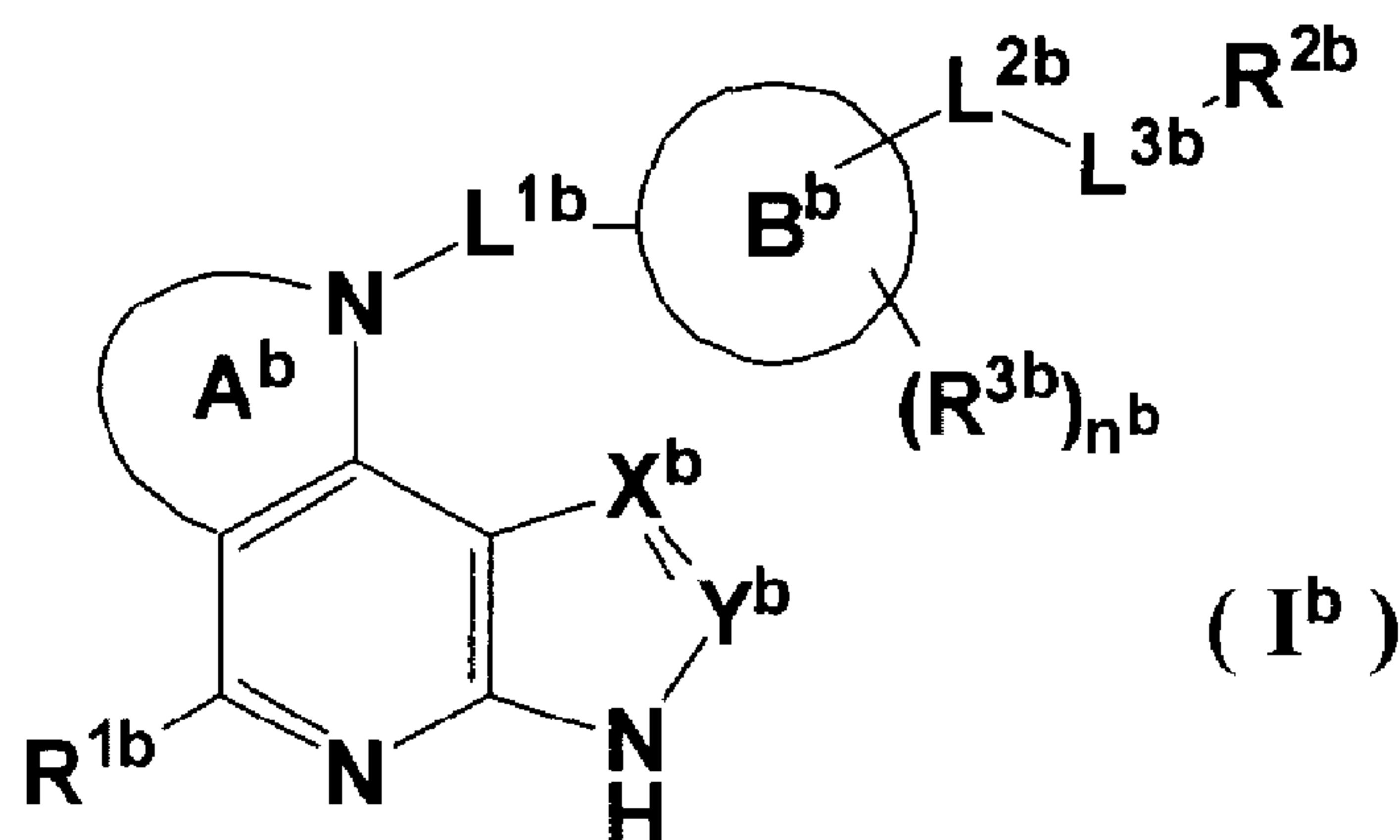




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(54) Titre : COMPOSES HETEROCYCLIQUES TRICYCLIQUES ET INHIBITEURS DE JAK
(54) Title: TRICYCLIC HETEROCYCLIC COMPOUNDS AND JAK INHIBITORS



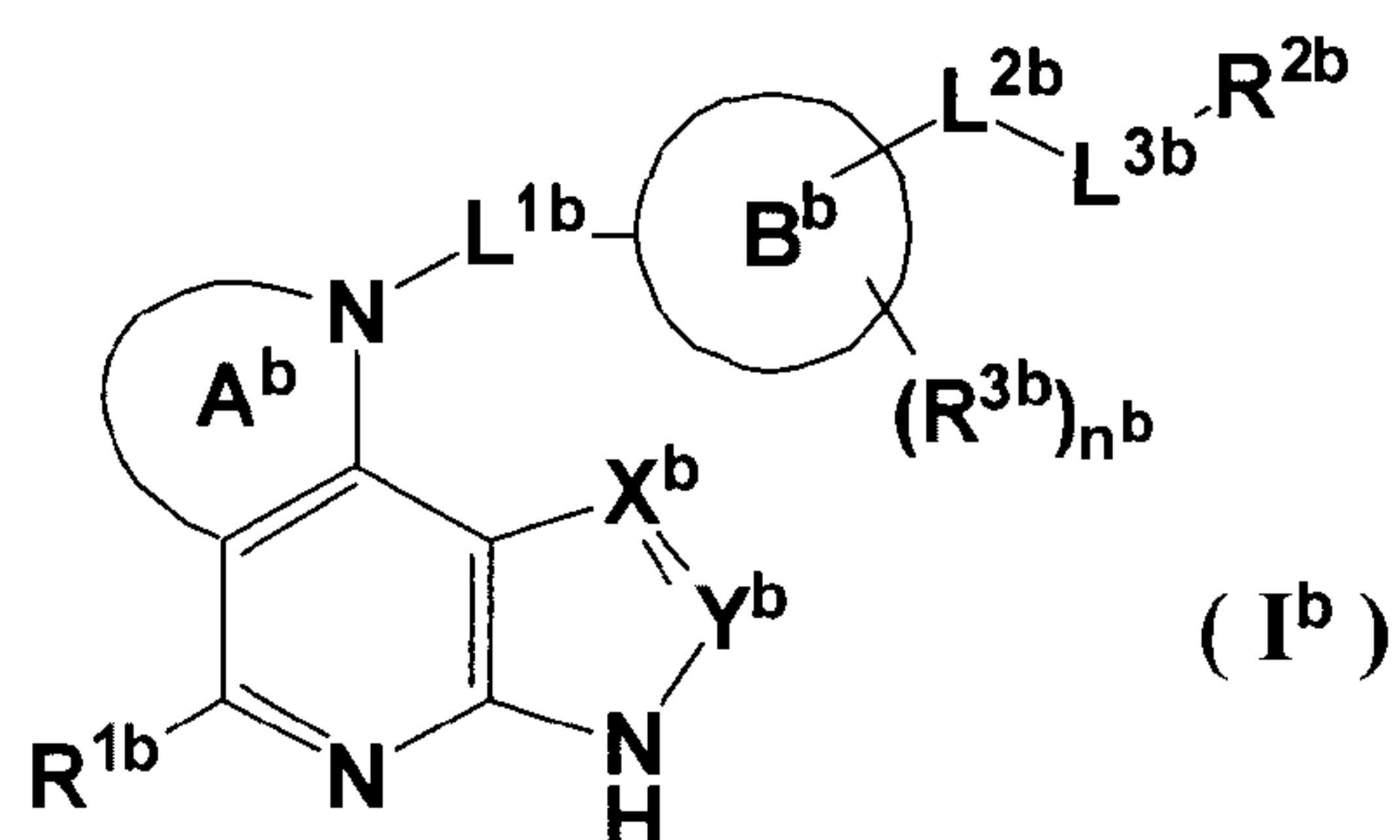
(57) **Abrégé/Abstract:**

Novel tricyclic pyrimidine compounds and tricyclic pyridine compounds having JAK inhibitory activities of the formula (I^b) are provided:

(see formula I^b)

Abstract

Novel tricyclic pyrimidine compounds and tricyclic pyridine compounds having JAK inhibitory activities of the formula (I^b) are provided:



DEMANDES OU BREVETS VOLUMINEUX

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

CECI EST LE TOME __1__ DE __2__

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JUMBO APPLICATIONS / PATENTS

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

THIS IS VOLUME __1__ OF __2__

NOTE: For additional volumes please contact the Canadian Patent Office.

DESCRIPTION
TRICYCLIC HETEROCYCLIC COMPOUNDS AND JAK INHIBITORS

TECHNICAL FIELD

5 The present invention relates to novel tricyclic pyrimidine compounds and tricyclic pyridine compounds having JAK inhibitory activities.

BACKGROUND ART

10 The JAK (Janus kinase) family is a tyrosine kinase family consisting of four members, JAK1, JAK2, JAK3 and Tyk2 (Tyrosine kinase 2) and plays an important role in cytokine signaling.

15 While the kinases of this family, except for JAK3, are widely expressed in tissues, expression of JAK3 is restricted to immune cells. This is consistent with the fact that JAK3 plays an important role in various receptor-mediated signaling pathways such as IL (interleukin)-2, IL-4, IL-7, IL-9, IL-15 and IL-21 signaling by noncovalently associating with the common γ chain (Non-Patent Documents 1 and 2).

20 Lowered JAK3 protein levels or defects in the common γ chain gene observed in patients with an immunodeficiency called X-linked Severe Combined Immuno Deficiency (XSCID) suggest that blocking of the JAK3 signaling pathway leads to immunosuppression (Non-Patent Documents 3 and 4). Animal experiments indicate the importance of JAK3 not only in maturation of B- and T-lymphocytes but also in maintenance of T-lymphocyte functions. Therefore, regulation of immune responses via this mechanism is a promising therapy for T-cell lymphoproliferative diseases such as organ transplant rejection and autoimmune diseases.

25 Analyses of JAK1 knockout mice and JAK1-deficient cells suggest involvement of JAK1 in various receptor-mediated signaling pathways such as IFN (Interferon) α , IFN β , IFN γ , IL-2, IL-4, IL-6, IL-7 and IL-15 signaling (Non-Patent Document 5). Therefore, regulation of inflammatory responses via these signaling pathways is therapeutically promising for treatment of diseases involving macrophage and lymphocyte activation
30 such as autoimmune diseases and acute and chronic organ transplant rejection.

35 Analyses of JAK2 knockout mice and JAK2-deficient cells suggest involvement of JAK2 in various receptor-mediated signaling pathways such as EPO (Erythropoietin) α , thrombopoietin, IFN γ , IL-3 and GM-CSF signaling (Non-Patent Documents 6, 7 and 8). These signaling pathways are supposed to mediate differentiation of erythrocyte or thrombocyte progenitor cells in bone marrow. Meanwhile, it is suggested that a substitution of phenylalanine-617 with valine in JAK2 is associated with myeloproliferative diseases (Non-Patent Document 6). Therefore, regulation of differentiation of myeloid progenitor cells via these signaling pathways is therapeutically promising for treatment of myeloproliferative diseases.

40 The JAK inhibitor CP-690,550 is reported to have improved the pathology of rheumatoid arthritis and psoriasis in clinical tests (Non-Patent Documents 9 and 10) and suppressed rejection in a monkey model of kidney transplantation and airway inflammation in a murine asthma model (Non-Patent Documents 11 and 12). From these findings, immunosuppression by JAK inhibitors is considered to be useful for
45 prevention or treatment of organ transplant rejection and post-transplant graft-versus-host reaction, autoimmune diseases and allergic diseases. Although other compounds having JAK inhibitory action than CP-690,550 have been reported (Patent Documents 1 to 11), development of more of such compounds is demanded.

PRIOR ART DOCUMENT

- Patent Document 1: WO01/42246
- Patent Document 2: WO2008/084861
- 5 Patent Document 3: WO2010/119875
- Patent Document 4: WO2011/045702
- Patent Document 5: WO2011/068881
- Patent Document 6: WO2011/075334
- Patent Document 7: WO2007/007919
- 10 Patent Document 8: WO2007/077949
- Patent Document 9: WO2009/152133
- Patent Document 10: WO2011/086053
- Patent Document 11: WO2011/068899
- Non-Patent Document 1: Cell, 2002, 109, pp. S121-131
- 15 Non-Patent Document 2: Science, 2002, 298, pp., 1630-1634
- Non-Patent Document 3: Nature, 1995, 377, pp. 65-68
- Non-Patent Document 4: Science, 1995, 270, pp. 797-800
- Non-Patent Document 5: J. Immunol., 2007, 178, pp. 2623-2629
- Non-Patent Document 6: Pathol. Biol., 2007, 55, pp. 88-91
- 20 Non-Patent Document 7: Cancer Genet. Cytogenet., 2009, 189, pp. 43-47
- Non-Patent Document 8: Semin. Cell. Dev. Biol., 2008, 19, pp. 385-393
- Non-Patent Document 9: Arthritis Rheum., 2009, 60, pp. 1895-1905
- Non-Patent Document 10: J. Invest. Dermatol., 2009, 129, pp. 2299-2302
- Non-Patent Document 11: Science, 2003, 302, pp. 875-878
- 25 Non-Patent Document 12: Eur. J. Pharmacol., 2008, 582, pp. 154-161

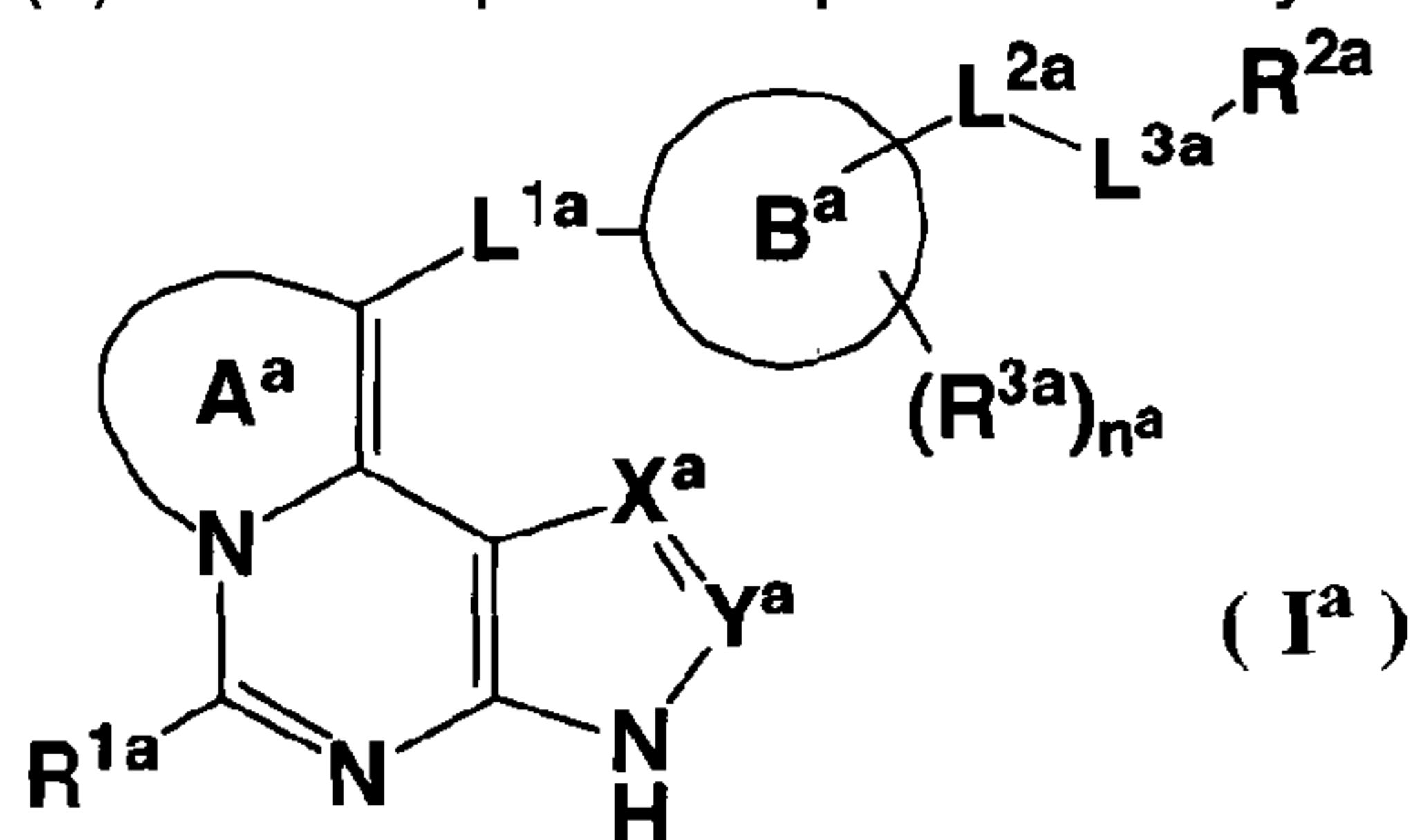
DISCLOSURE OF THE INVENTION
TECHNICAL PROBLEM

The object of the present invention is to provide novel drug compounds having
30 excellent JAK inhibitory activities useful for prevention or treatment of autoimmune
diseases, inflammatory diseases and allergic diseases.

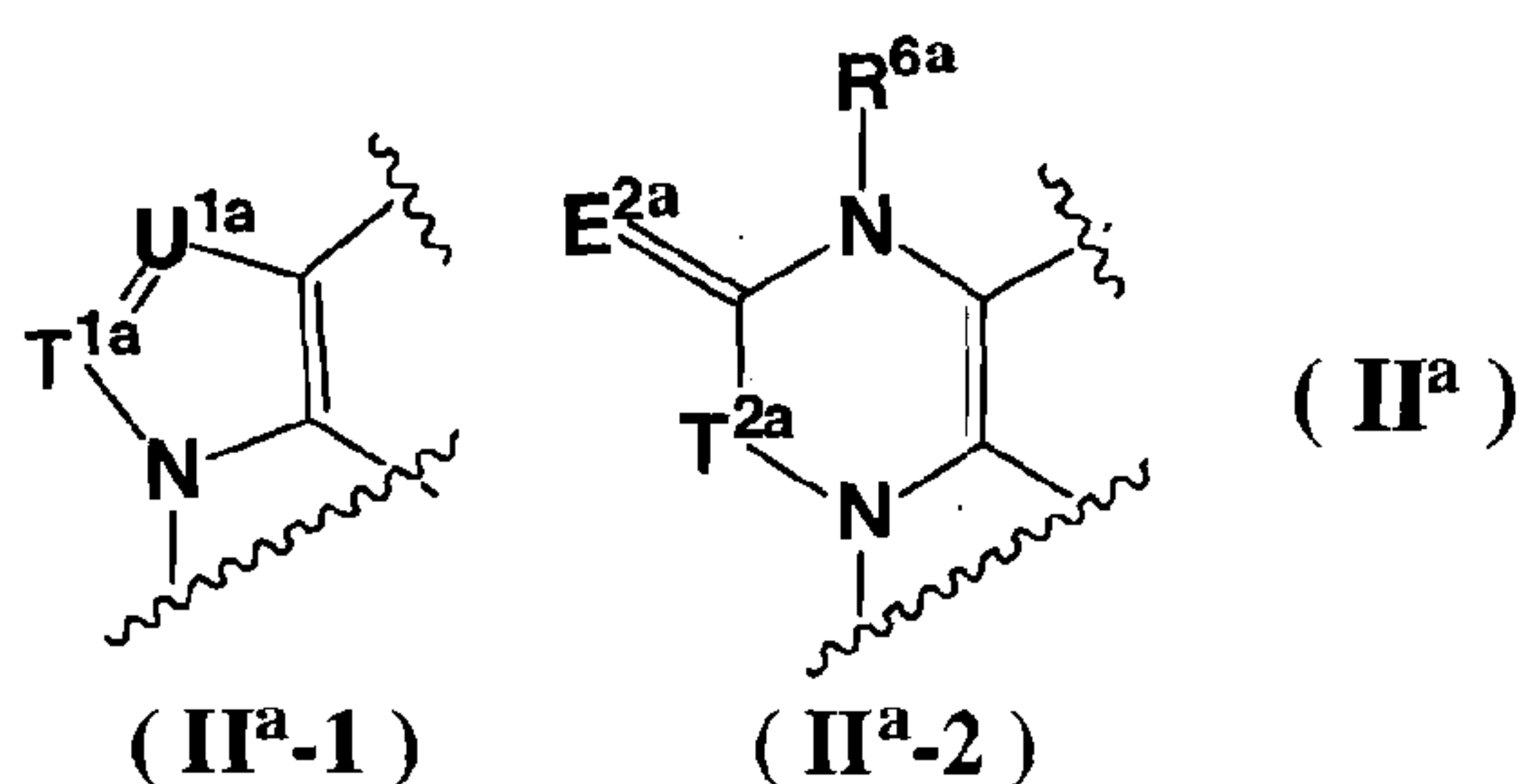
SOLUTION TO PROBLEMS

As a result of their extensive research in search of new low-molecular-weight
35 compounds having JAK inhibitory activities, the present inventors found that the
compounds of the present invention have high inhibitory action and accomplished the
present invention. Namely, the present invention provide:

