

alkoxycarbonyl groups, 3 to 11-membered non-aromatic heterocyclyl groups, mono-C₁₋₆ alkylamino groups, di-C₁₋₆ alkylamino groups, mono-C₁₋₆ alkylaminocarbonyl groups, di-C₁₋₆ alkylaminocarbonyl groups, C₁₋₆ alkylcarbonylamino groups, phenyl groups and 5 to 10-membered aromatic heterocyclyl groups (the phenyl groups and the 5 to 10-membered aromatic heterocyclyl groups are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{1a})), and

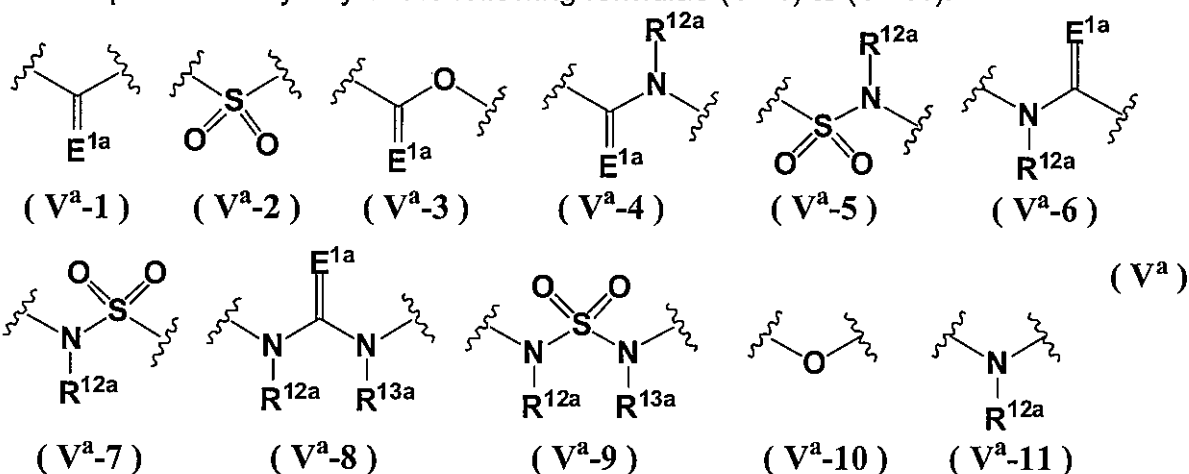
R^{2a} is a hydrogen atom, a C₁₋₆ alkyl group, a C₂₋₆ alkenyl group (the C₁₋₆ alkyl group and the C₂₋₆ alkenyl group are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{5a}), a C₃₋₁₁ cycloalkyl group, a 3 to 11-membered non-aromatic heterocyclyl group, a phenyl group, a naphthyl group or a 5 to 10-membered aromatic heterocyclyl group (the C₃₋₁₁ cycloalkyl group, the 3 to 11-membered non-aromatic heterocyclyl group, the phenyl group, the naphthyl group and the 5 to 10-membered aromatic heterocyclyl group are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{4a}), a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

(15) The compound according to any one of (2) to (6), wherein L^{1a} is a single bond or a C₁₋₃ alkylene group,

L^{2a} is a single bond or a C₁₋₃ alkylene group (the C₁₋₃ alkylene group is unsubstituted or substituted with a cyano group or a C₁₋₃ haloalkylene group),
the ring B^a is a C₃₋₁₁ cycloalkane, a C₃₋₁₁ cycloalkene, a 3 to 11-membered non-aromatic heterocycle, benzene or a 5 to 6-membered aromatic heterocycle,
n^a is 0 or 1

R^{3a} is a hydroxy group, an amino group, a carbamoyl group, a halogen atom, a cyano group, a C₁₋₃ alkyl group, a C₁₋₃ haloalkyl group, a C₃₋₆ cycloalkyl group, a C₁₋₃ alkoxy group, a C₁₋₃ haloalkoxy group or a C₁₋₃ alkylsulfonyl group,

L^{3a} is represented by any of the following formulae (V^a-1) to (V^a-11):

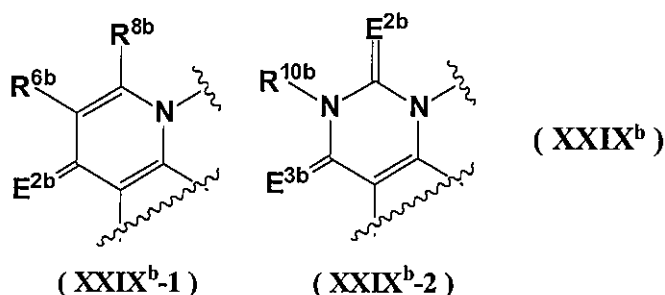


(wherein E^{1a} is an oxygen atom, each of R^{12a} and R^{13a} is independently a hydrogen atom, a C₁₋₆ alkyl group or a C₁₋₆ haloalkyl group), and

R^{2a} is a hydrogen atom, a C₁₋₆ alkyl group (the C₁₋₆ alkyl group is unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{5a}), a C₃₋₆ cycloalkyl group, a 4 to 7-membered non-aromatic heterocyclyl group, a phenyl group or a 5 to 6-membered aromatic heterocyclyl group (the C₃₋₆ cycloalkyl group, the 4 to 7-membered non-aromatic heterocyclyl group, the phenyl group and the 5 to 6-membered aromatic heterocyclyl group are unsubstituted or

of halogen atoms, C₁₋₃ alkyl groups and C₁₋₃ haloalkyl groups)), a C₁₋₆ haloalkyl group, a C₃₋₆ cycloalkyl group or a 4 to 7-membered non-aromatic heterocyclyl group), a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

(70) The compound according to any one of (41), (68) and (69), wherein the ring A^b is represented by the following formulae (XXIX^b-1) or (XXIX^b-2):



(wherein E^{2b} and E^{3b} are oxygen atoms, R^{6b} is a hydrogen atom, a halogen atom or a C₁₋₃ alkyl group, R^{8b} is a hydrogen atom, and R^{10b} is a hydrogen atom, a C₁₋₆ alkyl group (the C₁₋₆ alkyl group is unsubstituted or substituted with one or two identical or different substituents independently selected from the group consisting of cyano groups, hydroxy groups, C₁₋₃ alkoxy groups, C₁₋₃ alkylthio groups, di-C₁₋₃ alkylamino groups, C₃₋₆ cycloalkyl groups and 4 to 7-membered non-aromatic heterocyclyl groups), a C₁₋₆ haloalkyl group, a C₃₋₆ cycloalkyl group or a 4 to 7-membered non-aromatic heterocyclyl group, a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

(71) The compound according to any one of (41) and (68) to (70), wherein L^{1b} is a single bond,

L^{2b} is a single bond, a C₁₋₆ alkylene group, a C₂₋₆ alkenylene group or a C₁₋₆ haloalkylene group (the C₁₋₆ alkylene group, the C₂₋₆ alkenylene group and the C₁₋₆ haloalkylene group are unsubstituted or substituted with a hydroxy group or a cyano group),

the ring B^b is a C₃₋₁₁ cycloalkane or a 4 to 7-membered non-aromatic heterocycle, n^b is 0 or 1, and

R^{3b} is a hydroxy group, a C₁₋₃ alkyl group or a C₁₋₃ alkoxy group, a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

(72) The compound according to any one of (41) and (68) to (71), wherein L^{3b} is a single bond, and

R^{2b} is a hydrogen atom, a 4 to 7-membered non-aromatic heterocyclyl group, a phenyl group, a 5 to 10-membered aromatic heterocyclyl group or a 8 to 11-membered partially saturated aromatic cyclic group (the 4 to 7-membered non-aromatic heterocyclyl group, the phenyl group, the 5 to 10-membered aromatic heterocyclyl group and the 8 to 11-membered partially saturated aromatic cyclic group are unsubstituted or substituted with one or more identical or different substituents independently selected from the group consisting of hydroxy groups, amino groups, carbamoyl groups, sulfamoyl groups, halogen atoms, cyano groups, nitro groups, C₁₋₆ alkyl groups (the C₁₋₆ alkyl groups are unsubstituted or substituted with a cyano group), C₁₋₆ haloalkyl groups, C₃₋₁₁ cycloalkyl groups, C₁₋₆ alkoxy groups, C₁₋₆ haloalkoxy groups, C₁₋₆ alkylthio groups, C₁₋₆ haloalkylthio groups, C₁₋₆ alkylsulfonyl groups, C₁₋₆ haloalkylsulfonyl groups, C₁₋₆ alkoxycarbonyl groups, 4 to 7-membered non-aromatic heterocyclyl groups, mono-C₁₋₆ alkylamino groups, di-C₁₋₆ alkylamino groups, phenyl groups, 5 to 6-membered aromatic heterocyclyl groups, mono-C₁₋₆ alkylaminosulfonyl groups and di-C₁₋₆ alkylaminosulfonyl

atoms and may, for example, be a methyl group, an ethyl group, a n-propyl group, an isopropyl group, a n-butyl group, an isobutyl group, a t-butyl group, a n-pentyl group, n-hexyl group or the like.

5 A "C₁₋₃ haloalkyl group" is a group derived from the above-mentioned C₁₋₃ alkyl group by replacing one or more hydrogen atom(s) at arbitrary position(s) by one or more identical or different halogen atoms selected from the group consisting of fluorine atoms, chlorine atoms, bromine atoms and iodine atoms.

10 A "C₁₋₆ haloalkyl group" is a group derived from the above-mentioned C₁₋₆ alkyl group by replacing one or more hydrogen atom(s) at arbitrary position(s) by one or more identical or different halogen atoms selected from the group consisting of fluorine atoms, chlorine atoms, bromine atoms and iodine atoms.

15 A "C₃₋₁₁ cycloalkane" is a monocyclic, fused, bridged or spiro aliphatic hydrocarbon ring having 3 to 11 ring-constituting carbon atoms and may, for example, be cyclopropane, cyclobutane, cyclopentane, cyclohexane, cycloheptane, cyclooctane, adamantane, bicyclo[3.1.0]octane, bicyclo[2.2.1]heptane, spiro[5.5]undecane or the like.

A "C₃₋₁₁ cycloalkyl group" is a monovalent group derived from the above-mentioned "C₃₋₁₁ cycloalkane" by removing a hydrogen atom at an arbitrary position.

20 A "C₃₋₆ cycloalkane" is a ring having 3 to 6 ring-constituting carbon atoms among the above-mentioned "C₃₋₁₁ cycloalkane" and may, for example, be cyclopropane, cyclobutane, cyclopentane, cyclohexane or the like.

A "C₃₋₆ cycloalkyl group" is a group having 3 to 6 ring-constituting carbon atoms among the above-mentioned "C₃₋₁₁ cycloalkyl group", and may, for example, be a cyclopropyl group, a cyclobutyl group, a cyclopentyl group, a cyclohexyl group or the like.

25 A "C₄₋₇ cycloalkane" is a ring having 4 to 7 ring-constituting carbon atoms among the above-mentioned "C₃₋₁₁ cycloalkane" and may, for example, be cyclobutane, cyclopentane, cyclohexane, cycloheptane or the like.

30 A "C₃₋₁₁ cycloalkene" is a non-aromatic ring derived from replacing one or more bonds in the above-mentioned "C₃₋₁₁ cycloalkane" are replaced by double bond(s) and may, for example, be cyclopropene, cyclobutene, cyclopentene, cyclohexene, cyclohexa-1,3-diene, cyclohexa-1,4-diene, bicyclo[2.2.1]hepta-2,5-diene, spiro[2.5]oct-4-ene, 1,2,5,6-tetrahydronaphthalene or the like.

35 A "C₂₋₆ alkenyl group" is a linear or branched alkenyl group having at least one double bond and 2 to 6 carbon atoms and may, for example be an ethenyl(vinyl) group, a 1-propenyl group, a 2-propenyl(allyl) group, an isopropenyl group, a 1-butenyl group, a 2-butenyl group, a 3-butenyl(homoallyl) group, a 4-pentenyl group, a 5-hexenyl group or the like.

A "C₂₋₃ alkenyl group" is an ethenyl(vinyl) group, a 1-propenyl group, a 2-propenyl(allyl) group or an isopropenyl group.

40 A "C₂₋₆ haloalkenyl group" is a group derived from the above-mentioned "C₂₋₆ alkenyl group" by replacing one or more hydrogen atom(s) at arbitrary position(s) by one or more identical or different halogen atoms selected from the group consisting of fluorine atoms, chlorine atoms, bromine atoms and iodine atoms.

45 A "C₂₋₆ alkynyl group" is a linear or branched alkynyl group having at least one triple bond and 2 to 6 carbon atoms and may, for example be an ethynyl group, a 1-propynyl group, a 3-propynyl group, a 1-butylnyl group, a 2-butylnyl group, a 3-butylnyl group, a 4-pentylnyl group, a 5-hexynyl group, a 1,5-hexandiynyl group or the like.

A "C₁₋₆ alkoxy group" is a linear or branched alkoxy group having 1 to 6 carbon

at any position without particular restriction.

A "5 to 10-membered aromatic heterocycle" is a monocyclic or fused aromatic heterocyclyl group having 5 to 10 ring-constituting atoms including 1 to 5 hetero atoms (such as nitrogen atoms, oxygen atoms and sulfur atoms) and may, for example, be
 5 furan, thiophene, pyrrole, imidazole, triazole, tetrazole, thiazole, pyrazole, oxazole, isoxazole, isothiazole, thiadiazole, oxadiazole, pyridine, pyrazine, pyridazine, pyrimidine, triazine, purine, pteridine, quinoline, isoquinoline, naphthylidine, quinoxaline, cinnoline, quinazoline, phthalazine, imidazopyridine, imidazothiazole, imidazooxazole,
 10 benzothiazole, benzoxazole, benzimidazole, indole, isoindole, indazole, pyrrolopyridine, thienopyridine, furopyridine, benzothiadiazole, benzoxadiazole, pyridopyrimidine, benzofuran, benzothiophene, thienofuran or the like.

In the case of a "5 to 10-membered aromatic heterocycle" having a C=N double bond, it may be in the form of an N-oxide.

A "5 to 10-membered aromatic heterocyclyl group" is a monovalent group derived
 15 from the above-mentioned "5 to 10-membered aromatic heterocycle" by removing a hydrogen atom at an arbitrary position and may have the free valence at any position without particular restrictions.

A "5 to 6-membered aromatic heterocycle" is a monocyclic group having 5 to 6 ring-constituting atoms among the above-mentioned "5 to 10-membered aromatic
 20 heterocycles" and may, for example, be pyrrole, pyrazole, imidazole, triazole, tetrazole, pyridine, pyridazine, pyrimidine, pyrazine, triazine, furan, thiophene, thiazole, isothiazole, oxazole, isoxazole, oxadiazole, thiadiazole or the like.

A "5 to 6-membered aromatic heterocyclyl group" is a monovalent group derived
 25 from the above-mentioned "5 to 6-membered aromatic heterocycle" by removing a hydrogen atom at an arbitrary position and may have the free valence at any position without particular restrictions.

A "3 to 14-membered non-aromatic heterocycle" is a non-aromatic heterocycle:
 1) which has 3 to 14 ring-constituting atoms,
 2) the ring-constituting atoms of which contains 1 to 7 hetero atoms selected from
 30 nitrogen atoms, oxygen atoms or sulfur atoms,
 3) which may have one or more carbonyl groups, one or more double or triple bonds in the ring system,
 4) which may contain one or more sulfur atoms in the form of sulfinyl or sulfonyl groups as ring-constituting atoms, and
 35 5) which may be a monocyclic ring, a fused ring (in the fused ring, a non-aromatic ring may be fused to non-aromatic ring(s) or to aromatic-ring(s)), a bridged ring or a spiro ring. It may, for example, be azetidine, pyrrolidine, piperidine, azepane, azocane, tetrahydrofuran, tetrahydropyran, morpholine, thiomorpholine, piperazine, thiazolidine, 1,4-dioxane, imidazoline, thiazoline, benzopyran, isochroman, chroman, indoline, isoindoline, azaindane, tetrahydroazanaphthalene, azachroman, tetrahydrobenzofuran,
 40 tetrahydrobenzothiophene, 2,3,4,5-tetrahydro-benzo[b]thiophene, 3,4-dihydro-2H-benzo[b][1,4]dioxepan-2-one, 6,7-dihydro-5H-cyclopenta[b]pyrazine, 5,6-dihydro-4H-cyclopenta[b]thiophene, 4,5,6,7-tetrahydrobenz[b]thiophene, 2,3-dihydroisoindol-1-one, 3,4-dihydro-2H-isoquinolin-1-one, 3,4-dihydro-2H-benzo[b]oxepin-5-one,
 45 2,3,4,4a,9,9a-hexahydro-1H-carbazole, 1'H-spiro[cyclopropane-1,2-quinoxalin]-3'(4'H)-one, 10H-phenoxazine, [1,3]dioxolo[4,5-f]quinoline or the like.

A "3 to 14-membered non-aromatic heterocyclyl group" is a monovalent group derived from the above-mentioned "3 to 14-membered non-aromatic heterocycle" by

removing a hydrogen atom at an arbitrary position. It may have the free valence at any position without particular restrictions, but in the case of an fused ring system consisting of a non-aromatic ring fused to an aromatic ring, it has the free valence in the non-aromatic ring.

A "3 to 11-membered non-aromatic heterocycle" is non-aromatic heterocycle:

- 1) which has 3 to 11 ring-constituting atoms
- 2) the ring-constituting atoms of which contains 1 to 5 hetero atoms selected from nitrogen atoms, oxygen atoms or sulfur atoms,
- 3) which may have one or more carbonyl groups, one or more double or triple bonds in the ring system,
- 4) which may contain one or more sulfur atoms in the form of sulfinyl or sulfonyl groups as ring-constituting atoms, and
- 5) which may be a monocyclic ring, a fused ring (in the fused ring, a non-aromatic ring may be fused to non-aromatic ring(s) or to aromatic-ring(s)), a bridged ring or a spiro ring. It may, for example, be azetidine, pyrrolidine, piperidine, azepane, azocane, tetrahydrofuran, tetrahydropyran, morpholine, thiomorpholine, piperazine, thiazolidine, 1,4-dioxane, imidazoline, thiazoline, benzopyran, isochroman, chroman, indoline, isoindoline, azaindane, tetrahydroazanaphthalene, azachroman, tetrahydrobenzofuran, tetrahydrobenzothiophene, 2,3,4,5-tetrahydro-benzo[b]thiophene, 3,4-dihydro-2H-benzo[b][1,4]dioxepine, 6,7-dihydro-5H-cyclopenta[b]pyrazine, 5,6-dihydro-4H-cyclopenta[b]thiophene, 4,5,6,7-tetrahydrobenzo[b]thiophene, 2,3-dihydroisoindol-1-one, 3,4-dihydro-2H-isoquinolin-1-one, 3,4-dihydro-2H-benzo[b]oxepin-5-one or the like.

A 3 to 11-membered non-aromatic heterocyclyl group" is a monovalent group derived from the above-mentioned "3 to 11-membered non-aromatic heterocycle" by removing a hydrogen atom at an arbitrary position. It may have the free valence at any position without particular restrictions, but in the case of an fused ring system consisting of a non-aromatic ring fused to an aromatic ring, it has the free valence in the non-aromatic ring.

A "4 to 7-membered non-aromatic heterocycle" is a monocyclic non-aromatic heterocycle:

- 1) which has 4 to 7 ring-constituting atoms
- 2) the ring-constituting atoms of which contains 1 to 3 hetero atoms selected from nitrogen atoms, oxygen atoms and sulfur atoms,
- 3) which may have one or more carbonyl groups, one or more double or triple bonds in the ring system, and
- 4) which may contain one or more sulfur atoms in the form of sulfinyl or sulfonyl groups as ring-constituting atoms. It may, for example, be azetidine, pyrrolidine, pyrrolidinone, oxazolidine, isoxazolidine, thiazolidine, isothiazolidine, piperazine, piperazinone, piperidine, piperidinone, morpholine, thiomorpholine, azepine, diazepine, oxetane, tetrahydrofuran, 1,3-dioxane, tetrahydropyran, 1,4-dioxane, oxepane, homomorpholine or the like.

A "4 to 7-membered non-aromatic heterocyclyl group" is a monovalent group derived from the above-mentioned "4 to 7-membered non-aromatic heterocycle" by removing a hydrogen atom at an arbitrary position and may have the free valence at any position without particular restrictions.

A "C₁₋₆ alkylthio group" is a group consisting of the above-mentioned "C₁₋₆ alkyl group" attached to a sulfur atom and may, for example, be a methylthio group, an ethylthio group, a n-propylthio group, an isopropylthio group, a n-butylthio group, an

3-yl group, a 1,2,3,4-tetrahydronaphthalen-1-yl group, a 1,2,3,4-tetrahydronaphthalen-2-yl group, a 1,2,3,4-tetrahydronaphthalen-3-yl group, a 1,2,3,4-tetrahydronaphthalen-4-yl group, a 4-oxo-1,2,3,4-tetrahydronaphthalen-1-yl group, a 9H-fluoren-9-yl group or the like.

A "8 to 14-membered partially saturated aromatic cyclic group" is a group derived from 1) a bicyclic or tricyclic ring having 8 to 14 ring-constituting atoms and consisting of a non-aromatic ring fused to aromatic rings among the above-mentioned "3 to 14-membered non-aromatic heterocycle" or 2) the above-mentioned "8 to 14-membered aromatic ring-condensed alicyclic hydrocarbon" by removing a hydrogen atom at an arbitrary position. It may have the free valence at any position in the aromatic ring without particular restrictions.

It may, for example, be a 1H-inden-4-yl group, a 1H-inden-5-yl group, a 1H-inden-6-yl group, a 1H-inden-7-yl group, a 5,6,7,8-tetrahydronaphthalen-1-yl group, a 5,6,7,8-tetrahydronaphthalen-2-yl group, a 5,6,7,8-tetrahydronaphthalen-3-yl group, a 5,6,7,8-tetrahydronaphthalen-4-yl group, a 9H-fluorene-2-yl group, an indolin-4-yl group, an indolin-5-yl group, an indolin-6-yl group, an indolin-7-yl group, a chroman-5-yl group, a chroman-6-yl group, a chroman-7-yl group, a chroman-8-yl group, a 4,5,6,7-tetrahydrobenzo[b]thiophen-3-yl group, a 2,3,4,4a,9,9a-hexahydro-1H-carbazol-5-yl group or the like.

A "8 to 11-membered aromatic ring-condensed alicyclic hydrocarbon" is a fused ring system:

- 1) which has 8 to 11 ring-constituting atoms,
- 2) all the ring-constituting atoms of which are carbon atoms,
- 3) which may have one or more carbonyl groups, one or more double or triple bonds in the ring system, and
- 4) which consists of an alicyclic hydrocarbon fused to a benzene ring, and it may, for example, be 1H-indene, 2,3-dihydroindene, 1H-inden-1-one, 1,2-dihydronaphthalene, 1,2,3,4-tetrahydronaphthalene, 3,4-dihydronaphthalen-1(2H)-one or the like.

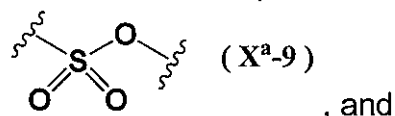
A "8 to 11-membered aromatic ring-condensed alicyclic hydrocarbon group" is a group derived from the above-mentioned "8 to 11-membered aromatic ring-condensed alicyclic hydrocarbon" by removing a hydrogen atom at an arbitrary position. and may have the free valence at any position in the alicyclic carbocycle without particular restrictions.

It may, for example, be a 1H-inden-4-yl group, a 1H-inden-5-yl group, a 1H-inden-6-yl group, a 1H-inden-7-yl group, a 5,6,7,8-tetrahydronaphthalen-1-yl group, a 5,6,7,8-tetrahydronaphthalen-2-yl group, a 5,6,7,8-tetrahydronaphthalen-3-yl group, a 5,6,7,8-tetrahydronaphthalen-4-yl group or the like.

A "8 to 11-membered partially saturated aromatic cyclic group" is a group derived from 1) a partially saturated aromatic ring having 8 to 11 ring-constituting atoms and consisting of an aromatic ring fused to a non-aromatic ring among the above-mentioned "3 to 11 membered non-aromatic heterocycle" or 2) the above-mentioned "8 to 11-membered aromatic ring-condensed alicyclic hydrocarbon-group" by removing a hydrogen atom at an arbitrary position. and may have the free valence at any position in the aromatic ring without particular restrictions.

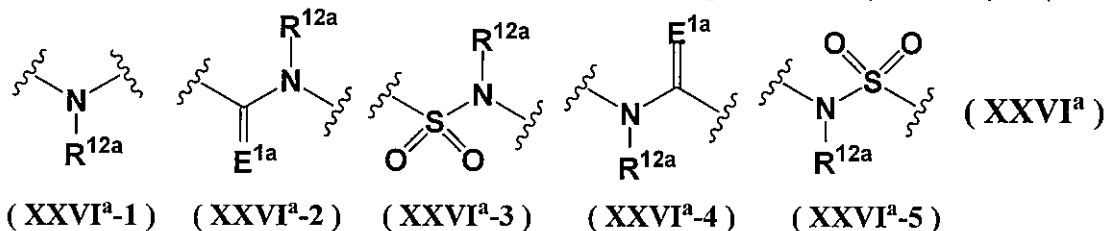
It may, for example, be a 1H-inden-4-yl group, a 1H-inden-5-yl group, a 1H-inden-6-yl group, a 1H-inden-7-yl group, a 5,6,7,8-tetrahydronaphthalen-1-yl group, a 5,6,7,8-tetrahydronaphthalen-2-yl group, a 5,6,7,8-tetrahydronaphthalen-3-yl group, a 5,6,7,8-tetrahydronaphthalen-4-yl group, an indolin-4-yl group, an indolin-5-yl group, an indolin-

Another preferred embodiment of the substituent L^{3a} and the substituent R^{2a} is such that L^{3a} is represented by the formula (X^a-9):



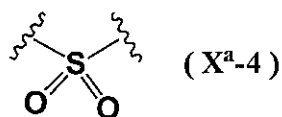
R^{2a} is a hydrogen atom.

5 Another preferred embodiment of the substituent L^{3a} and the substituent R^{2a} is such that L^{3a} is represented by any of the following formulae ($XXVI^a-1$) to ($XXVI^a-5$):



(wherein E^{1a} is an oxygen atom or a sulfur atom, and R^{12a} is a C_{1-6} alkyl group or a C_{1-6} haloalkyl group (the C_{1-6} alkyl group and the C_{1-6} haloalkyl group is substituted with one or two identical or different substituents independently selected from the group consisting of hydroxy groups, amino groups, carboxy groups, carbamoyl groups, sulfamoyl groups, halogen atoms, cyano groups, nitro groups, C_{1-6} alkoxy groups, C_{1-6} haloalkoxy groups, C_{1-6} alkylthio groups, C_{1-6} haloalkylthio groups, C_{1-6} alkylcarbonyl groups, C_{1-6} haloalkylcarbonyl groups, C_{1-6} alkylsulfonyl groups, C_{1-6} haloalkylsulfonyl groups, C_{1-6} alkoxy carbonyl groups, mono- C_{1-6} alkylamino groups, di- C_{1-6} alkylamino groups, mono- C_{1-6} alkylaminocarbonyl groups, di- C_{1-6} alkylaminocarbonyl groups, C_{1-6} alkylcarbonylamino groups, C_{3-11} cycloalkyl groups, 3 to 11-membered non-aromatic heterocyclyl groups, C_{6-14} aryl groups and 5 to 10-membered aromatic heterocyclyl groups (the C_{3-11} cycloalkyl groups, the 3 to 11-membered non-aromatic heterocyclyl groups, the C_{6-14} aryl groups and the 5 to 10-membered aromatic heterocyclyl groups are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{1a})), a C_{3-11} cycloalkyl group, a 3 to 11-membered non-aromatic heterocyclyl group, a C_{6-14} aryl group or a 5 to 10-membered aromatic heterocyclyl group (the C_{3-11} cycloalkyl group, the 3 to 11-membered non-aromatic heterocyclyl group, the C_{6-14} aryl group and the 5 to 10-membered aromatic heterocyclyl group are unsubstituted and/or substituted with one or more identical or different substituents independently selected from the substituent set V^{1a})), and R^{2a} is a C_{1-6} alkyl group, a C_{2-6} alkenyl group, a C_{2-6} alkynyl group (the C_{1-6} alkyl group, the C_{2-6} alkenyl group and the C_{2-6} alkynyl group are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{6a} and the substituent set V^{9a}), a C_{3-6} cycloalkyl group, a 3 to 11-membered non-aromatic heterocyclyl group, a phenyl group, a naphthyl group or a 5 to 10-membered aromatic heterocyclyl group (the C_{3-6} cycloalkyl group, the 3 to 11-membered non-aromatic heterocyclyl group, the phenyl group, the naphthyl group and the 5 to 10-membered aromatic heterocyclyl group are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{4a} and the substituent set V^{9a})).

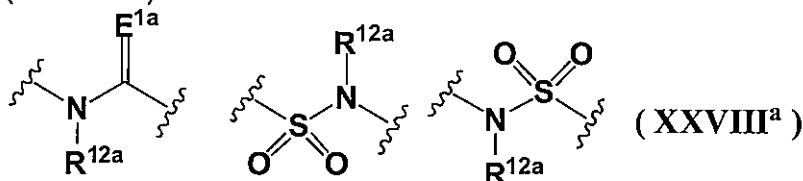
A more preferred embodiment of the substituent L^{3a} and the substituent R^{2a} is such that L^{3a} is a single bond, and R^{2a} is a hydrogen atom, a halogen atom, a C_{3-6} cycloalkyl group, a phenyl group or a 5 to 6-membered aromatic heterocyclyl group (the C_{3-6} cycloalkyl group, the phenyl group and the 5 to 6-membered aromatic heterocyclyl



, and

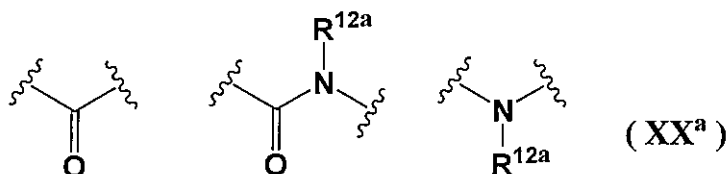
R^{2a} is a C₁₋₃ haloalkyl group.

Another further preferred embodiment of the substituent L^{3a} and the substituent R^{2a} is such that L^{3a} is represented by any of the following formulae (XXVIII^a-1) to (XXVIII^a-3):



(wherein E^{1a} is an oxygen atom, and R^{12a} is a hydrogen atom or a C₁₋₃ alkyl group), and R^{2a} is a C₁₋₆ alkyl group (the C₁₋₆ alkyl group is unsubstituted or substituted with a cyano group) or a C₁₋₆ haloalkyl group.

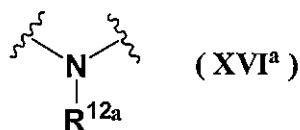
Another further preferred embodiment of the substituent L^{3a} and the substituent R^{2a} is such that L^{3a} is represented by any of the following formulae (XX^a-1) to (XX^a-3):



(wherein R^{12a} is a hydrogen atom or a C₁₋₃ alkyl group), and R^{2a} is a C₁₋₆ alkyl group or a C₁₋₆ haloalkyl group (the C₁₋₆ alkyl group and the C₁₋₆ haloalkyl group are substituted with a substituent selected from the group consisting of a mono-C₁₋₆ alkylaminocarbonyl group (the mono-C₁₋₆ alkylaminocarbonyl group is unsubstituted or substituted with one or more identical or different halogen atoms independently selected from the group consisting of fluorine atoms, chlorine atoms, bromine atoms and iodine atoms), a C₃₋₆ cycloalkyl group, a 4 to 7-membered non-aromatic heterocyclyl group, a phenyl group and a 5 to 6-membered aromatic heterocyclyl group (the C₃₋₆ cycloalkyl group, the 4 to 7-membered non-aromatic heterocyclyl group, the phenyl group and the 5 to 6-membered aromatic heterocyclyl group are substituted with one or two identical or different substituents independently selected from the group consisting of hydroxy groups, amino groups, halogen atoms, cyano groups, carbamoyl groups, C₁₋₆ alkoxy groups, C₁₋₆ haloalkoxy groups, mono-C₁₋₆ alkylamino groups, di-C₁₋₆ alkylamino groups, C₁₋₆ alkylthio groups, C₁₋₆ haloalkylthio groups, C₁₋₆ alkylsulfonyl groups, C₁₋₆ haloalkylsulfonyl groups, C₁₋₆ alkoxycarbonyl groups and phenyl groups (the phenyl groups are unsubstituted or substituted with one or two identical or different substituents independently selected from the group consisting of halogen atoms and C₁₋₃ haloalkyl groups))).

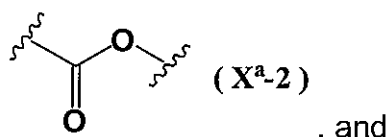
Another further preferred embodiment of the substituent L^{3a} and the substituent R^{2a} is such that L^{3a} is represented by any of the following formulae (XX^a-1) to (XX^a-3):

Another particularly preferred embodiment of the substituent L^{3a} and the substituent R^{2a} is such that L^{3a} is represented by the formula (XVI^a):



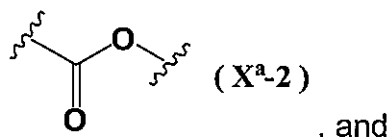
(wherein R^{12a} is a hydrogen atom or a C_{1-3} alkyl group), and R^{2a} is a C_{3-6} cycloalkyl group, a 4 to 7-membered non-aromatic heterocyclyl group (the C_{3-6} cycloalkyl group and the 4 to 7-membered non-aromatic heterocyclyl group are unsubstituted or substituted with one or two identical or different substituents independently selected from the group consisting of hydroxy groups, C_{1-3} alkyl groups (the C_{1-3} alkyl groups are unsubstituted or substituted with a substituent selected from the group consisting of a hydroxy group, a cyano group and a C_{1-3} alkoxy group), C_{1-3} haloalkyl groups, C_{1-6} alkoxycarbonyl groups and phenyl groups (the phenyl groups are unsubstituted or substituted with a halogen atom)), a phenyl group or a 5 to 10-membered aromatic heterocyclyl group (the phenyl group and the 5 to 10-membered aromatic heterocyclyl group are unsubstituted or substituted with identical or different one, two or three substituents independently selected from the group consisting of halogen atoms, cyano groups, C_{1-3} alkyl groups, C_{1-3} haloalkyl groups, C_{1-3} alkoxy groups, C_{1-3} haloalkoxy groups, C_{1-3} haloalkylsulfonyl groups and 4 to 7-membered non-aromatic heterocyclyl groups).

Another particularly preferred embodiment of the substituent L^{3a} and the substituent R^{2a} is such that L^{3a} is represented by the formula (X^a-2):



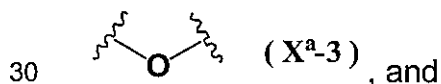
R^{2a} is a methyl group (the methyl group is unsubstituted or substituted with a phenyl group).

Another particularly preferred embodiment of the substituent L^{3a} and the substituent R^{2a} is such that L^{3a} is represented by the formula (X^a-2):



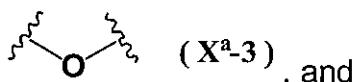
R^{2a} is a hydrogen atom or a t-butyl group.

Another particularly preferred embodiment of the substituent L^{3a} and the substituent R^{2a} is such that L^{3a} is represented by the formula (X^a-3):



R^{2a} is a hydrogen atom.

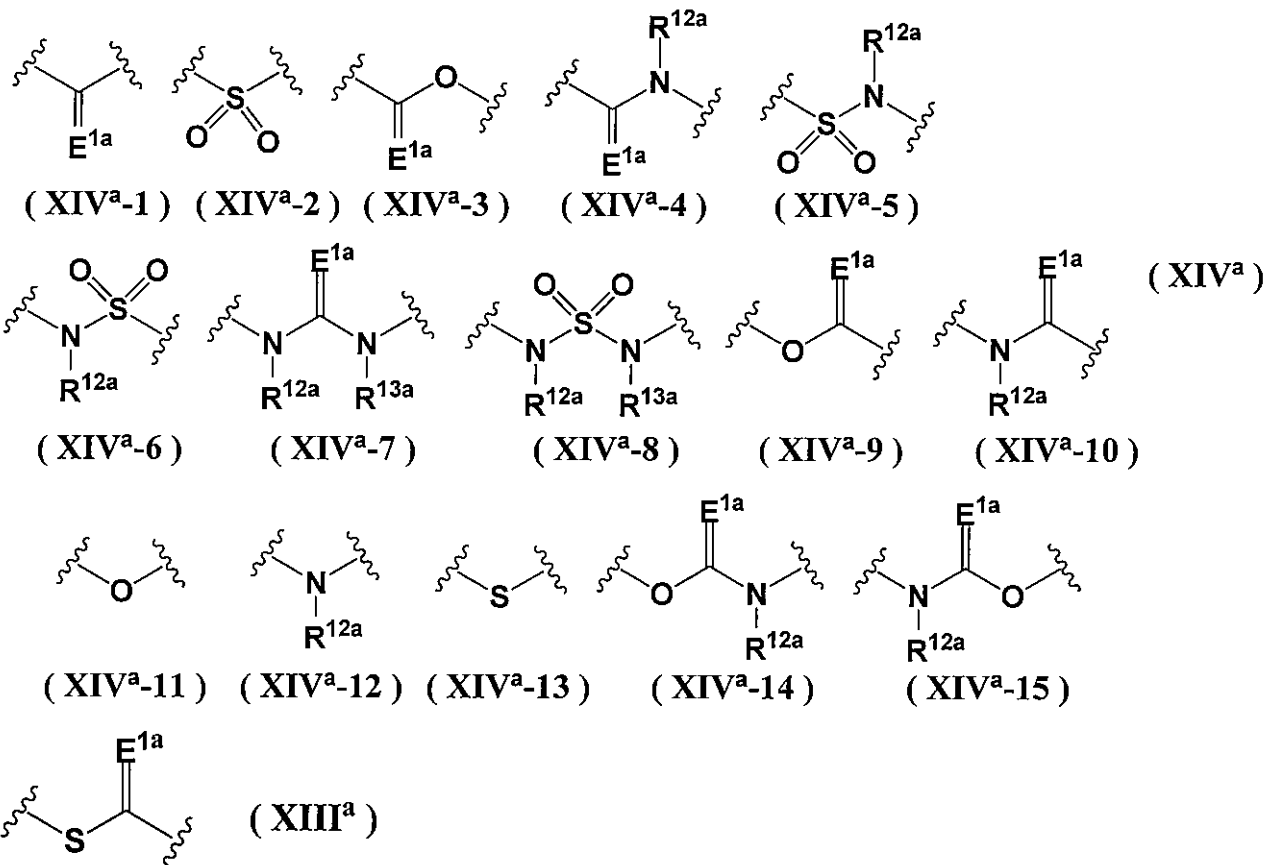
Another particularly preferred embodiment of the substituent L^{3a} and the substituent R^{2a} is such that L^{3a} is represented by the formula (X^a-3):



R^{2a} is a C_{1-3} alkyl group.

halogen atoms, hydroxy groups, amino groups and cyano groups), $=C(R^{15a})-$ (wherein R^{15a} is a hydrogen atom or a cyano group, and the bond connecting the ring B^a and L^{2a} is a double bond) or $=C(R^{15a})-CH_2-$ (wherein R^{15a} is a hydrogen atom or a cyano group, and the bond connecting the ring B^a and L^{2a} is a double bond),,

- 5 L^{3a} is a single bond or represented by any of the following formulae (XIV^a-1) to (XIV^a-15) or (XIII^a):

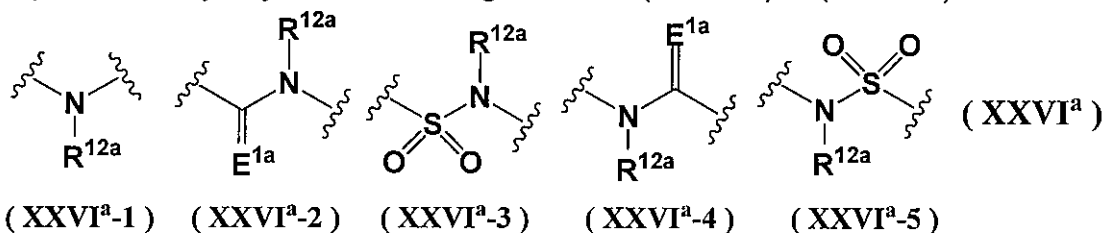


(wherein E^{1a} is an oxygen atom or a sulfur atom),

- 10 when L^{3a} is a single bond, R^{2a} is a hydrogen atom, a halogen atom, an azido group, a C_{3-11} cycloalkyl group, a 3 to 11-membered non-aromatic heterocyclyl group, a C_{6-14} aryl group, a 5 to 10-membered aromatic heterocyclyl group, a 8 to 11-membered partially saturated aromatic cyclic group or a 8 to 11-membered aromatic ring-condensed alicyclic hydrocarbon group (the C_{3-11} cycloalkyl group, the 3 to 11-membered non-aromatic heterocyclyl group, the C_{6-14} aryl group, the 5 to 10-membered aromatic heterocyclyl group, the 8 to 11-membered partially saturated aromatic cyclic group and the 8 to 11-membered aromatic ring-condensed alicyclic hydrocarbon group are unsubstituted or substituted with one or more identical or different substituents independently selected from the group consisting of the substituent set V^{4a} , the substituent set V^{9a} and C_{1-6} alkyl groups (the C_{1-6} alkyl groups are substituted with a C_{1-6} alkoxy-carbonylamino group (the C_{1-6} alkoxy-carbonylamino group is unsubstituted or substituted with one or more identical or different halogen atoms independently selected from the group consisting of fluorine atoms, chlorine atoms, bromine atoms and iodine atoms))),
- 20 when L^{3a} is not a single bond, R^{2a} is a hydrogen atom, a C_{1-6} alkyl group, a C_{2-6} alkenyl group, a C_{2-6} alkynyl group (the C_{1-6} alkyl group, the C_{2-6} alkenyl group and the C_{2-6} alkynyl group are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{6a} and the substituent set

(wherein R^{12a} is a hydrogen atom, a C_{1-3} alkyl group (the C_{1-3} alkyl group is unsubstituted or substituted with a cyano group) or a C_{1-3} haloalkyl group), and R^{2a} is a hydrogen atom, a C_{1-3} alkyl group or a C_{1-3} haloalkyl group (the C_{1-3} alkyl group and the C_{1-3} haloalkyl group are substituted with a substituent selected from the group consisting of a hydroxy group and a cyano group and with a substituent selected from the group consisting of a 3 to 11-membered non-aromatic heterocyclyl group, a phenyl group and a 5 to 10-membered aromatic heterocyclyl group (the 3 to 11-membered non-aromatic heterocyclyl group, the phenyl group and the 5 to 10-membered aromatic heterocyclyl group are unsubstituted or substituted with one or two identical or different substituents independently selected from the group consisting of halogen atoms, cyano groups, C_{1-3} alkyl groups, C_{1-3} haloalkyl groups, C_{1-3} alkoxy groups and C_{1-3} alkylthio groups)), tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.

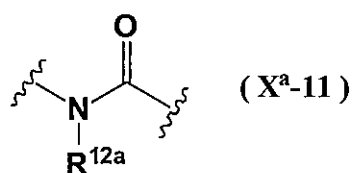
51^a) The compounds according to any one of 1^a) or 43^a) to 46^a), wherein L^{3a} is represented by any of the following formulae (XXVI^a-1) to (XXVI^a-5):



(wherein E^{1a} is an oxygen atom, R^{12a} is a C_{1-6} alkyl group (the C_{1-6} alkyl group is unsubstituted or substituted with a substituent selected from the group consisting of a cyano group, a hydroxy group, a C_{1-3} alkoxy group, a C_{3-6} cycloalkyl group and a phenyl group), a C_{1-6} haloalkyl group, a C_{3-6} cycloalkyl group or a phenyl group (the phenyl group is unsubstituted or substituted with a halogen atom or a cyano group)), and

R^{2a} is a C_{1-6} alkyl group (the C_{1-6} alkyl group is unsubstituted or substituted with a substituent selected from the group consisting of a cyano group, a hydroxy group, a C_{1-3} alkoxy group, a C_{3-6} cycloalkyl group and a phenyl group), a C_{1-6} haloalkyl group, a C_{3-6} cycloalkyl group or a phenyl group (the phenyl group is unsubstituted or substituted with a halogen atom)), tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.

52^a) The compounds according to any one of any one of 1^a) or 43^a) to 46^a), wherein L^{3a} is represented by the formula (X^a-11):

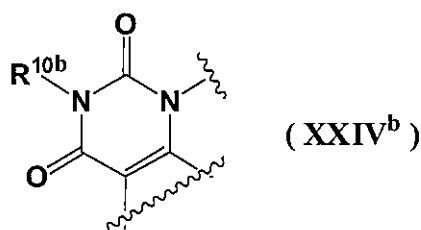


(wherein R^{12a} is a hydrogen atom, a C_{1-3} alkyl group (the C_{1-3} alkyl group is unsubstituted or substituted with a cyano group or a 5 to 6-membered aromatic heterocyclyl group (the 5 to 6-membered aromatic heterocyclyl group is unsubstituted or substituted with a C_{1-3} alkyl group)), a C_{1-3} haloalkyl group or a C_{3-6} cycloalkyl group), and R^{2a} is a C_{1-3} alkyl group (the C_{1-3} alkyl group is unsubstituted or substituted with a cyano group) or a C_{1-3} haloalkyl group, tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.

53^a) The compounds according to any one of 1^a) or 43^a) to 46^a), wherein L^{3a} is

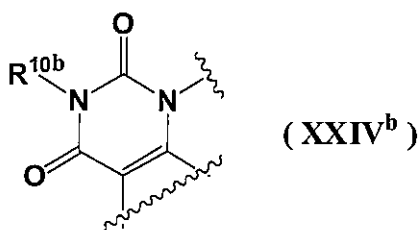
(wherein E^{2b} is an oxygen atom, each of R^{4b} , R^{5b} , R^{8b} and R^{9b} is independently a hydrogen atom, a halogen atom or a C_{1-3} alkyl group, and R^{10b} is a hydrogen atom or a C_{1-3} alkyl group).

Another more preferred embodiment of the ring A^b is represented by the formula is represented by the formula (XXIV^b):



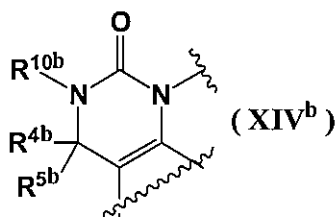
(wherein R^{10b} is a hydrogen atom or a C_{1-3} alkyl group).

Another more preferred embodiment of the ring A^b is represented by the formula is represented by the formula (XXIV^b):



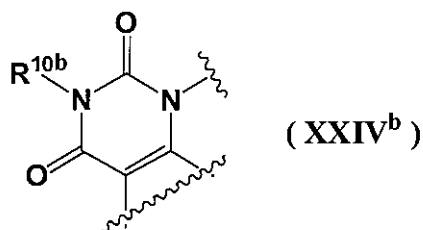
(wherein R^{10b} is a C_{1-6} alkyl group (the C_{1-6} alkyl group is unsubstituted or substituted with one or two identical or different substituents independently selected from the group consisting of cyano groups, hydroxy groups, C_{1-3} alkoxy groups, C_{1-3} alkylthio groups, di- C_{1-3} alkylamino groups, di- C_{1-3} alkylaminocarbonyl groups, C_{3-6} cycloalkyl groups, 4 to 7-membered non-aromatic heterocyclyl groups, phenyl groups, and 5 to 6-membered aromatic heterocyclyl groups (the phenyl groups and the 5 to 6-membered aromatic heterocyclyl groups are unsubstituted or substituted with one or two identical or different substituents independently selected from the group consisting of halogen atoms, C_{1-3} alkyl groups and C_{1-3} haloalkyl groups)), a C_{1-6} haloalkyl group, a C_{3-6} cycloalkyl group or a 4 to 7-membered non-aromatic heterocyclyl group).

Another more preferred embodiment of the ring A^b is represented by the formula is represented by the formula (XIV^b):



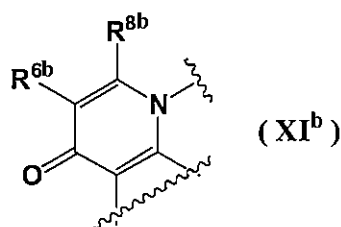
(wherein each of R^{4b} and R^{5b} is independently a hydrogen atom or a C_{1-3} alkyl group, and R^{10b} is a C_{1-6} alkyl group (the C_{1-6} alkyl group is unsubstituted or substituted with one or two identical or different substituents independently selected from the group consisting of cyano groups, hydroxy groups, C_{1-3} alkoxy groups, C_{1-3} alkylthio groups, di- C_{1-3} alkylamino groups, di- C_{1-3} alkylaminocarbonyl groups, C_{3-6} cycloalkyl groups, 4 to 7-membered non-aromatic heterocyclyl groups), a C_{1-6} haloalkyl group, a C_{3-6} cycloalkyl group or a 4 to 7-membered non-aromatic heterocyclyl group).

A further preferred embodiment of the ring A^b is represented by the formula (XI^b):



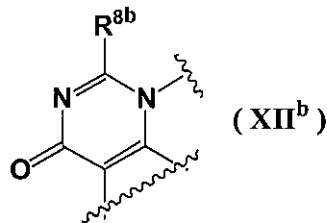
(wherein R^{10b} is a C₁₋₆ alkyl group (the C₁₋₆ alkyl group is unsubstituted or substituted with one or two identical or different substituents independently selected from the group consisting of cyano groups, hydroxy groups, C₁₋₃ alkoxy groups, C₁₋₃ alkylthio groups, di-C₁₋₃ alkylamino groups and 4 to 7-membered non-aromatic heterocyclyl groups), a C₁₋₆ haloalkyl group, a C₃₋₆ cycloalkyl group or a 4 to 7-membered non-aromatic heterocyclyl group).

