	(R)-
IV-83	Me	Et	Me	O	Ph	(CH ₂) ₂	Ph	racemic
IV-84	Me	Et	Me	O	Ph	(CH ₂) ₂	Ph	(R)-
IV-85	Me	Me	Me	O	Ph	CH ₂ C(Me) ₂	H	racemic
IV-86	Me	Me	Me	O	Ph	CH ₂ C(Me) ₂	H	(R)-
IV-87	Me	Et	Me	O	Ph	CH ₂ C(Me) ₂	H	racemic
IV-88	Me	Et	Me	O	Ph	CH ₂ C(Me) ₂	H	(R)-
IV-89	Me	Me	Me	O	Ph	CH ₂ C(Me) ₂	Me	racemic
IV-90	Me	Me	Me	O	Ph	CH ₂ C(Me) ₂	Me	(R)-
IV-91	Me	Et	Me	O	Ph	CH ₂ C(Me) ₂	Me	racemic
IV-92	Me	Et	Me	O	Ph	CH ₂ C(Me) ₂	Me	(R)-
IV-93	Me	Me	Me	O	Ph	CH ₂ C(Me) ₂	CHF ₂	racemic
IV-94	Me	Me	Me	O	Ph	CH ₂ C(Me) ₂	CHF ₂	(R)-
IV-95	Me	Et	Me	O	Ph	CH ₂ C(Me) ₂	CHF ₂	racemic
IV-96	Me	Et	Me	O	Ph	CH ₂ C(Me) ₂	CHF ₂	(R)-
IV-97	Me	Me	Me	O	Ph	CH ₂ C(Me) ₂	Et	racemic
IV-98	Me	Me	Me	O	Ph	CH ₂ C(Me) ₂	Et	(R)-
IV-99	Me	Et	Me	O	Ph	CH ₂ C(Me) ₂	Et	racemic
IV-100	Me	Et	Me	O	Ph	CH ₂ C(Me) ₂	Et	(R)-

[0081] [Table 66]

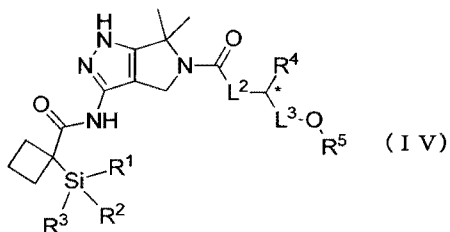


Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁵	Configuration
IV-101	Me	Me	Me	O	Ph	CH ₂ C(Me) ₂	iPr	racemic
IV-102	Me	Me	Me	O	Ph	CH ₂ C(Me) ₂	iPr	(R)-
IV-103	Me	Et	Me	O	Ph	CH ₂ C(Me) ₂	iPr	racemic

IV-104	Me	Et	Me	O	Ph	CH ₂ C(Me) ₂	iPr	(R)-
IV-105	Me	Me	Me	O	Ph	CH ₂ C(Me) ₂	cPr	racemic
IV-106	Me	Me	Me	O	Ph	CH ₂ C(Me) ₂	cPr	(R)-
IV-107	Me	Et	Me	O	Ph	CH ₂ C(Me) ₂	cPr	racemic
IV-108	Me	Et	Me	O	Ph	CH ₂ C(Me) ₂	cPr	(R)-
IV-109	Me	Me	Me	O	Ph	CH ₂ C(Me) ₂	Ph	racemic
IV-110	Me	Me	Me	O	Ph	CH ₂ C(Me) ₂	Ph	(R)-
IV-111	Me	Et	Me	O	Ph	CH ₂ C(Me) ₂	Ph	racemic
IV-112	Me	Et	Me	O	Ph	CH ₂ C(Me) ₂	Ph	(R)-
IV-113	Me	Me	Me	NH	Ph	CH ₂	H	racemic
IV-114	Me	Me	Me	NH	Ph	CH ₂	H	(S)-
IV-115	Me	Me	Me	NH	Ph	CH ₂	H	(R)-
IV-116	Me	Et	Me	NH	Ph	CH ₂	H	racemic
IV-117	Me	Et	Me	NH	Ph	CH ₂	H	(S)-
IV-118	Me	Me	Me	N(Me)	Ph	CH ₂	H	racemic
IV-119	Me	Me	Me	N(Me)	Ph	CH ₂	H	(S)-
IV-120	Me	Et	Me	N(Me)	Ph	CH ₂	H	racemic
IV-121	Me	Et	Me	N(Me)	Ph	CH ₂	H	(S)-
IV-122	Me	Me	Me	NH	Ph	CH ₂	Me	racemic
IV-123	Me	Me	Me	NH	Ph	CH ₂	Me	(S)-
IV-124	Me	Et	Me	NH	Ph	CH ₂	Me	racemic
IV-125	Me	Et	Me	NH	Ph	CH ₂	Me	(S)-
IV-126	Me	Me	Me	N(Me)	Ph	CH ₂	Me	racemic
IV-127	Me	Me	Me	N(Me)	Ph	CH ₂	Me	(S)-
IV-128	Me	Et	Me	N(Me)	Ph	CH ₂	Me	racemic
IV-129	Me	Et	Me	N(Me)	Ph	CH ₂	Me	(S)-
IV-130	Me	Me	Me	NH	Ph	CH ₂	CHF ₂	racemic
IV-131	Me	Me	Me	NH	Ph	CH ₂	CHF ₂	(S)-
IV-132	Me	Et	Me	NH	Ph	CH ₂	CHF ₂	racemic
IV-133	Me	Et	Me	NH	Ph	CH ₂	CHF ₂	(S)-
IV-134	Me	Me	Me	N(Me)	Ph	CH ₂	CHF ₂	racemic
IV-135	Me	Me	Me	N(Me)	Ph	CH ₂	CHF ₂	(S)-
IV-136	Me	Et	Me	N(Me)	Ph	CH ₂	CHF ₂	racemic
IV-137	Me	Et	Me	N(Me)	Ph	CH ₂	CHF ₂	(S)-
IV-138	Me	Me	Me	NH	Ph	CH ₂	Et	racemic
IV-139	Me	Me	Me	NH	Ph	CH ₂	Et	(S)-

IV-140	Me	Et	Me	NH	Ph	CH ₂	Et	racemic
IV-141	Me	Et	Me	NH	Ph	CH ₂	Et	(S)-
IV-142	Me	Me	Me	N(Me)	Ph	CH ₂	Et	racemic
IV-143	Me	Me	Me	N(Me)	Ph	CH ₂	Et	(S)-
IV-144	Me	Et	Me	N(Me)	Ph	CH ₂	Et	racemic
IV-145	Me	Et	Me	N(Me)	Ph	CH ₂	Et	(S)-
IV-146	Me	Me	Me	NH	Ph	CH ₂	iPr	racemic
IV-147	Me	Me	Me	NH	Ph	CH ₂	iPr	(S)-
IV-148	Me	Et	Me	NH	Ph	CH ₂	iPr	racemic
IV-149	Me	Et	Me	NH	Ph	CH ₂	iPr	(S)-
IV-150	Me	Me	Me	N(Me)	Ph	CH ₂	iPr	racemic

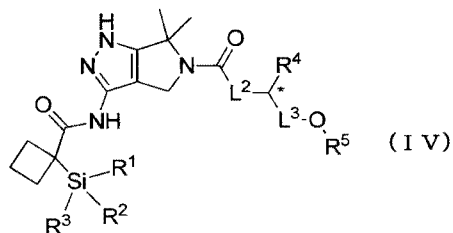
[0082] [Table 67]



Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁵	Configuration
IV-151	Me	Me	Me	N(Me)	Ph	CH ₂	iPr	(S)-
IV-152	Me	Et	Me	N(Me)	Ph	CH ₂	iPr	racemic
IV-153	Me	Et	Me	N(Me)	Ph	CH ₂	iPr	(S)-
IV-154	Me	Me	Me	NH	Ph	CH ₂	cPr	racemic
IV-155	Me	Me	Me	NH	Ph	CH ₂	cPr	(S)-
IV-156	Me	Et	Me	NH	Ph	CH ₂	cPr	racemic
IV-157	Me	Et	Me	NH	Ph	CH ₂	cPr	(S)-
IV-158	Me	Me	Me	N(Me)	Ph	CH ₂	cPr	racemic
IV-159	Me	Me	Me	N(Me)	Ph	CH ₂	cPr	(S)-
IV-160	Me	Et	Me	N(Me)	Ph	CH ₂	cPr	racemic
IV-161	Me	Et	Me	N(Me)	Ph	CH ₂	cPr	(S)-
IV-162	Me	Me	Me	NH	Ph	CH ₂	Ph	racemic
IV-163	Me	Me	Me	NH	Ph	CH ₂	Ph	(S)-
IV-164	Me	Et	Me	NH	Ph	CH ₂	Ph	racemic

IV-165	Me	Et	Me	NH	Ph	CH ₂	Ph	(S)-
IV-166	Me	Me	Me	N(Me)	Ph	CH ₂	Ph	racemic
IV-167	Me	Me	Me	N(Me)	Ph	CH ₂	Ph	(S)-
IV-168	Me	Et	Me	N(Me)	Ph	CH ₂	Ph	racemic
IV-169	Me	Et	Me	N(Me)	Ph	CH ₂	Ph	(S)-
IV-170	Me	Me	Me	NH	Ph	C(Me) ₂	H	racemic
IV-171	Me	Me	Me	NH	Ph	C(Me) ₂	H	(S)-
IV-172	Me	Et	Me	NH	Ph	C(Me) ₂	H	racemic
IV-173	Me	Et	Me	NH	Ph	C(Me) ₂	H	(S)-
IV-174	Me	Me	Me	N(Me)	Ph	C(Me) ₂	H	racemic
IV-175	Me	Me	Me	N(Me)	Ph	C(Me) ₂	H	(S)-
IV-176	Me	Et	Me	N(Me)	Ph	C(Me) ₂	H	racemic
IV-177	Me	Et	Me	N(Me)	Ph	C(Me) ₂	H	(S)-
IV-178	Me	Me	Me	NH	Ph	C(Me) ₂	Me	racemic
IV-179	Me	Me	Me	NH	Ph	C(Me) ₂	Me	(S)-
IV-180	Me	Et	Me	NH	Ph	C(Me) ₂	Me	racemic
IV-181	Me	Et	Me	NH	Ph	C(Me) ₂	Me	(S)-
IV-182	Me	Me	Me	N(Me)	Ph	C(Me) ₂	Me	racemic
IV-183	Me	Me	Me	N(Me)	Ph	C(Me) ₂	Me	(S)-
IV-184	Me	Et	Me	N(Me)	Ph	C(Me) ₂	Me	racemic
IV-185	Me	Et	Me	N(Me)	Ph	C(Me) ₂	Me	(S)-
IV-186	Me	Me	Me	NH	Ph	C(Me) ₂	CHF ₂	racemic
IV-187	Me	Me	Me	NH	Ph	C(Me) ₂	CHF ₂	(S)-
IV-188	Me	Et	Me	NH	Ph	C(Me) ₂	CHF ₂	racemic
IV-189	Me	Et	Me	NH	Ph	C(Me) ₂	CHF ₂	(S)-
IV-190	Me	Me	Me	N(Me)	Ph	C(Me) ₂	CHF ₂	racemic
IV-191	Me	Me	Me	N(Me)	Ph	C(Me) ₂	CHF ₂	(S)-
IV-192	Me	Et	Me	N(Me)	Ph	C(Me) ₂	CHF ₂	racemic
IV-193	Me	Et	Me	N(Me)	Ph	C(Me) ₂	CHF ₂	(S)-
IV-194	Me	Me	Me	NH	Ph	C(Me) ₂	Et	racemic
IV-195	Me	Me	Me	NH	Ph	C(Me) ₂	Et	(S)-
IV-196	Me	Et	Me	NH	Ph	C(Me) ₂	Et	racemic
IV-197	Me	Et	Me	NH	Ph	C(Me) ₂	Et	(S)-
IV-198	Me	Me	Me	N(Me)	Ph	C(Me) ₂	Et	racemic
IV-199	Me	Me	Me	N(Me)	Ph	C(Me) ₂	Et	(S)-
IV-200	Me	Et	Me	N(Me)	Ph	C(Me) ₂	Et	racemic

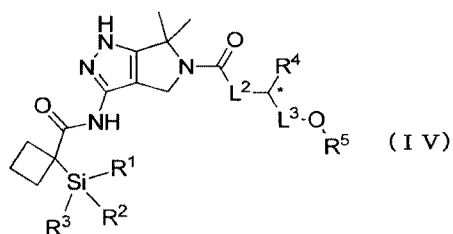
[0083] [Table 68]



Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁵	Configuration
IV-201	Me	Et	Me	N(Me)	Ph	C(Me) ₂	Et	(S)-
IV-202	Me	Me	Me	NH	Ph	C(Me) ₂	iPr	racemic
IV-203	Me	Me	Me	NH	Ph	C(Me) ₂	iPr	(S)-
IV-204	Me	Et	Me	NH	Ph	C(Me) ₂	iPr	racemic
IV-205	Me	Et	Me	NH	Ph	C(Me) ₂	iPr	(S)-
IV-206	Me	Me	Me	N(Me)	Ph	C(Me) ₂	iPr	racemic
IV-207	Me	Me	Me	N(Me)	Ph	C(Me) ₂	iPr	(S)-
IV-208	Me	Et	Me	N(Me)	Ph	C(Me) ₂	iPr	racemic
IV-209	Me	Et	Me	N(Me)	Ph	C(Me) ₂	iPr	(S)-
IV-210	Me	Me	Me	NH	Ph	C(Me) ₂	cPr	racemic
IV-211	Me	Me	Me	NH	Ph	C(Me) ₂	cPr	(S)-
IV-212	Me	Et	Me	NH	Ph	C(Me) ₂	cPr	racemic
IV-213	Me	Et	Me	NH	Ph	C(Me) ₂	cPr	(S)-
IV-214	Me	Me	Me	N(Me)	Ph	C(Me) ₂	cPr	racemic
IV-215	Me	Me	Me	N(Me)	Ph	C(Me) ₂	cPr	(S)-
IV-216	Me	Et	Me	N(Me)	Ph	C(Me) ₂	cPr	racemic
IV-217	Me	Et	Me	N(Me)	Ph	C(Me) ₂	cPr	(S)-
IV-218	Me	Me	Me	NH	Ph	C(Me) ₂	Ph	racemic
IV-219	Me	Me	Me	NH	Ph	C(Me) ₂	Ph	(S)-
IV-220	Me	Et	Me	NH	Ph	C(Me) ₂	Ph	racemic
IV-221	Me	Et	Me	NH	Ph	C(Me) ₂	Ph	(S)-
IV-222	Me	Me	Me	N(Me)	Ph	C(Me) ₂	Ph	racemic
IV-223	Me	Me	Me	N(Me)	Ph	C(Me) ₂	Ph	(S)-
IV-224	Me	Et	Me	N(Me)	Ph	C(Me) ₂	Ph	racemic
IV-225	Me	Et	Me	N(Me)	Ph	C(Me) ₂	Ph	(S)-

IV-226	Me	Me	Me	NH	Ph	(CH ₂) ₂	H	racemic
IV-227	Me	Me	Me	NH	Ph	(CH ₂) ₂	H	(R)-
IV-228	Me	Et	Me	NH	Ph	(CH ₂) ₂	H	racemic
IV-229	Me	Et	Me	NH	Ph	(CH ₂) ₂	H	(R)-
IV-230	Me	Me	Me	N(Me)	Ph	(CH ₂) ₂	H	racemic
IV-231	Me	Me	Me	N(Me)	Ph	(CH ₂) ₂	H	(R)-
IV-232	Me	Et	Me	N(Me)	Ph	(CH ₂) ₂	H	racemic
IV-233	Me	Et	Me	N(Me)	Ph	(CH ₂) ₂	H	(R)-
IV-234	Me	Me	Me	NH	Ph	(CH ₂) ₂	Me	racemic
IV-235	Me	Me	Me	NH	Ph	(CH ₂) ₂	Me	(R)-
IV-236	Me	Et	Me	NH	Ph	(CH ₂) ₂	Me	racemic
IV-237	Me	Et	Me	NH	Ph	(CH ₂) ₂	Me	(R)-
IV-238	Me	Me	Me	N(Me)	Ph	(CH ₂) ₂	Me	racemic
IV-239	Me	Me	Me	N(Me)	Ph	(CH ₂) ₂	Me	(R)-
IV-240	Me	Et	Me	N(Me)	Ph	(CH ₂) ₂	Me	racemic
IV-241	Me	Et	Me	N(Me)	Ph	(CH ₂) ₂	Me	(R)-
IV-242	Me	Me	Me	NH	Ph	(CH ₂) ₂	CHF ₂	racemic
IV-243	Me	Me	Me	NH	Ph	(CH ₂) ₂	CHF ₂	(R)-
IV-244	Me	Et	Me	NH	Ph	(CH ₂) ₂	CHF ₂	racemic
IV-245	Me	Et	Me	NH	Ph	(CH ₂) ₂	CHF ₂	(R)-
IV-246	Me	Me	Me	N(Me)	Ph	(CH ₂) ₂	CHF ₂	racemic
IV-247	Me	Me	Me	N(Me)	Ph	(CH ₂) ₂	CHF ₂	(R)-
IV-248	Me	Et	Me	N(Me)	Ph	(CH ₂) ₂	CHF ₂	racemic
IV-249	Me	Et	Me	N(Me)	Ph	(CH ₂) ₂	CHF ₂	(R)-
IV-250	Me	Me	Me	NH	Ph	(CH ₂) ₂	Et	racemic

[0084] [Table 69]

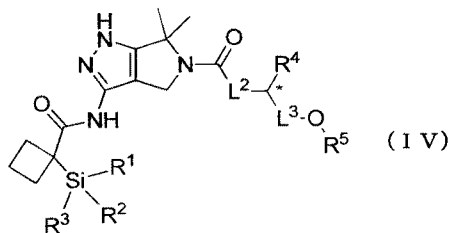


Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁵	Configuration
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IV-251	Me	Me	Me	NH	Ph	(CH ₂) ₂	Et	(R)-
IV-252	Me	Et	Me	NH	Ph	(CH ₂) ₂	Et	racemic
IV-253	Me	Et	Me	NH	Ph	(CH ₂) ₂	Et	(R)-
IV-254	Me	Me	Me	N(Me)	Ph	(CH ₂) ₂	Et	racemic
IV-255	Me	Me	Me	N(Me)	Ph	(CH ₂) ₂	Et	(R)-
IV-256	Me	Et	Me	N(Me)	Ph	(CH ₂) ₂	Et	racemic
IV-257	Me	Et	Me	N(Me)	Ph	(CH ₂) ₂	Et	(R)-
IV-258	Me	Me	Me	NH	Ph	(CH ₂) ₂	iPr	racemic
IV-259	Me	Me	Me	NH	Ph	(CH ₂) ₂	iPr	(R)-
IV-260	Me	Et	Me	NH	Ph	(CH ₂) ₂	iPr	racemic
IV-261	Me	Et	Me	NH	Ph	(CH ₂) ₂	iPr	(R)-
IV-262	Me	Me	Me	N(Me)	Ph	(CH ₂) ₂	iPr	racemic
IV-263	Me	Me	Me	N(Me)	Ph	(CH ₂) ₂	iPr	(R)-
IV-264	Me	Et	Me	N(Me)	Ph	(CH ₂) ₂	iPr	racemic
IV-265	Me	Et	Me	N(Me)	Ph	(CH ₂) ₂	iPr	(R)-
IV-266	Me	Me	Me	NH	Ph	(CH ₂) ₂	cPr	racemic
IV-267	Me	Me	Me	NH	Ph	(CH ₂) ₂	cPr	(R)-
IV-268	Me	Et	Me	NH	Ph	(CH ₂) ₂	cPr	racemic
IV-269	Me	Et	Me	NH	Ph	(CH ₂) ₂	cPr	(R)-
IV-270	Me	Me	Me	N(Me)	Ph	(CH ₂) ₂	cPr	racemic
IV-271	Me	Me	Me	N(Me)	Ph	(CH ₂) ₂	cPr	(R)-
IV-272	Me	Et	Me	N(Me)	Ph	(CH ₂) ₂	cPr	racemic
IV-273	Me	Et	Me	N(Me)	Ph	(CH ₂) ₂	cPr	(R)-
IV-274	Me	Me	Me	NH	Ph	(CH ₂) ₂	Ph	racemic
IV-275	Me	Me	Me	NH	Ph	(CH ₂) ₂	Ph	(R)-
IV-276	Me	Et	Me	NH	Ph	(CH ₂) ₂	Ph	racemic
IV-277	Me	Et	Me	NH	Ph	(CH ₂) ₂	Ph	(R)-
IV-278	Me	Me	Me	N(Me)	Ph	(CH ₂) ₂	Ph	racemic
IV-279	Me	Me	Me	N(Me)	Ph	(CH ₂) ₂	Ph	(R)-
IV-280	Me	Et	Me	N(Me)	Ph	(CH ₂) ₂	Ph	racemic
IV-281	Me	Et	Me	N(Me)	Ph	(CH ₂) ₂	Ph	(R)-
IV-282	Me	Me	Me	NH	Ph	(CH ₂) ₃	H	racemic
IV-283	Me	Me	Me	NH	Ph	(CH ₂) ₃	H	(R)-
IV-284	Me	Et	Me	NH	Ph	(CH ₂) ₃	H	racemic
IV-285	Me	Et	Me	NH	Ph	(CH ₂) ₃	H	(R)-
IV-286	Me	Me	Me	N(Me)	Ph	(CH ₂) ₃	H	racemic

IV-287	Me	Me	Me	N(Me)	Ph	(CH ₂) ₃	H	(R)-
IV-288	Me	Et	Me	N(Me)	Ph	(CH ₂) ₃	H	racemic
IV-289	Me	Et	Me	N(Me)	Ph	(CH ₂) ₃	H	(R)-
IV-290	Me	Me	Me	NH	Ph	(CH ₂) ₄	H	racemic
IV-291	Me	Me	Me	NH	Ph	(CH ₂) ₄	H	(R)-
IV-292	Me	Et	Me	NH	Ph	(CH ₂) ₄	H	racemic
IV-293	Me	Et	Me	NH	Ph	(CH ₂) ₄	H	(R)-
IV-294	Me	Me	Me	N(Me)	Ph	(CH ₂) ₄	H	racemic
IV-295	Me	Me	Me	N(Me)	Ph	(CH ₂) ₄	H	(R)-
IV-296	Me	Et	Me	N(Me)	Ph	(CH ₂) ₄	H	racemic
IV-297	Me	Et	Me	N(Me)	Ph	(CH ₂) ₄	H	(R)-
IV-298	Me	Me	Me	NH	Ph	CH ₂ C(Me) ₂	H	racemic
IV-299	Me	Me	Me	NH	Ph	CH ₂ C(Me) ₂	H	(R)-
IV-300	Me	Et	Me	NH	Ph	CH ₂ C(Me) ₂	H	racemic

[0085] [Table 70]

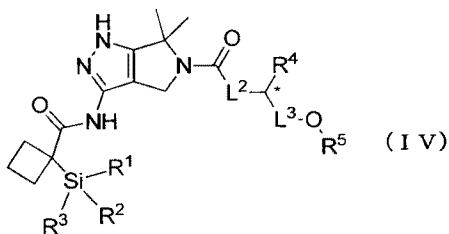


Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁵	Configuration
IV-301	Me	Et	Me	NH	Ph	CH ₂ C(Me) ₂	H	(R)-
IV-302	Me	Me	Me	N(Me)	Ph	CH ₂ C(Me) ₂	H	racemic
IV-303	Me	Me	Me	N(Me)	Ph	CH ₂ C(Me) ₂	H	(R)-
IV-304	Me	Et	Me	N(Me)	Ph	CH ₂ C(Me) ₂	H	racemic
IV-305	Me	Et	Me	N(Me)	Ph	CH ₂ C(Me) ₂	H	(R)-
IV-306	Me	Me	Me	NH	Ph	CH ₂ C(Me) ₂	Me	racemic
IV-307	Me	Me	Me	NH	Ph	CH ₂ C(Me) ₂	Me	(R)-
IV-308	Me	Et	Me	NH	Ph	CH ₂ C(Me) ₂	Me	racemic
IV-309	Me	Et	Me	NH	Ph	CH ₂ C(Me) ₂	Me	(R)-
IV-310	Me	Me	Me	N(Me)	Ph	CH ₂ C(Me) ₂	Me	racemic
IV-311	Me	Me	Me	N(Me)	Ph	CH ₂ C(Me) ₂	Me	(R)-

IV-312	Me	Et	Me	N(Me)	Ph	CH ₂ C(Me) ₂	Me	racemic
IV-313	Me	Et	Me	N(Me)	Ph	CH ₂ C(Me) ₂	Me	(R)-
IV-314	Me	Me	Me	NH	Ph	CH ₂ C(Me) ₂	CHF ₂	racemic
IV-315	Me	Me	Me	NH	Ph	CH ₂ C(Me) ₂	CHF ₂	(R)-
IV-316	Me	Et	Me	NH	Ph	CH ₂ C(Me) ₂	CHF ₂	racemic
IV-317	Me	Et	Me	NH	Ph	CH ₂ C(Me) ₂	CHF ₂	(R)-
IV-318	Me	Me	Me	N(Me)	Ph	CH ₂ C(Me) ₂	CHF ₂	racemic
IV-319	Me	Me	Me	N(Me)	Ph	CH ₂ C(Me) ₂	CHF ₂	(R)-
IV-320	Me	Et	Me	N(Me)	Ph	CH ₂ C(Me) ₂	CHF ₂	racemic
IV-321	Me	Et	Me	N(Me)	Ph	CH ₂ C(Me) ₂	CHF ₂	(R)-
IV-322	Me	Me	Me	NH	Ph	CH ₂ C(Me) ₂	Et	racemic
IV-323	Me	Me	Me	NH	Ph	CH ₂ C(Me) ₂	Et	(R)-
IV-324	Me	Et	Me	NH	Ph	CH ₂ C(Me) ₂	Et	racemic
IV-325	Me	Et	Me	NH	Ph	CH ₂ C(Me) ₂	Et	(R)-
IV-326	Me	Me	Me	N(Me)	Ph	CH ₂ C(Me) ₂	Et	racemic
IV-327	Me	Me	Me	N(Me)	Ph	CH ₂ C(Me) ₂	Et	(R)-
IV-328	Me	Et	Me	N(Me)	Ph	CH ₂ C(Me) ₂	Et	racemic
IV-329	Me	Et	Me	N(Me)	Ph	CH ₂ C(Me) ₂	Et	(R)-
IV-330	Me	Me	Me	NH	Ph	CH ₂ C(Me) ₂	iPr	racemic
IV-331	Me	Me	Me	NH	Ph	CH ₂ C(Me) ₂	iPr	(R)-
IV-332	Me	Et	Me	NH	Ph	CH ₂ C(Me) ₂	iPr	racemic
IV-333	Me	Et	Me	NH	Ph	CH ₂ C(Me) ₂	iPr	(R)-
IV-334	Me	Me	Me	N(Me)	Ph	CH ₂ C(Me) ₂	iPr	racemic
IV-335	Me	Me	Me	N(Me)	Ph	CH ₂ C(Me) ₂	iPr	(R)-
IV-336	Me	Et	Me	N(Me)	Ph	CH ₂ C(Me) ₂	iPr	racemic
IV-337	Me	Et	Me	N(Me)	Ph	CH ₂ C(Me) ₂	iPr	(R)-
IV-338	Me	Me	Me	NH	Ph	CH ₂ C(Me) ₂	cPr	racemic
IV-339	Me	Me	Me	NH	Ph	CH ₂ C(Me) ₂	cPr	(R)-
IV-340	Me	Et	Me	NH	Ph	CH ₂ C(Me) ₂	cPr	racemic
IV-341	Me	Et	Me	NH	Ph	CH ₂ C(Me) ₂	cPr	(R)-
IV-342	Me	Me	Me	N(Me)	Ph	CH ₂ C(Me) ₂	cPr	racemic
IV-343	Me	Me	Me	N(Me)	Ph	CH ₂ C(Me) ₂	cPr	(R)-
IV-344	Me	Et	Me	N(Me)	Ph	CH ₂ C(Me) ₂	cPr	racemic
IV-345	Me	Et	Me	N(Me)	Ph	CH ₂ C(Me) ₂	cPr	(R)-
IV-346	Me	Me	Me	NH	Ph	CH ₂ C(Me) ₂	Ph	racemic
IV-347	Me	Me	Me	NH	Ph	CH ₂ C(Me) ₂	Ph	(R)-

IV-348	Me	Et	Me	NH	Ph	CH ₂ C(Me) ₂	Ph	racemic
IV-349	Me	Et	Me	NH	Ph	CH ₂ C(Me) ₂	Ph	(R)-
IV-350	Me	Me	Me	N(Me)	Ph	CH ₂ C(Me) ₂	Ph	racemic

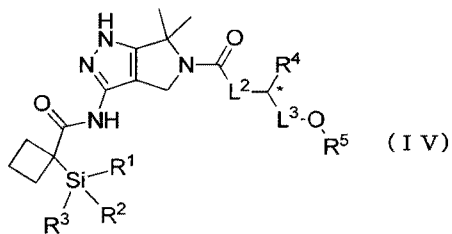
[0086] [Table 71]



Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁵	Configuration
IV-351	Me	Me	Me	N(Me)	Ph	CH ₂ C(Me) ₂	Ph	(R)-
IV-352	Me	Et	Me	N(Me)	Ph	CH ₂ C(Me) ₂	Ph	racemic
IV-353	Me	Et	Me	N(Me)	Ph	CH ₂ C(Me) ₂	Ph	(R)-
IV-354	Me	Me	Me	NH	Ph	C(Me) ₂ CH ₂	H	racemic
IV-355	Me	Me	Me	NH	Ph	C(Me) ₂ CH ₂	H	(S)-
IV-356	Me	Et	Me	NH	Ph	C(Me) ₂ CH ₂	H	racemic
IV-357	Me	Et	Me	NH	Ph	C(Me) ₂ CH ₂	H	(S)-
IV-358	Me	Me	Me	N(Me)	Ph	C(Me) ₂ CH ₂	H	racemic
IV-359	Me	Me	Me	N(Me)	Ph	C(Me) ₂ CH ₂	H	(S)-
IV-360	Me	Et	Me	N(Me)	Ph	C(Me) ₂ CH ₂	H	racemic
IV-361	Me	Et	Me	N(Me)	Ph	C(Me) ₂ CH ₂	H	(S)-
IV-362	Me	Me	Me	NH	Ph	CF ₂ CH ₂	H	racemic
IV-363	Me	Me	Me	NH	Ph	CF ₂ CH ₂	H	(S)-
IV-364	Me	Et	Me	NH	Ph	CF ₂ CH ₂	H	racemic
IV-365	Me	Et	Me	NH	Ph	CF ₂ CH ₂	H	(S)-
IV-366	Me	Me	Me	N(Me)	Ph	CF ₂ CH ₂	H	racemic
IV-367	Me	Me	Me	N(Me)	Ph	CF ₂ CH ₂	H	(S)-
IV-368	Me	Et	Me	N(Me)	Ph	CF ₂ CH ₂	H	racemic
IV-369	Me	Et	Me	N(Me)	Ph	CF ₂ CH ₂	H	(S)-
IV-370	Me	Me	Me	NH	Ph	CH=CHCH ₂	H	racemic
IV-371	Me	Me	Me	NH	Ph	CH=CHCH ₂	H	(S)-
IV-372	Me	Et	Me	NH	Ph	CH=CHCH ₂	H	racemic

IV-373	Me	Et	Me	NH	Ph	CH=CHCH ₂	H	(S)-
IV-374	Me	Me	Me	N(Me)	Ph	CH=CHCH ₂	H	racemic
IV-375	Me	Me	Me	N(Me)	Ph	CH=CHCH ₂	H	(S)-
IV-376	Me	Et	Me	N(Me)	Ph	CH=CHCH ₂	H	racemic
IV-377	Me	Et	Me	N(Me)	Ph	CH=CHCH ₂	H	(S)-
IV-378	Me	Me	Me	NH	Ph	C≡CCH ₂	H	racemic
IV-379	Me	Me	Me	NH	Ph	C≡CCH ₂	H	(S)-
IV-380	Me	Et	Me	NH	Ph	C≡CCH ₂	H	racemic
IV-381	Me	Et	Me	NH	Ph	C≡CCH ₂	H	(S)-
IV-382	Me	Me	Me	N(Me)	Ph	C≡CCH ₂	H	racemic
IV-383	Me	Me	Me	N(Me)	Ph	C≡CCH ₂	H	(S)-
IV-384	Me	Et	Me	N(Me)	Ph	C≡CCH ₂	H	racemic
IV-385	Me	Et	Me	N(Me)	Ph	C≡CCH ₂	H	(S)-
IV-386	Me	Me	Me	NH	Ph	1,1-Cyclopropylene	H	racemic
IV-387	Me	Me	Me	NH	Ph	1,1-Cyclopropylene	H	(S)-
IV-388	Me	Et	Me	NH	Ph	1,1-Cyclopropylene	H	racemic
IV-389	Me	Et	Me	NH	Ph	1,1-Cyclopropylene	H	(S)-
IV-390	Me	Me	Me	N(Me)	Ph	1,1-Cyclopropylene	H	racemic
IV-391	Me	Me	Me	N(Me)	Ph	1,1-Cyclopropylene	H	(S)-
IV-392	Me	Et	Me	N(Me)	Ph	1,1-Cyclopropylene	H	racemic
IV-393	Me	Et	Me	N(Me)	Ph	1,1-Cyclopropylene	H	(S)-
IV-394	Me	Me	Me	NH	Ph	1,2-Cyclopropylene	H	racemic
IV-395	Me	Me	Me	NH	Ph	1,2-Cyclopropylene	H	(S)-
IV-396	Me	Et	Me	NH	Ph	1,2-Cyclopropylene	H	racemic
IV-397	Me	Et	Me	NH	Ph	1,2-Cyclopropylene	H	(S)-
IV-398	Me	Me	Me	N(Me)	Ph	1,2-Cyclopropylene	H	racemic
IV-399	Me	Me	Me	N(Me)	Ph	1,2-Cyclopropylene	H	(S)-
IV-400	Me	Et	Me	N(Me)	Ph	1,2-Cyclopropylene	H	racemic

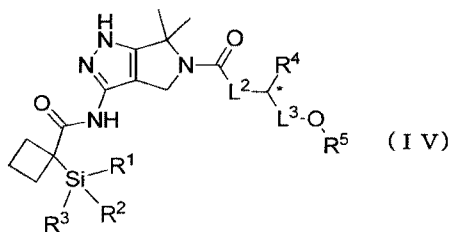
[0087] [Table 72]



Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁵	Configuration
IV-401	Me	Et	Me	N(Me)	Ph	1,2-Cyclopropynylene	H	(S)-
IV-402	Me	Me	Me	NH	Ph	C(=O)	H	racemic
IV-403	Me	Me	Me	NH	Ph	C(=O)	H	(S)-
IV-404	Me	Et	Me	NH	Ph	C(=O)	H	racemic
IV-405	Me	Et	Me	NH	Ph	C(=O)	H	(S)-
IV-406	Me	Me	Me	N(Me)	Ph	C(=O)	H	racemic
IV-407	Me	Me	Me	N(Me)	Ph	C(=O)	H	(S)-
IV-408	Me	Et	Me	N(Me)	Ph	C(=O)	H	racemic
IV-409	Me	Et	Me	N(Me)	Ph	C(=O)	H	(S)-
IV-410	Me	Me	Me	NH	Ph	C(=O)	Bn	racemic
IV-411	Me	Me	Me	NH	Ph	C(=O)	Bn	(S)-
IV-412	Me	Et	Me	NH	Ph	C(=O)	Bn	racemic
IV-413	Me	Et	Me	NH	Ph	C(=O)	Bn	(S)-
IV-414	Me	Me	Me	N(Me)	Ph	C(=O)	Bn	racemic
IV-415	Me	Me	Me	N(Me)	Ph	C(=O)	Bn	(S)-
IV-416	Me	Et	Me	N(Me)	Ph	C(=O)	Bn	racemic
IV-417	Me	Et	Me	N(Me)	Ph	C(=O)	Bn	(S)-
IV-418	Me	Me	Me	NH	Ph	C(=O)	Me	racemic
IV-419	Me	Me	Me	NH	Ph	C(=O)	Me	(S)-
IV-420	Me	Et	Me	NH	Ph	C(=O)	Me	racemic
IV-421	Me	Et	Me	NH	Ph	C(=O)	Me	(S)-
IV-422	Me	Me	Me	N(Me)	Ph	C(=O)	Me	racemic
IV-423	Me	Me	Me	N(Me)	Ph	C(=O)	Me	(S)-
IV-424	Me	Et	Me	N(Me)	Ph	C(=O)	Me	racemic
IV-425	Me	Et	Me	N(Me)	Ph	C(=O)	Me	(S)-
IV-426	Me	Me	Me	NH	Ph	CH(Me)	H	racemic

IV-427	Me	Me	Me	NH	Ph	CH(Me)	H	(S)-
IV-428	Me	Et	Me	NH	Ph	CH(Me)	H	racemic
IV-429	Me	Et	Me	NH	Ph	CH(Me)	H	(S)-
IV-430	Me	Me	Me	NH	Ph	CH(iPr)	H	racemic
IV-431	Me	Me	Me	NH	Ph	CH(iPr)	H	(S)-
IV-432	Me	Et	Me	NH	Ph	CH(iPr)	H	racemic
IV-433	Me	Et	Me	NH	Ph	CH(iPr)	H	(S)-
IV-434	Me	Me	Me	NH	Ph	CH(Ph)	H	racemic
IV-435	Me	Me	Me	NH	Ph	CH(Ph)	H	(S)-
IV-436	Me	Et	Me	NH	Ph	CH(Ph)	H	racemic
IV-437	Me	Et	Me	NH	Ph	CH(Ph)	H	(S)-
IV-438	Me	Me	Me	NH	H	CH ₂	H	
IV-439	Me	Et	Me	NH	H	CH ₂	H	
IV-440	Me	Me	Me	N(Me)	H	CH ₂	H	
IV-441	Me	Et	Me	N(Me)	H	CH ₂	H	
IV-442	Me	Me	Me	NH	H	CH(Me)	H	
IV-443	Me	Et	Me	NH	H	CH(Me)	H	
IV-444	Me	Me	Me	N(Me)	H	CH(Me)	H	
IV-445	Me	Et	Me	N(Me)	H	CH(Me)	H	
IV-446	Me	Me	Me	NH	H	CH(iPr)	H	
IV-447	Me	Et	Me	NH	H	CH(iPr)	H	
IV-448	Me	Me	Me	N(Me)	H	CH(iPr)	H	
IV-449	Me	Et	Me	N(Me)	H	CH(iPr)	H	
IV-450	Me	Me	Me	NH	H	CH(Ph)	H	

[0088] [Table 73]

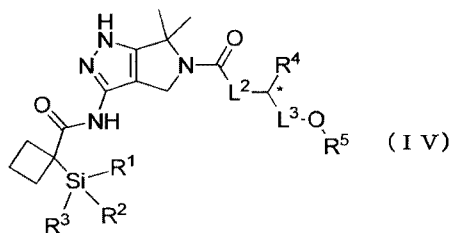


Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁵	Configura tion
IV-451	Me	Et	Me	NH	H	CH(Ph)	H	

IV-452	Me	Me	Me	N(Me)	H	CH(Ph)	H	
IV-453	Me	Et	Me	N(Me)	H	CH(Ph)	H	
IV-454	Me	Me	Me	NH	Me	CH ₂	H	racemic
IV-455	Me	Me	Me	NH	Me	CH ₂	H	(S)-
IV-456	Me	Et	Me	NH	Me	CH ₂	H	racemic
IV-457	Me	Et	Me	NH	Me	CH ₂	H	(S)-
IV-458	Me	Me	Me	NH	Me	CH(Me)	H	racemic
IV-459	Me	Me	Me	NH	Me	CH(Me)	H	(S)-
IV-460	Me	Et	Me	NH	Me	CH(Me)	H	racemic
IV-461	Me	Et	Me	NH	Me	CH(Me)	H	(S)-
IV-462	Me	Me	Me	NH	Me	CH(iPr)	H	racemic
IV-463	Me	Me	Me	NH	Me	CH(iPr)	H	(S)-
IV-464	Me	Et	Me	NH	Me	CH(iPr)	H	racemic
IV-465	Me	Et	Me	NH	Me	CH(iPr)	H	(S)-
IV-466	Me	Me	Me	NH	Me	CH(Ph)	H	racemic
IV-467	Me	Me	Me	NH	Me	CH(Ph)	H	(S)-
IV-468	Me	Et	Me	NH	Me	CH(Ph)	H	racemic
IV-469	Me	Et	Me	NH	Me	CH(Ph)	H	(S)-
IV-470	Me	Me	Me	N(Me)	Me	CH ₂	H	racemic
IV-471	Me	Me	Me	N(Me)	Me	CH ₂	H	(S)-
IV-472	Me	Et	Me	N(Me)	Me	CH ₂	H	racemic
IV-473	Me	Et	Me	N(Me)	Me	CH ₂	H	(S)-
IV-474	Me	Me	Me	NH	iPr	CH ₂	H	racemic
IV-475	Me	Me	Me	NH	iPr	CH ₂	H	(S)-
IV-476	Me	Et	Me	NH	iPr	CH ₂	H	racemic
IV-477	Me	Et	Me	NH	iPr	CH ₂	H	(S)-
IV-478	Me	Me	Me	NH	iPr	CH(Me)	H	racemic
IV-479	Me	Me	Me	NH	iPr	CH(Me)	H	(S)-
IV-480	Me	Et	Me	NH	iPr	CH(Me)	H	racemic
IV-481	Me	Et	Me	NH	iPr	CH(Me)	H	(S)-
IV-482	Me	Me	Me	NH	iPr	CH(iPr)	H	racemic
IV-483	Me	Me	Me	NH	iPr	CH(iPr)	H	(S)-
IV-484	Me	Et	Me	NH	iPr	CH(iPr)	H	racemic
IV-485	Me	Et	Me	NH	iPr	CH(iPr)	H	(S)-
IV-486	Me	Me	Me	NH	iPr	CH(Ph)	H	racemic
IV-487	Me	Me	Me	NH	iPr	CH(Ph)	H	(S)-

IV-488	Me	Et	Me	NH	iPr	CH(Ph)	H	racemic
IV-489	Me	Et	Me	NH	iPr	CH(Ph)	H	(S)-
IV-490	Me	Me	Me	N(Me)	iPr	CH ₂	H	racemic
IV-491	Me	Me	Me	N(Me)	iPr	CH ₂	H	(S)-
IV-492	Me	Et	Me	N(Me)	iPr	CH ₂	H	racemic
IV-493	Me	Et	Me	N(Me)	iPr	CH ₂	H	(S)-
IV-494	Me	Me	Me	NH	cHex	CH ₂	H	racemic
IV-495	Me	Me	Me	NH	cHex	CH ₂	H	(S)-
IV-496	Me	Et	Me	NH	cHex	CH ₂	H	racemic
IV-497	Me	Et	Me	NH	cHex	CH ₂	H	(S)-
IV-498	Me	Me	Me	N(Me)	cHex	CH ₂	H	racemic
IV-499	Me	Me	Me	N(Me)	cHex	CH ₂	H	(S)-
IV-500	Me	Et	Me	N(Me)	cHex	CH ₂	H	racemic

[0089] [Table 74]

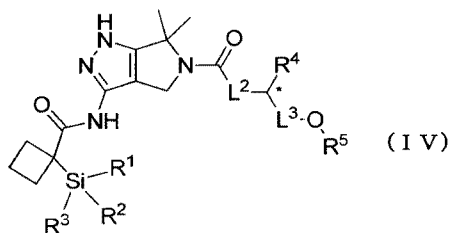


Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁵	Configuration
IV-501	Me	Et	Me	N(Me)	cHex	CH ₂	H	(S)-
IV-502	Me	Me	Me	NH	1,3-Benzodioxol-4-yl	CH ₂	H	racemic
IV-503	Me	Me	Me	NH	1,3-Benzodioxol-4-yl	CH ₂	H	(S)-
IV-504	Me	Et	Me	NH	1,3-Benzodioxol-4-yl	CH ₂	H	racemic
IV-505	Me	Et	Me	NH	1,3-Benzodioxol-4-yl	CH ₂	H	(S)-
IV-506	Me	Me	Me	N(Me)	1,3-Benzodioxol-4-yl	CH ₂	H	racemic
IV-507	Me	Me	Me	N(Me)	1,3-Benzodioxol-4-yl	CH ₂	H	(S)-
IV-508	Me	Et	Me	N(Me)	1,3-Benzodioxol-4-yl	CH ₂	H	racemic
IV-509	Me	Et	Me	N(Me)	1,3-Benzodioxol-4-yl	CH ₂	H	(S)-

IV-510	Me	Me	Me	NH	2-F-Ph	CH ₂	H	racemic
IV-511	Me	Me	Me	NH	2-F-Ph	CH ₂	H	(S)-
IV-512	Me	Et	Me	NH	2-F-Ph	CH ₂	H	racemic
IV-513	Me	Et	Me	NH	2-F-Ph	CH ₂	H	(S)-
IV-514	Me	Me	Me	N(Me)	2-F-Ph	CH ₂	H	racemic
IV-515	Me	Me	Me	N(Me)	2-F-Ph	CH ₂	H	(S)-
IV-516	Me	Et	Me	N(Me)	2-F-Ph	CH ₂	H	racemic
IV-517	Me	Et	Me	N(Me)	2-F-Ph	CH ₂	H	(S)-
IV-518	Me	Me	Me	NH	3-F-Ph	CH ₂	H	racemic
IV-519	Me	Me	Me	NH	3-F-Ph	CH ₂	H	(S)-
IV-520	Me	Et	Me	NH	3-F-Ph	CH ₂	H	racemic
IV-521	Me	Et	Me	NH	3-F-Ph	CH ₂	H	(S)-
IV-522	Me	Me	Me	N(Me)	3-F-Ph	CH ₂	H	racemic
IV-523	Me	Me	Me	N(Me)	3-F-Ph	CH ₂	H	(S)-
IV-524	Me	Et	Me	N(Me)	3-F-Ph	CH ₂	H	racemic
IV-525	Me	Et	Me	N(Me)	3-F-Ph	CH ₂	H	(S)-
IV-526	Me	Me	Me	NH	4-F-Ph	CH ₂	H	racemic
IV-527	Me	Me	Me	NH	4-F-Ph	CH ₂	H	(S)-
IV-528	Me	Et	Me	NH	4-F-Ph	CH ₂	H	racemic
IV-529	Me	Et	Me	NH	4-F-Ph	CH ₂	H	(S)-
IV-530	Me	Me	Me	N(Me)	4-F-Ph	CH ₂	H	racemic
IV-531	Me	Me	Me	N(Me)	4-F-Ph	CH ₂	H	(S)-
IV-532	Me	Et	Me	N(Me)	4-F-Ph	CH ₂	H	racemic
IV-533	Me	Et	Me	N(Me)	4-F-Ph	CH ₂	H	(S)-
IV-534	Me	Me	Me	NH	2-Py	CH ₂	H	racemic
IV-535	Me	Me	Me	NH	2-Py	CH ₂	H	(S)-
IV-536	Me	Et	Me	NH	2-Py	CH ₂	H	racemic
IV-537	Me	Et	Me	NH	2-Py	CH ₂	H	(S)-
IV-538	Me	Me	Me	N(Me)	2-Py	CH ₂	H	racemic
IV-539	Me	Me	Me	N(Me)	2-Py	CH ₂	H	(S)-
IV-540	Me	Et	Me	N(Me)	2-Py	CH ₂	H	racemic
IV-541	Me	Et	Me	N(Me)	2-Py	CH ₂	H	(S)-
IV-542	Me	Me	Me	NH	3-Py	CH ₂	H	racemic
IV-543	Me	Me	Me	NH	3-Py	CH ₂	H	(S)-
IV-544	Me	Et	Me	NH	3-Py	CH ₂	H	racemic
IV-545	Me	Et	Me	NH	3-Py	CH ₂	H	(S)-

IV-546	Me	Me	Me	N(Me)	3-Py	CH ₂	H	racemic
IV-547	Me	Me	Me	N(Me)	3-Py	CH ₂	H	(S)-
IV-548	Me	Et	Me	N(Me)	3-Py	CH ₂	H	racemic
IV-549	Me	Et	Me	N(Me)	3-Py	CH ₂	H	(S)-
IV-550	Me	Me	Me	NH	4-Py	CH ₂	H	racemic

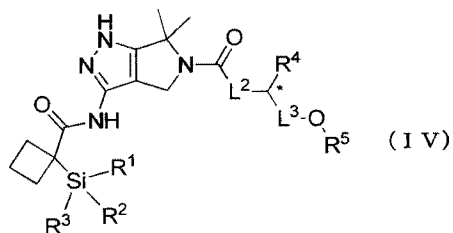
[0090] [Table 75]



Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁵	Configuration
IV-551	Me	Me	Me	NH	4-Py	CH ₂	H	(S)-
IV-552	Me	Et	Me	NH	4-Py	CH ₂	H	racemic
IV-553	Me	Et	Me	NH	4-Py	CH ₂	H	(S)-
IV-554	Me	Me	Me	N(Me)	4-Py	CH ₂	H	racemic
IV-555	Me	Me	Me	N(Me)	4-Py	CH ₂	H	(S)-
IV-556	Me	Et	Me	N(Me)	4-Py	CH ₂	H	racemic
IV-557	Me	Et	Me	N(Me)	4-Py	CH ₂	H	(S)-
IV-558	Me	Me	Me	CH ₂	Ph	CH ₂	H	racemic
IV-559	Me	Me	Me	CH ₂	Ph	CH ₂	H	(S)-
IV-560	Me	Et	Me	CH ₂	Ph	CH ₂	H	racemic
IV-561	Me	Et	Me	CH ₂	Ph	CH ₂	H	(S)-
IV-562	Me	Me	Me	CH ₂	Ph	-	H	racemic
IV-563	Me	Me	Me	CH ₂	Ph	-	H	(S)-
IV-564	Me	Et	Me	CH ₂	Ph	-	H	racemic
IV-565	Me	Et	Me	CH ₂	Ph	-	H	(S)-
IV-566	Me	Me	Me	CH ₂	Ph	CH ₂	Me	racemic
IV-567	Me	Me	Me	CH ₂	Ph	CH ₂	Me	(S)-
IV-568	Me	Et	Me	CH ₂	Ph	CH ₂	Me	racemic
IV-569	Me	Et	Me	CH ₂	Ph	CH ₂	Me	(S)-
IV-570	Me	Me	Me	CH ₂	Ph	CH ₂	CHF ₂	racemic

IV-571	Me	Me	Me	CH ₂	Ph	CH ₂	CHF ₂	(S)-
IV-572	Me	Me	Me	CH ₂	Ph	CH ₂	Et	racemic
IV-573	Me	Me	Me	CH ₂	Ph	CH ₂	Et	(S)-
IV-574	Me	Et	Me	CH ₂	Ph	CH ₂	Et	racemic
IV-575	Me	Et	Me	CH ₂	Ph	CH ₂	Et	(S)-
IV-576	Me	Me	Me	CH ₂	Ph	CH ₂	cPr	racemic
IV-577	Me	Me	Me	CH ₂	Ph	CH ₂	cPr	(S)-
IV-578	Me	Et	Me	CH ₂	Ph	CH ₂	cPr	racemic
IV-579	Me	Et	Me	CH ₂	Ph	CH ₂	cPr	(S)-
IV-580	Me	Me	Me	CH ₂	Ph	CH ₂	Ph	racemic
IV-581	Me	Me	Me	CH ₂	Ph	CH ₂	Ph	(S)-
IV-582	Me	Et	Me	CH ₂	Ph	CH ₂	Ph	racemic
IV-583	Me	Et	Me	CH ₂	Ph	CH ₂	Ph	(S)-
IV-584	Me	Me	Me	CH ₂	Ph	C(Me) ₂	H	racemic
IV-585	Me	Me	Me	CH ₂	Ph	C(Me) ₂	H	(S)-
IV-586	Me	Et	Me	CH ₂	Ph	C(Me) ₂	H	racemic
IV-587	Me	Et	Me	CH ₂	Ph	C(Me) ₂	H	(S)-
IV-588	Me	Me	Me	CH ₂	Ph	C(Me) ₂	Me	racemic
IV-589	Me	Me	Me	CH ₂	Ph	C(Me) ₂	Me	(S)-
IV-590	Me	Et	Me	CH ₂	Ph	C(Me) ₂	Me	racemic
IV-591	Me	Et	Me	CH ₂	Ph	C(Me) ₂	Me	(S)-
IV-592	Me	Me	Me	CH ₂	Ph	C(Me) ₂	CHF ₂	racemic
IV-593	Me	Me	Me	CH ₂	Ph	C(Me) ₂	CHF ₂	(S)-
IV-594	Me	Me	Me	CH ₂	Ph	C(Me) ₂	Et	racemic
IV-595	Me	Me	Me	CH ₂	Ph	C(Me) ₂	Et	(S)-
IV-596	Me	Me	Me	CH ₂	Ph	C(Me) ₂	cPr	racemic
IV-597	Me	Me	Me	CH ₂	Ph	C(Me) ₂	cPr	(S)-
IV-598	Me	Me	Me	CH ₂	Ph	C(Me) ₂	Ph	racemic
IV-599	Me	Me	Me	CH ₂	Ph	C(Me) ₂	Ph	(S)-
IV-600	Me	Me	Me	CH ₂	Ph	(CH ₂) ₂	H	racemic

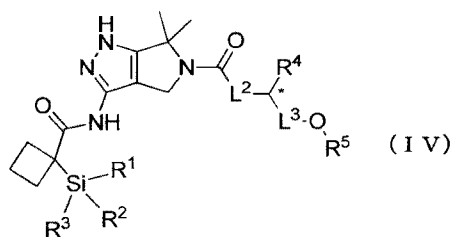
[0091] [Table 76]



Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁵	Configuration
IV-601	Me	Me	Me	CH ₂	Ph	(CH ₂) ₂	H	(S)-
IV-602	Me	Et	Me	CH ₂	Ph	(CH ₂) ₂	H	racemic
IV-603	Me	Et	Me	CH ₂	Ph	(CH ₂) ₂	H	(S)-
IV-604	Me	Me	Me	CH ₂	Ph	(CH ₂) ₂	Me	racemic
IV-605	Me	Me	Me	CH ₂	Ph	(CH ₂) ₂	Me	(S)-
IV-606	Me	Et	Me	CH ₂	Ph	(CH ₂) ₂	Me	racemic
IV-607	Me	Et	Me	CH ₂	Ph	(CH ₂) ₂	Me	(S)-
IV-608	Me	Me	Me	CH ₂	Ph	(CH ₂) ₂	CHF ₂	racemic
IV-609	Me	Me	Me	CH ₂	Ph	(CH ₂) ₂	CHF ₂	(S)-
IV-610	Me	Me	Me	CH ₂	Ph	(CH ₂) ₂	Et	racemic
IV-611	Me	Me	Me	CH ₂	Ph	(CH ₂) ₂	Et	(S)-
IV-612	Me	Me	Me	CH ₂	Ph	(CH ₂) ₂	cPr	racemic
IV-613	Me	Me	Me	CH ₂	Ph	(CH ₂) ₂	cPr	(S)-
IV-614	Me	Me	Me	CH ₂	Ph	(CH ₂) ₂	Ph	racemic
IV-615	Me	Me	Me	CH ₂	Ph	(CH ₂) ₂	Ph	(S)-
IV-616	Me	Me	Me	CH ₂	Ph	CH ₂ C(Me) ₂	H	racemic
IV-617	Me	Me	Me	CH ₂	Ph	CH ₂ C(Me) ₂	H	(S)-
IV-618	Me	Et	Me	CH ₂	Ph	CH ₂ C(Me) ₂	H	racemic
IV-619	Me	Et	Me	CH ₂	Ph	CH ₂ C(Me) ₂	H	(S)-
IV-620	Me	Me	Me	CH ₂	Ph	CH ₂ C(Me) ₂	Me	racemic
IV-621	Me	Me	Me	CH ₂	Ph	CH ₂ C(Me) ₂	Me	(S)-
IV-622	Me	Et	Me	CH ₂	Ph	CH ₂ C(Me) ₂	Me	racemic
IV-623	Me	Et	Me	CH ₂	Ph	CH ₂ C(Me) ₂	Me	(S)-
IV-624	Me	Me	Me	CH ₂	Ph	CH ₂ C(Me) ₂	CHF ₂	racemic
IV-625	Me	Me	Me	CH ₂	Ph	CH ₂ C(Me) ₂	CHF ₂	(S)-
IV-626	Me	Me	Me	CH ₂	Ph	CH ₂ C(Me) ₂	Et	racemic
IV-627	Me	Me	Me	CH ₂	Ph	CH ₂ C(Me) ₂	Et	(S)-
IV-628	Me	Me	Me	CH ₂	Ph	CH ₂ C(Me) ₂	cPr	racemic

IV-629	Me	Me	Me	CH ₂	Ph	CH ₂ C(Me) ₂	cPr	(S)-
IV-630	Me	Me	Me	CH ₂	Ph	CH ₂ C(Me) ₂	Ph	racemic
IV-631	Me	Me	Me	CH ₂	Ph	CH ₂ C(Me) ₂	Ph	(S)-
IV-632	Me	Me	Me	-	Ph	CH ₂	H	racemic
IV-633	Me	Me	Me	-	Ph	CH ₂	H	(S)-
IV-634	Me	Me	Me	-	Ph	CH ₂	Me	racemic
IV-635	Me	Me	Me	-	Ph	CH ₂	Me	(S)-
IV-636	Me	Me	Me	CH=CH	Ph	CH ₂	H	racemic
IV-637	Me	Me	Me	CH=CH	Ph	CH ₂	H	(S)-
IV-638	Me	Me	Me	CH=CH	Ph	CH ₂	Me	racemic
IV-639	Me	Me	Me	CH=CH	Ph	CH ₂	Me	(S)-
IV-640	Me	Me	Me	C≡C	Ph	CH ₂	H	racemic
IV-641	Me	Me	Me	C≡C	Ph	CH ₂	H	(S)-
IV-642	Me	Me	Me	C≡C	Ph	CH ₂	Me	racemic
IV-643	Me	Me	Me	C≡C	Ph	CH ₂	Me	(S)-
IV-644	Me	Me	Me	1,2-Cyclopropylene	Ph	CH ₂	H	racemic
IV-645	Me	Me	Me	1,2-Cyclopropylene	Ph	CH ₂	H	(S)-
IV-646	Me	Me	Me	1,2-Cyclopropylene	Ph	CH ₂	Me	racemic
IV-647	Me	Me	Me	1,2-Cyclopropylene	Ph	CH ₂	Me	(S)-
IV-648	Me	Me	Me	1,2-Cyclopropynylene	Ph	CH ₂	H	racemic
IV-649	Me	Me	Me	1,2-Cyclopropynylene	Ph	CH ₂	H	(S)-
IV-650	Me	Me	Me	1,2-Cyclopropynylene	Ph	CH ₂	Me	racemic
IV-651	Me	Me	Me	1,2-Cyclopropynylene	Ph	CH ₂	Me	(S)-

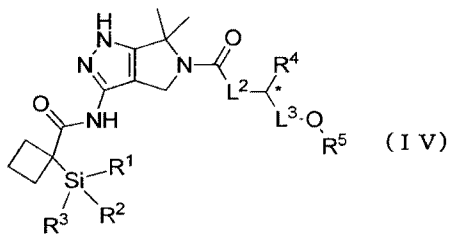
[0092] [Table 77]



Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁵	Configuration
IV-652	Me	Me	Me	O	Ph	C(Me) ₂ CH ₂	H	racemic
IV-653	Me	Me	Me	O	Ph	C(Me) ₂ CH ₂	H	(+)
IV-654	Me	Me	Me	O	Ph	C(Me) ₂ CH ₂	H	(-)
IV-655	Me	Et	Me	O	Ph	C(Me) ₂ CH ₂	H	racemic
IV-656	Me	Et	Me	O	Ph	C(Me) ₂ CH ₂	H	(+)
IV-657	Me	Et	Me	O	Ph	C(Me) ₂ CH ₂	H	(-)
IV-658	Me	Me	Me	O	Ph	C(Me) ₂ CH ₂	Me	racemic
IV-659	Me	Me	Me	O	Ph	C(Me) ₂ CH ₂	Me	(+)
IV-660	Me	Me	Me	O	Ph	C(Me) ₂ CH ₂	Me	(-)
IV-661	Me	Et	Me	O	Ph	C(Me) ₂ CH ₂	Me	racemic
IV-662	Me	Et	Me	O	Ph	C(Me) ₂ CH ₂	Me	(+)
IV-663	Me	Et	Me	O	Ph	C(Me) ₂ CH ₂	Me	(-)
IV-664	Me	Me	Me	O	Ph	C(Me) ₂ CH ₂	CHF ₂	racemic
IV-665	Me	Me	Me	O	Ph	C(Me) ₂ CH ₂	CHF ₂	(+)
IV-666	Me	Me	Me	O	Ph	C(Me) ₂ CH ₂	CHF ₂	(-)
IV-667	Me	Me	Me	O	Ph	C(Me) ₂ CH ₂	Et	racemic
IV-668	Me	Me	Me	O	Ph	C(Me) ₂ CH ₂	Et	(+)
IV-669	Me	Me	Me	O	Ph	C(Me) ₂ CH ₂	Et	(-)
IV-670	Me	Me	Me	O	H	CH(Ph)	H	
IV-671	Me	Et	Me	O	H	CH(Ph)	H	
IV-672	Me	Me	Me	NH	Ph	C(Me) ₂ CH ₂	H	(+)
IV-673	Me	Me	Me	NH	Ph	C(Me) ₂ CH ₂	H	(-)
IV-674	Me	Et	Me	NH	Ph	C(Me) ₂ CH ₂	H	(+)
IV-675	Me	Et	Me	NH	Ph	C(Me) ₂ CH ₂	H	(-)
IV-676	Me	Me	Me	NH	Ph	C(Me) ₂ CH ₂	Me	racemic
IV-677	Me	Me	Me	NH	Ph	C(Me) ₂ CH ₂	Me	(+)
IV-678	Me	Me	Me	NH	Ph	C(Me) ₂ CH ₂	Me	(-)
IV-679	Me	Et	Me	NH	Ph	C(Me) ₂ CH ₂	Me	racemic
IV-680	Me	Et	Me	NH	Ph	C(Me) ₂ CH ₂	Me	(+)
IV-681	Me	Et	Me	NH	Ph	C(Me) ₂ CH ₂	Me	(-)
IV-682	Me	Me	Me	NH	Ph	C(Me) ₂ CH ₂	CHF ₂	racemic
IV-683	Me	Me	Me	NH	Ph	C(Me) ₂ CH ₂	CHF ₂	(+)
IV-684	Me	Me	Me	NH	Ph	C(Me) ₂ CH ₂	CHF ₂	(-)
IV-685	Me	Et	Me	NH	Ph	C(Me) ₂ CH ₂	CHF ₂	racemic

IV-686	Me	Et	Me	NH	Ph	C(Me) ₂ CH ₂	CHF ₂	(+)
IV-687	Me	Et	Me	NH	Ph	C(Me) ₂ CH ₂	CHF ₂	(-)
IV-688	Me	Me	Me	NH	Ph	C(Me) ₂ CH ₂	Et	racemic
IV-689	Me	Me	Me	NH	Ph	C(Me) ₂ CH ₂	Et	(+)
IV-690	Me	Me	Me	NH	Ph	C(Me) ₂ CH ₂	Et	(-)
IV-691	Me	Et	Me	NH	Ph	C(Me) ₂ CH ₂	Et	racemic
IV-692	Me	Et	Me	NH	Ph	C(Me) ₂ CH ₂	Et	(+)
IV-693	Me	Et	Me	NH	Ph	C(Me) ₂ CH ₂	Et	(-)
IV-694	Me	Me	Me	NH	Ph	C(Me) ₂ CH ₂	iPr	racemic
IV-695	Me	Me	Me	NH	Ph	C(Me) ₂ CH ₂	iPr	(+)
IV-696	Me	Me	Me	NH	Ph	C(Me) ₂ CH ₂	iPr	(-)
IV-697	Me	Et	Me	NH	Ph	C(Me) ₂ CH ₂	iPr	racemic
IV-698	Me	Et	Me	NH	Ph	C(Me) ₂ CH ₂	iPr	(+)
IV-699	Me	Et	Me	NH	Ph	C(Me) ₂ CH ₂	iPr	(-)
IV-700	Me	Me	Me	NH	Ph	C(Me) ₂ CH ₂	cPr	racemic
IV-701	Me	Me	Me	NH	Ph	C(Me) ₂ CH ₂	cPr	(+)

[0093] [Table 78]

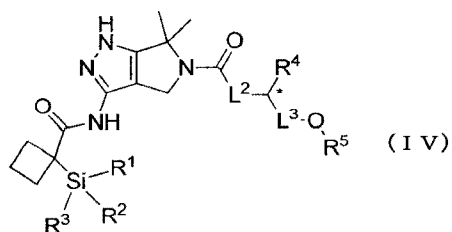


Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁵	Configuration
IV-702	Me	Me	Me	NH	Ph	C(Me) ₂ CH ₂	cPr	(-)
IV-703	Me	Et	Me	NH	Ph	C(Me) ₂ CH ₂	cPr	racemic
IV-704	Me	Et	Me	NH	Ph	C(Me) ₂ CH ₂	cPr	(+)
IV-705	Me	Et	Me	NH	Ph	C(Me) ₂ CH ₂	cPr	(-)
IV-706	Me	Me	Me	NH	Ph	1,1-Cyclopropylene-CH ₂	H	racemic
IV-707	Me	Me	Me	NH	Ph	1,1-Cyclopropylene-CH ₂	H	(+)
IV-708	Me	Me	Me	NH	Ph	1,1-Cyclopropylene-CH ₂	H	(-)
IV-709	Me	Et	Me	NH	Ph	1,1-Cyclopropylene	H	racemic

						e-CH ₂		
IV-710	Me	Et	Me	NH	Ph	1,1-Cyclopropylen e-CH ₂	H	(+)
IV-711	Me	Et	Me	NH	Ph	1,1-Cyclopropylen e-CH ₂	H	(-)
IV-712	Me	Me	Me	NH	Ph	1,1-Cyclopropylen e-CH ₂	Me	racemic
IV-713	Me	Me	Me	NH	Ph	1,1-Cyclopropylen e-CH ₂	Me	(+)
IV-714	Me	Me	Me	NH	Ph	1,1-Cyclopropylen e-CH ₂	Me	(-)
IV-715	Me	Et	Me	NH	Ph	1,1-Cyclopropylen e-CH ₂	Me	racemic
IV-716	Me	Et	Me	NH	Ph	1,1-Cyclopropylen e-CH ₂	Me	(+)
IV-717	Me	Et	Me	NH	Ph	1,1-Cyclopropylen e-CH ₂	Me	(-)
IV-718	Me	Me	Me	NH	Ph	1,1-Cyclobutylene -CH ₂	H	racemic
IV-719	Me	Me	Me	NH	Ph	1,1-Cyclobutylene -CH ₂	H	(+)
IV-720	Me	Me	Me	NH	Ph	1,1-Cyclobutylene -CH ₂	H	(-)
IV-721	Me	Et	Me	NH	Ph	1,1-Cyclobutylene -CH ₂	H	racemic
IV-722	Me	Et	Me	NH	Ph	1,1-Cyclobutylene -CH ₂	H	(+)
IV-723	Me	Et	Me	NH	Ph	1,1-Cyclobutylene -CH ₂	H	(-)
IV-724	Me	Me	Me	NH	Ph	1,1-Cyclobutylene -CH ₂	Me	racemic
IV-725	Me	Me	Me	NH	Ph	1,1-Cyclobutylene -CH ₂	Me	(+)
IV-726	Me	Me	Me	NH	Ph	1,1-Cyclobutylene -CH ₂	Me	(-)
IV-727	Me	Et	Me	NH	Ph	1,1-Cyclobutylene -CH ₂	Me	racemic
IV-728	Me	Et	Me	NH	Ph	1,1-Cyclobutylene -CH ₂	Me	(+)
IV-729	Me	Et	Me	NH	Ph	1,1-Cyclobutylene -CH ₂	Me	(-)
IV-730	Me	Me	Me	NH	Ph	C(Et) ₂ CH ₂	H	racemic
IV-731	Me	Me	Me	NH	Ph	C(Et) ₂ CH ₂	H	(+)
IV-732	Me	Me	Me	NH	Ph	C(Et) ₂ CH ₂	H	(-)
IV-733	Me	Et	Me	NH	Ph	C(Et) ₂ CH ₂	H	racemic
IV-734	Me	Et	Me	NH	Ph	C(Et) ₂ CH ₂	H	(+)
IV-735	Me	Et	Me	NH	Ph	C(Et) ₂ CH ₂	H	(-)