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



40 [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},

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 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

10 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,

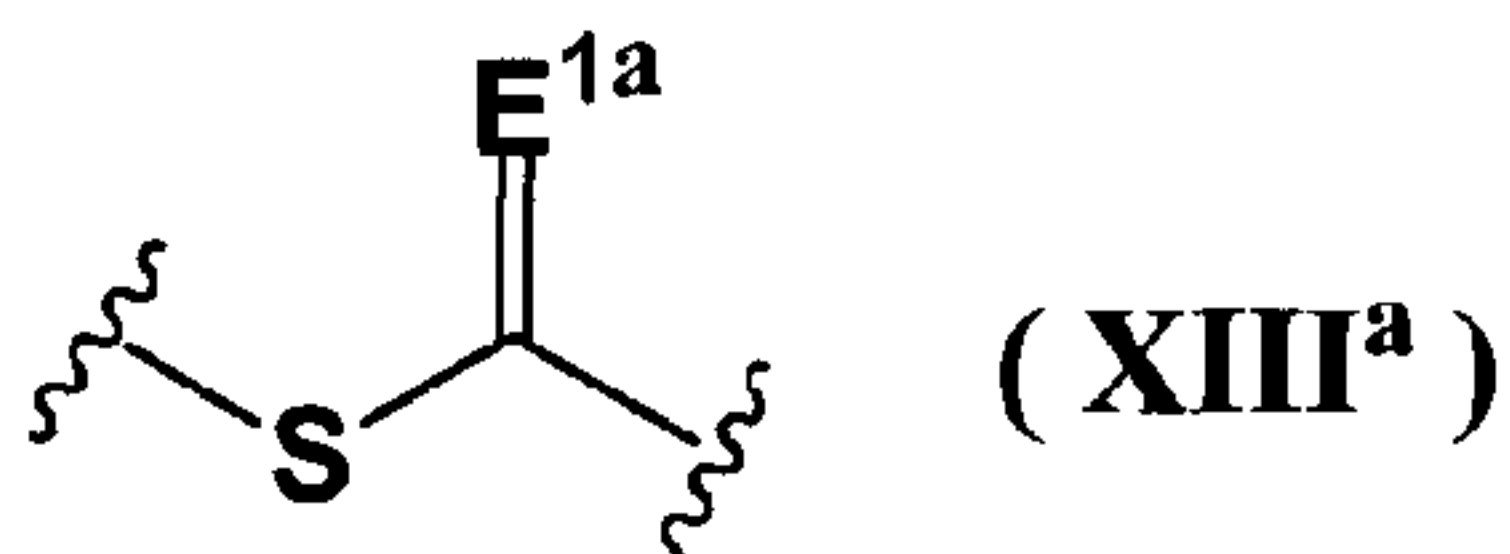
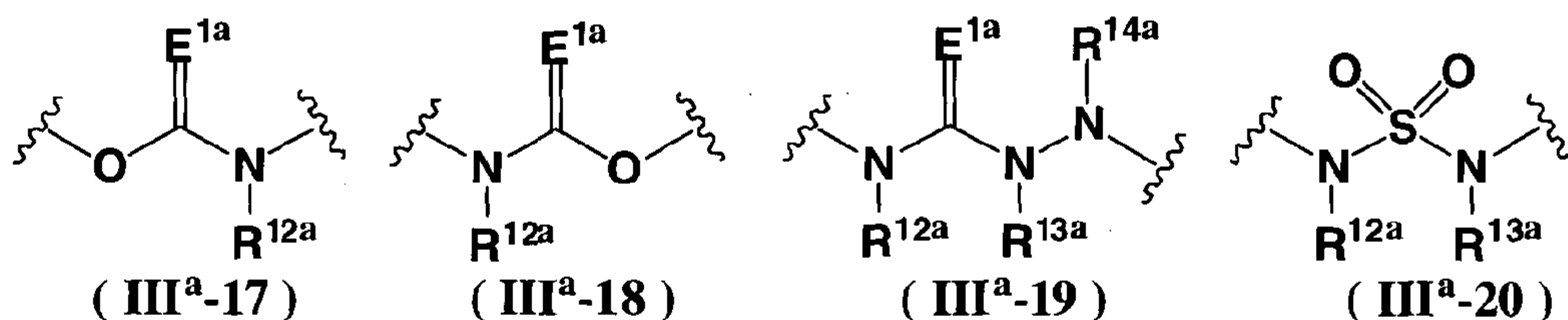
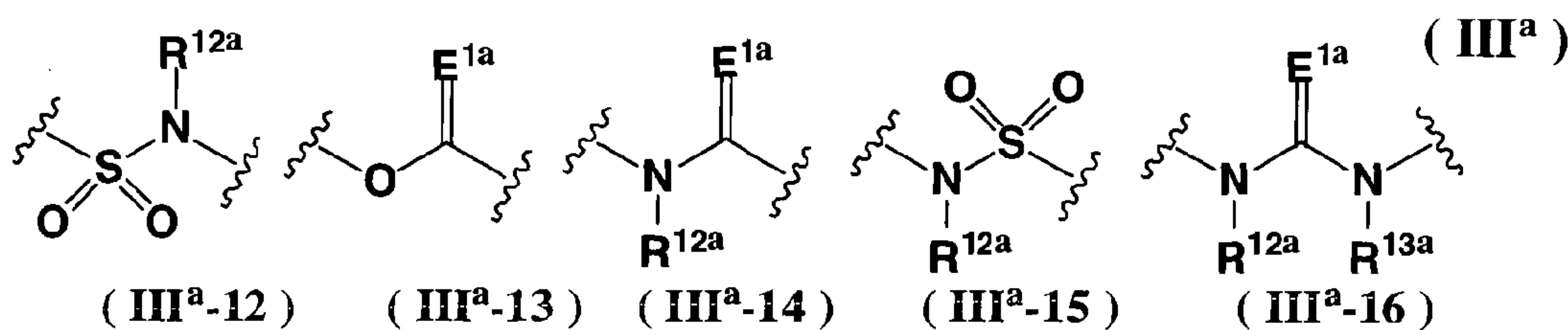
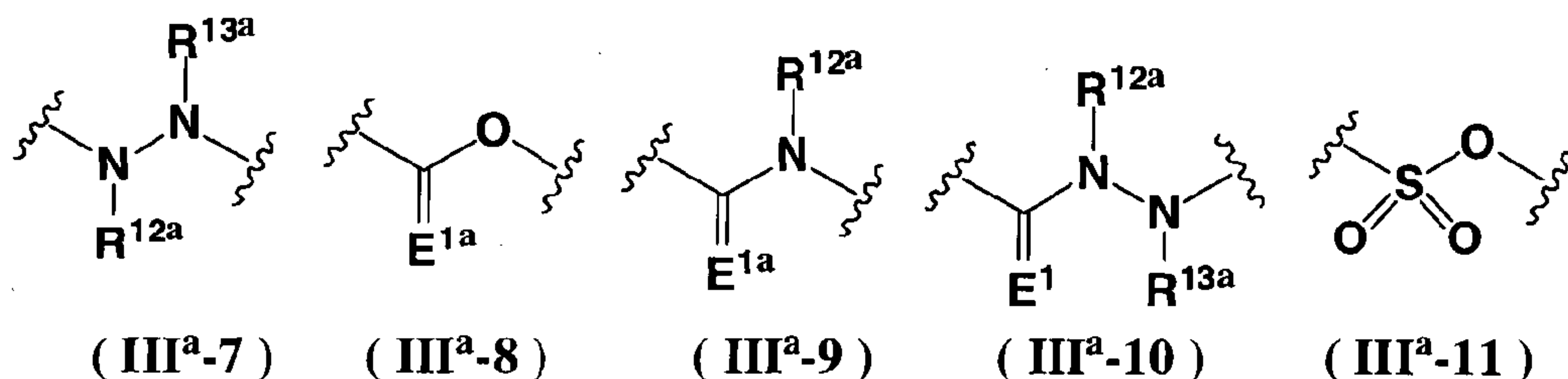
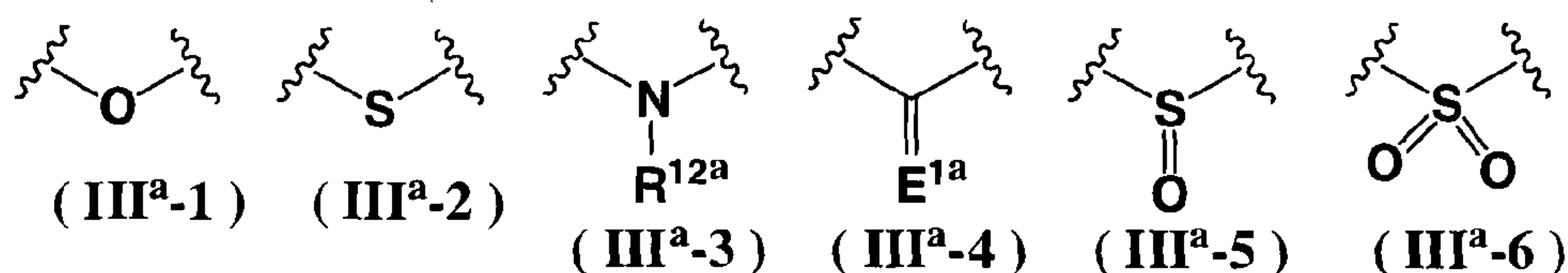
15 amino groups, cyano groups and nitro groups),

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,

20 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):

25



(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-
 5 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
 10 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}
 15 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}
 20 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
 25 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
 30 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
 40 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
 45 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 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,

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,

each of R^{12a}, R^{13a} and R^{14a} is independently 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 substituent set V^{2a}, the substituent set V^{8a} and the substituent set V^{9a}), 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 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 11-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^{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, 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} 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^{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}),

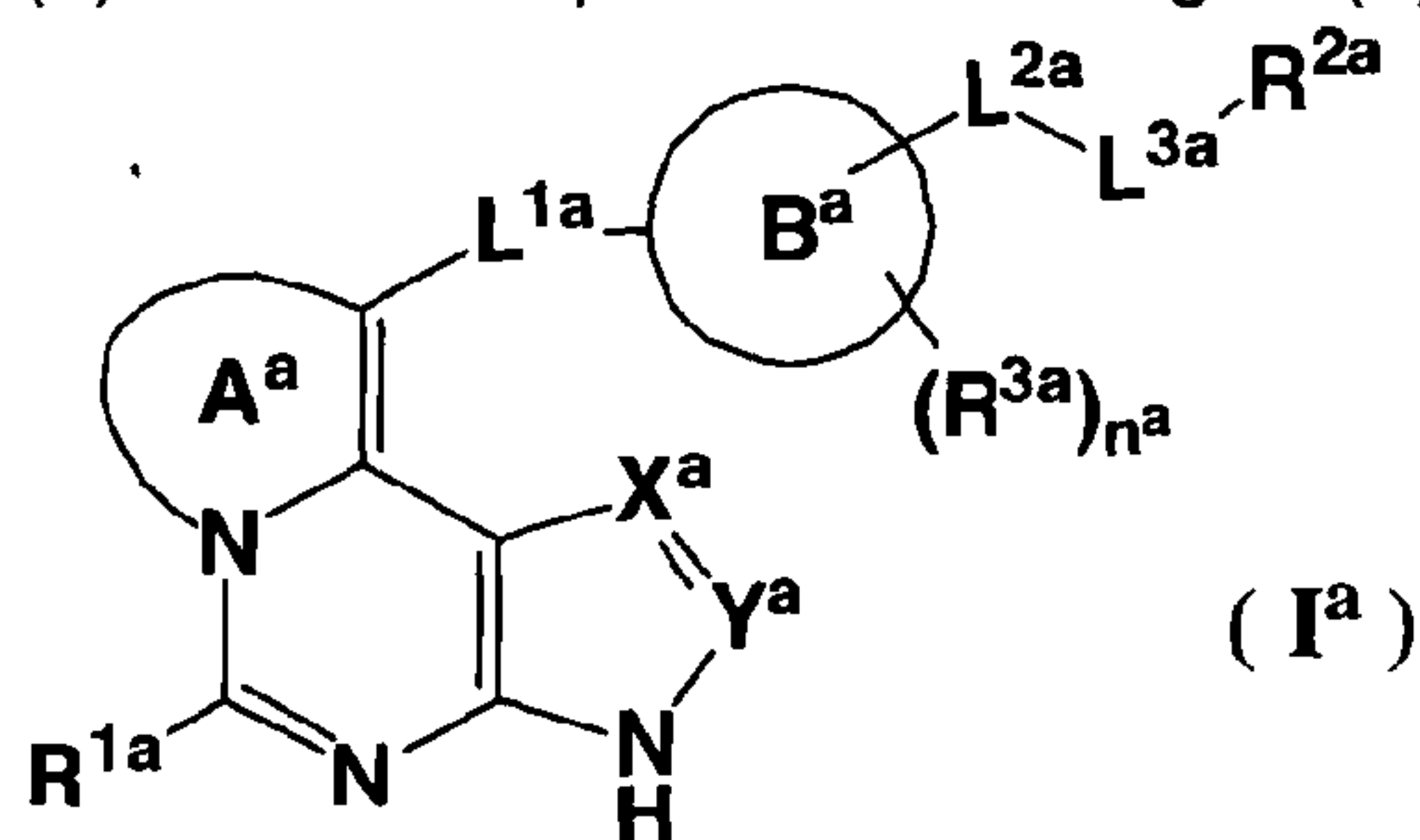
the substituent set V^{4a} consists of hydroxy groups, amino groups, carboxy groups, carbamoyl groups, sulfamoyl groups, phosphono groups, phosphonooxy groups, sulfo groups, sulfoxy groups, tetrazolyl groups, halogen atoms, cyano groups, nitro groups, C_{1-6} alkyl groups, C_{2-6} alkenyl groups, C_{1-6} 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}),

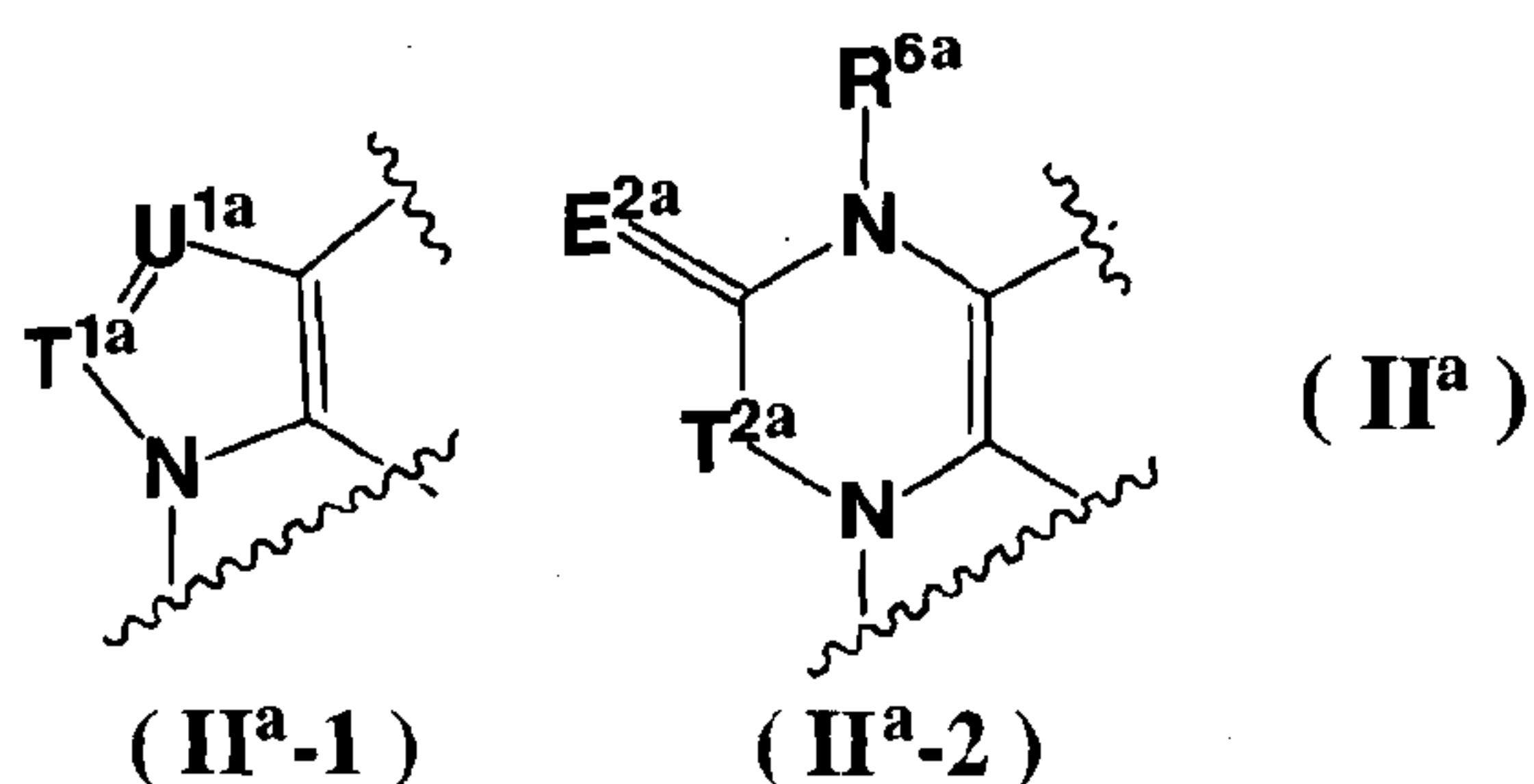
the substituent set V^{6a} consists of hydroxy groups, amino groups, carboxy groups, carbamoyl groups, sulfamoyl groups, phosphono groups, phosphonooxy groups, sulfo groups, sulfoxy groups, tetrazolyl groups, halogen atoms, cyano groups, nitro groups, C_{1-6} alkoxy groups, C_{1-6} alkylthio groups, 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 (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},