A particularly preferred embodiment of the ring A^b is represented by the formula (XI^b):



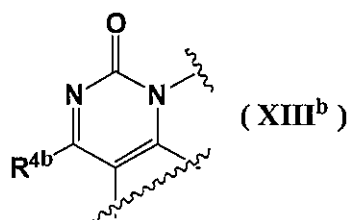
(wherein R^{6b} is a hydrogen atom, a halogen atom or a C₁₋₃ alkyl group, and R^{8b} is a hydrogen atom).

Another particularly preferred embodiment of the ring A^b is represented by the formula (XII^b):



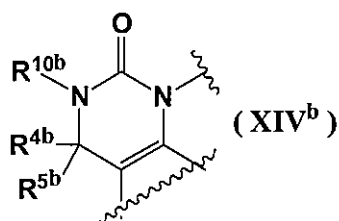
(wherein R^{8b} is a hydrogen atom).

Another particularly preferred embodiment of the ring A^b is represented by the formula (XIII^b):



(wherein R^{4b} is a hydrogen atom).

Another particularly preferred embodiment of the ring A^b is represented by the formula (XIV^b):



(wherein each of R^{4b} , R^{5b} and R^{10b} is a hydrogen atom).

A preferred embodiment of the substituent L^{1b} is a single bond or a C_{1-3} alkylene group.

A more preferred embodiment of the substituent L^{1b} is a single bond or a methylene group.

A further preferred embodiment of the substituent L^{1b} is a single bond.

A preferred embodiment of the ring B^b is a C_{3-11} cycloalkane, a 3 to 11-membered non-aromatic heterocycle, benzene or a 5 to 10-membered aromatic heterocycle.

A more preferred embodiment of the ring B^b is a C_{4-7} cycloalkane, a 4 to 7-membered non-aromatic heterocycle or a 5 to 6-membered aromatic heterocycle.

Another more preferred embodiment of the ring B^b is adamantane.

A further preferred embodiment of the ring B^b is a C_{4-7} cycloalkane or a 4 to 7-membered non-aromatic heterocycle.

A particularly preferred embodiment of the ring B^b is cyclohexane or piperidine.

A preferred embodiment of the substituent L^{2b} is a single bond, a C_{1-3} alkylene group or a C_{1-3} haloalkylene group (the C_{1-3} alkylene group and the C_{1-3} haloalkylene group are substituted with a cyano group).

Another preferred embodiment of the substituent L^{2b} is a C_{1-3} alkylene group, a C_{1-3} haloalkylene group (the C_{1-3} alkylene group and the C_{1-3} haloalkylene group are unsubstituted or substituted with a hydroxy group) or a C_{2-6} alkenylene group (the C_{2-6} alkenylene group is unsubstituted or substituted with a cyano group).

Another preferred embodiment of the substituent L^{2b} is a C_{1-6} alkylene group (the C_{1-6} alkylene group is unsubstituted or substituted with one or two cyano groups) or a C_{1-6} haloalkylene group.

A more preferred embodiment of the substituent L^{2b} is a single bond or a C_{1-3} alkylene group.

Another more preferred embodiment of the substituent L^{2b} is a C_{1-3} alkylene group (the C_{1-3} alkylene group is substituted with a cyano group) or a C_{1-3} haloalkylene group.

Another more preferred embodiment of the substituent L^{2b} is a C_{2-3} alkenylene group (the C_{2-3} alkenylene group is substituted with a cyano group).

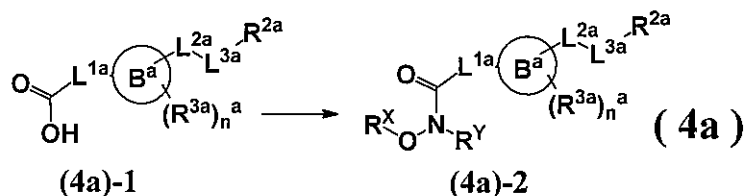
A further preferred embodiment of the substituent L^{2b} is a single bond or a methylene group.

A preferred embodiment of the substituent L^{3b} and the substituent R^{2b} is such that L^{3b} is a single bond, and R^{2b} is a hydrogen atom, a halogen atom, a C_{3-6} cycloalkyl group, a 3 to 11-membered non-aromatic heterocyclyl group, a phenyl group or a 5 to 10-membered aromatic heterocyclyl group (the C_{3-6} cycloalkyl group, the 3 to 11-membered non-aromatic heterocyclyl group, the phenyl group and the 5 to 10-membered aromatic heterocyclyl group are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{1b}).

Another preferred embodiment of the substituent L^{3b} and the substituent R^{2b} is such that L^{3b} is a single bond, and R^{2b} is a hydrogen atom, a halogen atom, a C_{3-11} cycloalkyl group, a 3 to 11-membered non-aromatic heterocyclyl group, a phenyl group, a naphthyl group, a 5 to 10-membered aromatic heterocyclyl group or a 8 to 11-membered partially saturated aromatic cyclic group (the C_{3-11} cycloalkyl group, the 3 to 11-membered non-aromatic heterocyclyl group, the phenyl group, the naphthyl group, the 5 to 10-membered aromatic heterocyclyl group and the 8 to 11-membered partially

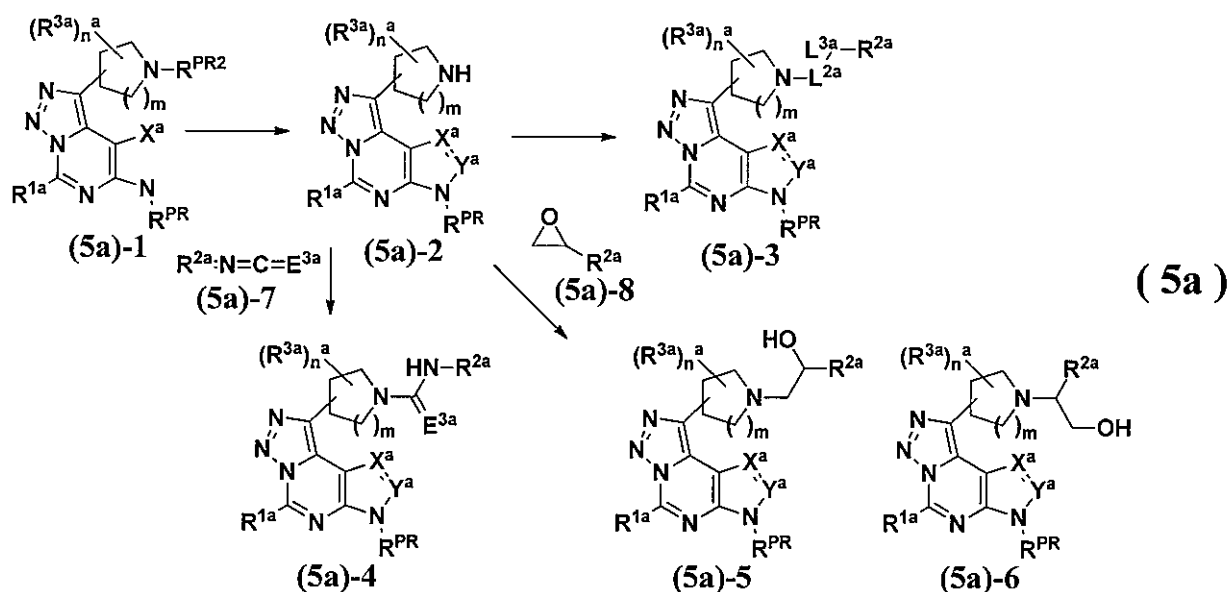
Compounds (3a)-3 and (3a)-6 having a protective group as R^{PR} can be converted to compounds (3a)-3 and (3a)-6 having a hydrogen atom as R^{PR} by deprotection. (Synthesis of starting materials 2a)

The compounds (4a)-2 can be produced, for example, through the following scheme (4a) (wherein each of R^X and R^Y is independently a C_{1-6} alkyl group, and the other symbols are the same as defined above).



A compound (4a)-1 can be converted to a compound (4a)-2 by using equivalent or excessive amounts of $R^YNH(OR^X)$ and a condensation agent such as dicyclohexylcarbodiimide or 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride in an appropriate solvent or in the absence of solvent at 0°C to a refluxing temperature. The presence of an acid or a base is sometimes effective for smooth progress of the reaction.

Among the compounds represented by the formula (I^a), the compounds (5a)-3, (5a)-4, (5a)-5 and (5a)-6 can be produced, for example, through the following scheme (5a) (wherein m is 0, 1, 2 or 3, R^{PR} is a hydrogen atom or a protective group such as a Ts group, a TIPS group or a SEM group, R^{PR2} is a protective group such as a Boc group or a Cbz group, E^{3a} is an oxygen atom or a sulfur atom, and the other symbols are the same as defined above).



A compound (5a)-1 among the compounds (1a)-3 can be converted to a compound (5a)-2 by deprotection.

A compound (5a)-2 can be converted to a compound (5a)-3 by using equivalent or excessive amounts of an electrophilic reagent represented by $R^{2a}L^{3a}L^{2a}-R^L$ (wherein R^L is a leaving group such as a halogen atom, a methanesulfonyloxy group, a p-toluenesulfonyloxy group) such as an alkyl halide, a methanesulfonate ester, an acid halide, a sulfonyl chloride, a chloroformate and a base such as triethylamine in an appropriate solvent or in the absence of solvent at -78°C to a refluxing temperature.

A compound (5a)-2 can be converted to a compound (5a)-3 by using equivalent or

58.0 μ mol) was stirred with hydrogen chloride - methanol solution (10 wt%, 2.0 mL) at room temperature for 15 minutes. The reaction mixture was concentrated under reduced pressure, and the residue was purified by silica gel column chromatography (Hi Flash column amino type manufactured by Yamazen Corporation: chloroform / methanol = 10/1 (v/v)) to give the title compound as a pale yellow oil (9.2 mg, yield 69%).

REFERENCE SYNTHETIC EXAMPLE^a 6

Cyclohexyl(7-{[2-(trimethylsilyl)ethoxy]methyl}-7H-pyrrolo[2,3-d]pyrimidin-4-yl)methanone

Cyclohexyl(7H-pyrrolo[2,3-d]pyrimidin-4-yl)methanone (50.0 mg, 0.218 mmol) in N,N-dimethylformamide (1 mL) was mixed with sodium hydride (60 wt% dispersion in mineral oil, 9.6 mg, 0.24 mmol) and [2-(chloromethoxy)ethyl]trimethylsilane (42.5 μ L, 0.240 mmol) under cooling with ice and stirred for 30 minutes while the temperature was gradually raised to room temperature. Separately, cyclohexyl(7H-pyrrolo[2,3-d]pyrimidin-4-yl)methanone (500 mg, 2.18 mmol) in N,N-dimethylformamide (5 mL) was mixed with sodium hydride (60 wt% dispersion in mineral oil, 96 mg, 2.4 mmol) and (chloromethoxy)ethyl]trimethylsilane (425 μ L, 2.40 mmol) under cooling with ice and stirred for 30 minutes while the temperature was gradually raised to room temperature. After addition of water, the reaction solution and the previously obtained reaction solution were extracted with ethyl acetate, respectively, and the organic layers were washed with saturated aqueous sodium chloride, dried over anhydrous magnesium sulfate and concentrated under reduced pressure. The resulting residues were combined and purified by silica gel column chromatography (hexane/ ethyl acetate = 5/1 (v/v)) to give the title compound as a pale yellow oil (850 mg, yield 99%).

REFERENCE SYNTHETIC EXAMPLE^a 7

Cyclohexyl(7-{[2-(trimethylsilyl)ethoxy]methyl}-7H-pyrrolo[2,3-d]pyrimidin-4-yl)methanamine

Cyclohexyl(7-{[2-(trimethylsilyl)ethoxy]methyl}-7H-pyrrolo[2,3-d]pyrimidin-4-yl)methanone (406 mg, 1.13 mmol) in methanol (10 mL) was stirred with hydroxylamine hydrochloride (395 mg, 5.66 mmol) for 4 hours. After addition of water, the reaction mixture was extracted with ethyl acetate, and the organic layer was dried over anhydrous sodium sulfate and concentrated under reduced pressure. The resulting residue was dissolved in ethanol (3.0 mL), mixed with ammonium acetate (105 mg, 1.36 mmol), water (3 mL) and aqueous ammonia (5 mL) and refluxed with zinc powder (600 mg, 9.17 mmol) for 4 hours. The reaction mixture was allowed to cool to room temperature and filtered, and the filtrate was concentrated under reduced pressure. The residue was purified by silica gel column chromatography (Hi Flash column amino type manufactured by Yamazen Corporation: chloroform / methanol = 20/1 (v/v)) to give the title compound as a yellow oil (390 mg, yield 79%).

REFERENCE SYNTHETIC EXAMPLE^a 8

1-Cyclohexyl-7-{[2-(trimethylsilyl)ethoxy]methyl}-7H-imidazo[1,5-c]pyrrolo[3,2-e]pyrimidine

Cyclohexyl(7-{[2-(trimethylsilyl)ethoxy]methyl}-7H-pyrrolo[2,3-d]pyrimidin-4-yl)methanamine (10 mg, 0.028 mmol) in N,N-dimethylformamide dimethyl acetal (0.7 mL) was stirred at 170°C for 30 minutes under microwave irradiation. The reaction mixture was allowed to cool to room temperature and concentrated under reduced pressure, and the resulting residue was dissolved in 1,3-dimethylimidazolidin-2-one (1.0 mL) and stirred at 230°C for 1.5 hours under microwave irradiation. Separately, cyclohexyl(7-{[2-(trimethylsilyl)ethoxy]methyl}-7H-pyrrolo[2,3-d]pyrimidin-4-

the organic layer was dried over anhydrous sodium sulfate and concentrated under reduced pressure. The resulting residue was dissolved in N,N-dimethylformamide (60 mL) and mixed with N,O-dimethylhydroxylamine hydrochloride (1.02 g, 10.4 mmol) and O-(7-azabenzotriazol-1-yl)-N,N,N',N'-tetramethyluronium hexafluorophosphate (4.0 g, 10.4 mmol) and then stirred with triethylamine (1.5 mL, 10 mmol) at room temperature for 2.5 hours. After addition of water, the reaction mixture was extracted with ethyl acetate, and the organic layer was dried over anhydrous sodium sulfate and concentrated under reduced pressure. The residue was purified by silica gel column chromatography (hexane / ethyl acetate = 3/1 → 1/1 (v/v)) to give the title compound as a pale yellow oil (1.44 mg, yield 59% (three steps)).

REFERENCE SYNTHETIC EXAMPLE^a 18

Benzyl 3-(7-{[2-(trimethylsilyl)ethoxy]methyl}-7H-pyrrolo[2,3-d]pyrimidine-4-carbonyl)piperidine-1-carboxylate

Isopropylmagnesium chloride (2.0 M solution in tetrahydrofuran, 0.4 mL, 0.80 mmol) was gradually added dropwise to 4-iodo-7-{[2-(trimethylsilyl)ethoxy]methyl}-7H-pyrrolo[2,3-d]pyrimidine (200 mg, 0.530 mmol) obtained in Reference Synthetic Example^a 15 in tetrahydrofuran (3 mL) cooled to -78°C, and the resulting reaction mixture was stirred at -78°C for 15 minutes. The reaction mixture was warmed to room temperature and stirred with (2,6-dimethylphenyl)magnesium bromide (1.0 M solution in tetrahydrofuran, 0.8 mL, 0.80 mmol) and benzyl 3-[methoxy(methyl)carbamoyl]piperidine-1-carboxylate (245 mg, 0.800 mmol) in tetrahydrofuran (3.0 mL) at room temperature for 2.5 hours. After addition of saturated aqueous ammonium chloride, the reaction mixture was extracted with ethyl acetate, and the organic layer was dried over anhydrous sodium sulfate and concentrated under reduced pressure. The residue was purified by silica gel column chromatography (hexane / ethyl acetate = 4/1 → 2/1 → 1/1 (v/v)) to give the title compound as a yellow oil (107 mg, yield 41%).

REFERENCE SYNTHETIC EXAMPLE^a 19

Benzyl 3-[amino(7-{[2-(trimethylsilyl)ethoxy]methyl}-7H-pyrrolo[2,3-d]pyrimidin-4-yl)methyl]piperidine-1-carboxylate

The reactions in Reference Synthetic Example^a 7 were carried out in substantially the same manners except that 3-(7-{[2-(trimethylsilyl)ethoxy]methyl}-7H-pyrrolo[2,3-d]pyrimidine-4-carbonyl)piperidine-1-carboxylate (253 mg, 0.510 mmol) was used instead of cyclohexyl(7-{[2-(trimethylsilyl)ethoxy]methyl}-7H-pyrrolo[2,3-d]pyrimidin-4-yl)methanone to give the title compound as a pale blue oil (183 mg, yield 72%).

REFERENCE SYNTHETIC EXAMPLE^a 20

Benzyl 3-(7-{[2-(trimethylsilyl)ethoxy]methyl}-7H-imidazo[1,5-c]pyrrolo[3,2-e]pyrimidin-1-yl)piperidine-1-carboxylate

Benzyl 3-[amino(7-{[2-(trimethylsilyl)ethoxy]methyl}-7H-pyrrolo[2,3-d]pyrimidin-4-yl)methyl]piperidine-1-carboxylate (63 mg, 0.13 mmol) in N,N-dimethylformamide dimethyl acetal (1 mL) was stirred at 170°C for 30 minutes under microwave irradiation. The reaction mixture was allowed to cool to room temperature and concentrated under reduced pressure, and the resulting residue was dissolved in 1,3-dimethylimidazolidin-2-one (1 mL) and stirred at 230°C for 1.5 hours under microwave irradiation. The reaction mixture was allowed to cool to room temperature, diluted with ethyl acetate and washed with saturated aqueous ammonium chloride and saturated aqueous sodium chloride, and the organic layer was dried over anhydrous sodium sulfate and concentrated under reduced pressure. The concentrate was purified by silica gel thin

stirred with active carbon (400 mg) at room temperature for 30 minutes. The mixture was filtered, and the filtrate was concentrated under reduced pressure. The resulting residue was dissolved in acetic acid (35 mL) and stirred with platinum(IV) oxide (162 mg) under a hydrogen atmosphere at 0.5 MPa for 3 days. The reaction mixture was filtered, and the filtrate was concentrated under reduced pressure. The resulting residue was dissolved in acetonitrile (50 mL) and water (40 mL) and stirred with sodium hydrogen carbonate (5.00 g, 59.5 mmol) and tert-butyl bicarbonate (5.10 g, 23.4 mmol) for one day. The reaction mixture was extracted with diethyl ether twice, and the organic layer was washed with 1 M hydrochloric acid and saturated aqueous magnesium-sodium chloride, dried over anhydrous magnesium sulfate and concentrated under reduced pressure. The resulting residue was purified by silica gel column chromatography (hexane / ethyl acetate = 1/1 (v/v)) to give the title compound as a colorless oil (4.33 g, yield 90% (three steps)).

REFERENCE SYNTHETIC EXAMPLE^a 26

tert-Butyl 3-[methoxy(methyl)carbamoyl]-4-methylpiperidine-1-carboxylate

Diisobutylaluminum hydride (1.0 M solution in toluene, 23.4 mL, 23.7 mmol) was added dropwise to 3-methyl 1-tert-butyl 4-methylpiperidine-1,3-dicarboxylate (2.43 g, 9.46 mmol) in tetrahydrofuran (60 mL) cooled to -78°C, and the resulting reaction mixture was stirred at -78°C for 1 hour and at room temperature for 2 hours, then stirred with methanol and Celite at room temperature for 30 minutes and filtered. The filtrate was concentrated under reduced pressure. The resulting residue was roughly purified by silica gel column chromatography (hexane / ethyl acetate = 4/1 → 2/1 → 1/1 (v/v)) to give a colorless oil (1.62 g). The crude product (1.02 g) was dissolved in dichloromethane (30 mL) and stirred with 1,1,1-triacetoxy-1,1-dihydro-1,2-benziodoxol-3(1H)-one (2.83 g, 6.67 mmol) at room temperature for 1.5 hours. After addition of a mixture (1/1 (v/v)) of saturated aqueous sodium hydrogen carbonate and saturated aqueous sodium thiosulfate, the reaction mixture was extracted with ethyl acetate, and the organic layer was dried over anhydrous sodium sulfate and concentrated under reduced pressure. The resulting residue was dissolved in t-butanol (12 mL), mixed with sodium dihydrogen phosphate (1.33 g, 11.1 mmol), water (12 mL) and 2-methyl-2-butene (12 mL, 111 mmol) and stirred with sodium chlorite (1.68 g, 18.6 mmol) under cooling with ice for 30 minutes and then at room temperature 1 hour. After addition of saturated aqueous sodium thiosulfate, the reaction mixture was extracted with ethyl acetate, and the organic layer was dried over anhydrous sodium sulfate and concentrated under reduced pressure. The resulting residue was dissolved in N,N-dimethylformamide (30 mL), mixed with N,O-dimethylhydroxylamine hydrochloride (396 mg, 4.06 mmol) and O-(7-azabenzotriazol-1-yl)-N,N,N',N'-tetramethyluronium hexafluorophosphate (2.00 g, 5.41 mmol) and stirred with N,N-diisopropylethylamine (1.50 mL, 8.45 mmol) at room temperature for one day. After addition of water, the reaction solution was extracted with ethyl acetate, and the organic layer was dried over anhydrous sodium sulfate and concentrated under reduced pressure. The residue was purified by silica gel column chromatography (hexane / ethyl acetate = 4/1 → 2/1 (v/v)) to give the title compound as a pale yellow oil (644 mg, yield 38% (four steps)).

REFERENCE SYNTHETIC EXAMPLE^a 27

tert-Butyl 4-methyl-3-(7H-pyrrolo[2,3-d]pyrimidine-4-carbonyl)piperidine-1-carboxylate

The reactions in Reference Synthetic Example^a 10 were carried out in substantially the same manners except that tert-butyl 3-[methoxy(methyl)carbamoyl]-4-methylpiperidine-1-carboxylate was used instead of N-methoxy-N,2-dimethylbenzamide

to give the title compound as a pale yellow solid (53.8 mg, yield 73%).

REFERENCE SYNTHETIC EXAMPLE^a 28

tert-Butyl 3-[methoxy(methyl)carbamoyl]piperidine-1-carboxylate

The reactions in Reference Synthetic Example^a 9 were carried out in substantially the same manners except that 1-(tert-butoxycarbonyl)piperidine-3-carboxylate-carboxylic acid was used instead of 2-methylbenzoic acid to give the title compound as a colorless oil (1.68 g, yield 57%).

REFERENCE SYNTHETIC EXAMPLE^a 29

tert-Butyl 3-(7H-pyrrolo[2,3-d]pyrimidine-4-carbonyl) piperidine -1-carboxylate

The reactions in Reference Synthetic Example^a 10 were carried out in substantially the same manners except that tert-butyl 3-[methoxy(methyl)carbamoyl]piperidine-1-carboxylate was used instead of N-methoxy-N,2-dimethylbenzamide to give the title compound as a pale yellow solid (1.19 g, yield 68%).

REFERENCE SYNTHETIC EXAMPLE^a 30

1-[(Benzyloxy)carbonyl]piperidine-3-carboxylic acid

Nipecotic acid (3.93 g, 30.4 mmol) and sodium carbonate (5.10 g, 48.1 mmol) in water (40 mL) was mixed with benzyl chloroformate (5.20 mL, 36.4 mmol) under cooling with ice and stirred at room temperature for one day. After addition of water and 1 M aqueous sodium hydroxide, the reaction mixture was allowed to separate by adding diethyl ether. The aqueous layer was adjusted to pH 1 with concentrated hydrochloric acid and extracted with ethyl acetate. The resulting organic layer was washed with saturated aqueous sodium chloride, dried over anhydrous magnesium sulfate and concentrated under reduced pressure to give the title compound as a pale yellow oil (5.86 g, yield 73%).

REFERENCE SYNTHETIC EXAMPLE^a 31

Benzyl 3-[methoxy(methyl)carbamoyl]piperidine-1-carboxylate

1-[(Benzyloxy)carbonyl]piperidine-3-carboxylic acid (5.86 g, 22.3 mmol) and N,O-dimethylhydroxylamine hydrochloride (3.55 g, 36.4 mmol) in tetrahydrofuran (60 mL) was stirred with triethylamine (5.50 mL, 39.5 mmol), 1-hydroxybenzotriazole (1.17 g, 8.66 mmol) and 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride (7.18 g, 37.4 mmol) at room temperature for one day. After addition of water, the reaction solution was extracted with ethyl acetate, and the organic layer was washed with saturated aqueous sodium chloride, dried over anhydrous magnesium sulfate and concentrated under reduced pressure. The residue was purified by silica gel column chromatography (hexane / ethyl acetate = 1/1 (v/v)) to give the title compound as a colorless oil (5.95 g, yield 87%).

REFERENCE SYNTHETIC EXAMPLE^a 32

Benzyl 3-(7H-pyrrolo[2,3-d]pyrimidine-4-carbonyl)piperidine-1-carboxylate

The reactions in Reference Synthetic Example^a 10 were carried out in substantially the same manners except that benzyl 3-[methoxy(methyl)carbamoyl]piperidine-1-carboxylate was used instead of N-methoxy-N,2-dimethylbenzamide to give the title compound as a pale yellow solid (3.56 g, yield 53%).

REFERENCE SYNTHETIC EXAMPLE^a 33

1-Benzylpiperidine-3-carboxylic acid

Nipecotic acid (1.31 g, 10.2 mmol), benzaldehyde (1.12 g, 10.6 mmol) and 5% palladium-carbon (0.18 g) in methanol (10 mL) was stirred at room temperature for one

day under a hydrogen atmosphere. The reaction mixture was filtered, and the filtrate was concentrated under reduced pressure. The resulting residue was dissolved in methanol (50 mL) was stirred with benzaldehyde (4.40 g, 41.5 mmol) and 5% palladium-carbon (0.118 g) at room temperature for one day. The reaction mixture was filtered, and the filtrate was concentrated under reduced pressure. The resulting residue was purified by silica gel column chromatography (chloroform / methanol = 10/1 → 5/1 (v/v)) to give the title compound as a colorless oil (1.41 g, yield 63%).

REFERENCE SYNTHETIC EXAMPLE^a 34

1-Benzyl-N-methoxy-N-methylpiperidine-3-carboxamide

1-Benzylpiperidine-3-carboxylic acid (318 mg, 1.45 mmol) and N,O-dimethylhydroxylamine hydrochloride (287 mg, 2.94 mmol) in tetrahydrofuran (5 mL) was stirred with triethylamine (283 μ L, 2.03 mmol), 1-hydroxybenzotriazole (101 mg, 0.747 mmol) and 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride (560 mg, 2.92 mmol) at room temperature for one day. After addition of water, the reaction mixture was extracted with ethyl acetate, and the organic layer was washed with saturated aqueous sodium chloride, dried over anhydrous magnesium sulfate and concentrated under reduced pressure. The residues was purified by silica gel column chromatography (hexane / ethyl acetate = 1/1 (v/v)) to give the title compound as a colorless oil (272 mg, yield 71%).

REFERENCE SYNTHETIC EXAMPLE^a 35

(1-Benzylpiperidin-3-yl)(7H-pyrrolo[2,3-d]pyrimidin-4-yl)methanone

The reactions in Reference Synthetic Example^a 10 were carried out in substantially the same manners except that 1-benzyl-N-methoxy-N-methylpiperidine-3-carboxamide was used instead of N-methoxy-N,2-dimethylbenzamide to give the title compound as a yellow amorphous (121 mg, yield 91%).

REFERENCE SYNTHETIC EXAMPLE^a 36

Phenyl 1,3,4-thiadiazol-2-ylcarbamate

1,3,4-Thiadiazol-2-amine (253 mg, 2.50 mmol) in dimethylacetamide (3 mL) was stirred with phenyl chloroformate (392 μ L, 3.13 mmol) at room temperature for one day. After addition of water, the precipitated solid was collected by filtration, washed with water and hexane and dried under reduced pressure to give the title compound as a colorless solid (418 mg, yield 76%).

REFERENCE SYNTHETIC EXAMPLE^a 37

Phenyl (3-methylisothiazol-5-yl)carbamate

3-Methylisothiazol-5-amine (156 mg, 1.04 mmol) in pyridine (1.2 mL) was mixed with phenyl chloroformate (260 μ L, 2.07 mmol) under cooling with ice and stirred at room temperature for 3 hours. The reaction mixture was concentrated under reduced pressure, and after addition of water, extracted with chloroform twice, and the organic layer was concentrated under reduced pressure. The resulting residue was purified by silica gel column chromatography (hexane / ethyl acetate = 1/1 (v/v)) to give the title compound as a pale yellow solid (173 mg, yield 71%).

REFERENCE SYNTHETIC EXAMPLE^a 38

tert-Butyl 4-[methoxy(methyl)carbamoyl]piperidine-1-carboxylate

The reactions in Reference Synthetic Example^a 9 were carried out in substantially the same manners except that 1-(tert-butoxycarbonyl)piperidine-carboxylic acid was used instead of 2-methylbenzoic acid to give the title compound as a colorless oil (763 mg, yield 64%).

REFERENCE SYNTHETIC EXAMPLE^a 39

The reactions in Reference Synthetic Example^a 10 were carried out in substantially the same manners except that 4-[(tert-butyldimethylsilyl)oxy]-N-methoxy-N-methylcyclohexanecarboxamide was used instead of N-methoxy-N,2-dimethylbenzamide to give the title compound as a pale yellow solid (7.14 g, yield 69%).

REFERENCE SYNTHETIC EXAMPLE^a 74

1-{4-[(tert-Butyldimethylsilyl)oxy]cyclohexyl}-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine

The reactions in Synthetic Example^a 5 were carried out in substantially the same manners except that {4-[(tert-butyldiphenylsilyl)oxy]cyclohexyl}(7H-pyrrolo[2,3-d]pyrimidin-4-yl)methanone was used instead of (7H-pyrrolo[2,3-d]pyrimidin-4-yl)(o-tolyl)methanone to give the title compound as a pale yellow solid (5.20 g, yield 70%).

REFERENCE SYNTHETIC EXAMPLE^a 75

4-(7H-Pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexanol

1-{4-[(tert-Butyldimethylsilyl)oxy]cyclohexyl}-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine (500 mg, 1.35 mmol) in a mixture of dichloromethane (5 mL) and methanol (5 mL) was stirred with pyridinium p-toluenesulfonate (338 mg, 1.35 mmol) at 60°C for 3 hours. The reaction mixture was concentrated under reduced pressure, and the residue was purified by silica gel column chromatography (hexane / ethyl acetate = 4/1 → 1/1 (v/v) → ethyl acetate) to give the title compound as a colorless solid (259 mg, yield 75%).

REFERENCE SYNTHETIC EXAMPLE^a 76

Benzyl 4-(7-{[2-(trimethylsilyl)ethoxy]methyl}-7H-pyrrolo[2,3-d]pyrimidine-4-carbonyl)piperidine-1-carboxylate

The reactions in Reference Synthetic Example^a 18 were carried out in substantially the same manners except that benzyl 4-[methoxy(methyl)carbamoyl]piperidine-1-carboxylate obtained in Reference Synthetic Example^a 43 was used instead of benzyl 3-[methoxy(methyl)carbamoyl]piperidine-1-carboxylate to give the title compound as a yellow oil (49.6 mg, yield 71%).

REFERENCE SYNTHETIC EXAMPLE^a 77

Benzyl 4-[amino(7-{[2-(trimethylsilyl)ethoxy]methyl}-7H-pyrrolo[2,3-d]pyrimidin-4-yl)methyl]piperidine-1-carboxylate

The reactions in Reference Synthetic Example^a 7 were carried out in substantially the same manners except that benzyl 4-(7-{[2-(trimethylsilyl)ethoxy]methyl}-7H-pyrrolo[2,3-d]pyrimidine-4-carbonyl)piperidine-1-carboxylate was used instead of cyclohexyl(7-{[2-(trimethylsilyl)ethoxy]methyl}-7H-pyrrolo[2,3-d]pyrimidin-4-yl)methanone to give the title compound as a colorless oil (33.2 mg, yield 67%).

REFERENCE SYNTHETIC EXAMPLE^a 78

Benzyl 4-(7-{[2-(trimethylsilyl)ethoxy]methyl}-7H-imidazo[1,5-c]pyrrolo[3,2-e]pyrimidin-1-yl)piperidine-1-carboxylate

The reactions in Reference Synthetic Example^a 20 were carried out in substantially the same manners except that benzyl 4-[amino(7-{[2-(trimethylsilyl)ethoxy]methyl}-7H-pyrrolo[2,3-d]pyrimidin-4-yl)methyl]piperidine-1-carboxylate was used instead of benzyl 3-[amino(7-{[2-(trimethylsilyl)ethoxy]methyl}-7H-pyrrolo[2,3-d]pyrimidin-4-yl)methyl]piperidine-1-carboxylate to give a brown oily mixture containing the title compound (16.0 mg). The resulting mixture was used for the next step without purification.

REFERENCE SYNTHETIC EXAMPLE^a 79

Benzyl 4-[amino(7H-pyrrolo[2,3-d]pyrimidin-4-yl)methyl]piperidine-1-carboxylate

ethyl acetate = 10/1 → 4/1 (v/v)) to give the title compound as a pale yellow solid (305 mg, yield 41%).

REFERENCE SYNTHETIC EXAMPLE^a 111

4-(2-Aminoethyl)benzonitrile

tert-Butyl 4-cyanophenethylcarbamate (305 mg, 1.24 mmol) in dichloromethane (4 mL) was mixed with trifluoroacetic acid (3.50 mL, 47.1 mmol) under cooling with ice and then stirred at room temperature for 30 minutes. The reaction mixture was concentrated under reduced pressure, mixed with saturated aqueous potassium carbonate and extracted with ethyl acetate. The organic layer was washed with saturated aqueous sodium chloride, dried over anhydrous sodium sulfate and concentrated under reduced pressure to give the title compound as a pale orange solid (72.5 mg, yield 40%).

REFERENCE SYNTHETIC EXAMPLE^a 112

tert-Butyl 3-oxoazetidine-1-carboxylate

tert-Butyl 3-hydroxyazetidine-1-carboxylate (4.02 g, 23.2 mmol) in dichloromethane (305 mL) was mixed with Dess-Martin Periodinane (9.55 g, 22.5 mmol) under cooling with ice and then stirred at room temperature for 3 hours. After addition of 10% aqueous sodium thiosulfate and saturated aqueous sodium hydrogen carbonate under cooling with ice, the reaction mixture was extracted with chloroform, and the organic layer was washed with saturated aqueous sodium chloride, dried over anhydrous magnesium sulfate and concentrated under reduced pressure. The residue was purified by silica gel column chromatography (hexane / ethyl acetate = 2/1 (v/v)) to give the title compound as a colorless solid (3.39 g, yield 85%).

REFERENCE SYNTHETIC EXAMPLE^a 113

tert-Butyl 3-hydroxy-3-methylazetidine-1-carboxylate

Methylmagnesium bromide - tetrahydrofuran solution (1.12 M, 3.90 mL, 4.38 mmol) was added dropwise to tert-butyl 3-oxoazetidine-1-carboxylate (500 mg, 2.92 mmol) in tetrahydrofuran (5 mL) under cooling with ice and stirred for 90 minutes. After addition of saturated aqueous ammonium chloride, the reaction mixture was extracted with ethyl acetate, and the organic layer was dried over anhydrous sodium sulfate and concentrated under reduced pressure. The residue was purified by silica gel column chromatography (hexane / ethyl acetate = 2/1 → 1/1 (v/v)) to give the title compound as a colorless solid (224 mg, yield 41%).

REFERENCE SYNTHETIC EXAMPLE^a 114

3-Methylazetidin-3-ol hydrochloride

tert-Butyl 3-hydroxy-3-methylazetidine-1-carboxylate (224 mg, 1.20 mmol) in ethyl acetate (1 mL) was mixed with 4 M hydrogen chloride - 1,4-dioxane solution (3.0 mL) under cooling with ice and then stirred at room temperature for 1 hour. The reaction mixture was concentrated under reduced pressure to give a mixture containing the title compound (colorless oil, 162 mg). The mixture was used for the next step without further purification.

REFERENCE SYNTHETIC EXAMPLE^a 115

3-(Trifluoromethyl)azetidin-3-ol hydrochloride

tert-Butyl 3-oxoazetidine-1-carboxylate (500 mg, 2.92 mmol) obtained in Reference Synthetic Example^a 112 and (trifluoromethyl)trimethylsilane (0.648 mL, 4.38 mmol) in tetrahydrofuran (10 mL) were mixed with tetrabutylammonium fluoride - tetrahydrofuran solution (1 M, 0.291 mL, 0.291 mmol) under cooling with ice and then stirred at room temperature for 1 hour. After addition of saturated aqueous ammonium

chloride, the reaction mixture was extracted with diethyl ether, and the organic layer was dried over anhydrous magnesium sulfate and concentrated under reduced pressure. The residue was mixed with ethyl acetate (5 mL) and 1M aqueous citric acid (5 mL) and stirred at room temperature for 1 hour. After addition of water, the reaction mixture was extracted with diethyl ether. The organic layer was dried over anhydrous magnesium sulfate and concentrated under reduced pressure. The resulting residue was dissolved in ethyl acetate (1.0 mL), mixed with 4 M hydrogen chloride - 1,4-dioxane solution (4 mL) under cooling with ice and then stirred at room temperature for 22 hours. The reaction mixture was concentrated under reduced pressure, and the precipitate was washed with ethyl acetate to give the title compound as a white solid (340 mg, yield 66% (2 steps)).

REFERENCE SYNTHETIC EXAMPLE^a 116

tert-Butyl 3-(2,2,2-trifluoroethoxy)azetidine-1-carboxylate

Sodium hydride (55-60 wt% dispersion in liquid paraffin, 151 mg, 3.46 mmol) in N,N-dimethylformamide (5 mL) was mixed with tert-butyl 3-hydroxyazetidine-1-carboxylate (500 mg, 2.89 mmol) in N,N-dimethylformamide (3 mL) under cooling with ice and stirred for 30 minutes, and the resulting reaction mixture was mixed with 2,2,2-trifluoroethyl trifluoromethanesulfonate (0.499 mL, 3.46 mmol) under cooling with ice and then stirred at room temperature for 5 hours. After addition of water, the reaction mixture was extracted with ethyl acetate, and the organic layer was washed with saturated aqueous sodium chloride, dried over anhydrous magnesium sulfate and concentrated under reduced pressure. The residue was purified by silica gel column chromatography (hexane / ethyl acetate = 3/1 → 1/1 (v/v)) to give the title compound as a colorless solid (350 mg, yield 48%).

REFERENCE SYNTHETIC EXAMPLE^a 117

3-(2,2,2-Trifluoroethoxy)azetidine hydrochloride

tert-Butyl 3-(2,2,2-trifluoroethoxy)azetidine-1-carboxylate (350 mg, 1.37 mmol) in ethyl acetate (1.0 mL) was mixed with 4 M hydrogen chloride - 1,4-dioxane solution (3.0 mL) under cooling with ice and then stirred at room temperature for 2 hours. The reaction mixture was concentrated to give a mixture containing the title compound as a colorless oil (224 mg). The mixture was used for next step without further purification.

REFERENCE SYNTHETIC EXAMPLE^a 118

3-Amino-1,1,1-trifluoro-2-(pyridin-3-yl)propan-2-ol

Isopropylmagnesium chloride-lithium chloride complex - tetrahydrofuran solution (1.3 M, 20.7 mL, 27.0 mmol) was added dropwise to 5-bromo-2-chloropyridine (5.20 g, 27.0 mmol) in tetrahydrofuran (40 mL) under cooling with ice, and the reaction mixture was stirred for 30 minutes and then mixed with ethyl 2,2,2-trifluoroacetate (11.5 g, 81.0 mmol) under cooling with ice and stirred at room temperature for 10 minutes. After addition of 1M hydrochloric acid, the reaction mixture was extracted with ethyl acetate, and the organic layer was dried over anhydrous sodium sulfate and concentrated under reduced pressure to give a yellow oil. The yellow oil was dissolved in nitromethane (30 mL) and stirred with potassium carbonate (3.73 g, 27.0 mmol) at room temperature for 30 minutes. The reaction mixture was added to 1M hydrochloric acid and extracted with ethyl acetate, and the organic layer was dried over anhydrous sodium sulfate and concentrated under reduced pressure. The residue was purified by silica gel column chromatography (hexane / ethyl acetate = 3/1 (v/v)) to give a yellow oil. The yellow oil was dissolved in tetrahydrofuran (20 mL), mixed with 10% palladium-carbon (600 mg) and triethylamine (2.60 mL, 18.7 mmol) and then stirred at room temperature for one

day under a hydrogen atmosphere. The reaction mixture was filtered, and the filtrate was concentrated under reduced pressure. The residue was purified by silica gel column chromatography (ethyl acetate → ethyl acetate / methanol / triethylamine = 9/1/1 (v/v/v)) to give the title compound as a colorless solid (913 mg, yield 31%(4 steps)).

REFERENCE SYNTHETIC EXAMPLE^a 119

3-Amino-1,1,1-trifluoro-2-[4-(methylthio)phenyl]propan-2-ol

The reactions in Reference Synthetic Example^a 102 were carried out in substantially the same manners except that (4-bromomethyl)bromophenyl(methyl)sulfane was used instead of 1-bromo-4-fluorobenzene to give the title compound as a colorless solid (2.61 g, yield 64%).

REFERENCE SYNTHETIC EXAMPLE^a 120

3-Amino-1,1,1-trifluoro-2-(6-methoxypyridin-3-yl)propan-2-ol

The reactions in Reference Synthetic Example^a 102 were carried out in substantially the same manners except that 5-bromo-2-methoxypyridine was used instead of 1-bromo-4-fluorobenzene to give the title compound as a colorless solid (1.52 g, yield 76%).

REFERENCE SYNTHETIC EXAMPLE^a 121

3-Amino-1,1,1-trifluoro-2-(4-methoxyphenyl)propan-2-ol

The reactions in Reference Synthetic Example^a 100 were carried out in substantially the same manners except that 2,2,2-trifluoro-1-(4-methoxyphenyl)ethanone was used instead of 1-(4-Chlorophenyl)-2,2,2-trifluoroethanone to give the title compound as a colorless solid (823 mg, yield 36%).

REFERENCE SYNTHETIC EXAMPLE^a 122

3-Amino-2-(3,4-dimethoxyphenyl)-1,1,1-trifluoropropan-2-ol

The reactions in Synthetic Example^a 100 were carried out in substantially the same manners except that 1-(3,4-dimethoxyphenyl)-2,2,2-trifluoroethanone was used instead of 1-(4-Chlorophenyl)-2,2,2-trifluoroethanone to give the title compound as a colorless solid (532 mg, yield 39%).

REFERENCE SYNTHETIC EXAMPLE^a 123

Ethyl (E)-3-(4-fluorophenyl)acrylate

4-Fluorobenzaldehyde (9.61 g, 80.0 mmol) in tetrahydrofuran (120 mL) was mixed with ethyl 2-(diethoxyphosphoryl)acetate (17.9 g, 80.0 mmol) under cooling with ice, and then sodium ethoxide - ethanol solution (21 wt%, 44.8 mL, 120 mmol) was added dropwise to the reaction mixture under cooling with ice, and the resulting reaction mixture was stirred at room temperature for 2 hours. After addition of water, the reaction mixture was extracted with ethyl acetate, and the organic layer dried over anhydrous sodium sulfate and concentrated under reduced pressure. The residue was purified by silica gel column chromatography (hexane / ethyl acetate = 20/1 → 10/1 (v/v)) to give the title compound as a colorless oil (14.1 g, yield 91%).

REFERENCE SYNTHETIC EXAMPLE^a 124

trans-Ethyl 2-(4-fluorophenyl)cyclopropanecarboxylate

Trimethylsulfoxonium iodide (7.92 g, 36.0 mmol) in dimethyl sulfoxide (40 mL) was mixed with sodium hydride (55 wt% dispersion in mineral oil, 1.57 g, 36.0 mmol) under cooling with ice, stirred at room temperature for 1 hour and then stirred with (E)-ethyl 3-(4-fluorophenyl)acrylate (5.83 g, 30.0 mmol) for 18 hours. After addition of water, the reaction mixture was extracted with ethyl acetate, and the organic layer was dried over anhydrous sodium sulfate and concentrated under reduced pressure. The residue was

purified by silica gel column chromatography (hexane / ethyl acetate = 10/1) to give the title compound as a colorless oil (793 mg, yield 13%).

REFERENCE SYNTHETIC EXAMPLE^a 125

2-[[trans-2-(4-Fluorophenyl)cyclopropyl]methyl]isoindoline-1,3-dione

trans-Ethyl 2-(4-Fluorophenyl)cyclopropane-1-carboxylate (793 mg, 4.57 mmol) in tetrahydrofuran (7 mL) was stirred with lithium aluminium hydride (173 mg, 4.57 mmol) under cooling with ice for 10 minutes. After addition of 1 M aqueous sodium hydroxide, the reaction mixture was extracted with ethyl acetate, and the organic layer was dried over anhydrous sodium sulfate and concentrated under reduced pressure. The resulting residue was dissolved in tetrahydrofuran (10 mL), mixed with triphenylphosphine (999 mg, 3.81 mmol), isoindoline-1,3-dione (560 mg, 3.81 mmol) and azodicarboxylic acid diisopropyl ester - toluene solution (1.9 M, 2.00 mL, 3.81 mmol) under cooling with ice, and the reaction mixture was stirred at room temperature for 1 hour and concentrated under reduced pressure. The residue was purified by silica gel column chromatography (hexane / ethyl acetate = 5/1 (v/v)) to give the title compound as a colorless solid (975 mg, yield 87%(2 steps)).

REFERENCE SYNTHETIC EXAMPLE^a 126

[trans-2-(4-Fluorophenyl)cyclopropyl]methanamine

2-[[trans-2-(4-Fluorophenyl)cyclopropyl]methyl]isoindoline-1,3-dione (974 mg, 3.30 mmol) in ethanol (50 mL) was stirred with hydrazine monohydrate (825 mg, 16.5 mmol) at 100°C for 30 minutes. The reaction mixture was concentrated to give the title compound as a colorless oil (360 mg, yield 66%).

REFERENCE SYNTHETIC EXAMPLE^a 127

4-Aminoadamantan-1-ol

Concentrated sulfuric acid (35 mL) was mixed with concentrated nitric acid (4.5 mL) and 2-adamanthylamine (5.10 g, 4.57 mmol) under cooling with ice, and the reaction mixture was stirred at room temperature for 2 hours. The reaction mixture was added to ice water and adjusted to pH 10 with 7.5 M aqueous sodium hydroxide. After addition of water, the reaction mixture was extracted with chloroform, and the organic layer was dried over anhydrous magnesium sulfate and concentrated under reduced pressure to give the title compound as a yellow solid (2.79 g, yield 61%).

REFERENCE SYNTHETIC EXAMPLE^a 128

128a: Benzyl [(1R,2s,3S,5s,7s)-5-hydroxyadamantan-2-yl]carbamate

128b: Benzyl [(1R,2r,3S,5s,7s)-5-hydroxyadamantan-2-yl]carbamate

4-Aminoadamantan-1-ol (2.57 g, 15.4 mmol) in tetrahydrofuran (25 mL) was mixed with benzyl chloroformate (2.30 mL, 16.1 mmol) and 1 M aqueous sodium hydroxide (16.0 mL, 16.0 mmol) under cooling with ice and then stirred at room temperature for one day. After addition of 10% aqueous potassium hydrogen sulfate, the reaction mixture was extracted with ethyl acetate, and the organic layer was washed with saturated aqueous sodium chloride, dried over anhydrous magnesium sulfate and concentrated under reduced pressure. The residue was purified by silica gel column chromatography (hexane / ethyl acetate = 1/2 (v/v)) to give benzyl

[(1R,2S,3S,5S,7S)-5-hydroxyadamantan-2-yl]carbamate (Reference Synthetic Example^a 128a; yellow oil, 1.72 g, yield 37%) in a more polar fraction and benzyl

[(1R,2R,3S,5S,7S)-5-hydroxyadamantan-2-yl]carbamate (Reference Synthetic Example^a 128b; yellow oil, 2.24 g, yield 48%) in a less polar fraction.

REFERENCE SYNTHETIC EXAMPLE^a 129

(1s,3R,4s,5S,7s)-4-Aminoadamantan-1-ol

REFERENCE SYNTHETIC EXAMPLE^a 134

(4-(((tert-Butyldimethylsilyl)oxy)methyl)cyclohexyl)(7H-pyrrolo[2,3-d]pyrimidin-4-yl)methanone

Isopropylmagnesium chloride-lithium chloride complex - tetrahydrofuran solution (1.3 M, 39.2 mL, 51.0 mmol) was added dropwise to 4-iodo-7H-pyrrolo[2,3-d]pyrimidine (5.00 g, 20.4 mmol) obtained in Reference Synthetic Example^a 1 in tetrahydrofuran (50 mL) at -50°C, and stirred at -50°C for 1 hour. The reaction mixture was mixed with 4-(((tert-butyl dimethylsilyl)oxy)methyl)-N-methoxy-N-methylcyclohexanecarboxamide (6.44 g, 20.4 mmol) in tetrahydrofuran (30 mL) at -50°C and then stirred at room temperature for 23 hours. After addition of saturated aqueous ammonium chloride, the reaction mixture was extracted with ethyl acetate. The organic layer was washed with saturated sodium chloride, dried over anhydrous sodium sulfate and concentrated under reduced pressure. The residue was purified by silica gel column chromatography (hexane / ethyl acetate = 3/1 (v/v)) to give the title compound as a colorless oil (5.14 g, yield 67%).