IV-736	Me	Me	Me	NH	Ph	C(Et) ₂ CH ₂	Me	racemic
IV-737	Me	Me	Me	NH	Ph	C(Et) ₂ CH ₂	Me	(+)
IV-738	Me	Me	Me	NH	Ph	C(Et) ₂ CH ₂	Me	(-)
IV-739	Me	Et	Me	NH	Ph	C(Et) ₂ CH ₂	Me	racemic
IV-740	Me	Et	Me	NH	Ph	C(Et) ₂ CH ₂	Me	(+)
IV-741	Me	Et	Me	NH	Ph	C(Et) ₂ CH ₂	Me	(-)
IV-742	Me	Me	Me	NH	Ph	CH ₂ -1,1-Cyclopropylene	H	racemic
IV-743	Me	Me	Me	NH	Ph	CH ₂ -1,1-Cyclopropylene	H	(R)-
IV-744	Me	Et	Me	NH	Ph	CH ₂ -1,1-Cyclopropylene	H	racemic
IV-745	Me	Et	Me	NH	Ph	CH ₂ -1,1-Cyclopropylene	H	(R)-
IV-746	Me	Me	Me	NH	Ph	CH ₂ -1,1-Cyclopropylene	Me	racemic
IV-747	Me	Me	Me	NH	Ph	CH ₂ -1,1-Cyclopropylene	Me	(R)-
IV-748	Me	Et	Me	NH	Ph	CH ₂ -1,1-Cyclopropylene	Me	racemic
IV-749	Me	Et	Me	NH	Ph	CH ₂ -1,1-Cyclopropylene	Me	(R)-
IV-750	Me	Me	Me	NH	Ph	CH ₂ -1,1-cyclobutylene	H	racemic
IV-751	Me	Me	Me	NH	Ph	CH ₂ -1,1-cyclobutylene	H	(R)-

[0094] [Table 79]



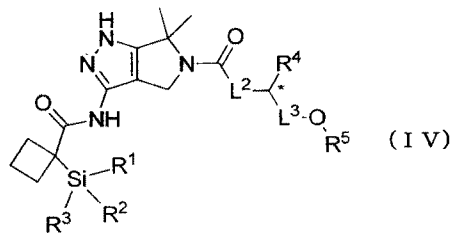
Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁵	Configuration
IV-752	Me	Et	Me	NH	Ph	CH ₂ -1,1-cyclobutylene	H	racemic
IV-753	Me	Et	Me	NH	Ph	CH ₂ -1,1-cyclobutylene	H	(R)-
IV-754	Me	Me	Me	NH	Ph	CH ₂ -1,1-cyclobutylene	Me	racemic

IV-755	Me	Me	Me	NH	Ph	CH ₂ -1,1-cyclobutylene	Me	(R)-
IV-756	Me	Et	Me	NH	Ph	CH ₂ -1,1-cyclobutylene	Me	racemic
IV-757	Me	Et	Me	NH	Ph	CH ₂ -1,1-cyclobutylene	Me	(R)-
IV-758	Me	Me	Me	NH	Ph	CH ₂ C(Et) ₂	H	racemic
IV-759	Me	Me	Me	NH	Ph	CH ₂ C(Et) ₂	H	(R)-
IV-760	Me	Et	Me	NH	Ph	CH ₂ C(Et) ₂	H	racemic
IV-761	Me	Et	Me	NH	Ph	CH ₂ C(Et) ₂	H	(R)-
IV-762	Me	Me	Me	NH	Ph	CH ₂ C(Et) ₂	Me	racemic
IV-763	Me	Me	Me	NH	Ph	CH ₂ C(Et) ₂	Me	(R)-
IV-764	Me	Et	Me	NH	Ph	CH ₂ C(Et) ₂	Me	racemic
IV-765	Me	Et	Me	NH	Ph	CH ₂ C(Et) ₂	Me	(R)-
IV-766	Me	Me	Me	NH	Ph	(R)-CH(Me)	H	(S)-
IV-767	Me	Me	Me	NH	Ph	(S)-CH(Me)	H	(S)-
IV-768	Me	Et	Me	NH	Ph	(R)-CH(Me)	H	(S)-
IV-769	Me	Et	Me	NH	Ph	(S)-CH(Me)	H	(S)-
IV-770	Me	Me	Me	NH	Ph	(R)-CH(iPr)	H	(S)-
IV-771	Me	Me	Me	NH	Ph	(S)-CH(iPr)	H	(S)-
IV-772	Me	Et	Me	NH	Ph	(R)-CH(iPr)	H	(S)-
IV-773	Me	Et	Me	NH	Ph	(S)-CH(iPr)	H	(S)-
IV-774	Me	Me	Me	NH	Ph	(R)-CH(Ph)	H	(S)-
IV-775	Me	Me	Me	NH	Ph	(S)-CH(Ph)	H	(S)-
IV-776	Me	Et	Me	NH	Ph	(R)-CH(Ph)	H	(S)-
IV-777	Me	Et	Me	NH	Ph	(S)-CH(Ph)	H	(S)-
IV-778	Me	Me	Me	NH	H	(R)-CH(Me)	H	
IV-779	Me	Me	Me	NH	H	(S)-CH(Me)	H	
IV-780	Me	Et	Me	NH	H	(R)-CH(Me)	H	
IV-781	Me	Et	Me	NH	H	(S)-CH(Me)	H	
IV-782	Me	Me	Me	NH	H	(R)-CH(iPr)	H	
IV-783	Me	Me	Me	NH	H	(S)-CH(iPr)	H	
IV-784	Me	Et	Me	NH	H	(R)-CH(iPr)	H	
IV-785	Me	Et	Me	NH	H	(S)-CH(iPr)	H	
IV-786	Me	Me	Me	NH	H	(R)-CH(Ph)	H	
IV-787	Me	Me	Me	NH	H	(S)-CH(Ph)	H	
IV-788	Me	Et	Me	NH	H	(R)-CH(Ph)	H	

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IV-789	Me	Et	Me	NH	H	(S)-CH(Ph)	H	
IV-790	Me	Me	Me	NH	Me	(R)-CH(Me)	H	(S)-
IV-791	Me	Me	Me	NH	Me	(S)-CH(Me)	H	(S)-
IV-792	Me	Et	Me	NH	Me	(R)-CH(Me)	H	(S)-
IV-793	Me	Et	Me	NH	Me	(S)-CH(Me)	H	(S)-
IV-794	Me	Me	Me	NH	Me	(R)-CH(iPr)	H	(S)-
IV-795	Me	Me	Me	NH	Me	(S)-CH(iPr)	H	(S)-
IV-796	Me	Et	Me	NH	Me	(R)-CH(iPr)	H	(S)-
IV-797	Me	Et	Me	NH	Me	(S)-CH(iPr)	H	(S)-
IV-798	Me	Me	Me	NH	Me	(R)-CH(Ph)	H	(S)-
IV-799	Me	Me	Me	NH	Me	(S)-CH(Ph)	H	(S)-
IV-800	Me	Et	Me	NH	Me	(R)-CH(Ph)	H	(S)-
IV-801	Me	Et	Me	NH	Me	(S)-CH(Ph)	H	(S)-

[0095] [Table 80]

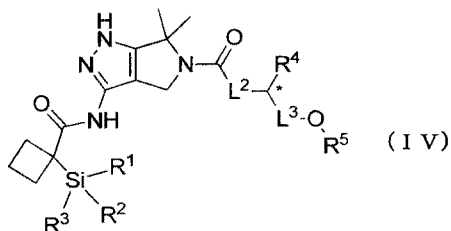


Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁵	Configuration
IV-802	Me	Me	Me	NH	iPr	CH ₂	Me	racemic
IV-803	Me	Me	Me	NH	iPr	CH ₂	Me	(S)-
IV-804	Me	Et	Me	NH	iPr	CH ₂	Me	racemic
IV-805	Me	Et	Me	NH	iPr	CH ₂	Me	(S)-
IV-806	Me	Me	Me	NH	iPr	(CH ₂) ₂	H	racemic
IV-807	Me	Me	Me	NH	iPr	(CH ₂) ₂	H	(R)-
IV-808	Me	Et	Me	NH	iPr	(CH ₂) ₂	H	racemic
IV-809	Me	Et	Me	NH	iPr	(CH ₂) ₂	H	(R)-
IV-810	Me	Me	Me	NH	iPr	(CH ₂) ₂	Me	racemic
IV-811	Me	Me	Me	NH	iPr	(CH ₂) ₂	Me	(R)-
IV-812	Me	Et	Me	NH	iPr	(CH ₂) ₂	Me	racemic
IV-813	Me	Et	Me	NH	iPr	(CH ₂) ₂	Me	(R)-

IV-814	Me	Me	Me	NH	iPr	CH ₂ C(Me) ₂	H	racemic
IV-815	Me	Me	Me	NH	iPr	CH ₂ C(Me) ₂	H	(R)-
IV-816	Me	Et	Me	NH	iPr	CH ₂ C(Me) ₂	H	racemic
IV-817	Me	Et	Me	NH	iPr	CH ₂ C(Me) ₂	H	(R)-
IV-818	Me	Me	Me	NH	iPr	CH ₂ C(Me) ₂	Me	racemic
IV-819	Me	Me	Me	NH	iPr	CH ₂ C(Me) ₂	Me	(R)-
IV-820	Me	Et	Me	NH	iPr	CH ₂ C(Me) ₂	Me	racemic
IV-821	Me	Et	Me	NH	iPr	CH ₂ C(Me) ₂	Me	(R)-
IV-822	Me	Me	Me	NH	iPr	C(Me) ₂ CH ₂	H	racemic
IV-823	Me	Me	Me	NH	iPr	C(Me) ₂ CH ₂	H	(+)
IV-824	Me	Me	Me	NH	iPr	C(Me) ₂ CH ₂	H	(-)
IV-825	Me	Et	Me	NH	iPr	C(Me) ₂ CH ₂	H	racemic
IV-826	Me	Et	Me	NH	iPr	C(Me) ₂ CH ₂	H	(+)
IV-827	Me	Et	Me	NH	iPr	C(Me) ₂ CH ₂	H	(-)
IV-828	Me	Me	Me	NH	iPr	C(Me) ₂ CH ₂	Me	racemic
IV-829	Me	Me	Me	NH	iPr	C(Me) ₂ CH ₂	Me	(+)
IV-830	Me	Me	Me	NH	iPr	C(Me) ₂ CH ₂	Me	(-)
IV-831	Me	Et	Me	NH	iPr	C(Me) ₂ CH ₂	Me	racemic
IV-832	Me	Et	Me	NH	iPr	C(Me) ₂ CH ₂	Me	(+)
IV-833	Me	Et	Me	NH	iPr	C(Me) ₂ CH ₂	Me	(-)
IV-834	Me	Me	Me	NH	iPr	(R)-CH(Me)	H	(S)-
IV-835	Me	Me	Me	NH	iPr	(S)-CH(Me)	H	(S)-
IV-836	Me	Et	Me	NH	iPr	(R)-CH(Me)	H	(S)-
IV-837	Me	Et	Me	NH	iPr	(S)-CH(Me)	H	(S)-
IV-838	Me	Me	Me	NH	iPr	(R)-CH(iPr)	H	(S)-
IV-839	Me	Me	Me	NH	iPr	(S)-CH(iPr)	H	(S)-
IV-840	Me	Et	Me	NH	iPr	(R)-CH(iPr)	H	(S)-
IV-841	Me	Et	Me	NH	iPr	(S)-CH(iPr)	H	(S)-
IV-842	Me	Me	Me	NH	iPr	(R)-CH(Ph)	H	(S)-
IV-843	Me	Me	Me	NH	iPr	(S)-CH(Ph)	H	(S)-
IV-844	Me	Et	Me	NH	iPr	(R)-CH(Ph)	H	(S)-
IV-845	Me	Et	Me	NH	iPr	(S)-CH(Ph)	H	(S)-
IV-846	Me	Me	Me	NH	CF ₃	CH ₂	H	racemic
IV-847	Me	Me	Me	NH	CF ₃	CH ₂	H	(S)-
IV-848	Me	Et	Me	NH	CF ₃	CH ₂	H	racemic
IV-849	Me	Et	Me	NH	CF ₃	CH ₂	H	(S)-

IV-850	Me	Me	Me	N(Me)	CF ₃	CH ₂	H	racemic
IV-851	Me	Me	Me	N(Me)	CF ₃	CH ₂	H	(S)-

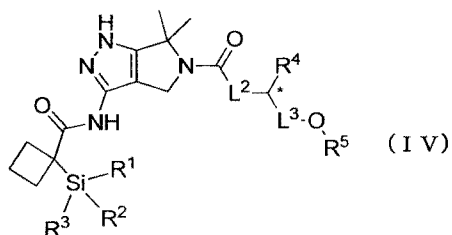
[0096] [Table 81]



Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁵	Configuration
IV-852	Me	Et	Me	N(Me)	CF ₃	CH ₂	H	racemic
IV-853	Me	Et	Me	N(Me)	CF ₃	CH ₂	H	(S)-
IV-854	Me	Me	Me	NH	CH ₂ OH	CH ₂	H	
IV-855	Me	Et	Me	NH	CH ₂ OH	CH ₂	H	
IV-856	Me	Me	Me	NH	CH ₂ OMe	CH ₂	H	racemic
IV-857	Me	Me	Me	NH	CH ₂ OMe	CH ₂	H	(R)-
IV-858	Me	Et	Me	NH	CH ₂ OMe	CH ₂	H	racemic
IV-859	Me	Et	Me	NH	CH ₂ OMe	CH ₂	H	(R)-
IV-860	Me	Me	Me	NH	CH ₂ Ph	CH ₂	H	racemic
IV-861	Me	Me	Me	NH	CH ₂ Ph	CH ₂	H	(R)-
IV-862	Me	Me	Me	NH	CH ₂ Ph	CH ₂	H	(S)-
IV-863	Me	Et	Me	NH	CH ₂ Ph	CH ₂	H	racemic
IV-864	Me	Et	Me	NH	CH ₂ Ph	CH ₂	H	(S)-
IV-865	Me	Me	Me	N(Me)	CH ₂ Ph	CH ₂	H	racemic
IV-866	Me	Me	Me	N(Me)	CH ₂ Ph	CH ₂	H	(S)-
IV-867	Me	Et	Me	N(Me)	CH ₂ Ph	CH ₂	H	racemic
IV-868	Me	Et	Me	N(Me)	CH ₂ Ph	CH ₂	H	(S)-
IV-869	Me	Me	Me	NH	2-F-Ph	CH ₂	Me	racemic
IV-870	Me	Me	Me	NH	2-F-Ph	CH ₂	Me	(S)-
IV-871	Me	Et	Me	NH	2-F-Ph	CH ₂	Me	racemic
IV-872	Me	Et	Me	NH	2-F-Ph	CH ₂	Me	(S)-
IV-873	Me	Me	Me	NH	2-F-Ph	(CH ₂) ₂	H	racemic
IV-874	Me	Me	Me	NH	2-F-Ph	(CH ₂) ₂	H	(+)-

IV-875	Me	Me	Me	NH	2-F-Ph	(CH ₂) ₂	H	(-)-
IV-876	Me	Et	Me	NH	2-F-Ph	(CH ₂) ₂	H	racemic
IV-877	Me	Et	Me	NH	2-F-Ph	(CH ₂) ₂	H	(R)-
IV-878	Me	Me	Me	NH	2-F-Ph	(CH ₂) ₂	Me	racemic
IV-879	Me	Me	Me	NH	2-F-Ph	(CH ₂) ₂	Me	(R)-
IV-880	Me	Et	Me	NH	2-F-Ph	(CH ₂) ₂	Me	racemic
IV-881	Me	Et	Me	NH	2-F-Ph	(CH ₂) ₂	Me	(R)-
IV-882	Me	Me	Me	NH	2-F-Ph	CH ₂ C(Me) ₂	H	racemic
IV-883	Me	Me	Me	NH	2-F-Ph	CH ₂ C(Me) ₂	H	(R)-
IV-884	Me	Et	Me	NH	2-F-Ph	CH ₂ C(Me) ₂	H	racemic
IV-885	Me	Et	Me	NH	2-F-Ph	CH ₂ C(Me) ₂	H	(R)-
IV-886	Me	Me	Me	NH	2-F-Ph	CH ₂ C(Me) ₂	Me	racemic
IV-887	Me	Me	Me	NH	2-F-Ph	CH ₂ C(Me) ₂	Me	(R)-
IV-888	Me	Et	Me	NH	2-F-Ph	CH ₂ C(Me) ₂	Me	racemic
IV-889	Me	Et	Me	NH	2-F-Ph	CH ₂ C(Me) ₂	Me	(R)-
IV-890	Me	Me	Me	NH	2-F-Ph	C(Me) ₂ CH ₂	H	racemic
IV-891	Me	Me	Me	NH	2-F-Ph	C(Me) ₂ CH ₂	H	(+)
IV-892	Me	Me	Me	NH	2-F-Ph	C(Me) ₂ CH ₂	H	(-)
IV-893	Me	Et	Me	NH	2-F-Ph	C(Me) ₂ CH ₂	H	racemic
IV-894	Me	Et	Me	NH	2-F-Ph	C(Me) ₂ CH ₂	H	(+)
IV-895	Me	Et	Me	NH	2-F-Ph	C(Me) ₂ CH ₂	H	(-)
IV-896	Me	Me	Me	NH	2-F-Ph	C(Me) ₂ CH ₂	Me	racemic
IV-897	Me	Me	Me	NH	2-F-Ph	C(Me) ₂ CH ₂	Me	(+)
IV-898	Me	Me	Me	NH	2-F-Ph	C(Me) ₂ CH ₂	Me	(-)
IV-899	Me	Et	Me	NH	2-F-Ph	C(Me) ₂ CH ₂	Me	racemic
IV-900	Me	Et	Me	NH	2-F-Ph	C(Me) ₂ CH ₂	Me	(+)
IV-901	Me	Et	Me	NH	2-F-Ph	C(Me) ₂ CH ₂	Me	(-)

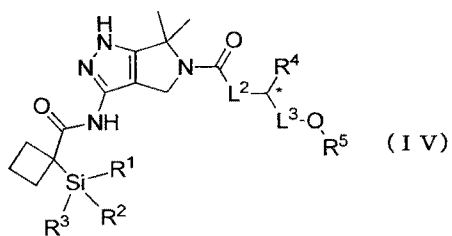
[0097] [Table 82]



Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁵	Configuration
IV-902	Me	Me	Me	NH	3-F-Ph	(CH ₂) ₂	H	racemic
IV-903	Me	Me	Me	NH	3-F-Ph	(CH ₂) ₂	H	(R)-
IV-904	Me	Et	Me	NH	3-F-Ph	(CH ₂) ₂	H	racemic
IV-905	Me	Et	Me	NH	3-F-Ph	(CH ₂) ₂	H	(R)-
IV-906	Me	Me	Me	NH	3-F-Ph	(CH ₂) ₂	Me	racemic
IV-907	Me	Me	Me	NH	3-F-Ph	(CH ₂) ₂	Me	(R)-
IV-908	Me	Et	Me	NH	3-F-Ph	(CH ₂) ₂	Me	racemic
IV-909	Me	Et	Me	NH	3-F-Ph	(CH ₂) ₂	Me	(R)-
IV-910	Me	Me	Me	NH	3-F-Ph	CH ₂ C(Me) ₂	H	racemic
IV-911	Me	Me	Me	NH	3-F-Ph	CH ₂ C(Me) ₂	H	(R)-
IV-912	Me	Et	Me	NH	3-F-Ph	CH ₂ C(Me) ₂	H	racemic
IV-913	Me	Et	Me	NH	3-F-Ph	CH ₂ C(Me) ₂	H	(R)-
IV-914	Me	Me	Me	NH	3-F-Ph	CH ₂ C(Me) ₂	Me	racemic
IV-915	Me	Me	Me	NH	3-F-Ph	CH ₂ C(Me) ₂	Me	(R)-
IV-916	Me	Et	Me	NH	3-F-Ph	CH ₂ C(Me) ₂	Me	racemic
IV-917	Me	Et	Me	NH	3-F-Ph	CH ₂ C(Me) ₂	Me	(R)-
IV-918	Me	Me	Me	NH	3-F-Ph	C(Me) ₂ CH ₂	H	racemic
IV-919	Me	Me	Me	NH	3-F-Ph	C(Me) ₂ CH ₂	H	(+)
IV-920	Me	Me	Me	NH	3-F-Ph	C(Me) ₂ CH ₂	H	(-)
IV-921	Me	Et	Me	NH	3-F-Ph	C(Me) ₂ CH ₂	H	racemic
IV-922	Me	Et	Me	NH	3-F-Ph	C(Me) ₂ CH ₂	H	(+)
IV-923	Me	Et	Me	NH	3-F-Ph	C(Me) ₂ CH ₂	H	(-)
IV-924	Me	Me	Me	NH	3-F-Ph	C(Me) ₂ CH ₂	Me	racemic
IV-925	Me	Me	Me	NH	3-F-Ph	C(Me) ₂ CH ₂	Me	(+)
IV-926	Me	Me	Me	NH	3-F-Ph	C(Me) ₂ CH ₂	Me	(-)
IV-927	Me	Et	Me	NH	3-F-Ph	C(Me) ₂ CH ₂	Me	racemic
IV-928	Me	Et	Me	NH	3-F-Ph	C(Me) ₂ CH ₂	Me	(+)
IV-929	Me	Et	Me	NH	3-F-Ph	C(Me) ₂ CH ₂	Me	(-)
IV-930	Me	Me	Me	NH	4-F-Ph	(CH ₂) ₂	H	racemic
IV-931	Me	Me	Me	NH	4-F-Ph	(CH ₂) ₂	H	(R)-
IV-932	Me	Et	Me	NH	4-F-Ph	(CH ₂) ₂	H	racemic
IV-933	Me	Et	Me	NH	4-F-Ph	(CH ₂) ₂	H	(R)-
IV-934	Me	Me	Me	NH	4-F-Ph	(CH ₂) ₂	Me	racemic
IV-935	Me	Me	Me	NH	4-F-Ph	(CH ₂) ₂	Me	(R)-

IV-936	Me	Et	Me	NH	4-F-Ph	(CH ₂) ₂	Me	racemic
IV-937	Me	Et	Me	NH	4-F-Ph	(CH ₂) ₂	Me	(R)-
IV-938	Me	Me	Me	NH	4-F-Ph	CH ₂ C(Me) ₂	H	racemic
IV-939	Me	Me	Me	NH	4-F-Ph	CH ₂ C(Me) ₂	H	(R)-
IV-940	Me	Et	Me	NH	4-F-Ph	CH ₂ C(Me) ₂	H	racemic
IV-941	Me	Et	Me	NH	4-F-Ph	CH ₂ C(Me) ₂	H	(R)-
IV-942	Me	Me	Me	NH	4-F-Ph	CH ₂ C(Me) ₂	Me	racemic
IV-943	Me	Me	Me	NH	4-F-Ph	CH ₂ C(Me) ₂	Me	(R)-
IV-944	Me	Et	Me	NH	4-F-Ph	CH ₂ C(Me) ₂	Me	racemic
IV-945	Me	Et	Me	NH	4-F-Ph	CH ₂ C(Me) ₂	Me	(R)-
IV-946	Me	Me	Me	NH	4-F-Ph	C(Me) ₂ CH ₂	H	racemic
IV-947	Me	Me	Me	NH	4-F-Ph	C(Me) ₂ CH ₂	H	(+)
IV-948	Me	Me	Me	NH	4-F-Ph	C(Me) ₂ CH ₂	H	(-)
IV-949	Me	Et	Me	NH	4-F-Ph	C(Me) ₂ CH ₂	H	racemic
IV-950	Me	Et	Me	NH	4-F-Ph	C(Me) ₂ CH ₂	H	(+)
IV-951	Me	Et	Me	NH	4-F-Ph	C(Me) ₂ CH ₂	H	(-)

[0098] [Table 83]

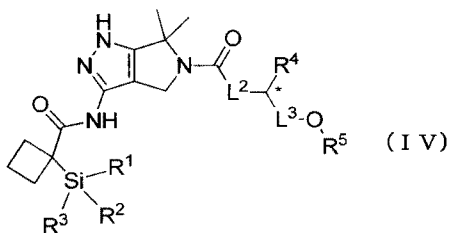


Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁵	Configuration
IV-952	Me	Me	Me	NH	4-F-Ph	C(Me) ₂ CH ₂	Me	racemic
IV-953	Me	Me	Me	NH	4-F-Ph	C(Me) ₂ CH ₂	Me	(+)
IV-954	Me	Me	Me	NH	4-F-Ph	C(Me) ₂ CH ₂	Me	(-)
IV-955	Me	Et	Me	NH	4-F-Ph	C(Me) ₂ CH ₂	Me	racemic
IV-956	Me	Et	Me	NH	4-F-Ph	C(Me) ₂ CH ₂	Me	(+)
IV-957	Me	Et	Me	NH	4-F-Ph	C(Me) ₂ CH ₂	Me	(-)
IV-958	Me	Me	Me	NH	2-Cl-Ph	CH ₂	H	racemic
IV-959	Me	Me	Me	NH	2-Cl-Ph	CH ₂	H	(S)-
IV-960	Me	Et	Me	NH	2-Cl-Ph	CH ₂	H	racemic

IV-961	Me	Et	Me	NH	2-Cl-Ph	CH ₂	H	(S)-
IV-962	Me	Me	Me	NH	2-Cl-Ph	CH ₂	Me	racemic
IV-963	Me	Me	Me	NH	2-Cl-Ph	CH ₂	Me	(S)-
IV-964	Me	Et	Me	NH	2-Cl-Ph	CH ₂	Me	racemic
IV-965	Me	Et	Me	NH	2-Cl-Ph	CH ₂	Me	(S)-
IV-966	Me	Me	Me	NH	2-Cl-Ph	(CH ₂) ₂	H	racemic
IV-967	Me	Me	Me	NH	2-Cl-Ph	(CH ₂) ₂	H	(R)-
IV-968	Me	Et	Me	NH	2-Cl-Ph	(CH ₂) ₂	H	racemic
IV-969	Me	Et	Me	NH	2-Cl-Ph	(CH ₂) ₂	H	(R)-
IV-970	Me	Me	Me	NH	2-Cl-Ph	(CH ₂) ₂	Me	racemic
IV-971	Me	Me	Me	NH	2-Cl-Ph	(CH ₂) ₂	Me	(R)-
IV-972	Me	Et	Me	NH	2-Cl-Ph	(CH ₂) ₂	Me	racemic
IV-973	Me	Et	Me	NH	2-Cl-Ph	(CH ₂) ₂	Me	(R)-
IV-974	Me	Me	Me	NH	2-Cl-Ph	CH ₂ C(Me) ₂	H	racemic
IV-975	Me	Me	Me	NH	2-Cl-Ph	CH ₂ C(Me) ₂	H	(R)-
IV-976	Me	Et	Me	NH	2-Cl-Ph	CH ₂ C(Me) ₂	H	racemic
IV-977	Me	Et	Me	NH	2-Cl-Ph	CH ₂ C(Me) ₂	H	(R)-
IV-978	Me	Me	Me	NH	2-Cl-Ph	CH ₂ C(Me) ₂	Me	racemic
IV-979	Me	Me	Me	NH	2-Cl-Ph	CH ₂ C(Me) ₂	Me	(R)-
IV-980	Me	Et	Me	NH	2-Cl-Ph	CH ₂ C(Me) ₂	Me	racemic
IV-981	Me	Et	Me	NH	2-Cl-Ph	CH ₂ C(Me) ₂	Me	(R)-
IV-982	Me	Me	Me	NH	2-Cl-Ph	C(Me) ₂ CH ₂	H	racemic
IV-983	Me	Me	Me	NH	2-Cl-Ph	C(Me) ₂ CH ₂	H	(+)
IV-984	Me	Me	Me	NH	2-Cl-Ph	C(Me) ₂ CH ₂	H	(-)
IV-985	Me	Et	Me	NH	2-Cl-Ph	C(Me) ₂ CH ₂	H	racemic
IV-986	Me	Et	Me	NH	2-Cl-Ph	C(Me) ₂ CH ₂	H	(+)
IV-987	Me	Et	Me	NH	2-Cl-Ph	C(Me) ₂ CH ₂	H	(-)
IV-988	Me	Me	Me	NH	2-Cl-Ph	C(Me) ₂ CH ₂	Me	racemic
IV-989	Me	Me	Me	NH	2-Cl-Ph	C(Me) ₂ CH ₂	Me	(+)
IV-990	Me	Me	Me	NH	2-Cl-Ph	C(Me) ₂ CH ₂	Me	(-)
IV-991	Me	Et	Me	NH	2-Cl-Ph	C(Me) ₂ CH ₂	Me	racemic
IV-992	Me	Et	Me	NH	2-Cl-Ph	C(Me) ₂ CH ₂	Me	(+)
IV-993	Me	Et	Me	NH	2-Cl-Ph	C(Me) ₂ CH ₂	Me	(-)
IV-994	Me	Me	Me	NH	3-Cl-Ph	CH ₂	H	racemic
IV-995	Me	Me	Me	NH	3-Cl-Ph	CH ₂	H	(S)-
IV-996	Me	Et	Me	NH	3-Cl-Ph	CH ₂	H	racemic

IV-997	Me	Et	Me	NH	3-Cl-Ph	CH ₂	H	(S)-
IV-998	Me	Me	Me	NH	3-Cl-Ph	CH ₂	Me	racemic
IV-999	Me	Me	Me	NH	3-Cl-Ph	CH ₂	Me	(S)-
IV-1000	Me	Et	Me	NH	3-Cl-Ph	CH ₂	Me	racemic
IV-1001	Me	Et	Me	NH	3-Cl-Ph	CH ₂	Me	(S)-

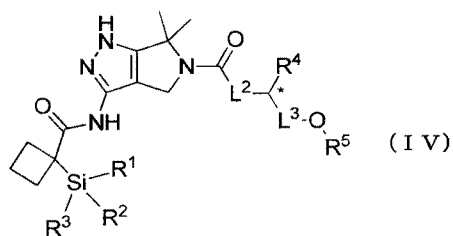
[0099] [Table 84]



Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁵	Configuration
IV-1002	Me	Me	Me	NH	3-Cl-Ph	(CH ₂) ₂	H	racemic
IV-1003	Me	Me	Me	NH	3-Cl-Ph	(CH ₂) ₂	H	(R)-
IV-1004	Me	Et	Me	NH	3-Cl-Ph	(CH ₂) ₂	H	racemic
IV-1005	Me	Et	Me	NH	3-Cl-Ph	(CH ₂) ₂	H	(R)-
IV-1006	Me	Me	Me	NH	3-Cl-Ph	(CH ₂) ₂	Me	racemic
IV-1007	Me	Me	Me	NH	3-Cl-Ph	(CH ₂) ₂	Me	(R)-
IV-1008	Me	Et	Me	NH	3-Cl-Ph	(CH ₂) ₂	Me	racemic
IV-1009	Me	Et	Me	NH	3-Cl-Ph	(CH ₂) ₂	Me	(R)-
IV-1010	Me	Me	Me	NH	3-Cl-Ph	CH ₂ C(Me) ₂	H	racemic
IV-1011	Me	Me	Me	NH	3-Cl-Ph	CH ₂ C(Me) ₂	H	(R)-
IV-1012	Me	Et	Me	NH	3-Cl-Ph	CH ₂ C(Me) ₂	H	racemic
IV-1013	Me	Et	Me	NH	3-Cl-Ph	CH ₂ C(Me) ₂	H	(R)-
IV-1014	Me	Me	Me	NH	3-Cl-Ph	CH ₂ C(Me) ₂	Me	racemic
IV-1015	Me	Me	Me	NH	3-Cl-Ph	CH ₂ C(Me) ₂	Me	(R)-
IV-1016	Me	Et	Me	NH	3-Cl-Ph	CH ₂ C(Me) ₂	Me	racemic
IV-1017	Me	Et	Me	NH	3-Cl-Ph	CH ₂ C(Me) ₂	Me	(R)-
IV-1018	Me	Me	Me	NH	3-Cl-Ph	C(Me) ₂ CH ₂	H	racemic
IV-1019	Me	Me	Me	NH	3-Cl-Ph	C(Me) ₂ CH ₂	H	(+)
IV-1020	Me	Me	Me	NH	3-Cl-Ph	C(Me) ₂ CH ₂	H	(-)
IV-1021	Me	Et	Me	NH	3-Cl-Ph	C(Me) ₂ CH ₂	H	racemic

IV-1022	Me	Et	Me	NH	3-Cl-Ph	C(Me) ₂ CH ₂	H	(+)
IV-1023	Me	Et	Me	NH	3-Cl-Ph	C(Me) ₂ CH ₂	H	(-)
IV-1024	Me	Me	Me	NH	3-Cl-Ph	C(Me) ₂ CH ₂	Me	racemic
IV-1025	Me	Me	Me	NH	3-Cl-Ph	C(Me) ₂ CH ₂	Me	(+)
IV-1026	Me	Me	Me	NH	3-Cl-Ph	C(Me) ₂ CH ₂	Me	(-)
IV-1027	Me	Et	Me	NH	3-Cl-Ph	C(Me) ₂ CH ₂	Me	racemic
IV-1028	Me	Et	Me	NH	3-Cl-Ph	C(Me) ₂ CH ₂	Me	(+)
IV-1029	Me	Et	Me	NH	3-Cl-Ph	C(Me) ₂ CH ₂	Me	(-)
IV-1030	Me	Me	Me	NH	4-Cl-Ph	CH ₂	H	racemic
IV-1031	Me	Me	Me	NH	4-Cl-Ph	CH ₂	H	(S)-
IV-1032	Me	Et	Me	NH	4-Cl-Ph	CH ₂	H	racemic
IV-1033	Me	Et	Me	NH	4-Cl-Ph	CH ₂	H	(S)-
IV-1034	Me	Me	Me	NH	4-Cl-Ph	CH ₂	Me	racemic
IV-1035	Me	Me	Me	NH	4-Cl-Ph	CH ₂	Me	(S)-
IV-1036	Me	Et	Me	NH	4-Cl-Ph	CH ₂	Me	racemic
IV-1037	Me	Et	Me	NH	4-Cl-Ph	CH ₂	Me	(S)-
IV-1038	Me	Me	Me	NH	4-Cl-Ph	(CH ₂) ₂	H	racemic
IV-1039	Me	Me	Me	NH	4-Cl-Ph	(CH ₂) ₂	H	(R)-
IV-1040	Me	Et	Me	NH	4-Cl-Ph	(CH ₂) ₂	H	racemic
IV-1041	Me	Et	Me	NH	4-Cl-Ph	(CH ₂) ₂	H	(R)-
IV-1042	Me	Me	Me	NH	4-Cl-Ph	(CH ₂) ₂	Me	racemic
IV-1043	Me	Me	Me	NH	4-Cl-Ph	(CH ₂) ₂	Me	(R)-
IV-1044	Me	Et	Me	NH	4-Cl-Ph	(CH ₂) ₂	Me	racemic
IV-1045	Me	Et	Me	NH	4-Cl-Ph	(CH ₂) ₂	Me	(R)-
IV-1046	Me	Me	Me	NH	4-Cl-Ph	CH ₂ C(Me) ₂	H	racemic
IV-1047	Me	Me	Me	NH	4-Cl-Ph	CH ₂ C(Me) ₂	H	(R)-
IV-1048	Me	Et	Me	NH	4-Cl-Ph	CH ₂ C(Me) ₂	H	racemic
IV-1049	Me	Et	Me	NH	4-Cl-Ph	CH ₂ C(Me) ₂	H	(R)-
IV-1050	Me	Me	Me	NH	4-Cl-Ph	CH ₂ C(Me) ₂	Me	racemic
IV-1051	Me	Me	Me	NH	4-Cl-Ph	CH ₂ C(Me) ₂	Me	(R)-