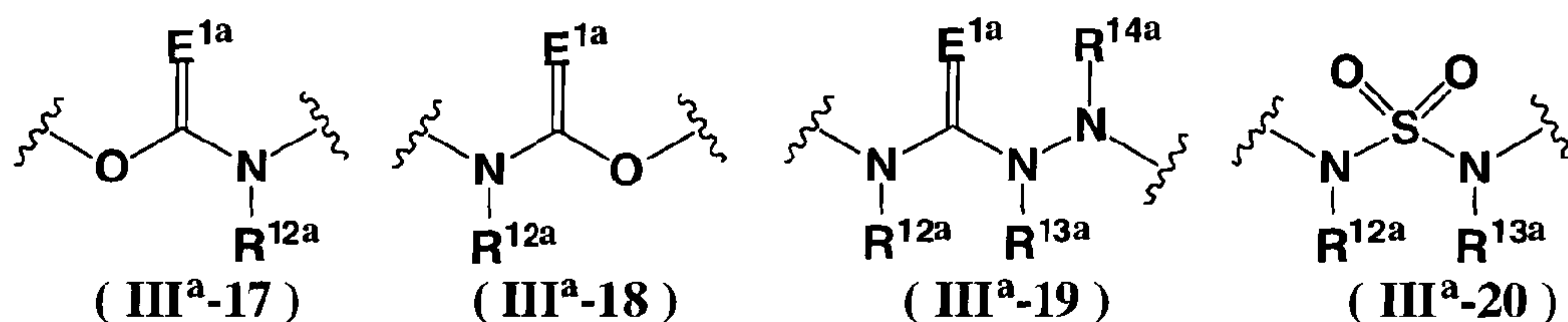
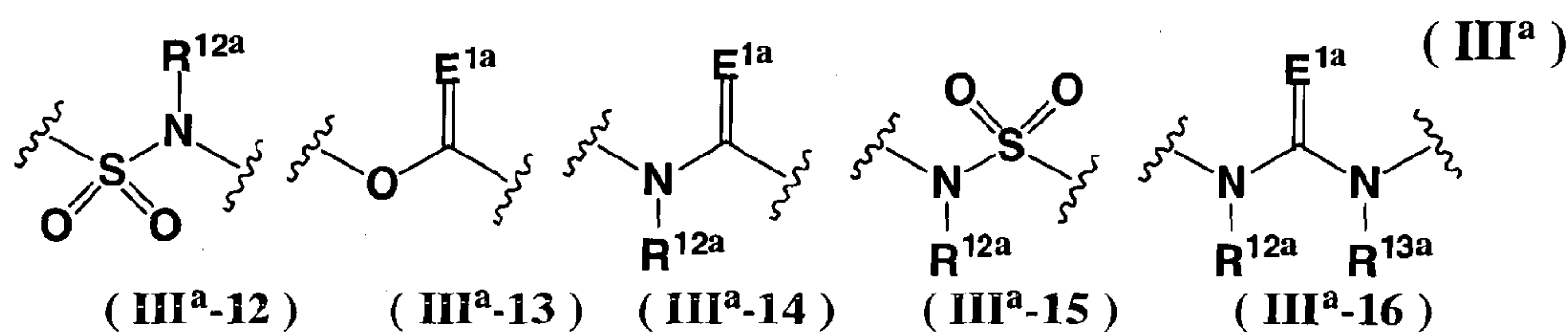
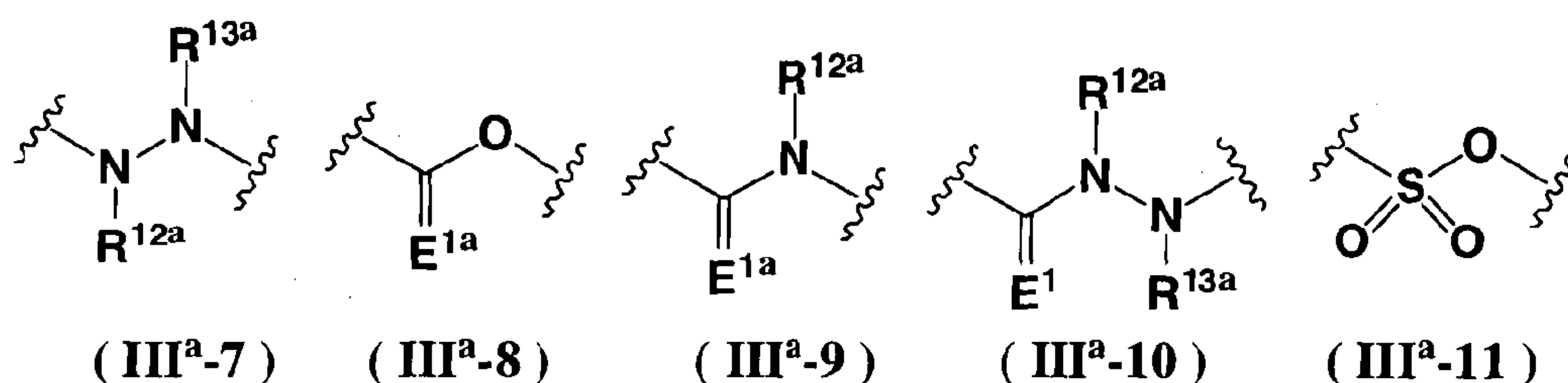
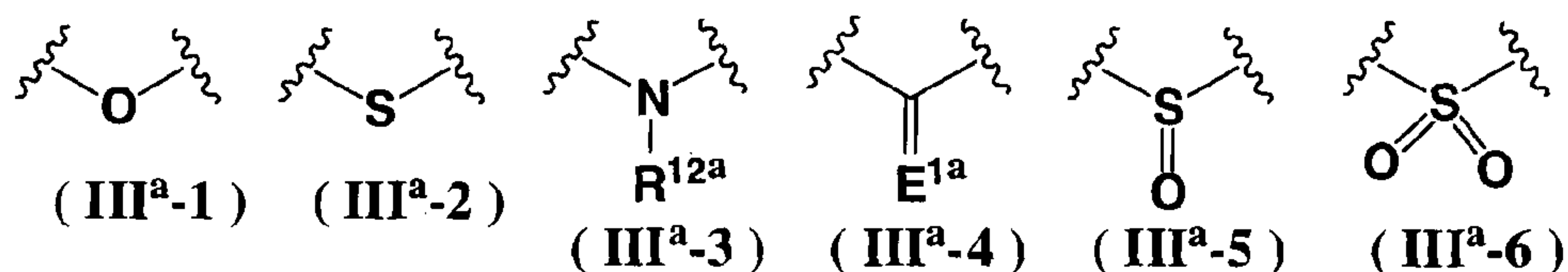
5 Y^a is CR^{10a},

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,

10 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),

15 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),

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 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

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} alkoxy carbonyl 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),
 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 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} alkoxy carbonyl 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}),
 15 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} alkoxy carbonyl 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} alkoxy carbonyl 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}),
 20 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,
 25 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,
 30 each of R^{12a} , R^{13a} and R^{14a} 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^{2a}),
 35 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, 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

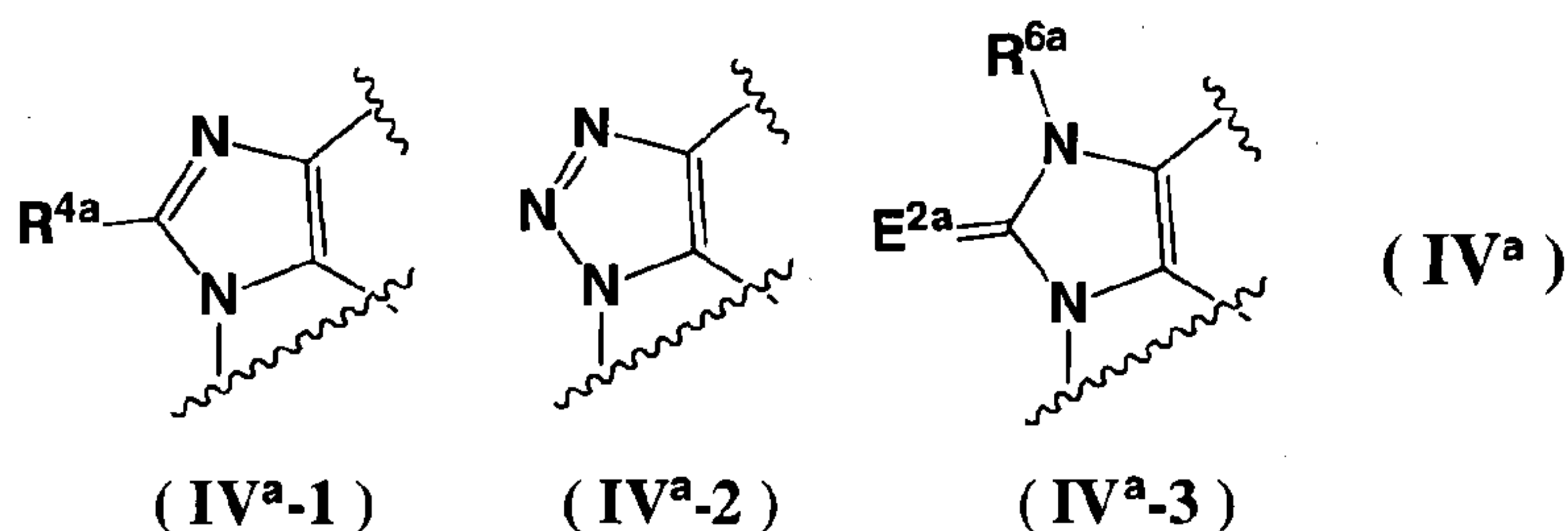
groups, C₁₋₆ alkoxy carbonyl groups, mono-C₁₋₆ alkylamino groups, di-C₁₋₆ alkylamino groups, mono-C₁₋₆ alkylaminocarbonyl groups, di-C₁₋₆ alkylaminocarbonyl groups, C₁₋₆ alkylcarbonylamino groups, C₃₋₁₁ cycloalkyl groups, 3 to 11-membered non-aromatic heterocyclyl groups, C₆₋₁₄ aryl groups and 5 to 10-membered 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 (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 (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 (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 (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 (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 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^{4a}), a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

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

L^{2a} 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^a 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^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 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^{3a} is a single bond, and

R^{2a} 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^{4a}), a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

(9) The compound according to (7), wherein the ring B^a is a C_{3-11} 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 C_{1-3} alkyl group, a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

(10) The compound according to (7) or (9), wherein L^{2a} 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),

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

R^{2a} 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 group consisting of hydroxy groups, amino groups, halogen atoms, cyano groups, nitro groups, carboxy groups, carbamoyl groups, sulfamoyl groups, C_{1-6} alkyl groups, C_{1-6} alkoxy groups, mono- C_{1-6} alkylamino groups, di- C_{1-6} alkylamino 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} alkylaminocarbonyl groups,

di-C₁₋₆ alkylaminocarbonyl groups, C₁₋₆ alkylcarbonylamino groups (the C₁₋₆ alkyl groups, the C₁₋₆ alkoxy groups, the mono-C₁₋₆ alkylamino groups, the di-C₁₋₆ alkylamino 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 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 group consisting of halogen atoms, hydroxy groups, amino groups, cyano groups and 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 one or more identical or different substituents independently selected from the group consisting of hydroxy groups, halogen atoms, cyano groups, C₁₋₆ alkyl groups and C₁₋₆ haloalkyl groups)), a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

(11) The compound according to (7) or (9), 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, 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 (7), (9) and (10), wherein the ring B^a is a C₄₋₇ 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, C₁₋₃ alkyl groups, C₁₋₃ alkoxy groups, mono-C₁₋₃ alkylamino groups, di-C₁₋₃ alkylamino groups (the C₁₋₃ alkyl groups, the C₁₋₃ alkoxy groups, the mono-C₁₋₃ alkylamino groups and the di-C₁₋₃ alkylamino groups are unsubstituted or substituted with a hydroxy group or a cyano group), C₁₋₃ haloalkyl groups, C₁₋₃ haloalkoxy groups, C₁₋₃ alkylthio groups, C₁₋₃ haloalkylthio groups, C₁₋₃ alkylsulfonyl groups, C₁₋₃ 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 C₁₋₃ alkyl group and a C₁₋₃ haloalkyl group)), a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

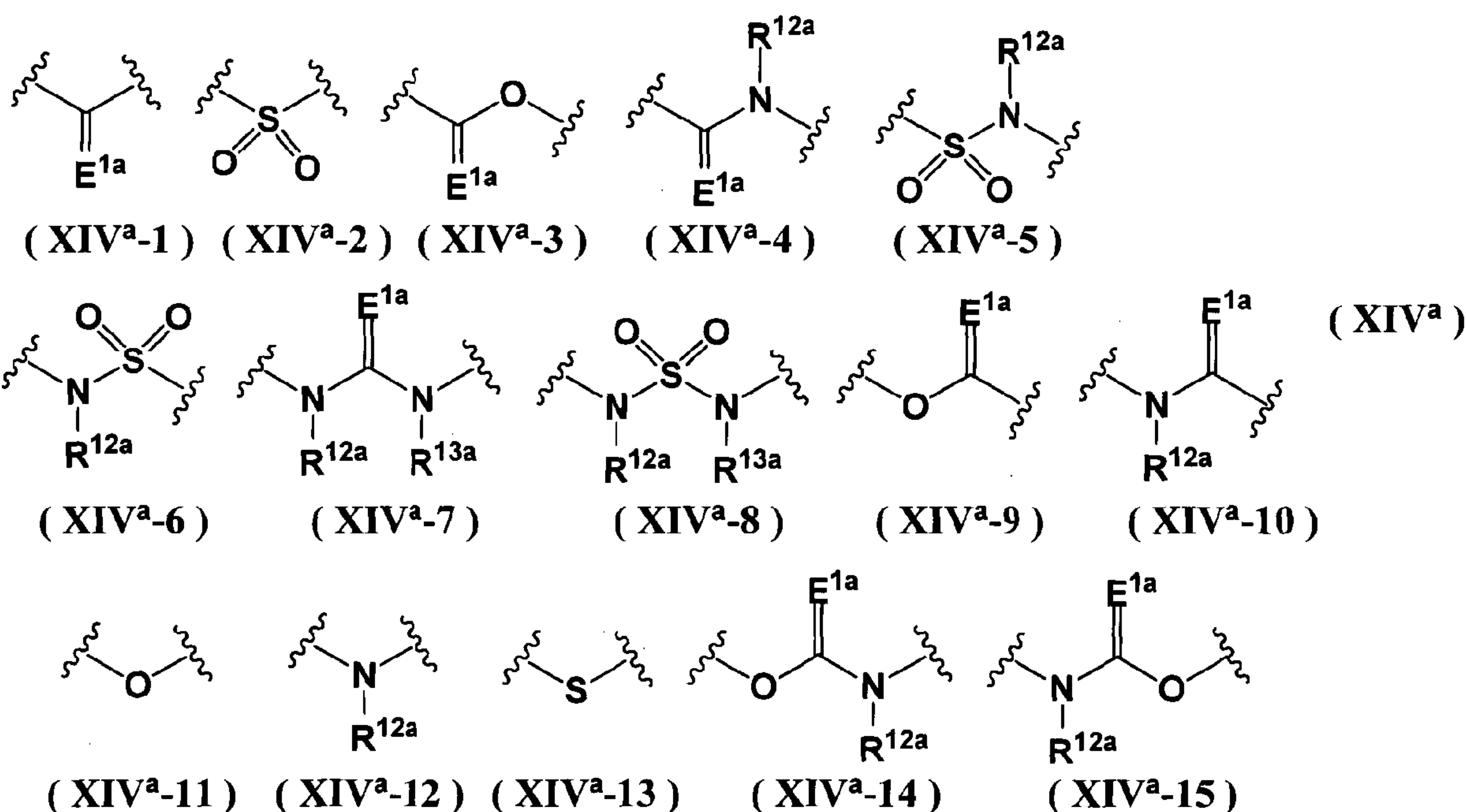
(13) The compound according to any one of (7), (9) and (10), wherein the ring B^a is a C₄₋₇ cycloalkane, and

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, C₁₋₃ alkyl groups (the C₁₋₃ alkyl groups are unsubstituted or substituted with a hydroxy group or a cyano group), C₁₋₃

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
 5 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
 10 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 (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
 15 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,

20 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):



25 (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,
 30 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

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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

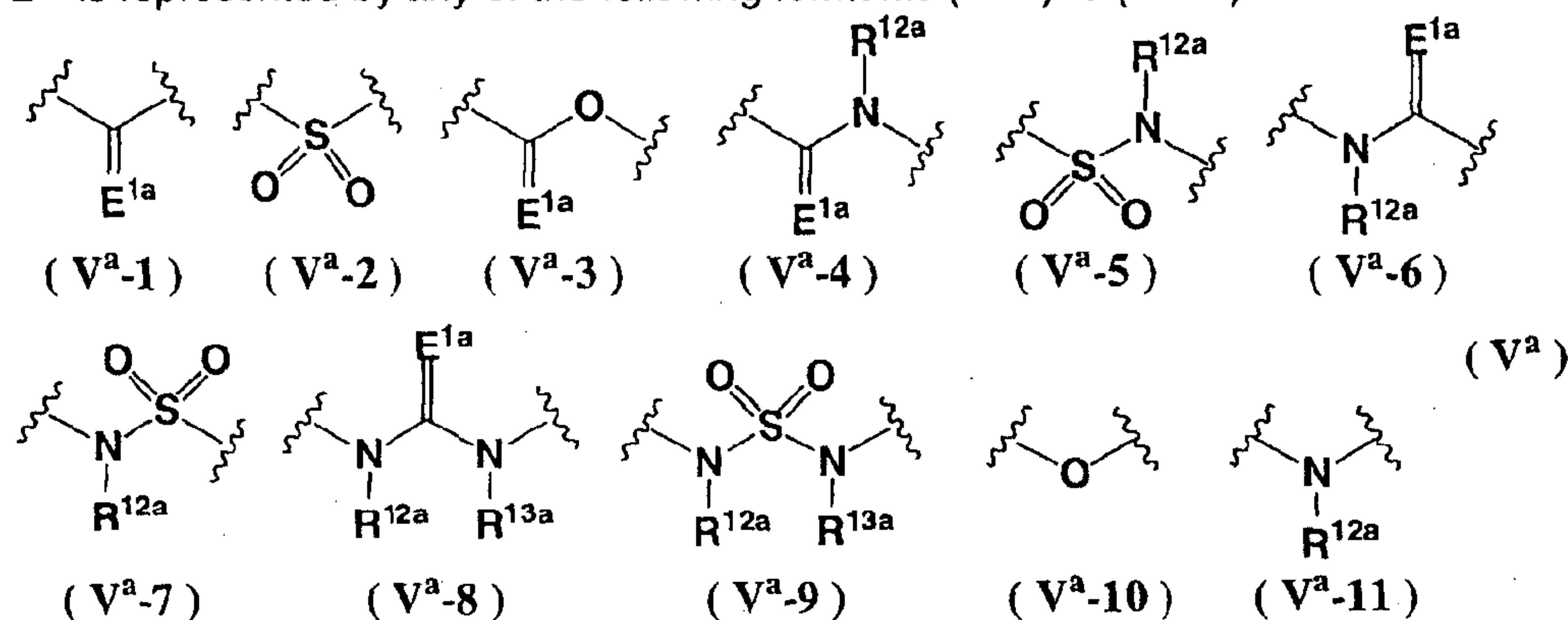
R^{2a} is a hydrogen atom, a C₁₋₆ alkyl group, a C₂₋₆ alkenyl group (the C₁₋₆ alkyl group and the C₂₋₆ alkenyl group are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{5a}), a C₃₋₁₁ cycloalkyl group, a 3 to 11-membered 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 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 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.