REFERENCE SYNTHETIC EXAMPLE^a 135

135a: 1-(cis-4-(((tert-Butyldimethylsilyl)oxy)methyl)cyclohexyl)-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine

135b: 1-(trans-4-(((tert-Butyldimethylsilyl)oxy)methyl)cyclohexyl)-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine

(4-(((tert-Butyldimethylsilyl)oxy)methyl)cyclohexyl)(7H-pyrrolo[2,3-d]pyrimidin-4-yl)methanone (9.23 g, 24.7 mmol) in methanol (200 mL) was mixed with hydrazine monohydrate (38.0 mL, 618 mmol) and then stirred at 80°C for 3 hours. The reaction mixture was allowed to cool to room temperature and mixed with ethyl acetate, washed with water and saturated sodium chloride. The organic layer was dried over anhydrous sodium sulfate and concentrated under reduced pressure. The residue was dissolved in chloroform (240 mL) and mixed with manganese(IV) oxide (10.7 g, 124 mmol). The reaction mixture was stirred at 70°C for 1 day. The reaction mixture was filtered, and the filtrate was concentrated under reduced pressure. The residue was purified by silica gel chromatography (hexane / ethyl acetate = 3/1 (v/v)) to give 1-(cis-4-(((tert-butyl dimethylsilyl)oxy)methyl)cyclohexyl)-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine (Reference Synthetic Example^a 135a; pale yellow solid, 670 mg, yield 7%) in a less polar fraction and 1-(trans-4-(((tert-butyl dimethylsilyl)oxy)methyl)cyclohexyl)-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine (Reference Synthetic Example^a 135b; pale yellow solid, 5.02 g, yield 52%) in a more polar fraction.

REFERENCE SYNTHETIC EXAMPLE^a 136

Cyclopropylamine hydrochloride

Cyclopropylamine (0.600 mL, 8.76 mmol) was mixed with 1 M hydrogen chloride - diethylether solution (10 mL) under cooling with ice and stirred for 2 hours. The reaction mixture was concentrated under reduced pressure, and the precipitate was washed with diethyl ether to give the title compound as a colorless solid (686 mg, yield 84%).

REFERENCE SYNTHETIC EXAMPLE^a 137

tert-Butyl 3-(dimethylamino)azetidine-1-carboxylate

tert-Butyl 3-oxoazetidine-1-carboxylate (300 mg, 1.75 mmol) obtained in Reference Synthetic Example^a 112 in methanol (15 mL) was mixed with acetic acid (1.0 mL), dimethylamine - tetrahydrofuran solution (2.0M, 1.31 mL, 2.63 mmol) and 2-picoline borane (280 mg, 2.63 mmol). The reaction mixture was stirred at room

temperature for 1 day. After addition of 1M aqueous hydrogen chloride, the reaction mixture was extracted with ethyl acetate. The aqueous layer was adjusted to pH 10 with 1 M aqueous sodium hydroxide and extracted with ethyl acetate. The organic layer was dried over anhydrous sodium sulfate and concentrated under reduced pressure to give the title compound as a colorless solid (134 mg, yield 90%).

REFERENCE SYNTHETIC EXAMPLE^a 138

tert-Butyl 3-[ethyl(methyl)amino]azetidine-1-carboxylate

The reactions in Reference Synthetic Example^a 137 were carried out in substantially the same manners except that N-methylethanamine hydrochloride was used instead of dimethylamine - tetrahydrofuran solution to give the title compound as a colorless solid/pale yellow oil (121 mg, yield 46%).

REFERENCE SYNTHETIC EXAMPLE^a 139

tert-Butyl 3-(cyanomethylene)azetidine-1-carboxylate

Potassium tert-butoxide (2.03 g, 21.1 mmol) in tetrahydrofuran (20 mL) was mixed with diethyl cyanomethylphosphonate (3.54 g, 20.0 mmol) in tetrahydrofuran (20 mL) under cooling with ice and stirred for 30 minutes. The reaction mixture was mixed with tert-butyl 3-oxoazetidine-1-carboxylate (2.96 g, 17.3 mmol) obtained in Reference Synthetic Example^a 112 in tetrahydrofuran (20 mL) under cooling with ice and then stirred at room temperature for 1 day. After addition of water, the reaction mixture was extracted with ethyl acetate. The organic layer was washed with saturated sodium chloride, dried over anhydrous magnesium sulfate and concentrated under reduced pressure. The residue was purified by silica gel column chromatography (hexane / ethyl acetate = 3/1 (v/v)) to give the title compound as a colorless solid (1.93 g, yield 58%).

REFERENCE SYNTHETIC EXAMPLE^a 140

3-Hydroxy-N-methoxy-N-methyladamantane-1-carboxamide

3-Hydroxyadamantane-1-carboxylic acid (500 mg, 2.55 mmol) in dichloromethane (15 mL) was mixed with 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride (587 mg, 3.06 mmol), 1-hydroxybenzotriazole (103 mg, 0.765 mmol), N,O-dimethylhydroxylamine hydrochloride (298 mg, 3.06 mmol) and N,N-diisopropylethylamine (1.06 mL, 6.12 mmol) and then stirred at 40°C for 1 hours. The reaction mixture was stirred with 4-dimethylaminopyridine (779 mg, 6.38 mmol) at 40°C for 4-2 hours. After addition of saturated aqueous ammonium chloride, the reaction mixture was extracted with chloroform. The organic layer was washed with 1M hydrochloric acid and saturated aqueous sodium chloride, dried over anhydrous sodium sulfate and concentrated under reduced pressure to give the title compound as a yellow oil (248 mg, yield 41%).

REFERENCE SYNTHETIC EXAMPLE^a 141

3-Hydroxyadamantan-1-yl(7H-pyrrolo[2,3-d]pyrimidin-4-yl)methanone

Isopropylmagnesium chloride - tetrahydrofuran solution (2.0 M, 0.518 mL, 1.035 mmol) was gradually added dropwise to 4-iodo-7H-pyrrolo[2,3-d]pyrimidine (56.4 mg, 0.230 mmol) in tetrahydrofuran (1 mL) cooled to -78°C, and the resulting reaction mixture was stirred at -78°C for 15 minutes. The reaction mixture was mixed with (2,6-dimethylphenyl)magnesium bromide - tetrahydrofuran solution (1.0 M, 0.575 mL, 0.575 mmol) and 3-hydroxy-N-methoxy-N-methyladamantane-1-carboxamide (55.1 mg, 0.23 mmol) in tetrahydrofuran (1 mL) and then stirred at room temperature for 1 day. After addition of saturated aqueous ammonium chloride, the reaction mixture was extracted with ethyl acetate. The organic layer was washed with water and saturated aqueous

TABLE^a 7

Ex	Compound Name	Morphology	Yield
33	1-{1-[4-(trifluoromethyl)benzyl]piperidin-4-yl}-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine	colorless solid	64%
34	4-{[4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)piperidin-1-yl]methyl}benzonitrile	pale yellow solid	38%
35	3-{[4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)piperidin-1-yl]methyl}benzonitrile	colorless solid	37%
36	4-{[4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)piperidin-1-yl]methyl}-3,5-dimethylisoxazole	colorless solid	38%
37	1-{1-[4-(trifluoromethoxy)benzyl]piperidin-4-yl}-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine	colorless solid	33%
38	1-(1-{4-[(trifluoromethyl)thio]benzyl}piperidin-4-yl)-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine	colorless solid	28%
39	1-{1-[3-(trifluoromethyl)benzyl]piperidin-4-yl}-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine	colorless solid	35%
40	4-{[4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)piperidin-1-yl]methyl}-3-fluorobenzonitrile	colorless solid	45%
41	1-[1-(4-bromobenzyl)piperidin-4-yl]-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine	colorless solid	64%
42	1-{1-[4-(trifluoromethyl)phenethyl]piperidin-4-yl}-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine hydrochloride	colorless solid	33%
43	1-[1-(4-fluorobenzyl)piperidin-4-yl]-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine	colorless solid	2.0%

SYNTHETIC EXAMPLE^a 445-{[4-(7H-Pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)piperidin-1-yl]methyl}thiazole

5 1-(Piperidin-4-yl)-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine acetate (20.0 mg, 0.0662 mmol) obtained in Reference Synthetic Example^a 104 in methanol (1 mL) was stirred with thiazole-5-carbaldehyde (11.0 μ L, 0.124 mmol), acetic acid (100 μ L) and 2-picoline borane (13.0 mg, 0.124 mmol) at room temperature for one day. The reaction mixture was purified by silica gel column chromatography (methanol / chloroform = 1/30
10 $\rightarrow$ 1/25 $\rightarrow$ 1/20 (v/v)). The resulting solid was washed with isopropyl ether to give the title compound as a colorless solid (9.05 mg, yield 40%).

SYNTHETIC EXAMPLES^a 45 TO 55

15 The reactions in Synthetic Example^a 44 were carried out in substantially the same manners except that 3-phenylpropionaldehyde, 3-fluoro-4-methoxybenzaldehyde, 3,5-bis(trifluoromethyl)benzaldehyde, 2-formylthiazole, 5-chlorothiophene-2-carboxaldehyde, cyclohexanecarboxaldehyde, cyclopentanone, 6-(trifluoromethyl)-3-pyridinecarboxaldehyde, 3,5-difluoro-4-formylbenzonitrile, 4-chlorobenzaldehyde or 3-fluorobenzaldehyde was used instead of thiazole-5-carbaldehyde to give the

SYNTHETIC EXAMPLE^a 432

2-[[trans-4-(7H-Pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl]methyl}malononitrile

2-[[trans-4-(7H-Pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl]methylene}malononitrile (25.8 mg, 0.0812 mmol) in tetrahydrofuran (3 mL) was mixed with diethyl 1,4-dihydro-2,6-dimethylpyridine-3,5-dicarboxylate (30.8 mg, 0.122 mmol) and stirred at room temperature for 1 hours. After addition of water, the reaction mixture was extracted with ethyl acetate. The organic layer was washed with saturated aqueous ammonium chloride, dried over anhydrous sodium sulfate and concentrated under reduced pressure. The residue was purified by silica gel column chromatography (hexane / ethyl acetate = 1/1 → 1/2 → 0/1 (v/v)) to give the title compound as a colorless solid (14.2 mg, yield 55%).

SYNTHETIC EXAMPLE^a 433

1-(4-Methylenecyclohexyl)-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine

1-[trans-4-(Iodomethyl)cyclohexyl]-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine (15.0 mg, 0.0393 mmol) obtained in Synthetic Example^a 367 in tetrahydrofuran (1 mL) was mixed with (trifluoromethyl)trimethylsilane (7.60 μ L, 0.0512 mmol) and tetrabutylammonium fluoride - tetrahydrofuran solution (1 M, 51.2 μ L, 0.0512 mmol) under cooling with ice and then stirred at room temperature for 2 days. The reaction mixture was mixed with water, and the precipitate was collected by filtration. The resulting residue was purified by silica gel thin layer chromatography (ethyl acetate / hexane = 1/1 (v/v)) to give the title compound as a colorless solid (3.80 mg, yield 38%).

SYNTHETIC EXAMPLE^a 434

2-[4-(7H-Pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexylidene]acetonitrile

Diethyl (cyanomethyl)phosphonate (37.0 μ L, 0.235 mmol) in tetrahydrofuran (1 mL) was mixed with sodium hydride (55 wt% dispersion in mineral oil, 10.0 mg, 0.235 mmol) under cooling with ice and then stirred for 30 minutes. The reaction mixture was mixed with 4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexanone (20.0 mg, 0.0783 mmol) obtained in Synthetic Example^a 82 and then stirred at room temperature for 30 minutes. After addition of water, the reaction mixture was extracted with ethyl acetate. The organic layer was washed with saturated aqueous sodium chloride, dried over anhydrous magnesium sulfate and concentrated under reduced pressure. The residue was purified by silica gel column chromatography (ethyl acetate / hexane = 1/2 → 1/1 → 1/0 (v/v)) to give the title compound as a colorless solid (20.0 mg, yield 92%).

SYNTHETIC EXAMPLE^a 435

435a: 2-[cis-4-(7H-Pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl]acetonitrile

435b: 2-[trans-4-(7H-Pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl]acetonitrile

2-[4-(7H-Pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexylidene]acetonitrile (20.0 mg, 0.0720 mmol) in tetrahydrofuran (10 mL) were stirred with 5% palladium-carbon (10 mg) at room temperature for 4 hours under a hydrogen atmosphere. The reaction mixture was filtered, and the filtrate was concentrated under reduced pressure. The residue was purified by silica gel thin layer chromatography (hexane / ethyl acetate = 1/1 (v/v)) to give 2-[cis-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl]acetonitrile (Synthetic Example^a 435a; colorless solid, 1.30 mg, yield 6%) in a less polar fraction and 2-[trans-4-(7H-pyrrolo[3,2-

REFERENCE SYNTHETIC EXAMPLE^b 61

rac-2-([(3R,4R)-4-Methyl-3-(4-oxo-7-[[2-(trimethylsilyl)ethoxy]methyl]-4,7-dihydro-1H-pyrrolo[2,3-h][1,6]naphthyridin-1-yl)piperidin-1-yl]sulfonyl)benzonitrile

- 5 rac-1-[(3R,4R)-4-Methylpiperidin-3-yl]-7-[[2-(trimethylsilyl)ethoxy]methyl]-1H-pyrrolo[2,3-h][1,6]naphthyridin-4(7H)-one (20 mg, 0.049 mmol) obtained in Reference Synthetic Example^b 36 in dichloromethane (2 mL) was stirred with 2-cyanobenzenesulfonyl chloride (15 mg, 0.074 mmol) and N,N-diisopropylethylamine (20 μ L, 0.11 mmol) at room temperature for 1 hour. The reaction mixture was purified by silica gel column chromatography (hexane / ethyl acetate / methanol = 1/1/0 $\rightarrow$ 0/10/1 (v/v/v)) to give the title compound as a colorless solid (24.5 mg, yield 87%).
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REFERENCE SYNTHETIC EXAMPLES^b 62 TO 71

- The reactions in Reference Synthetic Example^b 61 were carried out in substantially the same manners except that 3-cyanobenzenesulfonyl chloride, ethyl chloroformate, 1-isocyanato-2-(trifluoromethyl)benzene, 1-isocyanato-3-
- 15 | (trifluoromethyl)benzene, 2-(trifluoromethyl)benzoyl chloride, 3-(trifluoromethyl)benzoyl chloride, 2-(4-fluorophenyl)acetyl chloride, 3-(trifluoromethyl)benzenesulfonyl chloride, 4-(trifluoromethyl)benzoyl chloride or benzyl chloroformate was used instead of 2-cyanobenzenesulfonyl chloride to give the compounds of Reference Synthetic Examples^b 62 to 71. The names, morphologies and yields of the compounds
- 20 synthesized are shown in Tables^b 3 to 4.

1-{1-[4-(Trifluoromethyl)benzyl]piperidin-4-yl}-7-{[2-(trimethylsilyl)ethoxy]methyl}-1H-pyrrolo[2,3-h][1,6]naphthyridin-4(7H)-one

1-(Piperidin-4-yl)-7-{[2-(trimethylsilyl)ethoxy]methyl}-1H-pyrrolo[2,3-h][1,6]naphthyridin-4(7H)-one (20 mg, 0.050 mmol) obtained in Reference Synthetic Example^b 99 in dichloromethane was stirred with 4-(trifluoromethyl)benzyl bromide (14.3 mg, 0.0600 mmol) and triethylamine (10.5 μ L, 0.0750 mmol) for one day. After addition of water, the reaction mixture was extracted with chloroform, and the organic layer as dried over anhydrous magnesium sulfate and concentrated under reduced pressure. The residue was purified by silica gel column chromatography (chloroform / methanol = 9/1 (v/v)) to give the title compound (20 mg, yield 72%).

REFERENCE SYNTHETIC EXAMPLE^b 102

4-{[4-(4-Oxo-7-{[2-(trimethylsilyl)ethoxy]methyl}-4,7-dihydro-1H-pyrrolo[2,3-h][1,6]naphthyridin-1-yl)piperidin-1-yl]methyl}benzonitrile

The reactions in Reference Synthetic Example^b 101 were carried out in substantially the same manners except that 4-cyanobenzyl bromide was used instead of 4-(trifluoromethyl)benzyl bromide to give the title compound (29.7 mg, yield 77%).

REFERENCE SYNTHETIC EXAMPLE^b 103

3-Fluoro-4-{[4-(4-oxo-7-{[2-(trimethylsilyl)ethoxy]methyl}-4,7-dihydro-1H-pyrrolo[2,3-h][1,6]naphthyridin-1-yl)piperidin-1-yl]methyl}benzonitrile

The reactions in Reference Synthetic Example^b 101 were carried out in substantially the same manners except that 4-(bromomethyl)-3-fluorobenzonitrile was used instead of 4-(trifluoromethyl)benzyl bromide to give the title compound as a yellow oil (17.6 mg, yield 66%).

REFERENCE SYNTHETIC EXAMPLE^b 104

4-[(1-Benzylpiperidin-4-yl)amino]-1H-pyrrolo[2,3-b]pyridine-5-carbaldehyde

4-Chloro-1H-pyrrolo[2,3-b]pyridine-5-carbaldehyde (600 mg, 3.32 mmol) obtained in Reference Synthetic Example^b 7 and 1-benzylpiperidin-4-amine (2.53 g, 13.3 mmol) in ethylene glycol (300 μ L) were stirred at 180°C for 2 hours under microwave irradiation. The reaction mixture was allowed to cool to room temperature and, after addition of water, extracted with chloroform, and the organic layer was dried over anhydrous magnesium sulfate and concentrated under reduced pressure. The resulting residue was dissolved in 1,4-dioxane (5 mL) and stirred with 4 M hydrogen chloride - 1,4-dioxane solution (5 mL) and water (2 mL) at room temperature for one day. The reaction mixture was concentrated under reduced pressure, adjusted to pH 9 or above with 1M aqueous sodium hydroxide and extracted with chloroform and water, and the organic layer was dried over anhydrous magnesium sulfate and concentrated under reduced pressure. The residue was purified by silica gel column chromatography (Hi Flash column amino type manufactured by Yamazen Corporation: hexane / ethyl acetate = 1/1 (v/v)) to give the title compound (672 mg, yield 60%).

REFERENCE SYNTHETIC EXAMPLE^b 105

4-[(1-Benzylpiperidin-4-yl)amino]-1-{[2-(trimethylsilyl)ethoxy]methyl}-1H-pyrrolo[2,3-b]pyridine-5-carbaldehyde

4-[(1-Benzylpiperidin-4-yl)amino]-1H-pyrrolo[2,3-b]pyridine-5-carbaldehyde (672 mg, 2.01 mmol) in N,N-dimethylformamide (5 mL) was mixed with sodium hydride (55 wt% dispersion in mineral oil, 436 mg, 10.0 mmol) under cooling with ice, and the reaction mixture was stirred for 30 minutes. The reaction mixture was stirred with [2-(chloromethoxy)ethyl]trimethylsilane (885 μ L, 5.00 mmol) at room temperature for one day. After addition of water, the reaction mixture was extracted with chloroform, and

(trifluoromethyl)pyridine was used instead of 4-(trifluoromethyl)benzyl bromide to give the compounds of Reference Examples^b 129 to 134. The names, morphologies and yields of the compounds synthesized are shown in Table^b 5.

TABLE^b 5

Rf	Compound Name	Yield
129	1-(1-{[5-(trifluoromethyl)furan-2-yl]methyl}piperidin-4-yl)-7-{[2-(trimethylsilyl)ethoxy]methyl}-1H-pyrrolo[2,3-h][1,6]naphthyridin-4(7H)-one	74%
130	1-{1-[5-nitrofuran-2-yl]methyl}piperidin-4-yl}-7-{[2-(trimethylsilyl)ethoxy]methyl}-1H-pyrrolo[2,3-h][1,6]naphthyridin-4(7H)-one	84%
131	Ethyl 5-{[4-(4-oxo-7-{[2-(trimethylsilyl)ethoxy]methyl}-4,7-dihydro-1H-pyrrolo[2,3-h][1,6]naphthyridin-1-yl]piperidin-1-yl]methyl}furan-2-carboxylate	74%
132	1-[1-(3,4-difluorobenzyl)piperidin-4-yl]-7-{[2-(trimethylsilyl)ethoxy]methyl}-1H-pyrrolo[2,3-h][1,6]naphthyridin-4(7H)-one	82%
133	1-[1-(3,4-dichlorobenzyl)piperidin-4-yl]-7-{[2-(trimethylsilyl)ethoxy]methyl}-1H-pyrrolo[2,3-h][1,6]naphthyridin-4(7H)-one	95%
134	1-(1-{[6-(trifluoromethyl)pyridin-3-yl]methyl}piperidin-4-yl)-7-{[2-(trimethylsilyl)ethoxy]methyl}-1H-pyrrolo[2,3-h][1,6]naphthyridin-4(7H)-one	79%

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REFERENCE SYNTHETIC EXAMPLES^b 135 TO 143

The reactions in Reference Synthetic Example^b 100 were carried out in substantially the same manners except that 2-chlorothiazole-5-carbaldehyde, 4-fluoro-3-(trifluoromethyl)benzaldehyde, 5-nitrothiophene-3-carbaldehyde, 5-bromofuran-2-carbaldehyde, 5-bromothiophene-2-carbaldehyde, 4-bromothiophene-2-carbaldehyde, 2-bromothiazole-5-carbaldehyde, 2,2-difluorobenzo[d][1,3]dioxole-5-carbaldehyde or 1H-indole-5-carbaldehyde was used instead of 5-chlorothiophene-2-carbaldehyde to give the compounds of Reference Examples^b 135 to 143. The names, morphologies and yields of the compounds synthesized are shown in Table^b 6.

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reaction mixture was allowed to cool to room temperature and stirred with saturated aqueous sodium thiosulfate and saturated aqueous sodium hydrogen carbonate at room temperature for 30 minutes. The precipitated solid was collected by filtration to give the title compound as a colorless solid (26.7 mg, yield 77%).

5 SYNTHETIC EXAMPLE^b 134

3-[trans-4-(2,4-Dioxo-2,3,4,7-tetrahydro-1H-pyrrolo[3',2':5,6]pyrido[4,3-d]pyrimidin-1-yl)cyclohexyl]propanenitrile

3-[trans-4-(2,4-Dioxo-7-[[2-(trimethylsilyl)ethoxy]methyl]-2,3,4,7-tetrahydro-1H-pyrrolo[3',2':5,6]pyrido[4,3-d]pyrimidin-1-yl)cyclohexyl]acrylonitrile (16.2 mg, 0.0347 mmol) obtained in Reference Synthetic Example^b 170 in tetrahydrofuran (1.0 mL) was stirred with 5% palladium-carbon (10 mg) at room temperature for 1 day under a hydrogen atmosphere. The reaction mixture was filtered, and the filtrate was concentrated under reduced pressure. The resulting residue was dissolved in dichloromethane (1.0 mL) and stirred with trifluoroacetic acid (0.4 mL) at room temperature for 5 hours. The reaction mixture was concentrated under reduced pressure, and the resulting residue was stirred with methanol (0.7 mL), ethylenediamine (30 μ L) and 1 M aqueous sodium hydroxide (30 μ L) at room temperature for 1 day. The reaction mixture was filtered, and the resulting solid was washed with water and methanol to give the title compound as a colorless solid (2.73 mg, yield 25% (three steps)).

20 SYNTHETIC EXAMPLE^b 135

2-Cyano-N-[[trans-4-(2,4-dioxo-2,3,4,7-tetrahydro-1H-pyrrolo[3',2':5,6]pyrido[4,3-d]pyrimidin-1-yl)cyclohexyl]methyl]-N-(2,2,2-trifluoroethyl)acetamide

1-(4-[[[(2,2,2-Trifluoroethyl)amino]methyl]cyclohexyl]-7-[[2-(trimethylsilyl)ethoxy]methyl]-1H-pyrrolo[3',2':5,6]pyrido[4,3-d]pyrimidine-2,4(3H,7H)-dione (25.0 mg, 0.048 mmol) obtained in Reference Synthetic Example^b 169 in N,N-dimethylformamide (1 mL) was stirred with 2-cyanoacetic acid (10 mg, 0.071 mmol), O-(7-azabenzotriazol-1-yl)-N,N,N',N'-tetramethyluronium hexafluorophosphate (27 mg, 0.071 mmol) and N,N-diisopropylethylamine (16 μ L, 0.095 mmol) at room temperature for 3 days. After addition of saturated aqueous sodium hydrogen carbonate, the reaction mixture was extracted with chloroform, and the organic layer was dried over anhydrous sodium sulfate and concentrated under reduced pressure. The residue was purified by silica gel column chromatography (chloroform / methanol = 19/1 (v/v)) to give the compound as a yellow oil. The resulting yellow oil was dissolved in dichloromethane (1.0 mL) and stirred with trifluoroacetic acid (150 μ L) at room temperature for 1 day. The reaction mixture was concentrated under reduced pressure, and the resulting residue was stirred with methanol (1 mL), ethylenediamine (50 μ L) and 1 M aqueous sodium hydroxide (50 μ L) at room temperature for 1 day. The precipitated solid was collected by filtration to give the title compound as a colorless solid (2.70 mg, yield 14% (three steps)).

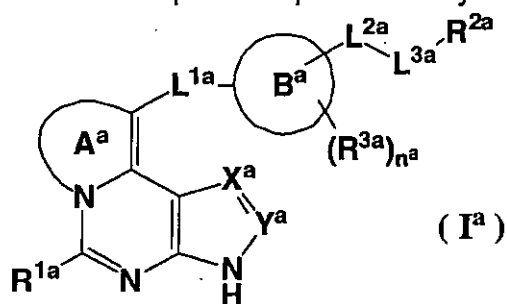
40 SYNTHETIC EXAMPLE^b 136

1-(trans-4-[[Methyl(2,2,2-trifluoroethyl)amino]methyl]cyclohexyl)-1H-pyrrolo[3',2':5,6]pyrido[4,3-d]pyrimidine-2,4(3H,7H)-dione

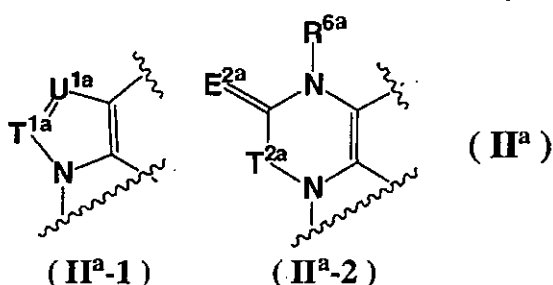
1-(4-[[[(2,2,2-Trifluoroethyl)amino]methyl]cyclohexyl]-7-[[2-(trimethylsilyl)ethoxy]methyl]-1H-pyrrolo[3',2':5,6]pyrido[4,3-d]pyrimidine-2,4(3H,7H)-dione (30 mg, 0.048 mmol) obtained in Reference Synthetic Example^b 169 in a mixture of methanol (1 mL) and acetic acid (100 μ L) was stirred with formaldehyde solution (37%) (20 μ L) and 2-picoline borane (15 mg, 0.14 mmol) at room temperature for 3

CLAIMS

1. A compound represented by the formula (I^a):



[wherein the ring A^a is represented by the following formula (II^a-1) or the formula (II^a-2):



(wherein T^{1a} is a nitrogen atom or CR^{4a}, U^{1a} is a nitrogen atom or CR^{5a}, T^{2a} is a single bond or CR^{7a}R^{8a}, and E^{2a} is an oxygen atom or a sulfur atom),

X^a is a nitrogen atom or CR^{9a},

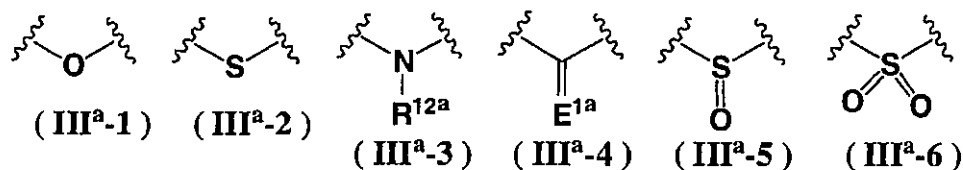
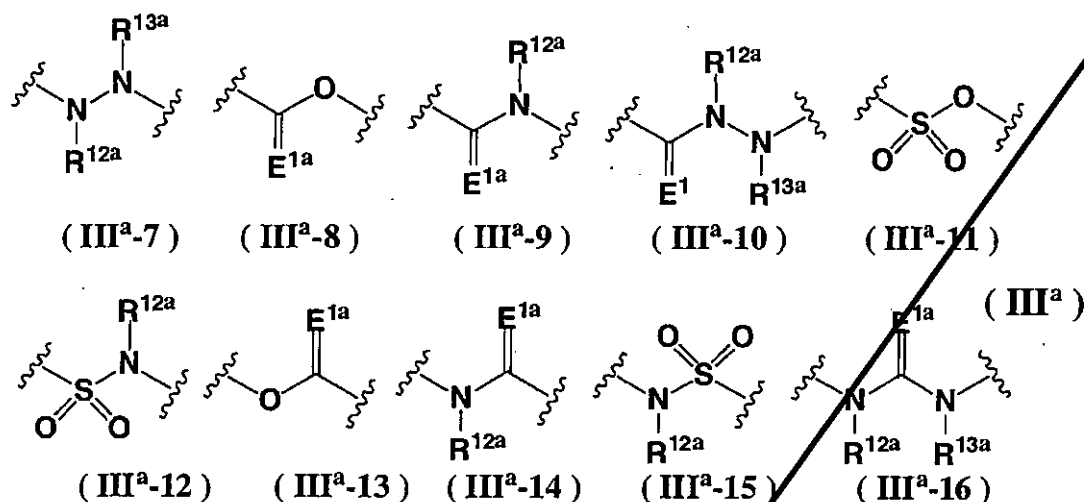
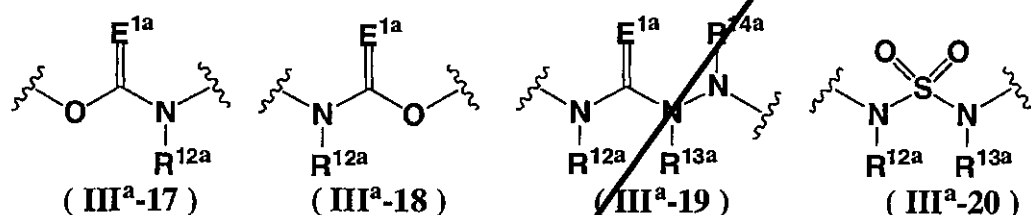
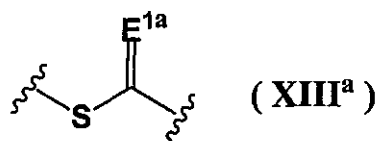
Y^a is CR^{10a},

R^{1a} is a hydrogen atom, a halogen atom, a C₁₋₆ alkyl group or a C₁₋₆ haloalkyl group, the ring B^a is a C₃₋₁₁ cycloalkane, a C₃₋₁₁ cycloalkene (a ring-constituting methylene group of the C₃₋₁₁ cycloalkane and the C₃₋₁₁ cycloalkene may be replaced by a carbonyl group), a 3 to 14-membered non-aromatic heterocycle, a C₆₋₁₄ aromatic carbocycle or a 5 to 10-membered aromatic heterocycle,

L^{1a} is a single bond, a C₁₋₆ alkylene group, a C₂₋₆ alkenylene group or a C₂₋₆ alkynylene group (the C₁₋₆ alkylene group, the C₂₋₆ alkenylene group and the C₂₋₆ alkynylene group are unsubstituted or substituted with one or more identical or different substituents independently selected from the group consisting of halogen atoms, hydroxy groups, amino groups, cyano groups and nitro groups),

L^{2a} is a single bond, a C₁₋₆ alkylene group, a C₂₋₆ alkenylene group, a C₂₋₆ alkynylene group (the C₁₋₆ alkylene group, the C₂₋₆ alkenylene group and the C₂₋₆ alkynylene group are unsubstituted or substituted with one or more identical or different substituents independently selected from the group consisting of halogen atoms, hydroxy groups, amino groups, cyano groups and nitro groups), =C(R^{15a})- (wherein R^{15a} is a hydrogen atom or a cyano group, and the bond connecting the ring B^a and L^{2a} is a double bond) or =C(R^{15a})-CH₂- (wherein R^{15a} is a hydrogen atom or a cyano group, and the bond connecting the ring B^a and L^{2a} is a double bond),

L^{3a} is a single bond or represented by any of the following formulae (III^a-1) to (III^a-20) and the formula (XIII^a):



(wherein E^{1a} is an oxygen atom, a sulfur atom or NR^{11a}),

when L^{3a} is a single bond, R^{2a} is a hydrogen atom, a halogen atom, an azido group, a C₃₋₁₁ cycloalkyl group, a 3 to 14-membered non-aromatic heterocyclyl group, a C₆₋₁₄ aryl group, a 5 to 10-membered aromatic heterocyclyl group, a 8 to 14-membered partially saturated aromatic cyclic group or a 8 to 14-membered aromatic ring-condensed alicyclic hydrocarbon group (the C₃₋₁₁ cycloalkyl group, the 3 to 14-membered non-aromatic heterocyclyl group, the C₆₋₁₄ aryl group, the 5 to 10-membered aromatic heterocyclyl group, the 8 to 14-membered partially saturated aromatic cyclic group and the 8 to 14-membered aromatic ring-condensed alicyclic hydrocarbon group are unsubstituted or substituted with one or more identical or different substituents independently selected from the group consisting of the substituent set V^{4a}, substituent set V^{9a} and C₁₋₆ alkyl groups (the C₁₋₆ alkyl groups are substituted with a C₁₋₆ alkoxy, carbonylamino group (the C₁₋₆ alkoxy, carbonylamino group is unsubstituted or substituted with one or more identical or different halogen atoms independently selected from the group consisting of fluorine atoms, chlorine atoms, bromine atoms and iodine atoms))),

when L^{3a} is not a single bond, R^{2a} is a hydrogen atom, a C₁₋₆ alkyl group, a C₂₋₆ alkenyl group, a C₂₋₆ alkynyl group (the C₁₋₆ alkyl group, the C₂₋₆ alkenyl group and the C₂₋₆ alkynyl group are unsubstituted or substituted with one or more identical or different

substituents independently selected from the substituent set V^{6a} and the substituent set V^{9a}), a C_{3-11} cycloalkyl group, a 3 to 14-membered non-aromatic heterocyclyl group, a C_{6-14} aryl group, a 5 to 10-membered aromatic heterocyclyl group, a 8 to 14-membered partially saturated aromatic cyclic group or a 8 to 14-membered aromatic ring-condensed alicyclic hydrocarbon group (the C_{3-11} cycloalkyl group, the 3 to 14-membered non-aromatic heterocyclyl group, the C_{6-14} aryl group, the 5 to 10-membered aromatic heterocyclyl group, the 8 to 14-membered partially saturated aromatic cyclic group and the 8 to 14-membered aromatic ring-condensed alicyclic hydrocarbon group are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{4a} and the substituent set V^{9a}), n^a is 0, 1 or 2,

R^{3a} is a hydroxy group, an amino group, a carboxy group, a carbamoyl group, a sulfamoyl group, a phosphono group, a phosphonooxy group, a sulfo group, a sulfoxy group, a tetrazolyl group, a halogen atom, a cyano group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} haloalkyl group, a C_{3-11} cycloalkyl group, a C_{2-6} alkenyl group, a C_{2-6} haloalkenyl group, a C_{1-6} alkoxy group, a C_{1-6} haloalkoxy group, a C_{1-6} alkylthio group, a C_{1-6} haloalkylthio group, a C_{1-6} alkylcarbonyl group, a C_{1-6} haloalkylcarbonyl group, a C_{1-6} alkylsulfonyl group, a C_{1-6} haloalkylsulfonyl group, a C_{1-6} alkoxycarbonyl group, a mono- C_{1-6} alkylamino group, a di- C_{1-6} alkylamino group, a mono- C_{1-6} alkylaminocarbonyl group, a di- C_{1-6} alkylaminocarbonyl group or a C_{1-6} alkylcarbonylamino group (when n^a is 2, R^{3a} 's may be identical or different), each of R^{4a} , R^{5a} , R^{7a} and R^{8a} is independently a hydrogen atom, a hydroxy group, an amino group, a carboxy group, a carbamoyl group, a tetrazolyl group, a halogen atom, a cyano group, a C_{1-6} alkyl group, a C_{2-6} alkenyl group, a C_{1-6} alkoxy group, a C_{1-6} alkylthio group, a C_{1-6} alkylcarbonyl group, a C_{1-6} alkylsulfonyl group, a mono- C_{1-6} alkylamino group, a di- C_{1-6} alkylamino group (the C_{1-6} alkyl group, the C_{2-6} alkenyl group, the C_{1-6} alkoxy group, the C_{1-6} alkylthio group, the C_{1-6} alkylcarbonyl group, the C_{1-6} alkylsulfonyl group, the mono- C_{1-6} alkylamino group and the di- C_{1-6} alkylamino group are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{3a}), a C_{1-6} alkoxycarbonyl group, a C_{3-11} cycloalkyl group, a 3 to 11-membered non-aromatic heterocyclyl group, a C_{6-14} aryl group or a 5 to 10-membered aromatic heterocyclyl group (the C_{3-11} cycloalkyl group, the 3 to 11-membered non-aromatic heterocyclyl group, the C_{6-14} aryl group and the 5 to 10-membered aromatic heterocyclyl group are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{1a}),

R^{6a} is a hydrogen atom, a C_{1-6} alkyl group, a C_{2-6} alkenyl group, a C_{1-6} alkylcarbonyl group, a C_{1-6} alkylsulfonyl group, a C_{1-6} alkoxycarbonyl group, a mono- C_{1-6} alkylaminocarbonyl group, a di- C_{1-6} alkylaminocarbonyl group (the C_{1-6} alkyl group, the C_{2-6} alkenyl group, the C_{1-6} alkylcarbonyl group, the C_{1-6} alkylsulfonyl group, the C_{1-6} alkoxycarbonyl group, the mono- C_{1-6} alkylaminocarbonyl group and the di- C_{1-6} alkylaminocarbonyl group are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{3a}), a C_{3-11} cycloalkyl group, a 3 to 11-membered non-aromatic heterocyclyl group, a C_{6-14} aryl group or a 5 to 10-membered aromatic heterocyclyl group (the C_{3-11} cycloalkyl group, the 3 to 11-membered non-aromatic heterocyclyl group, the C_{6-14} aryl group and the 5 to 10-membered aromatic heterocyclyl group are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set

V^{1a}),

each of R^{9a} and R^{10a} is independently a hydrogen atom, a halogen atom, a cyano group, a carbamoyl group, a C_{1-6} alkyl group, a C_{1-6} haloalkyl group, a C_{3-11} cycloalkyl group, a C_{1-6} alkoxy group, a C_{1-6} haloalkoxy group, a C_{1-6} alkylthio group, a C_{1-6} alkylcarbonyl group, a C_{1-6} alkylsulfonyl group, a 3 to 11-membered non-aromatic heterocyclyl group, a C_{6-14} aryl group or a 5 to 10-membered aromatic heterocyclyl group,

R^{11a} is a hydrogen atom, a hydroxy group, a cyano group, a nitro group, a C_{1-6} alkyl group or a C_{1-6} alkoxy group,

each of R^{12a} , R^{13a} and R^{14a} is independently a hydrogen atom, a C_{1-6} alkyl group, a C_{1-6} haloalkyl group (the C_{1-6} alkyl group and the C_{1-6} haloalkyl group are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{2a} , the substituent set V^{8a} and the substituent set V^{9a}), a C_{3-11} cycloalkyl group, a 3 to 11-membered non-aromatic heterocyclyl group, a C_{6-14} aryl group, a 5 to 10-membered aromatic heterocyclyl group, a 8 to 14-membered partially saturated aromatic cyclic group or a 8 to 14-membered aromatic ring-condensed alicyclic hydrocarbon group (the C_{3-11} cycloalkyl group, the 3 to 11-membered non-aromatic heterocyclyl group, the C_{6-14} aryl group, the 5 to 10-membered aromatic heterocyclyl group, the 8 to 14-membered partially saturated aromatic cyclic group and the 8 to 14-membered aromatic ring-condensed alicyclic hydrocarbon group are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{4a} and the substituent set V^{9a}),

the substituent set V^{1a} consists of hydroxy groups, amino groups, carboxy groups, carbamoyl groups, sulfamoyl groups, phosphono groups, phosphonooxy groups, sulfo groups, sulfoxy groups, tetrazolyl groups, halogen atoms, cyano groups, nitro groups, C_{1-6} alkyl groups, C_{1-6} haloalkyl groups, C_{3-11} cycloalkyl groups, C_{2-6} alkenyl groups, C_{2-6} haloalkenyl groups, C_{1-6} alkoxy groups, C_{1-6} haloalkoxy groups, C_{1-6} alkylthio groups, C_{1-6} haloalkylthio groups, C_{1-6} alkylcarbonyl groups, C_{1-6} haloalkylcarbonyl groups, C_{1-6} alkylsulfonyl groups, C_{1-6} haloalkylsulfonyl groups, C_{1-6} alkoxycarbonyl groups, 3 to 11-membered non-aromatic heterocyclyl groups, mono- C_{1-6} alkylamino groups, di- C_{1-6} alkylamino groups, mono- C_{1-6} alkylaminocarbonyl groups, di- C_{1-6} alkylaminocarbonyl groups and C_{1-6} alkylcarbonylamino groups,

the substituent set V^{2a} consists of the groups in the substituent set V^{1a} and C_{6-14} aryl groups and 5 to 10-membered aromatic heterocyclyl groups (the C_{6-14} aryl groups and 5 to 10-membered aromatic heterocyclyl groups are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{1a}),

the substituent set V^{3a} consists of hydroxy groups, amino groups, carboxy groups, carbamoyl groups, sulfamoyl groups, phosphono groups, phosphonooxy groups, sulfo groups, sulfoxy groups, tetrazolyl groups, halogen atoms, cyano groups, nitro groups, C_{1-6} alkoxy groups, C_{1-6} haloalkoxy groups, C_{1-6} alkylthio groups, C_{1-6} haloalkylthio groups, C_{1-6} alkylcarbonyl groups, C_{1-6} haloalkylcarbonyl groups, C_{1-6} alkylsulfonyl groups, C_{1-6} haloalkylsulfonyl groups, C_{1-6} alkoxycarbonyl groups, mono- C_{1-6} alkylamino groups, di- C_{1-6} alkylamino groups, mono- C_{1-6} alkylaminocarbonyl groups, di- C_{1-6} alkylaminocarbonyl groups, C_{1-6} alkylcarbonylamino groups, C_{3-11} cycloalkyl groups, 3 to 11-membered non-aromatic heterocyclyl groups, C_{6-14} aryl groups and 5 to 10-membered aromatic heterocyclyl groups (the C_{3-11} cycloalkyl groups, the 3 to 11-membered non-aromatic heterocyclyl groups, the C_{6-14} aryl groups and the 5 to 10-membered aromatic heterocyclyl groups are unsubstituted or substituted with one or

more identical or different substituents independently selected from the substituent set V^{1a}),

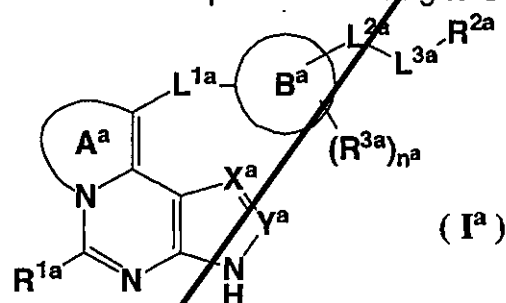
the substituent set V^{4a} consists of hydroxy groups, amino groups, carboxy groups, carbamoyl groups, sulfamoyl groups, phosphono groups, phosphonooxy groups, sulfo groups, sulfoxy groups, tetrazolyl groups, halogen atoms, cyano groups, nitro groups, C_{1-6} alkyl groups, C_{2-6} alkenyl groups, C_{1-6} alkoxy groups, C_{1-6} alkylthio groups, C_{1-6} alkylcarbonyl groups, C_{1-6} alkylsulfonyl groups, C_{1-6} alkoxycarbonyl groups, mono- C_{1-6} alkylamino groups, di- C_{1-6} alkylamino groups, mono- C_{1-6} alkylaminocarbonyl groups, di- C_{1-6} alkylaminocarbonyl groups, C_{1-6} alkylcarbonylamino groups (the C_{1-6} alkyl groups, the C_{2-6} alkenyl groups, the C_{1-6} alkoxy groups, the C_{1-6} alkylthio groups, the C_{1-6} alkylcarbonyl groups, the C_{1-6} alkylsulfonyl groups, the C_{1-6} alkoxycarbonyl groups, the mono- C_{1-6} alkylamino groups, the di- C_{1-6} alkylamino groups, the mono- C_{1-6} alkylaminocarbonyl groups, the di- C_{1-6} alkylaminocarbonyl groups and the C_{1-6} alkylcarbonylamino groups are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{3a}), C_{3-11} cycloalkyl groups, 3 to 11-membered non-aromatic heterocyclyl groups, C_{6-14} aryl groups and 5 to 10-membered aromatic heterocyclyl groups (the C_{3-11} cycloalkyl groups, the 3 to 11-membered non-aromatic heterocyclyl groups, the C_{6-14} aryl group and the 5 to 10-membered aromatic heterocyclyl groups are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{1a}),

the substituent set V^{5a} consists of hydroxy groups, amino groups, carboxy groups, carbamoyl groups, sulfamoyl groups, phosphono groups, phosphonooxy groups, sulfo groups, sulfoxy groups, tetrazolyl groups, halogen atoms, cyano groups, nitro groups, C_{1-6} alkoxy groups, C_{1-6} alkylthio groups, C_{1-6} alkylcarbonyl groups, C_{1-6} alkylsulfonyl groups, C_{1-6} alkoxycarbonyl groups, mono- C_{1-6} alkylamino groups, di- C_{1-6} alkylamino groups, mono- C_{1-6} alkylaminocarbonyl groups, di- C_{1-6} alkylaminocarbonyl groups, C_{1-6} alkylcarbonylamino groups, C_{3-11} cycloalkyl groups, 3 to 11-membered non-aromatic heterocyclyl groups, C_{6-14} aryl group and 5 to 10-membered aromatic heterocyclyl groups (the C_{1-6} alkoxy groups, the C_{1-6} alkylthio groups, the C_{1-6} alkylcarbonyl groups, the C_{1-6} alkylsulfonyl groups, the C_{1-6} alkoxycarbonyl groups, the mono- C_{1-6} alkylamino groups, the di- C_{1-6} alkylamino groups, the mono- C_{1-6} alkylaminocarbonyl groups, the di- C_{1-6} alkylaminocarbonyl groups, the C_{1-6} alkylcarbonylamino groups, the C_{3-11} cycloalkyl groups, the 3 to 11-membered non-aromatic heterocyclyl groups, the C_{6-14} aryl groups and the 5 to 10-membered aromatic heterocyclyl groups are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{3a}),

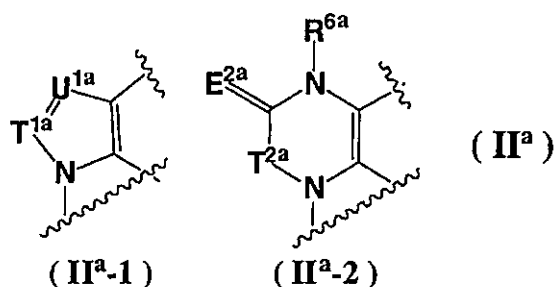
the substituent set V^{6a} consists of hydroxy groups, amino groups, carboxy groups, carbamoyl groups, sulfamoyl groups, phosphono groups, phosphonooxy groups, sulfo groups, sulfoxy groups, tetrazolyl groups, halogen atoms, cyano groups, nitro groups, C_{1-6} alkoxy groups, C_{1-6} alkylthio groups, C_{1-6} alkylcarbonyl groups, C_{1-6} alkylsulfonyl groups, C_{1-6} alkoxycarbonyl groups, mono- C_{1-6} alkylamino groups, di- C_{1-6} alkylamino groups, mono- C_{1-6} alkylaminocarbonyl groups, di- C_{1-6} alkylaminocarbonyl groups, C_{1-6} alkylcarbonylamino groups (the C_{1-6} alkoxy groups, the C_{1-6} alkylthio groups, the C_{1-6} alkylcarbonyl groups, the C_{1-6} alkylsulfonyl groups, the C_{1-6} alkoxycarbonyl groups, the mono- C_{1-6} alkylamino groups, the di- C_{1-6} alkylamino groups, the mono- C_{1-6} alkylaminocarbonyl groups, the di- C_{1-6} alkylaminocarbonyl groups and the C_{1-6} alkylcarbonylamino groups are unsubstituted or substituted with one or more identical or

different substituents independently selected from the substituent set V^{3a} , C_{3-11} cycloalkyl groups, 3 to 11-membered non-aromatic heterocyclyl groups, C_{6-14} aryl groups, 5 to 10-membered aromatic heterocyclyl groups, 8 to 14-membered partially saturated aromatic cyclic groups and 8 to 14-membered aromatic ring-condensed alicyclic hydrocarbon groups (the C_{3-11} cycloalkyl groups, the 3 to 11-membered non-aromatic heterocyclyl groups, the C_{6-14} aryl groups and the 5 to 10-membered aromatic heterocyclyl groups, the 8 to 14-membered partially saturated aromatic cyclic groups and the 8 to 14-membered aromatic ring-condensed alicyclic hydrocarbon groups are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{4a} and the substituent set V^{9a}), the substituent set V^{8a} consists of C_{3-11} cycloalkyl groups, 3 to 11-membered non-aromatic heterocyclyl groups (the C_{3-11} cycloalkyl groups and 3 to 11-membered non-aromatic heterocyclyl groups are substituted with one or more identical or different substituent independently selected from the substituent set V^{2a}), 8 to 14-membered partially saturated aromatic cyclic groups and 8 to 14-membered aromatic ring-condensed alicyclic hydrocarbon groups (the 8 to 14-membered partially saturated aromatic cyclic groups and the 8 to 14-membered aromatic ring-condensed alicyclic hydrocarbon groups are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{2a}), and the substituent set V^{9a} consists of mono- C_{1-6} alkylaminosulfonyl groups, di- C_{1-6} alkylaminosulfonyl groups, C_{1-6} alkylsulfonylamino groups, C_{1-6} alkoxycarbonylamino groups (the mono- C_{1-6} alkylaminosulfonyl groups, the di- C_{1-6} alkylaminosulfonyl groups, the C_{1-6} alkylsulfonylamino groups and the C_{1-6} alkoxycarbonylamino groups are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{3a}), C_{3-6} cycloalkoxy groups, C_{3-6} cycloalkylamino groups, C_{3-6} cycloalkylthio groups, C_{3-6} cycloalkylcarbonyl groups and C_{3-6} cycloalkylsulfonyl groups (the C_{3-6} cycloalkoxy groups, the C_{3-6} cycloalkylamino groups, the C_{3-6} cycloalkylthio groups, the C_{3-6} cycloalkylcarbonyl groups and the C_{3-6} cycloalkylsulfonyl groups are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{2a}), a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