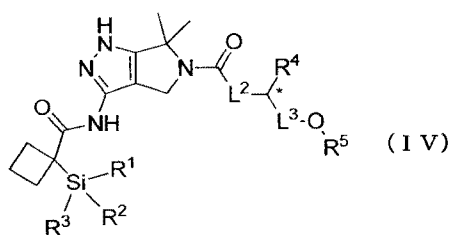
[0100] [Table 85]



Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁵	Configuration
IV-1052	Me	Et	Me	NH	4-Cl-Ph	CH ₂ C(Me) ₂	Me	racemic
IV-1053	Me	Et	Me	NH	4-Cl-Ph	CH ₂ C(Me) ₂	Me	(R)-
IV-1054	Me	Me	Me	NH	4-Cl-Ph	C(Me) ₂ CH ₂	H	racemic
IV-1055	Me	Me	Me	NH	4-Cl-Ph	C(Me) ₂ CH ₂	H	(+)
IV-1056	Me	Me	Me	NH	4-Cl-Ph	C(Me) ₂ CH ₂	H	(-)
IV-1057	Me	Et	Me	NH	4-Cl-Ph	C(Me) ₂ CH ₂	H	racemic
IV-1058	Me	Et	Me	NH	4-Cl-Ph	C(Me) ₂ CH ₂	H	(+)
IV-1059	Me	Et	Me	NH	4-Cl-Ph	C(Me) ₂ CH ₂	H	(-)
IV-1060	Me	Me	Me	NH	4-Cl-Ph	C(Me) ₂ CH ₂	Me	racemic
IV-1061	Me	Me	Me	NH	4-Cl-Ph	C(Me) ₂ CH ₂	Me	(+)
IV-1062	Me	Me	Me	NH	4-Cl-Ph	C(Me) ₂ CH ₂	Me	(-)
IV-1063	Me	Et	Me	NH	4-Cl-Ph	C(Me) ₂ CH ₂	Me	racemic
IV-1064	Me	Et	Me	NH	4-Cl-Ph	C(Me) ₂ CH ₂	Me	(+)
IV-1065	Me	Et	Me	NH	4-Cl-Ph	C(Me) ₂ CH ₂	Me	(-)
IV-1066	Me	Me	Me	NH	2-Me-Ph	CH ₂	H	racemic
IV-1067	Me	Me	Me	NH	2-Me-Ph	CH ₂	H	(S)-
IV-1068	Me	Et	Me	NH	2-Me-Ph	CH ₂	H	racemic
IV-1069	Me	Et	Me	NH	2-Me-Ph	CH ₂	H	(S)-
IV-1070	Me	Me	Me	NH	2-Me-Ph	CH ₂	Me	racemic
IV-1071	Me	Me	Me	NH	2-Me-Ph	CH ₂	Me	(S)-
IV-1072	Me	Et	Me	NH	2-Me-Ph	CH ₂	Me	racemic
IV-1073	Me	Et	Me	NH	2-Me-Ph	CH ₂	Me	(S)-
IV-1074	Me	Me	Me	NH	2-Me-Ph	(CH ₂) ₂	H	racemic
IV-1075	Me	Me	Me	NH	2-Me-Ph	(CH ₂) ₂	H	(R)-
IV-1076	Me	Et	Me	NH	2-Me-Ph	(CH ₂) ₂	H	racemic
IV-1077	Me	Et	Me	NH	2-Me-Ph	(CH ₂) ₂	H	(R)-
IV-1078	Me	Me	Me	NH	2-Me-Ph	(CH ₂) ₂	Me	racemic
IV-1079	Me	Me	Me	NH	2-Me-Ph	(CH ₂) ₂	Me	(R)-

IV-1080	Me	Et	Me	NH	2-Me-Ph	(CH ₂) ₂	Me	racemic
IV-1081	Me	Et	Me	NH	2-Me-Ph	(CH ₂) ₂	Me	(R)-
IV-1082	Me	Me	Me	NH	2-Me-Ph	CH ₂ C(Me) ₂	H	racemic
IV-1083	Me	Me	Me	NH	2-Me-Ph	CH ₂ C(Me) ₂	H	(R)-
IV-1084	Me	Et	Me	NH	2-Me-Ph	CH ₂ C(Me) ₂	H	racemic
IV-1085	Me	Et	Me	NH	2-Me-Ph	CH ₂ C(Me) ₂	H	(R)-
IV-1086	Me	Me	Me	NH	2-Me-Ph	CH ₂ C(Me) ₂	Me	racemic
IV-1087	Me	Me	Me	NH	2-Me-Ph	CH ₂ C(Me) ₂	Me	(R)-
IV-1088	Me	Et	Me	NH	2-Me-Ph	CH ₂ C(Me) ₂	Me	racemic
IV-1089	Me	Et	Me	NH	2-Me-Ph	CH ₂ C(Me) ₂	Me	(R)-
IV-1090	Me	Me	Me	NH	2-Me-Ph	C(Me) ₂ CH ₂	H	racemic
IV-1091	Me	Me	Me	NH	2-Me-Ph	C(Me) ₂ CH ₂	H	(+)
IV-1092	Me	Me	Me	NH	2-Me-Ph	C(Me) ₂ CH ₂	H	(-)
IV-1093	Me	Et	Me	NH	2-Me-Ph	C(Me) ₂ CH ₂	H	racemic
IV-1094	Me	Et	Me	NH	2-Me-Ph	C(Me) ₂ CH ₂	H	(+)
IV-1095	Me	Et	Me	NH	2-Me-Ph	C(Me) ₂ CH ₂	H	(-)
IV-1096	Me	Me	Me	NH	2-Me-Ph	C(Me) ₂ CH ₂	Me	racemic
IV-1097	Me	Me	Me	NH	2-Me-Ph	C(Me) ₂ CH ₂	Me	(+)
IV-1098	Me	Me	Me	NH	2-Me-Ph	C(Me) ₂ CH ₂	Me	(-)
IV-1099	Me	Et	Me	NH	2-Me-Ph	C(Me) ₂ CH ₂	Me	racemic
IV-1100	Me	Et	Me	NH	2-Me-Ph	C(Me) ₂ CH ₂	Me	(+)
IV-1101	Me	Et	Me	NH	2-Me-Ph	C(Me) ₂ CH ₂	Me	(-)

[0101] [Table 86]

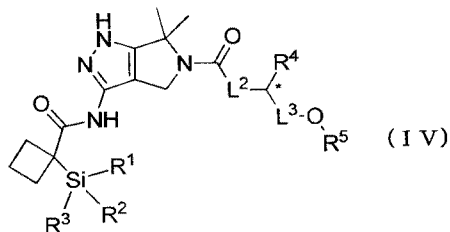


Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁵	Configura- tion
IV-1102	Me	Me	Me	NH	3-Me-Ph	CH ₂	H	racemic
IV-1103	Me	Me	Me	NH	3-Me-Ph	CH ₂	H	(S)-
IV-1104	Me	Et	Me	NH	3-Me-Ph	CH ₂	H	racemic

IV-1105	Me	Et	Me	NH	3-Me-Ph	CH ₂	H	(S)-
IV-1106	Me	Me	Me	NH	3-Me-Ph	CH ₂	Me	racemic
IV-1107	Me	Me	Me	NH	3-Me-Ph	CH ₂	Me	(S)-
IV-1108	Me	Et	Me	NH	3-Me-Ph	CH ₂	Me	racemic
IV-1109	Me	Et	Me	NH	3-Me-Ph	CH ₂	Me	(S)-
IV-1110	Me	Me	Me	NH	3-Me-Ph	(CH ₂) ₂	H	racemic
IV-1111	Me	Me	Me	NH	3-Me-Ph	(CH ₂) ₂	H	(R)-
IV-1112	Me	Et	Me	NH	3-Me-Ph	(CH ₂) ₂	H	racemic
IV-1113	Me	Et	Me	NH	3-Me-Ph	(CH ₂) ₂	H	(R)-
IV-1114	Me	Me	Me	NH	3-Me-Ph	(CH ₂) ₂	Me	racemic
IV-1115	Me	Me	Me	NH	3-Me-Ph	(CH ₂) ₂	Me	(R)-
IV-1116	Me	Et	Me	NH	3-Me-Ph	(CH ₂) ₂	Me	racemic
IV-1117	Me	Et	Me	NH	3-Me-Ph	(CH ₂) ₂	Me	(R)-
IV-1118	Me	Me	Me	NH	3-Me-Ph	CH ₂ C(Me) ₂	H	racemic
IV-1119	Me	Me	Me	NH	3-Me-Ph	CH ₂ C(Me) ₂	H	(R)-
IV-1120	Me	Et	Me	NH	3-Me-Ph	CH ₂ C(Me) ₂	H	racemic
IV-1121	Me	Et	Me	NH	3-Me-Ph	CH ₂ C(Me) ₂	H	(R)-
IV-1122	Me	Me	Me	NH	3-Me-Ph	CH ₂ C(Me) ₂	Me	racemic
IV-1123	Me	Me	Me	NH	3-Me-Ph	CH ₂ C(Me) ₂	Me	(R)-
IV-1124	Me	Et	Me	NH	3-Me-Ph	CH ₂ C(Me) ₂	Me	racemic
IV-1125	Me	Et	Me	NH	3-Me-Ph	CH ₂ C(Me) ₂	Me	(R)-
IV-1126	Me	Me	Me	NH	3-Me-Ph	C(Me) ₂ CH ₂	H	racemic
IV-1127	Me	Me	Me	NH	3-Me-Ph	C(Me) ₂ CH ₂	H	(+)
IV-1128	Me	Me	Me	NH	3-Me-Ph	C(Me) ₂ CH ₂	H	(-)
IV-1129	Me	Et	Me	NH	3-Me-Ph	C(Me) ₂ CH ₂	H	racemic
IV-1130	Me	Et	Me	NH	3-Me-Ph	C(Me) ₂ CH ₂	H	(+)
IV-1131	Me	Et	Me	NH	3-Me-Ph	C(Me) ₂ CH ₂	H	(-)
IV-1132	Me	Me	Me	NH	3-Me-Ph	C(Me) ₂ CH ₂	Me	racemic
IV-1133	Me	Me	Me	NH	3-Me-Ph	C(Me) ₂ CH ₂	Me	(+)
IV-1134	Me	Me	Me	NH	3-Me-Ph	C(Me) ₂ CH ₂	Me	(-)
IV-1135	Me	Et	Me	NH	3-Me-Ph	C(Me) ₂ CH ₂	Me	racemic
IV-1136	Me	Et	Me	NH	3-Me-Ph	C(Me) ₂ CH ₂	Me	(+)
IV-1137	Me	Et	Me	NH	3-Me-Ph	C(Me) ₂ CH ₂	Me	(-)
IV-1138	Me	Me	Me	NH	4-Me-Ph	CH ₂	H	racemic
IV-1139	Me	Me	Me	NH	4-Me-Ph	CH ₂	H	(S)-
IV-1140	Me	Et	Me	NH	4-Me-Ph	CH ₂	H	racemic

IV-1141	Me	Et	Me	NH	4-Me-Ph	CH ₂	H	(S)-
IV-1142	Me	Me	Me	NH	4-Me-Ph	CH ₂	Me	racemic
IV-1143	Me	Me	Me	NH	4-Me-Ph	CH ₂	Me	(S)-
IV-1144	Me	Et	Me	NH	4-Me-Ph	CH ₂	Me	racemic
IV-1145	Me	Et	Me	NH	4-Me-Ph	CH ₂	Me	(S)-
IV-1146	Me	Me	Me	NH	4-Me-Ph	(CH ₂) ₂	H	racemic
IV-1147	Me	Me	Me	NH	4-Me-Ph	(CH ₂) ₂	H	(R)-
IV-1148	Me	Me	Me	NH	4-Me-Ph	(CH ₂) ₂	Me	racemic
IV-1149	Me	Me	Me	NH	4-Me-Ph	(CH ₂) ₂	Me	(R)-
IV-1150	Me	Me	Me	NH	4-Me-Ph	CH ₂ C(Me) ₂	H	racemic
IV-1151	Me	Me	Me	NH	4-Me-Ph	CH ₂ C(Me) ₂	H	(R)-

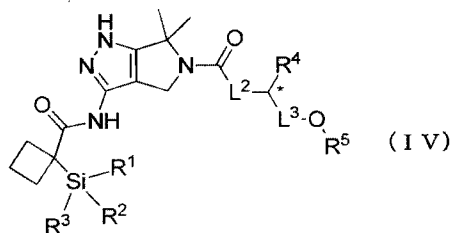
[0102] [Table 87]



Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁵	Configuration
IV-1152	Me	Et	Me	NH	4-Me-Ph	CH ₂ C(Me) ₂	H	racemic
IV-1153	Me	Et	Me	NH	4-Me-Ph	CH ₂ C(Me) ₂	H	(R)-
IV-1154	Me	Me	Me	NH	4-Me-Ph	CH ₂ C(Me) ₂	Me	racemic
IV-1155	Me	Me	Me	NH	4-Me-Ph	CH ₂ C(Me) ₂	Me	(R)-
IV-1156	Me	Et	Me	NH	4-Me-Ph	CH ₂ C(Me) ₂	Me	racemic
IV-1157	Me	Et	Me	NH	4-Me-Ph	CH ₂ C(Me) ₂	Me	(R)-
IV-1158	Me	Me	Me	NH	4-Me-Ph	C(Me) ₂ CH ₂	H	racemic
IV-1159	Me	Me	Me	NH	4-Me-Ph	C(Me) ₂ CH ₂	H	(+)
IV-1160	Me	Me	Me	NH	4-Me-Ph	C(Me) ₂ CH ₂	H	(-)
IV-1161	Me	Et	Me	NH	4-Me-Ph	C(Me) ₂ CH ₂	H	racemic
IV-1162	Me	Et	Me	NH	4-Me-Ph	C(Me) ₂ CH ₂	H	(+)
IV-1163	Me	Et	Me	NH	4-Me-Ph	C(Me) ₂ CH ₂	H	(-)
IV-1164	Me	Me	Me	NH	4-Me-Ph	C(Me) ₂ CH ₂	Me	racemic
IV-1165	Me	Me	Me	NH	4-Me-Ph	C(Me) ₂ CH ₂	Me	(+)

IV-1166	Me	Me	Me	NH	4-Me-Ph	C(Me) ₂ CH ₂	Me	(-)
IV-1167	Me	Et	Me	NH	4-Me-Ph	C(Me) ₂ CH ₂	Me	racemic
IV-1168	Me	Et	Me	NH	4-Me-Ph	C(Me) ₂ CH ₂	Me	(+)
IV-1169	Me	Et	Me	NH	4-Me-Ph	C(Me) ₂ CH ₂	Me	(-)
IV-1170	Me	Me	Me	-	Ph	-	H	racemic
IV-1171	Me	Me	Me	-	Ph	-	H	(R)-
IV-1172	Me	Et	Me	-	Ph	-	H	racemic
IV-1173	Me	Et	Me	-	Ph	-	H	(R)-
IV-1174	Me	Me	Me	-	Ph	-	Me	racemic
IV-1175	Me	Me	Me	-	Ph	-	Me	(R)-
IV-1176	Me	Me	Me	-	Ph	-	Me	(S)-
IV-1177	Me	Et	Me	-	Ph	-	Me	racemic
IV-1178	Me	Et	Me	-	Ph	-	Me	(R)-
IV-1179	Me	Me	Me	-	Ph	-	CHF ₂	racemic
IV-1180	Me	Me	Me	-	Ph	-	CHF ₂	(R)-
IV-1181	Me	Et	Me	-	Ph	-	CHF ₂	racemic
IV-1182	Me	Et	Me	-	Ph	-	CHF ₂	(R)-
IV-1183	Me	Me	Me	-	Ph	-	CF ₃	racemic
IV-1184	Me	Me	Me	-	Ph	-	CF ₃	(R)-
IV-1185	Me	Et	Me	-	Ph	-	CF ₃	racemic
IV-1186	Me	Et	Me	-	Ph	-	CF ₃	(R)-
IV-1187	Me	Me	Me	-	Ph	-	Et	racemic
IV-1188	Me	Me	Me	-	Ph	-	Et	(R)-
IV-1189	Me	Et	Me	-	Ph	-	Et	racemic
IV-1190	Me	Et	Me	-	Ph	-	Et	(R)-
IV-1191	Me	Me	Me	-	Ph	-	CF ₂ CH ₃	racemic
IV-1192	Me	Me	Me	-	Ph	-	CF ₂ CH ₃	(R)-
IV-1193	Me	Et	Me	-	Ph	-	CF ₂ CH ₃	racemic
IV-1194	Me	Et	Me	-	Ph	-	CF ₂ CH ₃	(R)-
IV-1195	Me	Me	Me	-	Ph	-	nPr	racemic
IV-1196	Me	Me	Me	-	Ph	-	nPr	(R)-
IV-1197	Me	Et	Me	-	Ph	-	nPr	racemic
IV-1198	Me	Et	Me	-	Ph	-	nPr	(R)-
IV-1199	Me	Me	Me	-	Ph	-	nBu	racemic
IV-1200	Me	Me	Me	-	Ph	-	nBu	(R)-
IV-1201	Me	Et	Me	-	Ph	-	nBu	racemic

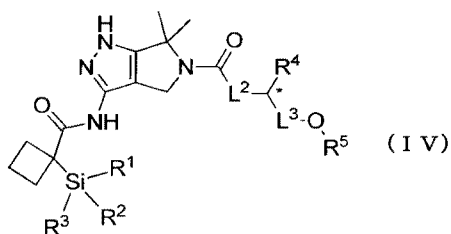
[0103] [Table 88]



Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁵	Configuration
IV-1202	Me	Et	Me	-	Ph	-	nBu	(R)-
IV-1203	Me	Me	Me	-	Ph	-	iPr	racemic
IV-1204	Me	Me	Me	-	Ph	-	iPr	(R)-
IV-1205	Me	Et	Me	-	Ph	-	iPr	racemic
IV-1206	Me	Et	Me	-	Ph	-	iPr	(R)-
IV-1207	Me	Me	Me	-	Ph	-	cPr	racemic
IV-1208	Me	Me	Me	-	Ph	-	cPr	(R)-
IV-1209	Me	Et	Me	-	Ph	-	cPr	racemic
IV-1210	Me	Et	Me	-	Ph	-	cPr	(R)-
IV-1211	Me	Me	Me	-	Ph	-	Ph	racemic
IV-1212	Me	Me	Me	-	Ph	-	Ph	(R)-
IV-1213	Me	Me	Me	-	Ph	-	2,2-diF-cPr	racemic
IV-1214	Me	Me	Me	-	Ph	-	2,2-diF-cPr	(R)-
IV-1215	Me	Me	Me	-	Ph	CH ₂	H	(R)-
IV-1216	Me	Me	Me	-	Ph	CH ₂	CHF ₂	racemic
IV-1217	Me	Me	Me	-	Ph	CH ₂	CHF ₂	(S)-
IV-1218	Me	Me	Me	-	Ph	CH ₂	Et	racemic
IV-1219	Me	Me	Me	-	Ph	CH ₂	Et	(S)-
IV-1220	Me	Me	Me	-	Ph	CH ₂	Bn	racemic
IV-1221	Me	Me	Me	-	Ph	CH ₂	Bn	(R)-
IV-1222	Me	Me	Me	-	Ph	(CH ₂) ₂	H	racemic
IV-1223	Me	Me	Me	-	Ph	(CH ₂) ₂	H	(S)-
IV-1224	Me	Me	Me	-	Ph	(CH ₂) ₂	Me	racemic
IV-1225	Me	Me	Me	-	Ph	(CH ₂) ₂	Me	(S)-
IV-1226	Me	Me	Me	-	Ph	(CH ₂) ₂	CHF ₂	racemic

IV-1227	Me	Me	Me	-	Ph	(CH ₂) ₂	CHF ₂	(S)-
IV-1228	Me	Me	Me	-	Ph	(CH ₂) ₂	Et	racemic
IV-1229	Me	Me	Me	-	Ph	(CH ₂) ₂	Et	(S)-
IV-1230	Me	Me	Me	-	H	-	H	
IV-1231	Me	Me	Me	-	H	-	Me	
IV-1232	Me	Me	Me	-	H	-	CHF ₂	
IV-1233	Me	Me	Me	-	H	-	CF ₃	
IV-1234	Me	Me	Me	-	H	-	Et	
IV-1235	Me	Me	Me	-	H	-	nPr	
IV-1236	Me	Me	Me	-	H	-	iPr	
IV-1237	Me	Me	Me	-	H	-	cPr	
IV-1238	Me	Me	Me	-	H	-	Ph	
IV-1239	Me	Me	Me	-	Me	-	H	racemic
IV-1240	Me	Me	Me	-	Me	-	H	(R)-
IV-1241	Me	Me	Me	-	Me	-	Me	racemic
IV-1242	Me	Me	Me	-	Me	-	Me	(R)-
IV-1243	Me	Me	Me	-	Me	-	CHF ₂	racemic
IV-1244	Me	Me	Me	-	Me	-	CHF ₂	(R)-
IV-1245	Me	Me	Me	-	Me	-	CF ₃	racemic
IV-1246	Me	Me	Me	-	Me	-	CF ₃	(R)-
IV-1247	Me	Me	Me	-	Me	-	Et	racemic
IV-1248	Me	Me	Me	-	Me	-	Et	(R)-
IV-1249	Me	Me	Me	-	Me	-	nPr	racemic
IV-1250	Me	Me	Me	-	Me	-	nPr	(R)-
IV-1251	Me	Me	Me	-	Me	-	iPr	racemic

[0104] [Table 89]

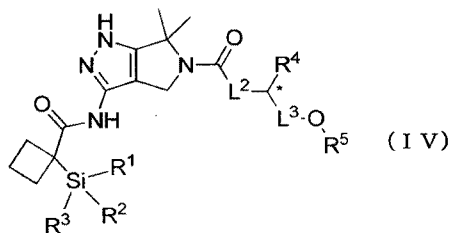


Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁵	Configura tion
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IV-1252	Me	Me	Me	-	Me	-	iPr	(R)-
IV-1253	Me	Me	Me	-	Me	-	cPr	racemic
IV-1254	Me	Me	Me	-	Me	-	cPr	(R)-
IV-1255	Me	Me	Me	-	Me	-	cHex	racemic
IV-1256	Me	Me	Me	-	Me	-	cHex	(R)-
IV-1257	Me	Me	Me	-	Me	-	Ph	racemic
IV-1258	Me	Me	Me	-	Me	-	Ph	(R)-
IV-1259	Me	Me	Me	-	Me	-	Ph	(S)-
IV-1260	Me	Me	Me	-	Me	-	2-F-Ph	racemic
IV-1261	Me	Me	Me	-	Me	-	2-F-Ph	(R)-
IV-1262	Me	Me	Me	-	Me	-	3-F-Ph	racemic
IV-1263	Me	Me	Me	-	Me	-	3-F-Ph	(R)-
IV-1264	Me	Me	Me	-	Me	-	4-F-Ph	racemic
IV-1265	Me	Me	Me	-	Me	-	4-F-Ph	(R)-
IV-1266	Me	Me	Me	-	Me	-	2-Cl-Ph	racemic
IV-1267	Me	Me	Me	-	Me	-	2-Cl-Ph	(R)-
IV-1268	Me	Me	Me	-	Me	-	3-Cl-Ph	racemic
IV-1269	Me	Me	Me	-	Me	-	3-Cl-Ph	(R)-
IV-1270	Me	Me	Me	-	Me	-	4-Cl-Ph	racemic
IV-1271	Me	Me	Me	-	Me	-	4-Cl-Ph	(R)-
IV-1272	Me	Me	Me	-	Me	-	2-Py	racemic
IV-1273	Me	Me	Me	-	Me	-	2-Py	(R)-
IV-1274	Me	Me	Me	-	Me	-	3-Py	racemic
IV-1275	Me	Me	Me	-	Me	-	3-Py	(R)-
IV-1276	Me	Me	Me	-	Me	-	4-Py	racemic
IV-1277	Me	Me	Me	-	Me	-	4-Py	(R)-
IV-1278	Me	Me	Me	-	iPr	-	H	racemic
IV-1279	Me	Me	Me	-	iPr	-	H	(R)-
IV-1280	Me	Me	Me	-	iPr	-	Me	racemic
IV-1281	Me	Me	Me	-	iPr	-	Me	(R)-
IV-1282	Me	Me	Me	-	iPr	-	CHF ₂	racemic
IV-1283	Me	Me	Me	-	iPr	-	CHF ₂	(R)-
IV-1284	Me	Me	Me	-	iPr	-	CF ₃	racemic
IV-1285	Me	Me	Me	-	iPr	-	CF ₃	(R)-
IV-1286	Me	Me	Me	-	iPr	-	Et	racemic
IV-1287	Me	Me	Me	-	iPr	-	Et	(R)-

IV-1288	Me	Me	Me	-	iPr	-	nPr	racemic
IV-1289	Me	Me	Me	-	iPr	-	nPr	(R)-
IV-1290	Me	Me	Me	-	iPr	-	iPr	racemic
IV-1291	Me	Me	Me	-	iPr	-	iPr	(R)-
IV-1292	Me	Me	Me	-	iPr	-	cPr	racemic
IV-1293	Me	Me	Me	-	iPr	-	cPr	(R)-
IV-1294	Me	Me	Me	-	iPr	-	Ph	racemic
IV-1295	Me	Me	Me	-	iPr	-	Ph	(R)-
IV-1296	Me	Me	Me	-	CF ₃	-	H	racemic
IV-1297	Me	Me	Me	-	CF ₃	-	H	(R)-
IV-1298	Me	Me	Me	-	CF ₃	-	Me	racemic
IV-1299	Me	Me	Me	-	CF ₃	-	Me	(R)-
IV-1300	Me	Me	Me	-	CF ₃	-	CHF ₂	racemic
IV-1301	Me	Me	Me	-	CF ₃	-	CHF ₂	(R)-

[0105] [Table 90]

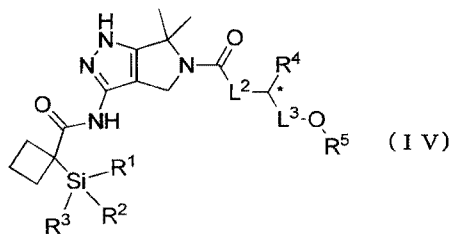


Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁵	Configuration
IV-1302	Me	Me	Me	-	CF ₃	-	CF ₃	racemic
IV-1303	Me	Me	Me	-	CF ₃	-	CF ₃	(R)-
IV-1304	Me	Me	Me	-	CF ₃	-	Et	racemic
IV-1305	Me	Me	Me	-	CF ₃	-	Et	(R)-
IV-1306	Me	Me	Me	-	CF ₃	-	nPr	racemic
IV-1307	Me	Me	Me	-	CF ₃	-	nPr	(R)-
IV-1308	Me	Me	Me	-	CF ₃	-	iPr	racemic
IV-1309	Me	Me	Me	-	CF ₃	-	iPr	(R)-
IV-1310	Me	Me	Me	-	CF ₃	-	cPr	racemic
IV-1311	Me	Me	Me	-	CF ₃	-	cPr	(R)-
IV-1312	Me	Me	Me	-	CF ₃	-	Ph	racemic

IV-1313	Me	Me	Me	-	CF ₃	-	Ph	(R)-
IV-1314	Me	Me	Me	-	CH ₂ OH	-	H	racemic
IV-1315	Me	Me	Me	-	CH ₂ OH	-	H	(R)-
IV-1316	Me	Me	Me	-	CH ₂ OH	-	Me	racemic
IV-1317	Me	Me	Me	-	CH ₂ OH	-	Me	(R)-
IV-1318	Me	Me	Me	-	CH ₂ OH	-	CHF ₂	racemic
IV-1319	Me	Me	Me	-	CH ₂ OH	-	CHF ₂	(R)-
IV-1320	Me	Me	Me	-	CH ₂ OH	-	CF ₃	racemic
IV-1321	Me	Me	Me	-	CH ₂ OH	-	CF ₃	(R)-
IV-1322	Me	Me	Me	-	CH ₂ OH	-	Et	racemic
IV-1323	Me	Me	Me	-	CH ₂ OH	-	Et	(R)-
IV-1324	Me	Me	Me	-	CH ₂ OH	-	nPr	racemic
IV-1325	Me	Me	Me	-	CH ₂ OH	-	nPr	(R)-
IV-1326	Me	Me	Me	-	CH ₂ OH	-	iPr	racemic
IV-1327	Me	Me	Me	-	CH ₂ OH	-	iPr	(R)-
IV-1328	Me	Me	Me	-	CH ₂ OH	-	cPr	racemic
IV-1329	Me	Me	Me	-	CH ₂ OH	-	cPr	(R)-
IV-1330	Me	Me	Me	-	CH ₂ OH	-	Ph	racemic
IV-1331	Me	Me	Me	-	CH ₂ OH	-	Ph	(R)-
IV-1332	Me	Me	Me	-	CH ₂ OMe	-	H	racemic
IV-1333	Me	Me	Me	-	CH ₂ OMe	-	H	(R)-
IV-1334	Me	Me	Me	-	CH ₂ OMe	-	Me	racemic
IV-1335	Me	Me	Me	-	CH ₂ OMe	-	Me	(R)-
IV-1336	Me	Me	Me	-	CH ₂ OMe	-	CHF ₂	racemic
IV-1337	Me	Me	Me	-	CH ₂ OMe	-	CHF ₂	(R)-
IV-1338	Me	Me	Me	-	CH ₂ OMe	-	CF ₃	racemic
IV-1339	Me	Me	Me	-	CH ₂ OMe	-	CF ₃	(R)-
IV-1340	Me	Me	Me	-	CH ₂ OMe	-	Et	racemic
IV-1341	Me	Me	Me	-	CH ₂ OMe	-	Et	(R)-
IV-1342	Me	Me	Me	-	CH ₂ OMe	-	nPr	racemic
IV-1343	Me	Me	Me	-	CH ₂ OMe	-	nPr	(R)-
IV-1344	Me	Me	Me	-	CH ₂ OMe	-	iPr	racemic
IV-1345	Me	Me	Me	-	CH ₂ OMe	-	iPr	(R)-
IV-1346	Me	Me	Me	-	CH ₂ OMe	-	cPr	racemic
IV-1347	Me	Me	Me	-	CH ₂ OMe	-	cPr	(R)-
IV-1348	Me	Me	Me	-	CH ₂ OMe	-	Ph	racemic

IV-1349	Me	Me	Me	-	CH ₂ OMe	-	Ph	(R)-
IV-1350	Me	Me	Me	-	CH ₂ OBn	-	H	racemic
IV-1351	Me	Me	Me	-	CH ₂ OBn	-	H	(R)-

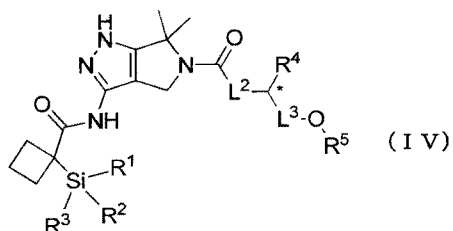
[0106] [Table 91]



Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁵	Configuration
IV-1352	Me	Me	Me	-	CH ₂ OBn	-	Me	racemic
IV-1353	Me	Me	Me	-	CH ₂ OBn	-	Me	(R)-
IV-1354	Me	Me	Me	-	CH ₂ OBn	-	Ph	racemic
IV-1355	Me	Me	Me	-	CH ₂ OBn	-	Ph	(R)-
IV-1356	Me	Me	Me	-	CH ₂ NMe ₂	-	H	racemic
IV-1357	Me	Me	Me	-	CH ₂ NMe ₂	-	H	(R)-
IV-1358	Me	Me	Me	-	CH ₂ NMe ₂	-	Me	racemic
IV-1359	Me	Me	Me	-	CH ₂ NMe ₂	-	Me	(R)-
IV-1360	Me	Me	Me	-	CH ₂ NMe ₂	-	CHF ₂	racemic
IV-1361	Me	Me	Me	-	CH ₂ NMe ₂	-	CHF ₂	(R)-
IV-1362	Me	Me	Me	-	CH ₂ NMe ₂	-	CF ₃	racemic
IV-1363	Me	Me	Me	-	CH ₂ NMe ₂	-	CF ₃	(R)-
IV-1364	Me	Me	Me	-	CH ₂ NMe ₂	-	Et	racemic
IV-1365	Me	Me	Me	-	CH ₂ NMe ₂	-	Et	(R)-
IV-1366	Me	Me	Me	-	CH ₂ NMe ₂	-	nPr	racemic
IV-1367	Me	Me	Me	-	CH ₂ NMe ₂	-	nPr	(R)-
IV-1368	Me	Me	Me	-	CH ₂ NMe ₂	-	iPr	racemic
IV-1369	Me	Me	Me	-	CH ₂ NMe ₂	-	iPr	(R)-
IV-1370	Me	Me	Me	-	CH ₂ NMe ₂	-	cPr	racemic
IV-1371	Me	Me	Me	-	CH ₂ NMe ₂	-	cPr	(R)-
IV-1372	Me	Me	Me	-	CH ₂ NMe ₂	-	Ph	racemic
IV-1373	Me	Me	Me	-	CH ₂ NMe ₂	-	Ph	(R)-