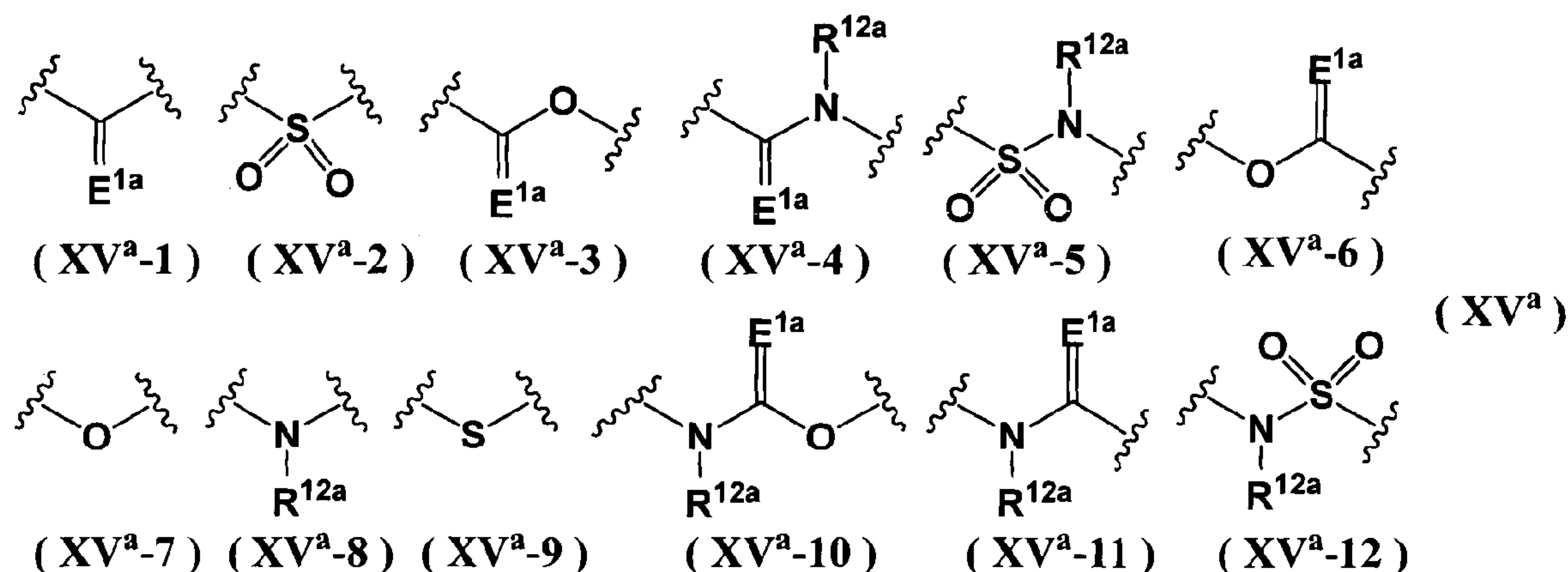
(16) The compound according to (14), wherein L^{2a} is a single bond, a C_{1-3} alkylene group, a C_{2-3} alkenylene group (the C_{1-3} alkylene group and the C_{2-3} alkenylene group are unsubstituted or substituted with one or two identical or different substituents independently selected from the group consisting of hydroxy groups and cyano groups) or a C_{1-3} haloalkylene group,

the ring B^a is a C_{3-11} 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 C_{1-3} alkyl group, and

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

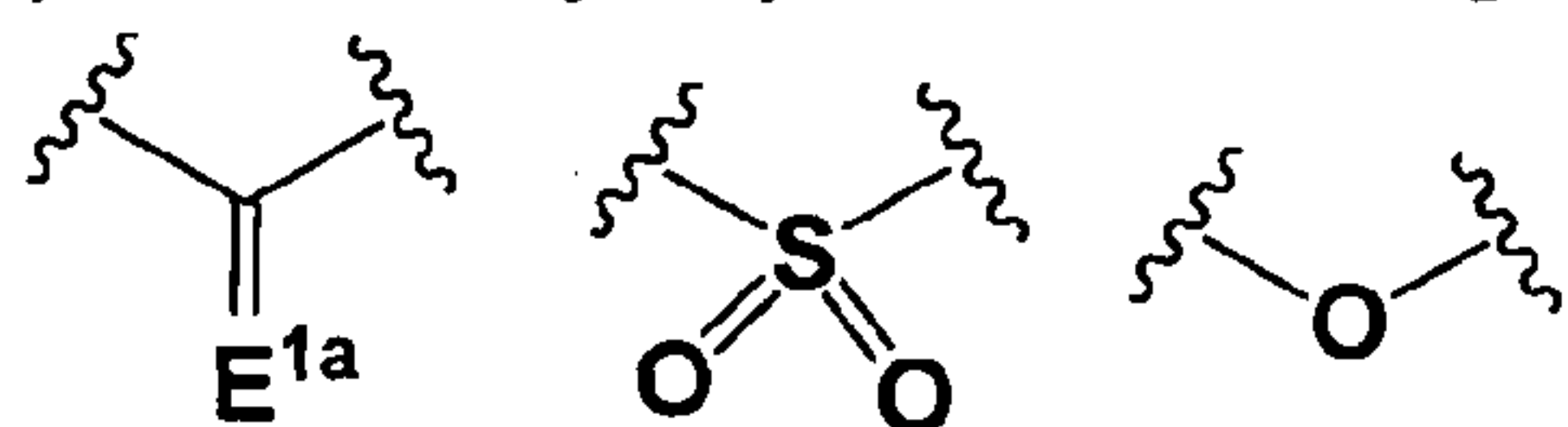
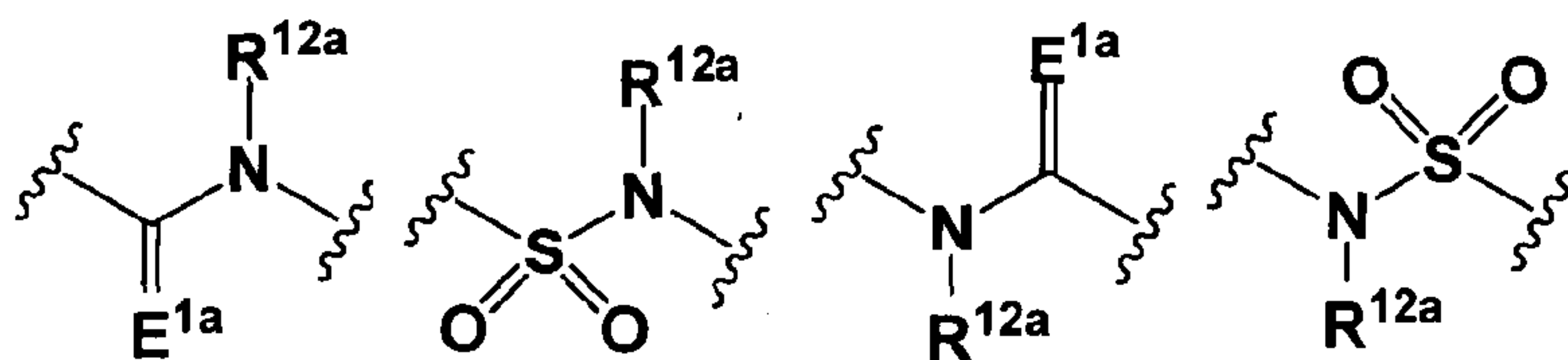


(wherein E^{1a} is an oxygen atom or NR^{11a} (wherein R^{11a} is a hydroxy group), and R^{12a} is a hydrogen atom, a C_{1-6} alkyl group or a C_{1-6} haloalkyl group (the C_{1-6} alkyl group and the C_{1-6} haloalkyl group is unsubstituted or substituted with a substituent selected from the group consisting 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 tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

(17) The compound according to (14) or (16), wherein L^{2a} is a single bond or a C_{1-3} alkylene group, the ring B^a is a C_{4-7} cycloalkane or a 4 to 7-membered non-aromatic heterocycle, and 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 more identical or different substituents independently selected from the group consisting of cyano groups, hydroxy groups, C_{1-6} alkoxy groups, mono- C_{1-6} alkylaminocarbonyl groups, di- C_{1-6} alkylaminocarbonyl groups (the mono- C_{1-6} alkylaminocarbonyl groups and the di- C_{1-6} 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), C_{3-6} cycloalkyl groups, 4 to 7-membered non-aromatic heterocyclyl groups, phenyl groups or 5 to 10-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 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 or a pharmaceutically acceptable salt of the compound or a solvate thereof.

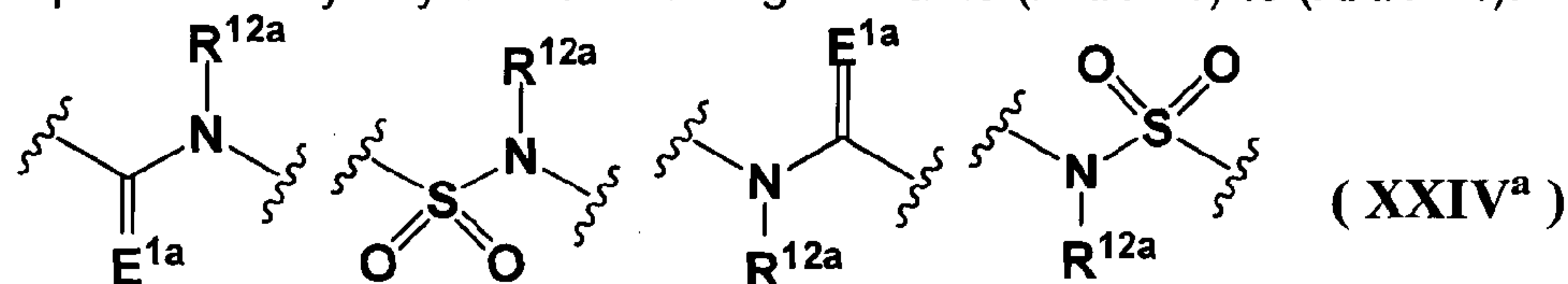
(18) The compound according to any one of (14), (16) and (17), wherein L^{3a} is represented by any of the following formulae (XXIII^a-1) to (XXIII^a-7):

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

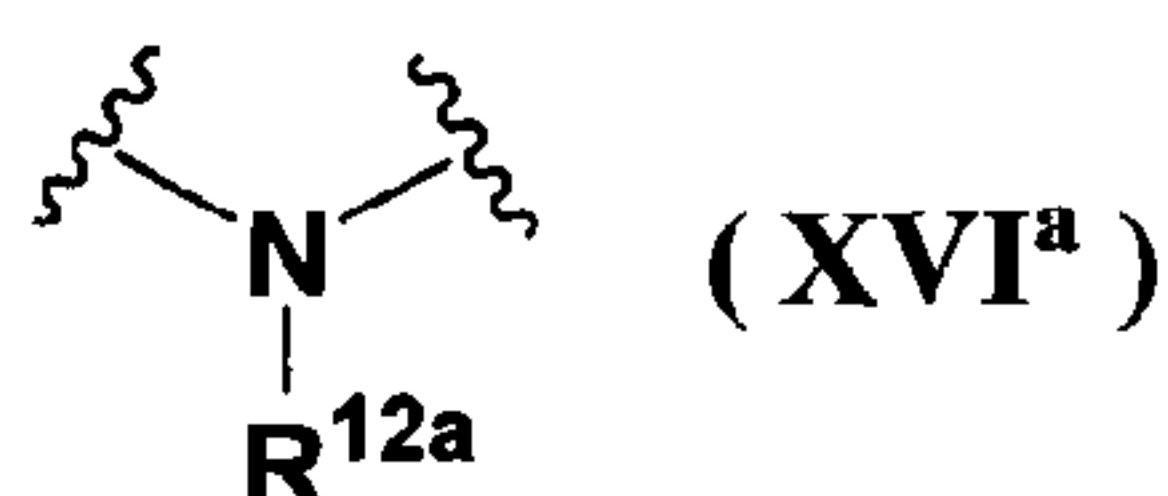


(XXIV^a-1) (XXIV^a-2) (XXIV^a-3) (XXIV^a-4)

(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 (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

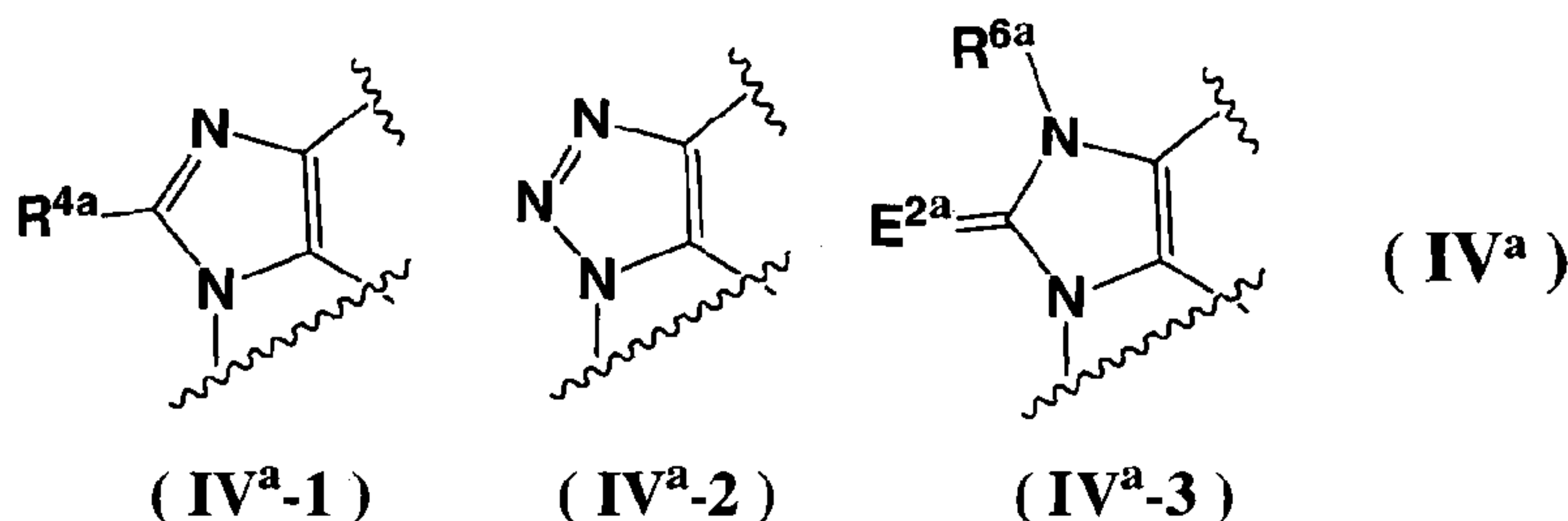
heterocyclyl group are unsubstituted or substituted with one, two or three identical or different substituents independently selected from the group consisting of hydroxy groups, halogen atoms, cyano groups, C₁₋₃ alkyl groups (the C₁₋₃ alkyl groups are unsubstituted or substituted with a substituent 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 (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 (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 (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 (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 (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.

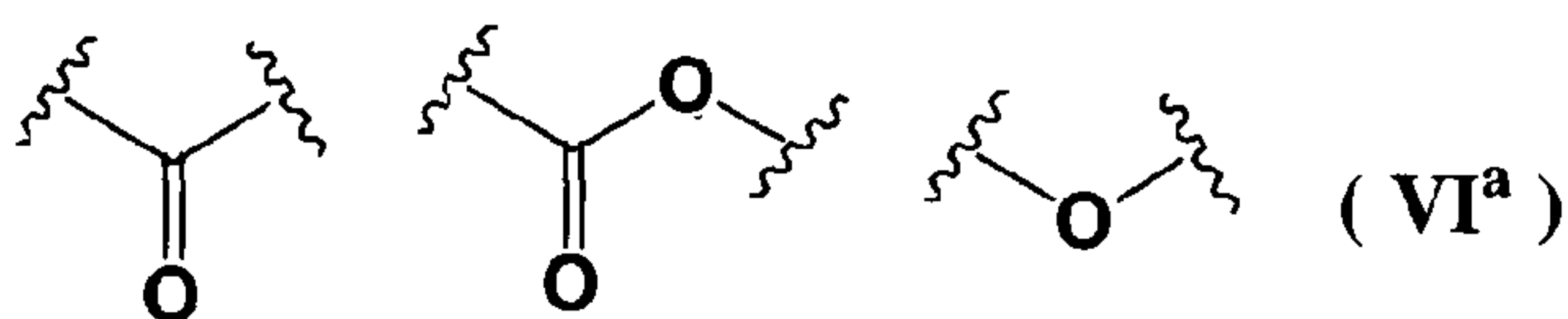
(26) The compound according to any one of (15), (23) and (24), wherein L^{1a} is a single bond,

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.

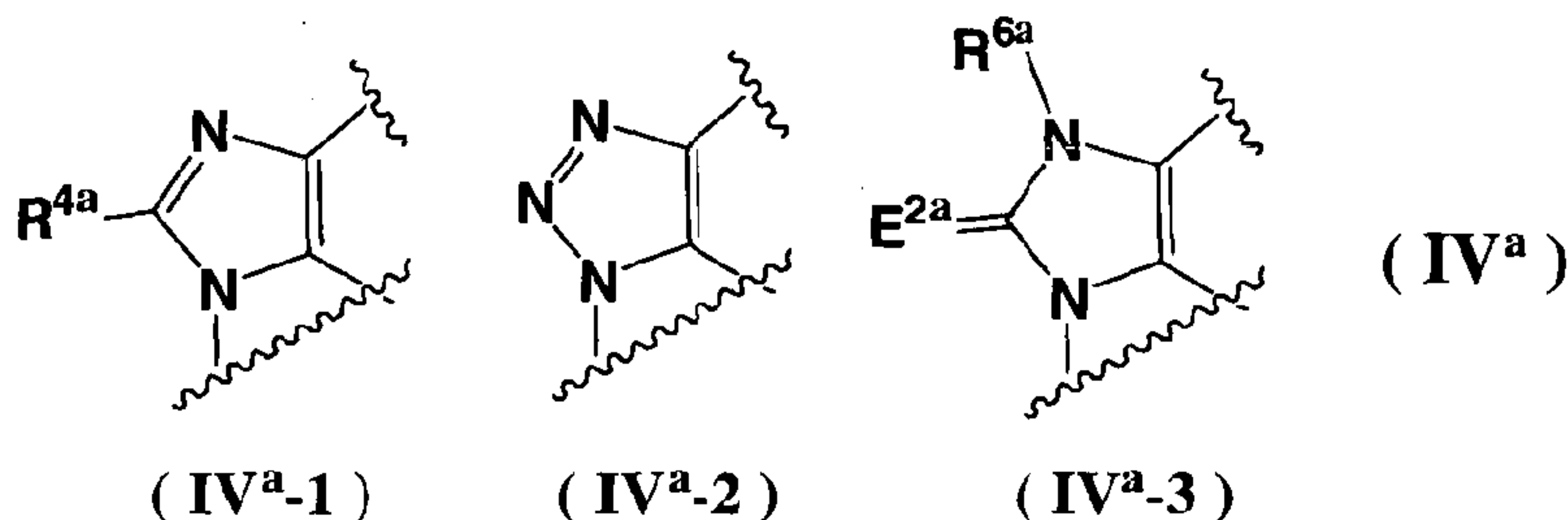
(27) The compound according to any one of (2) to (6), (8), (15), (25) and (26), wherein the ring B^a is cyclohexane, benzene or piperidine, a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

(28) The compound according to (1), wherein R^{1a} is a hydrogen atom,

X^a is CR^{9a} (wherein R^{9a} is a hydrogen atom or a halogen atom),

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),

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,

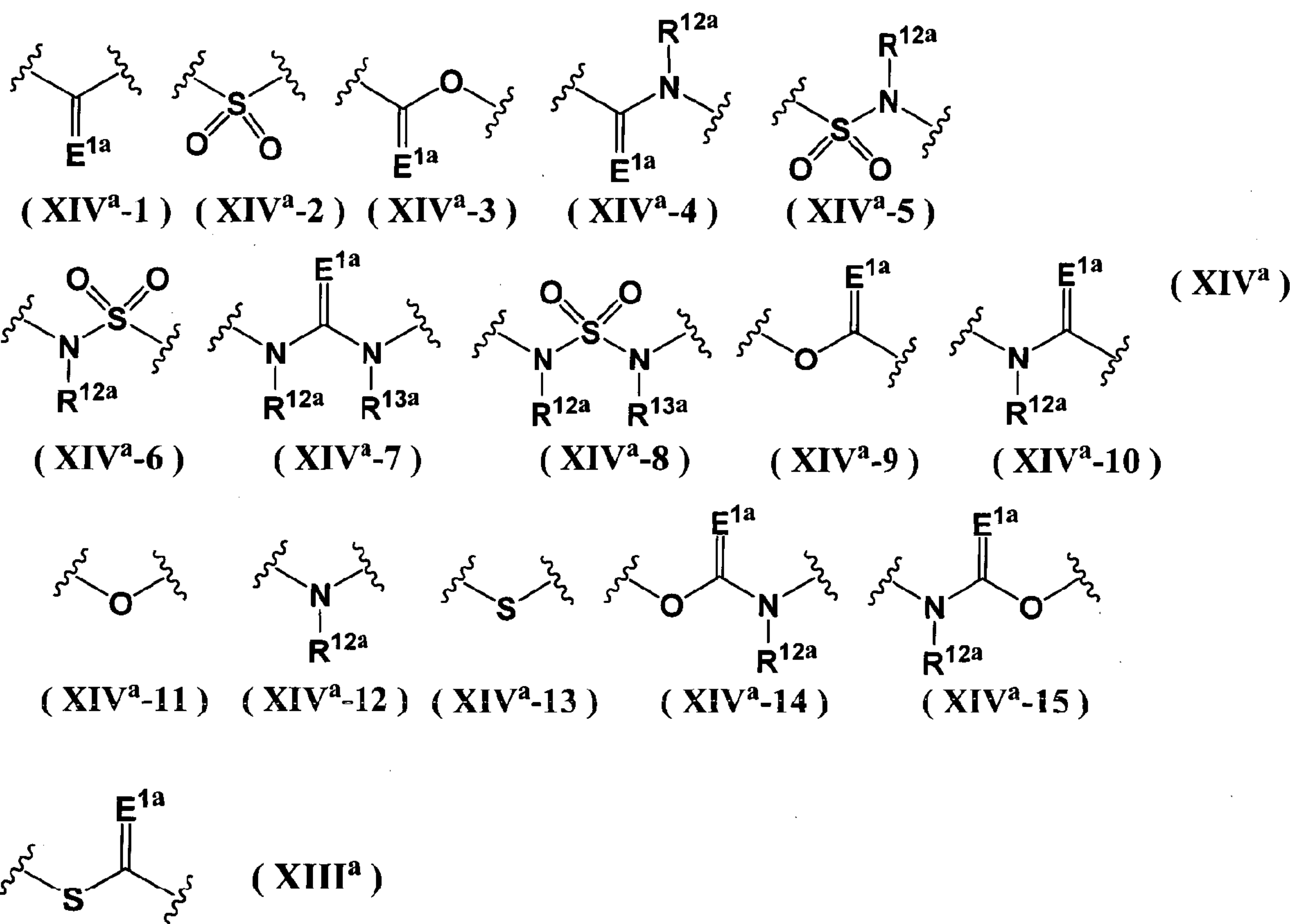
n^a is 0, 1 or 2,

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),

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),

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-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 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))),
- 10 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 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
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- 20
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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
 5 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
 10 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
 15 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 (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
 20 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,