2. The compound according to Claim 1, which is represented by the formula (I^a):



[wherein the ring A^a is represented by the following formula (II^a-1) or the formula (II^a-2):



(wherein T^{1a} is a nitrogen atom or CR^{4a}, U^{1a} is a nitrogen atom or a CR^{5a}, T^{2a} is a single bond or CR^{7a}R^{8a}, E^{2a} is an oxygen atom or a sulfur atom),

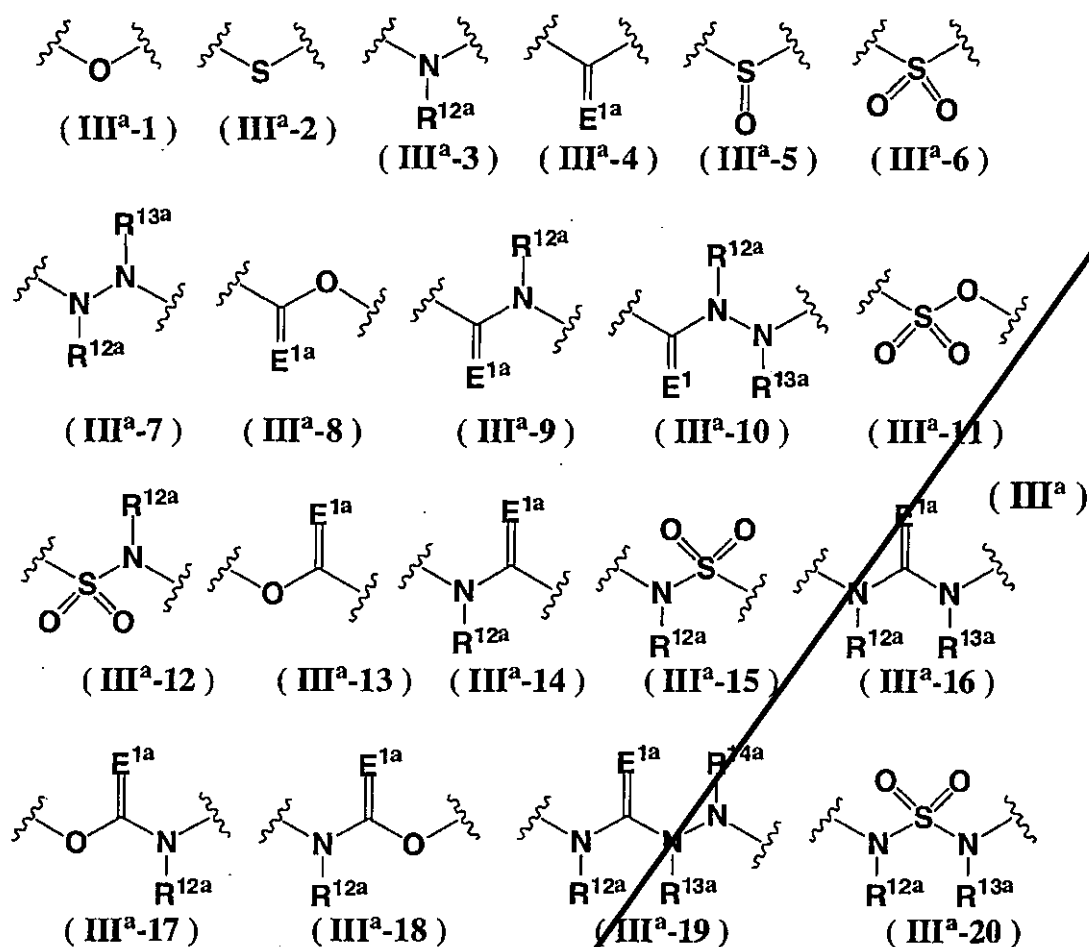
X^a is a nitrogen atom or CR^{9a},

5 Y^a is CR^{10a},

R^{1a} is a hydrogen atom, a halogen atom, a C₁₋₆ alkyl group or a C₁₋₆ haloalkyl group, the ring B^a is a C₃₋₁₁ cycloalkane, a C₃₋₁₁ cycloalkene, a 3 to 11-membered non-aromatic heterocycle, a C₆₋₁₄ aromatic carbocycle or a 5 to 10-membered aromatic heterocycle, L^{1a} is a single bond, a C₁₋₆ alkylene group, a C₂₋₆ alkenylene group or a C₂₋₆ alkynylene group (the C₁₋₆ alkylene group, the C₂₋₆ alkenylene group and the C₂₋₆ alkynylene group are unsubstituted or substituted with one or more identical or different substituents independently selected from the group consisting of halogen atoms, hydroxy groups, amino groups, cyano groups and nitro groups),

10 L^{2a} is a single bond, a C₁₋₆ alkylene group, a C₂₋₆ alkenylene group or a C₂₋₆ alkynylene group (the C₁₋₆ alkylene group, the C₂₋₆ alkenylene group and the C₂₋₆ alkynylene group are unsubstituted or substituted with one or more identical or different substituents independently selected from the group consisting of halogen atoms, hydroxy groups, amino groups, cyano groups and nitro groups),

15 L^{3a} is a single bond or represented by any of the following formulae (III^a-1) to (III^a-20)



(wherein E^{1a} is an oxygen atom, a sulfur atom or NR^{11a}),

when L^{3a} is a single bond, R^{2a} is a hydrogen atom, a halogen atom, a C₃₋₁₁ cycloalkyl group, a 3 to 11-membered non-aromatic heterocyclyl group, a C₆₋₁₄ aryl group or a 5 to 10-membered aromatic heterocyclyl group (the C₃₋₁₁ cycloalkyl group, the 3 to 11-membered non-aromatic heterocyclyl group, the C₆₋₁₄ aryl group and the 5 to 10-membered aromatic heterocyclyl group are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{4a}),

when L^{3a} is not a single bond, R^{2a} is a hydrogen atom, a C₁₋₆ alkyl group, a C₂₋₆ alkenyl group (the C₁₋₆ alkyl group and the C₂₋₆ alkenyl group are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{5a}), a C₃₋₁₁ cycloalkyl group, a 3 to 11-membered non-aromatic heterocyclyl group, a C₆₋₁₄ aryl group or a 5 to 10-membered aromatic heterocyclyl group (the C₃₋₁₁ cycloalkyl group, the 3 to 11-membered non-aromatic heterocyclyl group, the C₆₋₁₄ aryl group and the 5 to 10-membered aromatic heterocyclyl group are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{4a}),

n^a is 0, 1 or 2,

R^{3a} is a hydroxy group, an amino group, a carboxy group, a carbamoyl group, a sulfamoyl group, a phosphono group, a phosphonoxy group, a sulfo group, a sulfoxy group, a tetrazolyl group, a halogen atom, a cyano group, a nitro group, a C₁₋₆ alkyl group, a C₁₋₆ haloalkyl group, a C₃₋₁₁ cycloalkyl group, a C₂₋₆ alkenyl group, a C₂₋₆ haloalkenyl group, a C₁₋₆ alkoxy group, a C₁₋₆ haloalkoxy group, a C₁₋₆ alkylthio group, a

C₁₋₆ haloalkylthio group, a C₁₋₆ alkylcarbonyl group, a C₁₋₆ haloalkylcarbonyl group, a C₁₋₆ alkylsulfonyl group, a C₁₋₆ haloalkylsulfonyl group, a C₁₋₆ alkoxycarbonyl group, a mono-C₁₋₆ alkylamino group, a di-C₁₋₆ alkylamino group, a mono-C₁₋₆ alkylaminocarbonyl group, a di-C₁₋₆ alkylaminocarbonyl group or a C₁₋₆ alkylcarbonylamino group (when n^a is 2, R^{3a}'s may be identical or different),
5 each of R^{4a}, R^{5a}, R^{7a} and R^{8a} is independently a hydrogen atom, a hydroxy group, an amino group, a carboxy group, a carbamoyl group, a tetrazolyl group, a halogen atom, a cyano group, a C₁₋₆ alkyl group, a C₂₋₆ alkenyl group, a C₁₋₆ alkoxy group, a C₁₋₆ alkylthio group, a C₁₋₆ alkylcarbonyl group, a C₁₋₆ alkylsulfonyl group, a mono-C₁₋₆ alkylamino group, a di-C₁₋₆ alkylamino group (the C₁₋₆ alkyl group, the C₂₋₆ alkenyl group, the C₁₋₆ alkoxy group, the C₁₋₆ alkylthio group, the C₁₋₆ alkylcarbonyl group, the C₁₋₆ alkylsulfonyl group, the mono-C₁₋₆ alkylamino group and the di-C₁₋₆ alkylamino group are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{3a}), a C₁₋₆ alkoxycarbonyl group, a C₃₋₁₁ cycloalkyl group, a 3 to 11-membered non-aromatic heterocyclyl group, a C₆₋₁₄ aryl group or a 5 to 10-membered aromatic heterocyclyl group (the C₃₋₁₁ cycloalkyl group, the 3 to 11-membered non-aromatic heterocyclyl group, the C₆₋₁₄ aryl group and the 5 to 10-membered aromatic heterocyclyl group are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{1a}),
20 R^{6a} is a hydrogen atom, a C₁₋₆ alkyl group, a C₂₋₆ alkenyl group, a C₁₋₆ alkylcarbonyl group, a C₁₋₆ alkylsulfonyl group, a C₁₋₆ alkoxycarbonyl group, a mono-C₁₋₆ alkylaminocarbonyl group, a di-C₁₋₆ alkylaminocarbonyl group (the C₁₋₆ alkyl group, the C₂₋₆ alkenyl group, the C₁₋₆ alkylcarbonyl group, the C₁₋₆ alkylsulfonyl group, the C₁₋₆ alkoxycarbonyl group, the mono-C₁₋₆ alkylaminocarbonyl group and the di-C₁₋₆ alkylaminocarbonyl group are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{3a}), a C₃₋₁₁ cycloalkyl group, a 3 to 11-membered non-aromatic heterocyclyl group, a C₆₋₁₄ aryl group or a 5 to 10-membered aromatic heterocyclyl group (the C₃₋₁₁ cycloalkyl group, the 3 to 11-membered non-aromatic heterocyclyl group, the C₆₋₁₄ aryl group and the 5 to 10-membered aromatic heterocyclyl group are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{1a}),
30 each of R^{9a} and R^{10a} is independently a hydrogen atom, a halogen atom, a cyano group, a carbamoyl group, a C₁₋₆ alkyl group, a C₁₋₆ haloalkyl group, a C₃₋₁₁ cycloalkyl group, a C₁₋₆ alkoxy group, a C₁₋₆ haloalkoxy group, a C₁₋₆ alkylthio group, a C₁₋₆ alkylcarbonyl group, a C₁₋₆ alkylsulfonyl group, a 3 to 11-membered non-aromatic heterocyclyl group, a C₆₋₁₄ aryl group or a 5 to 10-membered aromatic heterocyclyl group,
35 R^{11a} is a hydrogen atom, a hydroxy group, a cyano group, a nitro group, a C₁₋₆ alkyl group or a C₁₋₆ alkoxy group,
40 each of R^{12a}, R^{13a} and R^{14a} is independently a hydrogen atom, a C₁₋₆ alkyl group or a C₁₋₆ haloalkyl group (the C₁₋₆ alkyl group and the C₁₋₆ haloalkyl group are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{2a}),
45 the substituent set V^{1a} consists of hydroxy groups, amino groups, carboxy groups, carbamoyl groups, sulfamoyl groups, phosphono groups, phosphonooxy groups, sulfo groups, sulfoxy groups, tetrazolyl groups, halogen atoms, cyano groups, nitro groups, C₁₋₆ alkyl groups, C₁₋₆ haloalkyl groups, C₃₋₁₁ cycloalkyl groups, C₂₋₆ alkenyl groups, C₂₋₆

haloalkenyl groups, C₁₋₆ alkoxy groups, C₁₋₆ haloalkoxy groups, C₁₋₆ alkylthio groups, C₁₋₆ haloalkylthio groups, C₁₋₆ alkylcarbonyl groups, C₁₋₆ haloalkylcarbonyl groups, C₁₋₆ alkylsulfonyl groups, C₁₋₆ haloalkylsulfonyl groups, C₁₋₆ alkoxycarbonyl groups, 3 to 11-membered non-aromatic heterocyclyl groups, mono-C₁₋₆ alkylamino groups, di-C₁₋₆ alkylamino groups, mono-C₁₋₆ alkylaminocarbonyl groups, di-C₁₋₆ alkylaminocarbonyl groups and C₁₋₆ alkylcarbonylamino groups,

the substituent set V^{2a} consists of the groups in the substituent set V^{1a}, C₆₋₁₄ aryl groups and 5 to 10-membered aromatic heterocyclyl groups (the C₆₋₁₄ aryl group and the 5 to 10-membered aromatic heterocyclyl groups are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{1a}),

the substituent set V^{3a} consists of hydroxy groups, amino groups, carboxy groups, carbamoyl groups, sulfamoyl groups, phosphono groups, phosphonooxy groups, sulfo groups, sulfoxy groups, tetrazolyl groups, halogen atoms, cyano groups, nitro groups, C₁₋₆ alkoxy groups, C₁₋₆ haloalkoxy groups, C₁₋₆ alkylthio groups, C₁₋₆ haloalkylthio groups, C₁₋₆ alkylcarbonyl groups, C₁₋₆ haloalkylcarbonyl groups, C₁₋₆ alkylsulfonyl groups, C₁₋₆ haloalkylsulfonyl groups, C₁₋₆ alkoxycarbonyl groups, mono-C₁₋₆ alkylamino groups, di-C₁₋₆ alkylamino groups, mono-C₁₋₆ alkylaminocarbonyl groups, di-C₁₋₆ alkylaminocarbonyl groups, C₁₋₆ alkylcarbonylamino groups, C₃₋₁₁ cycloalkyl groups, 3 to 11-membered non-aromatic heterocyclyl groups, C₆₋₁₄ aryl groups and 5 to 10-membered aromatic heterocyclyl groups (the C₃₋₁₁ cycloalkyl groups, the 3 to 11-membered non-aromatic heterocyclyl groups, the C₆₋₁₄ aryl groups and the 5 to 10-membered aromatic heterocyclyl groups are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{1a}),

the substituent set V^{4a} consists of hydroxy groups, amino groups, carboxy groups, carbamoyl groups, sulfamoyl groups, phosphono groups, phosphonooxy groups, sulfo groups, sulfoxy groups, tetrazolyl groups, halogen atoms, cyano groups, nitro groups, C₁₋₆ alkyl groups, C₂₋₆ alkenyl groups, C₁₋₆ alkoxy groups, C₁₋₆ alkylthio groups, C₁₋₆ alkylcarbonyl groups, C₁₋₆ alkylsulfonyl groups, C₁₋₆ alkoxycarbonyl groups, mono-C₁₋₆ alkylamino groups, di-C₁₋₆ alkylamino groups, mono-C₁₋₆ alkylaminocarbonyl groups, di-C₁₋₆ alkylaminocarbonyl groups, C₁₋₆ alkylcarbonylamino groups (the C₁₋₆ alkyl groups, the C₂₋₆ alkenyl groups, the C₁₋₆ alkoxy groups, the C₁₋₆ alkylthio groups, the C₁₋₆ alkylcarbonyl groups, the C₁₋₆ alkylsulfonyl groups, the C₁₋₆ alkoxycarbonyl groups, the mono-C₁₋₆ alkylamino groups, the di-C₁₋₆ alkylamino groups, the mono-C₁₋₆ alkylaminocarbonyl groups, the di-C₁₋₆ alkylaminocarbonyl groups and the C₁₋₆ alkylcarbonylamino groups are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{3a}), C₃₋₁₁ cycloalkyl groups, 3 to 11-membered non-aromatic heterocyclyl groups, C₆₋₁₄ aryl groups and 5 to 10-membered aromatic heterocyclyl groups (the C₃₋₁₁ cycloalkyl groups, 3 to 11-membered non-aromatic heterocyclyl groups, C₆₋₁₄ aryl groups and 5 to 10-membered aromatic heterocyclyl groups are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{1a}), and

the substituent set V^{5a} consists of hydroxy groups, amino groups, carboxy groups, carbamoyl groups, sulfamoyl groups, phosphono groups, phosphonooxy groups, sulfo groups, sulfoxy groups, tetrazolyl groups, halogen atoms, cyano groups, nitro groups, C₁₋₆ alkoxy groups, C₁₋₆ alkylthio groups, C₁₋₆ alkylcarbonyl groups, C₁₋₆ alkylsulfonyl

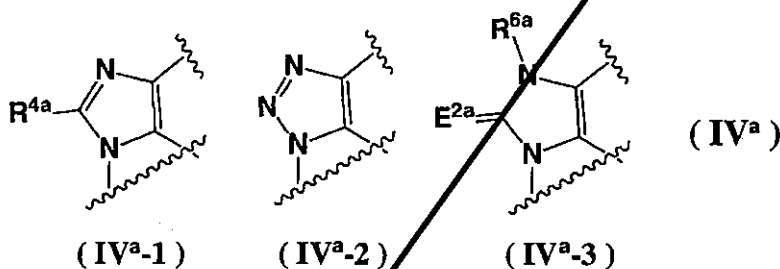
groups, C₁₋₆ alkoxy carbonyl groups, mono-C₁₋₆ alkylamino groups, di-C₁₋₆ alkylamino groups, mono-C₁₋₆ alkylaminocarbonyl groups, di-C₁₋₆ alkylaminocarbonyl groups, C₁₋₆ alkylcarbonylamino groups, C₃₋₁₁ cycloalkyl groups, 3 to 11-membered non-aromatic heterocyclyl groups, C₆₋₁₄ aryl groups and 5 to 10-membered aromatic heterocyclyl groups (the C₁₋₆ alkoxy groups, the C₁₋₆ alkylthio groups, the C₁₋₆ alkylcarbonyl groups, the C₁₋₆ alkylsulfonyl groups, the C₁₋₆ alkoxy carbonyl groups, the mono-C₁₋₆ alkylamino groups, the di-C₁₋₆ alkylamino groups, the mono-C₁₋₆ alkylaminocarbonyl groups, the di-C₁₋₆ alkylaminocarbonyl groups, the C₁₋₆ alkylcarbonylamino groups, the C₃₋₁₁ cycloalkyl groups, the 3 to 11-membered non-aromatic heterocyclyl groups, the C₆₋₁₄ aryl groups and the 5 to 10-membered aromatic heterocyclyl group are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{3a}), a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

3. The compound according to Claim 2, wherein R^{1a} is a hydrogen atom, a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

4. The compound according to Claim 2 or 3, wherein Y^a is CR^{10a} (wherein R^{10a} is a hydrogen atom), a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

5. The compound according to any one of Claims 2 to 4, wherein X^a is a nitrogen atom or CR^{9a} (wherein R^{9a} is a hydrogen atom, a halogen atom, a cyano group, a C₁₋₃ alkyl group, a C₁₋₃ haloalkyl group or a C₃₋₆ cycloalkyl group), a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

6. The compound according to any one of Claims 2 to 5, wherein the ring A^a is represented by any of the following formulae (IV^a-1) to (IV^a-3):



(wherein E^{2a} is an oxygen atom or a sulfur atom), a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

7. The compound according to any one of Claims 2 to 6, wherein L^{1a} is a single bond, L^{2a} is a single bond, a C₁₋₆ alkylene group or a C₂₋₆ alkenylene group (the C₁₋₆ alkylene group and the C₂₋₆ alkenylene group are unsubstituted or substituted with one or more identical or different substituents independently selected from the group consisting of halogen atoms, hydroxy groups, amino groups, cyano groups and nitro groups), the ring B^a is a C₃₋₁₁ cycloalkane, a C₃₋₁₁ cycloalkene, a 3 to 11-membered non-aromatic heterocycle, a C₆₋₁₄ aromatic carbocycle or a 5 to 10-membered aromatic heterocycle, n^a is 0 or 1,

R^{3a} is a hydroxy group, an amino group, a carboxy group, a carbamoyl group, a tetrazolyl group, a halogen atom, a cyano group, a nitro group, a C₁₋₃ alkyl group, a C₁₋₃ haloalkyl group, a C₃₋₆ cycloalkyl group, a C₁₋₃ alkoxy group, a C₁₋₃ haloalkoxy group or a C₁₋₃ alkylsulfonyl group, L^{3a} is a single bond, and

R^{2a} is a hydrogen atom, a halogen atom, a C₃₋₁₁ cycloalkyl group, a 3 to 11-membered non-aromatic heterocyclyl group, a phenyl group, a naphthyl group or a 5 to 10-membered aromatic heterocyclyl group (the C₃₋₁₁ cycloalkyl group, the 3 to 11-membered non-aromatic heterocyclyl group, the phenyl group, the naphthyl group and the 5 to 10-membered aromatic heterocyclyl group are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{4a}), a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

8. The compound according to any one of Claims 2 to 6, wherein L^{1a} is a single bond or a C₁₋₃ alkylene group,

L^{2a} is a single bond or a C₁₋₃ alkylene group (the C₁₋₃ alkylene group is unsubstituted or substituted with a cyano group or a C₁₋₃ haloalkyl group), the ring B^a is a C₃₋₁₁ cycloalkane, a C₃₋₁₁ cycloalkene, a 3 to 11-membered non-aromatic heterocycle, benzene or a 5 to 6-membered aromatic heterocycle,

n^a is 0 or 1,

R^{3a} is a hydroxy group, an amino group, a carboxy group, a carbamoyl group, a tetrazolyl group, a halogen atom, a cyano group, a nitro group, a C₁₋₃ alkyl group, a C₁₋₃ haloalkyl group, a C₃₋₆ cycloalkyl group, a C₁₋₃ alkoxy group, a C₁₋₃ haloalkoxy group or a C₁₋₃ alkylsulfonyl group,

L^{3a} is a single bond, and

R^{2a} is a hydrogen atom, a halogen atom, a C₃₋₆ cycloalkyl group, a 4 to 7-membered non-aromatic heterocyclyl group, a phenyl group or a 5 to 6-membered aromatic heterocyclyl group (the C₃₋₆ cycloalkyl group, the 4 to 7-membered non-aromatic heterocyclyl group, the phenyl group and the 5 to 6-membered aromatic heterocyclyl group are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{4a}), a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

9. The compound according to Claim 7, wherein the ring B^a is a C₃₋₁₁ cycloalkane, a 4 to 7-membered non-aromatic heterocycle or benzene,

n^a is, 0 or 1, and

R^{3a} is a hydroxy group, a halogen atom, a cyano group or a C₁₋₃ alkyl group, a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

10. The compound according to Claim 7 or 9, wherein L^{2a} is a single bond, a C₁₋₆ alkylene group, a C₂₋₆ alkenylene group or a C₁₋₆ haloalkylene group (the C₁₋₆ alkylene group, the C₂₋₆ alkenylene group and the C₁₋₆ haloalkylene group are unsubstituted or substituted with one or two identical or different substituents independently selected from the group consisting of hydroxy groups and cyano groups),

the ring B^a is a C₃₋₁₁ cycloalkane or a 4 to 7-membered non-aromatic heterocycle, and

R^{2a} is a hydrogen atom, a halogen atom, a C₃₋₆ cycloalkyl group, a 3 to 11-membered non-aromatic heterocyclyl group, a phenyl group or a 5 to 10-membered aromatic heterocyclyl group (the C₃₋₆ cycloalkyl group, the 3 to 11-membered non-aromatic heterocyclyl group, the phenyl group and the 5 to 10-membered aromatic heterocyclyl group are unsubstituted or substituted with one or more identical or different substituents independently selected from the group consisting of hydroxy groups, amino groups, halogen atoms, cyano groups, nitro groups, carboxy groups, carbamoyl groups, sulfamoyl groups, C₁₋₆ alkyl groups, C₁₋₆ alkoxy groups, mono-C₁₋₆ alkylamino groups, di-C₁₋₆ alkylamino groups, C₁₋₆ alkylthio groups, C₁₋₆ alkylcarbonyl groups, C₁₋₆ alkylsulfonyl groups, C₁₋₆ alkoxycarbonyl groups, mono-C₁₋₆ alkylaminocarbonyl groups,

di- C_{1-6} alkylaminocarbonyl groups, C_{1-6} alkylcarbonylamino groups (the C_{1-6} alkyl groups, the C_{1-6} alkoxy groups, the mono- C_{1-6} alkylamino groups, the di- C_{1-6} alkylamino groups, the C_{1-6} alkylthio groups, the C_{1-6} alkylcarbonyl groups, the C_{1-6} alkylsulfonyl groups, the C_{1-6} alkoxycarbonyl groups, the mono- C_{1-6} alkylaminocarbonyl groups, the di- C_{1-6} alkylaminocarbonyl groups and the C_{1-6} alkylcarbonylamino groups are unsubstituted or substituted with one or more identical or different substituents independently selected from the group consisting of halogen atoms, hydroxy groups, amino groups, cyano groups and C_{1-3} alkoxy groups), C_{3-6} cycloalkyl groups, 4 to 7-membered non-aromatic heterocyclyl groups, phenyl groups and 5 to 6-membered aromatic heterocyclyl groups (the C_{3-6} cycloalkyl groups, the 4 to 7-membered non-aromatic heterocyclyl groups, the phenyl groups and the 5 to 6-membered aromatic heterocyclyl groups are unsubstituted or substituted with one or more identical or different substituents independently selected from the group consisting of hydroxy groups, halogen atoms, cyano groups, C_{1-6} alkyl groups and C_{1-6} haloalkyl groups)), a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

11. The compound according to Claim 7 or 9, wherein L^{2a} is a single bond, a C_{1-3} alkylene group, a C_{2-3} alkenylene group (the C_{1-3} alkylene group and the C_{2-3} alkenylene group are unsubstituted or substituted with one or two identical or different substituents independently selected from the group consisting of hydroxy groups and cyano groups) or a C_{1-3} haloalkylene group, and R^{2a} is a hydrogen atom or a halogen atom, a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

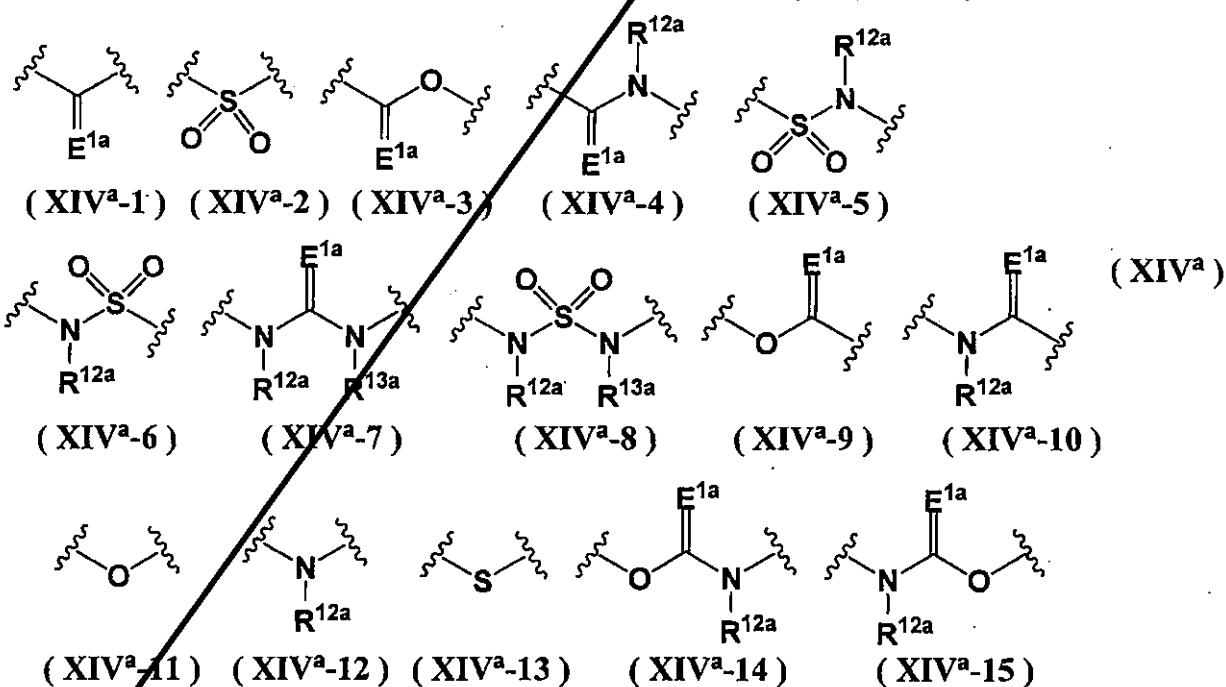
12. The compound according to any one of Claims 7, 9 and 10, wherein the ring B^a is a C_{4-7} cycloalkane or a 4 to 7-membered non-aromatic heterocycle, and R^{2a} is a 3 to 11-membered non-aromatic heterocyclyl group, a phenyl group or a 5 to 10-membered aromatic heterocyclyl group (the 3 to 11-membered non-aromatic heterocyclyl group, the phenyl group and the 5 to 10-membered aromatic heterocyclyl group are unsubstituted or substituted with one or more identical or different substituents independently selected from the group consisting of hydroxy groups, halogen atoms, cyano groups, carbamoyl groups, C_{1-3} alkyl groups, C_{1-3} alkoxy groups, mono- C_{1-3} alkylamino groups, di- C_{1-3} alkylamino groups (the C_{1-3} alkyl groups, the C_{1-3} alkoxy groups, the mono- C_{1-3} alkylamino groups and the di- C_{1-3} alkylamino groups are unsubstituted or substituted with a hydroxy group or a cyano group), C_{1-3} haloalkyl groups, C_{1-3} haloalkoxy groups, C_{1-3} alkylthio groups, C_{1-3} haloalkylthio groups, C_{1-3} alkylsulfonyl groups, C_{1-3} haloalkylsulfonyl groups, 4 to 7-membered non-aromatic heterocyclyl groups, phenyl groups and 5 to 6-membered aromatic heterocyclyl groups (the 4 to 7-membered non-aromatic heterocyclyl groups, the phenyl groups and the 5 to 6-membered aromatic heterocyclyl groups are unsubstituted or substituted with a substituent selected from the group consisting of a halogen atom, a C_{1-3} alkyl group and a C_{1-3} haloalkyl group)), a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

13. The compound according to any one of Claims 7, 9 and 10, wherein the ring B^a is a C_{4-7} cycloalkane, and R^{2a} is a 4 to 7-membered non-aromatic heterocyclyl group (the 4 to 7-membered non-aromatic heterocyclyl group is unsubstituted or substituted with one or two identical or different substituents independently selected from the group consisting of hydroxy groups, halogen atoms, cyano groups, carboxy groups, C_{1-3} alkyl groups (the C_{1-3} alkyl groups are unsubstituted or substituted with a hydroxy group or a cyano group), C_{1-3}

haloalkyl groups, C₁₋₃ alkoxy groups, di-C₁₋₃ alkylamino groups, mono-C₁₋₃ alkylaminocarbonyl groups, C₁₋₃ alkylsulfonyl group, C₁₋₃ alkylcarbonylamino groups (the C₁₋₃ alkoxy groups, the di-C₁₋₃ alkylamino groups, the mono-C₁₋₃ alkylaminocarbonyl groups, the C₁₋₃ alkylsulfonyl group and the C₁₋₃ alkylcarbonylamino groups are unsubstituted or substituted with one or more identical or different halogen atoms independently selected from the group consisting of fluorine atoms, chlorine atoms, bromine atoms and iodine atoms), 4 to 7-membered non-aromatic heterocyclyl groups and phenyl groups (the phenyl groups are unsubstituted or substituted with one or two identical or different substituents independently selected from the group consisting of halogen atoms, C₁₋₃ alkyl groups and C₁₋₃ haloalkyl groups)), a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

14. The compound according to any one of Claims 2 to 6, wherein L^a is a single bond, L^{2a} is a single bond, a C₁₋₆ alkylene group or a C₂₋₆ alkenylene group (the C₁₋₆ alkylene group and the C₂₋₆ alkenylene group are unsubstituted or substituted with one or more identical or different substituents independently selected from the group consisting of halogen atoms, hydroxy groups, amino groups, cyano groups and nitro groups), the ring B^a is a C₃₋₁₁ cycloalkane, a C₃₋₁₁ cycloalkene, a 3 to 11-membered non-aromatic heterocycle, a C₆₋₁₄ aromatic carbocycle or a 5 to 10-membered aromatic heterocycle, n^a is 0 or 1,

R^{3a} is a hydroxy group, an amino group, a carboxy group, a carbamoyl group, a halogen atom, a cyano group, a C₁₋₃ alkyl group, a C₁₋₃ haloalkyl group, a C₃₋₆ cycloalkyl group, a C₁₋₃ alkoxy group, a C₁₋₃ haloalkoxy group or a C₁₋₃ alkylsulfonyl group, L^{3a} is represented by any of the following formulae (XIV^a-1) to (XIV^a-15):



(wherein E^{1a} is an oxygen atom, a sulfur atom or NR^{11a} (wherein R^{11a} is a hydroxy group or a C₁₋₃ alkoxy group), each of R^{12a} and R^{13a} is independently a hydrogen atom, a C₁₋₆ alkyl group or a C₁₋₆ haloalkyl group (the C₁₋₆ alkyl group and the C₁₋₆ haloalkyl group are unsubstituted or substituted with one or more identical or different substituents independently selected from the group consisting of hydroxy groups, amino groups, cyano groups, C₃₋₁₁ cycloalkyl groups, C₁₋₆ alkoxy groups, C₁₋₆ haloalkoxy groups, C₁₋₆ alkylthio groups, C₁₋₆ alkylsulfonyl groups, C₁₋₆ haloalkylsulfonyl groups, C₁₋₆

alkoxycarbonyl groups, 3 to 11-membered non-aromatic heterocyclyl groups, mono-C₁₋₆ alkylamino groups, di-C₁₋₆ alkylamino groups, mono-C₁₋₆ alkylaminocarbonyl groups, di-C₁₋₆ alkylaminocarbonyl groups, C₁₋₆ alkylcarbonylamino groups, phenyl groups and 5 to 10-membered aromatic heterocyclyl groups (the phenyl groups and the 5 to 10-

membered aromatic heterocyclyl groups are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{1a})), and

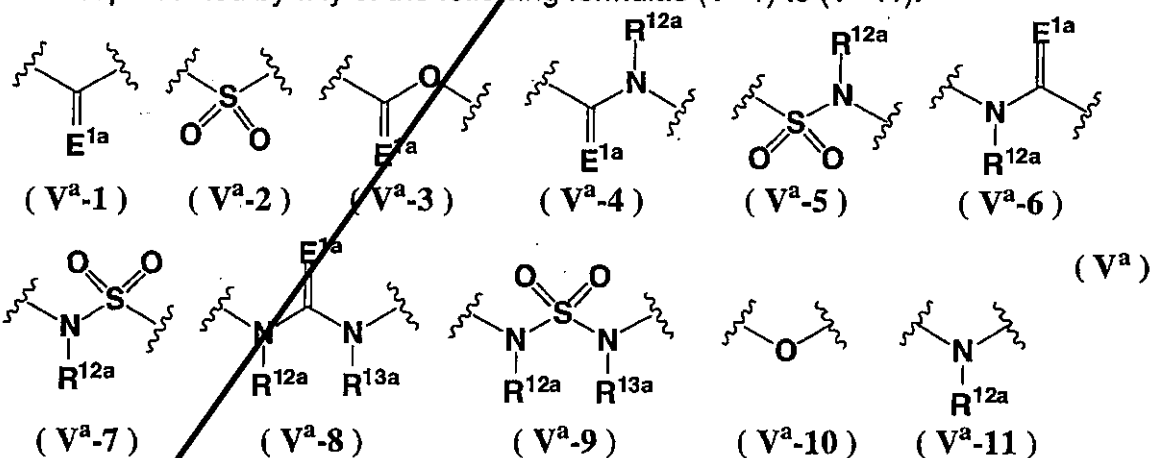
R^{2a} is a hydrogen atom, a C₁₋₆ alkyl group, a C₂₋₆ alkenyl group (the C₁₋₆ alkyl group and the C₂₋₆ alkenyl group are unsubstituted or substituted with one or more identical or

different substituents independently selected from the substituent set V^{5a}), a C₃₋₁₁ cycloalkyl group, a 3 to 11-membered non-aromatic heterocyclyl group, a phenyl group, a naphthyl group or a 5 to 10-membered aromatic heterocyclyl group (the C₃₋₁₁ cycloalkyl group, the 3 to 11-membered non-aromatic heterocyclyl group, the phenyl group, the naphthyl group and the 5 to 10-membered aromatic heterocyclyl group are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{4a}), a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

15. The compound according to any one of Claims 2 to 6, wherein L^{1a} is a single bond or a C₁₋₃ alkylene group,

L^{2a} is a single bond or a C₁₋₃ alkylene group (the C₁₋₃ alkylene group is unsubstituted or substituted with a cyano group or a C₁₋₃ haloalkylene group), the ring B^a is a C₃₋₁₁ cycloalkane, a C₃₋₁₁ cycloalkene, a 3 to 11-membered non-aromatic heterocycle, benzene or a 5 to 6-membered aromatic heterocycle, n^a is 0 or 1

R^{3a} is a hydroxy group, an amino group, a carbamoyl group, a halogen atom, a cyano group, a C₁₋₃ alkyl group, a C₁₋₃ haloalkyl group, a C₃₋₆ cycloalkyl group, a C₁₋₃ alkoxy group, a C₁₋₃ haloalkoxy group or a C₁₋₃ alkylsulfonyl group, L^{3a} is represented by any of the following formulae (V^{a-1}) to (V^{a-11}):



(wherein E^{1a} is an oxygen atom, each of R^{12a} and R^{13a} is independently a hydrogen atom, a C₁₋₆ alkyl group or a C₁₋₆ haloalkyl group), and

R^{2a} is a hydrogen atom, a C₁₋₆ alkyl group (the C₁₋₆ alkyl group is unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{5a}), a C₃₋₆ cycloalkyl group, a 4 to 7-membered non-aromatic heterocyclyl group, a phenyl group or a 5 to 6-membered aromatic heterocyclyl group (the C₃₋₆ cycloalkyl group, the 4 to 7-membered non-aromatic heterocyclyl group, the phenyl group and the 5 to 6-membered aromatic heterocyclyl group are unsubstituted or

substituted with one or more identical or different substituents independently selected from the substituent set V^{1a}), a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

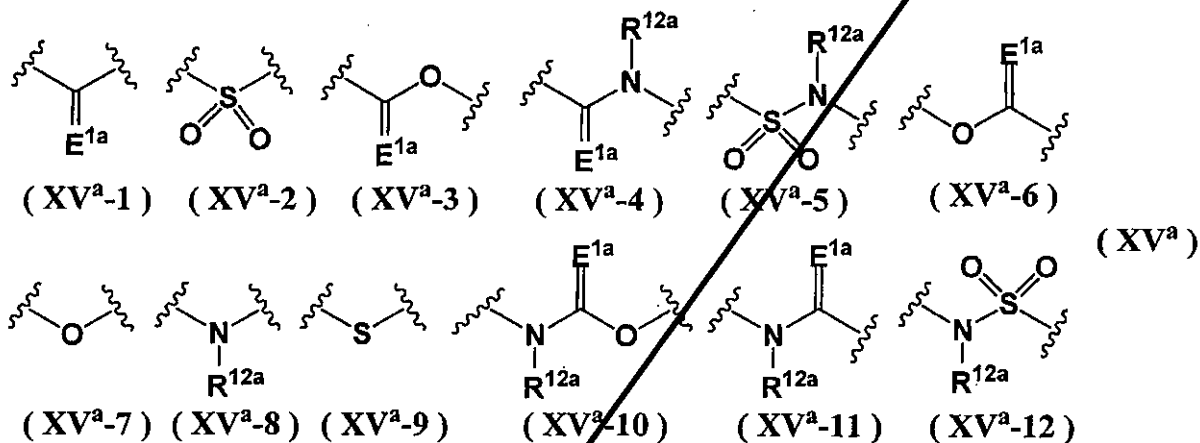
16. The compound according to Claim 14, wherein L^{2a} is a single bond, a C₁₋₃ alkylene group, a C₂₋₃ alkenylene group (the C₁₋₃ alkylene group and the C₂₋₃ alkenylene group are unsubstituted or substituted with one or two identical or different substituents independently selected from the group consisting of hydroxy groups and cyano groups) or a C₁₋₃ haloalkylene group,

the ring B^a is a C₃₋₁₁ cycloalkane, a 4 to 7-membered non-aromatic heterocycle or benzene,

n^a is 0 or 1,

R^{3a} is a halogen atom, a cyano group or a C₁₋₃ alkyl group, and

L^{3a} is represented by any of the following formulae (XV^a-1) to (XV^a-12):



(wherein E^{1a} is an oxygen atom or NR^{11a} (wherein R^{11a} is a hydroxy group), and R^{12a} is a hydrogen atom, a C₁₋₆ alkyl group or a C₁₋₆ haloalkyl group (the C₁₋₆ alkyl group and the C₁₋₆ haloalkyl group is unsubstituted or substituted with a substituent selected from the group consisting of a hydroxy group, a cyano group, a C₁₋₃ alkoxy group, a C₃₋₆ cycloalkyl group, a phenyl group and a 5 to 6-membered aromatic heterocyclyl group (the phenyl group and the 5 to 6-membered aromatic heterocyclyl group are unsubstituted or substituted with a substituent selected from the group consisting of a halogen atom, a cyano group, a C₁₋₃ alkyl group and a C₁₋₃ haloalkyl group))), a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

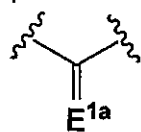
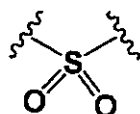
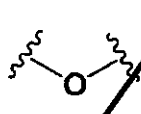
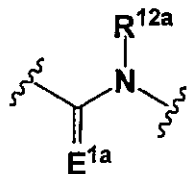
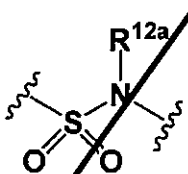
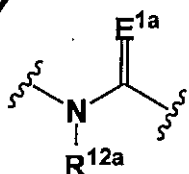
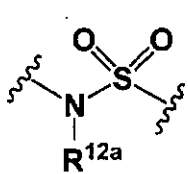
17. The compound according to Claim 14 or 16, wherein L^{2a} is a single bond or a C₁₋₃ alkylene group,

the ring B^a is a C₄₋₇ cycloalkane or a 4 to 7-membered non-aromatic heterocycle, and R^{2a} is a hydrogen atom, a C₁₋₆ alkyl group, a C₁₋₆ haloalkyl group (the C₁₋₆ alkyl group and the C₁₋₆ haloalkyl group are unsubstituted or substituted with one or more identical or different substituents independently selected from the group consisting of cyano

groups, hydroxy groups, C₁₋₆ alkoxy groups, mono-C₁₋₆ alkylaminocarbonyl groups, di-C₁₋₆ alkylaminocarbonyl groups (the mono-C₁₋₆ alkylaminocarbonyl groups and the di-C₁₋₆ alkylaminocarbonyl groups are unsubstituted or substituted with one or more identical or different halogen atoms independently selected from the group consisting of fluorine atoms, chlorine atoms, bromine atoms and iodine atoms), C₃₋₆ cycloalkyl groups, 4 to 7-membered non-aromatic heterocyclyl groups, phenyl groups or 5 to 10-membered aromatic heterocyclyl groups (the C₃₋₆ cycloalkyl groups, the 4 to 7-membered non-aromatic heterocyclyl groups, the phenyl groups and the 5 to 10-

membered aromatic heterocyclyl groups are unsubstituted or substituted with identical or different one, two or three substituents independently selected from the group consisting of hydroxy groups, halogen atoms, cyano groups, C₁₋₆ alkoxy groups, C₁₋₆ haloalkoxy groups, C₁₋₆ alkylthio groups, C₁₋₆ haloalkylthio groups, C₁₋₆ alkylsulfonyl groups, C₁₋₆ haloalkylsulfonyl groups, C₁₋₆ alkoxycarbonyl groups, 4 to 7-membered non-aromatic heterocyclyl groups and phenyl groups (the phenyl groups are unsubstituted or substituted with a halogen atom)), a C₃₋₁₁ cycloalkyl group, a 4 to 7-membered non-aromatic heterocyclyl group, a phenyl group, a naphthyl group or a 5 to 10-membered aromatic heterocyclyl group (the C₃₋₁₁ cycloalkyl group, the 4 to 7-membered non-aromatic heterocyclyl group, the phenyl group, the naphthyl group and the 5 to 10-membered aromatic heterocyclyl group are unsubstituted or substituted with one, two or three identical or different substituents independently selected from the group consisting of hydroxy groups, halogen atoms, cyano groups, C₁₋₆ alkyl groups (the C₁₋₆ alkyl groups are unsubstituted or substituted with one or more identical or different substituents independently selected from the group consisting of halogen atoms, cyano groups, hydroxy groups and C₁₋₃ alkoxy groups), C₁₋₆ alkoxy groups, C₁₋₆ haloalkoxy groups, C₁₋₆ alkylthio groups, C₁₋₆ haloalkylthio groups, C₁₋₆ alkylsulfonyl groups, C₁₋₆ haloalkylsulfonyl groups, C₁₋₆ alkoxycarbonyl groups (the C₁₋₆ alkoxycarbonyl groups are unsubstituted or substituted with one or more identical or different halogen atoms independently selected from the group consisting of fluorine atoms, chlorine atoms, bromine atoms and iodine atoms), 4 to 7-membered non-aromatic heterocyclyl groups and phenyl groups (the phenyl groups are unsubstituted or substituted with a halogen atom)), a tautomer of a pharmaceutically acceptable salt of the compound or a solvate thereof.