IV-1374	Me	Me	Me	-	CH ₂ -(3,3-difluoro pyrrolidyl)	-	H	racemic
IV-1375	Me	Me	Me	-	CH ₂ -(3,3-difluoro pyrrolidyl)	-	H	(R)-
IV-1376	Me	Me	Me	-	CH ₂ -(3,3-difluoro pyrrolidyl)	-	Me	racemic
IV-1377	Me	Me	Me	-	CH ₂ -(3,3-difluoro pyrrolidyl)	-	Me	(R)-
IV-1378	Me	Me	Me	-	CH ₂ -(3,3-difluoro pyrrolidyl)	-	Ph	racemic
IV-1379	Me	Me	Me	-	CH ₂ -(3,3-difluoro pyrrolidyl)	-	Ph	(R)-
IV-1380	Me	Me	Me	-	2-F-Ph	-	H	racemic
IV-1381	Me	Me	Me	-	2-F-Ph	-	H	(R)-
IV-1382	Me	Me	Me	-	2-F-Ph	-	Me	racemic
IV-1383	Me	Me	Me	-	2-F-Ph	-	Me	(R)-
IV-1384	Me	Me	Me	-	2-F-Ph	-	Me	(S)-
IV-1385	Me	Me	Me	-	2-F-Ph	-	CHF ₂	racemic
IV-1386	Me	Me	Me	-	2-F-Ph	-	CHF ₂	(R)-
IV-1387	Me	Me	Me	-	2-F-Ph	-	CHF ₂	(S)-
IV-1388	Me	Me	Me	-	2-F-Ph	-	CF ₃	racemic
IV-1389	Me	Me	Me	-	2-F-Ph	-	CF ₃	(R)-
IV-1390	Me	Me	Me	-	2-F-Ph	-	CF ₃	(S)-
IV-1391	Me	Me	Me	-	2-F-Ph	-	Et	racemic
IV-1392	Me	Me	Me	-	2-F-Ph	-	Et	(R)-
IV-1393	Me	Me	Me	-	2-F-Ph	-	Et	(S)-
IV-1394	Me	Me	Me	-	2-F-Ph	-	nPr	racemic
IV-1395	Me	Me	Me	-	2-F-Ph	-	nPr	(R)-
IV-1396	Me	Me	Me	-	2-F-Ph	-	iPr	racemic
IV-1397	Me	Me	Me	-	2-F-Ph	-	iPr	(R)-
IV-1398	Me	Me	Me	-	2-F-Ph	-	iPr	(S)-
IV-1399	Me	Me	Me	-	2-F-Ph	-	cPr	racemic
IV-1400	Me	Me	Me	-	2-F-Ph	-	cPr	(R)-
IV-1401	Me	Me	Me	-	2-F-Ph	-	cPr	(S)-

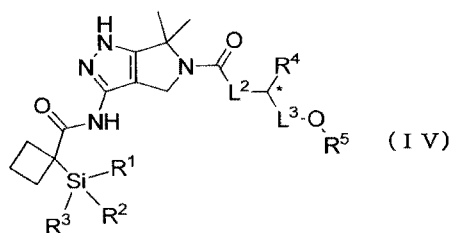
[0107] [Table 92]



Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁵	Configuration
IV-1402	Me	Me	Me	-	3-F-Ph	-	H	racemic
IV-1403	Me	Me	Me	-	3-F-Ph	-	H	(R)-
IV-1404	Me	Me	Me	-	3-F-Ph	-	Me	racemic
IV-1405	Me	Me	Me	-	3-F-Ph	-	Me	(R)-
IV-1406	Me	Me	Me	-	3-F-Ph	-	Me	(S)-
IV-1407	Me	Me	Me	-	3-F-Ph	-	CHF ₂	racemic
IV-1408	Me	Me	Me	-	3-F-Ph	-	CHF ₂	(R)-
IV-1409	Me	Me	Me	-	3-F-Ph	-	CHF ₂	(S)-
IV-1410	Me	Me	Me	-	3-F-Ph	-	CF ₃	racemic
IV-1411	Me	Me	Me	-	3-F-Ph	-	CF ₃	(R)-
IV-1412	Me	Me	Me	-	3-F-Ph	-	CF ₃	(S)-
IV-1413	Me	Me	Me	-	3-F-Ph	-	Et	racemic
IV-1414	Me	Me	Me	-	3-F-Ph	-	Et	(R)-
IV-1415	Me	Me	Me	-	3-F-Ph	-	Et	(S)-
IV-1416	Me	Me	Me	-	3-F-Ph	-	nPr	racemic
IV-1417	Me	Me	Me	-	3-F-Ph	-	nPr	(R)-
IV-1418	Me	Me	Me	-	3-F-Ph	-	nPr	(S)-
IV-1419	Me	Me	Me	-	3-F-Ph	-	iPr	racemic
IV-1420	Me	Me	Me	-	3-F-Ph	-	iPr	(R)-
IV-1421	Me	Me	Me	-	3-F-Ph	-	iPr	(S)-
IV-1422	Me	Me	Me	-	3-F-Ph	-	cPr	racemic
IV-1423	Me	Me	Me	-	3-F-Ph	-	cPr	(R)-
IV-1424	Me	Me	Me	-	3-F-Ph	-	cPr	(S)-
IV-1425	Me	Me	Me	-	4-F-Ph	-	H	racemic
IV-1426	Me	Me	Me	-	4-F-Ph	-	H	(R)-
IV-1427	Me	Me	Me	-	4-F-Ph	-	Me	racemic
IV-1428	Me	Me	Me	-	4-F-Ph	-	Me	(R)-
IV-1429	Me	Me	Me	-	4-F-Ph	-	Me	(S)-

IV-1430	Me	Me	Me	-	4-F-Ph	-	CHF ₂	racemic
IV-1431	Me	Me	Me	-	4-F-Ph	-	CHF ₂	(R)-
IV-1432	Me	Me	Me	-	4-F-Ph	-	CHF ₂	(S)-
IV-1433	Me	Me	Me	-	4-F-Ph	-	CF ₃	racemic
IV-1434	Me	Me	Me	-	4-F-Ph	-	CF ₃	(R)-
IV-1435	Me	Me	Me	-	4-F-Ph	-	CF ₃	(S)-
IV-1436	Me	Me	Me	-	4-F-Ph	-	Et	racemic
IV-1437	Me	Me	Me	-	4-F-Ph	-	Et	(R)-
IV-1438	Me	Me	Me	-	4-F-Ph	-	Et	(S)-
IV-1439	Me	Me	Me	-	4-F-Ph	-	nPr	racemic
IV-1440	Me	Me	Me	-	4-F-Ph	-	nPr	(R)-
IV-1441	Me	Me	Me	-	4-F-Ph	-	nPr	(S)-
IV-1442	Me	Me	Me	-	4-F-Ph	-	iPr	racemic
IV-1443	Me	Me	Me	-	4-F-Ph	-	iPr	(R)-
IV-1444	Me	Me	Me	-	4-F-Ph	-	iPr	(S)-
IV-1445	Me	Me	Me	-	4-F-Ph	-	cPr	racemic
IV-1446	Me	Me	Me	-	4-F-Ph	-	cPr	(R)-
IV-1447	Me	Me	Me	-	4-F-Ph	-	cPr	(S)-
IV-1448	Me	Me	Me	-	2-Cl-Ph	-	H	racemic
IV-1449	Me	Me	Me	-	2-Cl-Ph	-	H	(R)-
IV-1450	Me	Me	Me	-	2-Cl-Ph	-	Me	racemic
IV-1451	Me	Me	Me	-	2-Cl-Ph	-	Me	(R)-

[0108] [Table 93]

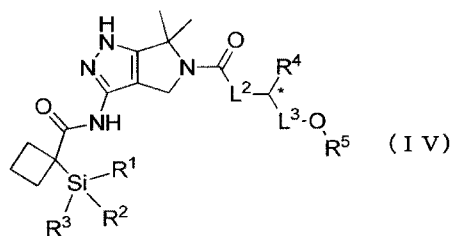


Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁵	Configuration
IV-1452	Me	Me	Me	-	2-Cl-Ph	-	CHF ₂	racemic
IV-1453	Me	Me	Me	-	2-Cl-Ph	-	CHF ₂	(R)-
IV-1454	Me	Me	Me	-	2-Cl-Ph	-	CF ₃	racemic

IV-1455	Me	Me	Me	-	2-Cl-Ph	-	CF ₃	(R)-
IV-1456	Me	Me	Me	-	2-Cl-Ph	-	Et	racemic
IV-1457	Me	Me	Me	-	2-Cl-Ph	-	Et	(R)-
IV-1458	Me	Me	Me	-	2-Cl-Ph	-	nPr	racemic
IV-1459	Me	Me	Me	-	2-Cl-Ph	-	nPr	(R)-
IV-1460	Me	Me	Me	-	2-Cl-Ph	-	iPr	racemic
IV-1461	Me	Me	Me	-	2-Cl-Ph	-	iPr	(R)-
IV-1462	Me	Me	Me	-	2-Cl-Ph	-	cPr	racemic
IV-1463	Me	Me	Me	-	2-Cl-Ph	-	cPr	(R)-
IV-1464	Me	Me	Me	-	3-Cl-Ph	-	H	racemic
IV-1465	Me	Me	Me	-	3-Cl-Ph	-	H	(R)-
IV-1466	Me	Me	Me	-	3-Cl-Ph	-	Me	racemic
IV-1467	Me	Me	Me	-	3-Cl-Ph	-	Me	(R)-
IV-1468	Me	Me	Me	-	3-Cl-Ph	-	CHF ₂	racemic
IV-1469	Me	Me	Me	-	3-Cl-Ph	-	CHF ₂	(R)-
IV-1470	Me	Me	Me	-	3-Cl-Ph	-	CF ₃	racemic
IV-1471	Me	Me	Me	-	3-Cl-Ph	-	CF ₃	(R)-
IV-1472	Me	Me	Me	-	3-Cl-Ph	-	Et	racemic
IV-1473	Me	Me	Me	-	3-Cl-Ph	-	Et	(R)-
IV-1474	Me	Me	Me	-	3-Cl-Ph	-	nPr	racemic
IV-1475	Me	Me	Me	-	3-Cl-Ph	-	nPr	(R)-
IV-1476	Me	Me	Me	-	3-Cl-Ph	-	iPr	racemic
IV-1477	Me	Me	Me	-	3-Cl-Ph	-	iPr	(R)-
IV-1478	Me	Me	Me	-	3-Cl-Ph	-	cPr	racemic
IV-1479	Me	Me	Me	-	3-Cl-Ph	-	cPr	(R)-
IV-1480	Me	Me	Me	-	4-Cl-Ph	-	H	racemic
IV-1481	Me	Me	Me	-	4-Cl-Ph	-	H	(R)-
IV-1482	Me	Me	Me	-	4-Cl-Ph	-	Me	racemic
IV-1483	Me	Me	Me	-	4-Cl-Ph	-	Me	(R)-
IV-1484	Me	Me	Me	-	4-Cl-Ph	-	CHF ₂	racemic
IV-1485	Me	Me	Me	-	4-Cl-Ph	-	CHF ₂	(R)-
IV-1486	Me	Me	Me	-	4-Cl-Ph	-	CF ₃	racemic
IV-1487	Me	Me	Me	-	4-Cl-Ph	-	CF ₃	(R)-
IV-1488	Me	Me	Me	-	4-Cl-Ph	-	Et	racemic
IV-1489	Me	Me	Me	-	4-Cl-Ph	-	Et	(R)-
IV-1490	Me	Me	Me	-	4-Cl-Ph	-	nPr	racemic

IV-1491	Me	Me	Me	-	4-Cl-Ph	-	nPr	(R)-
IV-1492	Me	Me	Me	-	4-Cl-Ph	-	iPr	racemic
IV-1493	Me	Me	Me	-	4-Cl-Ph	-	iPr	(R)-
IV-1494	Me	Me	Me	-	4-Cl-Ph	-	cPr	racemic
IV-1495	Me	Me	Me	-	4-Cl-Ph	-	cPr	(R)-
IV-1496	Me	Me	Me	-	2-Me-Ph	-	H	racemic
IV-1497	Me	Me	Me	-	2-Me-Ph	-	H	(R)-
IV-1498	Me	Me	Me	-	2-Me-Ph	-	Me	racemic
IV-1499	Me	Me	Me	-	2-Me-Ph	-	Me	(R)-
IV-1500	Me	Me	Me	-	2-Me-Ph	-	CHF ₂	racemic
IV-1501	Me	Me	Me	-	2-Me-Ph	-	CHF ₂	(R)-

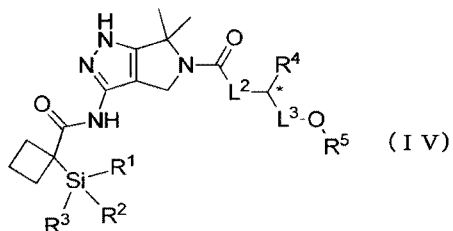
[0109] [Table 94]



Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁵	Configuration
IV-1502	Me	Me	Me	-	2-Me-Ph	-	CF ₃	racemic
IV-1503	Me	Me	Me	-	2-Me-Ph	-	CF ₃	(R)-
IV-1504	Me	Me	Me	-	2-Me-Ph	-	Et	racemic
IV-1505	Me	Me	Me	-	2-Me-Ph	-	Et	(R)-
IV-1506	Me	Me	Me	-	2-Me-Ph	-	nPr	racemic
IV-1507	Me	Me	Me	-	2-Me-Ph	-	nPr	(R)-
IV-1508	Me	Me	Me	-	2-Me-Ph	-	iPr	racemic
IV-1509	Me	Me	Me	-	2-Me-Ph	-	iPr	(R)-
IV-1510	Me	Me	Me	-	2-Me-Ph	-	cPr	racemic
IV-1511	Me	Me	Me	-	2-Me-Ph	-	cPr	(R)-
IV-1512	Me	Me	Me	-	3-Me-Ph	-	H	racemic
IV-1513	Me	Me	Me	-	3-Me-Ph	-	H	(R)-
IV-1514	Me	Me	Me	-	3-Me-Ph	-	Me	racemic
IV-1515	Me	Me	Me	-	3-Me-Ph	-	Me	(R)-

IV-1516	Me	Me	Me	-	3-Me-Ph	-	CHF ₂	racemic
IV-1517	Me	Me	Me	-	3-Me-Ph	-	CHF ₂	(R)-
IV-1518	Me	Me	Me	-	3-Me-Ph	-	CF ₃	racemic
IV-1519	Me	Me	Me	-	3-Me-Ph	-	CF ₃	(R)-
IV-1520	Me	Me	Me	-	3-Me-Ph	-	Et	racemic
IV-1521	Me	Me	Me	-	3-Me-Ph	-	Et	(R)-
IV-1522	Me	Me	Me	-	3-Me-Ph	-	nPr	racemic
IV-1523	Me	Me	Me	-	3-Me-Ph	-	nPr	(R)-
IV-1524	Me	Me	Me	-	3-Me-Ph	-	iPr	racemic
IV-1525	Me	Me	Me	-	3-Me-Ph	-	iPr	(R)-
IV-1526	Me	Me	Me	-	3-Me-Ph	-	cPr	racemic
IV-1527	Me	Me	Me	-	3-Me-Ph	-	cPr	(R)-
IV-1528	Me	Me	Me	-	4-Me-Ph	-	H	racemic
IV-1529	Me	Me	Me	-	4-Me-Ph	-	H	(R)-
IV-1530	Me	Me	Me	-	4-Me-Ph	-	Me	racemic
IV-1531	Me	Me	Me	-	4-Me-Ph	-	Me	(R)-
IV-1532	Me	Me	Me	-	4-Me-Ph	-	CHF ₂	racemic
IV-1533	Me	Me	Me	-	4-Me-Ph	-	CHF ₂	(R)-
IV-1534	Me	Me	Me	-	4-Me-Ph	-	CF ₃	racemic
IV-1535	Me	Me	Me	-	4-Me-Ph	-	CF ₃	(R)-
IV-1536	Me	Me	Me	-	4-Me-Ph	-	Et	racemic
IV-1537	Me	Me	Me	-	4-Me-Ph	-	Et	(R)-
IV-1538	Me	Me	Me	-	4-Me-Ph	-	nPr	racemic
IV-1539	Me	Me	Me	-	4-Me-Ph	-	nPr	(R)-
IV-1540	Me	Me	Me	-	4-Me-Ph	-	iPr	racemic
IV-1541	Me	Me	Me	-	4-Me-Ph	-	iPr	(R)-
IV-1542	Me	Me	Me	-	4-Me-Ph	-	cPr	racemic
IV-1543	Me	Me	Me	-	4-Me-Ph	-	cPr	(R)-
IV-1544	Me	Me	Me	-	2-thienyl	-	H	racemic
IV-1545	Me	Me	Me	-	2-thienyl	-	H	(S)-
IV-1546	Me	Me	Me	-	2-thienyl	-	Me	racemic
IV-1547	Me	Me	Me	-	2-thienyl	-	Me	(+)-
IV-1548	Me	Me	Me	-	2-thienyl	-	Me	(-)-
IV-1549	Me	Me	Me	-	2-thienyl	-	CHF ₂	racemic
IV-1550	Me	Me	Me	-	2-thienyl	-	CHF ₂	(+)-
IV-1551	Me	Me	Me	-	2-thienyl	-	CHF ₂	(-)-

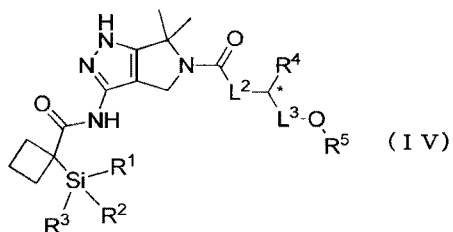
[0110] [Table 95]



Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁵	Configuration
IV-1552	Me	Me	Me	-	2-thienyl	-	CF ₃	racemic
IV-1553	Me	Me	Me	-	2-thienyl	-	CF ₃	(+)-
IV-1554	Me	Me	Me	-	2-thienyl	-	CF ₃	(-)-
IV-1555	Me	Me	Me	-	2-thienyl	-	Et	racemic
IV-1556	Me	Me	Me	-	2-thienyl	-	Et	(+)-
IV-1557	Me	Me	Me	-	2-thienyl	-	Et	(-)-
IV-1558	Me	Me	Me	-	2-thienyl	-	nPr	racemic
IV-1559	Me	Me	Me	-	2-thienyl	-	nPr	(+)-
IV-1560	Me	Me	Me	-	2-thienyl	-	nPr	(-)-
IV-1561	Me	Me	Me	-	2-thienyl	-	iPr	racemic
IV-1562	Me	Me	Me	-	2-thienyl	-	iPr	(+)-
IV-1563	Me	Me	Me	-	2-thienyl	-	iPr	(-)-
IV-1564	Me	Me	Me	-	2-thienyl	-	cPr	racemic
IV-1565	Me	Me	Me	-	2-thienyl	-	cPr	(+)-
IV-1566	Me	Me	Me	-	2-thienyl	-	cPr	(-)-
IV-1567	Me	Me	Me	-	3-F-2-thienyl	-	H	racemic
IV-1568	Me	Me	Me	-	3-F-2-thienyl	-	H	(S)-
IV-1569	Me	Me	Me	-	3-F-2-thienyl	-	Me	racemic
IV-1570	Me	Me	Me	-	3-F-2-thienyl	-	Me	(S)-
IV-1571	Me	Me	Me	-	3-F-2-thienyl	-	CHF ₂	racemic
IV-1572	Me	Me	Me	-	3-F-2-thienyl	-	CHF ₂	(S)-
IV-1573	Me	Me	Me	-	3-F-2-thienyl	-	CF ₃	racemic
IV-1574	Me	Me	Me	-	3-F-2-thienyl	-	CF ₃	(S)-
IV-1575	Me	Me	Me	-	3-F-2-thienyl	-	Et	racemic
IV-1576	Me	Me	Me	-	3-F-2-thienyl	-	Et	(S)-

IV-1577	Me	Me	Me	-	3-F-2-thienyl	-	nPr	racemic
IV-1578	Me	Me	Me	-	3-F-2-thienyl	-	nPr	(S)-
IV-1579	Me	Me	Me	-	3-F-2-thienyl	-	iPr	racemic
IV-1580	Me	Me	Me	-	3-F-2-thienyl	-	iPr	(S)-
IV-1581	Me	Me	Me	-	3-F-2-thienyl	-	cPr	racemic
IV-1582	Me	Me	Me	-	3-F-2-thienyl	-	cPr	(S)-
IV-1583	Me	Me	Me	-	4-F-2-thienyl	-	H	racemic
IV-1584	Me	Me	Me	-	4-F-2-thienyl	-	H	(S)-
IV-1585	Me	Me	Me	-	4-F-2-thienyl	-	Me	racemic
IV-1586	Me	Me	Me	-	4-F-2-thienyl	-	Me	(S)-
IV-1587	Me	Me	Me	-	4-F-2-thienyl	-	CHF ₂	racemic
IV-1588	Me	Me	Me	-	4-F-2-thienyl	-	CHF ₂	(S)-
IV-1589	Me	Me	Me	-	4-F-2-thienyl	-	CF ₃	racemic
IV-1590	Me	Me	Me	-	4-F-2-thienyl	-	CF ₃	(S)-
IV-1591	Me	Me	Me	-	4-F-2-thienyl	-	Et	racemic
IV-1592	Me	Me	Me	-	4-F-2-thienyl	-	Et	(S)-
IV-1593	Me	Me	Me	-	4-F-2-thienyl	-	nPr	racemic
IV-1594	Me	Me	Me	-	4-F-2-thienyl	-	nPr	(S)-
IV-1595	Me	Me	Me	-	4-F-2-thienyl	-	iPr	racemic
IV-1596	Me	Me	Me	-	4-F-2-thienyl	-	iPr	(S)-
IV-1597	Me	Me	Me	-	4-F-2-thienyl	-	cPr	racemic
IV-1598	Me	Me	Me	-	4-F-2-thienyl	-	cPr	(S)-
IV-1599	Me	Me	Me	-	4-F-2-thienyl	-	H	racemic
IV-1600	Me	Me	Me	-	4-F-2-thienyl	-	H	(S)-
IV-1601	Me	Me	Me	-	4-F-2-thienyl	-	Me	racemic

[0111] [Table 96]

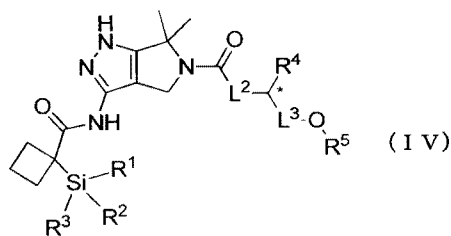


Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁵	Configura- tion
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IV-1602	Me	Me	Me	-	5-F-2-thienyl	-	Me	(S)-
IV-1603	Me	Me	Me	-	5-F-2-thienyl	-	CHF ₂	racemic
IV-1604	Me	Me	Me	-	5-F-2-thienyl	-	CHF ₂	(S)-
IV-1605	Me	Me	Me	-	5-F-2-thienyl	-	CF ₃	racemic
IV-1606	Me	Me	Me	-	5-F-2-thienyl	-	CF ₃	(S)-
IV-1607	Me	Me	Me	-	5-F-2-thienyl	-	Et	racemic
IV-1608	Me	Me	Me	-	5-F-2-thienyl	-	Et	(S)-
IV-1609	Me	Me	Me	-	5-F-2-thienyl	-	nPr	racemic
IV-1610	Me	Me	Me	-	5-F-2-thienyl	-	nPr	(S)-
IV-1611	Me	Me	Me	-	5-F-2-thienyl	-	iPr	racemic
IV-1612	Me	Me	Me	-	5-F-2-thienyl	-	iPr	(S)-
IV-1613	Me	Me	Me	-	5-F-2-thienyl	-	cPr	racemic
IV-1614	Me	Me	Me	-	5-F-2-thienyl	-	cPr	(S)-
IV-1615	Me	Me	Me	-	3-Cl-2-thienyl	-	H	racemic
IV-1616	Me	Me	Me	-	3-Cl-2-thienyl	-	H	(S)-
IV-1617	Me	Me	Me	-	3-Cl-2-thienyl	-	Me	racemic
IV-1618	Me	Me	Me	-	3-Cl-2-thienyl	-	Me	(S)-
IV-1619	Me	Me	Me	-	3-Cl-2-thienyl	-	CHF ₂	racemic
IV-1620	Me	Me	Me	-	3-Cl-2-thienyl	-	CHF ₂	(S)-
IV-1621	Me	Me	Me	-	3-Cl-2-thienyl	-	CF ₃	racemic
IV-1622	Me	Me	Me	-	3-Cl-2-thienyl	-	CF ₃	(S)-
IV-1623	Me	Me	Me	-	3-Cl-2-thienyl	-	Et	racemic
IV-1624	Me	Me	Me	-	3-Cl-2-thienyl	-	Et	(S)-
IV-1625	Me	Me	Me	-	3-Cl-2-thienyl	-	nPr	racemic
IV-1626	Me	Me	Me	-	3-Cl-2-thienyl	-	nPr	(S)-
IV-1627	Me	Me	Me	-	3-Cl-2-thienyl	-	iPr	racemic
IV-1628	Me	Me	Me	-	3-Cl-2-thienyl	-	iPr	(S)-
IV-1629	Me	Me	Me	-	3-Cl-2-thienyl	-	cPr	racemic
IV-1630	Me	Me	Me	-	3-Cl-2-thienyl	-	cPr	(S)-
IV-1631	Me	Me	Me	-	4-Cl-2-thienyl	-	H	racemic
IV-1632	Me	Me	Me	-	4-Cl-2-thienyl	-	H	(S)-
IV-1633	Me	Me	Me	-	4-Cl-2-thienyl	-	Me	racemic
IV-1634	Me	Me	Me	-	4-Cl-2-thienyl	-	Me	(S)-
IV-1635	Me	Me	Me	-	4-Cl-2-thienyl	-	CHF ₂	racemic
IV-1636	Me	Me	Me	-	4-Cl-2-thienyl	-	CHF ₂	(S)-
IV-1637	Me	Me	Me	-	4-Cl-2-thienyl	-	CF ₃	racemic

IV-1638	Me	Me	Me	-	4-Cl-2-thienyl	-	CF ₃	(S)-
IV-1639	Me	Me	Me	-	4-Cl-2-thienyl	-	Et	racemic
IV-1640	Me	Me	Me	-	4-Cl-2-thienyl	-	Et	(S)-
IV-1641	Me	Me	Me	-	4-Cl-2-thienyl	-	nPr	racemic
IV-1642	Me	Me	Me	-	4-Cl-2-thienyl	-	nPr	(S)-
IV-1643	Me	Me	Me	-	4-Cl-2-thienyl	-	iPr	racemic
IV-1644	Me	Me	Me	-	4-Cl-2-thienyl	-	iPr	(S)-
IV-1645	Me	Me	Me	-	4-Cl-2-thienyl	-	cPr	racemic
IV-1646	Me	Me	Me	-	4-Cl-2-thienyl	-	cPr	(S)-
IV-1647	Me	Me	Me	-	5-Cl-2-thienyl	-	H	racemic
IV-1648	Me	Me	Me	-	5-Cl-2-thienyl	-	H	(S)-
IV-1649	Me	Me	Me	-	5-Cl-2-thienyl	-	Me	racemic
IV-1650	Me	Me	Me	-	5-Cl-2-thienyl	-	Me	(S)-
IV-1651	Me	Me	Me	-	5-Cl-2-thienyl	-	CHF ₂	racemic

[0112] [Table 97]



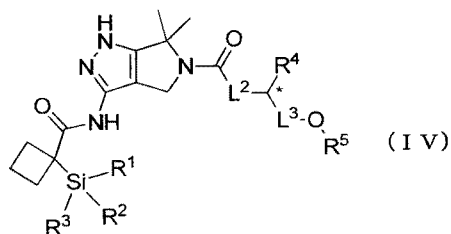
Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁵	Configuration
IV-1652	Me	Me	Me	-	5-Cl-2-thienyl	-	CHF ₂	(S)-
IV-1653	Me	Me	Me	-	5-Cl-2-thienyl	-	CF ₃	racemic
IV-1654	Me	Me	Me	-	5-Cl-2-thienyl	-	CF ₃	(S)-
IV-1655	Me	Me	Me	-	5-Cl-2-thienyl	-	Et	racemic
IV-1656	Me	Me	Me	-	5-Cl-2-thienyl	-	Et	(S)-
IV-1657	Me	Me	Me	-	5-Cl-2-thienyl	-	nPr	racemic
IV-1658	Me	Me	Me	-	5-Cl-2-thienyl	-	nPr	(S)-
IV-1659	Me	Me	Me	-	5-Cl-2-thienyl	-	iPr	racemic
IV-1660	Me	Me	Me	-	5-Cl-2-thienyl	-	iPr	(S)-
IV-1661	Me	Me	Me	-	5-Cl-2-thienyl	-	cPr	racemic
IV-1662	Me	Me	Me	-	5-Cl-2-thienyl	-	cPr	(S)-

IV-1663	Me	Me	Me	-	3-Me-2-thienyl	-	H	racemic
IV-1664	Me	Me	Me	-	3-Me-2-thienyl	-	H	(S)-
IV-1665	Me	Me	Me	-	3-Me-2-thienyl	-	Me	racemic
IV-1666	Me	Me	Me	-	3-Me-2-thienyl	-	Me	(S)-
IV-1667	Me	Me	Me	-	3-Me-2-thienyl	-	CHF ₂	racemic
IV-1668	Me	Me	Me	-	3-Me-2-thienyl	-	CHF ₂	(S)-
IV-1669	Me	Me	Me	-	3-Me-2-thienyl	-	CF ₃	racemic
IV-1670	Me	Me	Me	-	3-Me-2-thienyl	-	CF ₃	(S)-
IV-1671	Me	Me	Me	-	3-Me-2-thienyl	-	Et	racemic
IV-1672	Me	Me	Me	-	3-Me-2-thienyl	-	Et	(S)-
IV-1673	Me	Me	Me	-	3-Me-2-thienyl	-	nPr	racemic
IV-1674	Me	Me	Me	-	3-Me-2-thienyl	-	nPr	(S)-
IV-1675	Me	Me	Me	-	3-Me-2-thienyl	-	iPr	racemic
IV-1676	Me	Me	Me	-	3-Me-2-thienyl	-	iPr	(S)-
IV-1677	Me	Me	Me	-	3-Me-2-thienyl	-	cPr	racemic
IV-1678	Me	Me	Me	-	3-Me-2-thienyl	-	cPr	(S)-
IV-1679	Me	Me	Me	-	4-Me-2-thienyl	-	H	racemic
IV-1680	Me	Me	Me	-	4-Me-2-thienyl	-	H	(S)-
IV-1681	Me	Me	Me	-	4-Me-2-thienyl	-	Me	racemic
IV-1682	Me	Me	Me	-	4-Me-2-thienyl	-	Me	(S)-
IV-1683	Me	Me	Me	-	4-Me-2-thienyl	-	CHF ₂	racemic
IV-1684	Me	Me	Me	-	4-Me-2-thienyl	-	CHF ₂	(S)-
IV-1685	Me	Me	Me	-	4-Me-2-thienyl	-	CF ₃	racemic
IV-1686	Me	Me	Me	-	4-Me-2-thienyl	-	CF ₃	(S)-
IV-1687	Me	Me	Me	-	4-Me-2-thienyl	-	Et	racemic
IV-1688	Me	Me	Me	-	4-Me-2-thienyl	-	Et	(S)-
IV-1689	Me	Me	Me	-	4-Me-2-thienyl	-	nPr	racemic
IV-1690	Me	Me	Me	-	4-Me-2-thienyl	-	nPr	(S)-
IV-1691	Me	Me	Me	-	4-Me-2-thienyl	-	iPr	racemic
IV-1692	Me	Me	Me	-	4-Me-2-thienyl	-	iPr	(S)-
IV-1693	Me	Me	Me	-	4-Me-2-thienyl	-	cPr	racemic
IV-1694	Me	Me	Me	-	4-Me-2-thienyl	-	cPr	(S)-
IV-1695	Me	Me	Me	-	5-Me-2-thienyl	-	H	racemic
IV-1696	Me	Me	Me	-	5-Me-2-thienyl	-	H	(S)-
IV-1697	Me	Me	Me	-	5-Me-2-thienyl	-	Me	racemic
IV-1698	Me	Me	Me	-	5-Me-2-thienyl	-	Me	(S)-

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IV-1699	Me	Me	Me	-	5-Me-2-thienyl	-	CHF ₂	racemic
IV-1700	Me	Me	Me	-	5-Me-2-thienyl	-	CHF ₂	(S)-
IV-1701	Me	Me	Me	-	5-Me-2-thienyl	-	CF ₃	racemic

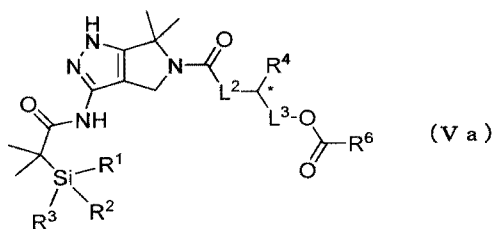
[0113] [Table 98]



Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁵	Configuration
IV-1702	Me	Me	Me	-	5-Me-2-thienyl	-	CF ₃	(S)-
IV-1703	Me	Me	Me	-	5-Me-2-thienyl	-	Et	racemic
IV-1704	Me	Me	Me	-	5-Me-2-thienyl	-	Et	(S)-
IV-1705	Me	Me	Me	-	5-Me-2-thienyl	-	nPr	racemic
IV-1706	Me	Me	Me	-	5-Me-2-thienyl	-	nPr	(S)-
IV-1707	Me	Me	Me	-	5-Me-2-thienyl	-	iPr	racemic
IV-1708	Me	Me	Me	-	5-Me-2-thienyl	-	iPr	(S)-
IV-1709	Me	Me	Me	-	5-Me-2-thienyl	-	cPr	racemic
IV-1710	Me	Me	Me	-	5-Me-2-thienyl	-	cPr	(S)-
IV-1711	Me	Me	Me	-	3-thienyl	-	H	racemic
IV-1712	Me	Me	Me	-	3-thienyl	-	H	(R)-
IV-1713	Me	Me	Me	-	3-thienyl	-	Me	racemic
IV-1714	Me	Me	Me	-	3-thienyl	-	Me	(R)-
IV-1715	Me	Me	Me	-	3-thienyl	-	CHF ₂	racemic
IV-1716	Me	Me	Me	-	3-thienyl	-	CHF ₂	(R)-
IV-1717	Me	Me	Me	-	3-thienyl	-	CF ₃	racemic
IV-1718	Me	Me	Me	-	3-thienyl	-	CF ₃	(R)-
IV-1719	Me	Me	Me	-	3-thienyl	-	Et	racemic
IV-1720	Me	Me	Me	-	3-thienyl	-	Et	(R)-
IV-1721	Me	Me	Me	-	3-thienyl	-	nPr	racemic
IV-1722	Me	Me	Me	-	3-thienyl	-	nPr	(R)-
IV-1723	Me	Me	Me	-	3-thienyl	-	iPr	racemic