25 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 (1), (28) and (29), wherein L^{3a} is a single
 30 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
 35 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} alkoxy carbonylamino group (the
 40 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))), a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

(31) The compound according to (30), wherein L^{2a} is a C_{1-3} alkylene group,

45 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-

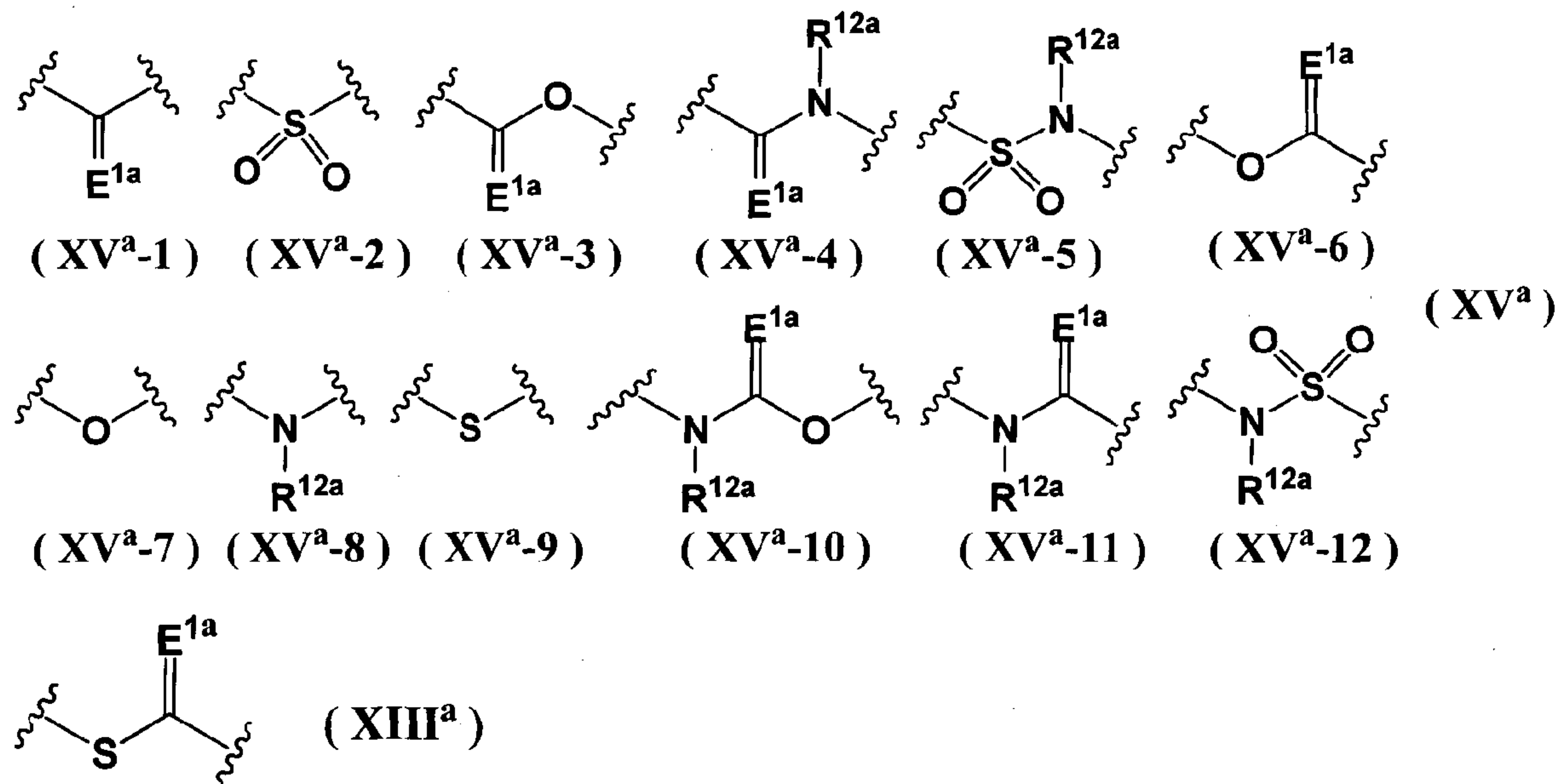
membered aromatic heterocyclyl group and the 8 to 11-membered partially saturated aromatic cyclic group are unsubstituted or substituted with one, two or three identical or different substituents independently selected from the group consisting of hydroxy groups, halogen atoms, cyano groups, carbamoyl 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 (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 (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):

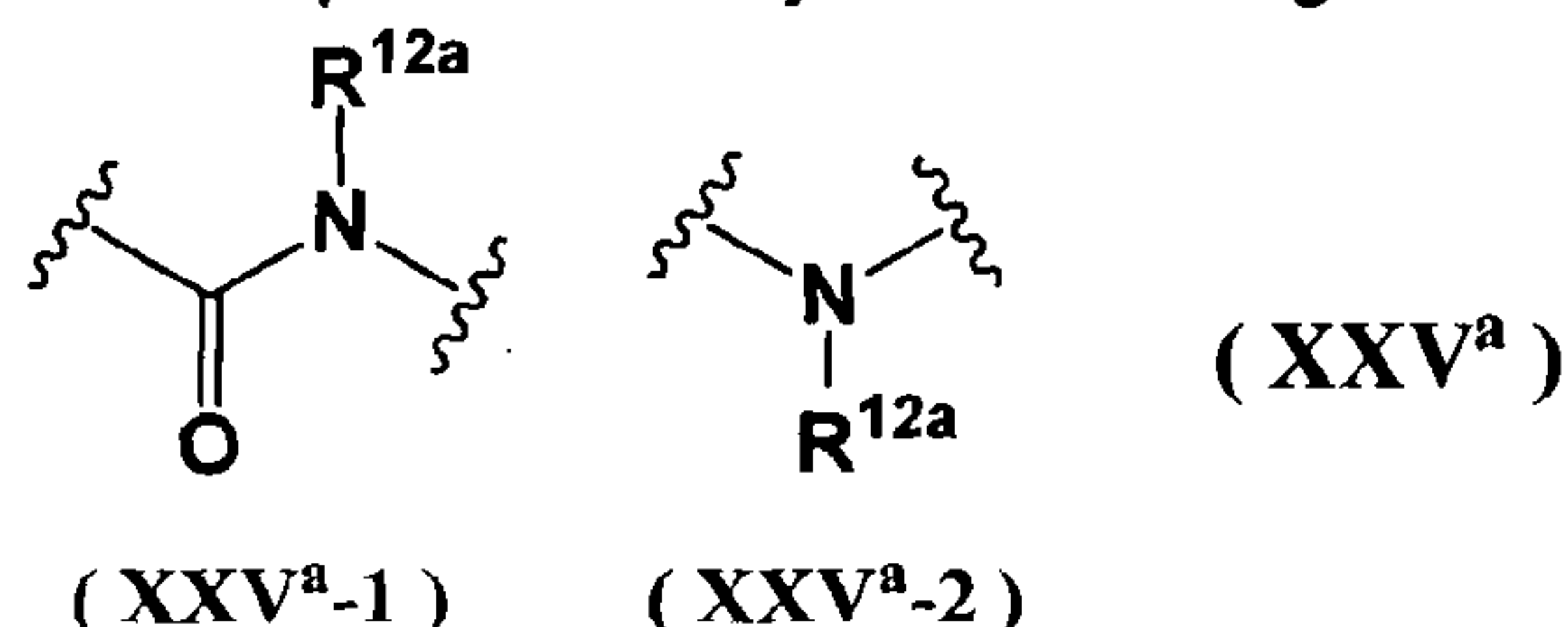


(wherein E^{1a} is an oxygen atom, and R^{12a} is a hydrogen atom, a C_{1-6} alkyl group (the C_{1-6} alkyl group is unsubstituted or substituted with a substituent selected from the group consisting of a hydroxy group, a cyano group, a C_{1-3} alkoxy group, a C_{3-6} cycloalkyl group, a phenyl group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

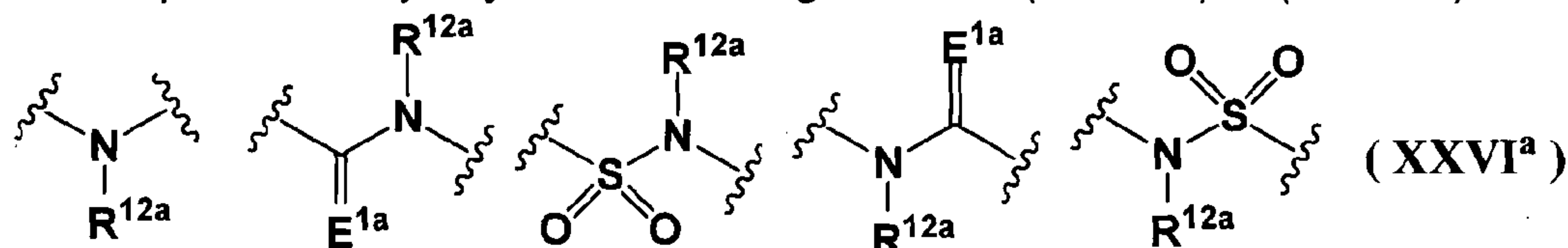


(wherein R^{12a} is a hydrogen atom, a C_{1-3} alkyl group (the C_{1-3} alkyl group is unsubstituted or substituted with a substituent selected from the group consisting of a hydroxy group, a cyano group, a C_{1-3} alkoxy group, a C_{3-6} cycloalkyl group and a phenyl group), a C_{1-3} haloalkyl group,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



(XXVI^a-1) (XXVI^a-2) (XXVI^a-3) (XXVI^a-4) (XXVI^a-5)

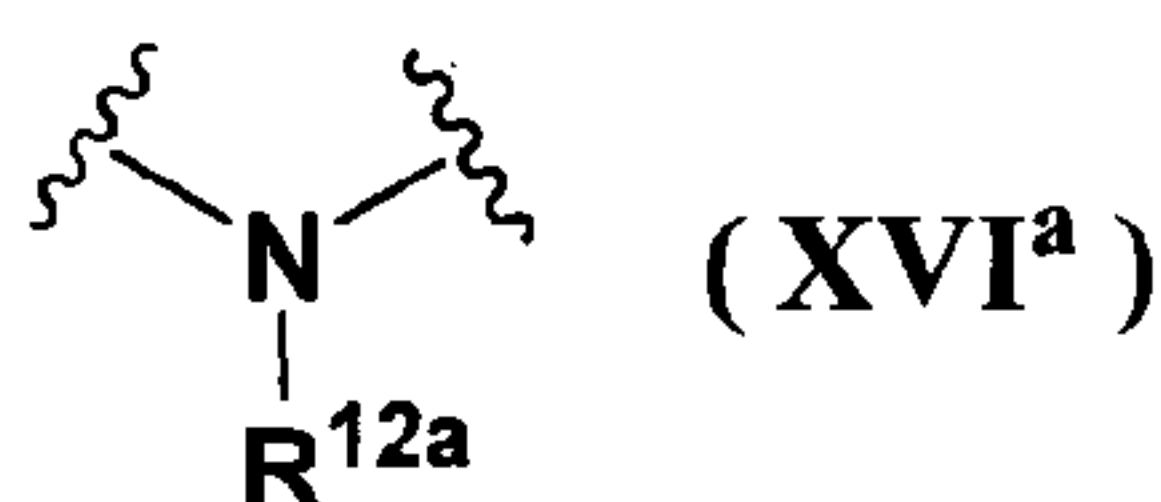
(wherein E^{1a} is an oxygen atom, and R^{12a} is a hydrogen atom, a C₁₋₃ alkyl group (the C₁₋₃ alkyl group is unsubstituted or substituted with a substituent selected from the group consisting of a hydroxy group, a cyano group, a C₁₋₃ alkoxy group, a C₃₋₆ cycloalkyl

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

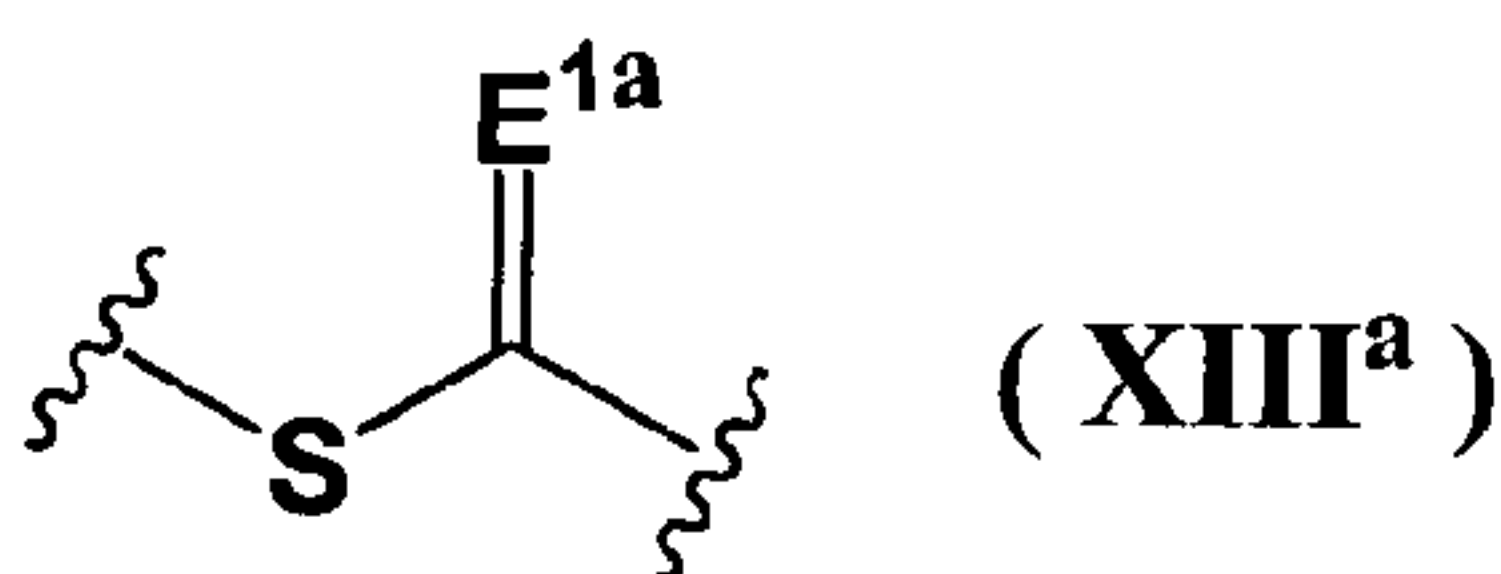
R^{2a} is a C₁₋₃ alkyl group (the C₁₋₃ alkyl group is unsubstituted or substituted with a cyano group), a C₁₋₃ haloalkyl group or a C₃₋₆ cycloalkyl group, a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

(36) The compound according to (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 (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 (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.

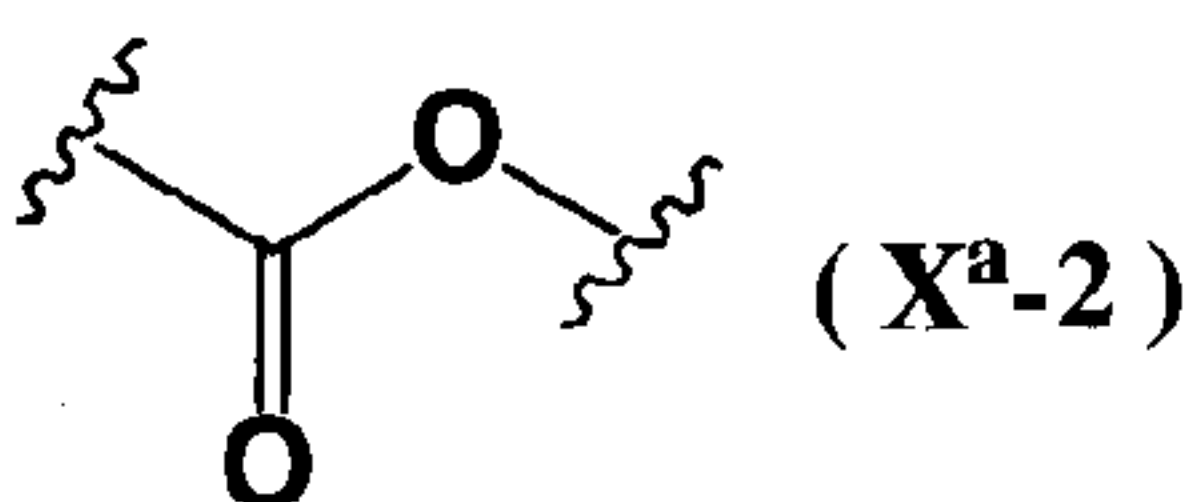
(39) The compound according to (1) or (28), wherein L^{1a} is a single bond,

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):