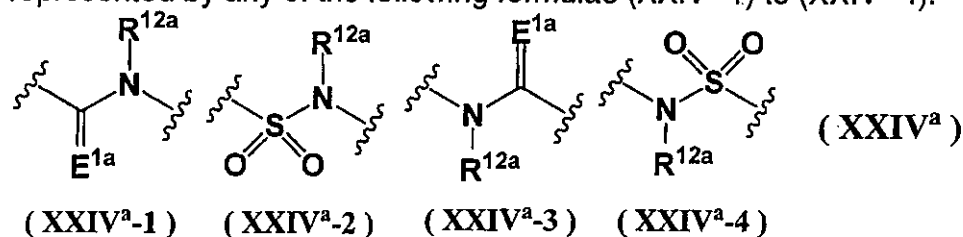
18. The compound according to any one of Claims 14, 16 and 17, wherein L^{3a} is represented by any of the following formulae (XXIII^a-1) to (XXIII^a-7):

(XXIII^a-1)(XXIII^a-2)(XXIII^a-3)(XXIII^a)(XXIII^a-4)(XXIII^a-5)(XXIII^a-6)(XXIII^a-7)

(wherein E^{1a} is an oxygen atom, and R^{12a} is a hydrogen atom, a C₁₋₃ alkyl group (the C₁₋₃ alkyl group is unsubstituted or substituted with a cyano group) or a C₁₋₃ haloalkyl group), and

R^{2a} is a C₁₋₆ alkyl group (the C₁₋₆ alkyl group is unsubstituted or substituted with a cyano group), a C₁₋₆ haloalkyl group, a C₃₋₆ cycloalkyl group, a 4 to 7-membered non-aromatic heterocyclyl group or a phenyl group (the 4 to 7-membered non-aromatic heterocyclyl group and the phenyl group are unsubstituted or substituted with a substituent selected from the group consisting of a halogen atom, a hydroxy group, a cyano group, a C₁₋₃ alkyl group and a C₁₋₃ haloalkyl group), a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

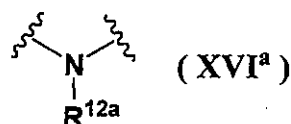
19. The compound according to any one of Claims 14 and 16 to 18, wherein L^{3a} is represented by any of the following formulae (XXIV^a-1) to (XXIV^a-4):



(wherein E^{1a} is an oxygen atom, and R^{12a} is a hydrogen atom, a C_{1-3} alkyl group (the C_{1-3} alkyl group is unsubstituted or substituted with a cyano group) or a C_{1-3} haloalkyl group), and

R^{2a} is a C_{1-3} alkyl group (the C_{1-3} alkyl group is unsubstituted or substituted with a cyano group), a C_{1-3} haloalkyl group or a C_{3-6} cycloalkyl group, a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

20. The compound according to any one of Claims 14, 16 and 17, wherein L^{3a} is represented by the formula (XVI^a):



(wherein R^{12a} is a hydrogen atom, a C_{1-3} alkyl group (the C_{1-3} alkyl group is unsubstituted or substituted with a substituent selected from the group consisting of a hydroxy group, a cyano group, a C_{1-3} alkoxy group, a C_{3-6} cycloalkyl group and a phenyl group) or a C_{1-3} haloalkyl group), and

R^{2a} is a hydrogen atom, a C_{1-6} alkyl group (the C_{1-6} alkyl group is unsubstituted or substituted with one or two identical or different substituents independently selected from the group consisting of cyano groups, hydroxy groups, C_{1-3} alkoxy groups, mono- C_{1-3} alkylaminocarbonyl groups (the mono- C_{1-3} alkylaminocarbonyl groups are unsubstituted or substituted with one or more identical or different halogen atoms independently selected from the group consisting of fluorine atoms, chlorine atoms, bromine atoms and iodine atoms), C_{3-6} cycloalkyl groups, 4 to 7-membered non-aromatic heterocyclyl groups, phenyl groups and 5 to 6-membered aromatic heterocyclyl groups (the C_{3-6} cycloalkyl groups, the 4 to 7-membered non-aromatic heterocyclyl groups, the phenyl groups and the 5 to 6-membered aromatic heterocyclyl groups are unsubstituted or substituted with one or two identical or different substituents independently selected from the group consisting of hydroxy groups, halogen atoms, cyano groups, C_{1-3} alkoxy groups, C_{1-3} haloalkoxy groups, C_{1-3} alkylsulfonyl groups, C_{1-6} alkoxy carbonyl groups and phenyl groups (the phenyl groups are unsubstituted or substituted with a halogen atom))), a C_{1-6} haloalkyl group (the C_{1-6} haloalkyl group is unsubstituted or substituted with one or two identical or different substituents independently selected from the group consisting of hydroxy groups, phenyl groups and 5 to 6-membered aromatic heterocyclyl groups (the phenyl groups and the 5 to 6-membered aromatic heterocyclyl groups are unsubstituted or substituted with one or two identical or different substituents independently selected from the group consisting of halogen atoms, C_{1-3} alkoxy groups and C_{1-3} alkylthio groups)), a C_{3-11} cycloalkyl group, a 4 to 7-membered non-aromatic heterocyclyl group, a phenyl group or a 5 to 10-membered aromatic heterocyclyl group (the C_{3-11} cycloalkyl group, the 4 to 7-membered non-aromatic heterocyclyl group, the phenyl group and the 5 to 10-membered aromatic

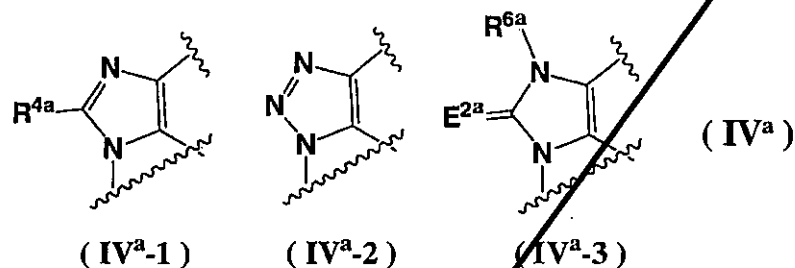
heterocyclyl group are unsubstituted or substituted with one, two or three identical or different substituents independently selected from the group consisting of hydroxy groups, halogen atoms, cyano groups, C₁₋₃ alkyl groups (the C₁₋₃ alkyl groups are unsubstituted or substituted with a substituent selected from the group consisting of a hydroxy group, a cyano group and a C₁₋₃ alkoxy group), C₁₋₃ haloalkyl groups, C₁₋₃ alkoxy groups, C₁₋₃ haloalkoxy groups, C₁₋₃ alkylsulfonyl groups, C₁₋₃ haloalkylsulfonyl groups, C₁₋₆ alkoxy carbonyl groups, 4 to 7-membered non-aromatic heterocyclyl groups and phenyl groups (the phenyl groups are unsubstituted or substituted with a halogen atom)), a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

21. The compound according to any one of Claims 2 to 12 and 14 to 19, wherein the ring B^a is cyclohexane or piperidine, a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

22. The compound according to Claim 13 or 20, wherein the ring B^a is cyclohexane, a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

23. The compound according to any one of Claims 5 to 22, wherein X^a is CR^{9a} (wherein R^{9a} is a hydrogen atom), a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

24. The compound according to any one of Claims 6 to 23, wherein the ring A^a is represented by any of the following formulae (IV^a-1) to (IV^a-3):



(wherein E^{2a} is an oxygen atom or a sulfur atom, and each of R^{4a} and R^{6a} is independently a hydrogen atom or a C₁₋₃ alkyl group), a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

25. The compound according to any one of Claims 8, 23 and 24, wherein L^{1a} is a single bond,

L^{2a} is a single bond or a C₁₋₃ alkylene group,

the ring B^a is a C₄₋₇ cycloalkane, benzene or a 4 to 7-membered non-aromatic heterocycle,

n^a is 0,

L^{3a} is a single bond, and

R^{2a} is a hydrogen atom, a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

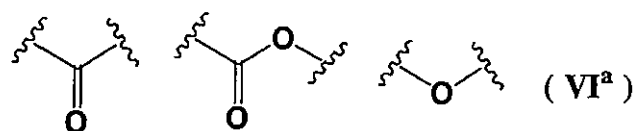
26. The compound according to any one of Claims 15, 23 and 24, wherein L^{1a} is a single bond,

L^{2a} is a single bond,

the ring B^a is a C₄₋₇ cycloalkane or a 4 to 7-membered non-aromatic heterocycle,

n^a is 0,

L^{3a} is represented by any of the following formulae (VI^a-1) to (VI^a-3):



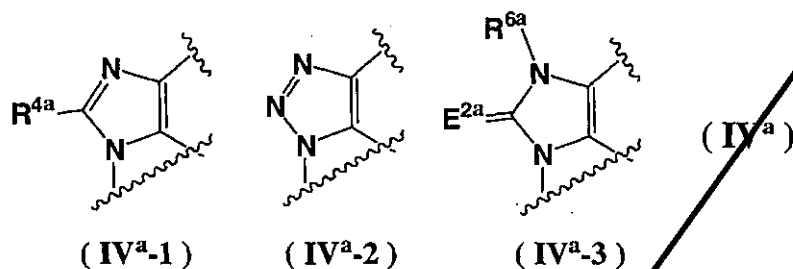
(VI^a-1) (VI^a-2) (VI^a-3) , and

R^{2a} is a hydrogen atom or a C₁₋₃ alkyl group (the C₁₋₃ alkyl group is unsubstituted or substituted with a cyano group or a phenyl group), a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

27. The compound according to any one of Claims 2 to 6, 8, 15, 25 and 26, wherein the ring B^a is cyclohexane, benzene or piperidine, a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

28. The compound according to Claim 1, wherein R^{1a} is a hydrogen atom, X^a is CR^{9a} (wherein R^{9a} is a hydrogen atom or a halogen atom),

10 Y^a is CR^{10a} (wherein R^{10a} is a hydrogen atom), the ring A^a is represented by any of the following formulae (IV^a-1) to (IV^a-3):



(wherein E^{2a} is an oxygen atom or a sulfur atom, R^{4a} is a hydrogen atom or a C₁₋₃ alkyl group, and R^{6a} is a hydrogen atom),

15 L^{1a} is a single bond,

the ring B^a is a C₃₋₁₁ cycloalkane, a C₃₋₁₁ cycloalkene (a ring-constituting methylene group of the C₃₋₁₁ cycloalkane and the C₃₋₁₁ cycloalkene may be replaced by a carbonyl group), a 3 to 11-membered non-aromatic heterocycle, a C₆₋₁₄ aromatic carbocycle or a 5 to 10-membered aromatic heterocycle,

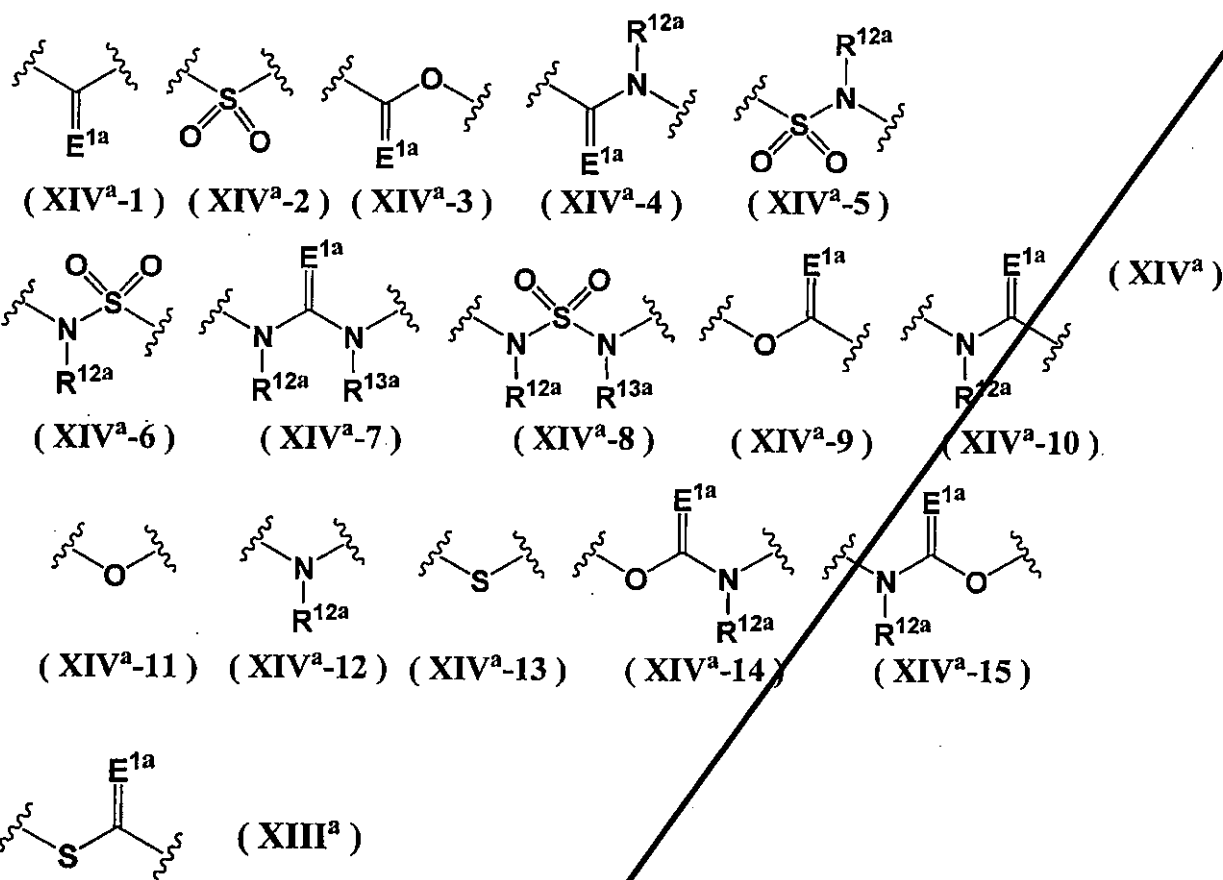
20 n^a is 0, 1 or 2,

R^{3a} is a hydroxy group, an amino group, a carboxy group, a carbamoyl group, a halogen atom, a cyano group, a C₁₋₃ alkyl group, a C₁₋₃ haloalkyl group or a C₁₋₃ alkoxy group (when n^a is 2, R^{3a}'s may be identical or different),

25 L^{2a} is a single bond, a C₁₋₆ alkylene group, a C₂₋₆ alkenylene group (the C₁₋₆ alkylene group and the C₂₋₆ alkenylene group are unsubstituted or substituted with one or more identical or different substituents independently selected from the group consisting of halogen atoms, hydroxy groups, amino groups, cyano groups and nitro groups),

=C(R^{15a})- (wherein R^{15a} is a hydrogen atom or a cyano group, and the bond connecting the ring B^a and L^{2a} is a double bond) or =C(R^{15a})-CH₂- (wherein R^{15a} is a hydrogen atom or a cyano group, and the bond connecting the ring B^a and L^{2a} is a double bond),

30 L^{3a} is a single bond or represented by any of the following formulae (XIV^a-1) to (XIV^a-15) and (XIII^a)



(wherein E^{1a} is an oxygen atom),

- 5 when L^{3a} is a single bond, R^{2a} is a hydrogen atom, a halogen atom, an azido group, a C₃₋₁₁ cycloalkyl group, a 3 to 11-membered non-aromatic heterocyclyl group, a C₆₋₁₄ aryl group, a 5 to 10-membered aromatic heterocyclyl group, a 8 to 11-membered partially saturated aromatic cyclic group or a 8 to 11-membered aromatic ring-condensed alicyclic hydrocarbon group (the C₃₋₁₁ cycloalkyl group, the 3 to 11-membered non-
- 10 aromatic heterocyclyl group, the C₆₋₁₄ aryl group, the 5 to 10-membered aromatic heterocyclyl group, the 8 to 11-membered partially saturated aromatic cyclic group and the 8 to 11-membered aromatic ring-condensed alicyclic hydrocarbon group are unsubstituted or substituted with one or more identical or different substituents independently selected from the group consisting of the substituent set V^{4a}, the
- 15 substituent set V^{9a} and C₁₋₆ alkyl groups (the C₁₋₆ alkyl groups are substituted with a C₁₋₆ alkoxy carbonyl amino group (the C₁₋₆ alkoxy carbonyl amino group is unsubstituted or substituted with one or more identical or different halogen atoms independently selected from the group consisting of fluorine atoms, chlorine atoms, bromine atoms and iodine atoms))),
- 20 when L^{3a} is not a single bond, R^{2a} is a hydrogen atom, a C₁₋₆ alkyl group, a C₂₋₆ alkenyl group, a C₂₋₆ alkynyl group (the C₁₋₆ alkyl group, the C₂₋₆ alkenyl group and the C₂₋₆ alkynyl group are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{6a} and the substituent set V^{9a}), a C₃₋₁₁ cycloalkyl group, a 3 to 11-membered non-aromatic heterocyclyl group, a
- 25 C₆₋₁₄ aryl group, a 5 to 10-membered aromatic heterocyclyl group, a 8 to 11-membered partially saturated aromatic cyclic group or a 8 to 11-membered aromatic ring-condensed alicyclic hydrocarbon group (the C₃₋₁₁ cycloalkyl group, the 3 to 11-membered non-aromatic heterocyclyl group, the C₆₋₁₄ aryl group, the 5 to 10-membered

aromatic heterocyclyl group, the 8 to 11-membered partially saturated aromatic cyclic group and the 8 to 11-membered aromatic ring-condensed alicyclic hydrocarbon group are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{4a} and the substituent set V^{9a}), and each of R^{12a} and R^{13a} is independently a hydrogen atom, a C_{1-6} alkyl group, a C_{1-6} haloalkyl group (the C_{1-6} alkyl group and the C_{1-6} haloalkyl group are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{2a} , the substituent set V^{8a} and the substituent set V^{9a}), a C_{3-11} cycloalkyl group, a 3 to 11-membered non-aromatic heterocyclyl group, a C_{6-14} aryl group, a 5 to 10-membered aromatic heterocyclyl group or a 8 to 11-membered partially saturated aromatic cyclic group (the C_{3-11} cycloalkyl group, the 3 to 11-membered non-aromatic heterocyclyl group, the C_{6-14} aryl group, the 5 to 10-membered aromatic heterocyclyl group and the 8 to 11-membered partially saturated aromatic cyclic group are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{4a} and the substituent set V^{9a}), a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

29. The compound according to Claim 1 or 28, wherein L^{2a} is a single bond, a C_{1-6} alkylene group, a C_{2-6} alkenylene group (the C_{1-6} alkylene group and the C_{2-6} alkenylene group are unsubstituted or substituted with one or two identical or different substituents independently selected from the group consisting of hydroxy groups and cyano groups) or a C_{1-6} haloalkylene group,

the ring B^a is a C_{4-7} cycloalkane (a ring-constituting methylene group of the C_{4-7} cycloalkane may be replaced by a carbonyl group) or a 4 to 7-membered non-aromatic heterocycle,

n^a is 0, 1 or 2,

R^{3a} is a cyano group, a C_{1-3} alkyl group or a halogen atom (when n^a is 2, R^{3a} 's may be identical or different), a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

30. The compound according to any one of Claims 1, 28 and 29, wherein L^{3a} is a single bond,

R^{2a} is a hydrogen atom, a halogen atom, an azido group, a C_{3-11} cycloalkyl group, a 3 to 11-membered non-aromatic heterocyclyl group, a phenyl group, a 5 to 10-membered aromatic heterocyclyl group or a 8 to 11-membered partially saturated aromatic cyclic group (the C_{3-11} cycloalkyl group, the 3 to 11-membered non-aromatic heterocyclyl group, the phenyl group, the 5 to 10-membered aromatic heterocyclyl group and the 8 to 11-membered partially saturated aromatic cyclic group are unsubstituted or substituted with one or more identical or different substituents independently selected from the group consisting of the substituent set V^{4a} , the substituent set V^{9a} and C_{1-6} alkyl groups (the C_{1-6} alkyl groups are substituted with a C_{1-6} alkoxycarbonylamino group (the C_{1-6} alkoxycarbonylamino group is unsubstituted or substituted with one or more identical or different halogen atoms independently selected from the group consisting of fluorine atoms, chlorine atoms, bromine atoms and iodine atoms))), a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

31. The compound according to Claim 30, wherein L^{2a} is a C_{1-3} alkylene group, the ring B^a is a 4 to 7-membered non-aromatic heterocycle,

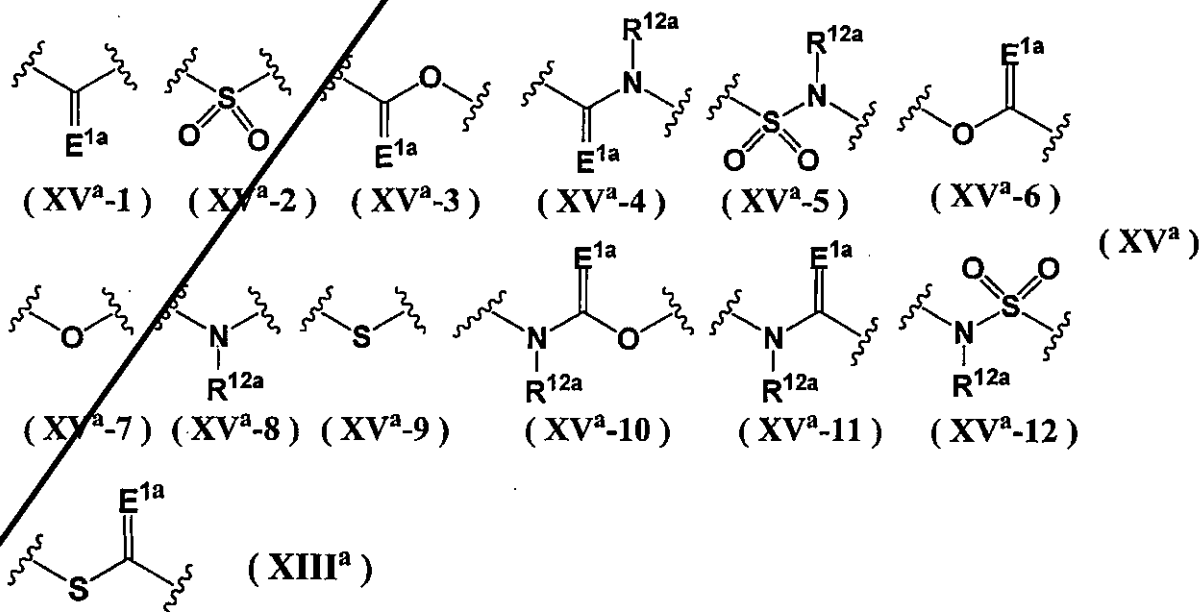
L^{3a} is a single bond,

R^{2a} is a phenyl group or a 5 to 10-membered aromatic heterocyclyl group or a 8 to 11-membered partially saturated aromatic cyclic group (the phenyl group, the 5 to 10-

membered aromatic heterocyclyl group and the 8 to 11-membered partially saturated aromatic cyclic group are unsubstituted or substituted with one, two or three identical or different substituents independently selected from the group consisting of hydroxy groups, halogen atoms, cyano groups, carbamoyl groups, C₁₋₆ alkyl groups, C₁₋₆ haloalkyl groups, C₁₋₆ alkoxy groups, C₁₋₆ haloalkoxy groups, di-C₁₋₆ alkylamino groups, C₁₋₆ alkylthio groups, C₁₋₆ haloalkylthio groups, C₁₋₆ alkylsulfonyl groups, 4 to 7-membered non-aromatic heterocyclyl groups and 5 to 6-membered aromatic heterocyclyl groups), a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

32. The compound according to any one of Claims 28 to 30, wherein the ring B^a is a C₄₋₇ cycloalkane, L^{3a} is a single bond, R^{2a} is a 3 to 11-membered non-aromatic heterocyclyl group (the 3 to 11-membered non-aromatic heterocyclyl group is unsubstituted or substituted with one or more identical or different substituents independently selected from the group consisting of hydroxy groups, amino groups, halogen atoms, cyano groups, carbamoyl groups, carboxy groups, C₁₋₆ alkyl groups (the C₁₋₆ alkyl groups are unsubstituted or substituted with one or more identical or different halogen atoms independently selected from the group consisting of fluorine atoms, chlorine atoms, bromine atoms and iodine atoms or with a substituent selected from the group consisting of a hydroxy group, a cyano group and a C₁₋₆ alkoxy carbonylamino group), C₁₋₃ alkoxy groups, mono-C₁₋₃ alkylaminocarbonyl groups, C₁₋₃ alkylcarbonylamino groups (the C₁₋₃ alkoxy groups, the mono-C₁₋₃ alkylaminocarbonyl groups, the C₁₋₃ alkylcarbonylamino groups are unsubstituted or substituted with one or more identical or different halogen atoms independently selected from the group consisting of fluorine atoms, chlorine atoms, bromine atoms and iodine atoms), di-C₁₋₃ alkylamino groups, C₁₋₃ alkylsulfonyl groups, di-C₁₋₃ alkylaminosulfonyl groups, C₁₋₆ alkoxy carbonylamino groups, 4 to 7-membered non-aromatic heterocyclyl groups and phenyl groups (the phenyl groups are unsubstituted or substituted with a halogen atom)), a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

33. The compound according to any one of Claims 1, 28 and 29, wherein L^{3a} is represented by any of the following formulae (XV^a-1) to (XV^a-12) and (XIII^a):

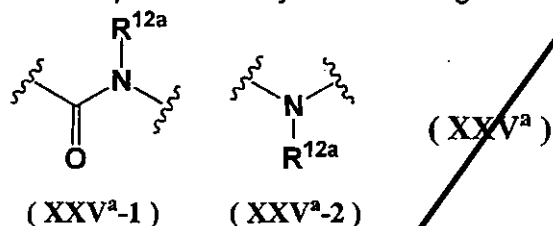


(wherein E^{1a} is an oxygen atom, and R^{12a} is a hydrogen atom, a C_{1-6} alkyl group (the C_{1-6} alkyl group is unsubstituted or substituted with a substituent selected from the group consisting of a hydroxy group, a cyano group, a C_{1-3} alkoxy group, a C_{3-6} cycloalkyl group, a phenyl group and a 5 to 6-membered aromatic heterocyclyl group (the phenyl group and the 5 to 6-membered aromatic heterocyclyl group are unsubstituted or substituted with a substituent selected from the group consisting of a halogen atom, a cyano group, a C_{1-3} alkyl group and a C_{1-3} haloalkyl group)), a C_{1-6} haloalkyl group, a C_{3-6} cycloalkyl group or a phenyl group (the phenyl group is unsubstituted or substituted with a halogen atom or a cyano group)),

R^{2a} is a hydrogen atom, a C_{1-6} alkyl group (the C_{1-6} alkyl group is unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{6a} and the substituent set V^{9a}), a C_{2-6} alkynyl group, a C_{3-11} cycloalkyl group, a 3 to 11-membered non-aromatic heterocyclyl group, a phenyl group, a 5 to 10-membered aromatic heterocyclyl group, a 8 to 11-membered partially saturated aromatic cyclic group or a 8 to 11-membered aromatic ring-condensed alicyclic hydrocarbon group (the C_{3-11} cycloalkyl group, the 3 to 11-membered non-aromatic heterocyclyl group, the phenyl group, the 5 to 10-membered aromatic heterocyclyl group, the 8 to 11-membered partially saturated aromatic cyclic group and the 8 to 11-membered aromatic ring-condensed alicyclic hydrocarbon group are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{4a} and the substituent set V^{9a}), a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

34. The compound according to Claim 33, wherein the ring B^a is a C_{4-7} cycloalkane or a 4 to 7-membered non-aromatic heterocycle,

L^{3a} is represented by the following formulae (XXV^a-1) or (XXV^a-2):

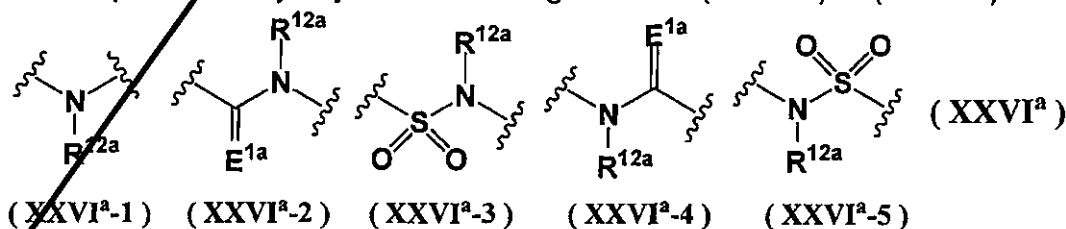


(wherein R^{12a} is a hydrogen atom, a C_{1-3} alkyl group (the C_{1-3} alkyl group is unsubstituted or substituted with a substituent selected from the group consisting of a hydroxy group, a cyano group, a C_{1-3} alkoxy group, a C_{3-6} cycloalkyl group and a phenyl group), a C_{1-3} haloalkyl group, a C_{3-6} cycloalkyl group or a phenyl group (the phenyl group is unsubstituted or substituted with a halogen atom or a cyano group)),

R^{2a} is a hydrogen atom, a C_{1-6} alkyl group, a C_{1-6} haloalkyl group (the C_{1-6} alkyl group and the C_{1-6} haloalkyl group are unsubstituted or substituted with one or two identical or different substituents independently selected from the group consisting of hydroxy groups, cyano groups, C_{1-3} alkoxy groups, C_{1-3} alkylthio groups, C_{1-3} alkylsulfonyl groups, mono- C_{1-3} alkylaminocarbonyl groups, di- C_{1-3} alkylaminocarbonyl groups (the mono- C_{1-3} alkylaminocarbonyl groups and the di- C_{1-3} alkylaminocarbonyl groups are unsubstituted or substituted with one or more identical or different halogen atoms independently selected from the group consisting of fluorine atoms, chlorine atoms, bromine atoms and iodine atoms), C_{3-6} cycloalkyl groups, 4 to 7-membered non-aromatic heterocyclyl groups, phenyl groups and 5 to 6-membered aromatic heterocyclyl groups (the C_{3-6} cycloalkyl groups, the 4 to 7-membered non-aromatic heterocyclyl groups, the phenyl groups and the 5 to 6-membered aromatic heterocyclyl groups are unsubstituted or

substituted with one or two identical or different substituents independently selected from the group consisting of hydroxy groups, amino groups, halogen atoms, cyano groups, C₁₋₃ alkyl groups, C₁₋₃ haloalkyl groups, C₁₋₃ alkoxy groups, C₁₋₃ haloalkoxy groups, C₁₋₃ alkylthio groups, C₁₋₃ haloalkylthio groups, C₁₋₃ alkylsulfonyl groups, C₁₋₃ haloalkylsulfonyl groups, C₁₋₆ alkoxy carbonyl groups, mono-C₁₋₃ alkylamino groups, di-C₁₋₃ alkylamino groups, mono-C₁₋₃ alkylaminocarbonyl groups, di-C₁₋₃ alkylaminocarbonyl groups, C₁₋₃ alkylcarbonylamino group (the C₁₋₆ alkoxy carbonyl groups, the mono-C₁₋₃ alkylamino groups, the di-C₁₋₃ alkylamino groups, the mono-C₁₋₃ alkylaminocarbonyl groups, the di-C₁₋₃ alkylaminocarbonyl groups and the C₁₋₃ alkylcarbonylamino group are unsubstituted or substituted with one or more identical or different halogen atoms independently selected from the group consisting of fluorine atoms, chlorine atoms, bromine atoms and iodine atoms), 4 to 7-membered non-aromatic heterocyclyl groups, phenyl groups (the phenyl groups are unsubstituted or substituted with a halogen atom) and 5 to 6-membered aromatic heterocyclyl groups)), a C₂₋₆ alkynyl group, a C₃₋₆ cycloalkyl group, a 4 to 7-membered non-aromatic heterocyclyl group, a phenyl group, a 8 to 11-membered partially saturated aromatic cyclic group or a 8 to 11-membered aromatic ring-condensed alicyclic hydrocarbon group (the C₃₋₆ cycloalkyl group, the 4 to 7-membered non-aromatic heterocyclyl group, the phenyl group, the 8 to 11-membered partially saturated aromatic cyclic group and the 8 to 11-membered aromatic ring-condensed alicyclic hydrocarbon group are unsubstituted or substituted with one, two or three identical or different substituents independently selected from the group consisting of hydroxy groups, amino groups, halogen atoms, cyano groups, C₁₋₃ alkyl groups (the C₁₋₃ alkyl groups are unsubstituted or substituted with a substituent selected from the group consisting of a hydroxy group, a cyano group and a C₁₋₃ alkoxy group), C₁₋₃ haloalkyl groups, C₁₋₃ alkoxy groups, C₁₋₃ haloalkoxy groups, C₁₋₃ alkylthio groups, C₁₋₃ haloalkylthio groups, C₁₋₃ alkylsulfonyl groups, C₁₋₃ haloalkylsulfonyl groups, C₁₋₆ alkoxy carbonyl groups, mono-C₁₋₃ alkylamino groups, di-C₁₋₃ alkylamino groups, mono-C₁₋₃ alkylaminocarbonyl groups, di-C₁₋₃ alkylaminocarbonyl groups, C₁₋₃ alkylcarbonylamino groups (the C₁₋₆ alkoxy carbonyl groups, the mono-C₁₋₃ alkylamino groups, the di-C₁₋₃ alkylamino groups, the mono-C₁₋₃ alkylaminocarbonyl groups, the di-C₁₋₃ alkylaminocarbonyl groups and the C₁₋₃ alkylcarbonylamino group are unsubstituted or substituted with one or more identical or different halogen atoms independently selected from the group consisting of fluorine atoms, chlorine atoms, bromine atoms and iodine atoms), 4 to 7-membered non-aromatic heterocyclyl groups and phenyl groups (the phenyl groups are unsubstituted or substituted with a halogen atom)), a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

35. The compound according to Claim 33, wherein the ring B^a is a C₄₋₇ cycloalkane, L^{3a} is represented by any of the following formulae (XXVI^a-1) to (XXVI^a-5):



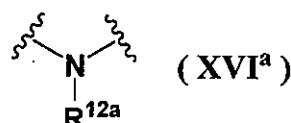
40 (wherein E^{1a} is an oxygen atom, and R^{12a} is a hydrogen atom, a C₁₋₃ alkyl group (the C₁₋₃ alkyl group is unsubstituted or substituted with a substituent selected from the group consisting of a hydroxy group, a cyano group, a C₁₋₃ alkoxy group, a C₃₋₆ cycloalkyl

group, a phenyl group and a 5 to 6-membered aromatic heterocyclyl group (the 5 to 6-membered aromatic heterocyclyl group is unsubstituted or substituted with a C₁₋₃ alkyl group)), a C₁₋₃ haloalkyl group, a C₃₋₆ cycloalkyl group or a phenyl group (the phenyl group is unsubstituted or substituted with a halogen atom or a cyano group)),

and

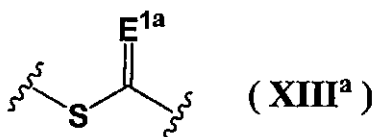
R^{2a} is a C₁₋₃ alkyl group (the C₁₋₃ alkyl group is unsubstituted or substituted with a cyano group), a C₁₋₃ haloalkyl group or a C₃₋₆ cycloalkyl group, a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

36. The compound according to Claim 34 or 35, wherein L^{3a} is represented by the formula (XVI^a):



(wherein R^{12a} is a hydrogen atom, a C₁₋₃ alkyl group (the C₁₋₃ alkyl group is unsubstituted or substituted with a substituent selected from the group consisting of a hydroxy group, a cyano group, a C₁₋₃ alkoxy group, a C₃₋₆ cycloalkyl group and a phenyl group), a C₁₋₃ haloalkyl group, a C₃₋₆ cycloalkyl group or a phenyl group (the phenyl group is unsubstituted or substituted with a halogen atom or a cyano group)), a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

37. The compound according to Claim 33, wherein L^{3a} is represented by the formula (XIII^a):



(wherein E^{1a} is an oxygen atom),

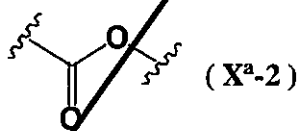
R^{2a} is a C₁₋₃ alkyl group, a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

38. The compound according to any one of Claims 1 to 24, 28 to 30 and 32 to 37, wherein L^{2a} is a single bond or a C₁₋₃ alkylene group, a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

39. The compound according to Claim 1 or 28, wherein L^{1a} is a single bond, the ring B^a is a C₄₋₇ cycloalkane,

L^{2a} is =C(R^{15a})- (wherein R^{15a} is a hydrogen atom or a cyano group, and the bond connecting the ring B^a and L^{2a} is a double bond) or =C(R^{15a})-CH₂- (wherein R^{15a} is a hydrogen atom or a cyano group, and the bond connecting the ring B^a and L^{2a} is a double bond), and

when L^{3a} is a single bond, R^{2a} is a hydrogen atom, and when L^{3a} is the formula (X^a-2):

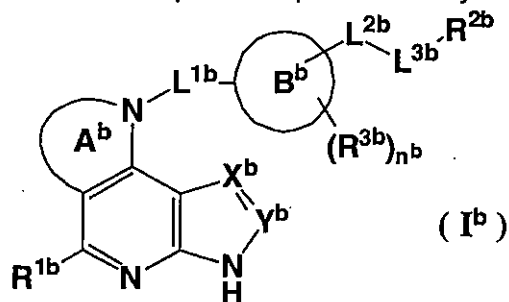


R^{2a} is a C₁₋₃ alkyl group,

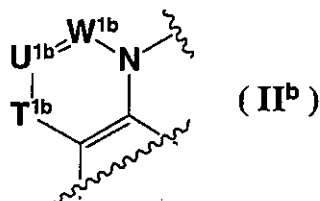
a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

40. The compound according to any one of Claims 1 to 39, wherein n^a is 0, a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

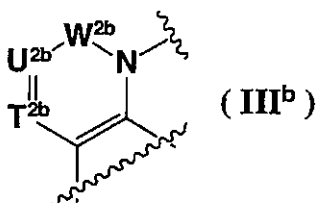
41. A compound represented by the formula (I^b):



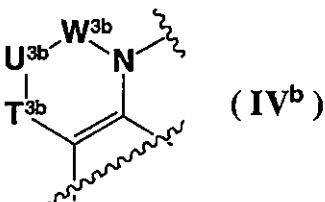
[wherein the ring A^b is represented by the formula (II^b):



- 5 (wherein T^{1b} is CR^{4b}R^{5b}, C(=O), C(=S), C(=NR^{17b}), a sulfur atom, S(=O) or S(=O)₂, U^{1b} is a nitrogen atom or CR^{6b}, and W^{1b} is a nitrogen atom or CR^{8b}), the formula (III^b):



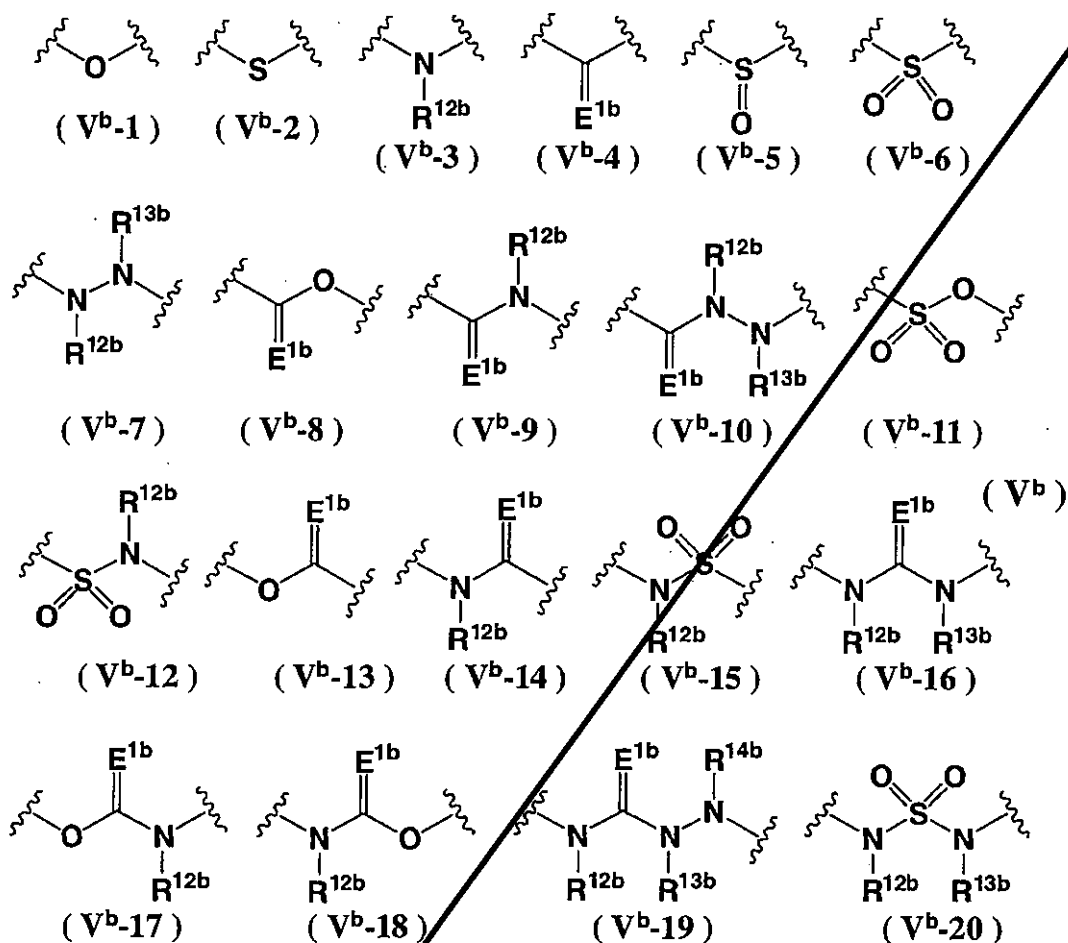
- 10 (wherein T^{2b} is CR^{4b}, U^{2b} is a nitrogen atom or CR^{6b}, and W^{2b} is CR^{8b}R^{9b}, C(=O), C(=S), C(=NR^{17b}), NR^{10b}, an oxygen atom, a sulfur atom, S(=O) or S(=O)₂ (provided that when U^{2b} is CR^{6b}, W^{2b} is not C(=O))) or the formula (IV^b):



- 15 (wherein T^{3b} is CR^{4b}R^{5b}, C(=O), C(=S), C(=NR^{17b}), a sulfur atom, S(=O) or S(=O)₂, U^{3b} is CR^{6b}R^{7b}, C(=O), C(=S), C(=NR^{17b}), NR^{10b}, an oxygen atom, a sulfur atom, S(=O) or S(=O)₂, and W^{3b} is CR^{8b}R^{9b}, C(=O), C(=S), C(=NR^{17b}), NR^{11b}, an oxygen atom, a sulfur atom, S(=O) or S(=O)₂ (provided that when T^{3b} is CR^{4b}R^{5b} and U^{3b} is CR^{6b}R^{7b}, W^{3b} is not CR^{8b}R^{9b})),
- 20 X^b is a nitrogen atom or CR^{15b},
Y^b is CR^{16b},
R^{1b} is a hydrogen atom, a halogen atom, a C₁₋₆ alkyl group or a C₁₋₆ haloalkyl group,
the ring B^b is a C₃₋₁₁ cycloalkane, a C₃₋₁₁ cycloalkene, a 3 to 11-membered non-aromatic heterocycle, a C₆₋₁₄ aromatic carbocycle or a 5 to 10-membered aromatic heterocycle,
L^{1b} is a single bond, a C₁₋₆ alkylene group, a C₂₋₆ alkenylene group or a C₂₋₆ alkynylene group (the C₁₋₆ alkylene group, the C₂₋₆ alkenylene group and the C₂₋₆ alkynylene group are unsubstituted or substituted with one or more identical or different substituents independently selected from the group consisting of halogen atoms, hydroxy groups,
25 amino groups, cyano groups and nitro groups),

L^{2b} is a single bond, a C_{1-6} alkylene group, a C_{2-6} alkenylene group or a C_{2-6} alkynylene group (the C_{1-6} alkylene group, the C_{2-6} alkenylene group and the C_{2-6} alkynylene group are unsubstituted or substituted with one or more identical or different substituents independently selected from the group consisting of halogen atoms, hydroxy groups, amino groups, cyano groups and nitro groups),

L^{3b} is a single bond or represented by any of the following formulae (V^b-1) to (V^b-20):



(wherein E^{1b} is an oxygen atom, a sulfur atom or NR^{18b}),

when L^{3b} is a single bond, R^{2b} is a hydrogen atom, a halogen atom, a C_{3-11} cycloalkyl group, a 3 to 14-membered non-aromatic heterocyclyl group, a C_{6-14} aryl group, a 5 to 10-membered aromatic heterocyclyl group, a 8 to 14-membered partially saturated aromatic cyclic group or a 8 to 14-membered aromatic ring-condensed alicyclic hydrocarbon group (the C_{3-11} cycloalkyl group, the 3 to 14-membered non-aromatic heterocyclyl group, the C_{6-14} aryl group, the 5 to 10-membered aromatic heterocyclyl group, the 8 to 14-membered partially saturated aromatic cyclic group and the 8 to 14-membered aromatic ring-condensed alicyclic hydrocarbon group are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{4b} and the substituent set V^{9b}),

when L^{3b} is not a single bond, R^{2b} is a hydrogen atom, a C_{1-6} alkyl group, a C_{2-6} alkenyl group (the C_{1-6} alkyl group and the C_{2-6} alkenyl group are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{6b} and the substituent set V^{9b}), a C_{3-11} cycloalkyl group, a 3 to 14-membered non-aromatic heterocyclyl group, a C_{6-14} aryl group, a 5 to 10-membered aromatic heterocyclyl group, a 8 to 14-membered partially saturated aromatic cyclic

group or a 8 to 14-membered aromatic ring-condensed alicyclic hydrocarbon group (the C₃₋₁₁ cycloalkyl group, the 3 to 14-membered non-aromatic heterocyclyl group, the C₆₋₁₄ aryl group, the 5 to 10-membered aromatic heterocyclyl group, the 8 to 14-membered partially saturated aromatic cyclic group and the 8 to 14-membered aromatic ring-condensed alicyclic hydrocarbon group are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{4b} and substituent set V^{9b}),

n^b is 0, 1 or 2,

R^{3b} is a hydroxy group, an amino group, a carboxy group, a carbamoyl group, a sulfamoyl group, a phosphono group, a phosphonooxy group, a sulfo group, a sulfoxy group, a tetrazolyl group, a halogen atom, a cyano group, a nitro group, a C₁₋₆ alkyl group, a C₁₋₆ haloalkyl group, a C₃₋₁₁ cycloalkyl group, a C₂₋₆ alkenyl group, a C₂₋₆ haloalkenyl group, a C₁₋₆ alkoxy group, a C₁₋₆ haloalkoxy group, a C₁₋₆ alkylthio group, a C₁₋₆ haloalkylthio group, a C₁₋₆ alkylcarbonyl group, a C₁₋₆ haloalkylcarbonyl group, a C₁₋₆ alkylsulfonyl group, a C₁₋₆ haloalkylsulfonyl group, a C₁₋₆ alkoxycarbonyl group, a mono-C₁₋₆ alkylamino group, a di-C₁₋₆ alkylamino group, a mono-C₁₋₆ alkylaminocarbonyl group, a di-C₁₋₆ alkylaminocarbonyl group or a C₁₋₆ alkylcarbonylamino group (when n^b is 2, R^{3b}'s may be identical or different),

each of R^{4b}, R^{5b}, R^{6b}, R^{7b}, R^{8b} and R^{9b} is independently a hydrogen atom, a hydroxy group, an amino group, a carboxy group, a carbamoyl group, a tetrazolyl group, a halogen atom, a cyano group, a C₁₋₆ alkyl group, a C₂₋₆ alkenyl group, a C₁₋₆ alkoxy group, a C₁₋₆ alkylthio group, a C₁₋₆ alkylcarbonyl group, a C₁₋₆ alkylsulfonyl group, a mono-C₁₋₆ alkylamino group, a di-C₁₋₆ alkylamino group (the C₁₋₆ alkyl group, the C₂₋₆ alkenyl group, the C₁₋₆ alkoxy group, the C₁₋₆ alkylthio group, the C₁₋₆ alkylcarbonyl group, the C₁₋₆ alkylsulfonyl group, the mono-C₁₋₆ alkylamino group and the di-C₁₋₆ alkylamino group are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{3b}), a C₁₋₆ alkoxycarbonyl group, a C₃₋₁₁ cycloalkyl group, a 3 to 11-membered non-aromatic heterocyclyl group, a C₆₋₁₄ aryl group or a 5 to 10-membered aromatic heterocyclyl group (the C₃₋₁₁ cycloalkyl group, the 3 to 11-membered non-aromatic heterocyclyl group, the C₆₋₁₄ aryl group and the 5 to 10-membered aromatic heterocyclyl group are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{1b}),

each of R^{10b} and R^{11b} is independently a hydrogen atom, a C₁₋₆ alkyl group, a C₂₋₆ alkenyl group, a C₁₋₆ alkylcarbonyl group, a C₁₋₆ alkylsulfonyl group, a C₁₋₆ alkoxycarbonyl group, a mono-C₁₋₆ alkylaminocarbonyl group, a di-C₁₋₆ alkylaminocarbonyl group (the C₁₋₆ alkyl group, the C₂₋₆ alkenyl group, the C₁₋₆ alkylcarbonyl group, the C₁₋₆ alkylsulfonyl group, the C₁₋₆ alkoxycarbonyl group, the mono-C₁₋₆ alkylaminocarbonyl group and the di-C₁₋₆ alkylaminocarbonyl group are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{3b}), a C₃₋₁₁ cycloalkyl group, a 3 to 11-membered non-aromatic heterocyclyl group, a C₆₋₁₄ aryl group or a 5 to 10-membered aromatic heterocyclyl group (the C₃₋₁₁ cycloalkyl group, the 3 to 11-membered non-aromatic heterocyclyl group, the C₆₋₁₄ aryl group and the 5 to 10-membered aromatic heterocyclyl group are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{1b}),

each of R^{12b}, R^{13b} and R^{14b} is independently a hydrogen atom, a C₁₋₆ alkyl group or a C₁₋₆ haloalkyl group (the C₁₋₆ alkyl group and the C₁₋₆ haloalkyl group are unsubstituted or

substituted with one or more identical or different substituents independently selected from the substituent set V^{3b} , the substituent set V^{8b} and the substituent set V^{9b}), each of R^{15b} and R^{16b} is independently a hydrogen atom, a halogen atom, a cyano group, a carbamoyl group, a C_{1-6} alkyl group, a C_{1-6} haloalkyl group, a C_{3-11} cycloalkyl group, a C_{1-6} alkoxy group, a C_{1-6} haloalkoxy group, a C_{1-6} alkylthio group, a C_{1-6} alkylcarbonyl group, a C_{1-6} alkylsulfonyl group, a 3 to 11-membered non-aromatic heterocyclyl group, a C_{6-14} aryl group or a 5 to 10-membered aromatic heterocyclyl group,

each of R^{17b} and R^{18b} is independently a hydrogen atom, a hydroxy group, a cyano group, a nitro group, a C_{1-6} alkyl group or a C_{1-6} alkoxy group,

the substituent set V^{1b} consists of hydroxy groups, amino groups, carboxy groups, carbamoyl groups, sulfamoyl groups, phosphono groups, phosphonooxy groups, sulfo groups, sulfoxy groups, tetrazolyl groups, halogen atoms, cyano groups, nitro groups, C_{1-6} alkyl groups, C_{1-6} haloalkyl groups, C_{3-11} cycloalkyl groups, C_{2-6} alkenyl groups, C_{2-6} haloalkenyl groups, C_{1-6} alkoxy groups, C_{1-6} haloalkoxy groups, C_{1-6} alkylthio groups, C_{1-6} haloalkylthio groups, C_{1-6} alkylcarbonyl groups, C_{1-6} haloalkylcarbonyl groups, C_{1-6} alkylsulfonyl groups, C_{1-6} haloalkylsulfonyl groups, C_{1-6} alkoxycarbonyl groups, 3 to 11-membered non-aromatic heterocyclyl groups, mono- C_{1-6} alkylamino groups, di- C_{1-6} alkylamino groups, mono- C_{1-6} alkylaminocarbonyl groups, di- C_{1-6} alkylaminocarbonyl groups and C_{1-6} alkylcarbonylamino groups,

the substituent set V^{2b} consists of the groups in the substituent set V^{1b} , and C_{6-14} aryl groups and 5 to 10-membered aromatic heterocyclyl groups (the C_{6-14} aryl groups and the 5 to 10-membered aromatic heterocyclyl groups are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{1b}),

the substituent set V^{3b} consists of hydroxy groups, amino groups, carboxy groups, carbamoyl groups, sulfamoyl groups, phosphono groups, phosphonooxy groups, sulfo groups, sulfoxy groups, tetrazolyl groups, halogen atoms, cyano groups, nitro groups, C_{1-6} alkoxy groups, C_{1-6} haloalkoxy groups, C_{1-6} alkylthio groups, C_{1-6} haloalkylthio groups, C_{1-6} alkylcarbonyl groups, C_{1-6} haloalkylcarbonyl groups, C_{1-6} alkylsulfonyl groups, C_{1-6} haloalkylsulfonyl groups, C_{1-6} alkoxycarbonyl groups, mono- C_{1-6} alkylamino groups, di- C_{1-6} alkylamino groups, mono- C_{1-6} alkylaminocarbonyl groups, di- C_{1-6} alkylaminocarbonyl groups, C_{1-6} alkylcarbonylamino groups, C_{3-11} cycloalkyl groups, 3 to 11-membered non-aromatic heterocyclyl groups, C_{6-14} aryl group and 5 to 10-membered aromatic heterocyclyl groups (the C_{3-11} cycloalkyl groups, the 3 to 11-membered non-aromatic heterocyclyl groups, the C_{6-14} aryl groups and the 5 to 10-membered aromatic heterocyclyl groups are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{1b}),

the substituent set V^{4b} consists of hydroxy groups, amino groups, carboxy groups, carbamoyl groups, sulfamoyl groups, phosphono groups, phosphonooxy groups, sulfo groups, sulfoxy groups, tetrazolyl groups, halogen atoms, cyano groups, nitro groups, C_{1-6} alkyl groups, C_{2-6} alkenyl groups, C_{1-6} alkoxy groups, C_{1-6} alkylthio groups, C_{1-6} alkylcarbonyl groups, C_{1-6} alkylsulfonyl groups, C_{1-6} alkoxycarbonyl groups, mono- C_{1-6} alkylamino groups, di- C_{1-6} alkylamino groups, mono- C_{1-6} alkylaminocarbonyl groups, di- C_{1-6} alkylaminocarbonyl groups, C_{1-6} alkylcarbonylamino groups (the C_{1-6} alkyl groups, the C_{2-6} alkenyl groups, the C_{1-6} alkoxy groups, the C_{1-6} alkylthio groups, the C_{1-6} alkylcarbonyl groups, the C_{1-6} alkylsulfonyl groups, the C_{1-6} alkoxycarbonyl groups, the mono- C_{1-6} alkylamino groups, the di- C_{1-6} alkylamino groups, the mono- C_{1-6}

alkylaminocarbonyl groups, the di-C₁₋₆ alkylaminocarbonyl groups and the C₁₋₆ alkylcarbonylamino groups are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{3b}), C₃₋₁₁ cycloalkyl groups, 3 to 11-membered non-aromatic heterocyclyl groups, C₆₋₁₄ aryl groups and 5 to 10-membered aromatic heterocyclyl groups (the C₃₋₁₁ cycloalkyl groups, the 3 to 11-membered non-aromatic heterocyclyl groups, the C₆₋₁₄ aryl groups and the 5 to 10-membered aromatic heterocyclyl groups are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{1b}),