IV-1724	Me	Me	Me	-	3-thienyl	-	iPr	(R)-
IV-1725	Me	Me	Me	-	3-thienyl	-	cPr	racemic
IV-1726	Me	Me	Me	-	3-thienyl	-	cPr	(R)-

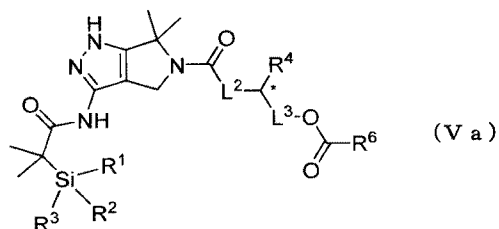
[0114] [Table 99]



Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁶	Configuration
V-1	Me	Me	Me	O	Ph	CH ₂	Me	racemic
V-2	Me	Me	Me	O	Ph	CH ₂	Me	(S)-
V-3	Me	Et	Me	O	Ph	CH ₂	Me	racemic
V-4	Me	Et	Me	O	Ph	CH ₂	Me	(S)-
V-5	Me	Me	Me	O	Ph	CH ₂	Et	racemic
V-6	Me	Me	Me	O	Ph	CH ₂	Et	(S)-
V-7	Me	Et	Me	O	Ph	CH ₂	Et	racemic
V-8	Me	Et	Me	O	Ph	CH ₂	Et	(S)-
V-9	Me	Me	Me	O	Ph	CH ₂	nPr	racemic
V-10	Me	Me	Me	O	Ph	CH ₂	nPr	(S)-
V-11	Me	Et	Me	O	Ph	CH ₂	nPr	racemic
V-12	Me	Et	Me	O	Ph	CH ₂	nPr	(S)-
V-13	Me	Me	Me	O	Ph	CH ₂	iPr	racemic
V-14	Me	Me	Me	O	Ph	CH ₂	iPr	(S)-
V-15	Me	Et	Me	O	Ph	CH ₂	iPr	racemic
V-16	Me	Et	Me	O	Ph	CH ₂	iPr	(S)-
V-17	Me	Me	Me	O	Ph	CH ₂	nBu	racemic
V-18	Me	Me	Me	O	Ph	CH ₂	nBu	(S)-
V-19	Me	Et	Me	O	Ph	CH ₂	nBu	racemic
V-20	Me	Et	Me	O	Ph	CH ₂	nBu	(S)-
V-21	Me	Me	Me	O	Ph	CH ₂	tBu	racemic
V-22	Me	Me	Me	O	Ph	CH ₂	tBu	(S)-

V-23	Me	Et	Me	O	Ph	CH ₂	tBu	racemic
V-24	Me	Et	Me	O	Ph	CH ₂	tBu	(S)-
V-25	Me	Me	Me	O	Ph	CH ₂	iBu	racemic
V-26	Me	Me	Me	O	Ph	CH ₂	iBu	(S)-
V-27	Me	Et	Me	O	Ph	CH ₂	iBu	racemic
V-28	Me	Et	Me	O	Ph	CH ₂	iBu	(S)-
V-29	Me	Me	Me	O	Ph	CH ₂	n-Heptyl	racemic
V-30	Me	Me	Me	O	Ph	CH ₂	n-Heptyl	(S)-
V-31	Me	Et	Me	O	Ph	CH ₂	n-Heptyl	racemic
V-32	Me	Et	Me	O	Ph	CH ₂	n-Heptyl	(S)-
V-33	Me	Me	Me	O	Ph	CH ₂	n-Undecyl	racemic
V-34	Me	Me	Me	O	Ph	CH ₂	n-Undecyl	(S)-
V-35	Me	Et	Me	O	Ph	CH ₂	n-Undecyl	racemic
V-36	Me	Et	Me	O	Ph	CH ₂	n-Undecyl	(S)-
V-37	Me	Me	Me	O	Ph	CH ₂	Ph	racemic
V-38	Me	Me	Me	O	Ph	CH ₂	Ph	(S)-
V-39	Me	Et	Me	O	Ph	CH ₂	Ph	racemic
V-40	Me	Et	Me	O	Ph	CH ₂	Ph	(S)-
V-41	Me	Me	Me	O	Ph	CH ₂	OEt	racemic
V-42	Me	Me	Me	O	Ph	CH ₂	OEt	(S)-
V-43	Me	Et	Me	O	Ph	CH ₂	OEt	racemic
V-44	Me	Et	Me	O	Ph	CH ₂	OEt	(S)-
V-45	Me	Me	Me	O	Ph	CH ₂	(CH ₂) ₂ COOH	racemic
V-46	Me	Me	Me	O	Ph	CH ₂	(CH ₂) ₂ COOH	(S)-
V-47	Me	Et	Me	O	Ph	CH ₂	(CH ₂) ₂ COOH	racemic
V-48	Me	Et	Me	O	Ph	CH ₂	(CH ₂) ₂ COOH	(S)-
V-49	Me	Me	Me	O	Ph	C(Me) ₂	Me	racemic
V-50	Me	Me	Me	O	Ph	C(Me) ₂	Me	(S)-

[0115] [Table 100]

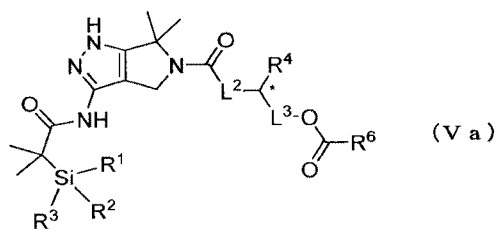


Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁶	Configuration
V-51	Me	Et	Me	O	Ph	C(Me) ₂	Me	racemic
V-52	Me	Et	Me	O	Ph	C(Me) ₂	Me	(S)-
V-53	Me	Me	Me	O	Ph	C(Me) ₂	Et	racemic
V-54	Me	Me	Me	O	Ph	C(Me) ₂	Et	(S)-
V-55	Me	Et	Me	O	Ph	C(Me) ₂	Et	racemic
V-56	Me	Et	Me	O	Ph	C(Me) ₂	Et	(S)-
V-57	Me	Me	Me	O	Ph	C(Me) ₂	nPr	racemic
V-58	Me	Me	Me	O	Ph	C(Me) ₂	nPr	(S)-
V-59	Me	Et	Me	O	Ph	C(Me) ₂	nPr	racemic
V-60	Me	Et	Me	O	Ph	C(Me) ₂	nPr	(S)-
V-61	Me	Me	Me	O	Ph	C(Me) ₂	iPr	racemic
V-62	Me	Me	Me	O	Ph	C(Me) ₂	iPr	(S)-
V-63	Me	Et	Me	O	Ph	C(Me) ₂	iPr	racemic
V-64	Me	Et	Me	O	Ph	C(Me) ₂	iPr	(S)-
V-65	Me	Me	Me	O	Ph	C(Me) ₂	nBu	racemic
V-66	Me	Me	Me	O	Ph	C(Me) ₂	nBu	(S)-
V-67	Me	Et	Me	O	Ph	C(Me) ₂	nBu	racemic
V-68	Me	Et	Me	O	Ph	C(Me) ₂	nBu	(S)-
V-69	Me	Me	Me	O	Ph	C(Me) ₂	tBu	racemic
V-70	Me	Me	Me	O	Ph	C(Me) ₂	tBu	(S)-
V-71	Me	Et	Me	O	Ph	C(Me) ₂	tBu	racemic
V-72	Me	Et	Me	O	Ph	C(Me) ₂	tBu	(S)-
V-73	Me	Me	Me	O	Ph	C(Me) ₂	iBu	racemic
V-74	Me	Me	Me	O	Ph	C(Me) ₂	iBu	(S)-
V-75	Me	Et	Me	O	Ph	C(Me) ₂	iBu	racemic
V-76	Me	Et	Me	O	Ph	C(Me) ₂	iBu	(S)-
V-77	Me	Me	Me	O	Ph	C(Me) ₂	n-Heptyl	racemic
V-78	Me	Me	Me	O	Ph	C(Me) ₂	n-Heptyl	(S)-

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V-79	Me	Et	Me	O	Ph	C(Me) ₂	n-Heptyl	racemic
V-80	Me	Et	Me	O	Ph	C(Me) ₂	n-Heptyl	(S)-
V-81	Me	Me	Me	O	Ph	C(Me) ₂	n-Undecyl	racemic
V-82	Me	Me	Me	O	Ph	C(Me) ₂	n-Undecyl	(S)-
V-83	Me	Et	Me	O	Ph	C(Me) ₂	n-Undecyl	racemic
V-84	Me	Et	Me	O	Ph	C(Me) ₂	n-Undecyl	(S)-
V-85	Me	Me	Me	O	Ph	C(Me) ₂	Ph	racemic
V-86	Me	Me	Me	O	Ph	C(Me) ₂	Ph	(S)-
V-87	Me	Et	Me	O	Ph	C(Me) ₂	Ph	racemic
V-88	Me	Et	Me	O	Ph	C(Me) ₂	Ph	(S)-
V-89	Me	Me	Me	O	Ph	C(Me) ₂	OEt	racemic
V-90	Me	Me	Me	O	Ph	C(Me) ₂	OEt	(S)-
V-91	Me	Et	Me	O	Ph	C(Me) ₂	OEt	racemic
V-92	Me	Et	Me	O	Ph	C(Me) ₂	OEt	(S)-
V-93	Me	Me	Me	O	Ph	C(Me) ₂	(CH ₂) ₂ COOH	racemic
V-94	Me	Me	Me	O	Ph	C(Me) ₂	(CH ₂) ₂ COOH	(S)-
V-95	Me	Et	Me	O	Ph	C(Me) ₂	(CH ₂) ₂ COOH	racemic
V-96	Me	Et	Me	O	Ph	C(Me) ₂	(CH ₂) ₂ COOH	(S)-
V-97	Me	Me	Me	O	Ph	(CH ₂) ₂	Me	racemic
V-98	Me	Me	Me	O	Ph	(CH ₂) ₂	Me	(R)-
V-99	Me	Et	Me	O	Ph	(CH ₂) ₂	Me	racemic
V-100	Me	Et	Me	O	Ph	(CH ₂) ₂	Me	(R)-

[0116] [Table 101]

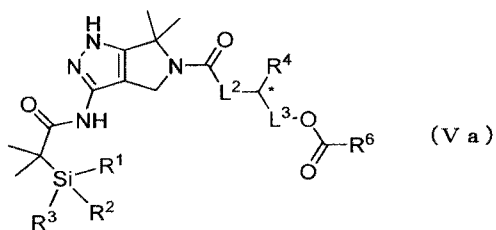


Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁶	Configuration
V-101	Me	Me	Me	O	Ph	(CH ₂) ₂	Et	racemic
V-102	Me	Me	Me	O	Ph	(CH ₂) ₂	Et	(R)-
V-103	Me	Et	Me	O	Ph	(CH ₂) ₂	Et	racemic

V-104	Me	Et	Me	O	Ph	(CH ₂) ₂	Et	(R)-
V-105	Me	Me	Me	O	Ph	(CH ₂) ₂	nPr	racemic
V-106	Me	Me	Me	O	Ph	(CH ₂) ₂	nPr	(R)-
V-107	Me	Et	Me	O	Ph	(CH ₂) ₂	nPr	racemic
V-108	Me	Et	Me	O	Ph	(CH ₂) ₂	nPr	(R)-
V-109	Me	Me	Me	O	Ph	(CH ₂) ₂	iPr	racemic
V-110	Me	Me	Me	O	Ph	(CH ₂) ₂	iPr	(R)-
V-111	Me	Et	Me	O	Ph	(CH ₂) ₂	iPr	racemic
V-112	Me	Et	Me	O	Ph	(CH ₂) ₂	iPr	(R)-
V-113	Me	Me	Me	O	Ph	(CH ₂) ₂	nBu	racemic
V-114	Me	Me	Me	O	Ph	(CH ₂) ₂	nBu	(R)-
V-115	Me	Et	Me	O	Ph	(CH ₂) ₂	nBu	racemic
V-116	Me	Et	Me	O	Ph	(CH ₂) ₂	nBu	(R)-
V-117	Me	Me	Me	O	Ph	(CH ₂) ₂	tBu	racemic
V-118	Me	Me	Me	O	Ph	(CH ₂) ₂	tBu	(R)-
V-119	Me	Et	Me	O	Ph	(CH ₂) ₂	tBu	racemic
V-120	Me	Et	Me	O	Ph	(CH ₂) ₂	tBu	(R)-
V-121	Me	Me	Me	O	Ph	(CH ₂) ₂	iBu	racemic
V-122	Me	Me	Me	O	Ph	(CH ₂) ₂	iBu	(R)-
V-123	Me	Et	Me	O	Ph	(CH ₂) ₂	iBu	racemic
V-124	Me	Et	Me	O	Ph	(CH ₂) ₂	iBu	(R)-
V-125	Me	Me	Me	O	Ph	(CH ₂) ₂	n-Heptyl	racemic
V-126	Me	Me	Me	O	Ph	(CH ₂) ₂	n-Heptyl	(R)-
V-127	Me	Et	Me	O	Ph	(CH ₂) ₂	n-Heptyl	racemic
V-128	Me	Et	Me	O	Ph	(CH ₂) ₂	n-Heptyl	(R)-
V-129	Me	Me	Me	O	Ph	(CH ₂) ₂	n-Undecyl	racemic
V-130	Me	Me	Me	O	Ph	(CH ₂) ₂	n-Undecyl	(R)-
V-131	Me	Et	Me	O	Ph	(CH ₂) ₂	n-Undecyl	racemic
V-132	Me	Et	Me	O	Ph	(CH ₂) ₂	n-Undecyl	(R)-
V-133	Me	Me	Me	O	Ph	(CH ₂) ₂	Ph	racemic
V-134	Me	Me	Me	O	Ph	(CH ₂) ₂	Ph	(R)-
V-135	Me	Et	Me	O	Ph	(CH ₂) ₂	Ph	racemic
V-136	Me	Et	Me	O	Ph	(CH ₂) ₂	Ph	(R)-
V-137	Me	Me	Me	O	Ph	(CH ₂) ₂	OEt	racemic
V-138	Me	Me	Me	O	Ph	(CH ₂) ₂	OEt	(R)-
V-139	Me	Et	Me	O	Ph	(CH ₂) ₂	OEt	racemic

V-140	Me	Et	Me	O	Ph	(CH ₂) ₂	OEt	(R)-
V-141	Me	Me	Me	O	Ph	(CH ₂) ₂	(CH ₂) ₂ COOH	racemic
V-142	Me	Me	Me	O	Ph	(CH ₂) ₂	(CH ₂) ₂ COOH	(R)-
V-143	Me	Et	Me	O	Ph	(CH ₂) ₂	(CH ₂) ₂ COOH	racemic
V-144	Me	Et	Me	O	Ph	(CH ₂) ₂	(CH ₂) ₂ COOH	(R)-
V-145	Me	Me	Me	O	Ph	CH ₂ C(Me) ₂	Me	racemic
V-146	Me	Me	Me	O	Ph	CH ₂ C(Me) ₂	Me	(R)-
V-147	Me	Et	Me	O	Ph	CH ₂ C(Me) ₂	Me	racemic
V-148	Me	Et	Me	O	Ph	CH ₂ C(Me) ₂	Me	(R)-
V-149	Me	Me	Me	O	Ph	CH ₂ C(Me) ₂	Et	racemic
V-150	Me	Me	Me	O	Ph	CH ₂ C(Me) ₂	Et	(R)-

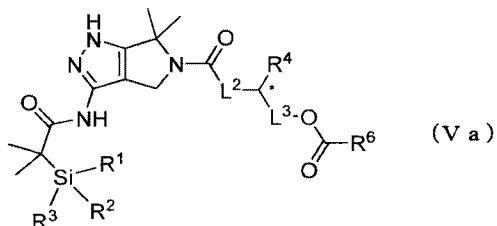
[0117] [Table 102]



Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁶	Configuration
V-151	Me	Et	Me	O	Ph	CH ₂ C(Me) ₂	Et	racemic
V-152	Me	Et	Me	O	Ph	CH ₂ C(Me) ₂	Et	(R)-
V-153	Me	Me	Me	O	Ph	CH ₂ C(Me) ₂	nPr	racemic
V-154	Me	Me	Me	O	Ph	CH ₂ C(Me) ₂	nPr	(R)-
V-155	Me	Et	Me	O	Ph	CH ₂ C(Me) ₂	nPr	racemic
V-156	Me	Et	Me	O	Ph	CH ₂ C(Me) ₂	nPr	(R)-
V-157	Me	Me	Me	O	Ph	CH ₂ C(Me) ₂	iPr	racemic
V-158	Me	Me	Me	O	Ph	CH ₂ C(Me) ₂	iPr	(R)-
V-159	Me	Et	Me	O	Ph	CH ₂ C(Me) ₂	iPr	racemic
V-160	Me	Et	Me	O	Ph	CH ₂ C(Me) ₂	iPr	(R)-
V-161	Me	Me	Me	O	Ph	CH ₂ C(Me) ₂	nBu	racemic
V-162	Me	Me	Me	O	Ph	CH ₂ C(Me) ₂	nBu	(R)-
V-163	Me	Et	Me	O	Ph	CH ₂ C(Me) ₂	nBu	racemic
V-164	Me	Et	Me	O	Ph	CH ₂ C(Me) ₂	nBu	(R)-

V-165	Me	Me	Me	O	Ph	CH ₂ C(Me) ₂	tBu	racemic
V-166	Me	Me	Me	O	Ph	CH ₂ C(Me) ₂	tBu	(R)-
V-167	Me	Et	Me	O	Ph	CH ₂ C(Me) ₂	tBu	racemic
V-168	Me	Et	Me	O	Ph	CH ₂ C(Me) ₂	tBu	(R)-
V-169	Me	Me	Me	O	Ph	CH ₂ C(Me) ₂	iBu	racemic
V-170	Me	Me	Me	O	Ph	CH ₂ C(Me) ₂	iBu	(R)-
V-171	Me	Et	Me	O	Ph	CH ₂ C(Me) ₂	iBu	racemic
V-172	Me	Et	Me	O	Ph	CH ₂ C(Me) ₂	iBu	(R)-
V-173	Me	Me	Me	O	Ph	CH ₂ C(Me) ₂	n-Heptyl	racemic
V-174	Me	Me	Me	O	Ph	CH ₂ C(Me) ₂	n-Heptyl	(R)-
V-175	Me	Et	Me	O	Ph	CH ₂ C(Me) ₂	n-Heptyl	racemic
V-176	Me	Et	Me	O	Ph	CH ₂ C(Me) ₂	n-Heptyl	(R)-
V-177	Me	Me	Me	O	Ph	CH ₂ C(Me) ₂	n-Undecyl	racemic
V-178	Me	Me	Me	O	Ph	CH ₂ C(Me) ₂	n-Undecyl	(R)-
V-179	Me	Et	Me	O	Ph	CH ₂ C(Me) ₂	n-Undecyl	racemic
V-180	Me	Et	Me	O	Ph	CH ₂ C(Me) ₂	n-Undecyl	(R)-
V-181	Me	Me	Me	O	Ph	CH ₂ C(Me) ₂	Ph	racemic
V-182	Me	Me	Me	O	Ph	CH ₂ C(Me) ₂	Ph	(R)-
V-183	Me	Et	Me	O	Ph	CH ₂ C(Me) ₂	Ph	racemic
V-184	Me	Et	Me	O	Ph	CH ₂ C(Me) ₂	Ph	(R)-
V-185	Me	Me	Me	O	Ph	CH ₂ C(Me) ₂	OEt	racemic
V-186	Me	Me	Me	O	Ph	CH ₂ C(Me) ₂	OEt	(R)-
V-187	Me	Et	Me	O	Ph	CH ₂ C(Me) ₂	OEt	racemic
V-188	Me	Et	Me	O	Ph	CH ₂ C(Me) ₂	OEt	(R)-
V-189	Me	Me	Me	O	Ph	CH ₂ C(Me) ₂	(CH ₂) ₂ COOH	racemic
V-190	Me	Me	Me	O	Ph	CH ₂ C(Me) ₂	(CH ₂) ₂ COOH	(R)-
V-191	Me	Et	Me	O	Ph	CH ₂ C(Me) ₂	(CH ₂) ₂ COOH	racemic
V-192	Me	Et	Me	O	Ph	CH ₂ C(Me) ₂	(CH ₂) ₂ COOH	(R)-
V-193	Me	Me	Me	NH	Ph	CH ₂	Me	racemic
V-194	Me	Me	Me	NH	Ph	CH ₂	Me	(S)-
V-195	Me	Et	Me	NH	Ph	CH ₂	Me	racemic
V-196	Me	Et	Me	NH	Ph	CH ₂	Me	(S)-
V-197	Me	Me	Me	NH	Ph	CH ₂	Et	racemic
V-198	Me	Me	Me	NH	Ph	CH ₂	Et	(S)-
V-199	Me	Et	Me	NH	Ph	CH ₂	Et	racemic
V-200	Me	Et	Me	NH	Ph	CH ₂	Et	(S)-

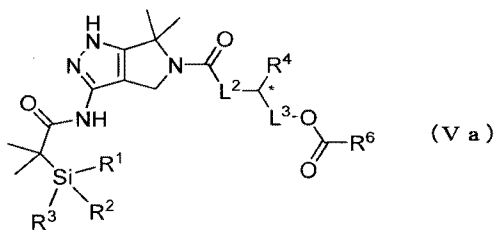
[0118] [Table 103]



Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁶	Configuration
V-201	Me	Me	Me	NH	Ph	CH ₂	nPr	racemic
V-202	Me	Me	Me	NH	Ph	CH ₂	nPr	(S)-
V-203	Me	Et	Me	NH	Ph	CH ₂	nPr	racemic
V-204	Me	Et	Me	NH	Ph	CH ₂	nPr	(S)-
V-205	Me	Me	Me	NH	Ph	CH ₂	iPr	racemic
V-206	Me	Me	Me	NH	Ph	CH ₂	iPr	(S)-
V-207	Me	Et	Me	NH	Ph	CH ₂	iPr	racemic
V-208	Me	Et	Me	NH	Ph	CH ₂	iPr	(S)-
V-209	Me	Me	Me	NH	Ph	CH ₂	nBu	racemic
V-210	Me	Me	Me	NH	Ph	CH ₂	nBu	(S)-
V-211	Me	Et	Me	NH	Ph	CH ₂	nBu	racemic
V-212	Me	Et	Me	NH	Ph	CH ₂	nBu	(S)-
V-213	Me	Me	Me	NH	Ph	CH ₂	tBu	racemic
V-214	Me	Me	Me	NH	Ph	CH ₂	tBu	(S)-
V-215	Me	Et	Me	NH	Ph	CH ₂	tBu	racemic
V-216	Me	Et	Me	NH	Ph	CH ₂	tBu	(S)-
V-217	Me	Me	Me	NH	Ph	CH ₂	iBu	racemic
V-218	Me	Me	Me	NH	Ph	CH ₂	iBu	(S)-
V-219	Me	Et	Me	NH	Ph	CH ₂	iBu	racemic
V-220	Me	Et	Me	NH	Ph	CH ₂	iBu	(S)-
V-221	Me	Me	Me	NH	Ph	CH ₂	n-Heptyl	racemic
V-222	Me	Me	Me	NH	Ph	CH ₂	n-Heptyl	(S)-
V-223	Me	Et	Me	NH	Ph	CH ₂	n-Heptyl	racemic
V-224	Me	Et	Me	NH	Ph	CH ₂	n-Heptyl	(S)-
V-225	Me	Me	Me	NH	Ph	CH ₂	n-Undecyl	racemic

V-226	Me	Me	Me	NH	Ph	CH ₂	n-Undecyl	(S)-
V-227	Me	Et	Me	NH	Ph	CH ₂	n-Undecyl	racemic
V-228	Me	Et	Me	NH	Ph	CH ₂	n-Undecyl	(S)-
V-229	Me	Me	Me	NH	Ph	CH ₂	Ph	racemic
V-230	Me	Me	Me	NH	Ph	CH ₂	Ph	(S)-
V-231	Me	Et	Me	NH	Ph	CH ₂	Ph	racemic
V-232	Me	Et	Me	NH	Ph	CH ₂	Ph	(S)-
V-233	Me	Me	Me	NH	Ph	CH ₂	OEt	racemic
V-234	Me	Me	Me	NH	Ph	CH ₂	OEt	(S)-
V-235	Me	Et	Me	NH	Ph	CH ₂	OEt	racemic
V-236	Me	Et	Me	NH	Ph	CH ₂	OEt	(S)-
V-237	Me	Me	Me	NH	Ph	CH ₂	(CH ₂) ₂ COOH	racemic
V-238	Me	Me	Me	NH	Ph	CH ₂	(CH ₂) ₂ COOH	(S)-
V-239	Me	Et	Me	NH	Ph	CH ₂	(CH ₂) ₂ COOH	racemic
V-240	Me	Et	Me	NH	Ph	CH ₂	(CH ₂) ₂ COOH	(S)-
V-241	Me	Me	Me	NH	Ph	C(Me) ₂	Me	racemic
V-242	Me	Me	Me	NH	Ph	C(Me) ₂	Me	(S)-
V-243	Me	Et	Me	NH	Ph	C(Me) ₂	Me	racemic
V-244	Me	Et	Me	NH	Ph	C(Me) ₂	Me	(S)-
V-245	Me	Me	Me	NH	Ph	C(Me) ₂	Et	racemic
V-246	Me	Me	Me	NH	Ph	C(Me) ₂	Et	(S)-
V-247	Me	Et	Me	NH	Ph	C(Me) ₂	Et	racemic
V-248	Me	Et	Me	NH	Ph	C(Me) ₂	Et	(S)-
V-249	Me	Me	Me	NH	Ph	C(Me) ₂	nPr	racemic
V-250	Me	Me	Me	NH	Ph	C(Me) ₂	nPr	(S)-

[0119] [Table 104]

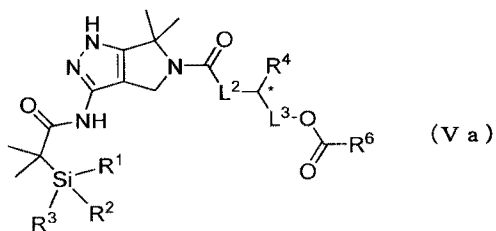


Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁶	Configuration
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V-251	Me	Et	Me	NH	Ph	C(Me) ₂	nPr	racemic
V-252	Me	Et	Me	NH	Ph	C(Me) ₂	nPr	(S)-
V-253	Me	Me	Me	NH	Ph	C(Me) ₂	iPr	racemic
V-254	Me	Me	Me	NH	Ph	C(Me) ₂	iPr	(S)-
V-255	Me	Et	Me	NH	Ph	C(Me) ₂	iPr	racemic
V-256	Me	Et	Me	NH	Ph	C(Me) ₂	iPr	(S)-
V-257	Me	Me	Me	NH	Ph	C(Me) ₂	nBu	racemic
V-258	Me	Me	Me	NH	Ph	C(Me) ₂	nBu	(S)-
V-259	Me	Et	Me	NH	Ph	C(Me) ₂	nBu	racemic
V-260	Me	Et	Me	NH	Ph	C(Me) ₂	nBu	(S)-
V-261	Me	Me	Me	NH	Ph	C(Me) ₂	tBu	racemic
V-262	Me	Me	Me	NH	Ph	C(Me) ₂	tBu	(S)-
V-263	Me	Et	Me	NH	Ph	C(Me) ₂	tBu	racemic
V-264	Me	Et	Me	NH	Ph	C(Me) ₂	tBu	(S)-
V-265	Me	Me	Me	NH	Ph	C(Me) ₂	iBu	racemic
V-266	Me	Me	Me	NH	Ph	C(Me) ₂	iBu	(S)-
V-267	Me	Et	Me	NH	Ph	C(Me) ₂	iBu	racemic
V-268	Me	Et	Me	NH	Ph	C(Me) ₂	iBu	(S)-
V-269	Me	Me	Me	NH	Ph	C(Me) ₂	n-Heptyl	racemic
V-270	Me	Me	Me	NH	Ph	C(Me) ₂	n-Heptyl	(S)-
V-271	Me	Et	Me	NH	Ph	C(Me) ₂	n-Heptyl	racemic
V-272	Me	Et	Me	NH	Ph	C(Me) ₂	n-Heptyl	(S)-
V-273	Me	Me	Me	NH	Ph	C(Me) ₂	n-Undecyl	racemic
V-274	Me	Me	Me	NH	Ph	C(Me) ₂	n-Undecyl	(S)-
V-275	Me	Et	Me	NH	Ph	C(Me) ₂	n-Undecyl	racemic
V-276	Me	Et	Me	NH	Ph	C(Me) ₂	n-Undecyl	(S)-
V-277	Me	Me	Me	NH	Ph	C(Me) ₂	Ph	racemic
V-278	Me	Me	Me	NH	Ph	C(Me) ₂	Ph	(S)-
V-279	Me	Et	Me	NH	Ph	C(Me) ₂	Ph	racemic
V-280	Me	Et	Me	NH	Ph	C(Me) ₂	Ph	(S)-
V-281	Me	Me	Me	NH	Ph	C(Me) ₂	OEt	racemic
V-282	Me	Me	Me	NH	Ph	C(Me) ₂	OEt	(S)-
V-283	Me	Et	Me	NH	Ph	C(Me) ₂	OEt	racemic
V-284	Me	Et	Me	NH	Ph	C(Me) ₂	OEt	(S)-
V-285	Me	Me	Me	NH	Ph	C(Me) ₂	(CH ₂) ₂ COOH	racemic
V-286	Me	Me	Me	NH	Ph	C(Me) ₂	(CH ₂) ₂ COOH	(S)-

V-287	Me	Et	Me	NH	Ph	C(Me) ₂	(CH ₂) ₂ COOH	racemic
V-288	Me	Et	Me	NH	Ph	C(Me) ₂	(CH ₂) ₂ COOH	(S)-
V-289	Me	Me	Me	NH	Ph	(CH ₂) ₂	Me	racemic
V-290	Me	Me	Me	NH	Ph	(CH ₂) ₂	Me	(R)-
V-291	Me	Et	Me	NH	Ph	(CH ₂) ₂	Me	racemic
V-292	Me	Et	Me	NH	Ph	(CH ₂) ₂	Me	(R)-
V-293	Me	Me	Me	NH	Ph	(CH ₂) ₂	Et	racemic
V-294	Me	Me	Me	NH	Ph	(CH ₂) ₂	Et	(R)-
V-295	Me	Et	Me	NH	Ph	(CH ₂) ₂	Et	racemic
V-296	Me	Et	Me	NH	Ph	(CH ₂) ₂	Et	(R)-
V-297	Me	Me	Me	NH	Ph	(CH ₂) ₂	nPr	racemic
V-298	Me	Me	Me	NH	Ph	(CH ₂) ₂	nPr	(R)-
V-299	Me	Et	Me	NH	Ph	(CH ₂) ₂	nPr	racemic
V-300	Me	Et	Me	NH	Ph	(CH ₂) ₂	nPr	(R)-

[0120] [Table 105]

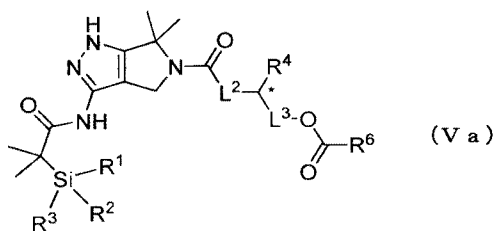


Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁶	Configuration
V-301	Me	Me	Me	NH	Ph	(CH ₂) ₂	iPr	racemic
V-302	Me	Me	Me	NH	Ph	(CH ₂) ₂	iPr	(R)-
V-303	Me	Et	Me	NH	Ph	(CH ₂) ₂	iPr	racemic
V-304	Me	Et	Me	NH	Ph	(CH ₂) ₂	iPr	(R)-
V-305	Me	Me	Me	NH	Ph	(CH ₂) ₂	nBu	racemic
V-306	Me	Me	Me	NH	Ph	(CH ₂) ₂	nBu	(R)-
V-307	Me	Et	Me	NH	Ph	(CH ₂) ₂	nBu	racemic
V-308	Me	Et	Me	NH	Ph	(CH ₂) ₂	nBu	(R)-
V-309	Me	Me	Me	NH	Ph	(CH ₂) ₂	tBu	racemic
V-310	Me	Me	Me	NH	Ph	(CH ₂) ₂	tBu	(R)-
V-311	Me	Et	Me	NH	Ph	(CH ₂) ₂	tBu	racemic