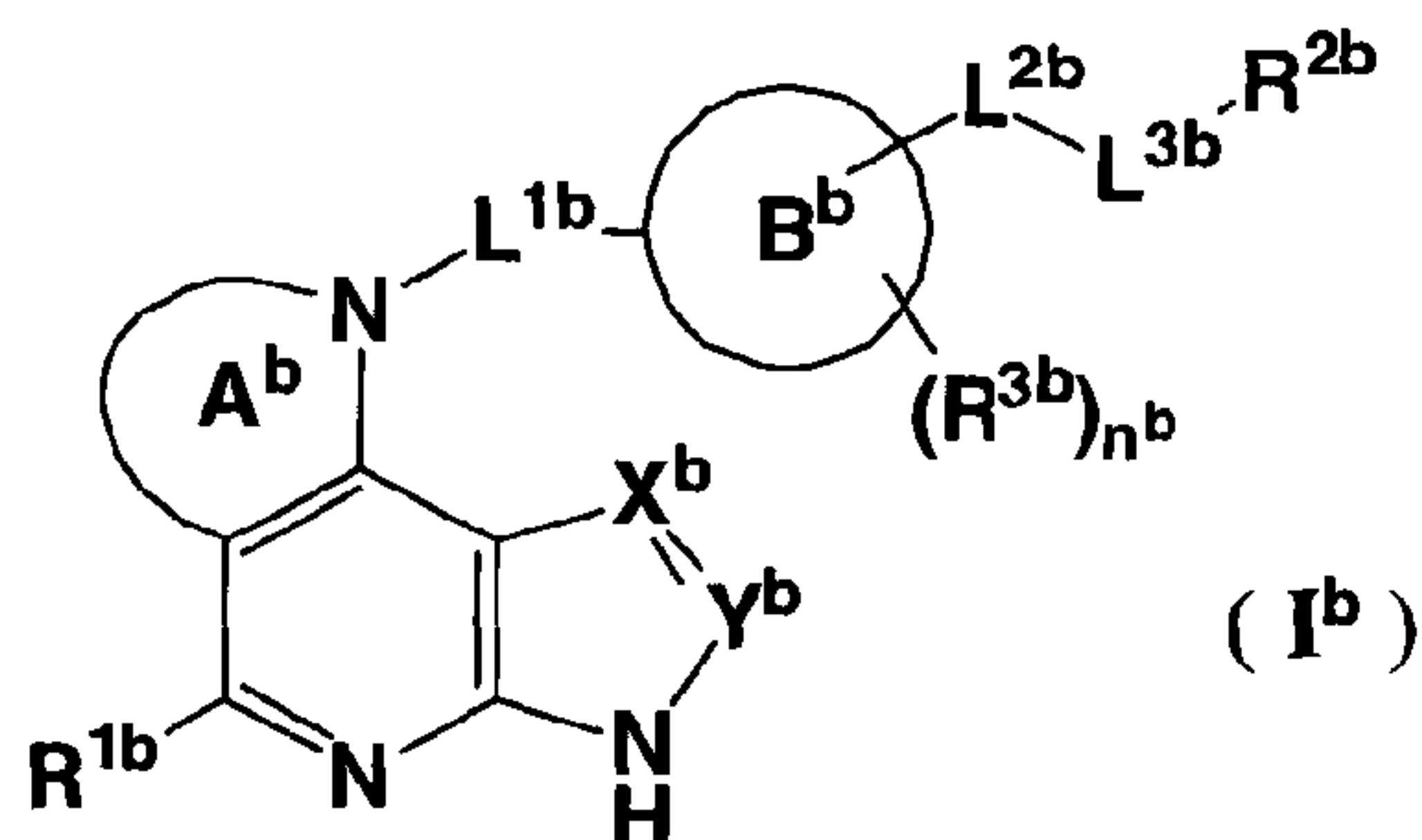


R^{2a} is a C₁₋₃ alkyl group,

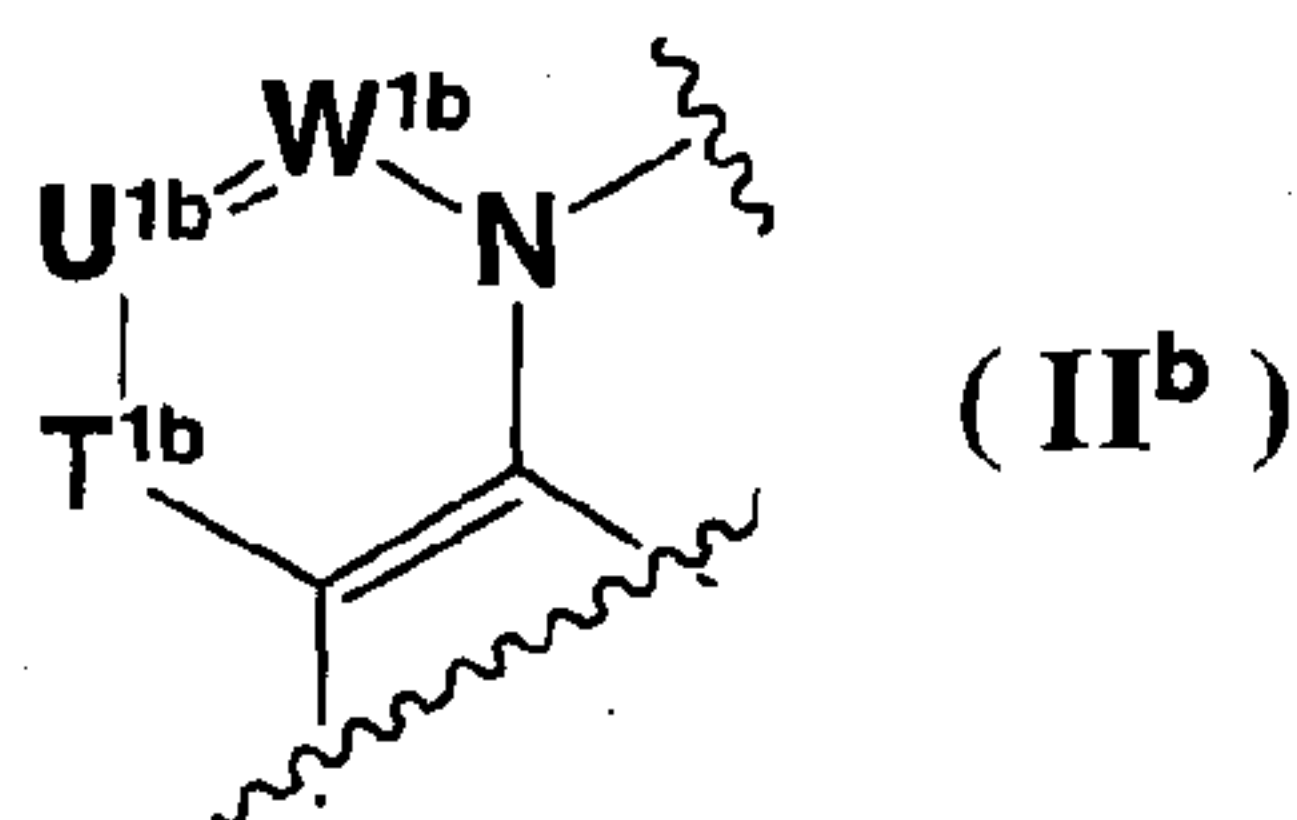
a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

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

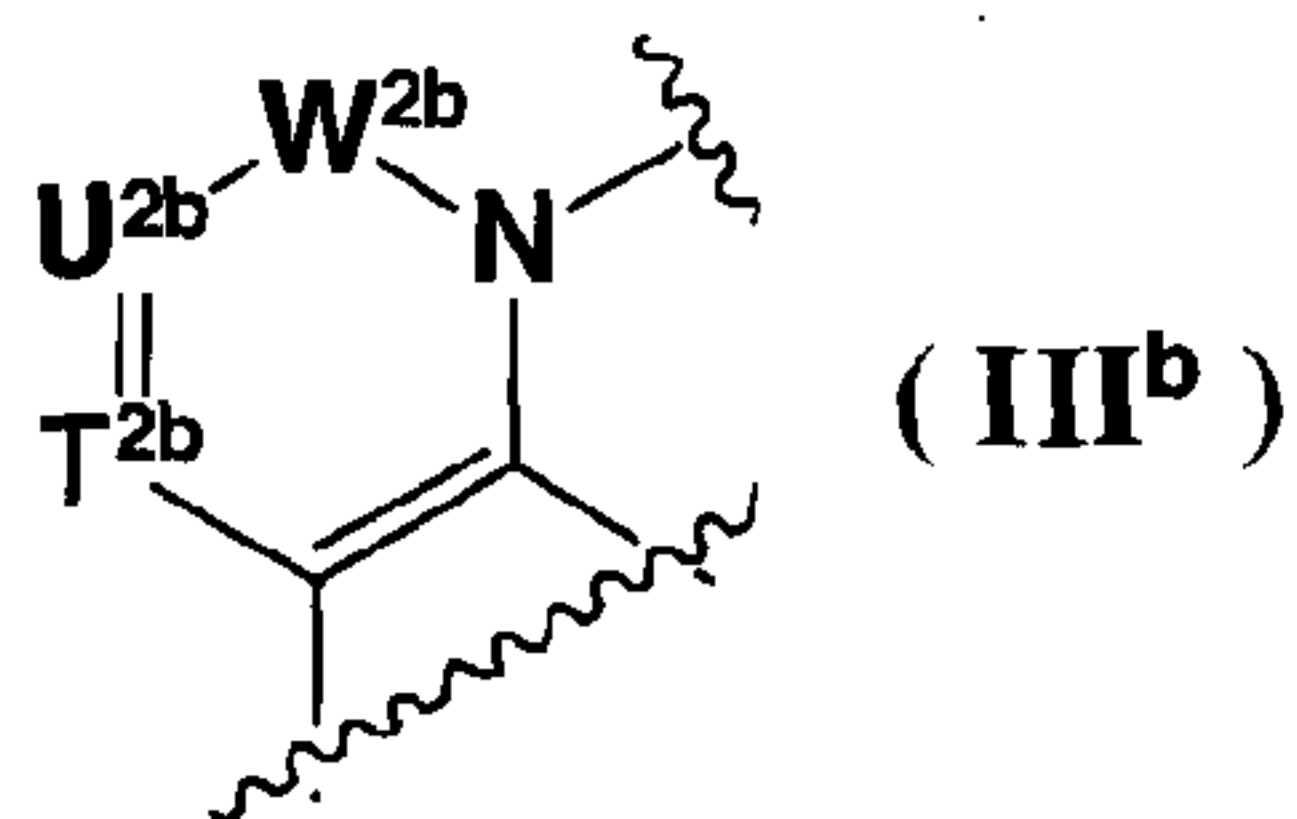
(41) A compound represented by the formula (I^b):



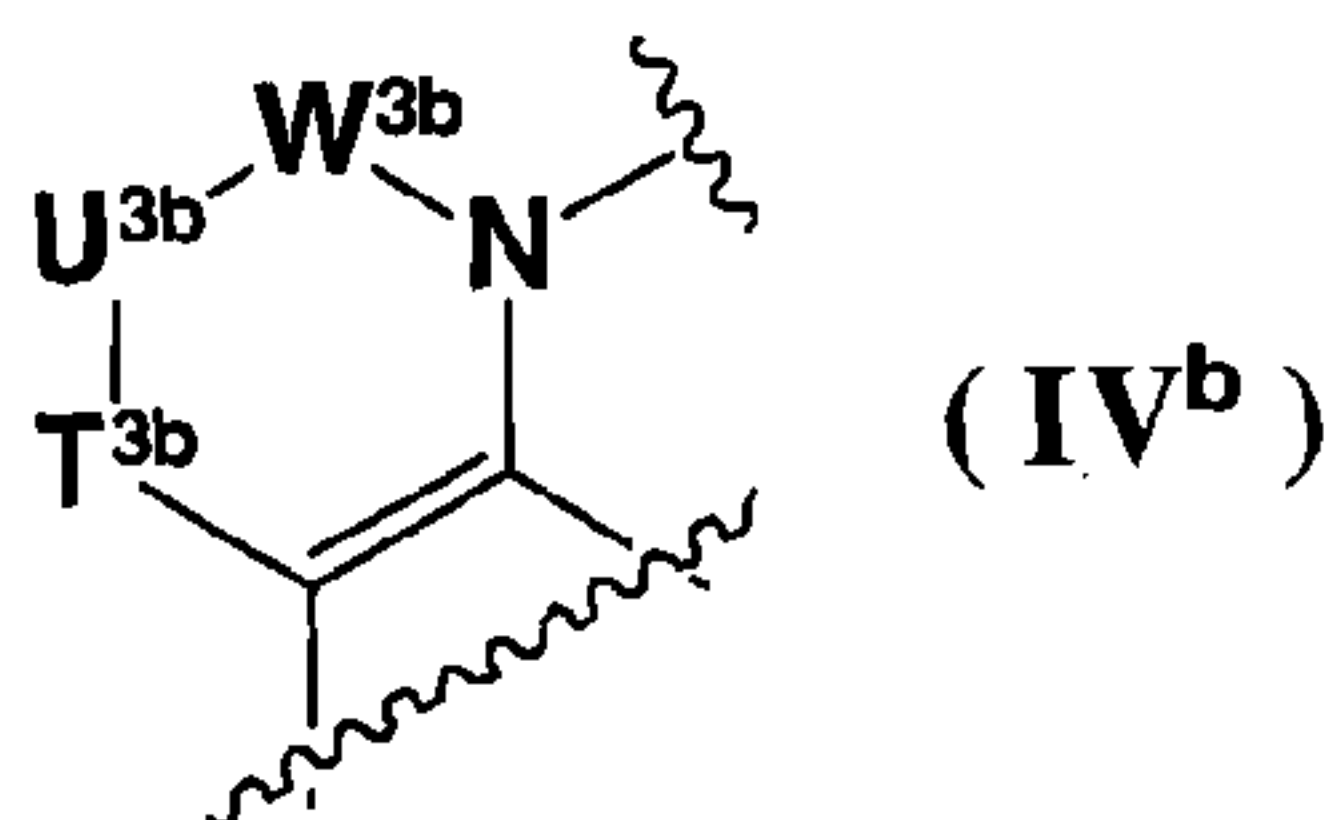
[wherein the ring A^b is represented by the formula (II^b):



(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,

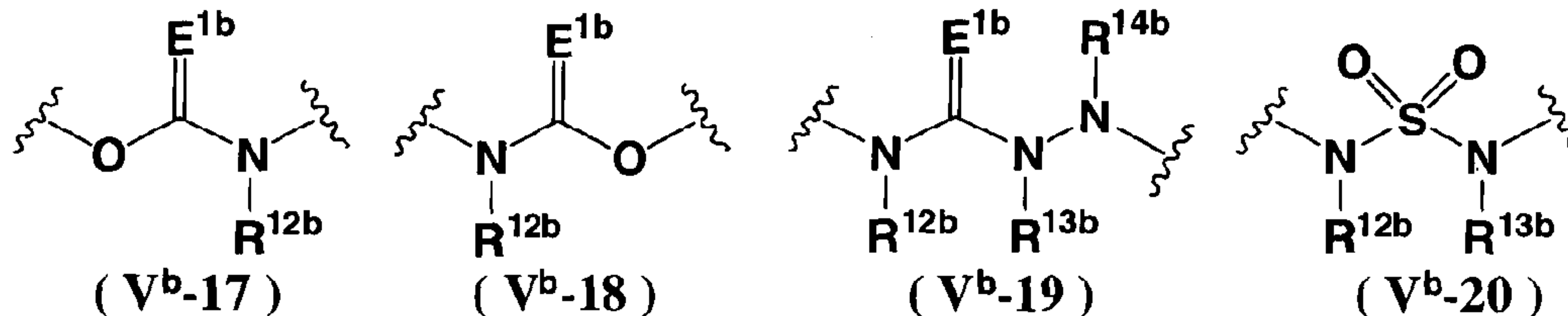
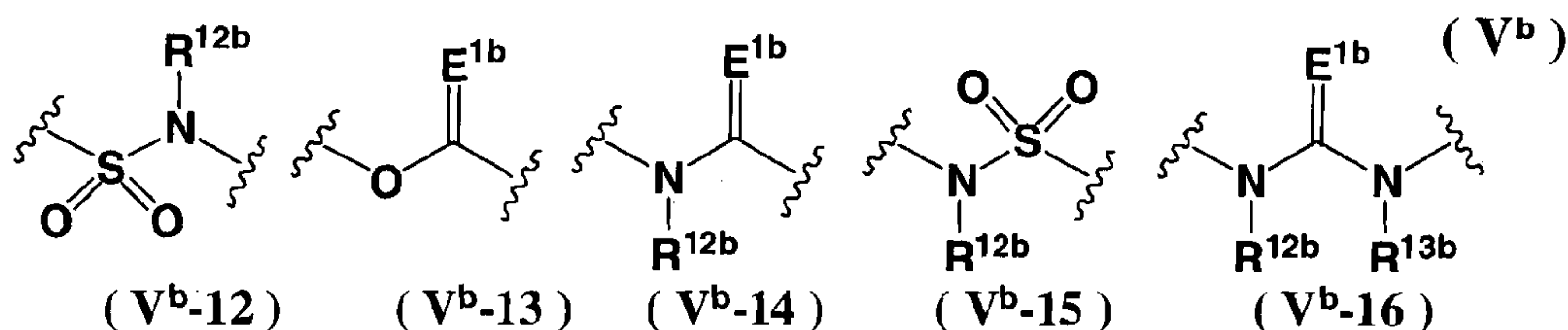
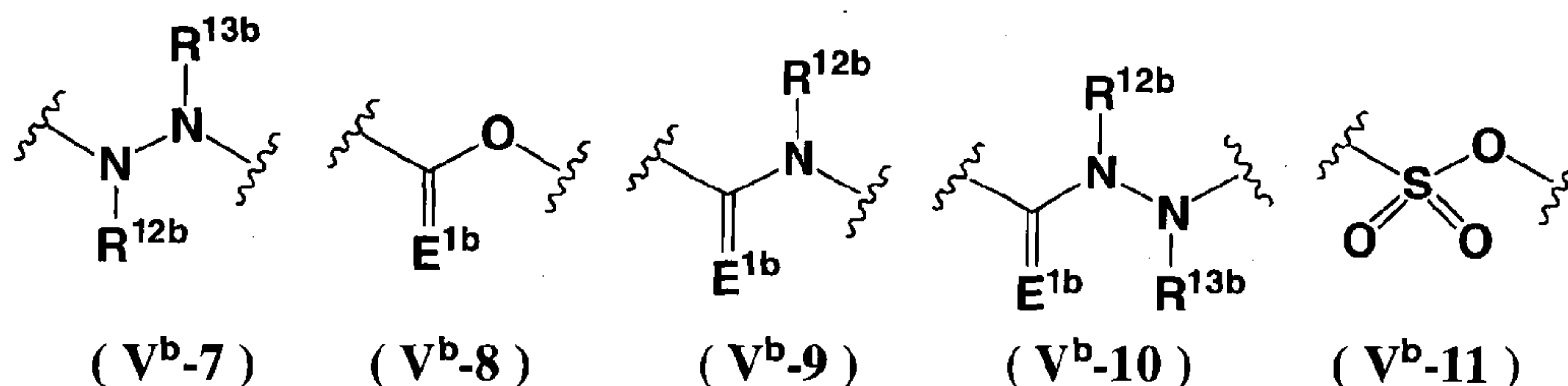
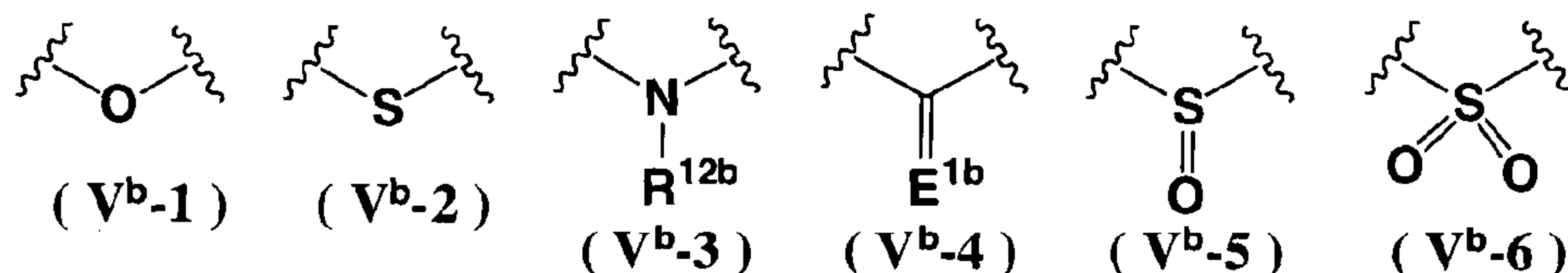
L^{1b} is a single bond, a C₁₋₆ alkylene group, a C₂₋₆ alkenylene group or a C₂₋₆ alkynylene group (the C₁₋₆ alkylene group, the C₂₋₆ alkenylene group and the C₂₋₆ alkynylene group are unsubstituted or substituted with one or more identical or different substituents independently selected from the group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

5 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 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 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 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
 5 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
 10 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
 15 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
 20 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
 25 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
 30 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

35 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

40 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
 45 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}
 5 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,

10 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}
 15 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,

20 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}),

25 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
 30 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-
 35 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
 40 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,
 45 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}),

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, 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}),