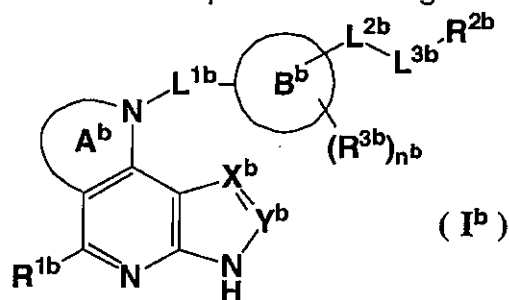
the substituent set V^{5b} consists of hydroxy groups, amino groups, carboxy groups, carbamoyl groups, sulfamoyl groups, phosphono groups, phosphonooxy groups, sulfo groups, sulfoxy groups, tetrazolyl groups, halogen atoms, cyano groups, nitro groups, C₁₋₆ alkoxy groups, C₁₋₆ alkylthio groups, C₁₋₆ alkylcarbonyl groups, C₁₋₆ alkylsulfonyl groups, C₁₋₆ alkoxycarbonyl groups, mono-C₁₋₆ alkylamino groups, di-C₁₋₆ alkylamino groups, mono-C₁₋₆ alkylaminocarbonyl groups, di-C₁₋₆ alkylaminocarbonyl groups, C₁₋₆ alkylcarbonylamino groups, C₃₋₁₁ cycloalkyl groups, 3 to 11-membered non-aromatic heterocyclyl groups, C₆₋₁₄ aryl groups and 5 to 10-membered aromatic heterocyclyl groups (the C₁₋₆ alkoxy groups, the C₁₋₆ alkylthio groups, the C₁₋₆ alkylcarbonyl groups, the C₁₋₆ alkylsulfonyl groups, the C₁₋₆ alkoxycarbonyl groups, the mono-C₁₋₆ alkylamino groups, the di-C₁₋₆ alkylamino groups, the mono-C₁₋₆ alkylaminocarbonyl groups, the di-C₁₋₆ alkylaminocarbonyl groups, the C₁₋₆ alkylcarbonylamino groups, the C₃₋₁₁ cycloalkyl groups, the 3 to 11-membered non-aromatic heterocyclyl groups, the C₆₋₁₄ aryl groups and the 5 to 10-membered aromatic heterocyclyl groups are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{3b}),

the substituent set V^{6b} consists of hydroxy groups, amino groups, carboxy groups, carbamoyl groups, sulfamoyl groups, phosphono groups, phosphonooxy groups, sulfo groups, sulfoxy groups, tetrazolyl groups, halogen atoms, cyano groups, nitro groups, C₁₋₆ alkoxy groups, C₁₋₆ alkylthio groups, C₁₋₆ alkylcarbonyl groups, C₁₋₆ alkylsulfonyl groups, C₁₋₆ alkoxycarbonyl groups, mono-C₁₋₆ alkylamino groups, di-C₁₋₆ alkylamino groups, mono-C₁₋₆ alkylaminocarbonyl groups, di-C₁₋₆ alkylaminocarbonyl groups, C₁₋₆ alkylcarbonylamino groups (the C₁₋₆ alkoxy groups, the C₁₋₆ alkylthio groups, the C₁₋₆ alkylcarbonyl groups, the C₁₋₆ alkylsulfonyl groups, the C₁₋₆ alkoxycarbonyl groups, the mono-C₁₋₆ alkylamino groups, the di-C₁₋₆ alkylamino groups, the mono-C₁₋₆ alkylaminocarbonyl groups, the di-C₁₋₆ alkylaminocarbonyl groups and the C₁₋₆ alkylcarbonylamino groups are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{3b}), C₃₋₁₁ cycloalkyl groups, 3 to 11-membered non-aromatic heterocyclyl groups, C₆₋₁₄ aryl groups, 5 to 10-membered aromatic heterocyclyl groups, 8 to 14-membered partially saturated aromatic cyclic groups and 8 to 14-membered aromatic ring-condensed alicyclic hydrocarbon groups (the C₃₋₁₁ cycloalkyl groups, the 3 to 11-membered non-aromatic heterocyclyl groups, the C₆₋₁₄ aryl groups, the 5 to 10-membered aromatic heterocyclyl groups, the 8 to 14-membered partially saturated aromatic cyclic groups and the 8 to 14-membered aromatic ring-condensed alicyclic hydrocarbon groups are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{4b} and the substituent set V^{9b}), and the substituent set V^{8b} consists of 8 to 14-membered partially saturated aromatic cyclic groups and 8 to 14-membered aromatic ring-condensed alicyclic hydrocarbon groups

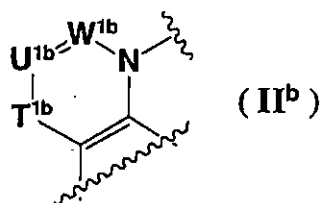
(the 8 to 14-membered partially saturated aromatic cyclic groups and the 8 to 14-membered aromatic ring-condensed alicyclic hydrocarbon groups are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{2b}),

- 5 the substituent set V^{9b} consists of, mono- C_{1-6} alkylaminosulfonyl groups, di- C_{1-6} alkylaminosulfonyl groups, C_{1-6} alkylsulfonylamino groups (the mono- C_{1-6} alkylaminosulfonyl groups, di- C_{1-6} alkylaminosulfonyl groups and C_{1-6} alkylsulfonylamino groups are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{3b}), C_{3-6} cycloalkoxy groups, C_{3-6} cycloalkylamino groups, C_{3-6} cycloalkylthio groups, C_{3-6} cycloalkylcarbonyl groups and C_{3-6} cycloalkylsulfonyl groups (the C_{3-6} cycloalkoxy groups, the C_{3-6} cycloalkylamino groups, the C_{3-6} cycloalkylthio groups, the C_{3-6} cycloalkylcarbonyl groups and the C_{3-6} cycloalkylsulfonyl groups unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{2b}), a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

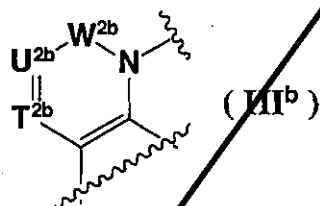
42. The compound according to Claim 41, which is represented by the formula (I^b):



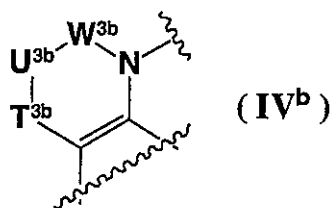
[wherein the ring A^b is represented by the formula (II^b):



(wherein T^{1b} is $CR^{4b}R^{5b}$, $C(=O)$, $C(=S)$, $C(=NR^{17b})$, a sulfur atom, $S(=O)$ or $S(=O)_2$, U^{1b} is a nitrogen atom or CR^{6b} , and W^{1b} is a nitrogen atom or CR^{8b}), the formula (III^b):



(wherein T^{2b} is CR^{4b} , U^{2b} is a nitrogen atom or CR^{6b} , and W^{2b} is $CR^{8b}R^{9b}$, $C(=O)$, $C(=S)$, $C(=NR^{17b})$, NR^{10b} , an oxygen atom, a sulfur atom, $S(=O)$ or $S(=O)_2$ (provided that when U^{2b} is CR^{6b} , W^{2b} is not $C(=O)$)), or the formula (IV^b):



(wherein T^{3b} is CR^{4b}R^{5b}, C(=O), C(=S), C(=NR^{17b}), a sulfur atom, S(=O) or S(=O)₂, U^{3b} is CR^{6b}R^{7b}, C(=O), C(=S), C(=NR^{17b}), NR^{10b}, an oxygen atom, a sulfur atom, S(=O) or S(=O)₂, and W^{3b} is CR^{8b}R^{9b}, C(=O), C(=S), C(=NR^{17b}), NR^{11b}, an oxygen atom, a sulfur atom, S(=O) or S(=O)₂ (provided that when T^{3b} is CR^{4b}R^{5b} and U^{3b} is CR^{6b}R^{7b}, W^{3b} is not CR^{8b}R^{9b})),

X^b is a nitrogen atom or CR^{15b},

Y^b is CR^{16b},

R^{1b} is a hydrogen atom, a halogen atom, a C₁₋₆ alkyl group or a C₁₋₆ haloalkyl group,

the ring B^b is a C₃₋₁₁ cycloalkane, a C₃₋₁₁ cycloalkene, a 3 to 11-membered non-aromatic heterocycle, a C₆₋₁₄ aromatic carbocycle or a 5 to 10-membered aromatic heterocycle,

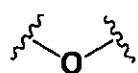
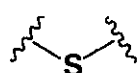
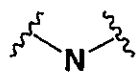
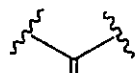
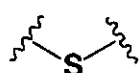
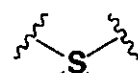
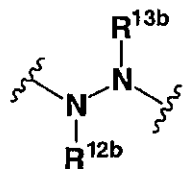
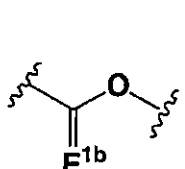
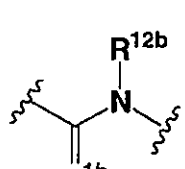
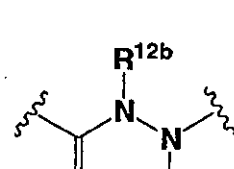
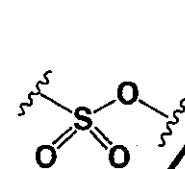
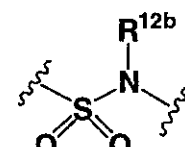
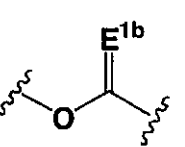
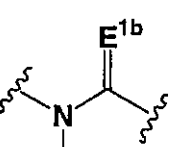
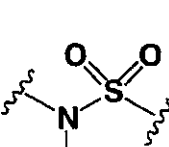
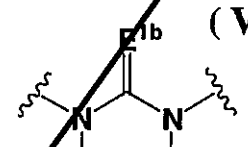
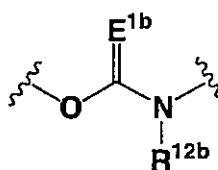
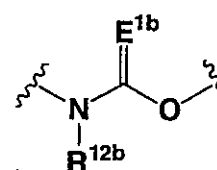
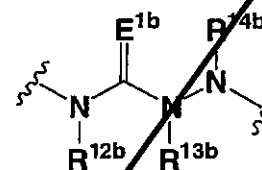
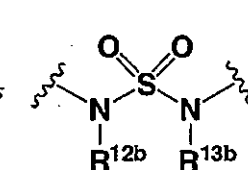
L^{1b} is a single bond, a C₁₋₆ alkylene group, a C₂₋₆ alkenylene group or a C₂₋₆ alkynylene group (the C₁₋₆ alkylene group, the C₂₋₆ alkenylene group and the C₂₋₆ alkynylene group are unsubstituted or substituted with one or more identical or different substituents

independently selected from the group consisting of halogen atoms, hydroxy groups, amino groups, cyano groups and nitro groups),

L^{2b} is a single bond, a C₁₋₆ alkylene group, a C₂₋₆ alkenylene group or a C₂₋₆ alkynylene group (the C₁₋₆ alkylene group, the C₂₋₆ alkenylene group and the C₂₋₆ alkynylene group are unsubstituted or substituted with one or more identical or different substituents

independently selected from the group consisting of halogen atoms, hydroxy groups, amino groups, cyano groups and nitro groups),

L^{3b} is a single bond or represented by any of the following formulae (V^b-1) to (V^b-20):

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

(wherein E^{1b} is an oxygen atom, a sulfur atom or NR^{18b}),

when L^{3b} is a single bond, R^{2b} is a hydrogen atom, a halogen atom, a C₃₋₁₁ cycloalkyl group, a 3 to 11-membered non-aromatic heterocyclyl group, a C₆₋₁₄ aryl group or a 5 to 10-membered aromatic heterocyclyl group (the C₃₋₁₁ cycloalkyl group, the 3 to 11-membered non-aromatic heterocyclyl group, the C₆₋₁₄ aryl group and the 5 to 10-membered aromatic heterocyclyl group are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{4b}),

when L^{3b} is not a single bond, R^{2b} is a hydrogen atom, a C₁₋₆ alkyl group, a C₂₋₆ alkenyl group (the C₁₋₆ alkyl group and the C₂₋₆ alkenyl group are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{5b}), a C₃₋₁₁ cycloalkyl group, a 3 to 11-membered non-aromatic heterocyclyl group, a C₆₋₁₄ aryl group or a 5 to 10-membered aromatic heterocyclyl group (the C₃₋₁₁ cycloalkyl group, the 3 to 11-membered non-aromatic heterocyclyl group, the C₆₋₁₄ aryl group and the 5 to 10-membered aromatic heterocyclyl group are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{4b}),

n^b is 0, 1 or 2,

R^{3b} is a hydroxy group, an amino group, a carboxy group, a carbamoyl group, a sulfonyl group, a phosphono group, a phosphonoxy group, a sulfo group, a sulfoxy group, a tetrazolyl group, a halogen atom, a cyano group, a nitro group, a C₁₋₆ alkyl group, a C₁₋₆ haloalkyl group, a C₃₋₁₁ cycloalkyl group, a C₂₋₆ alkenyl group, a C₂₋₆ haloalkenyl group, a C₁₋₆ alkoxy group, a C₁₋₆ haloalkoxy group, a C₁₋₆ alkylthio group, a

C₁₋₆ haloalkylthio group, a C₁₋₆ alkylcarbonyl group, a C₁₋₆ haloalkylcarbonyl group, a C₁₋₆ alkylsulfonyl group, a C₁₋₆ haloalkylsulfonyl group, a C₁₋₆ alkoxy carbonyl group, a mono-C₁₋₆ alkylamino group, a di-C₁₋₆ alkylamino group, a mono-C₁₋₆ alkylaminocarbonyl group, a di-C₁₋₆ alkylaminocarbonyl group or a C₁₋₆ alkylcarbonylamino group (when n^b is 2, R^{3b}'s may be identical or different), each of R^{4b}, R^{5b}, R^{6b}, R^{7b}, R^{8b} and R^{9b} is independently a hydrogen atom, a hydroxy group, an amino group, a carboxy group, a carbamoyl group, a tetrazolyl group, a halogen atom, a cyano group, a C₁₋₆ alkyl group, a C₂₋₆ alkenyl group, a C₁₋₆ alkoxy group, a C₁₋₆ alkylthio group, a C₁₋₆ alkylcarbonyl group, a C₁₋₆ alkylsulfonyl group, a mono-C₁₋₆ alkylamino group, a di-C₁₋₆ alkylamino group (the C₁₋₆ alkyl group, the C₂₋₆ alkenyl group, the C₁₋₆ alkoxy group, the C₁₋₆ alkylthio group, the C₁₋₆ alkylcarbonyl group, the C₁₋₆ alkylsulfonyl group, the mono-C₁₋₆ alkylamino group and the di-C₁₋₆ alkylamino group are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{3b}), a C₁₋₆ alkoxy carbonyl group, a C₃₋₁₁ cycloalkyl group, a 3 to 11-membered non-aromatic heterocyclyl group, a C₆₋₁₄ aryl group or a 5 to 10-membered aromatic heterocyclyl group (the C₃₋₁₁ cycloalkyl group, the 3 to 11-membered non-aromatic heterocyclyl group, the C₆₋₁₄ aryl group and the 5 to 10-membered aromatic heterocyclyl group are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{1b}), each of R^{10b} and R^{11b} is independently a hydrogen atom, a C₁₋₆ alkyl group, a C₂₋₆ alkenyl group, a C₁₋₆ alkylcarbonyl group, a C₁₋₆ alkylsulfonyl group, a C₁₋₆ alkoxy carbonyl group, a mono-C₁₋₆ alkylaminocarbonyl group, a di-C₁₋₆ alkylaminocarbonyl group (the C₁₋₆ alkyl group, the C₂₋₆ alkenyl group, the C₁₋₆ alkylcarbonyl group, the C₁₋₆ alkylsulfonyl group, the C₁₋₆ alkoxy carbonyl group, the mono-C₁₋₆ alkylaminocarbonyl group and the di-C₁₋₆ alkylaminocarbonyl group are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{3b}), a C₃₋₁₁ cycloalkyl group, a 3 to 11-membered non-aromatic heterocyclyl group, a C₆₋₁₄ aryl group or a 5 to 10-membered aromatic heterocyclyl group (the C₃₋₁₁ cycloalkyl group, the 3 to 11-membered non-aromatic heterocyclyl group, the C₆₋₁₄ aryl group and the 5 to 10-membered aromatic heterocyclyl group are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{1b}), each of R^{12b}, R^{13b} and R^{14b} is independently a hydrogen atom, a C₁₋₆ alkyl group or a C₁₋₆ haloalkyl group (the C₁₋₆ alkyl group and the C₁₋₆ haloalkyl group are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{3b}), each of R^{15b} and R^{16b} is independently a hydrogen atom, a halogen atom, a cyano group, a carbamoyl group, a C₁₋₆ alkyl group, a C₁₋₆ haloalkyl group, a C₃₋₁₁ cycloalkyl group, a C₁₋₆ alkoxy group, a C₁₋₆ haloalkoxy group, a C₁₋₆ alkylthio group, a C₁₋₆ alkylcarbonyl group, a C₁₋₆ alkylsulfonyl group, a 3 to 11-membered non-aromatic heterocyclyl group, a C₆₋₁₄ aryl group or a 5 to 10-membered aromatic heterocyclyl group, each of R^{17b} and R^{18b} is independently a hydrogen atom, a hydroxy group, a cyano group, a nitro group, a C₁₋₆ alkyl group or a C₁₋₆ alkoxy group, the substituent set V^{1b} consists of hydroxy groups, amino groups, carboxy groups, carbamoyl groups, sulfamoyl groups, phosphono groups, phosphonoxy groups, sulfo groups, sulfoxy groups, tetrazolyl groups, halogen atoms, cyano groups, nitro groups,

C₁₋₆ alkyl groups, C₁₋₆ haloalkyl groups, C₃₋₁₁ cycloalkyl groups, C₂₋₆ alkenyl groups, C₂₋₆ haloalkenyl groups, C₁₋₆ alkoxy groups, C₁₋₆ haloalkoxy groups, C₁₋₆ alkylthio groups, C₁₋₆ haloalkylthio groups, C₁₋₆ alkylcarbonyl groups, C₁₋₆ haloalkylcarbonyl groups, C₁₋₆ alkylsulfonyl groups, C₁₋₆ haloalkylsulfonyl groups, C₁₋₆ alkoxycarbonyl groups, 3 to 11-membered non-aromatic heterocyclyl groups, mono-C₁₋₆ alkylamino groups, di-C₁₋₆ alkylamino groups, mono-C₁₋₆ alkylaminocarbonyl groups, di-C₁₋₆ alkylaminocarbonyl groups and C₁₋₆ alkylcarbonylamino groups,

the substituent set V^{2b} consists of the groups in the substituent set V^{1b} and C₆₋₁₄ aryl groups and 5 to 10-membered aromatic heterocyclyl groups (the C₆₋₁₄ aryl groups and 5 to 10-membered aromatic heterocyclyl groups are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{1b}),

the substituent set V^{3b} consists of hydroxy groups, amino groups, carboxy groups, carbamoyl groups, sulfamoyl groups, phosphono groups, phosphonooxy groups, sulfo groups, sulfoxy groups, tetrazolyl groups, halogen atoms, cyano groups, nitro groups, C₁₋₆ alkoxy groups, C₁₋₆ haloalkoxy groups, C₁₋₆ alkylthio groups, C₁₋₆ haloalkylthio groups, C₁₋₆ alkylcarbonyl groups, C₁₋₆ haloalkylcarbonyl groups, C₁₋₆ alkylsulfonyl groups, C₁₋₆ haloalkylsulfonyl groups, C₁₋₆ alkoxycarbonyl groups, mono-C₁₋₆ alkylamino groups, di-C₁₋₆ alkylamino groups, mono-C₁₋₆ alkylaminocarbonyl groups, di-C₁₋₆ alkylaminocarbonyl groups, C₁₋₆ alkylcarbonylamino groups, C₃₋₁₁ cycloalkyl groups, 3 to 11-membered non-aromatic heterocyclyl groups, C₆₋₁₄ aryl groups and 5 to 10-membered aromatic heterocyclyl groups (the C₃₋₁₁ cycloalkyl groups, the 3 to 11-membered non-aromatic heterocyclyl groups, the C₆₋₁₄ aryl groups and the 5 to 10-membered aromatic heterocyclyl groups are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{1b}),

the substituent set V^{4b} consists of hydroxy groups, amino groups, carboxy groups, carbamoyl groups, sulfamoyl groups, phosphono groups, phosphonooxy groups, sulfo groups, sulfoxy groups, tetrazolyl groups, halogen atoms, cyano groups, nitro groups, C₁₋₆ alkyl groups, C₂₋₆ alkenyl groups, C₁₋₆ alkoxy groups, C₁₋₆ alkylthio groups, C₁₋₆ alkylcarbonyl groups, C₁₋₆ alkylsulfonyl groups, C₁₋₆ alkoxycarbonyl groups, mono-C₁₋₆ alkylamino groups, di-C₁₋₆ alkylamino groups, mono-C₁₋₆ alkylaminocarbonyl groups, di-C₁₋₆ alkylaminocarbonyl groups, C₁₋₆ alkylcarbonylamino groups (the C₁₋₆ alkyl groups, the C₂₋₆ alkenyl groups, the C₁₋₆ alkoxy groups, the C₁₋₆ alkylthio groups, the C₁₋₆ alkylcarbonyl groups, the C₁₋₆ alkylsulfonyl groups, the C₁₋₆ alkoxycarbonyl groups, the mono-C₁₋₆ alkylamino groups, the di-C₁₋₆ alkylamino groups, the mono-C₁₋₆ alkylaminocarbonyl groups, the di-C₁₋₆ alkylaminocarbonyl groups and the C₁₋₆ alkylcarbonylamino groups are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{3b}), C₃₋₁₁ cycloalkyl groups, 3 to 11-membered non-aromatic heterocyclyl groups, C₆₋₁₄ aryl groups and 5 to 10-membered aromatic heterocyclyl groups (the C₃₋₁₁ cycloalkyl groups, the 3 to 11-membered non-aromatic heterocyclyl groups, the C₆₋₁₄ aryl groups and the 5 to 10-membered aromatic heterocyclyl groups are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{1b}), and

the substituent set V^{5b} consists of hydroxy groups, amino groups, carboxy groups, carbamoyl groups, sulfamoyl groups, phosphono groups, phosphonooxy groups, sulfo groups, sulfoxy groups, tetrazolyl groups, halogen atoms, cyano groups, nitro groups,

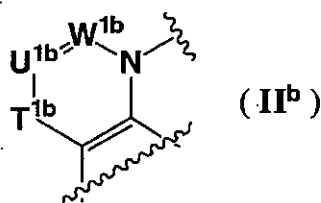
C₁₋₆ alkoxy groups, C₁₋₆ alkylthio groups, C₁₋₆ alkylcarbonyl groups, C₁₋₆ alkylsulfonyl groups, C₁₋₆ alkoxy carbonyl groups, mono-C₁₋₆ alkylamino groups, di-C₁₋₆ alkylamino groups, mono-C₁₋₆ alkylaminocarbonyl groups, di-C₁₋₆ alkylaminocarbonyl groups, C₁₋₆ alkylcarbonylamino groups, C₃₋₁₁ cycloalkyl groups, 3 to 11-membered non-aromatic heterocyclyl groups, C₆₋₁₄ aryl groups and 5 to 10-membered aromatic heterocyclyl groups (the C₁₋₆ alkoxy groups, the C₁₋₆ alkylthio groups, the C₁₋₆ alkylcarbonyl groups, the C₁₋₆ alkylsulfonyl groups, the C₁₋₆ alkoxy carbonyl groups, the mono-C₁₋₆ alkylamino groups, the di-C₁₋₆ alkylamino groups, the mono-C₁₋₆ alkylaminocarbonyl groups, the di-C₁₋₆ alkylaminocarbonyl groups, the C₁₋₆ alkylcarbonylamino groups, the C₃₋₁₁ cycloalkyl groups, the 3 to 11-membered non-aromatic heterocyclyl groups, the C₆₋₁₄ aryl groups and the 5 to 10-membered aromatic heterocyclyl groups are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{3b}), a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

43. The compound according to Claim 42, wherein R^{1b} is a hydrogen atom, a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

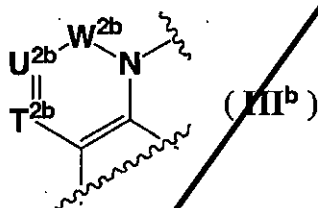
44. The compound according to Claim 42 or 43, wherein X^b is a nitrogen atom or CR^{15b} (wherein R^{15b} is a hydrogen atom, a halogen atom, a cyano group, a C₁₋₃ alkyl group, a C₁₋₃ haloalkyl group or a C₃₋₆ cycloalkyl group), and Y^b is CR^{16b} (wherein R^{16b} is a hydrogen atom), a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

45. The compound according to Claim 44, wherein X^b is a nitrogen atom or CR^{15b} (wherein R^{15b} is a hydrogen atom or a halogen atom), a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

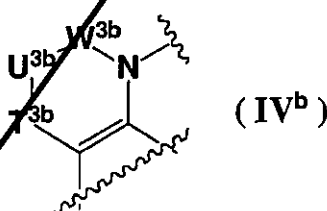
46. The compound according to any one of Claims 42 to 45, wherein the ring A^b is represented by the formula (II^b):



(wherein T^{1b} is CR^{4b}R^{5b}, C(=O), C(=S) or S(=O)₂, U^{1b} is a nitrogen atom or CR^{6b}, and W^{1b} is CR^{8b}), the formula (III^b):

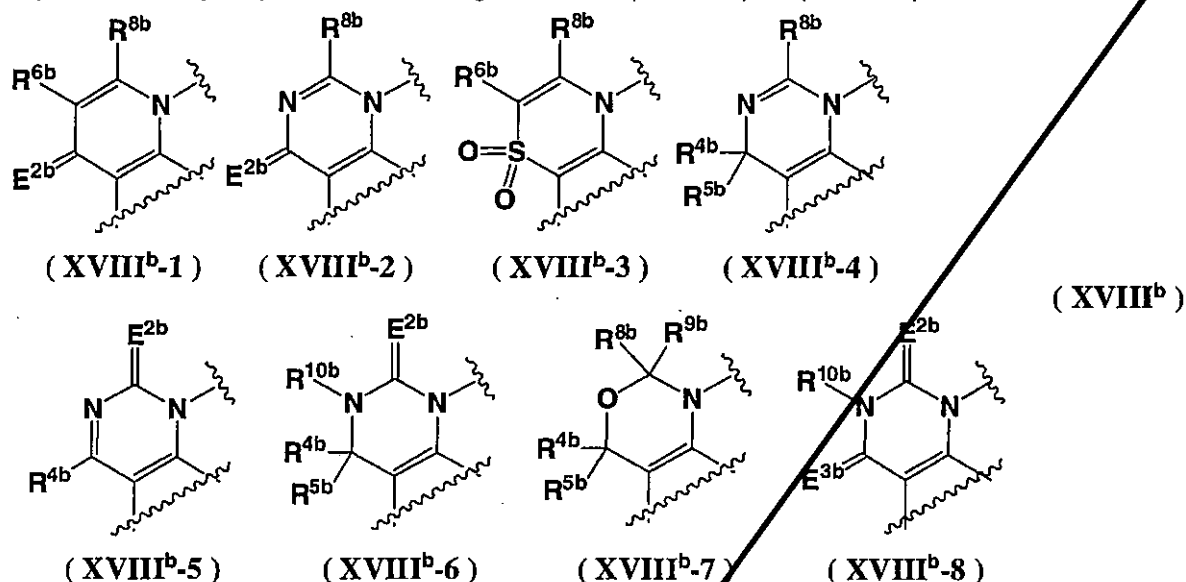


(wherein T^{2b} is CR^{4b}, U^{2b} is a nitrogen atom, and W^{2b} is C(=O) or C(=S)) or the formula (IV^b):



(wherein T^{3b} is $CR^{4b}R^{5b}$, U^{3b} is NR^{10b} or an oxygen atom, and W^{3b} is $CR^{8b}R^{9b}$, $C(=O)$ or $C(=S)$), a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

47. The compound according to any one of Claims 42 to 45, wherein the ring A^b is represented by any of the following formulae (XVIII^b-1) to (XVIII^b-8):



(wherein each of E^{2b} and E^{3b} is independently an oxygen atom or a sulfur atom, each of R^{4b} , R^{5b} , R^{6b} , R^{8b} and R^{9b} is independently a hydrogen atom, a halogen atom or a C_{1-3} alkyl group, and R^{10b} is a hydrogen atom or a C_{1-3} alkyl group), a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

48. The compound according to any one of Claims 42 to 47, wherein L^{1b} is a single bond,

L^{2b} is a single bond, a C_{1-6} alkylene group or a C_{2-6} alkenylene group (the C_{1-6} alkylene group and the C_{2-6} alkenylene group are unsubstituted or substituted with one or more identical or different substituents independently selected from the group consisting of a halogen atoms, hydroxy groups, amino groups, cyano groups and nitro groups), the ring B^b is a C_{3-11} cycloalkane, a C_{3-11} cycloalkene, a 3 to 11-membered non-aromatic heterocycle, a C_{6-14} aromatic carbocycle or a 5 to 10-membered aromatic heterocycle, n^b is, 0 or 1,

R^{3b} is a hydroxy group, an amino group, a halogen atom, a cyano group, a C_{1-3} alkyl group, a C_{1-3} haloalkyl group, a C_{3-6} cycloalkyl group, a C_{1-3} alkoxy group, a C_{1-3} haloalkoxy group or a C_{1-3} alkylsulfonyl group,

L^{3b} is a single bond, and

R^{2b} is a hydrogen atom, a halogen atom, a C_{3-11} cycloalkyl group, a 3 to 11-membered non-aromatic heterocyclyl group, a phenyl group, a naphthyl group or a 5 to 10-membered aromatic heterocyclyl group (the C_{3-11} cycloalkyl group, the 3 to 11-membered non-aromatic heterocyclyl group, the phenyl group, the naphthyl group and the 5 to 10-membered aromatic heterocyclyl group are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{4b}), a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

49. The compound according to any one of Claims 42 to 47, wherein L^{1b} is a single bond or a C_{1-3} alkylene group,

L^{2b} is a single bond or a C_{1-3} alkylene group (the C_{1-3} alkylene group is unsubstituted or

substituted with a cyano group or a C₁₋₃ haloalkyl group),
the ring B^b is a C₃₋₁₁ cycloalkane, a C₃₋₁₁ cycloalkene, a 3 to 11-membered non-aromatic heterocycle, benzene or a 5 to 6-membered aromatic heterocycle,
n^b is, 0 or 1,

5 R^{3b} is a hydroxy group, an amino group, a carboxy group, a carbamoyl group, a tetrazolyl group, a halogen atom, a cyano group, a nitro group, a C₁₋₃ alkyl group, a C₁₋₃ haloalkyl group, a C₃₋₆ cycloalkyl group, a C₁₋₃ alkoxy group, a C₁₋₃ haloalkoxy group or a C₁₋₃ alkylsulfonyl group,
L^{3b} is a single bond, and

10 R^{2b} is a hydrogen atom, a halogen atom, a C₃₋₆ cycloalkyl group, a 4 to 7-membered non-aromatic heterocyclyl group, a phenyl group or a 5 to 6-membered aromatic heterocyclyl group (the C₃₋₆ cycloalkyl group, the 4 to 7-membered non-aromatic heterocyclyl group, the phenyl group and the 5 to 6-membered aromatic heterocyclyl group are unsubstituted or substituted with one or more identical or different
15 substituents independently selected from the substituent set V^{4b}), a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

50. The compound according to Claim 48, wherein the ring B^b is a C₃₋₁₁ cycloalkane or a 4 to 7-membered non-aromatic heterocycle,
n^b is 0 or 1, and

20 R^{3b} is a hydroxy group, a C₁₋₃ alkyl group or a C₁₋₃ alkoxy group, a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

51. The compound according to Claim 48 or 50, wherein L^{2b} is a single bond, a C₁₋₆ alkylene group, a C₂₋₆ alkenylene group or a C₁₋₆ haloalkylene group (the C₁₋₆ alkylene group, the C₂₋₆ alkenylene group and the C₁₋₆ haloalkylene group are unsubstituted or
25 substituted with one or two identical or different substituents independently selected from the group consisting of hydroxy groups and cyano groups), a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

52. The compound according to any one of Claims 48, 50 and 51, wherein R^{2b} is a
30 hydrogen atom, a C₃₋₆ cycloalkyl group, a 4 to 7-membered non-aromatic heterocyclyl group, a phenyl group or a 5 to 10-membered aromatic heterocyclyl group (the 4 to 7-membered non-aromatic heterocyclyl group, the phenyl group and the 5 to 10-membered aromatic heterocyclyl group are unsubstituted or substituted with one or more identical or different substituents independently selected from the group consisting of hydroxy groups, amino groups, carbamoyl groups, sulfamoyl groups, halogen atoms,
35 cyano groups, nitro groups, C₁₋₆ alkyl groups, C₁₋₆ alkoxy groups, C₁₋₆ alkylthio groups, C₁₋₆ alkylsulfonyl groups, mono-C₁₋₆ alkylamino groups, di-C₁₋₆ alkylamino groups, C₁₋₆ alkoxycarbonyl groups, mono-C₁₋₆ alkylaminocarbonyl groups, di-C₁₋₆ alkylaminocarbonyl groups, C₁₋₆ alkylcarbonylamino groups (the C₁₋₆ alkyl groups, the C₁₋₆ alkoxy groups, the C₁₋₆ alkylthio groups, the C₁₋₆ alkylsulfonyl groups, the mono-C₁₋₆ alkylamino groups, the di-C₁₋₆ alkylamino groups, the C₁₋₆ alkoxycarbonyl groups, the mono-C₁₋₆ alkylaminocarbonyl groups, the di-C₁₋₆ alkylaminocarbonyl groups and the C₁₋₆ alkylcarbonylamino groups are unsubstituted or substituted with one or more identical or different halogen atoms independently selected from the group consisting of fluorine atoms, chlorine atoms, bromine atoms and iodine atoms or with a hydroxy group or a
40 cyano group), C₃₋₆ cycloalkyl groups, 4 to 7-membered non-aromatic heterocyclyl groups, phenyl groups and 5 to 6-membered aromatic heterocyclyl groups), a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

53. The compound according to Claim 52, wherein R^{2b} is a hydrogen atom, a 4 to 7-

membered non-aromatic heterocyclyl group, a phenyl group or a 5 to 10-membered aromatic heterocyclyl group (the 4 to 7-membered non-aromatic heterocyclyl group, the phenyl group and the 5 to 10-membered aromatic heterocyclyl group are unsubstituted or substituted with one or two identical or different substituents independently selected from the group consisting of hydroxy groups, halogen atoms, cyano groups, nitro groups, C₁₋₃ alkyl groups (the C₁₋₃ alkyl groups are unsubstituted or substituted with a cyano group), C₁₋₃ haloalkyl groups and C₁₋₆ alkoxy carbonyl groups), a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

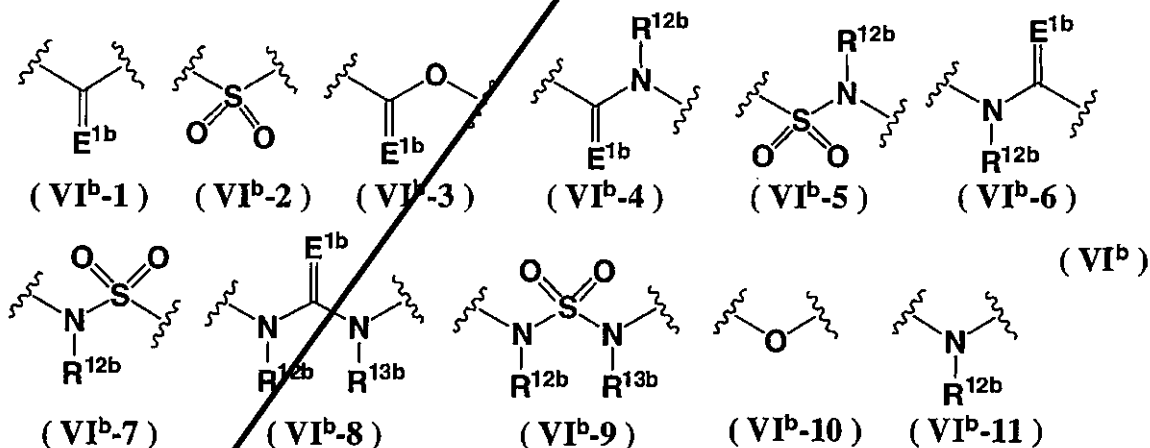
54. The compound according to any one of Claims 48 and 50 to 53, wherein L^{2b} is a C₁₋₆ alkylene group, a C₂₋₃ alkenylene group (the C₁₋₆ alkylene group and the C₂₋₃ alkenylene group are unsubstituted or substituted with a cyano group) or C₁₋₆ haloalkylene group, and R^{2b} is, a hydrogen atom, a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

55. The compound according to any one of Claims 42 to 47, wherein L^{1b} is a single bond,

L^{2b} is a single bond, a C₁₋₆ alkylene group or a C₂₋₆ alkenylene group (the C₁₋₆ alkylene group and the C₂₋₆ alkenylene group are unsubstituted or substituted with one or more identical or different substituents independently selected from the group consisting of halogen atoms, hydroxy groups, amino groups, cyano groups and nitro groups), the ring B^b is a C₃₋₁₁ cycloalkane, a C₃₋₁₁ cycloalkene, a 3 to 11-membered non-aromatic heterocyclyl group, a C₆₋₁₄ aryl group or a 5 to 10-membered aromatic heterocycle, n^b is 0 or 1,

R^{3b} is a hydroxy group, an amino group, a halogen atom, a cyano group, a C₁₋₃ alkyl group, a C₁₋₃ haloalkyl group, a C₃₋₆ cycloalkyl group, a C₁₋₃ alkoxy group or a C₁₋₃ haloalkoxy group,

L^{3b} is represented by any of the following formulae (VI^b-1) to (VI^b-11):



(wherein E^{1b} is an oxygen atom or a sulfur atom, each of R^{12b} and R^{13b} is independently a hydrogen atom, a C₁₋₆ alkyl group or a C₁₋₆ haloalkyl group (the C₁₋₆ alkyl group and the C₁₋₆ haloalkyl group are unsubstituted or substituted with one or more identical or different substituents independently selected from the group consisting of halogen atoms, cyano groups, hydroxy group, C₁₋₆ alkoxy groups, C₁₋₆ alkylthio groups, C₁₋₆ alkylsulfonyl groups, C₃₋₆ cycloalkyl groups, 4 to 7-membered non-aromatic heterocyclyl groups, phenyl groups and 5 to 6-membered aromatic heterocyclyl groups (the phenyl groups and the 5 to 6-membered aromatic heterocyclyl groups are unsubstituted or substituted with a substituent selected from the group consisting of a halogen atom, a cyano group, a C₁₋₃ alkyl group and a C₁₋₃ haloalkyl group))), and

R^{2b} is a hydrogen atom, a C_{1-6} alkyl group (the C_{1-6} alkyl group is unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{5b}), a C_{3-11} cycloalkyl group, a 3 to 11-membered non-aromatic heterocyclyl group, a phenyl group, a naphthyl group or a 5 to 10-membered aromatic heterocyclyl group (the C_{3-11} cycloalkyl group, the 3 to 11-membered non-aromatic heterocyclyl group, the phenyl group, the naphthyl group and the 5 to 10-membered aromatic heterocyclyl group are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{4b}), a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

56. The compound according to any one of Claims 42 to 47, wherein L^{1b} is a single bond or a C_{1-3} alkylene group,

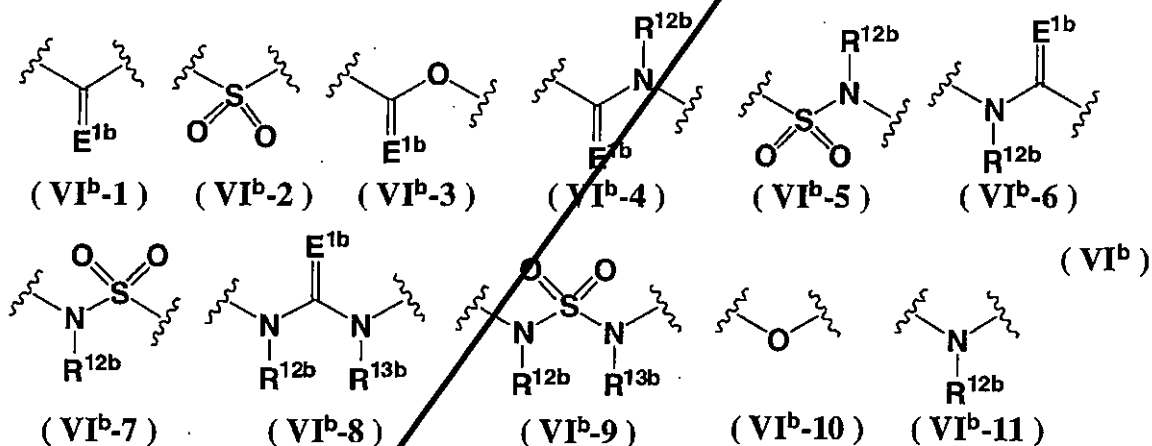
L^{2b} is a single bond or a C_{1-3} alkylene group (the C_{1-3} alkylene group is unsubstituted or substituted with a cyano group or a C_{1-3} haloalkyl group),

the ring B^b is a C_{3-11} cycloalkane, a C_{3-11} cycloalkene, a 3 to 11-membered non-aromatic heterocycle, benzene or a 5 to 6-membered aromatic heterocycle,

n^b is 0 or 1,

R^{3b} is a hydroxy group, an amino group, a carbamoyl group, a halogen atom, a cyano group, a C_{1-3} alkyl group, a C_{1-3} haloalkyl group, a C_{3-6} cycloalkyl group, a C_{1-3} alkoxy group, a C_{1-3} haloalkoxy group or a C_{1-3} alkylsulfonyl group,

L^{3b} is represented by any of the following formulae (VI^b-1) to (VI^b-11):

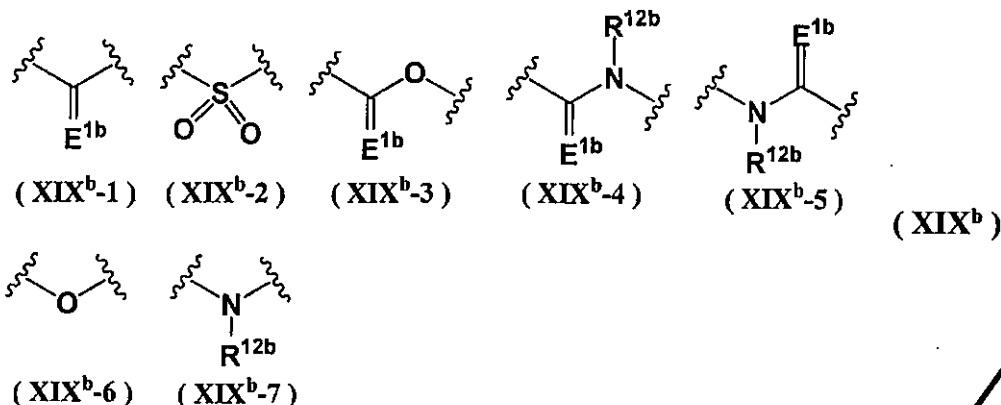


(wherein E^{1b} is an oxygen atom, each of R^{12b} and R^{13b} is independently a hydrogen atom, a C_{1-6} alkyl group or a C_{1-6} haloalkyl group), and

R^{2b} is a hydrogen atom, a C_{1-6} alkyl group (the C_{1-6} alkyl group is unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{5b}), a C_{3-6} cycloalkyl group, a 4 to 7-membered non-aromatic heterocyclyl group, a phenyl group or a 5 to 6-membered aromatic heterocyclyl group (the C_{3-6} cycloalkyl group, the 4 to 7-membered non-aromatic heterocyclyl group, the phenyl group and the 5 to 6-membered aromatic heterocyclyl group are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{1b}), a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

57. The compound according to Claim 55, wherein the ring B^b is a C_{3-11} cycloalkane or a 4 to 7-membered non-aromatic heterocycle,

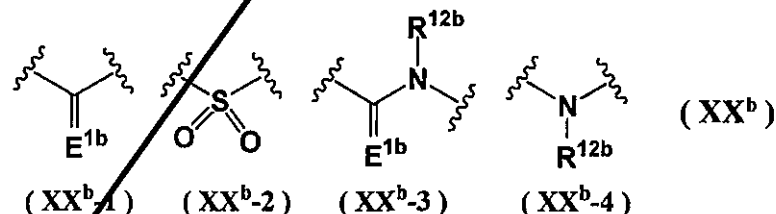
L^{3b} is represented by any of the following formulae (XIX^b-1) to (XIX^b-7):



(wherein E^{1b} is an oxygen atom, and R^{12b} is a hydrogen atom, a C₁₋₆ alkyl group (the C₁₋₆ alkyl group is unsubstituted or substituted with one or more identical or different substituents independently selected from the group consisting of cyano groups, hydroxy groups, C₁₋₃ alkoxy groups, C₃₋₆ cycloalkyl groups and phenyl groups) or a C₁₋₆ haloalkyl group), and