V-312	Me	Et	Me	NH	Ph	(CH ₂) ₂	tBu	(R)-
V-313	Me	Me	Me	NH	Ph	(CH ₂) ₂	iBu	racemic
V-314	Me	Me	Me	NH	Ph	(CH ₂) ₂	iBu	(R)-
V-315	Me	Et	Me	NH	Ph	(CH ₂) ₂	iBu	racemic
V-316	Me	Et	Me	NH	Ph	(CH ₂) ₂	iBu	(R)-
V-317	Me	Me	Me	NH	Ph	(CH ₂) ₂	n-Heptyl	racemic
V-318	Me	Me	Me	NH	Ph	(CH ₂) ₂	n-Heptyl	(R)-
V-319	Me	Et	Me	NH	Ph	(CH ₂) ₂	n-Heptyl	racemic
V-320	Me	Et	Me	NH	Ph	(CH ₂) ₂	n-Heptyl	(R)-
V-321	Me	Me	Me	NH	Ph	(CH ₂) ₂	n-Undecyl	racemic
V-322	Me	Me	Me	NH	Ph	(CH ₂) ₂	n-Undecyl	(R)-
V-323	Me	Et	Me	NH	Ph	(CH ₂) ₂	n-Undecyl	racemic
V-324	Me	Et	Me	NH	Ph	(CH ₂) ₂	n-Undecyl	(R)-
V-325	Me	Me	Me	NH	Ph	(CH ₂) ₂	Ph	racemic
V-326	Me	Me	Me	NH	Ph	(CH ₂) ₂	Ph	(R)-
V-327	Me	Et	Me	NH	Ph	(CH ₂) ₂	Ph	racemic
V-328	Me	Et	Me	NH	Ph	(CH ₂) ₂	Ph	(R)-
V-329	Me	Me	Me	NH	Ph	(CH ₂) ₂	OEt	racemic
V-330	Me	Me	Me	NH	Ph	(CH ₂) ₂	OEt	(R)-
V-331	Me	Et	Me	NH	Ph	(CH ₂) ₂	OEt	racemic
V-332	Me	Et	Me	NH	Ph	(CH ₂) ₂	OEt	(R)-
V-333	Me	Me	Me	NH	Ph	(CH ₂) ₂	(CH ₂) ₂ COOH	racemic
V-334	Me	Me	Me	NH	Ph	(CH ₂) ₂	(CH ₂) ₂ COOH	(R)-
V-335	Me	Et	Me	NH	Ph	(CH ₂) ₂	(CH ₂) ₂ COOH	racemic
V-336	Me	Et	Me	NH	Ph	(CH ₂) ₂	(CH ₂) ₂ COOH	(R)-
V-337	Me	Me	Me	NH	Ph	CH ₂ C(Me) ₂	Me	racemic
V-338	Me	Me	Me	NH	Ph	CH ₂ C(Me) ₂	Me	(R)-
V-339	Me	Et	Me	NH	Ph	CH ₂ C(Me) ₂	Me	racemic
V-340	Me	Et	Me	NH	Ph	CH ₂ C(Me) ₂	Me	(R)-
V-341	Me	Me	Me	NH	Ph	CH ₂ C(Me) ₂	Et	racemic
V-342	Me	Me	Me	NH	Ph	CH ₂ C(Me) ₂	Et	(R)-
V-343	Me	Et	Me	NH	Ph	CH ₂ C(Me) ₂	Et	racemic
V-344	Me	Et	Me	NH	Ph	CH ₂ C(Me) ₂	Et	(R)-
V-345	Me	Me	Me	NH	Ph	CH ₂ C(Me) ₂	nPr	racemic
V-346	Me	Me	Me	NH	Ph	CH ₂ C(Me) ₂	nPr	(R)-
V-347	Me	Et	Me	NH	Ph	CH ₂ C(Me) ₂	nPr	racemic

V-348	Me	Et	Me	NH	Ph	CH ₂ C(Me) ₂	nPr	(R)-
V-349	Me	Me	Me	NH	Ph	CH ₂ C(Me) ₂	iPr	racemic
V-350	Me	Me	Me	NH	Ph	CH ₂ C(Me) ₂	iPr	(R)-

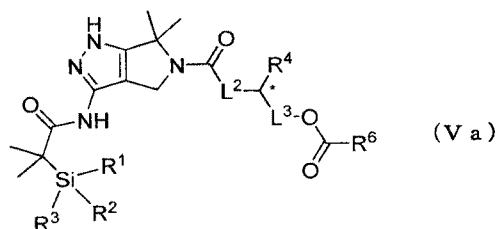
[0121] [Table 106]



Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁶	Configuration
V-351	Me	Et	Me	NH	Ph	CH ₂ C(Me) ₂	iPr	racemic
V-352	Me	Et	Me	NH	Ph	CH ₂ C(Me) ₂	iPr	(R)-
V-353	Me	Me	Me	NH	Ph	CH ₂ C(Me) ₂	nBu	racemic
V-354	Me	Me	Me	NH	Ph	CH ₂ C(Me) ₂	nBu	(R)-
V-355	Me	Et	Me	NH	Ph	CH ₂ C(Me) ₂	nBu	racemic
V-356	Me	Et	Me	NH	Ph	CH ₂ C(Me) ₂	nBu	(R)-
V-357	Me	Me	Me	NH	Ph	CH ₂ C(Me) ₂	tBu	racemic
V-358	Me	Me	Me	NH	Ph	CH ₂ C(Me) ₂	tBu	(R)-
V-359	Me	Et	Me	NH	Ph	CH ₂ C(Me) ₂	tBu	racemic
V-360	Me	Et	Me	NH	Ph	CH ₂ C(Me) ₂	tBu	(R)-
V-361	Me	Me	Me	NH	Ph	CH ₂ C(Me) ₂	iBu	racemic
V-362	Me	Me	Me	NH	Ph	CH ₂ C(Me) ₂	iBu	(R)-
V-363	Me	Et	Me	NH	Ph	CH ₂ C(Me) ₂	iBu	racemic
V-364	Me	Et	Me	NH	Ph	CH ₂ C(Me) ₂	iBu	(R)-
V-365	Me	Me	Me	NH	Ph	CH ₂ C(Me) ₂	n-Heptyl	racemic
V-366	Me	Me	Me	NH	Ph	CH ₂ C(Me) ₂	n-Heptyl	(R)-
V-367	Me	Et	Me	NH	Ph	CH ₂ C(Me) ₂	n-Heptyl	racemic
V-368	Me	Et	Me	NH	Ph	CH ₂ C(Me) ₂	n-Heptyl	(R)-
V-369	Me	Me	Me	NH	Ph	CH ₂ C(Me) ₂	n-Undecyl	racemic
V-370	Me	Me	Me	NH	Ph	CH ₂ C(Me) ₂	n-Undecyl	(R)-
V-371	Me	Et	Me	NH	Ph	CH ₂ C(Me) ₂	n-Undecyl	racemic
V-372	Me	Et	Me	NH	Ph	CH ₂ C(Me) ₂	n-Undecyl	(R)-

V-373	Me	Me	Me	NH	Ph	CH ₂ C(Me) ₂	Ph	racemic
V-374	Me	Me	Me	NH	Ph	CH ₂ C(Me) ₂	Ph	(R)-
V-375	Me	Et	Me	NH	Ph	CH ₂ C(Me) ₂	Ph	racemic
V-376	Me	Et	Me	NH	Ph	CH ₂ C(Me) ₂	Ph	(R)-
V-377	Me	Me	Me	NH	Ph	CH ₂ C(Me) ₂	OEt	racemic
V-378	Me	Me	Me	NH	Ph	CH ₂ C(Me) ₂	OEt	(R)-
V-379	Me	Et	Me	NH	Ph	CH ₂ C(Me) ₂	OEt	racemic
V-380	Me	Et	Me	NH	Ph	CH ₂ C(Me) ₂	OEt	(R)-
V-381	Me	Me	Me	NH	Ph	CH ₂ C(Me) ₂	(CH ₂) ₂ COOH	racemic
V-382	Me	Me	Me	NH	Ph	CH ₂ C(Me) ₂	(CH ₂) ₂ COOH	(R)-
V-383	Me	Et	Me	NH	Ph	CH ₂ C(Me) ₂	(CH ₂) ₂ COOH	racemic
V-384	Me	Et	Me	NH	Ph	CH ₂ C(Me) ₂	(CH ₂) ₂ COOH	(R)-
V-385	Me	Me	Me	CH ₂	Ph	CH ₂	Me	racemic
V-386	Me	Me	Me	CH ₂	Ph	CH ₂	Me	(S)-
V-387	Me	Et	Me	CH ₂	Ph	CH ₂	Me	racemic
V-388	Me	Et	Me	CH ₂	Ph	CH ₂	Me	(S)-
V-389	Me	Me	Me	CH ₂	Ph	CH ₂	Et	racemic
V-390	Me	Me	Me	CH ₂	Ph	CH ₂	Et	(S)-
V-391	Me	Et	Me	CH ₂	Ph	CH ₂	Et	racemic
V-392	Me	Et	Me	CH ₂	Ph	CH ₂	Et	(S)-
V-393	Me	Me	Me	CH ₂	Ph	CH ₂	nPr	racemic
V-394	Me	Me	Me	CH ₂	Ph	CH ₂	nPr	(S)-
V-395	Me	Et	Me	CH ₂	Ph	CH ₂	nPr	racemic
V-396	Me	Et	Me	CH ₂	Ph	CH ₂	nPr	(S)-
V-397	Me	Me	Me	CH ₂	Ph	CH ₂	iPr	racemic
V-398	Me	Me	Me	CH ₂	Ph	CH ₂	iPr	(S)-
V-399	Me	Et	Me	CH ₂	Ph	CH ₂	iPr	racemic
V-400	Me	Et	Me	CH ₂	Ph	CH ₂	iPr	(S)-

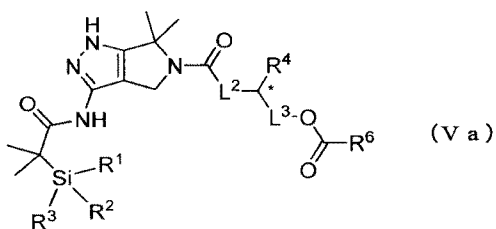
[0122] [Table 107]



Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁶	Configuration
V-401	Me	Me	Me	CH ₂	Ph	CH ₂	nBu	racemic
V-402	Me	Me	Me	CH ₂	Ph	CH ₂	nBu	(S)-
V-403	Me	Et	Me	CH ₂	Ph	CH ₂	nBu	racemic
V-404	Me	Et	Me	CH ₂	Ph	CH ₂	nBu	(S)-
V-405	Me	Me	Me	CH ₂	Ph	CH ₂	tBu	racemic
V-406	Me	Me	Me	CH ₂	Ph	CH ₂	tBu	(S)-
V-407	Me	Et	Me	CH ₂	Ph	CH ₂	tBu	racemic
V-408	Me	Et	Me	CH ₂	Ph	CH ₂	tBu	(S)-
V-409	Me	Me	Me	CH ₂	Ph	CH ₂	iBu	racemic
V-410	Me	Me	Me	CH ₂	Ph	CH ₂	iBu	(S)-
V-411	Me	Et	Me	CH ₂	Ph	CH ₂	iBu	racemic
V-412	Me	Et	Me	CH ₂	Ph	CH ₂	iBu	(S)-
V-413	Me	Me	Me	CH ₂	Ph	CH ₂	n-Heptyl	racemic
V-414	Me	Me	Me	CH ₂	Ph	CH ₂	n-Heptyl	(S)-
V-415	Me	Et	Me	CH ₂	Ph	CH ₂	n-Heptyl	racemic
V-416	Me	Et	Me	CH ₂	Ph	CH ₂	n-Heptyl	(S)-
V-417	Me	Me	Me	CH ₂	Ph	CH ₂	n-Undecyl	racemic
V-418	Me	Me	Me	CH ₂	Ph	CH ₂	n-Undecyl	(S)-
V-419	Me	Et	Me	CH ₂	Ph	CH ₂	n-Undecyl	racemic
V-420	Me	Et	Me	CH ₂	Ph	CH ₂	n-Undecyl	(S)-
V-421	Me	Me	Me	CH ₂	Ph	CH ₂	Ph	racemic
V-422	Me	Me	Me	CH ₂	Ph	CH ₂	Ph	(S)-
V-423	Me	Et	Me	CH ₂	Ph	CH ₂	Ph	racemic
V-424	Me	Et	Me	CH ₂	Ph	CH ₂	Ph	(S)-
V-425	Me	Me	Me	CH ₂	Ph	CH ₂	OEt	racemic
V-426	Me	Me	Me	CH ₂	Ph	CH ₂	OEt	(S)-
V-427	Me	Et	Me	CH ₂	Ph	CH ₂	OEt	racemic
V-428	Me	Et	Me	CH ₂	Ph	CH ₂	OEt	(S)-

V-429	Me	Me	Me	CH ₂	Ph	CH ₂	(CH ₂) ₂ COOH	racemic
V-430	Me	Me	Me	CH ₂	Ph	CH ₂	(CH ₂) ₂ COOH	(S)-
V-431	Me	Et	Me	CH ₂	Ph	CH ₂	(CH ₂) ₂ COOH	racemic
V-432	Me	Et	Me	CH ₂	Ph	CH ₂	(CH ₂) ₂ COOH	(S)-
V-433	Me	Me	Me	CH ₂	Ph	-	Me	racemic
V-434	Me	Me	Me	CH ₂	Ph	-	Me	(S)-
V-435	Me	Et	Me	CH ₂	Ph	-	Me	racemic
V-436	Me	Et	Me	CH ₂	Ph	-	Me	(S)-
V-437	Me	Me	Me	CH ₂	Ph	-	Et	racemic
V-438	Me	Me	Me	CH ₂	Ph	-	Et	(S)-
V-439	Me	Et	Me	CH ₂	Ph	-	Et	racemic
V-440	Me	Et	Me	CH ₂	Ph	-	Et	(S)-
V-441	Me	Me	Me	CH ₂	Ph	-	nPr	racemic
V-442	Me	Me	Me	CH ₂	Ph	-	nPr	(S)-
V-443	Me	Et	Me	CH ₂	Ph	-	nPr	racemic
V-444	Me	Et	Me	CH ₂	Ph	-	nPr	(S)-
V-445	Me	Me	Me	CH ₂	Ph	-	iPr	racemic
V-446	Me	Me	Me	CH ₂	Ph	-	iPr	(S)-
V-447	Me	Et	Me	CH ₂	Ph	-	iPr	racemic
V-448	Me	Et	Me	CH ₂	Ph	-	iPr	(S)-
V-449	Me	Me	Me	CH ₂	Ph	-	nBu	racemic
V-450	Me	Me	Me	CH ₂	Ph	-	nBu	(S)-

[0123] [Table 108]

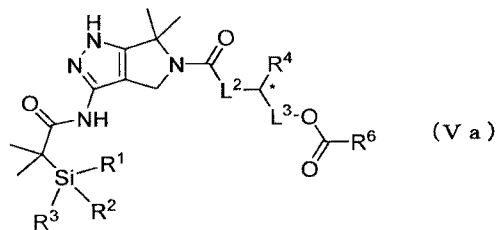


Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁶	Configuration
V-451	Me	Et	Me	CH ₂	Ph	-	nBu	racemic
V-452	Me	Et	Me	CH ₂	Ph	-	nBu	(S)-
V-453	Me	Me	Me	CH ₂	Ph	-	tBu	racemic

V-454	Me	Me	Me	CH ₂	Ph	-	tBu	(S)-
V-455	Me	Et	Me	CH ₂	Ph	-	tBu	racemic
V-456	Me	Et	Me	CH ₂	Ph	-	tBu	(S)-
V-457	Me	Me	Me	CH ₂	Ph	-	iBu	racemic
V-458	Me	Me	Me	CH ₂	Ph	-	iBu	(S)-
V-459	Me	Et	Me	CH ₂	Ph	-	iBu	racemic
V-460	Me	Et	Me	CH ₂	Ph	-	iBu	(S)-
V-461	Me	Me	Me	CH ₂	Ph	-	n-Heptyl	racemic
V-462	Me	Me	Me	CH ₂	Ph	-	n-Heptyl	(S)-
V-463	Me	Et	Me	CH ₂	Ph	-	n-Heptyl	racemic
V-464	Me	Et	Me	CH ₂	Ph	-	n-Heptyl	(S)-
V-465	Me	Me	Me	CH ₂	Ph	-	n-Undecyl	racemic
V-466	Me	Me	Me	CH ₂	Ph	-	n-Undecyl	(S)-
V-467	Me	Et	Me	CH ₂	Ph	-	n-Undecyl	racemic
V-468	Me	Et	Me	CH ₂	Ph	-	n-Undecyl	(S)-
V-469	Me	Me	Me	CH ₂	Ph	-	Ph	racemic
V-470	Me	Me	Me	CH ₂	Ph	-	Ph	(S)-
V-471	Me	Et	Me	CH ₂	Ph	-	Ph	racemic
V-472	Me	Et	Me	CH ₂	Ph	-	Ph	(S)-
V-473	Me	Me	Me	CH ₂	Ph	-	OEt	racemic
V-474	Me	Me	Me	CH ₂	Ph	-	OEt	(S)-
V-475	Me	Et	Me	CH ₂	Ph	-	OEt	racemic
V-476	Me	Et	Me	CH ₂	Ph	-	OEt	(S)-
V-477	Me	Me	Me	CH ₂	Ph	-	(CH ₂) ₂ COOH	racemic
V-478	Me	Me	Me	CH ₂	Ph	-	(CH ₂) ₂ COOH	(S)-
V-479	Me	Et	Me	CH ₂	Ph	-	(CH ₂) ₂ COOH	racemic
V-480	Me	Et	Me	CH ₂	Ph	-	(CH ₂) ₂ COOH	(S)-
V-481	Me	Me	Me	CH ₂	Ph	C(Me) ₂	Me	racemic
V-482	Me	Me	Me	CH ₂	Ph	C(Me) ₂	Me	(S)-
V-483	Me	Et	Me	CH ₂	Ph	C(Me) ₂	Me	racemic
V-484	Me	Et	Me	CH ₂	Ph	C(Me) ₂	Me	(S)-
V-485	Me	Me	Me	CH ₂	Ph	C(Me) ₂	Et	racemic
V-486	Me	Me	Me	CH ₂	Ph	C(Me) ₂	Et	(S)-
V-487	Me	Et	Me	CH ₂	Ph	C(Me) ₂	Et	racemic
V-488	Me	Et	Me	CH ₂	Ph	C(Me) ₂	Et	(S)-
V-489	Me	Me	Me	CH ₂	Ph	C(Me) ₂	nPr	racemic

V-490	Me	Me	Me	CH ₂	Ph	C(Me) ₂	nPr	(S)-
V-491	Me	Et	Me	CH ₂	Ph	C(Me) ₂	nPr	racemic
V-492	Me	Et	Me	CH ₂	Ph	C(Me) ₂	nPr	(S)-
V-493	Me	Me	Me	CH ₂	Ph	C(Me) ₂	iPr	racemic
V-494	Me	Me	Me	CH ₂	Ph	C(Me) ₂	iPr	(S)-
V-495	Me	Et	Me	CH ₂	Ph	C(Me) ₂	iPr	racemic
V-496	Me	Et	Me	CH ₂	Ph	C(Me) ₂	iPr	(S)-
V-497	Me	Me	Me	CH ₂	Ph	C(Me) ₂	nBu	racemic
V-498	Me	Me	Me	CH ₂	Ph	C(Me) ₂	nBu	(S)-
V-499	Me	Et	Me	CH ₂	Ph	C(Me) ₂	nBu	racemic
V-500	Me	Et	Me	CH ₂	Ph	C(Me) ₂	nBu	(S)-

[0124] [Table 109]



Compound No.	R ¹	R ²	R ³	L ²	R ⁴	L ³	R ⁶	Configuration
V-501	Me	Me	Me	CH ₂	Ph	C(Me) ₂	tBu	racemic
V-502	Me	Me	Me	CH ₂	Ph	C(Me) ₂	tBu	(S)-
V-503	Me	Et	Me	CH ₂	Ph	C(Me) ₂	tBu	racemic
V-504	Me	Et	Me	CH ₂	Ph	C(Me) ₂	tBu	(S)-
V-505	Me	Me	Me	CH ₂	Ph	C(Me) ₂	iBu	racemic
V-506	Me	Me	Me	CH ₂	Ph	C(Me) ₂	iBu	(S)-
V-507	Me	Et	Me	CH ₂	Ph	C(Me) ₂	iBu	racemic
V-508	Me	Et	Me	CH ₂	Ph	C(Me) ₂	iBu	(S)-
V-509	Me	Me	Me	CH ₂	Ph	C(Me) ₂	n-Heptyl	racemic
V-510	Me	Me	Me	CH ₂	Ph	C(Me) ₂	n-Heptyl	(S)-
V-511	Me	Et	Me	CH ₂	Ph	C(Me) ₂	n-Heptyl	racemic
V-512	Me	Et	Me	CH ₂	Ph	C(Me) ₂	n-Heptyl	(S)-
V-513	Me	Me	Me	CH ₂	Ph	C(Me) ₂	n-Undecyl	racemic
V-514	Me	Me	Me	CH ₂	Ph	C(Me) ₂	n-Undecyl	(S)-

DEMANDE OU BREVET VOLUMINEUX

LA PRÉSENTE PARTIE DE CETTE DEMANDE OU CE BREVET COMPREND PLUS D'UN TOME.

CECI EST LE TOME 1 DE 3
CONTENANT LES PAGES 1 À 223

NOTE : Pour les tomes additionels, veuillez contacter le Bureau canadien des brevets

JUMBO APPLICATIONS/PATENTS

THIS SECTION OF THE APPLICATION/PATENT CONTAINS MORE THAN ONE VOLUME

THIS IS VOLUME 1 OF 3
CONTAINING PAGES 1 TO 223

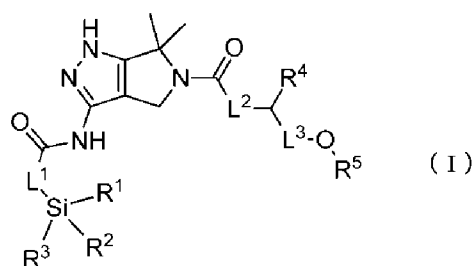
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NOM DU FICHIER / FILE NAME :

NOTE POUR LE TOME / VOLUME NOTE:

CLAIMS:

1. A compound represented by formula (I) or a pharmacologically acceptable salt thereof:



wherein

L^1 is a linear or branched C_{1-6} alkylene group or a C_{3-6} cycloalkylene group;

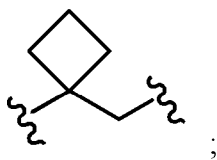
L^2 is a single bond, an oxygen atom, a nitrogen atom which is optionally substituted with a C_{1-6} alkyl group, or a linear or branched C_{1-6} alkylene group;

10 L^3 is:

- (i) a single bond,
- (ii) a linear or branched C_{1-6} alkylene group which is optionally substituted with one or more substituents selected from the group consisting of a halogen atom, an oxo group, and a C_{6-10} aryl group,
- (iii) a linear or branched C_{2-6} alkynylene group,
- (iv) a C_{3-6} cycloalkylene group,
- (v) a group represented by formula (M-2):



- (vi) a group represented by formula (N1):



R^1 , R^2 , and R^3 are each independently a linear or branched C_{1-4} alkyl group;

R^4 is

- (i) a hydrogen atom,
- (ii) a linear or branched C_{1-6} alkyl group which is optionally substituted with one or more substituents selected from the group consisting of a C_{6-10} aryl group, a C_{7-12} aralkyloxy group, a hydroxy group, a linear or branched C_{1-6} alkoxy group, a di- $(C_{1-6}$ alkyl)amino group, and a non-aromatic heterocyclic group selected from the group consisting of a pyrrolidinyl group, a piperidinyl group, a piperazinyl group, a morpholinyl group, and a thiomorpholinyl group and which is optionally further substituted with one or more halogen atoms,
- (iii) a C_{3-6} cycloalkyl group
- (iv) a C_{6-10} aryl group which is optionally substituted with one or more substituents selected from the group consisting of a halogen atom, a linear or branched C_{1-6} alkyl group, and a methylenedioxy group, or
- (v) an aromatic heterocyclic group selected from the group consisting of a pyridyl group, a thienyl group, a pyrimidine group, a pyridazine group, a pyrazine group, a triazine group, a pyrrole group, an imidazole group, a pyrazole group, an indole group, an indazole group, a furan group, a benzofuran group, a benzothiophene group, a thiazole group, an isothiazole group, an oxazole group, an isoxazole group, and an oxadiazole group, and

R^5 is:

- (i) a hydrogen atom,
- (ii) a linear or branched C₁₋₁₆ alkyl group which is optionally substituted with one or more substituents selected from the group consisting of a linear or branched C₁₋₆ alkoxy group, a halogen atom, an oxo group, a C₆₋₁₀ aryl group, a carboxy group, a C₆₋₁₀ alkanoyloxy group where -O-R⁵ forms an ester bond, or a substituent containing an oxygen atom where O-R⁵ forms an acetal group,
- (iii) a C₃₋₆ cycloalkyl group,
- (iv) a C₆₋₁₀ aryl group which is optionally substituted with a halogen atom, or
- (v) an aromatic heterocyclic group selected from the group consisting of a pyridyl group, a thienyl group, a pyrimidine group, a pyridazine group, a pyrazine group, a triazine group, a pyrrole group, an imidazole group, a pyrazole group, an indole group, an indazole group, a furan group, a benzofuran group, a benzothiophene group, a thiazole group, an isothiazole group, an oxazole group, an isoxazole group, and an oxadiazole group.

2. The compound or a pharmacologically acceptable salt thereof according to claim 1, wherein L¹ is isopropylene, cyclopropylene, or cyclobutylene.

3. The compound or a pharmacologically acceptable salt thereof according to claim 1 or 2, wherein L² is a single bond, an oxygen atom, or a nitrogen atom that is optionally substituted by a methyl group or a methylene group.

4. The compound or a pharmacologically acceptable salt thereof according to any one of claims 1 to 3, wherein R¹, R² and R³ are each independently a methyl group or an ethyl group.

5. The compound or a pharmacologically acceptable salt thereof according to any one of claims 1 to 4, wherein R⁴ is :

- (i) a hydrogen atom;
- (ii) a linear or branched C₁₋₃ alkyl group which is optionally substituted with one or more substituents selected from the group consisting of phenyl, hydroxyl, methoxy, and dimethylamino;
- (iii) a cyclohexyl group;
- (iv) a phenyl group which is optionally substituted with one or more substituents selected from the group consisting of a fluorine atom, a chlorine atom and a methyl group; or
- (v) an aromatic heterocyclic group selected from the group consisting of a pyridyl group and a thienyl group.

6. The compound or a pharmacologically acceptable salt thereof according to any one of claims 1 to 5, wherein R⁵ is:

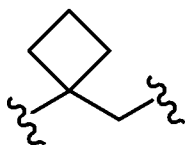
- (i) a hydrogen atom;
- (ii) a linear or branched C₁₋₁₆ alkyl group which is optionally substituted by one or more substituents selected from the group consisting of a methoxy group, an ethoxy group, a fluorine atom, an oxo group, a phenyl group, a carboxyl group, and a tert-butylcarbonyloxy group;
- (iii) a C₃₋₆ cycloalkyl group;
- (iv) a phenyl group which is optionally substituted with a chlorine atom; or
- (v) a pyridyl group.

7. The compound or a pharmacologically acceptable salt thereof according to any one of claims 1 to 6, wherein L³ is:

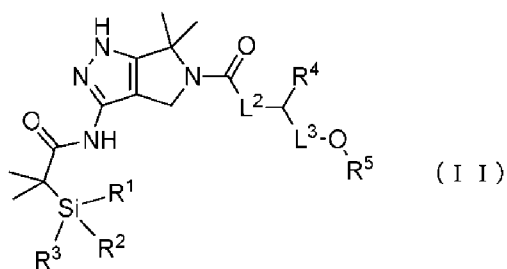
- (i) a single bond,
- (ii) a linear or branched C₁₋₆ alkylene group which is optionally substituted with one or more substituents selected from the group consisting of a fluorine atom, an oxo group, and a phenyl group,
- (iii) a linear or branched C₂₋₆ alkynylene group,
- (iv) a group represented by formula (M-2):



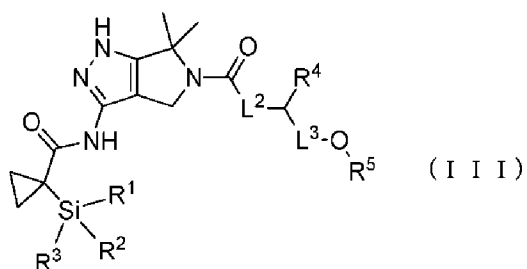
- (v) a group represented by formula (N1):



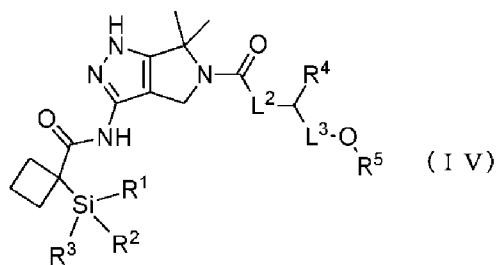
8. The compound or a pharmacologically acceptable salt thereof according to any one of claims 1 to 7, represented by a compound of formula (II) or a pharmacologically acceptable salt thereof:



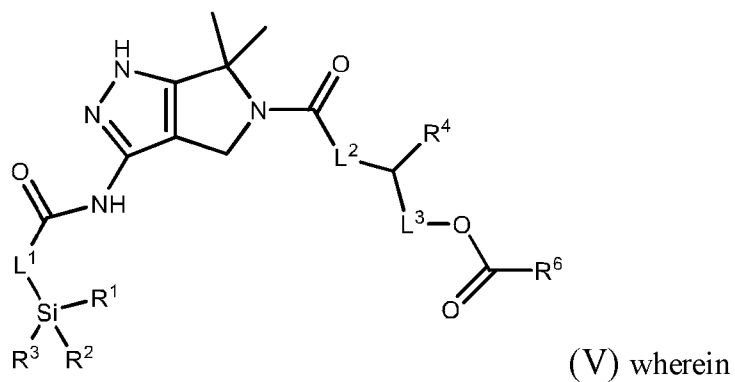
9. The compound or a pharmacologically acceptable salt thereof according to any one of claims 1 to 7, represented by a compound of formula (III) or a pharmacologically acceptable salt thereof:



10. The compound or a pharmacologically acceptable salt thereof according to any one of claims 1 to 7, represented by a compound of formula (IV) or a pharmacologically acceptable salt thereof:

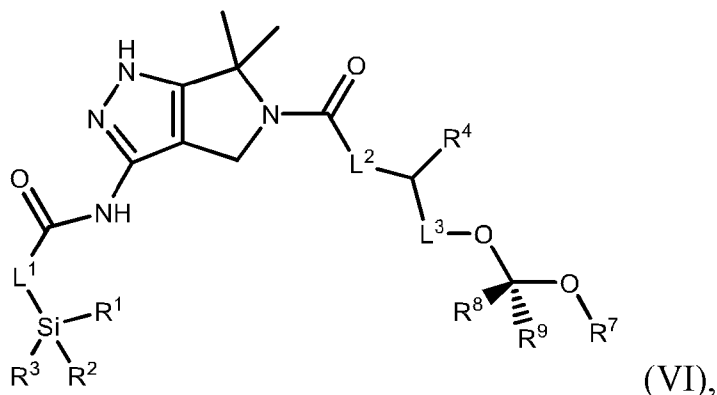


11. The compound or a pharmacologically acceptable salt thereof according to any one of claims 1 to 7, represented by a compound of formula (V) or a pharmacologically acceptable salt thereof:



R^6 is a hydrogen atom or a linear or branched C_{1-16} alkyl group.

12. The compound or a pharmacologically acceptable salt thereof according to any one of claims 1 to 7, represented by a compound of formula (VI) or a pharmacologically acceptable salt thereof:



wherein:

R^6 is a hydrogen atom or a linear or branched C_{1-16} alkyl group;

R^7 is a linear or branched C_{1-16} alkyl group; and

R^8 and R^9 are each independently a hydrogen atom or a C_{1-4} alkyl group.