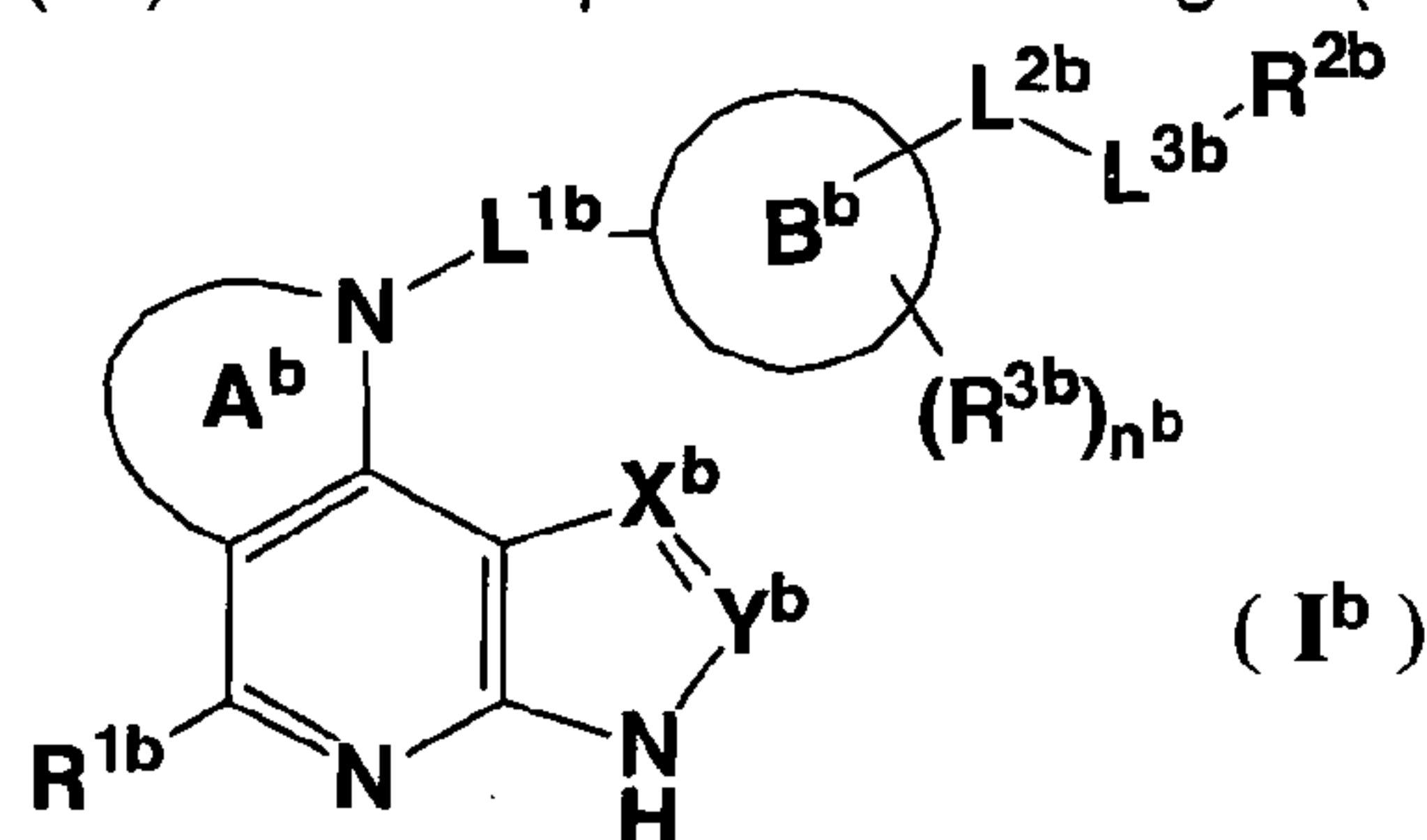
the substituent set V^{6b} consists of hydroxy groups, amino groups, carboxy groups, carbamoyl groups, sulfamoyl groups, phosphono groups, phosphonooxy groups, sulfo groups, sulfoxy groups, tetrazolyl groups, halogen atoms, cyano groups, nitro groups, C_{1-6} alkoxy groups, C_{1-6} alkylthio groups,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

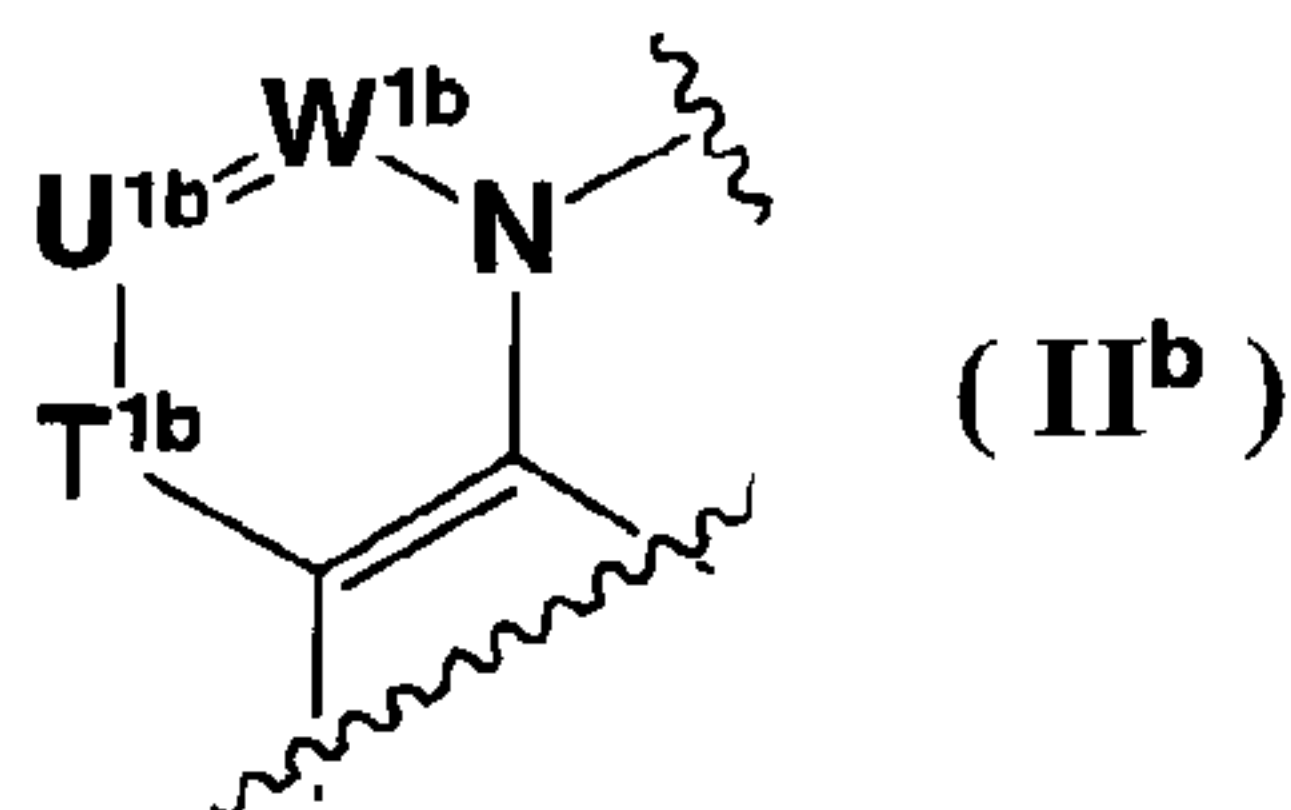
membered aromatic ring-condensed alicyclic hydrocarbon groups are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{2b}),

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.

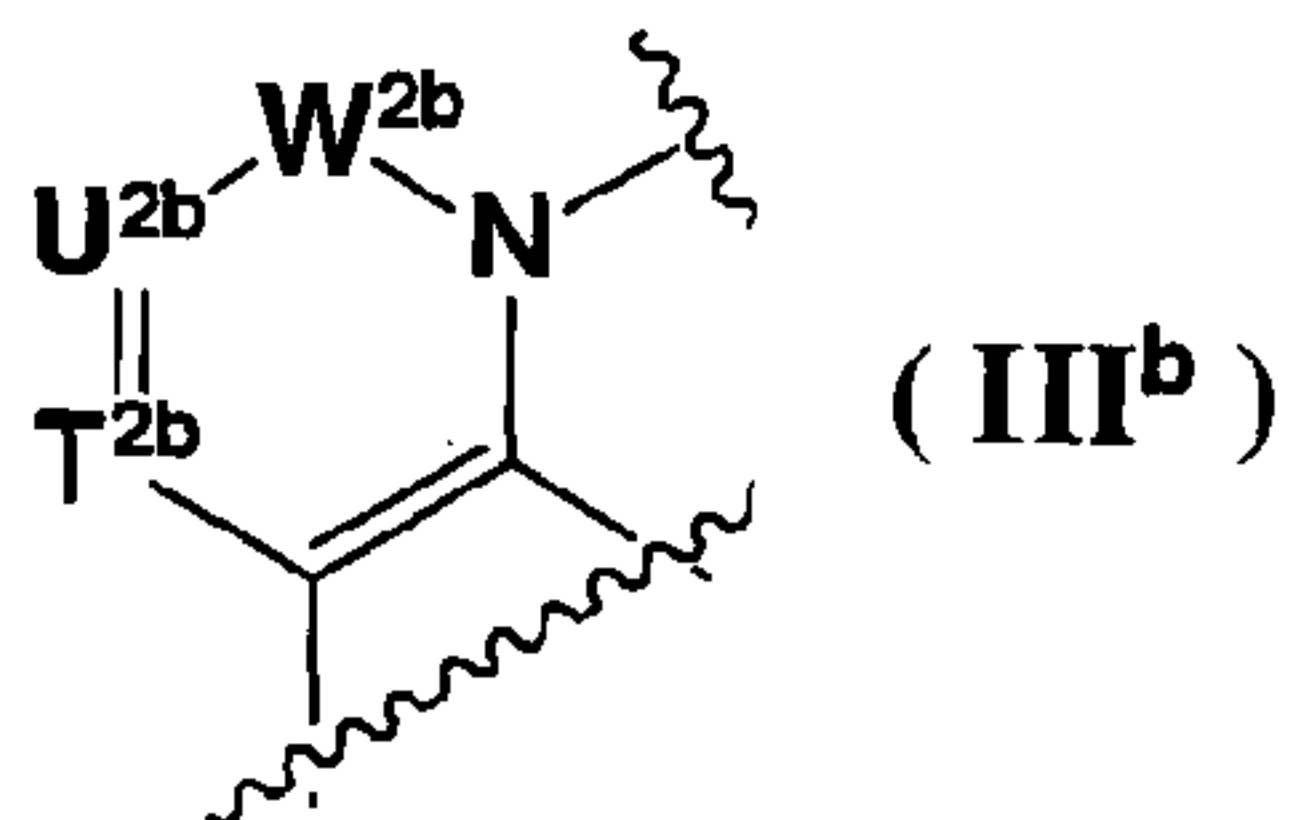
(42) The compound according to (41), which is represented by the formula (I^b):



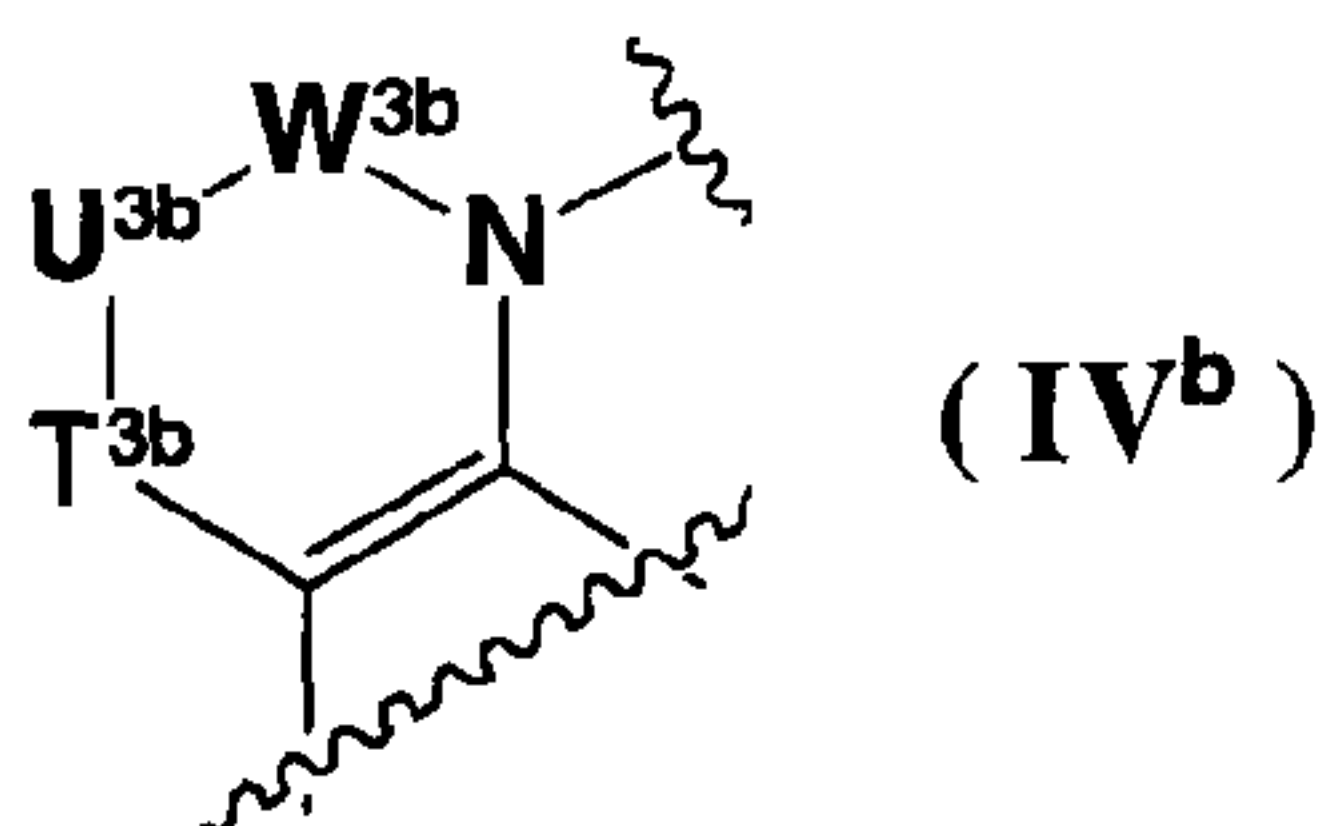
[wherein the ring A^b is represented by the formula (II^b):



(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 $CR^{8b}R^{9b}$)),

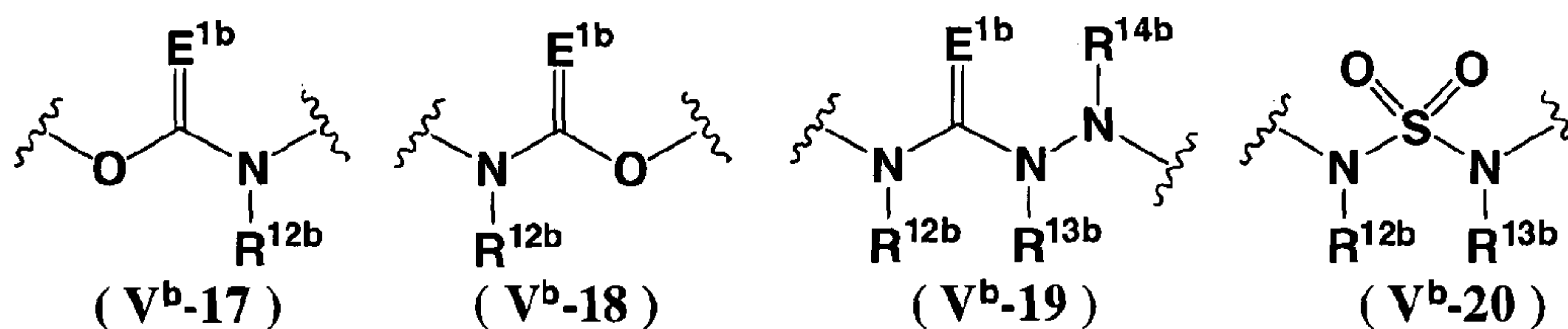
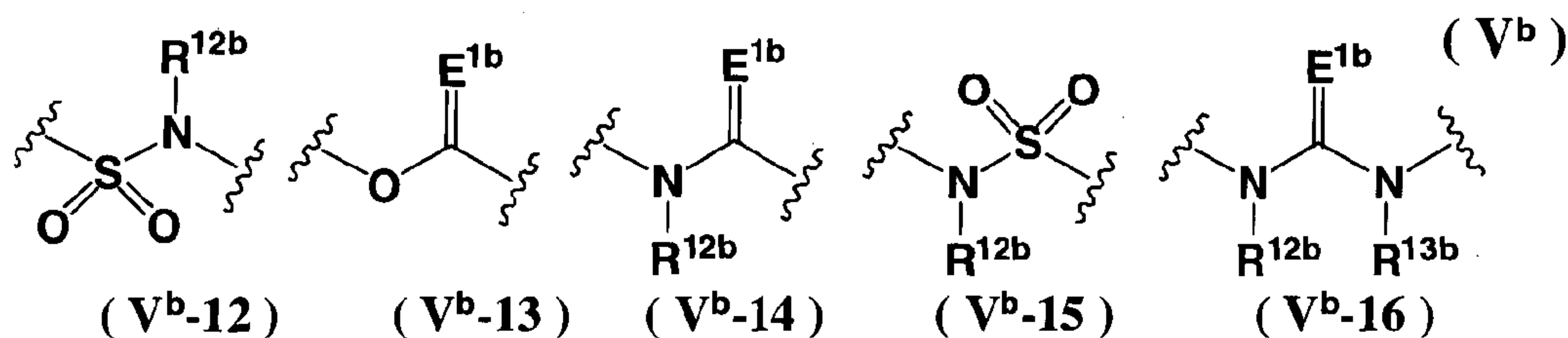
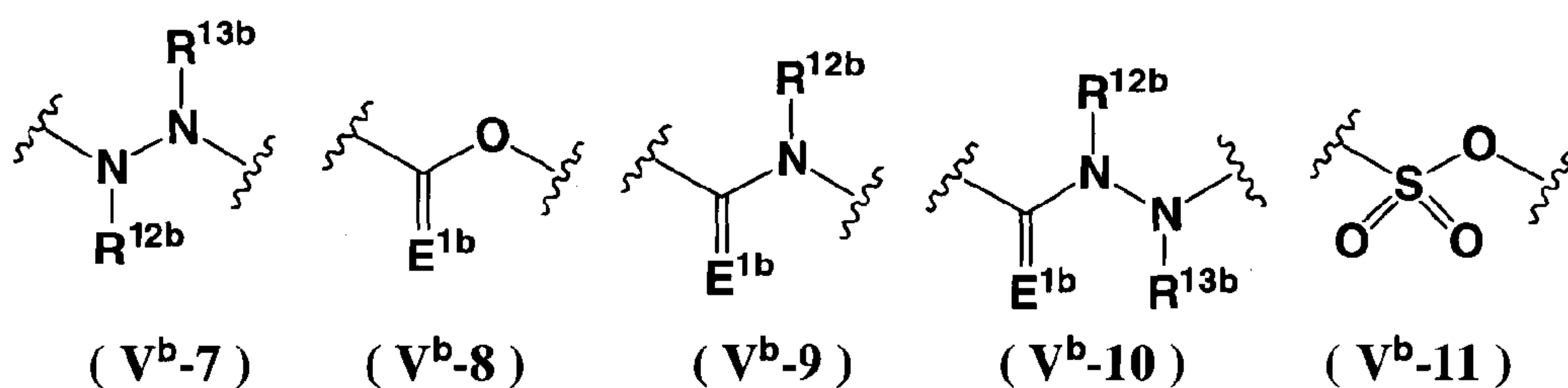
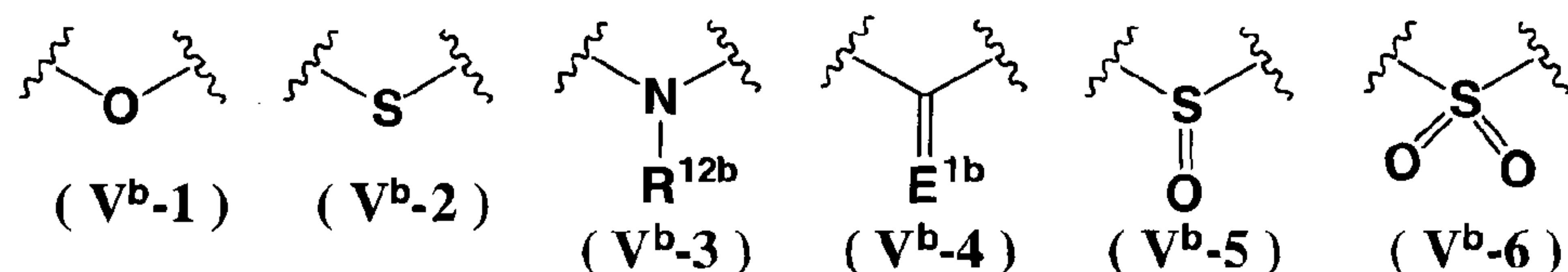
X^b is a nitrogen atom or CR^{15b} ,