R^{2b} is a hydrogen atom, a C₁₋₆ alkyl group, a C₁₋₆ haloalkyl group (the C₁₋₆ alkyl group and the C₁₋₆ haloalkyl group are unsubstituted or substituted with one or two identical or different substituents independently selected from the group consisting of hydroxy groups, cyano groups, C₁₋₃ alkoxy groups, C₁₋₃ alkylthio groups, C₁₋₃ alkylsulfonyl groups, C₃₋₆ cycloalkyl groups, 4 to 7-membered non-aromatic heterocyclyl groups, phenyl groups and 5 to 6-membered aromatic heterocyclyl groups (the C₃₋₆ cycloalkyl groups, the 4 to 7-membered non-aromatic heterocyclyl groups, the phenyl groups and the 5 to 6-membered aromatic heterocyclyl groups are unsubstituted or substituted with one or more identical or different substituents independently selected from the group consisting of halogen atoms, hydroxy groups, cyano groups, C₁₋₆ alkoxy groups, C₁₋₆ haloalkoxy groups and C₁₋₆ alkoxycarbonyl groups)), a C₃₋₆ cycloalkyl group, a 4 to 7-membered non-aromatic heterocyclyl group, a phenyl group or a 5 to 6-membered aromatic heterocyclyl group (the C₃₋₆ cycloalkyl group, the 4 to 7-membered non-aromatic heterocyclyl group, the phenyl group and the 5 to 6-membered aromatic heterocyclyl group are unsubstituted or substituted with one or more identical or different substituents independently selected from the group consisting of halogen atoms, hydroxy groups, cyano groups, C₁₋₆ alkyl groups, C₁₋₆ haloalkyl groups, C₁₋₆ alkoxy groups, C₁₋₆ haloalkoxy groups and C₁₋₆ alkoxycarbonyl groups), a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

58. The compound according to Claim 55 or 57, wherein L^{3b} is represented by any of the following formulae (XX^b-1) to (XX^b-4):



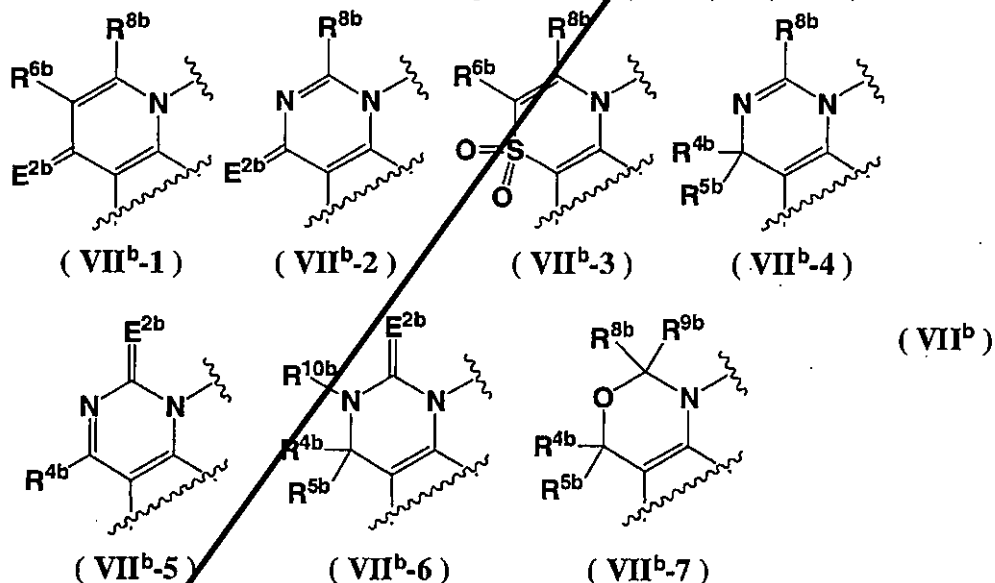
(wherein E^{1b} is an oxygen atom, and R^{12b} is a hydrogen atom, a C₁₋₃ alkyl group (the C₁₋₃ alkyl group is unsubstituted or substituted with a substituent selected from the group consisting of a cyano group, a hydroxy group, a C₁₋₃ alkoxy group, a C₃₋₆ cycloalkyl group and a phenyl group) or C₁₋₃ haloalkyl group)), and R^{2b} is a hydrogen atom, a C₁₋₃ alkyl group, a C₁₋₃ haloalkyl group (the C₁₋₃ alkyl group

and the C₁₋₃ haloalkyl group are unsubstituted or substituted with one or two identical or different substituent selected from the group consisting of hydroxy groups, cyano groups, C₁₋₃ alkoxy groups, C₃₋₆ cycloalkyl groups, 4 to 7-membered non-aromatic heterocyclyl groups, phenyl groups and 5 to 6-membered aromatic heterocyclyl groups (the C₃₋₆ cycloalkyl groups, the 4 to 7-membered non-aromatic heterocyclyl groups, the phenyl groups and the 5 to 6-membered aromatic heterocyclyl groups are unsubstituted or substituted with a hydroxy group or a halogen atom)), a C₃₋₆ cycloalkyl group, a 4 to 7-membered non-aromatic heterocyclyl group, a phenyl group or a 5 to 6-membered aromatic heterocyclyl group (the C₃₋₆ cycloalkyl group, the 4 to 7-membered non-aromatic heterocyclyl group, the phenyl group and the 5 to 6-membered aromatic heterocyclyl group are unsubstituted or substituted with one or two identical or different substituents independently selected from the group consisting of hydroxy groups, halogen atoms, cyano groups, C₁₋₆ alkyl groups, C₁₋₃ haloalkyl groups and C₁₋₆ alkoxy carbonyl groups), a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

59. The compound according to any one of Claims 48 to 53 or 55 to 58, wherein L^{2b} is a single bond or a C₁₋₃ alkylene group, a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

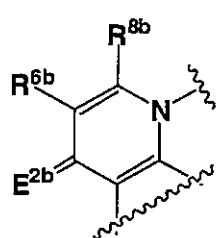
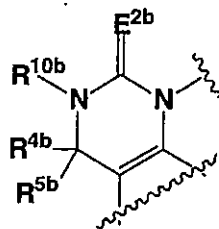
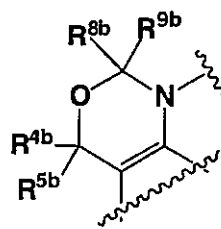
60. The compound according to any one of Claims 44 to 59, wherein X^b is a nitrogen atom or CR^{15b} (wherein R^{15b} is a hydrogen atom), and Y^b is CR^{16b} (wherein R^{16b} is a hydrogen atom), a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

61. The compound according to any one of Claims 46 to 60, wherein the ring A^b is represented by any of the following formulae (VII^b-1) to (VII^b-7):



(wherein E^{2b} is an oxygen atom, and each of R^{4b}, R^{5b}, R^{6b}, R^{8b}, R^{9b} and R^{10b} is independently a hydrogen atom or a C₁₋₃ alkyl group), a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

62. The compound according to any one of Claims 46 to 60, wherein the ring A^b is represented by any of the following formulae (XXXIII^b-1) to (XXXIII^b-3):

(XXXIII^b-1)(XXXIII^b-2)(XXXIII^b-3)(XXXIII^b)

(wherein E^{2b} is an oxygen atom, and each of R^{4b}, R^{5b}, R^{8b}, R^{9b} and R^{10b} are hydrogen atoms, and R^{6b} is a hydrogen atom, a halogen atom or a C₁₋₃ alkyl group), a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

63. The compound according to any one of Claims 49, 60 and 61, wherein L^{1b} is a single bond,

L^{2b} is a C₁₋₃ alkylene group,

the ring B^b is a C₄₋₇ cycloalkane or a 4 to 7-membered non-aromatic heterocycle,

n^b is 0 or 1,

R^{3b} is a C₁₋₃ alkyl group,

L^{3b} is a single bond, and

R^{2b} is a hydrogen atom or a phenyl group (the phenyl group is unsubstituted or substituted with one or more identical or different halogen atoms independently selected from the group consisting of fluorine atoms, chlorine atoms, bromine atoms and iodine atoms), a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

64. The compound according to any one of Claims 49, 60 and 61, wherein L^{1b} is a single bond,

L^{2b} is a single bond,

the ring B^b is a C₄₋₇ cycloalkane or a 4 to 7-membered non-aromatic heterocycle, n^b is 0,

L^{3b} is a single bond, and

R^{2b} is a hydrogen atom, a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

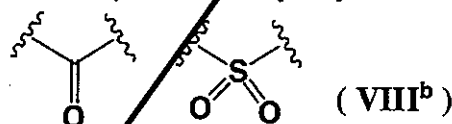
65. The compound according to any one of Claims 56, 60 and 61, wherein L^{1b} is a single bond,

L^{2b} is a single bond,

the ring B^b is a C₄₋₇ cycloalkane or a 4 to 7-membered non-aromatic heterocycle, n^b is 0 or 1,

R^{3b} is a C₁₋₃ alkyl group,

L^{3b} is represented by any of the following formula (VIII^b-1) or (VIII^b-2):

(VIII^b-1) (VIII^b-2)

, and

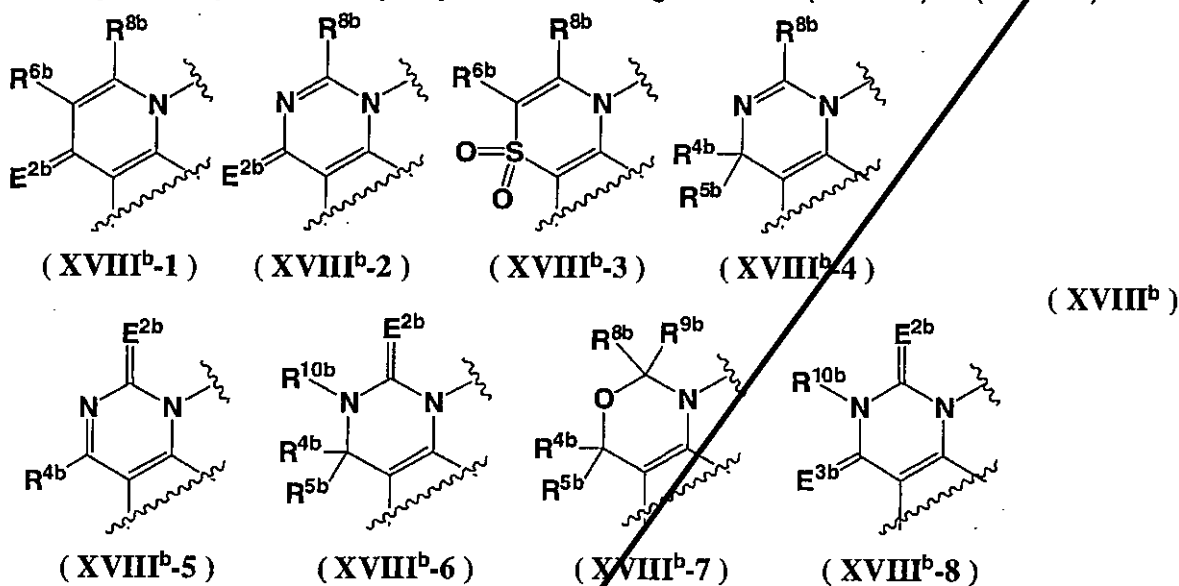
R^{2b} is a C₁₋₆ alkyl group (the C₁₋₆ alkyl group is unsubstituted or substituted with a cyano group or a C₃₋₆ cycloalkyl group) or a C₁₋₃ haloalkyl group, a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

66. The compound according to any one of Claims 42 to 65, wherein the ring B^b is cyclohexane or piperidine, a tautomer or a pharmaceutically acceptable salt of the

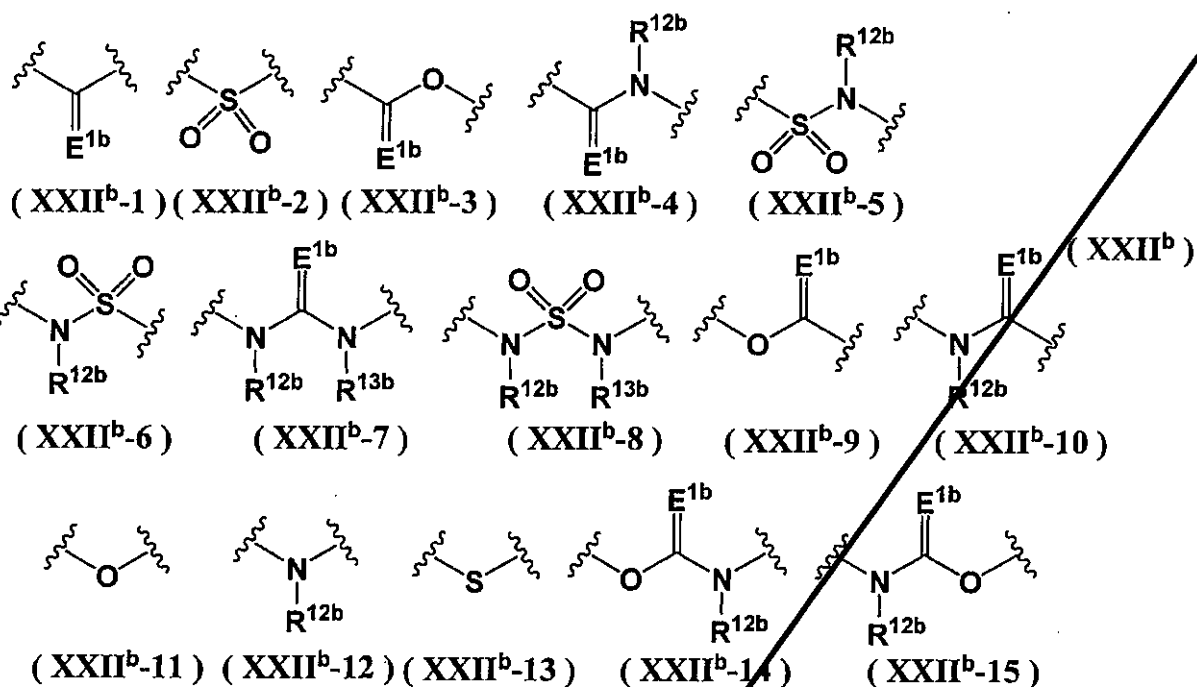
compound or a solvate thereof.

67. The compound according to any one of Claims 42 to 62, wherein the ring B^b is a 4 to 7-membered non-aromatic heterocycle, a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

- 5 68. The compound according to Claim 41, wherein X^b is a nitrogen atom or CR^{15b} (wherein R^{15b} is a hydrogen atom or a halogen atom), Y^b is CR^{16b} (wherein R^{16b} is a hydrogen atom), R^{1b} is a hydrogen atom, the ring A^b is represented by any of the following formulae (XVIII^b-1) to (XVIII^b-8):



(wherein each of E^{2b} and E^{3b} is independently an oxygen atom or a sulfur atom, each of R^{4b}, R^{5b}, R^{6b}, R^{8b} and R^{9b} is independently a hydrogen atom, a halogen atom or a C₁₋₃ alkyl group, and R^{10b} is a hydrogen atom, a C₁₋₆ alkyl group (the C₁₋₆ alkyl group is unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{3b}), a C₃₋₁₁ cycloalkyl group, a 3 to 11-membered non-aromatic heterocyclyl group, a C₆₋₁₄ aryl group or a 5 to 10-membered aromatic heterocyclyl group (the C₃₋₁₁ cycloalkyl group, the 3 to 11-membered non-aromatic heterocyclyl group, the C₆₋₁₄ aryl group and the 5 to 10-membered aromatic heterocyclyl group are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{1b})), the ring B^b is a C₃₋₁₁ cycloalkane, a 3 to 11-membered non-aromatic heterocycle, a C₆₋₁₄ aromatic carbocycle or a 5 to 10-membered aromatic heterocycle, L^{1b} is single bond or a C₁₋₃ alkylene group, L^{2b} is a single bond, a C₁₋₆ alkylene group or a C₂₋₆ alkenylene group (the C₁₋₆ alkylene group and the C₂₋₆ alkenylene group are unsubstituted or substituted with one or more identical or different substituents independently selected from the group consisting of halogen atoms, hydroxy groups, amino groups, cyano groups and nitro groups), n^b is 0 or 1, R^{3b} is a hydroxy group, an amino group, a carboxy group, a carbamoyl group, a tetrazolyl group, a halogen atom, a cyano group, a nitro group, a C₁₋₃ alkyl group, a C₁₋₃ haloalkyl group, a C₃₋₆ cycloalkyl group, a C₁₋₃ alkoxy group, a C₁₋₃ haloalkoxy group or a C₁₋₃ alkylsulfonyl group, L^{3b} is a single bond or represented by any of the following formulae (XXII^b-1) to (XXII^b-15):

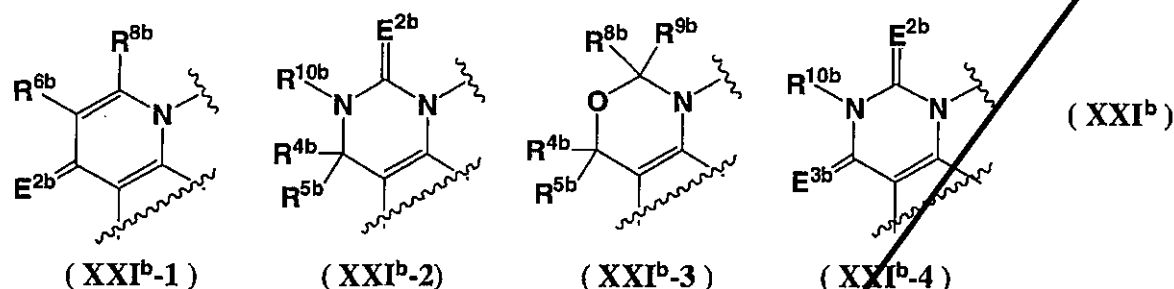


(wherein E^{1b} is an oxygen atom or a sulfur atom, and each of R^{12b} and R^{13b} is independently a hydrogen atom, a C₁₋₆ alkyl group or a C₁₋₆ haloalkyl group (the C₁₋₆ alkyl group and the C₁₋₆ haloalkyl group are unsubstituted or substituted with one or more identical or different substituents independently selected from the group consisting of halogen atoms, cyano groups, hydroxy groups, C₁₋₆ alkoxy groups, C₁₋₆ alkylthio groups, C₁₋₆ alkylsulfonyl groups, C₃₋₆ cycloalkyl groups, 4 to 7-membered non-aromatic heterocyclyl groups, phenyl groups and 5 to 6-membered aromatic heterocyclyl groups (the phenyl groups and 5 to 6-membered aromatic heterocyclyl groups are unsubstituted or substituted with a substituent selected from the group consisting of a halogen atom, a cyano group, a C₁₋₃ alkyl group and a C₁₋₃ haloalkyl group))), when L^{3b} is a single bond, R^{2b} is a hydrogen atom, a halogen atom, a C₃₋₁₁ cycloalkyl group, a 3 to 11-membered non-aromatic heterocyclyl group, a phenyl group, a naphthyl group, a 5 to 10-membered aromatic heterocyclyl group, a 8 to 11-membered partially saturated aromatic cyclic group or a 8 to 11-membered aromatic ring-condensed alicyclic hydrocarbon group (the C₃₋₁₁ cycloalkyl group, the 3 to 11-membered non-aromatic heterocyclyl group, the phenyl group, the naphthyl group, the 5 to 10-membered aromatic heterocyclyl group, the 8 to 11-membered partially saturated aromatic cyclic group and the 8 to 11-membered aromatic ring-condensed alicyclic hydrocarbon group are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{4b} and the substituent set V^{9b}),

when L^{3b} is not a single bond, R^{2b} is a hydrogen atom, a C₁₋₆ alkyl group, a C₂₋₆ alkenyl group (the C₁₋₆ alkyl group and the C₂₋₆ alkenyl group are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{6b} and the substituent set V^{9b}), a C₃₋₁₁ cycloalkyl group, a 3 to 11-membered non-aromatic heterocyclyl group, a C₆₋₁₄ aryl group, a 5 to 10-membered aromatic heterocyclyl group, a 8 to 11-membered partially saturated aromatic cyclic group or a 8 to 11-membered aromatic ring-condensed alicyclic hydrocarbon group (the C₃₋₁₁ cycloalkyl group, the 3 to 11-membered non-aromatic heterocyclyl group, the C₆₋₁₄ aryl group, the 5 to 10-membered aromatic heterocyclyl group, the 8 to 11-membered

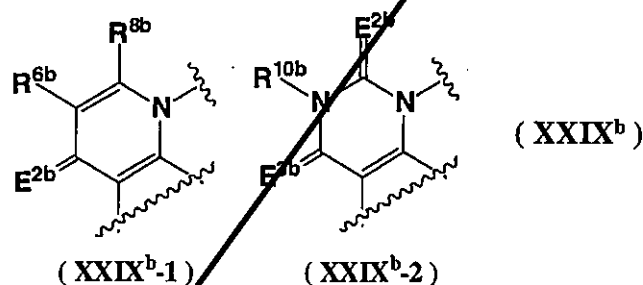
partially saturated aromatic cyclic group or the 8 to 11-membered aromatic ring-condensed alicyclic hydrocarbon group are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{4b} and the substituent set V^{9b}), a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

69. The compound according to Claim 41 or 68, wherein the ring A^b is represented by any of the following formulae (XXI^b-1) to (XXI^b-4):



(wherein each of E^{2b} and E^{3b} is independently an oxygen atom or a sulfur atom, R^{4b} , R^{5b} , R^{6b} and R^{9b} are hydrogen atoms, R^{6b} is a hydrogen atom, a halogen atom or a C_{1-3} alkyl group, and R^{10b} is a hydrogen atom, a C_{1-6} alkyl group (the C_{1-6} alkyl group is unsubstituted or substituted with one or two identical or different substituents independently selected from the group consisting of cyano groups, hydroxy groups, C_{1-3} alkoxy groups, C_{1-3} alkylthio groups, mono- C_{1-3} alkylamino groups, di- C_{1-3} alkylamino groups, mono- C_{1-3} alkylaminocarbonyl groups, di- C_{1-3} alkylaminocarbonyl groups, C_{3-6} cycloalkyl groups, 4 to 7-membered non-aromatic heterocyclyl groups, phenyl groups and 5 to 6-membered aromatic heterocyclyl groups (the phenyl groups and the 5 to 6-membered aromatic heterocyclyl groups are unsubstituted or substituted with one or two identical or different substituents independently selected from the group consisting of halogen atoms, C_{1-3} alkyl groups and C_{1-3} haloalkyl groups)), a C_{1-6} haloalkyl group, a C_{3-6} cycloalkyl group or a 4 to 7-membered non-aromatic heterocyclyl group), a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

70. The compound according to any one of Claims 41, 68 and 69, wherein the ring A^b is represented by the following formulae (XXIX^b-1) or (XXIX^b-2):



(wherein E^{2b} and E^{3b} are oxygen atoms, R^{6b} is a hydrogen atom, a halogen atom or a C_{1-3} alkyl group, R^{8b} is a hydrogen atom, and R^{10b} is a hydrogen atom, a C_{1-6} alkyl group (the C_{1-6} alkyl group is unsubstituted or substituted with one or two identical or different substituents independently selected from the group consisting of cyano groups, hydroxy groups, C_{1-3} alkoxy groups, C_{1-3} alkylthio groups, di- C_{1-3} alkylamino groups, C_{3-6} cycloalkyl groups and 4 to 7-membered non-aromatic heterocyclyl groups), a C_{1-6} haloalkyl group, a C_{3-6} cycloalkyl group or a 4 to 7-membered non-aromatic heterocyclyl group, a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

71. The compound according to any one of Claims 41 and 68 to 70, wherein L^{1b} is a single bond,

L^{2b} is a single bond, a C_{1-6} alkylene group, a C_{2-6} alkenylene group or a C_{1-6} haloalkylene group (the C_{1-6} alkylene group, the C_{2-6} alkenylene group and the C_{1-6} haloalkylene group are unsubstituted or substituted with a hydroxy group or a cyano group),

the ring B^b is a C_{3-11} cycloalkane or a 4 to 7-membered non-aromatic heterocycle, n^b is 0 or 1, and

R^{3b} is a hydroxy group, a C_{1-3} alkyl group or a C_{1-3} alkoxy group, a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

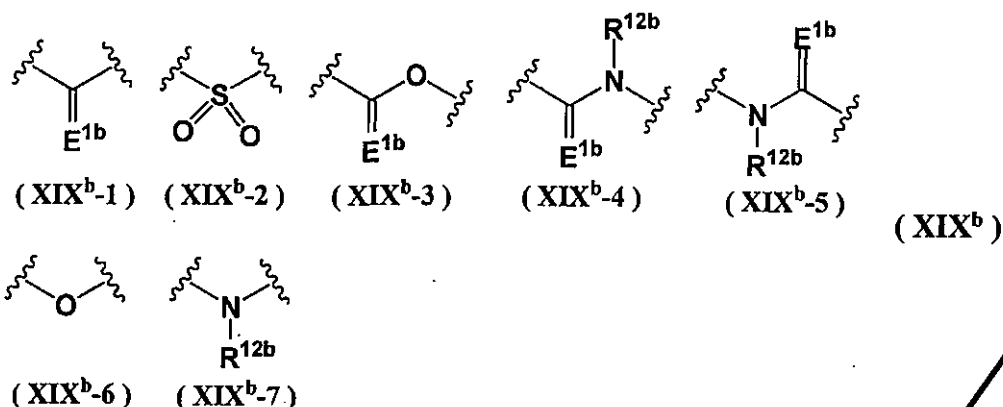
72. The compound according to any one of Claims 41 and 68 to 71, wherein L^{3b} is a single bond, and

R^{2b} is a hydrogen atom, a 4 to 7-membered non-aromatic heterocyclyl group, a phenyl group, a 5 to 10-membered aromatic heterocyclyl group or a 8 to 11-membered partially saturated aromatic cyclic group (the 4 to 7-membered non-aromatic heterocyclyl group, the phenyl group, the 5 to 10-membered aromatic heterocyclyl group and the 8 to 11-membered partially saturated aromatic cyclic group are unsubstituted or substituted with one or more identical or different substituents independently selected from the group consisting of hydroxy groups, amino groups, carbamoyl groups, sulfamoyl groups, halogen atoms, cyano groups, nitro groups, C_{1-6} alkyl groups (the C_{1-6} alkyl groups are unsubstituted or substituted with a cyano group), C_{1-6} haloalkyl groups, C_{3-11} cycloalkyl groups, C_{1-6} alkoxy groups, C_{1-6} haloalkoxy groups, C_{1-6} alkylthio groups, C_{1-6} haloalkylthio groups, C_{1-6} alkylsulfonyl groups, C_{1-6} haloalkylsulfonyl groups, C_{1-6} alkoxycarbonyl groups, 4 to 7-membered non-aromatic heterocyclyl groups, mono- C_{1-6} alkylamino groups, di- C_{1-6} alkylamino groups, phenyl groups, 5 to 6-membered aromatic heterocyclyl groups, mono- C_{1-6} alkylaminosulfonyl groups and di- C_{1-6} alkylaminosulfonyl groups), a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

73. The compound according to Claim 72, wherein R^{2b} is a hydrogen atom, a phenyl group, a 5 to 10-membered aromatic heterocyclyl group or a 8 to 11-membered partially saturated aromatic cyclic group (the phenyl group, the 5 to 10-membered aromatic heterocyclyl group and the 8 to 11-membered partially saturated aromatic cyclic group are unsubstituted or substituted with one, two or three identical or different substituents independently selected from the group consisting of halogen atoms, cyano groups, nitro groups, C_{1-3} alkyl groups, C_{1-3} haloalkyl groups and C_{1-6} alkoxycarbonyl groups), a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

74. The compound according to Claim 72, wherein R^{2b} is a 4 to 7-membered non-aromatic heterocyclyl group (the 4 to 7-membered non-aromatic heterocyclyl group is unsubstituted or substituted with one or two identical or different substituents independently selected from the group consisting of hydroxy groups, halogen atoms, cyano groups, C_{1-3} alkyl groups (the C_{1-3} alkyl groups are unsubstituted or substituted with a cyano group) and C_{1-3} haloalkyl groups), a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

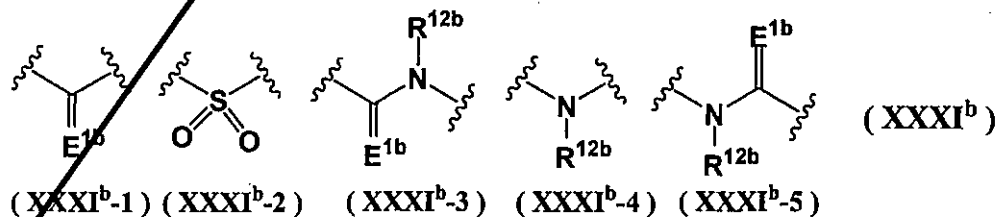
75. The compound according to any one of Claims 41 and 68 to 71, wherein L^{3b} is represented by any of the following formulae (XIX^b-1) to (XIX^b-7):



(wherein E^{1b} is an oxygen atom, and R^{12b} is a hydrogen atom or a C₁₋₆ alkyl group (the C₁₋₆ alkyl group is unsubstituted or substituted with one or more identical or different substituents independently selected from the group consisting of halogen atoms, cyano groups, hydroxy groups, C₁₋₃ alkoxy groups, C₃₋₆ cycloalkyl groups, 4 to 7-membered non-aromatic heterocyclyl groups, phenyl groups and 5 to 6-membered aromatic heterocyclyl groups)), and

R^{2b} is a hydrogen atom, a C₁₋₆ alkyl group, a C₁₋₆ haloalkyl group (the C₁₋₆ alkyl group and the C₁₋₆ haloalkyl group are unsubstituted or substituted with one or two identical or different substituents independently selected from the group consisting of cyano groups, hydroxy groups, C₁₋₆ alkoxy groups, C₁₋₆ alkylthio groups, C₁₋₆ alkylsulfonyl groups, C₃₋₆ cycloalkyl groups, 4 to 7-membered non-aromatic heterocyclyl groups, phenyl groups and 5 to 6-membered aromatic heterocyclyl groups (the C₃₋₆ cycloalkyl groups, the 4 to 7-membered non-aromatic heterocyclyl groups, the phenyl groups and the 5 to 6-membered aromatic heterocyclyl groups are unsubstituted or substituted with one, two or three identical or different substituents independently selected from the group consisting of the substituent set V^{2b}, mono-C₁₋₆ alkylaminosulfonyl groups and di-C₁₋₆ alkylaminosulfonyl groups)), a C₃₋₆ cycloalkyl group, a 4 to 7-membered non-aromatic heterocyclyl group, a phenyl group, a 5 to 6-membered aromatic heterocyclyl group or a 8 to 11-membered partially saturated aromatic cyclic group (the C₃₋₆ cycloalkyl group, the 4 to 7-membered non-aromatic heterocyclyl group, the phenyl group, the 5 to 6-membered aromatic heterocyclyl group and the 8 to 11-membered partially saturated aromatic cyclic group are unsubstituted or substituted with one, two or three identical or different substituents independently selected from the group consisting of the substituent set V^{2b}, mono-C₁₋₆ alkylaminosulfonyl groups and di-C₁₋₆ alkylaminosulfonyl groups), a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

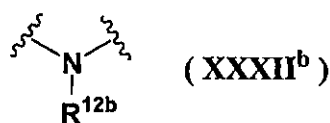
76. The compound according to Claim 75, wherein L^{3b} is represented by any of the following formulae (XXXI^b-1) to (XXXI^b-5):



(wherein E^{1b} is an oxygen atom, and R^{12b} is a hydrogen atom, a C₁₋₃ alkyl group (the C₁₋₃ alkyl group is unsubstituted or substituted with a substituent selected from the group consisting of a cyano group, a hydroxy group, a C₁₋₃ alkoxy group, a C₃₋₆ cycloalkyl

group and a phenyl group) or C₁₋₃ haloalkyl group), and
 R^{2b} is a hydrogen atom, a C₁₋₆ alkyl group, a C₁₋₆ haloalkyl group (the C₁₋₆ alkyl group
 and the C₁₋₆ haloalkyl group are unsubstituted or substituted with one or two identical or
 different substituent selected from the group consisting of hydroxy groups, cyano groups,
 5 C₁₋₃ alkoxy groups, C₃₋₆ cycloalkyl groups, 4 to 7-membered non-aromatic heterocyclyl
 groups, phenyl groups and 5 to 6-membered aromatic heterocyclyl groups (the C₃₋₆
 cycloalkyl groups, the 4 to 7-membered non-aromatic heterocyclyl groups, the phenyl
 groups and the 5 to 6-membered aromatic heterocyclyl groups are unsubstituted or
 substituted with a hydroxy group or a halogen atom)), a C₃₋₆ cycloalkyl group, a 4 to 7-
 10 membered non-aromatic heterocyclyl group, a phenyl group or a 5 to 6-membered
 aromatic heterocyclyl group (the C₃₋₆ cycloalkyl group, the 4 to 7-membered non-
 aromatic heterocyclyl group, the phenyl group and the 5 to 6-membered aromatic
 heterocyclyl group are unsubstituted or substituted with one or two identical or different
 substituents independently selected from the group consisting of hydroxy groups,
 15 halogen atoms, cyano groups, C₁₋₃ alkyl groups, C₁₋₃ haloalkyl groups and C₁₋₆
 alkoxycarbonyl groups), a tautomer or a pharmaceutically acceptable salt of the
 compound or a solvate thereof.

77. The compound according to Claim 75, wherein L^{3b} is represented by the formula
 (XXXII^b):



(wherein R^{12b} is a hydrogen atom, a C₁₋₃ alkyl group (the C₁₋₃ alkyl group is
 unsubstituted or substituted with a substituent selected from the group consisting of a
 cyano group, a hydroxy group, a C₁₋₃ alkoxy group, a C₃₋₆ cycloalkyl group and a phenyl
 group) or a C₁₋₃ haloalkyl group), and
 25 R^{2b} is a hydrogen atom, a C₁₋₃ alkyl group, a C₁₋₃ haloalkyl group (the C₁₋₃ alkyl group
 and the C₁₋₃ haloalkyl group are unsubstituted or substituted with one or two identical or
 different substituent selected from the group consisting of hydroxy groups, cyano groups,
 C₁₋₃ alkoxy groups, C₃₋₆ cycloalkyl groups (the C₃₋₆ cycloalkyl groups are unsubstituted
 or substituted with a hydroxy groups), 4 to 7-membered non-aromatic heterocyclyl
 30 groups, phenyl groups and 5 to 6-membered aromatic heterocyclyl groups), a C₃₋₆
 cycloalkyl group or a 4 to 7-membered non-aromatic heterocyclyl group (the C₃₋₆
 cycloalkyl group and the 4 to 7-membered non-aromatic heterocyclyl group are
 unsubstituted or substituted with one or two identical or different substituents
 independently selected from the group consisting of C₁₋₃ alkyl groups, C₁₋₃ haloalkyl
 35 groups and C₁₋₆ alkoxycarbonyl groups), a tautomer or a pharmaceutically acceptable
 salt of the compound or a solvate thereof.

78. The compound according to any one of Claims 41 or 68 to 77, wherein L^{2b} is a
 single bond or a C₁₋₃ alkylene group, and the ring B^b is cyclohexane or piperidine, a
 tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

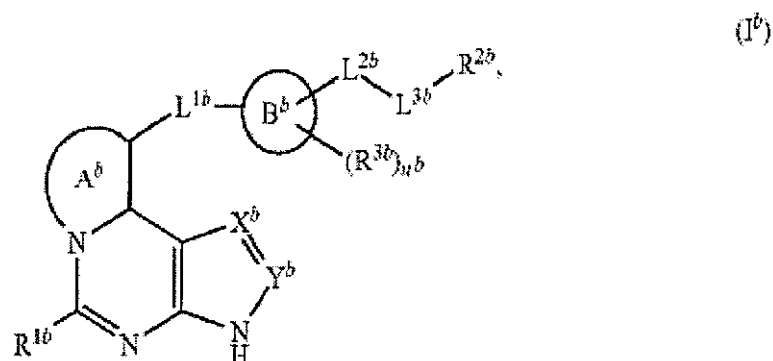
40 79. The compound according to any one of Claims 41 to 78, wherein n^b is 0 or 1, and
 R^{3b} is a C₁₋₃ alkyl group, a tautomer or a pharmaceutically acceptable salt of the
 compound or a solvate thereof.

80. A JAK inhibitor containing the compound as defined in any one of Claims 1 to 79,
 a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof,
 45 as an active ingredient.

81. A preventive, therapeutic or improving agent for diseases against which inhibition of JAK is effective, which contains the JAK inhibitor as defined in Claim 80.
82. A therapeutic agent for articular rheumatism, which contains the JAK inhibitor as defined in Claim 80.
- 5 83. Medicament containing the compound as defined in any one of Claims 1 to 79, a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof, as an active ingredient.

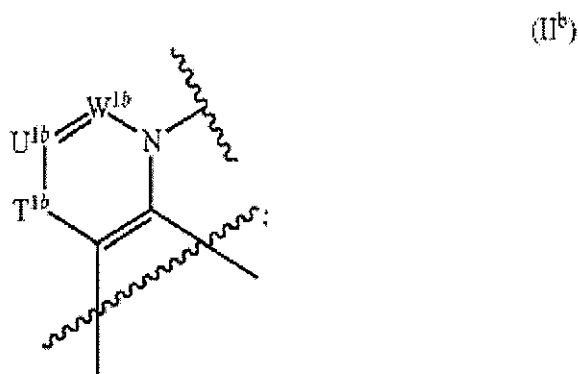
The invention claimed is:

1. A compound represented by the formula (I^b):



wherein:

the ring A^b is represented by the formula (II^b):



T^{1b} is C(=O);

U^{1b} is CH;

W^{1b} is CH;

X^b is CH;

Y^b is CH;

R^{1b} is a hydrogen atom, a halogen atom, a C₁₋₆ alkyl group or a C₁₋₆ haloalkyl group;

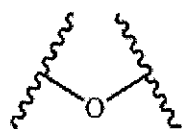
the ring B^b is a C₃₋₁₁ cycloalkane, a C₃₋₁₁ cycloalkene, a 3 to 11-membered non-aromatic heterocycle, a C₆₋₁₄ aromatic carbocycle or a 5 to 10-membered aromatic heterocycle;

L^{1b} is a single bond, a C_{1-6} alkylene group, a C_{2-6} alkenylene group or a C_{2-6} alkynylene group (the C_{1-6} alkylene group, the C_{2-6} alkenylene group and the C_{2-6} alkynylene group are unsubstituted or substituted with one or more identical or different substituents independently selected from the group consisting of halogen atoms, hydroxy groups, amino groups, cyano groups and nitro groups);

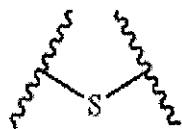
L^{2b} is a single bond, a C_{1-6} alkylene group, a C_{2-6} alkenylene group or a C_{2-6} alkynylene group (the C_{1-6} alkylene group, the C_{2-6} alkenylene group and the C_{2-6} alkynylene group are unsubstituted or substituted with one or more identical or different substituents independently selected from the group consisting of halogen atoms, hydroxy groups, amino groups, cyano groups and nitro groups);

L^{3b} is a single bond or represented by any of the following formulae (V^b-1) to (V^b-20):

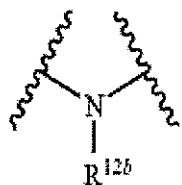
(V^b)



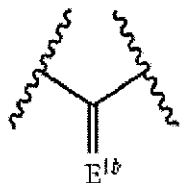
(V^b-1)



(V^b-2)

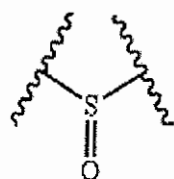
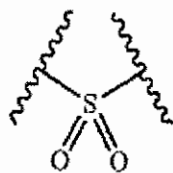
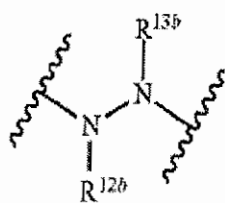
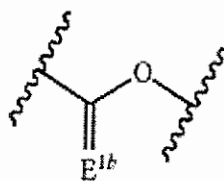
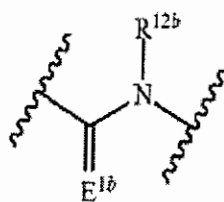
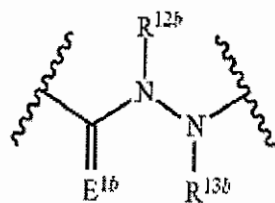
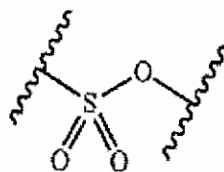


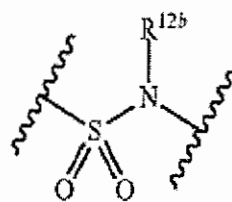
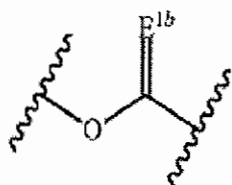
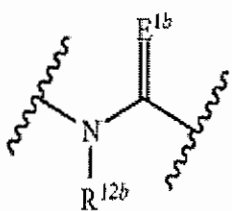
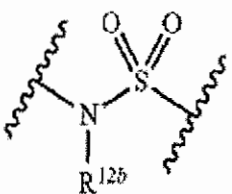
(V^b-3)



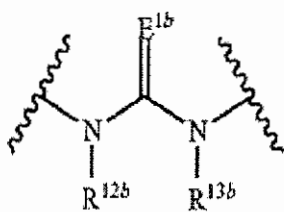
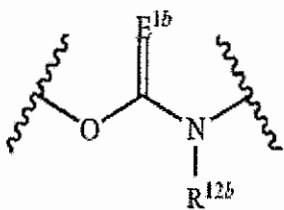
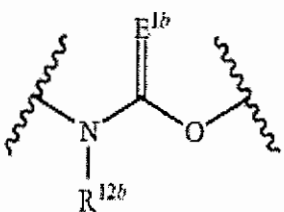
(V^b-4)

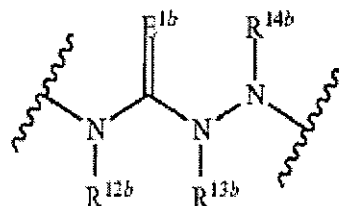
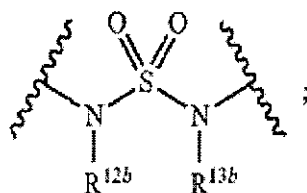
-continued

(V^b-5)(V^b-6)(V^b-7)(V^b-8)(V^b-9)(V^b-10)(V^b-11)

(V^b-12)(V^b-13)(V^b-14)(V^b-15)

-continued

(V^b-16)(V^b-17)(V^b-18)

(V^b-19)(V^b-20)

- E^{1b} is an oxygen atom, a sulfur atom or NR^{18b};
 when L^{3b} is a single bond, R^{2b} is a hydrogen atom, a halogen atom, a C₃₋₁₁ cycloalkyl group, a 3 to 14-membered non-aromatic heterocyclyl group, a C₆₋₁₄ aryl group, a 5 to 10-membered aromatic heterocyclyl group, a 8 to 14-membered partially saturated aromatic cyclic group or a 8 to 14-membered aromatic ring-condensed alicyclic hydrocarbon group (the C₃₋₁₁ cycloalkyl group, the 3 to 14-membered non-aromatic heterocyclyl group, the C₆₋₁₄ aryl group, the 5 to 10-membered aromatic heterocyclyl group, the 8 to 14-membered partially saturated aromatic cyclic group and the 8 to 14-membered aromatic ring-condensed alicyclic hydrocarbon group are unsubstituted or substituted with one or more identical or different substituents independently selected from a substituent set V^{4b} and a substituent set V^{9b});
 when L^{3b} is not a single bond, R^{2b} is a hydrogen atom, a C₁₋₆ alkyl group, a C₂₋₆ alkenyl group (the C₁₋₆ alkyl group and the C₂₋₆ alkenyl group are unsubstituted or substituted with one or more identical or different substituents independently selected from a substituent set V^{6b} and a substituent set V^{9b}), a C₃₋₁₁ cycloalkyl group, a 3 to 14-membered non-aromatic heterocyclyl group, a C₆₋₁₄ aryl group, a 5 to 10-membered aromatic heterocyclyl group, a 8 to 14-membered partially saturated aromatic cyclic group or a 8 to 14-membered aromatic ring-condensed alicyclic hydrocarbon group (the C₃₋₁₁ cycloalkyl group, the 3 to 14-membered non-aromatic

heterocyclyl group, the C_{6-14} aryl group, the 5 to 10-membered aromatic heterocyclyl group, the 8 to 14-membered partially saturated aromatic cyclic group and the 8 to 14-membered aromatic ring-condensed alicyclic hydrocarbon group are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{4b} and substituent set V^{9b});

n^b is 0, 1 or 2;

R^{3b} is a hydroxy group, an amino group, a carboxy group, a carbamoyl group, a sulfamoyl group, a phosphono group, a phosphonooxy group, a sulfo group, a sulfoxy group, a tetrazolyl group, a halogen atom, a cyano group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} haloalkyl group, a C_{3-11} cycloalkyl group, a C_{2-6} alkenyl group, a C_{2-6} haloalkenyl group, a C_{1-6} alkoxy group, a C_{1-6} haloalkoxy group, a C_{1-6} alkylthio group, a C_{1-6} haloalkylthio group, a C_{1-6} alkylcarbonyl group, a C_{1-6} haloalkylcarbonyl group, a C_{1-6} alkylsulfonyl group, a C_{1-6} haloalkylsulfonyl group, a C_{1-6} alkoxycarbonyl group, a mono- C_{1-6} alkylamino group, a di- C_{1-6} alkylamino group, a mono- C_{1-6} alkylaminocarbonyl group, a di- C_{1-6} alkylaminocarbonyl group or a C_{1-6} alkylcarbamylamino group (when n^b is 2, R^{3b} 's may be identical or different);

each of R^{12b} , R^{13b} and R^{14b} is independently a hydrogen atom, a C_{1-6} alkyl group or a C_{1-6} haloalkyl group (the C_{1-6} alkyl group and the C_{1-6} haloalkyl group are unsubstituted or substituted with one or more identical or different substituents independently selected from a substituent set V^{3b} , a substituent set V^{8b} and a substituent set V^{9b});

R^{18b} is a hydrogen atom, a hydroxy group, a cyano group, a nitro group, a C_{1-6} alkyl group or a C_{1-6} alkoxy group; a substituent set V^{1b} consists of hydroxy groups, amino groups, carboxy groups, carbamoyl groups, sulfamoyl groups, phosphono groups, phosphonooxy groups, sulfo groups, sulfoxy groups, tetrazolyl groups, halogen atoms, cyano groups, nitro groups, C_{1-6} alkyl groups, C_{1-6} haloalkyl groups, C_{3-11} cycloalkyl groups, C_{2-6} alkenyl groups, C_{2-6} haloalkenyl groups, C_{1-6} alkoxy groups, C_{1-6} haloalkoxy groups, C_{1-6} alkylthio groups, C_{1-6} haloalkylthio groups, C_{1-6} alkylcarbonyl groups,

C_{1-6} haloalkylcarbonyl groups, C_{1-6} alkylsulfonyl groups, C_{1-6} haloalkylsulfonyl groups, C_{1-6} alkoxy carbonyl groups, 3 to 11 -membered non-aromatic heterocyclyl groups, mono- C_{1-6} alkylamino groups, di- C_{1-6} alkylamino groups, mono- C_{1-6} alkylaminocarbonyl groups, di- C_{1-6} alkylaminocarbonyl groups and C_{1-6} alkylcarbonylamino groups;

a substituent set V^{2b} consists of the groups in the substituent set V^{1b} , and C_{6-14} aryl groups and 5 to 10-membered aromatic heterocyclyl groups (the C_{6-14} aryl groups and the 5 to 10-membered aromatic heterocyclyl groups are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{1b});

the substituent set V^{3b} consists of hydroxy groups, amino groups, carboxy groups, carbamoyl groups, sulfamoyl groups, phosphono groups, phosphonoxy groups, sulfo groups, sulfoxy groups, tetrazolyl groups, halogen atoms, cyano groups, nitro groups, C_{1-6} alkoxy groups, C_{1-6} haloalkoxy groups, C_{1-6} alkylthio groups, C_{1-6} haloalkylthio groups, C_{1-6} alkylcarbonyl groups, C_{1-6} haloalkylcarbonyl groups, C_{1-6} alkylsulfonyl groups, C_{1-6} haloalkylsulfonyl groups, C_{1-6} alkoxy carbonyl groups, mono- C_{1-6} alkylamino groups, di- C_{1-6} alkylamino groups, mono- C_{1-6} alkylaminocarbonyl groups, di- C_{1-6} alkylaminocarbonyl groups, C_{1-6} alkylcarbonylamino groups, C_{3-11} cycloalkyl groups, 3 to 11-membered non-aromatic heterocyclyl groups, C_{6-14} aryl groups and 5 to 10-membered aromatic heterocyclyl groups (the C_{3-11} cycloalkyl groups, the 3 to 11-membered non-aromatic heterocyclyl groups, the C_{6-14} aryl groups and the 5 to 10-membered aromatic heterocyclyl groups are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{1b});

the substituent set V^{4b} consists of hydroxy groups, amino groups, carboxy groups, carbamoyl groups, sulfamoyl groups, phosphono groups, phosphonoxy groups, sulfo groups, sulfoxy groups, tetrazolyl groups, halogen atoms, cyano groups, nitro groups, C_{1-6} alkyl groups,

C_{2-6} alkenyl groups, C_{1-6} alkoxy groups, C_{1-6} alkylthio groups, C_{1-6} alkylcarbonyl groups, C_{1-6} alkylsulfonyl groups, C_{1-6} alkoxycarbonyl groups, mono- C_{1-6} alkylamino groups, di- C_{1-6} alkylamino groups, mono- C_{1-6} alkylaminocarbonyl groups, di- C_{1-6} alkylaminocarbonyl groups, C_{1-6} alkylcarbonylamino groups (the C_{1-6} alkyl groups, the C_{2-6} alkenyl groups, the C_{1-6} alkoxy groups, the C_{1-6} alkylthio groups, the C_{1-6} alkylcarbonyl groups, the C_{1-6} alkylsulfonyl groups, the C_{1-6} alkoxycarbonyl groups, the mono- C_{1-6} alkylamino groups, the di- C_{1-6} alkylamino groups, the mono- C_{1-6} alkylaminocarbonyl groups, the di- C_{1-6} alkylaminocarbonyl groups and the C_{1-6} alkylcarbonylamino groups are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{3b}), C_{3-11} cycloalkyl groups, 3 to 11-membered non-aromatic heterocyclyl groups, C_{6-14} aryl groups and 5 to 10-membered aromatic heterocyclyl groups (the C_{3-11} cycloalkyl groups, the 3 to 11-membered non-aromatic heterocyclyl groups, the C_{6-14} aryl groups and the 5 to 10-membered aromatic heterocyclyl groups are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{1b});

- a substituent set V^{3b} consists of hydroxy groups, amino groups, carboxy groups, carbamoyl groups, sulfamoyl groups, phosphono groups, phosphonooxy groups, sulfo groups, sulfoxy groups, tetrazolyl groups, halogen atoms, cyano groups, nitro groups, C_{1-6} alkoxy groups, C_{1-6} alkylthio groups, C_{1-6} alkylcarbonyl groups, C_{1-6} alkylsulfonyl groups, C_{1-6} alkoxycarbonyl groups, mono- C_{1-6} alkylamino groups, di- C_{1-6} alkylamino groups, mono- C_{1-6} alkylaminocarbonyl groups, di- C_{1-6} alkylaminocarbonyl groups, C_{1-6} alkylcarbonylamino groups, C_{3-11} cycloalkyl groups, 3 to 11-membered non-aromatic heterocyclyl groups, C_{6-14} aryl groups and 5 to 10-membered aromatic heterocyclyl groups (the C_{1-6} alkoxy groups, the C_{1-6} alkylthio groups, the C_{1-6} alkylcarbonyl groups, the C_{1-6} alkylsulfonyl groups, the C_{1-6} alkoxycarbonyl groups, the mono- C_{1-6} alkylamino

groups, the di- C_{1-6} alkylamino groups, the mono- C_{1-6} alkylaminocarbonyl groups, the di- C_{1-6} alkylaminocarbonyl groups, the C_{1-6} alkylcarbonylamino groups, the C_{3-11} cycloalkyl groups, the 3 to 11-membered non-aromatic heterocyclyl groups, the C_{6-14} aryl groups and the 5 to 10-membered aromatic heterocyclyl groups are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{3b});

the substituent set V^{6b} consists of hydroxy groups, amino groups, carboxy groups, carbamoyl groups, sulfamoyl groups, phosphono groups, phosphonoxy groups, sulfo groups, sulfoxy groups, tetrazolyl groups, halogen atoms, cyano groups, nitro groups, C_{1-6} alkoxy groups, C_{1-6} alkylthio groups, C_{1-6} alkylcarbonyl groups, C_{1-6} alkylsulfonyl groups, C_{1-6} alkoxycarbonyl groups, mono- C_{1-6} alkylamino groups, di- C_{1-6} alkylamino groups, mono- C_{1-6} alkylaminocarbonyl groups, di- C_{1-6} alkylaminocarbonyl groups, C_{1-6} alkylcarbonylamino groups (the C_{1-6} alkoxy groups, the C_{1-6} alkylthio groups, the C_{1-6} alkylcarbonyl groups, the C_{1-6} alkylsulfonyl groups, the C_{1-6} alkoxycarbonyl groups, the mono- C_{1-6} alkylamino groups, the di- C_{1-6} alkylamino groups, the mono- C_{1-6} alkylaminocarbonyl groups, the di- C_{1-6} alkylaminocarbonyl groups and the C_{1-6} alkylcarbonylamino groups are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{3b}), C_{3-11} cycloalkyl groups, 3 to 11-membered non-aromatic heterocyclyl groups, C_{6-14} aryl groups, 5 to 10-membered aromatic heterocyclyl groups, 8 to 14-membered partially saturated aromatic cyclic groups and 8 to 14-membered aromatic ring-condensed alicyclic hydrocarbon groups (the C_{3-11} cycloalkyl groups, the 3 to 11-membered non-aromatic heterocyclyl groups, the C_{6-14} aryl groups, the 5 to 10-membered aromatic heterocyclyl groups, the 8 to 14-membered partially saturated aromatic cyclic groups and the 8 to 14-membered aromatic ring-condensed alicyclic hydrocarbon groups are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{4b} and the substituent set V^{9b});

the substituent set V^{8b} consists of 8 to 14-membered par-

tially saturated aromatic cyclic groups and 8 to 14-membered aromatic ring-condensed alicyclic hydrocarbon groups (the 8 to 14-membered partially saturated aromatic cyclic groups and the 8 to 14-membered aromatic ring-condensed alicyclic hydrocarbon groups are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{2b}); and

the substituent set V^{3b} consists of, mono- C_{1-6} alkylaminosulfonyl groups, di- C_{1-6} alkylaminosulfonyl groups, C_{1-6} alkylsulfonylamino groups (the mono- C_{1-6} alkylaminosulfonyl groups, di- C_{1-6} alkylaminosulfonyl groups and C_{1-6} alkylsulfonylamino groups are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{3b}), C_{3-6} cycloalkoxy groups, C_{3-6} cycloalkylamino groups, C_{3-6} cycloalkylthio groups, C_{3-6} cycloalkylcarbonyl groups and C_{3-6} cycloalkylsulfonyl groups (the C_{3-6} cycloalkoxy groups, the C_{3-6} cycloalkylamino groups, the C_{3-6} cycloalkylthio groups, the C_{3-6} cycloalkylcarbonyl groups and the C_{3-6} cycloalkylsulfonyl groups unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{2b}),
a tautomer or a pharmaceutically acceptable salt of the compound.