13. A compound or a pharmacologically acceptable salt thereof selected from the group consisting of:

(S)-N-(2-hydroxy-1-phenylethyl)-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,

(S)-N-(2-hydroxy-1-phenylethyl)-6,6-dimethyl-3-[1-

(trimethylsilyl)cyclopropanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,

(S)-N-(2-hydroxy-1-phenylethyl)-6,6-dimethyl-3-[2-methyl-2-(trimethylsilyl)propaneamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,

(S)-3-[1-(ethyldimethylsilyl)cyclobutanecarboxamido]-N-(2-hydroxy-1-phenylethyl)-6,6-dimethyl-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,

- (S)-3-[2-(ethyldimethylsilyl)-2-methylpropaneamido]-N-(2-hydroxy-1-phenylethyl)-6,6-dimethyl-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,
- (S)-N-(2-hydroxy-1-phenylethyl)-N,6,6-trimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,
- (S)-2-[(2-methoxypropan-2-yl)oxy]-1-phenylethyl 6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxylate,
- (S)-2-hydroxy-1-phenylethyl 6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxylate,
- 2-Methoxy-1-phenylethyl 6,6-dimethyl-3-[1-(trimethylsilyl)cyclopropanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxylate,
- N-[5-(4-hydroxy-3-phenylbutanoyl)-6,6-dimethyl-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazol-3-yl]-1-(trimethylsilyl)cyclobutanecarboxamide,
- N-[5-(3-hydroxy-3-phenylpropanoyl)-6,6-dimethyl-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazol-3-yl]-1-(trimethylsilyl)cyclobutanecarboxamide,
- (R)-N-(3-hydroxy-1-phenylpropyl)-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,
- (R)-N-(3-hydroxy-1-phenylpropyl)-6,6-dimethyl-3-[1-(trimethylsilyl)cyclopropanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,
- (R)-N-(4-hydroxy-1-phenylbutyl)-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,

- (R)-N-(5-hydroxy-1-phenylpentyl)-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,
- 5 (S)-N-(2-hydroxy-2-methyl-1-phenylpropyl)-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,
- (S)-N-(2-hydroxy-2-methyl-1-phenylpropyl)-6,6-dimethyl-3-[1-(trimethylsilyl)cyclopropanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,
- 10 N-(3-hydroxy-2,2-dimethyl-1-phenylpropyl)-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,
- (R)-N-(3-hydroxy-3-methyl-1-phenylbutyl)-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,
- 15 (S)-N-(2-methoxy-1-phenylethyl)-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,
- (S)-N-[2-(difluoromethoxy)-1-phenylethyl]-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,
- 20 (S)-N-(2-ethoxy-1-phenylethyl)-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,
- (R)-N-(3-methoxy-1-phenylpropyl)-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,
- 25

Sodium (S)-2-{6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazole-5-carboxamide}-2-phenylacetate,
 (R)-N-(2-hydroxy-1-phenylethyl)-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,
 5 N-[1-(2-fluorophenyl)-2-hydroxyethyl]-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,
 (S)-N-[1-(3-fluorophenyl)-2-hydroxyethyl]-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-
 10 carboxamide,
 (S)-N-[1-(4-fluorophenyl)-2-hydroxyethyl]-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,
 N-[2-hydroxy-1-(pyridin-2-yl)ethyl]-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-
 15 carboxamide,
 N-[2-hydroxy-1-(pyridin-3-yl)ethyl]-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,
 20 N-[1-(benzo[d][1,3]dioxol-4-yl)-2-hydroxyethyl]-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,
 (S)-N-(1-cyclohexyl-2-hydroxyethyl)-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-
 25 carboxamide,

(S)-N-(1-hydroxy-3-methylbutan-2-yl)-6,6-dimethyl-3-[1-

(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,

(S)-N-(1-hydroxypropan-2-yl)-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,

N-(2-hydroxyethyl)-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,

N-(2-hydroxy-2-phenylethyl)-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,

N-(2-hydroxypropyl)-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,

N-[(2S)-1-hydroxy-3-methyl-1-phenylbutan-2-yl]-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,

N-(4-hydroxy-1-phenyl-2-butyne-1-yl)-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,

(S)-2-{6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazole-5-carboxamido}-2-phenylethyl acetate,

(S)-2-{6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazole-5-carboxamido}-2-phenylethyl propionate,

(S)-2-{6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazole-5-carboxamido}-2-phenylethyl butanoate,

(S)-2-{6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazole-5-carboxamido}-2-phenylethyl pentanoate,

- (S)-2-{6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazole-5-carboxamido}-2-phenylethyl octanoate,
- (S)-2-{6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazole-5-carboxamido}-2-phenylethyl dodecanoate,
- 5 (S)-2-{6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazole-5-carboxamido}-2-phenylethyl palmitate,
- (S)-2-{6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazole-5-carboxamido}-2-phenylethyl isobutanoate,
- (S)-2-{6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazole-5-carboxamido}-2-phenylethyl pivalate,
- 10 (S)-2-{6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazole-5-carboxamido}-2-phenylethyl 3-methylbutanoate,
- (S)-2-{6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazole-5-carboxamido}-2-phenylethyl benzoate,
- 15 (S)-2-{6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazole-5-carboxamido}-2-phenylethyl ethyl carbonate,
- Sodium (S)-4-(2-{6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazole-5-carboxamido}-2-phenylethoxy)-4-oxobutanoate,
- (S)-(2-{6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazole-5-carboxamido}-2-phenylethoxy)methyl pivalate,
- 20 (S)-2-acetoxy-1-phenylethyl 6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxylate,
- (S)-benzyl 2-{6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazole-5-carboxamido}-2-phenylacetate,
- 25 (S)-methyl 2-{6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazole-5-carboxamido}-2-phenylacetate,

N-(2,2-difluoro-3-hydroxy-1-phenylpropyl)-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,

N-(2-isopropoxy-1-phenylethyl)-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,

6,6-Dimethyl-N-(2-phenoxy-1-phenylethyl)-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,

(S)-N-[1-(2-chlorophenyl)-2-hydroxyethyl]-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,

(S)-N-[2-hydroxy-1-(o-tolyl)ethyl]-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,

(S)-N-(1-hydroxy-3-phenylpropan-2-yl)-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,

N-(2-hydroxy-3-methylbutyl)-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,

(R)-N-(1-hydroxy-3-phenylpropan-2-yl)-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,

(R)-N-(2-hydroxy-2-phenylethyl)-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,

(S)-N-(2-hydroxy-2-phenylethyl)-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,

2-Hydroxy-2-phenylethyl 6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxylate,

(R)-N-[6,6-dimethyl-5-(2-phenoxypropanoyl)-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazol-3-yl]-1-(trimethylsilyl)cyclobutanecarboxamide,

5 (S)-N-[6,6-dimethyl-5-(2-phenoxypropanoyl)-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazol-3-yl]-1-(trimethylsilyl)cyclobutanecarboxamide,

N-[6,6-dimethyl-5-(2-phenoxyacetyl)-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazol-3-yl]-1-(trimethylsilyl)cyclobutanecarboxamide,

(R)-N-[6,6-dimethyl-5-(2-phenoxypropanoyl)-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazol-3-yl]-1-(trimethylsilyl)cyclopropanecarboxamide,

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N-{5-[3-(benzyloxy)-2-phenoxypropanoyl]-6,6-dimethyl-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazol-3-yl}-1-(trimethylsilyl)cyclobutanecarboxamide,

N-[5-(3-hydroxy-2-phenoxypropanoyl)-6,6-dimethyl-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazol-3-yl]-1-(trimethylsilyl)cyclobutanecarboxamide,

15

N-{5-[2-(4-chlorophenoxy)propanoyl]-6,6-dimethyl-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazol-3-yl}-1-(trimethylsilyl)cyclobutanecarboxamide,

N-{5-[2-(2-chlorophenoxy)propanoyl]-6,6-dimethyl-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazol-3-yl}-1-(trimethylsilyl)cyclobutanecarboxamide,

N-{5-[2-(cyclohexyloxy)propanoyl]-6,6-dimethyl-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazol-3-yl}-1-(trimethylsilyl)cyclobutanecarboxamide,

20

N-{5-[2-(3-chlorophenoxy)propanoyl]-6,6-dimethyl-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazol-3-yl}-1-(trimethylsilyl)cyclobutanecarboxamide,

N-[5-(2-methoxypropanoyl)-6,6-dimethyl-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazol-3-yl]-1-(trimethylsilyl)cyclobutanecarboxamide,

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N-[5-(3-methoxy-2-phenoxypropanoyl)-6,6-dimethyl-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazol-3-yl]-1-(trimethylsilyl)cyclobutanecarboxamide,

N-{6,6-dimethyl-5-[2-(pyridin-3-yloxy)propanoyl]-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazol-3-yl}-1-(trimethylsilyl)cyclobutanecarboxamide,

N-{5-[3-(dimethylamino)-2-phenoxypropanoyl]-6,6-dimethyl-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazol-3-yl}-1-(trimethylsilyl)cyclobutanecarboxamide,

5 N-[6,6-dimethyl-5-(2-phenoxy-2-phenylacetyl)-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazol-3-yl]-1-(trimethylsilyl)cyclobutanecarboxamide,

N-{5-[3-(3,3-difluoropyrrolidin-1-yl)-2-phenoxypropanoyl]-6,6-dimethyl-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazol-3-yl}-1-(trimethylsilyl)cyclobutanecarboxamide,

10 N-[5-(3-hydroxy-2-phenylpropanoyl)-6,6-dimethyl-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazol-3-yl]-1-(trimethylsilyl)cyclobutanecarboxamide,

(S)-N-[5-(3-hydroxy-2-phenylpropanoyl)-6,6-dimethyl-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazol-3-yl]-1-(trimethylsilyl)cyclobutanecarboxamide,

(R)-N-{5-[3-(benzyloxy)-2-phenylpropanoyl]-6,6-dimethyl-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazol-3-yl}-1-(trimethylsilyl)cyclobutanecarboxamide,

15 (R)-N-[5-(3-hydroxy-2-phenylpropanoyl)-6,6-dimethyl-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazol-3-yl]-1-(trimethylsilyl)cyclobutanecarboxamide,

(R)-N-[5-(2-methoxy-2-phenylacetyl)-6,6-dimethyl-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazol-3-yl]-1-(trimethylsilyl)cyclobutanecarboxamide,

20 (S)-N-[5-(2-methoxy-2-phenylacetyl)-6,6-dimethyl-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazol-3-yl]-1-(trimethylsilyl)cyclobutanecarboxamide,

N-[5-(3-methoxy-2-phenylpropanoyl)-6,6-dimethyl-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazol-3-yl]-1-(trimethylsilyl)cyclobutanecarboxamide,

N-[5-(4-methoxy-2-phenylbutanoyl)-6,6-dimethyl-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazol-3-yl]-1-(trimethylsilyl)cyclobutanecarboxamide,

25 (S)-N-[5-(3-hydroxy-2-phenylpropanoyl)-6,6-dimethyl-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazol-3-yl]-1-(trimethylsilyl)cyclopropanecarboxamide,

(R)-N-[5-(2-methoxy-2-phenylacetyl)-6,6-dimethyl-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazol-3-yl]-1-(trimethylsilyl)cyclopropanecarboxamide,

(R)-N-{5-[2-(difluoromethoxy)-2-phenylacetyl]-6,6-dimethyl-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazol-3-yl}-1-(trimethylsilyl)cyclobutanecarboxamide,

5 (R)-N-[5-(2-ethoxy-2-phenylacetyl)-6,6-dimethyl-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazol-3-yl]-1-(trimethylsilyl)cyclobutanecarboxamide,

(R)-1-(ethyldimethylsilyl)-N-[5-(2-methoxy-2-phenylacetyl)-6,6-dimethyl-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazol-3-yl]cyclobutanecarboxamide,

10 (R)-N-[5-(2-cyclopropoxy-2-phenylacetyl)-6,6-dimethyl-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazol-3-yl]-1-(trimethylsilyl)cyclobutanecarboxamide,

(R)-N-[5-(2-isopropoxy-2-phenylacetyl)-6,6-dimethyl-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazol-3-yl]-1-(trimethylsilyl)cyclobutanecarboxamide,

(R)-N-{6,6-dimethyl-5-[2-phenyl-2-(trifluoromethoxy)acetyl]-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazol-3-yl}-1-(trimethylsilyl)cyclobutanecarboxamide,

15 (R)-N-[6,6-dimethyl-5-(2-phenyl-2-propoxyacetyl)-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazol-3-yl]-1-(trimethylsilyl)cyclobutanecarboxamide,

N-{5-[2-(4-fluorophenyl)-2-methoxyacetyl]-6,6-dimethyl-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazol-3-yl}-1-(trimethylsilyl)cyclobutanecarboxamide,

20 N-{5-[2-(3-fluorophenyl)-2-methoxyacetyl]-6,6-dimethyl-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazol-3-yl}-1-(trimethylsilyl)cyclobutanecarboxamide,

(R)-N-{5-[2-(2-fluorophenyl)-2-methoxyacetyl]-6,6-dimethyl-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazol-3-yl}-1-(trimethylsilyl)cyclobutanecarboxamide,

N-{5-[2-methoxy-2-(thiophen-2-yl)acetyl]-6,6-dimethyl-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazol-3-yl}-1-(trimethylsilyl)cyclobutanecarboxamide,

25 (-)-N-{5-[2-methoxy-2-(thiophen-2-yl)acetyl]-6,6-dimethyl-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazol-3-yl}-1-(trimethylsilyl)cyclobutanecarboxamide,

(+)-N-{5-[2-methoxy-2-(thiophen-2-yl)acetyl]-6,6-dimethyl-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazol-3-yl}-1-(trimethylsilyl)cyclobutanecarboxamide,

N-{[1-(hydroxymethyl)cyclobutyl](phenyl)methyl}-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,

(R)-N-[2-(1-hydroxycyclopropyl)-1-phenylethyl]-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,

(R)-N-(3-ethyl-3-hydroxy-1-phenylpentyl)-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,

(R)-N-[1-(4-fluorophenyl)-3-hydroxy-3-methylbutyl]-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,

(R)-N-[1-(3-fluorophenyl)-3-hydroxy-3-methylbutyl]-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,

(R)-N-[1-(2-fluorophenyl)-3-hydroxy-3-methylbutyl]-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,

(R)-N-(5-hydroxy-2,5-dimethylhexan-3-yl)-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,

N-[1-(4-fluorophenyl)-3-hydroxy-2,2-dimethylpropyl]-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,

- N-[1-(3-fluorophenyl)-3-hydroxy-2,2-dimethylpropyl]-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,
- 5 (-)-N-[1-(3-fluorophenyl)-3-hydroxy-2,2-dimethylpropyl]-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,
- (+)-N-[1-(3-fluorophenyl)-3-hydroxy-2,2-dimethylpropyl]-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,
- 10 N-[1-(2-fluorophenyl)-3-hydroxy-2,2-dimethylpropyl]-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,
- N-(1-hydroxy-2,2,4-trimethylpentan-3-yl)-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,
- 15 (R)-N-(3-hydroxy-3-methyl-1-phenylbutyl)-6,6-dimethyl-3-[1-(trimethylsilyl)cyclopropanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,
- N-(3-hydroxy-2,2-dimethyl-1-phenylpropyl)-6,6-dimethyl-3-[1-(trimethylsilyl)cyclopropanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,
- 20 (-)-N-(3-hydroxy-2,2-dimethyl-1-phenylpropyl)-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,

(+)-N-(3-hydroxy-2,2-dimethyl-1-phenylpropyl)-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide,

(R)-N-[5-(2-butoxy-2-phenylacetyl)-6,6-dimethyl-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazol-3-yl]-1-(trimethylsilyl)cyclobutanecarboxamide, and

N-(3-methoxy-2,2-dimethyl-1-phenylpropyl)-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide.

14. (R)-N-[1-(4-fluorophenyl)-3-hydroxy-3-methylbutyl]-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide or a pharmacologically acceptable salt thereof.

15. (-)-N-(3-hydroxy-2,2-dimethyl-1-phenylpropyl)-6,6-dimethyl-3-[1-(trimethylsilyl)cyclopropane-1-carboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide or a pharmacologically acceptable salt thereof.

16. (R)-N-[5-(2-methoxy-2-phenylacetyl)-6,6-dimethyl-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazol-3-yl]-1-(trimethylsilyl)cyclobutanecarboxamide or a pharmacologically acceptable salt thereof.

17. (R)-N-[6,6-dimethyl-5-(2-phenyl-2-propoxyacetyl)-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazol-3-yl]-1-(trimethylsilyl)cyclobutanecarboxamide or a pharmacologically acceptable salt thereof.

18. (R)-N-{5-[2-(2-fluorophenyl)-2-methoxyacetyl]-6,6-dimethyl-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazol-3-yl}-1-(trimethylsilyl)cyclobutanecarboxamide or a pharmacologically acceptable salt thereof.

5 19. (R)-N-[5-(2-ethoxy-2-phenylacetyl)-6,6-dimethyl-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazol-3-yl]-1-(trimethylsilyl)cyclobutanecarboxamide or a pharmacologically acceptable salt thereof.

10 20. (R)-N-[5-(2-cyclopropoxy-2-phenylacetyl)-6,6-dimethyl-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazol-3-yl]-1-(trimethylsilyl)cyclobutanecarboxamide or a pharmacologically acceptable salt thereof.

15 21. (R)-N-[5-(2-isopropoxy-2-phenylacetyl)-6,6-dimethyl-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazol-3-yl]-1-(trimethylsilyl)cyclobutanecarboxamide or a pharmacologically acceptable salt thereof.

20 22. (+)-N-{5-[2-methoxy-2-(thiophen-2-yl)acetyl]-6,6-dimethyl-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazol-3-yl}-1-(trimethylsilyl)cyclobutanecarboxamide or a pharmacologically acceptable salt thereof.

23. (-)-N-[1-(3-fluorophenyl)-3-hydroxy-2,2-dimethylpropyl]-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide or a pharmacologically acceptable salt thereof.

24. N-{5-[2-(3-fluorophenyl)-2-methoxyacetyl]-6,6-dimethyl-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazol-3-yl}-1-(trimethylsilyl)cyclobutanecarboxamide or a pharmacologically acceptable salt thereof.

5 25. N-[1-(4-fluorophenyl)-3-hydroxy-2,2-dimethylpropyl]-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide or a pharmacologically acceptable salt thereof.

10 26. (R)-N-[1-(3-fluorophenyl)-3-hydroxy-3-methylbutyl]-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide or a pharmacologically acceptable salt thereof.

15 27. (R)-N-{6,6-dimethyl-5-[2-phenyl-2-(trifluoromethoxy)acetyl]-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazol-3-yl}-1-(trimethylsilyl)cyclobutanecarboxamide or a pharmacologically acceptable salt thereof.

20 28. (R)-N-[2-(1-hydroxycyclopropyl)-1-phenylethyl]-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide or a pharmacologically acceptable salt thereof.

29. (R)-N-(3-hydroxy-3-methyl-1-phenylbutyl)-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide or a pharmacologically acceptable salt thereof.

30. (R)-N-[5-(2-methoxy-2-phenylacetyl)-6,6-dimethyl-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazol-3-yl]-1-(trimethylsilyl)cyclopropanecarboxamide or a pharmacologically acceptable salt thereof.

5 31. (R)-N-{5-[2-(difluoromethoxy)-2-phenylacetyl]-6,6-dimethyl-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazol-3-yl}-1-(trimethylsilyl)cyclobutanecarboxamide or a pharmacologically acceptable salt thereof.

10 32. (R)-1-(ethyldimethylsilyl)-N-[5-(2-methoxy-2-phenylacetyl)-6,6-dimethyl-1,4,5,6-tetrahydropyrrolo[3,4-c]pyrazol-3-yl]cyclobutanecarboxamide or a pharmacologically acceptable salt thereof.

15 33. N-{[1-(hydroxymethyl)cyclobutyl](phenyl)methyl}-6,6-dimethyl-3-[1-(trimethylsilyl)cyclobutanecarboxamido]-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide or a pharmacologically acceptable salt thereof.

34. Use of the compound according to any one of claims 1 to 33 to inhibit CDK7.

35. Use of the compound according to any one of claims 1 to 33 to treat cancer.

20 36. Use of the compound according to any one of claims 1 to 33 to treat an inflammatory disease.

25 37. Use of the compound according to any one of claims 1 to 33 to treat an autoimmune disease.

38. Use of the compound according to any one of claims 1 to 33 to treat rheumatoid arthritis.

39. Use of the compound according to any one of claims 1 to 33 to treat psoriasis.

5

40. A pharmaceutical composition comprising the compound or a pharmacologically acceptable salt thereof according to any one of claims 1 to 33 and a pharmacologically acceptable excipient.

10

41. Use of the pharmaceutical composition according to claim 40, inhibit CDK7.

42. Use of the pharmaceutical composition according to claim 40 to treat or prevent a cancer or an inflammatory disease.

15

43. Use of the pharmaceutical composition according to claim 40 to treat a cancer or an inflammatory disease.

44. Use of the pharmaceutical composition according to claim 40 to treat an autoimmune disease.

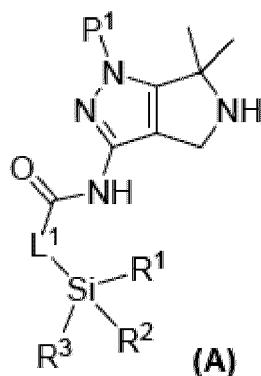
20

45. Use of pharmaceutical composition according to claim 44, wherein the autoimmune disease is rheumatoid arthritis.

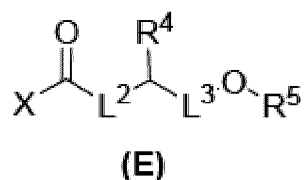
25

46. Use of pharmaceutical composition according to claim 44, wherein the autoimmune disease is psoriasis.

47. A method for producing a compound or pharmacologically acceptable salt thereof according to any one of claims 1 to 7, the method comprising reacting a compound represented by the formula (A):

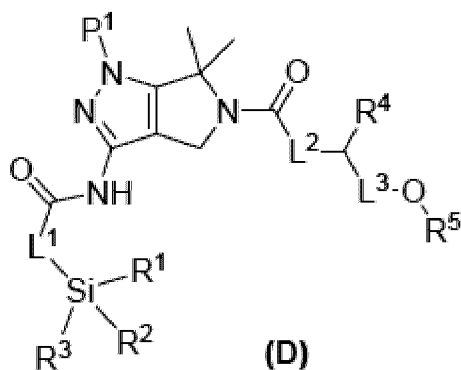


5 wherein P¹ is a protecting group for an amino group, with a compound represented by the formula (E):



wherein X is a leaving group;

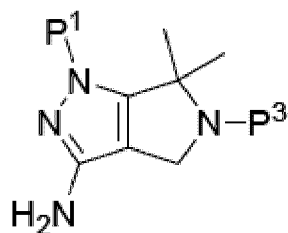
to obtain a compound represented by the formula (D):



10 ; and

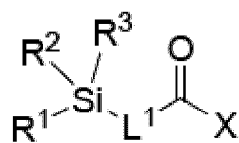
removing the protecting group P¹ from the compound represented by the formula (D) to obtain a compound represented by the formula (I).

48. The method according to claim 47, further comprising
5 reacting a compound represented by the formula (A1):



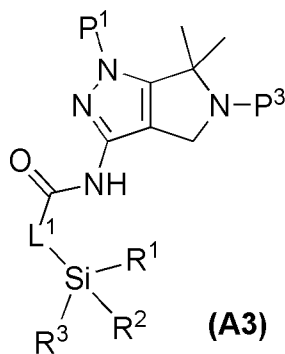
(A1)

wherein P¹ and P³ are each independently a protecting group for an amino group;
with a compound represented by the formula (A2):



(A2)

10 wherein X is a leaving group;
to obtain a compound represented by the formula (A3):

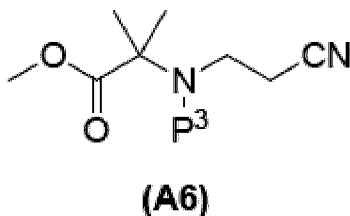


(A3)

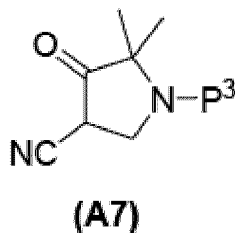
; and

removing a protecting group P³ from the compound represented by the formula (A3) to obtain the compound represented by the formula (A).

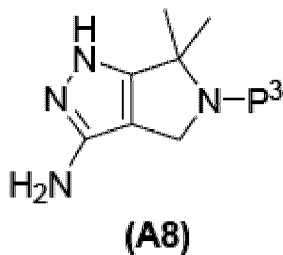
49. The method according to claim 48, further comprising
 reacting methyl 2-amino-2-methylpropanoate with acrylonitrile to obtain methyl 2-
 [(2-cyanoethyl)amino]-2-methylpropanoate;
 protecting methyl 2-[(2-cyanoethyl)amino]-2-methylpropanoate with a protecting
 group P^3 to obtain a compound represented by the formula (A6):



cyclizing the compound represented by the formula (A6) to obtain a compound
 represented by the formula (A7):

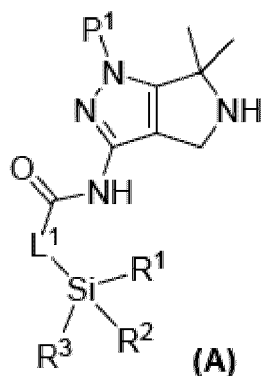


reacting the compound represented by the formula (A7) with hydrazine to obtain a
 compound represented by the formula (A8):

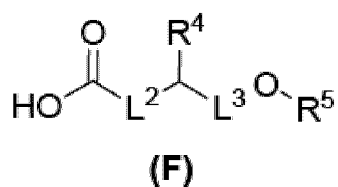


protecting the compound represented by the formula (A8) with a protecting group P^1
 to obtain a compound represented by the formula (A1).

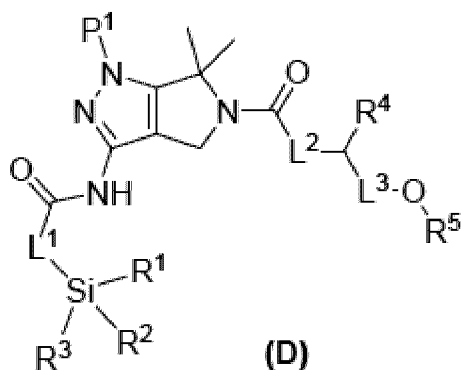
50. A method for producing a compound or pharmacologically acceptable salt thereof according to any one of claims 1 to 7, the method comprising reacting a compound represented by the formula (A):



5 wherein P¹ is a protecting group for an amino group, with a compound represented by the formula (F):



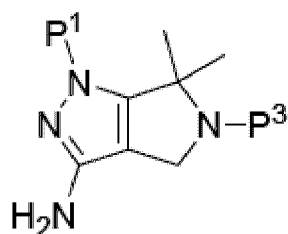
to obtain a compound represented by the formula (D):



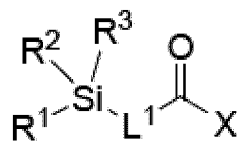
; and

10 removing the protecting group P¹ from the compound represented by the formula (D) to obtain a compound represented by the formula (I).

51. The method according to claim 50, further comprising
reacting a compound represented by the formula (A1):

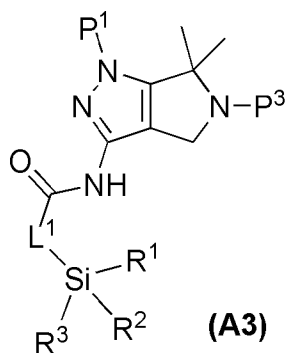
**(A1)**

wherein P¹ and P³ are each independently a protecting group for an amino group;
with a compound represented by the formula (A2):

**(A2)**

wherein X is a leaving group;

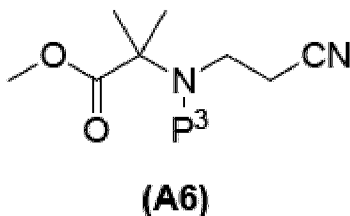
to obtain a compound represented by the formula (A3):

**(A3)**

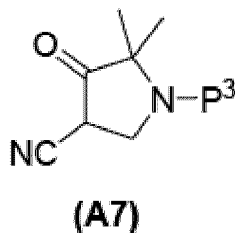
; and

removing a protecting group P³ from the compound represented by the formula (A3)
to obtain the compound represented by the formula (A).

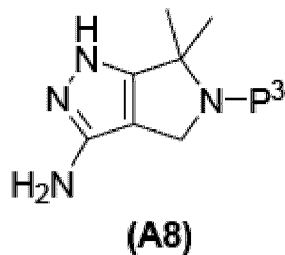
52. The method according to claim 51, further comprising
 reacting methyl 2-amino-2-methylpropanoate with acrylonitrile to obtain methyl 2-
 [(2-cyanoethyl)amino]-2-methylpropanoate;
 protecting methyl 2-[(2-cyanoethyl)amino]-2-methylpropanoate with a protecting
 group P^3 to obtain a compound represented by the formula (A6):



cyclizing the compound represented by the formula (A6) to obtain a compound
 represented by the formula (A7)

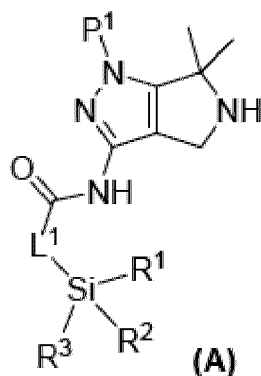


reacting the compound represented by the formula (A7) with hydrazine to obtain a
 compound represented by the formula (A8):

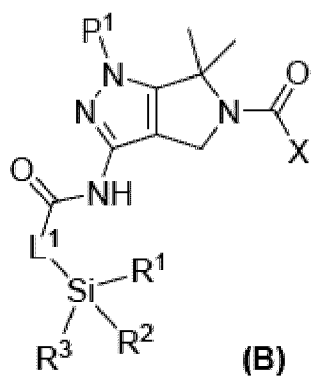


protecting the compound represented by the formula (A8) with a protecting group P^1
 to obtain a compound represented by the formula (A1).

53. A method for producing a compound or pharmacologically acceptable salt thereof according to any one of claims 1 to 7, the method comprising reacting a compound represented by the formula (A):

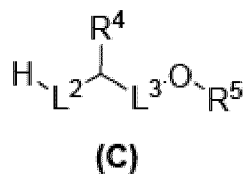


5 wherein P¹ is a protecting group for an amino group, with an acylating agent to obtain a compound represented by the formula (B):

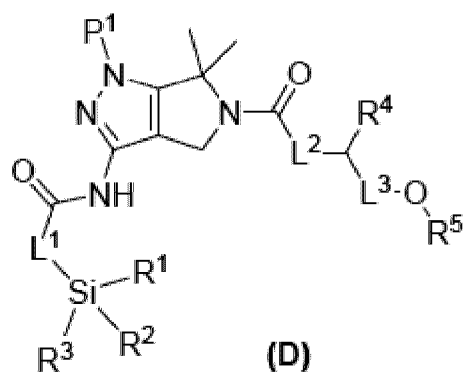


wherein X is a leaving group;

reacting the compound represented by the formula (B) with a compound represented by the formula (C):



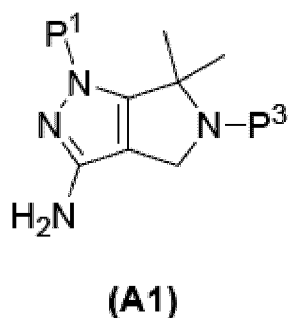
to obtain a compound represented by the formula (D):



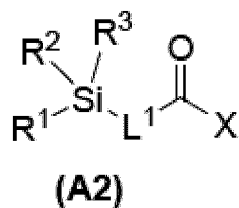
; and

removing the protecting group P¹ from the compound represented by the formula (D) to obtain a compound represented by the formula (I).

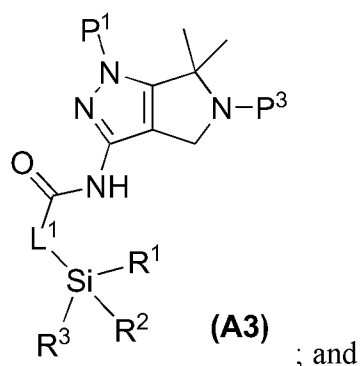
- 5 54. The method according to claim 53, further comprising
reacting a compound represented by the formula (A1):



wherein P¹ and P³ are each independently a protecting group for an amino group;
with a compound represented by the formula (A2):

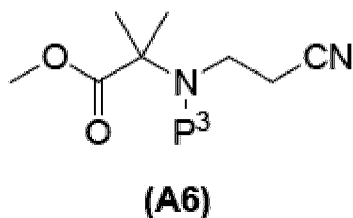


wherein X is a leaving group;
to obtain a compound represented by the formula (A3):



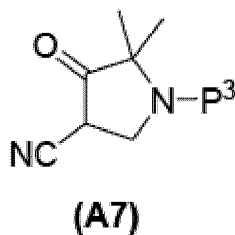
removing a protecting group P^3 from the compound represented by the formula (A3) to obtain the compound represented by the formula (A).

- 5 55. The method according to claim 54, further comprising
 reacting methyl 2-amino-2-methylpropanoate with acrylonitrile to obtain methyl 2-
 [(2-cyanoethyl)amino]-2-methylpropanoate;
 protecting methyl 2-[(2-cyanoethyl)amino]-2-methylpropanoate with a protecting
 group P^3 to obtain a compound represented by the formula (A6):

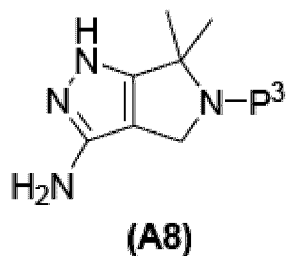


wherein P^3 is defined as above;

cyclizing the compound represented by the formula (A6) to obtain a compound
 represented by the formula (A7)



reacting the compound represented by the formula (A7) with hydrazine to obtain a compound represented by the formula (A8):

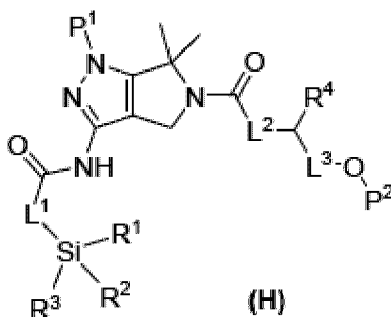


; and

protecting the compound represented by the formula (A8) with a protecting group P¹ to obtain a compound represented by the formula (A1).

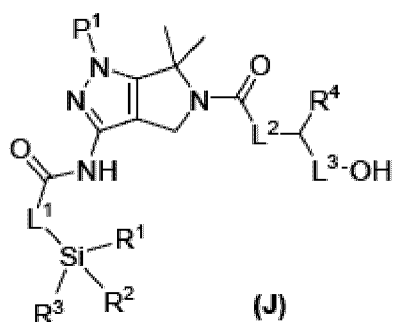
56. A method for producing the compound or pharmacologically acceptable salt thereof according to any one of claims 1 to 7, the method comprising

removing a protecting group P² from the compound represented by the formula (H):

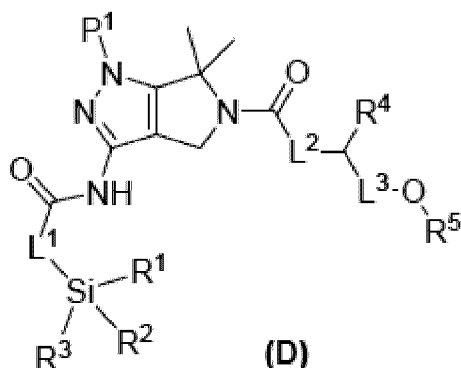


wherein P¹ is a protecting group for an amino group, and P² is a protecting group for a hydroxy group;

to obtain the compound represented by the formula (J):



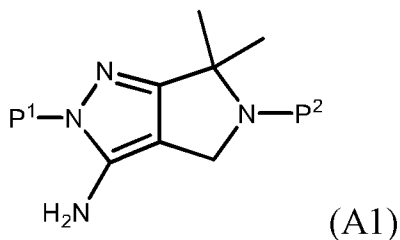
reacting the compound represented by the formula (J) with an agent selected from the group consisting of an acid halide, an acid anhydride and an alkylhalide to obtain a compound represented by the formula (D):



5 ; and

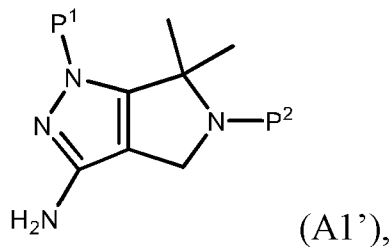
removing the protecting group P¹ from the compound represented by the formula (D) to obtain a compound represented by the formula (I).

57. A compound represented by the formula (A1):



wherein P¹ and P² are each independently a protective group for amino group.

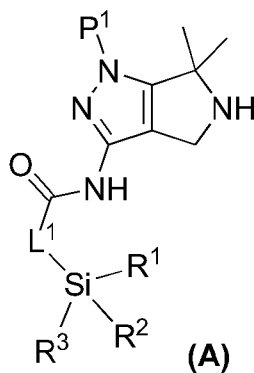
58. A compound represented by Formula (A1'):



wherein P¹ and P² are each independently a protective group for amino group.

- 5 59. The compound according to claim 57 or 58, wherein P¹ and P² are each a benzyloxycarbonyl group.

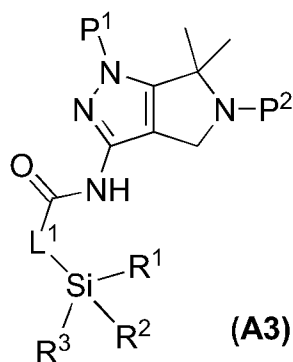
60. A compound represented by the formula (A):



- 10 wherein L¹ is a linear or branched C₁₋₆ alkylene group or a C₃₋₆ cycloalkylene group;
R¹, R², and R³ are each independently a linear or branched C₁₋₄ alkyl group; and
P¹ is a protective group for an amino group.

61. The compound according to claim or 60, wherein P¹ is a benzyloxycarbonyl group.

62. A compound represented by the formula (A3):



wherein L^1 is a linear or branched C_{1-6} alkylene group or a C_{3-6} cycloalkylene group;

R^1 , R^2 , and R^3 are each independently a linear or branched C_{1-4} alkyl group; and

P^1 and P^2 are each independently a protective group for an amino group.

63. The compound according to claim 62, wherein P^1 and P^2 are each a benzyloxycarbonyl group.