2. The compound according to claim 1, wherein:
when L^{3b} is a single bond, R^{2b} is a hydrogen atom, a halogen atom, a C_{3-11} cycloalkyl group, a 3 to 11-membered non-aromatic heterocyclyl group, a C_{6-14} aryl group or a 5 to 10-membered aromatic heterocyclyl group (the C_{3-11} cycloalkyl group, the 3 to 11-membered non-aromatic heterocyclyl group, the C_{6-14} aryl group and the 5 to 10-membered aromatic heterocyclyl group are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{4b});
when L^{3b} is not a single bond, R^{2b} is a hydrogen atom, a C_{1-6} alkyl group, a C_{2-6} alkenyl group (the C_{1-6} alkyl group and the C_{2-6} alkenyl group are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{5b}), a C_{3-11} cycloalkyl group, a 3 to 11-membered

- non-aromatic heterocyclyl group, a C_{6-14} aryl group or a 5 to 10-membered aromatic heterocyclyl group (the C_{3-11} cycloalkyl group, the 3 to 11-membered non-aromatic heterocyclyl group, the C_{6-14} aryl group and the 5 to 10-membered aromatic heterocyclyl group are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{4b});
- each of R^{12b} , R^{13b} and R^{14b} is independently a hydrogen atom, a C_{1-6} alkyl group or a C_{1-6} haloalkyl group (the C_{1-6} alkyl group and the C_{1-6} haloalkyl group are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{3b}); and
- R^{18b} is a hydrogen atom, a hydroxy group, a cyano group, a nitro group, a C_{1-6} alkyl group or a C_{1-6} alkoxy group, a tautomer or a pharmaceutically acceptable salt of the compound.
3. The compound according to claim 2, wherein:
- L^{1b} is a single bond;
- L^{2b} is a single bond, a C_{1-6} alkylene group or a C_{2-6} alkenylene group (the C_{1-6} alkylene group and the C_{2-6} alkenylene group are unsubstituted or substituted with one or more identical or different substituents independently selected from the group consisting of a halogen atoms, hydroxy groups, amino groups, cyano groups and nitro groups);
- the ring B^b is a C_{3-11} cycloalkane, a C_{3-11} cycloalkene, a 3 to 11-membered non-aromatic heterocycle, a C_{6-14} aromatic carbocycle or a 5 to 10-membered aromatic heterocycle;
- n^b is, 0 or 1;
- R^{3b} is a hydroxy group, an amino group, a halogen atom, a cyano group, a C_{1-3} alkyl group, a C_{1-3} haloalkyl group, a C_{3-6} cycloalkyl group, a C_{1-3} alkoxy group, a C_{1-3} haloalkoxy group or a C_{1-3} alkylsulfonyl group;
- L^{3b} is a single bond; and
- R^{2b} is a hydrogen atom, a halogen atom, a C_{3-11} cycloalkyl group, a 3 to 11-membered non-aromatic heterocyclyl group, a phenyl group, a naphthyl group or a 5 to 10-membered aromatic heterocyclyl group (the C_{3-11}

cycloalkyl group, the 3 to 11-membered non-aromatic heterocyclyl group, the phenyl group, the naphthyl group and the 5 to 10-membered aromatic heterocyclyl group are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{4b}),

a tautomer or a pharmaceutically acceptable salt of the compound.

4. The compound according to claim 3, wherein

R^{2b} is a hydrogen atom, a C_{3-6} cycloalkyl group, a 4 to 7-membered non-aromatic heterocyclyl group, a phenyl group or a 5 to 10-membered aromatic heterocyclyl group (the 4 to 7-membered non-aromatic heterocyclyl group, the phenyl group and the 5 to 10-membered aromatic heterocyclyl group are unsubstituted or substituted with one or more identical or different substituents independently selected from the group consisting of hydroxy groups, amino groups, carbamoyl groups, sulfamoyl groups, halogen atoms, cyano groups, nitro groups, C_{1-6} alkyl groups, C_{1-6} alkoxy groups, C_{1-6} alkylthio groups, C_{1-6} alkylsulfonyl groups, mono- C_{1-6} alkylamino groups, di- C_{1-6} alkylamino groups, C_{1-6} alkoxy-carbonyl groups, mono- C_{1-6} alkylaminocarbonyl groups, di- C_{1-6} alkylaminocarbonyl groups, C_{1-6} alkyl-carbonylamino groups (the C_{1-6} alkyl groups, the C_{1-6} alkoxy groups, the C_{1-6} alkylthio groups, the C_{1-6} alkyl-sulfonyl groups, the mono- C_{1-6} alkylamino groups, the di- C_{1-6} alkylamino groups, the C_{1-6} alkoxy-carbonyl groups, the mono- C_{1-6} alkylaminocarbonyl groups, the di- C_{1-6} alkylaminocarbonyl groups and the C_{1-6} alkyl-carbonylamino groups are unsubstituted or substituted with one or more identical or different halogen atoms independently selected from the group consisting of fluorine atoms, chlorine atoms, bromine atoms and iodine atoms or with a hydroxy group or a cyano group), C_{3-6} cycloalkyl groups, 4 to 7-membered non-aromatic heterocyclyl groups, phenyl groups and 5 to 6-membered aromatic heterocyclyl groups),

a tautomer or a pharmaceutically acceptable salt of the compound.

5. The compound according to claim 4, wherein
 R^{2b} is a hydrogen atom, a 4 to 7-membered non-aromatic heterocyclyl group, a phenyl group or a 5 to 10-membered aromatic heterocyclyl group (the 4 to 7-membered non-aromatic heterocyclyl group, the phenyl group and the 5 to 10-membered aromatic heterocyclyl group are unsubstituted or substituted with one or two identical or different substituents independently selected from the group consisting of hydroxy groups, halogen atoms, cyano groups, nitro groups, C_{1-3} alkyl groups (the C_{1-3} alkyl groups are unsubstituted or substituted with a cyano group), C_{1-3} haloalkyl groups and C_{1-6} alkoxy carbonyl groups),
 a tautomer or a pharmaceutically acceptable salt of the compound.
6. The compound according to claim 3, wherein:
 the ring B^b is a C_{3-11} cycloalkane or a 4 to 7-membered non-aromatic heterocycle;
 n^b is 0 or 1; and
 R^{3b} is a hydroxy group, a C_{1-3} alkyl group or a C_{1-3} alkoxy group,
 a tautomer or a pharmaceutically acceptable salt of the compound.
7. The compound according to claim 3, wherein
 L^{2b} is a single bond, a C_{1-6} alkylene group, a C_{2-6} alkenylene group or a C_{1-6} haloalkylene group (the C_{1-6} alkylene group, the C_{2-6} alkenylene group and the C_{1-6} haloalkylene group are unsubstituted or substituted with one or two identical or different substituents independently selected from the group consisting of hydroxy groups and cyano groups),
 a tautomer or a pharmaceutically acceptable salt of the compound.
8. The compound according to claim 3, wherein:
 L^{2b} is a C_{1-6} alkylene group, a C_{2-3} alkenylene group (the C_{1-6} alkylene group and the C_{2-3} alkenylene group are unsubstituted or substituted with a cyano group) or C_{1-6} haloalkylene group; and
 R^{2b} is, a hydrogen atom,
 a tautomer or a pharmaceutically acceptable salt of the compound.
9. The compound according to claim 3, wherein
 L^{2b} is a single bond or a C_{1-3} alkylene group,
 a tautomer or a pharmaceutically acceptable salt of the compound.

10. The compound according to claim 2, wherein:

L^{1b} is a single bond or a C_{1-3} alkylene group;

L^{2b} is a single bond or a C_{1-3} alkylene group (the C_{1-3} alkylene group is unsubstituted or substituted with a cyano group or a C_{1-3} haloalkyl group);

the ring B^b is a C_{3-11} cycloalkane, a C_{3-11} cycloalkene, a 3 to 11-membered non-aromatic heterocycle, benzene or a 5 to 6-membered aromatic heterocycle;

n^b is, 0 or 1;

R^{3b} is a hydroxy group, an amino group, a carboxy group, a carbamoyl group, a tetrazolyl group, a halogen atom, a cyano group, a nitro group, a C_{1-3} alkyl group, a C_{1-3} haloalkyl group, a C_{3-6} cycloalkyl group, a C_{1-3} alkoxy group, a C_{1-3} haloalkoxy group or a C_{1-3} alkylsulfonyl group;

L^{3b} is a single bond; and

R^{2b} is a hydrogen atom, a halogen atom, a C_{3-6} cycloalkyl group, a 4 to 7-membered non-aromatic heterocyclyl group, a phenyl group or a 5 to 6-membered aromatic heterocyclyl group (the C_{3-6} cycloalkyl group, the 4 to 7-membered non-aromatic heterocyclyl group, the phenyl group and the 5 to 6-membered aromatic heterocyclyl group are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{4b}),

a tautomer or a pharmaceutically acceptable salt of the compound.

11. The compound according to claim 10, wherein:

L^{1b} is a single bond;

L^{2b} is a C_{1-3} alkylene group;

the ring B^b is a C_{4-7} cycloalkane or a 4 to 7-membered non-aromatic heterocycle;

n^b is 0 or 1;

R^{3b} is a C_{1-3} alkyl groups;

L^{3b} is a single bonds; and

R^{2b} is a hydrogen atom or a phenyl group (the phenyl group is unsubstituted or substituted with one or more identical or different halogen atoms independently selected from the group consisting of fluorine atoms, chlorine atoms, bromine atoms and iodine atoms),

a tautomer or a pharmaceutically acceptable salt of the compound.

12. The compound according to claim 10, wherein:

L^{1b} is a single bond;

L^{2b} is a single bond;

the ring B^b is a C_{4-7} cycloalkane or a 4 to 7-membered non-aromatic heterocycle;

n^b is 0;

L^{3b} is a single bond; and

R^{2b} is a hydrogen atom,

a tautomer or a pharmaceutically acceptable salt of the compound.

13. The compound according to claim 2, wherein:

L^{1b} is a single bond;

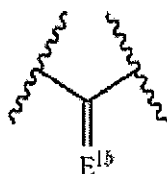
L^{2b} is a single bond, a C_{1-6} alkylene group or a C_{2-6} alkenylene group (the C_{1-6} alkylene group and the C_{2-6} alkenylene group are unsubstituted or substituted with one or more identical or different substituents independently selected from the group consisting of halogen atoms, hydroxy groups, amino groups, cyano groups and nitro groups;

the ring B^b is a C_{3-11} cycloalkane, a C_{3-11} cycloalkene, a 3 to 11-membered non-aromatic heterocyclyl group, a C_{6-14} aryl group or a 5 to 10-membered aromatic heterocycle;

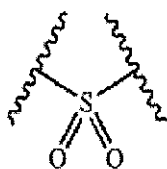
n^b is 0 or 1;

R^{3b} is a hydroxy group, an amino group, a halogen atom, a cyano group, a C_{1-3} alkyl group, a C_{1-3} haloalkyl group, a C_{3-6} cycloalkyl group, a C_{1-3} alkoxy group or a C_{1-3} haloalkoxy group; L^{3b} is represented by any of the following formulae (VI^b-1) to (VI^b-11):

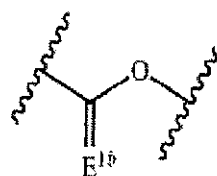
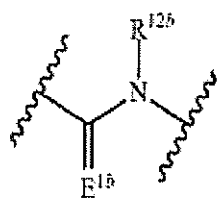
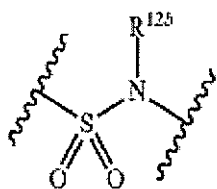
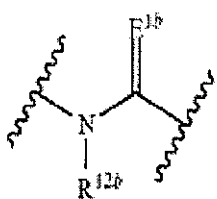
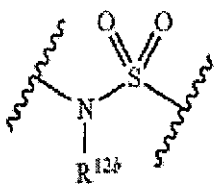
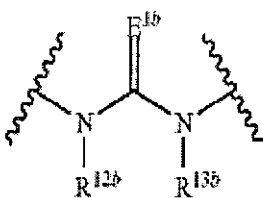
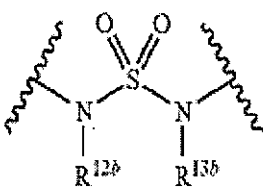
(VI^b)

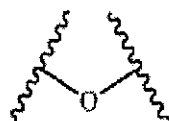
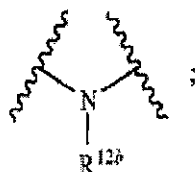


(VI^b-1)



(VI^b-2)

(VI^b-3)(VI^b-4)(VI^b-5)(VI^b-6)(VI^b-7)(VI^b-8)(VI^b-9)

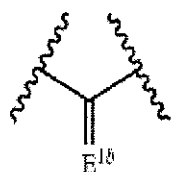
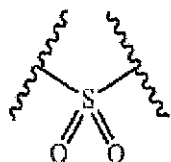
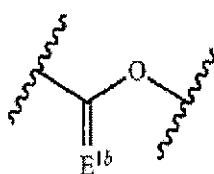
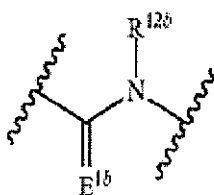
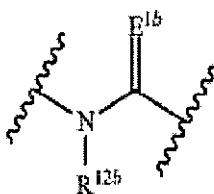
(VI^b-10)(VI^b-11)

E^{1b} is an oxygen atom or a sulfur atom, each of R^{12b} and R^{13b} is independently a hydrogen atom, a C_{1-6} alkyl group or a C_{1-6} haloalkyl group (the C_{1-6} alkyl group and the C_{1-6} haloalkyl group are unsubstituted or substituted with one or more identical or different substituents independently selected from the group consisting of halogen atoms, cyano groups, hydroxy group, C_{1-6} alkoxy groups, C_{1-6} alkylthio groups, C_{1-6} alkylsulfonyl groups, C_{3-6} cycloalkyl groups, 4 to 7-membered non-aromatic heterocyclyl groups, phenyl groups and 5 to 6-membered aromatic heterocyclyl groups (the phenyl groups and the 5 to 6-membered aromatic heterocyclyl groups are unsubstituted or substituted with a substituent selected from the group consisting of a halogen atom, a cyano group, a C_{1-3} alkyl group and a C_{1-3} haloalkyl group)); and

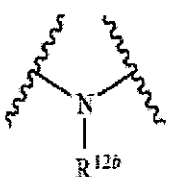
R^{2b} is a hydrogen atom, a C_{1-6} alkyl group (the C_{1-6} alkyl group is unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{5b}), a C_{3-11} cycloalkyl group, a 3 to 11-membered non-aromatic heterocyclyl group, a phenyl group, a naphthyl group or a 5 to 10-membered aromatic heterocyclyl group (the C_{3-11} cycloalkyl group, the 3 to 11-membered non-aromatic heterocyclyl group, the phenyl group, the naphthyl group and the 5 to 10-membered aromatic heterocyclyl group are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{4b}),

a tautomer or a pharmaceutically acceptable salt of the compound.

14. The compound according to claim 13, wherein:
 the ring B^b is a C₃₋₁₁ cycloalkane or a 4 to 7-membered non-aromatic heterocycle;
 L^{3b} is represented by any of the following formulae (XIX^b-1) to (XIX^b-7):

(XIX^b)(XIX^b-1)(XIX^b-2)(XIX^b-3)(XIX^b-4)(XIX^b-5)

-continued

(XIX^b-6)(XIX^b-7)

E^{1b} is an oxygen atom;

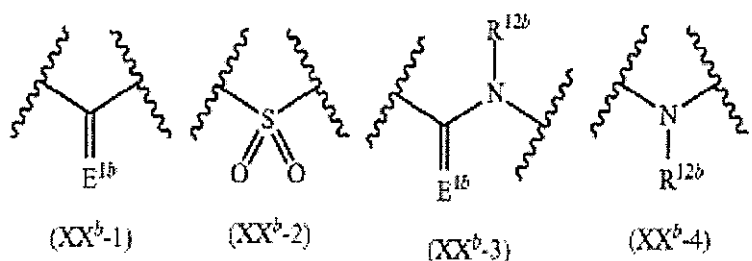
R^{12b} is a hydrogen atom, a C_{1-6} alkyl group (the C_{1-6} alkyl group is unsubstituted or substituted with one or more identical or different substituents independently selected from the group consisting of cyano groups, hydroxy groups, C_{1-3} alkoxy groups, C_{3-6} cycloalkyl groups and phenyl groups) or a C_{1-6} haloalkyl group; and

R^{2b} is a hydrogen atom, a C_{1-6} alkyl group, a C_{1-6} haloalkyl group (the C_{1-6} alkyl group and the C_{1-6} haloalkyl group are unsubstituted or substituted with one or two identical or different substituents independently selected from the group consisting of hydroxy groups, cyano groups, C_{1-3} alkoxy groups, C_{1-3} alkylthio groups, C_{1-3} alkylsulfonyl groups, C_{3-6} cycloalkyl groups, 4 to 7-membered non-aromatic heterocyclyl groups, phenyl groups and 5 to 6-membered aromatic heterocyclyl groups (the C_{3-6} cycloalkyl groups, the 4 to 7-membered non-aromatic heterocyclyl groups, the phenyl groups and the 5 to 6-membered aromatic heterocyclyl groups are unsubstituted or substituted with one or more identical or different substituents independently selected from the group consisting of halogen atoms, hydroxy groups, cyano groups, C_{1-6} alkoxy groups, C_{1-6} haloalkoxy groups and C_{1-6} alkoxycarbonyl groups)), a C_{3-6} cycloalkyl group, a 4 to 7-membered non-aromatic heterocyclyl group, a phenyl group or a 5 to 6-membered aromatic heterocyclyl group (the C_{3-6} cycloalkyl group, the 4 to 7-membered non-aromatic heterocyclyl group, the phenyl group and the 5 to 6-membered aromatic heterocyclyl group are unsubstituted or substituted with one or more identical or different substituents independently selected from the group consisting of halogen atoms, hydroxy groups, cyano groups, C_{1-6} alkyl groups, C_{1-6} haloalkyl groups, C_{1-6} alkoxy groups, C_{1-6} haloalkoxy groups and C_{1-6} alkoxycarbonyl groups),

a tautomer or a pharmaceutically acceptable salt of the compound.

15. The compound according to claim 13, wherein:

L^{3b} is represented by any of the following formulae (XX^b-1) to (XXb-4):



E^{1b} is an oxygen atom;

R^{12} is a hydrogen atom, a C_{1-3} alkyl group (the C_{1-3} alkyl group is unsubstituted or substituted with a substituent

selected from the group consisting of a cyano group, a hydroxy group, a C_{1-3} alkoxy group, a C_{3-6} cycloalkyl group and a phenyl group) or C_{1-3} haloalkyl group); and

R^{2b} is a hydrogen atom, a C_{1-3} alkyl group, a C_{1-3} haloalkyl group (the C_{1-3} alkyl group and the C_{1-3} haloalkyl group are unsubstituted or substituted with one or two identical or different substituent selected from the group consisting of hydroxy groups, cyano groups, C_{1-3} alkoxy groups, C_{3-6} cycloalkyl groups, 4 to 7-membered non-aromatic heterocyclyl groups, phenyl groups and 5 to 6-membered aromatic heterocyclyl groups (the C_{3-6} cycloalkyl groups, the 4 to 7-membered non-aromatic heterocyclyl groups, the phenyl groups and the 5 to 6-membered aromatic heterocyclyl groups are unsubstituted or substituted with a hydroxy group or a halogen atom)), a C_{3-6} cycloalkyl group, a 4 to 7-membered non-aromatic heterocyclyl group, a phenyl group or a 5 to 6-membered aromatic heterocyclyl group (the C_{3-6} cycloalkyl group, the 4 to 7-membered non-aromatic heterocyclyl group, the phenyl group and the 5 to 6-membered aromatic heterocyclyl group are unsubstituted or substituted with one or two identical or different substituents independently selected from the group consisting of hydroxy groups, halogen atoms, cyano groups, C_{1-6} alkyl groups, C_{1-3} haloalkyl groups and C_{1-6} alkoxy carbonyl groups),

a tautomer or a pharmaceutically acceptable salt of the compound.

16. The compound according to claim 2, wherein:

L^{1b} is a single bond or a C_{1-3} alkylene group;

L^{2b} is a single bond or a C_{1-3} alkylene group (the C_{1-3} alkylene group is unsubstituted or substituted with a cyano group or a C_{1-3} haloalkyl group);

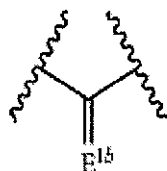
the ring B^b is a C_{3-11} cycloalkane, a C_{3-11} cycloalkene, a 3 to 11-membered non-aromatic heterocycle, benzene or a 5 to 6-membered aromatic heterocycle;

n^b is 0 or 1;

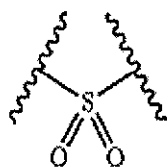
R^{3b} is a hydroxy group, an amino group, a carbamoyl group, a halogen atom, a cyano group, a C_{1-3} alkyl group, a C_{1-3} haloalkyl group, a C_{3-6} cycloalkyl group, a C_{1-3} alkoxy group, a C_{1-3} haloalkoxy group or a C_{1-3} alkylsulfonyl group;

L^{3b} is represented by any of the following formulae (VI^b-1) to (VI^b-11):

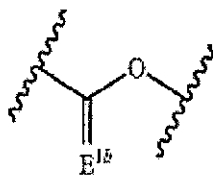
(VI^b)



(VI^b-1)

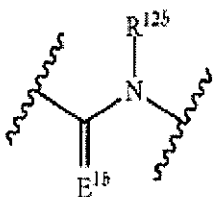


(VI^b-2)

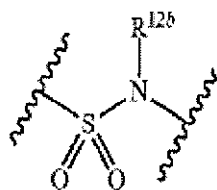
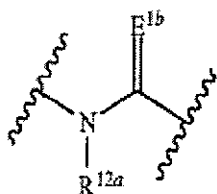
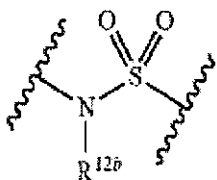
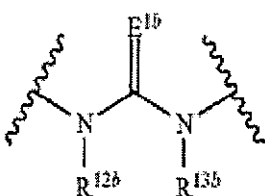
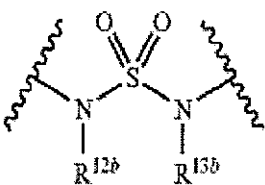
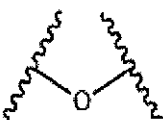
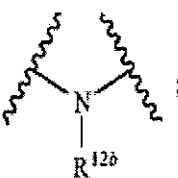


(VI^b-3)

-continued



(VI^b-4)

(VI^b-5)(VI^b-6)(VI^b-7)(VI^b-8)(VI^b-9)(VI^b-10)(VI^b-11)

E^{1b} is an oxygen atom, each of R^{12b} and R^{13b} is independently a hydrogen atom, a C_{1-6} alkyl group or a C_{1-6} haloalkyl group); and

R^{2b} is a hydrogen atom, a C_{1-6} alkyl group (the C_{1-6} alkyl group is unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{5b}), a C_{3-6} cycloalkyl group, a 4 to 7-membered non-aromatic heterocyclyl group, a phenyl group or a 5 to 6-membered aromatic heterocyclyl group (the C_{3-6} cycloalkyl group, the 4 to 7-membered non-aromatic heterocyclyl group, the phenyl group and the 5 to 6-membered aromatic heterocyclyl group are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{1b}),

a tautomer or a pharmaceutically acceptable salt of the compound.

17. The compound according to claim 16, wherein:

L^{1b} is a single bond;

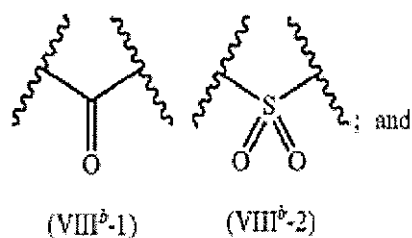
L^{2b} is a single bond;

the ring B^b is a C_{4-7} cycloalkane or a 4 to 7-membered non-aromatic heterocycle;

n^b is 0 or 1;

R^{3b} is a C_{1-3} alkyl group;

L^{3b} is represented by any of the following formula ($VIII^b$ -1) or ($VIII^b$ -2):



R^{2b} is a C_{1-6} alkyl group (the C_{1-6} alkyl group is unsubstituted or substituted with a cyano group or a C_{3-6} cycloalkyl group) or a C_{1-3} haloalkyl group,

a tautomer or a pharmaceutically acceptable salt of the compound.

18. The compound according to claim 2, wherein R^{1b} is a hydrogen atom, a tautomer or a pharmaceutically acceptable salt of the compound.

19. The compound according to claim 2, wherein the ring B^b is cyclohexane or piperidine, a tautomer or a pharmaceutically acceptable salt of the compound.

20. The compound according to claim 2, wherein the ring B^b is a 4 to 7-membered non-aromatic heterocycle, a tautomer or a pharmaceutically acceptable salt of the compound.

21. The compound according to claim 1, wherein:

L^{3b} is a single bond; and

R^{2b} is a hydrogen atom, a 4 to 7-membered non-aromatic heterocyclyl group, a phenyl group, a 5 to 10-membered aromatic heterocyclyl group or a 8 to 11-membered partially saturated aromatic cyclic group (the 4 to 7-membered non-aromatic heterocyclyl group, the phenyl group, the 5 to 10-membered aromatic heterocyclyl group and the 8 to 11-membered partially saturated aromatic cyclic group are unsubstituted or substituted with one or more identical or different substituents independently selected from the group consisting of hydroxy groups, amino groups, carbamoyl groups, sulfamoyl groups, halogen atoms, cyano groups, nitro groups, C_{1-6} alkyl groups (the C_{1-6} alkyl groups are unsubstituted or substituted with a cyano group), C_{1-6} haloalkyl groups, C_{3-11} cycloalkyl groups, C_{1-6} alkoxy groups, C_{1-6} haloalkoxy groups, C_{1-6} alkylthio groups, C_{1-6} haloalkylthio groups, C_{1-6} alkylsulfonyl groups, C_{1-6} haloalkylsulfonyl groups, C_{1-6} alkoxycarbonyl groups, 4 to 7-membered non-aromatic heterocyclyl groups, mono- C_{1-6} alkylamino groups, di- C_{1-6} alkylamino groups, phenyl groups, 5 to 6-membered aromatic heterocyclyl groups, mono- C_{1-6} alkylaminosulfonyl groups and di- C_{1-6} alkylaminosulfonyl groups), a tautomer or a pharmaceutically acceptable salt of the compound.

22. The compound according to claim 21, wherein

R^{2b} is a hydrogen atom, a phenyl group, a 5 to 10-membered aromatic heterocyclyl group or a 8 to 11-membered partially saturated aromatic cyclic group (the phenyl group, the 5 to 10-membered aromatic heterocyclyl group and the 8 to 11-membered partially saturated aro-

matic cyclic group are unsubstituted or substituted with one, two or three identical or different substituents independently selected from the group consisting of halogen atoms, cyano groups, nitro groups, C_{1-3} alkyl groups, C_{1-3} haloalkyl groups and C_{1-6} alkoxy carbonyl groups), a tautomer or a pharmaceutically acceptable salt of the compound.

23. The compound according to claim 21, wherein

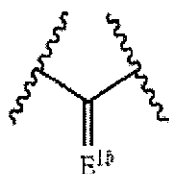
R^{2b} is a 4 to 7-membered non-aromatic heterocyclyl group (the 4 to 7-membered non-aromatic heterocyclyl group is unsubstituted or substituted with one or two identical or different substituents independently selected from the group consisting of hydroxy groups, halogen atoms, cyano groups, C_{1-3} alkyl groups (the C_{1-3} alkyl groups are unsubstituted or substituted with a cyano group) and C_{1-3} haloalkyl groups),

a tautomer or a pharmaceutically acceptable salt of the compound.

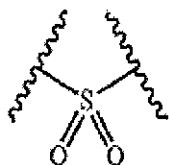
24. The compound according to claim 1, wherein:

L^{3b} is represented by any of the following formulae (XIX^b-1) to (XIX^b-7):

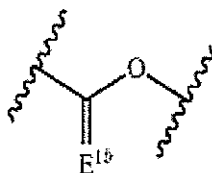
(XIX^b)



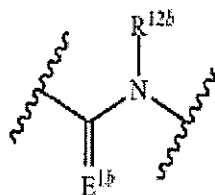
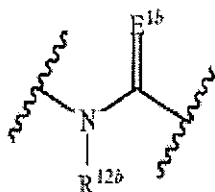
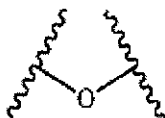
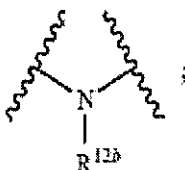
(XIX^b-1)



(XIX^b-2)



(XIX^b-3)

(XIX^b-4)(XIX^b-5)(XIX^b-6)(XIX^b-7)

E^{1b} is an oxygen atom;

R^{12b} is a hydrogen atom or a C_{1-6} alkyl group (the C_{1-6} alkyl group is unsubstituted or substituted with one or more

identical or different substituents independently selected from the group consisting of halogen atoms, cyano groups, hydroxy groups, C_{1-3} alkoxy groups, C_{3-6} cycloalkyl groups, 4 to 7-membered non-aromatic heterocyclyl groups, phenyl groups and 5 to 6-membered aromatic heterocyclyl groups); and

R^{2b} is a hydrogen atom, a C_{1-6} alkyl group, a C_{1-6} haloalkyl group (the C_{1-6} alkyl group and the C_{1-6} haloalkyl group are unsubstituted or substituted with one or two identical or different substituents independently selected from the group consisting of cyano groups, hydroxy groups, C_{1-6} alkoxy groups, C_{1-6} alkylthio groups, C_{1-6} alkylsulfonyl groups, C_{3-6} cycloalkyl groups, 4 to 7-membered non-aromatic heterocyclyl groups, phenyl groups and 5 to 6-membered aromatic heterocyclyl groups (the C_{3-6} cycloalkyl groups, the 4 to 7-membered non-aromatic heterocyclyl groups, the phenyl groups and the 5 to 6-membered aromatic heterocyclyl groups are unsubstituted or substituted with one, two or three identical or

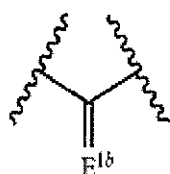
different substituents independently selected from the group consisting of the substituent set V^{2b} , mono- C_{1-6} alkylaminosulfonyl groups and di- C_{1-6} alkylaminosulfonyl groups)), a C_{3-6} cycloalkyl group, a 4 to 7-membered non-aromatic heterocyclyl group, a phenyl group, a 5 to 6-membered aromatic heterocyclyl group or a 8 to 11-membered partially saturated aromatic cyclic group (the C_{3-6} cycloalkyl group, the 4 to 7-membered non-aromatic heterocyclyl group, the phenyl group, the 5 to 6-membered aromatic heterocyclyl group and the 8 to 11-membered partially saturated aromatic cyclic group are unsubstituted or substituted with one, two or three identical or different substituents independently selected from the group consisting of the substituent set V^{2b} , mono- C_{1-6} alkylaminosulfonyl groups and di- C_{1-6} alkylaminosulfonyl groups),

a tautomer or a pharmaceutically acceptable salt of the compound.

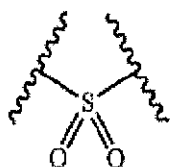
25. The compound according to claim 24, wherein:

L^{3b} is represented by any of the following formulae (XXXI^b-1) to (XXXI^b-5):

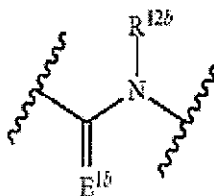
(XXXI^b)



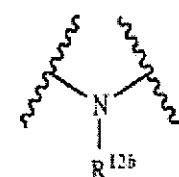
(XXXI^b-1)



(XXXI^b-2)

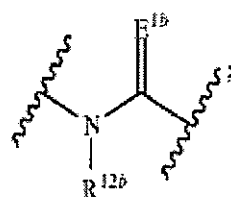


(XXXI^b-3)



(XXXI^b-4)

-continued

(XXXI^b-5)

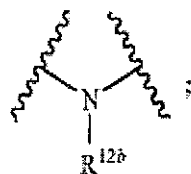
E^{1b} is an oxygen atom, and R^{12b} is a hydrogen atom, a C₁₋₃ alkyl group (the C₁₋₃ alkyl group is unsubstituted or substituted with a substituent selected from the group consisting of a cyano group, a hydroxy group, a C₁₋₃ alkoxy group, a C₃₋₆ cycloalkyl group and a phenyl group) or C₁₋₃ haloalkyl group; and

R^{2b} is a hydrogen atom, a C₁₋₆ alkyl group, a C₁₋₆ haloalkyl group (the C₁₋₆ alkyl group and the C₁₋₆ haloalkyl group are unsubstituted or substituted with one or two identical or different substituent selected from the group consisting of hydroxy groups, cyano groups, C₁₋₃ alkoxy groups, C₃₋₆ cycloalkyl groups, 4 to 7-membered non-aromatic heterocyclyl groups, phenyl groups and 5 to 6-membered aromatic heterocyclyl groups (the C₃₋₆ cycloalkyl groups, the 4 to 7-membered non-aromatic heterocyclyl groups, the phenyl groups and the 5 to 6-membered aromatic heterocyclyl groups are unsubstituted or substituted with a hydroxy group or a halogen atom)), a C₃₋₆ cycloalkyl group, a 4 to 7-membered non-aromatic heterocyclyl group, a phenyl group or a 5 to 6-membered aromatic heterocyclyl group (the C₃₋₆ cycloalkyl group, the 4 to 7-membered non-aromatic heterocyclyl group, the phenyl group and the 5 to 6-membered aromatic heterocyclyl group are unsubstituted or substituted with one or two identical or different substituents independently selected from the group consisting of hydroxy groups, halogen atoms, cyano groups, C₁₋₃ alkyl groups, C₁₋₃ haloalkyl groups and C₁₋₆ alkoxy carbonyl groups),

a tautomer or a pharmaceutically acceptable salt of the compound.

26. The compound according to claim 24, wherein:

L^{3b} is represented by the formula (XXXII^b):



R^{12b} is a hydrogen atom, a C_{1-3} alkyl group (the C_{1-3} alkyl group is unsubstituted or substituted with a substituent selected from the group consisting of a cyano group, a hydroxy group, a C_{1-3} alkoxy group, a C_{3-6} cycloalkyl group and a phenyl group) or a C_{1-3} haloalkyl group); and

R^{2b} is a hydrogen atom, a C_{1-3} alkyl group, a C_{1-3} haloalkyl group (the C_{1-3} alkyl group and the C_{1-3} haloalkyl group are unsubstituted or substituted with one or two identical or different substituent selected from the group consisting of hydroxy groups, cyano groups, C_{1-3} alkoxy groups, C_{3-6} cycloalkyl groups (the C_{3-6} cycloalkyl groups are unsubstituted or substituted with a hydroxy groups), 4 to 7-membered non-aromatic heterocyclyl groups, phenyl groups and 5 to 6-membered aromatic heterocyclyl groups), a C_{3-6} cycloalkyl group or a 4 to

7-membered non-aromatic heterocyclyl group (the C_{3-6} cycloalkyl group and the 4 to 7-membered non-aromatic heterocyclyl group are unsubstituted or substituted with one or two identical or different substituents independently selected from the group consisting of C_{1-3} alkyl groups, C_{1-3} haloalkyl groups and C_{1-6} alkoxy carbonyl groups),

a tautomer or a pharmaceutically acceptable salt of the compound.

27. The compound according to claim 1, wherein:

R^{1b} is a hydrogen atom;

the ring B^b is a C_{3-11} cycloalkane, a 3 to 11-membered non-aromatic heterocycle, a C_{6-14} aromatic carbocycle or a 5 to 10-membered aromatic heterocycle;

L^{1b} is single bond or a C_{1-3} alkylene group;

L^{1b} is single bond or a C_{1-3} alkylene group;

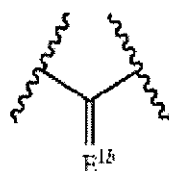
L^{2b} is a single bond, a C_{1-6} alkylene group or a C_{2-6} alkenylene group (the C_{1-6} alkylene group and the C_{2-6} alkenylene group are unsubstituted or substituted with one or more identical or different substituents independently selected from the group consisting of halogen atoms, hydroxy groups, amino groups, cyano groups and nitro groups);

n^b is 0 or 1;

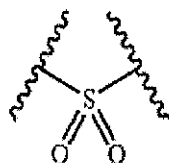
R^{3b} is a hydroxy group, an amino group, a carboxy group, a carbamoyl group, a tetrazolyl group, a halogen atom, a cyano group, a nitro group, a C_{1-3} alkyl group, a C_{1-3} haloalkyl group, a C_{3-6} cycloalkyl group, a C_{1-3} alkoxy group, a C_{1-3} haloalkoxy group or a C_{1-3} alkylsulfonyl group;

L^{3b} is a single bond or represented by any of the following formulae (XXII^b-1) to (XXII^b-15):

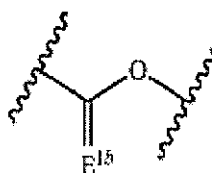
(XXII^b)



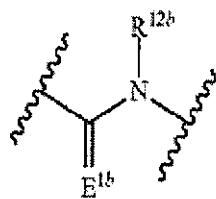
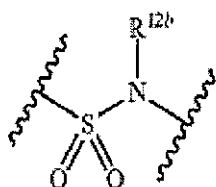
(XXII^b-1)



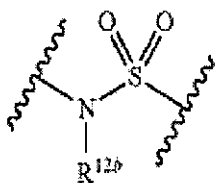
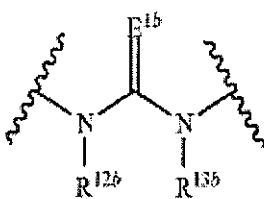
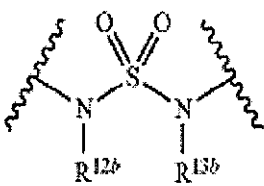
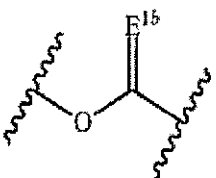
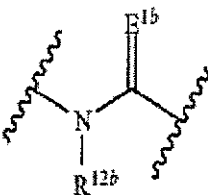
(XXII^b-2)

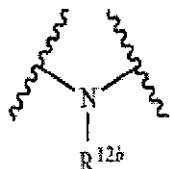
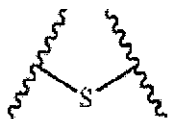
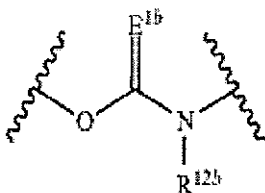
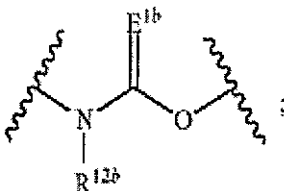


(XXII^b-3)

(XXII^b-4)(XXII^b-5)

-continued

(XXII^b-6)(XXII^b-7)(XXII^b-8)(XXII^b-9)(XXII^b-10)

(XXII^b-11)(XXII^b-12)(XXII^b-13)(XXII^b-14)(XXII^b-15)

E^{1b} is an oxygen atom or a sulfur atom, and each of R^{12b} and R^{13b} is independently a hydrogen atom, a C_{1-6} alkyl group or a C_{1-6} haloalkyl group (the C_{1-6} alkyl group and the C_{1-6} haloalkyl group are unsubstituted or substituted with one or more identical or different substituents independently selected from the group consisting of halogen atoms, cyano groups, hydroxy groups, C_{1-6} alkoxy groups, C_{1-6} alkylthio groups, C_{1-6} alkylsulfonyl groups, C_{3-6} cycloalkyl groups, 4 to 7-membered non-aromatic heterocyclyl groups, phenyl groups and 5 to 6-membered aromatic heterocyclyl groups (the phenyl groups and 5 to 6-membered aromatic heterocyclyl groups are unsubstituted or substituted with a substituent selected from the group consisting of a halogen atom, a cyano group, a C_{1-3} alkyl group and a C_{1-3} haloalkyl group)); when L^{3b} is a single bond, R^{2b} is a hydrogen atom, a

bered aromatic heterocyclyl groups (the phenyl groups and 5 to 6-membered aromatic heterocyclyl groups are unsubstituted or substituted with a substituent selected from the group consisting of a halogen atom, a cyano group, a C_{1-3} alkyl group and a C_{1-3} haloalkyl group)); when L^{3b} is a single bond, R^{2b} is a hydrogen atom, a

- halogen atom, a C_{3-11} cycloalkyl group, a 3 to 11-membered non-aromatic heterocyclyl group, a phenyl group, a naphthyl group, a 5 to 10-membered aromatic heterocyclyl group, a 8 to 11-membered partially saturated aromatic cyclic group or a 8 to 11-membered aromatic ring-condensed alicyclic hydrocarbon group (the C_{3-11} cycloalkyl group, the 3 to 11-membered non-aromatic heterocyclyl group, the phenyl group, the naphthyl group, the 5 to 10-membered aromatic heterocyclyl group, the 8 to 11-membered partially saturated aromatic cyclic group and the 8 to 11-membered aromatic ring-condensed alicyclic hydrocarbon group are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{4b} and the substituent set V^{9b}); and
- when L^{3b} is not a single bond, R^{2b} is a hydrogen atom, a C_{1-6} alkyl group, a C_{2-6} alkenyl group (the C_{1-6} alkyl group and the C_{2-6} alkenyl group are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{6b} and the substituent set V^{9b}), a C_{3-11} cycloalkyl group, a 3 to 11-membered non-aromatic heterocyclyl group, a C_{6-14} aryl group, a 5 to 10-membered aromatic heterocyclyl group, a 8 to 11-membered partially saturated aromatic cyclic group or a 8 to 11-membered aromatic ring-condensed alicyclic hydrocarbon group (the C_{3-11} cycloalkyl group, the 3 to 11-membered non-aromatic heterocyclyl group, the C_{6-14} aryl group, the 5 to 10-membered aromatic heterocyclyl group, the 8 to 11-membered partially saturated aromatic cyclic group or the 8 to 11-membered aromatic ring-condensed alicyclic hydrocarbon group are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{4b} and the substituent set V^{9b}),
- a tautomer or a pharmaceutically acceptable salt of the compound.
- 28.** The compound according to claim 1, wherein:
- L^{1b} is a single bond;
- L^{2b} is a single bond, a C_{1-6} alkylene group, a C_{2-6} alkenylene group or a C_{1-6} haloalkylene group (the C_{1-6} alkylene group, the C_{2-6} alkenylene group and the C_{1-6} haloalkylene group are unsubstituted or substituted with a hydroxy group or a cyano group);
- the ring B^b is a C_{3-11} cycloalkane or a 4 to 7-membered non-aromatic heterocycle;

n^b is 0 or 1; and

R^{3b} is a hydroxy group, a C_{1-3} alkyl group or a C_{1-3} alkoxy group,

a tautomer or a pharmaceutically acceptable salt of the compound.

29. The compound according to claim 1, wherein:

L^{2b} is a single bond or a C_{1-3} alkylene group; and

the ring B^b is cyclohexane or piperidine,

a tautomer or a pharmaceutically acceptable salt of the compound.

30. The compound according to claim 1, wherein:

n^b is 0 or 1; and

R^{3b} is a C_{1-3} alkyl group,

a tautomer or a pharmaceutically acceptable salt of the compound.

31. The compound according to claim 1, wherein:

R^{1b} is a hydrogen atom;

L^{1b} is a single bond;

the ring B^b is a C_{3-11} cycloalkane;

L^{2b} is a C_{1-6} alkylene group;

L^{3b} is $-O-$; and

n^b is 0,

tautomer or a pharmaceutically acceptable salt of the compound.

32. A composition, comprising the compound according to claim 1 and at least one additive selected from the group consisting of an excipient, a lubricant, a binder, a disintegrant, a humectant, a plasticizer, a coating agent, and mixtures thereof.