Y^b is CR^{16b} ,

R^{1b} is a hydrogen atom, a halogen atom, a C_{1-6} alkyl group or a C_{1-6} 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, a C_{6-14} 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):



(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 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 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 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^{1b}),

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}),

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 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 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,

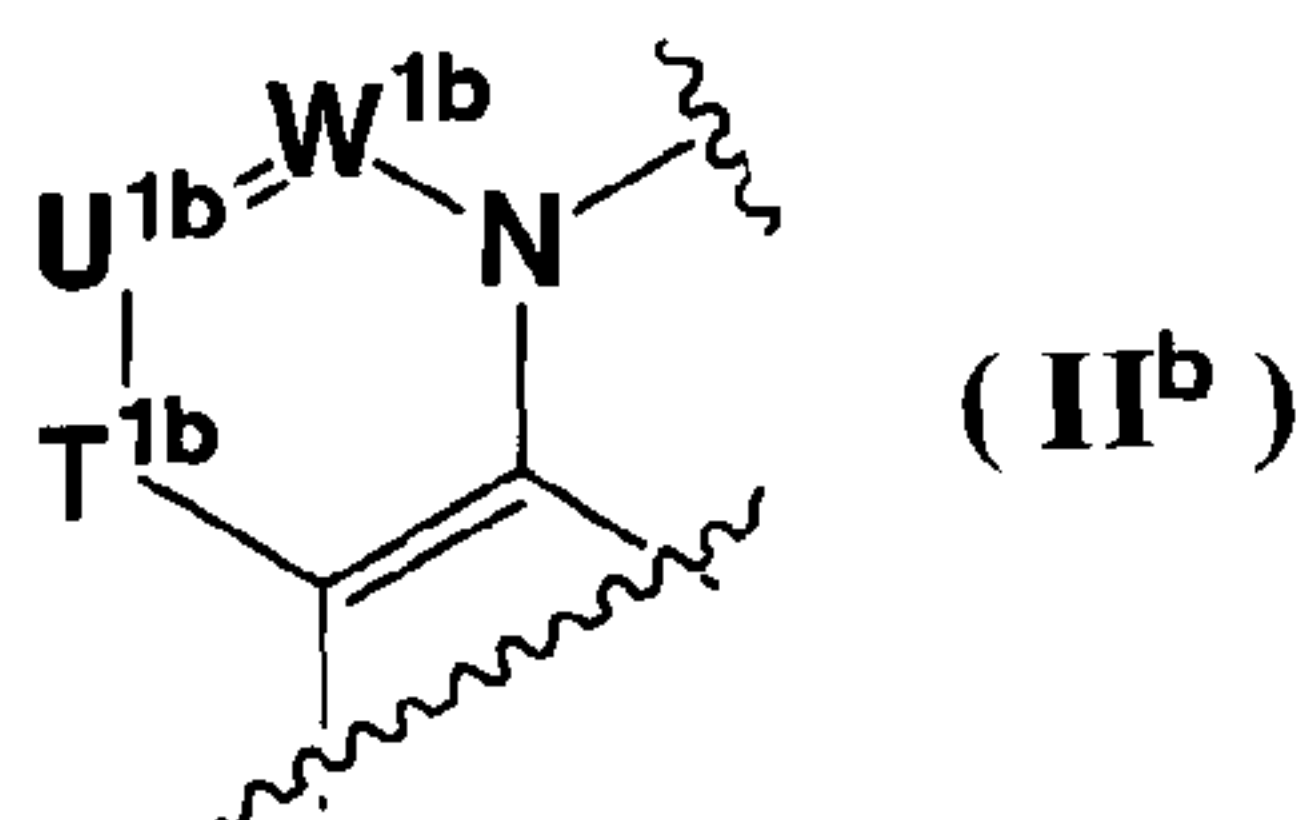
carbamoyl groups, sulfamoyl groups, phosphono groups, phosphonooxy groups, sulfo groups, sulfoxy groups, tetrazolyl groups, halogen atoms, cyano groups, nitro groups, C₁₋₆ alkyl groups, C₂₋₆ alkenyl groups, C₁₋₆ alkoxy groups, C₁₋₆ alkylthio groups, C₁₋₆ alkylcarbonyl groups, C₁₋₆ alkylsulfonyl groups, 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 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}), a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

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

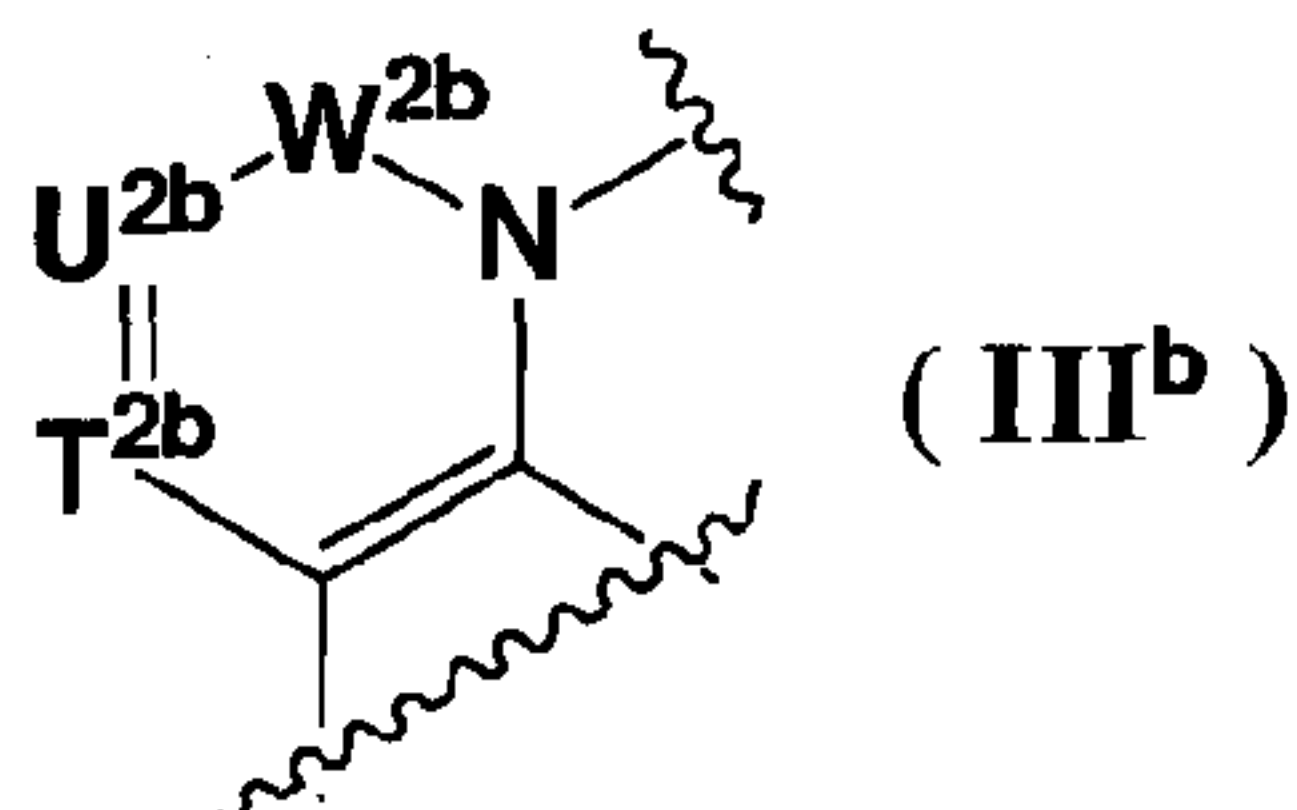
(44) The compound according to (42) or (43), wherein X^b is a nitrogen atom or CR^{15b} (wherein R^{15b} is a hydrogen atom, a halogen atom, a cyano group, a C₁₋₃ alkyl group, a C₁₋₃ haloalkyl group or a C₃₋₆ cycloalkyl group), and Y^b is CR^{16b} (wherein R^{16b} is a hydrogen atom), a tautomer or a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

(45) The compound according to (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.

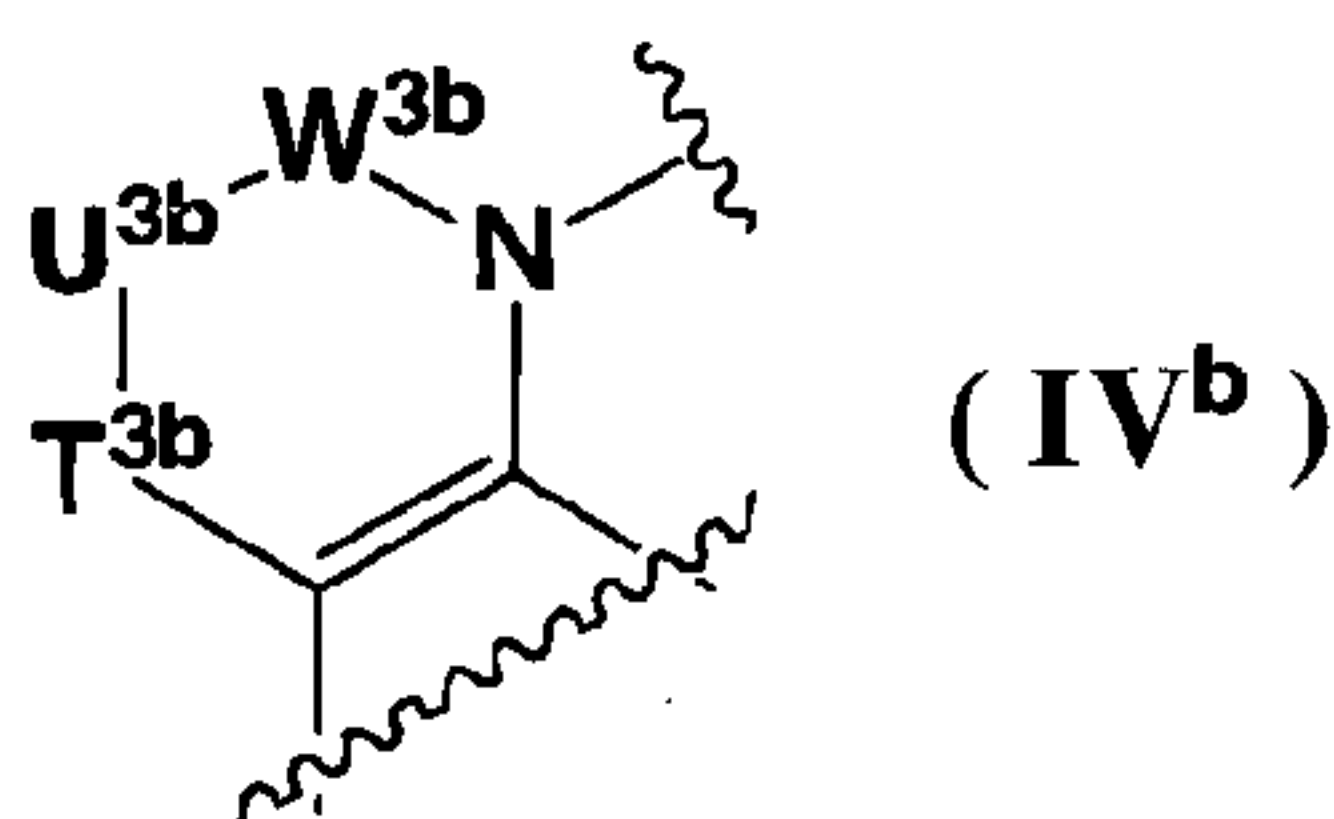
(46) The compound according to any one of (42) to (45), wherein the ring A^b is represented by the formula (II^b):



(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):

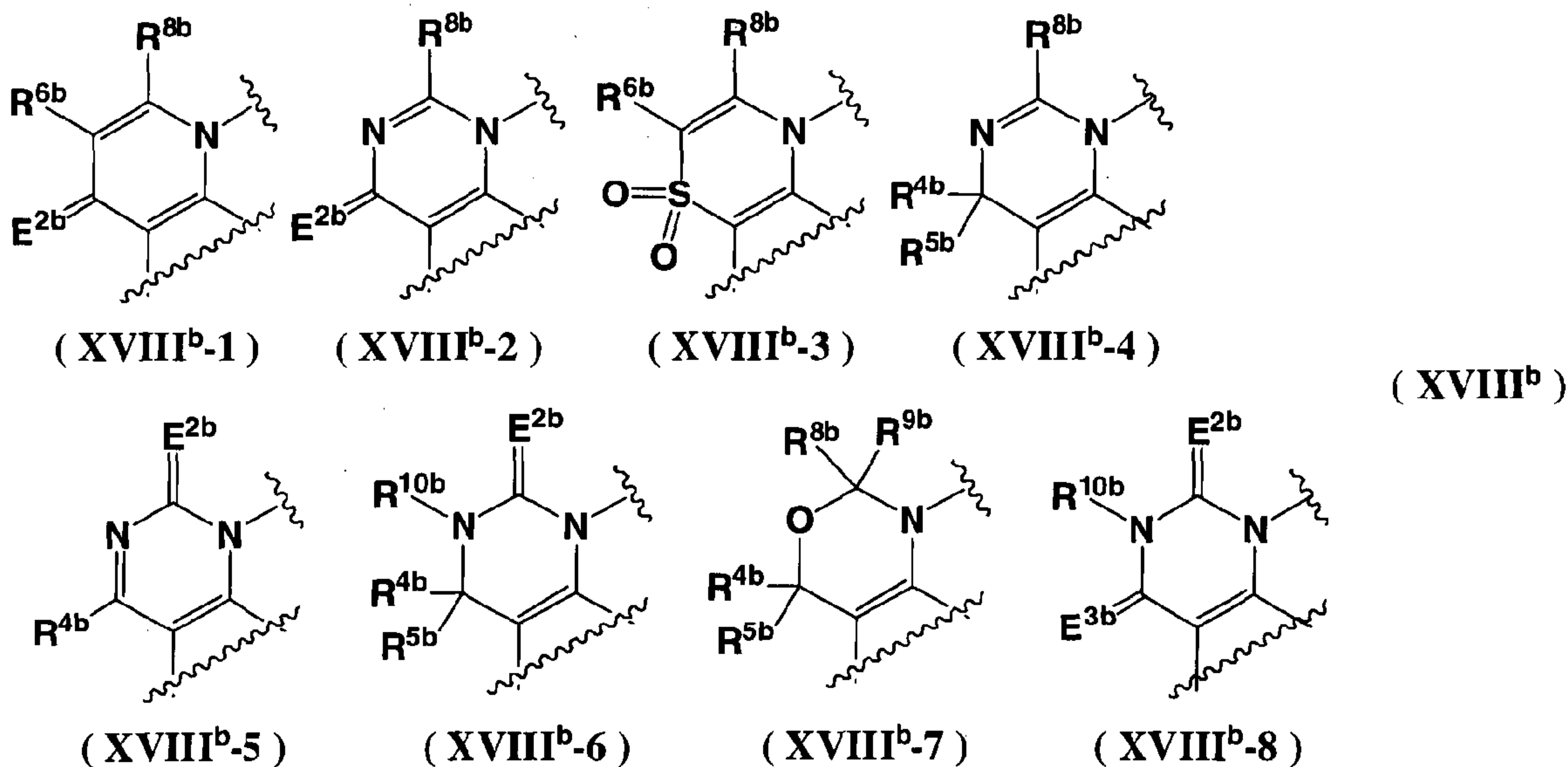


5 (wherein T^{2b} is CR^{4b}, U^{2b} is a nitrogen atom, and W^{2b} is C(=O) or C(=S)) or the formula (IV^b):



(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.

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



15 (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 or a C₁₋₃ alkyl group), a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

(48) The compound according to any one of (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 a 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 heterocycle, a C₆₋₁₄ 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 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

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 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^{4b}), a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

(49) The compound according to any one of (42) to (47), wherein L^{1b} is a single bond or a C₁₋₃ alkylene group,

L^{2b} 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^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,

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

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 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 (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

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 (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 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 (48), (50) and (51), 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} alkoxycarbonyl 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_{1-6} alkoxy groups, the C_{1-6} alkylthio groups, the C_{1-6} alkylsulfonyl groups, the mono- C_{1-6} alkylamino groups, the di- C_{1-6} alkylamino 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 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 or a solvate thereof.

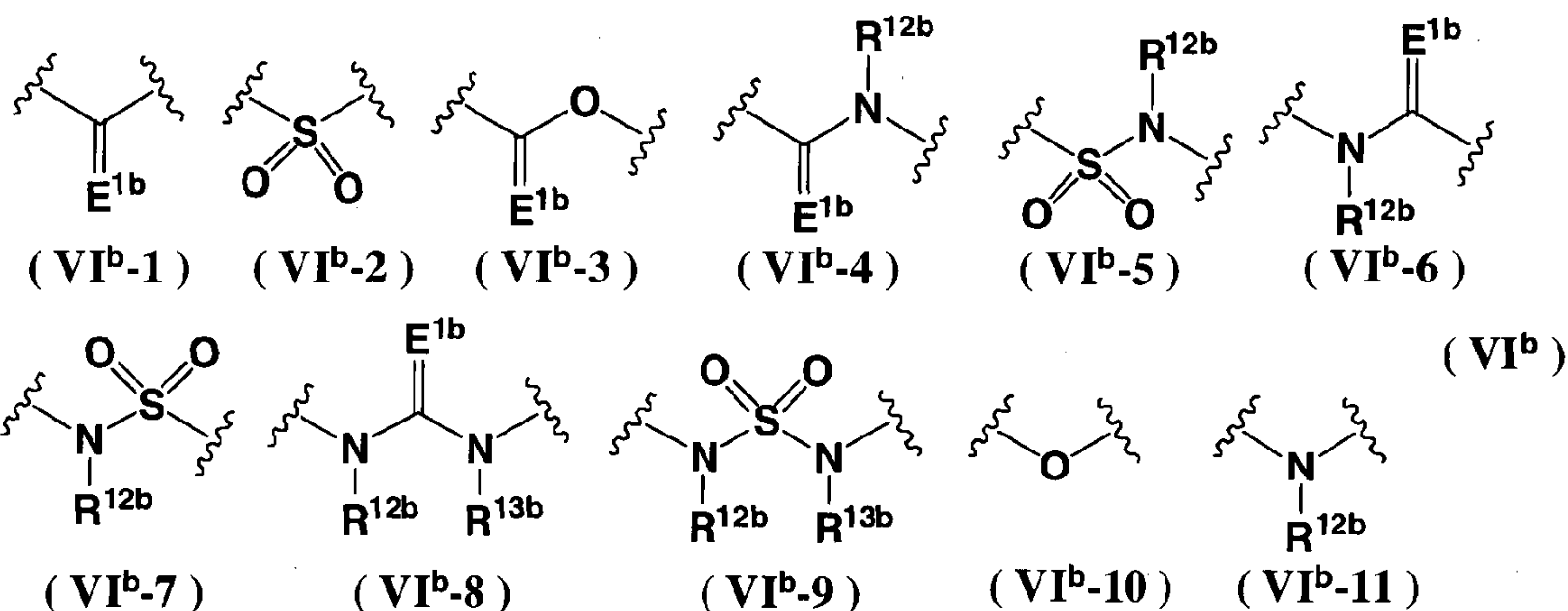
(53) The compound according to (52), wherein R^{2b} is a hydrogen atom, a 4 to 7-membered non-aromatic heterocyclyl group, a phenyl group or a 5 to 10-membered aromatic heterocyclyl group (the 4 to 7-membered non-aromatic heterocyclyl group, the phenyl group and the 5 to 10-membered aromatic heterocyclyl 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, 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} alkoxycarbonyl groups), a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

(54) The compound according to any one of (48) and (50) to (53), 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 or a solvate thereof.

(55) The compound according to any one of (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 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):



(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 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^{5b}), 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^{4b}), a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

(56) The compound according to any one of (42) to (47), wherein L^{1b} is a single bond or a C₁₋₃ alkylene group,

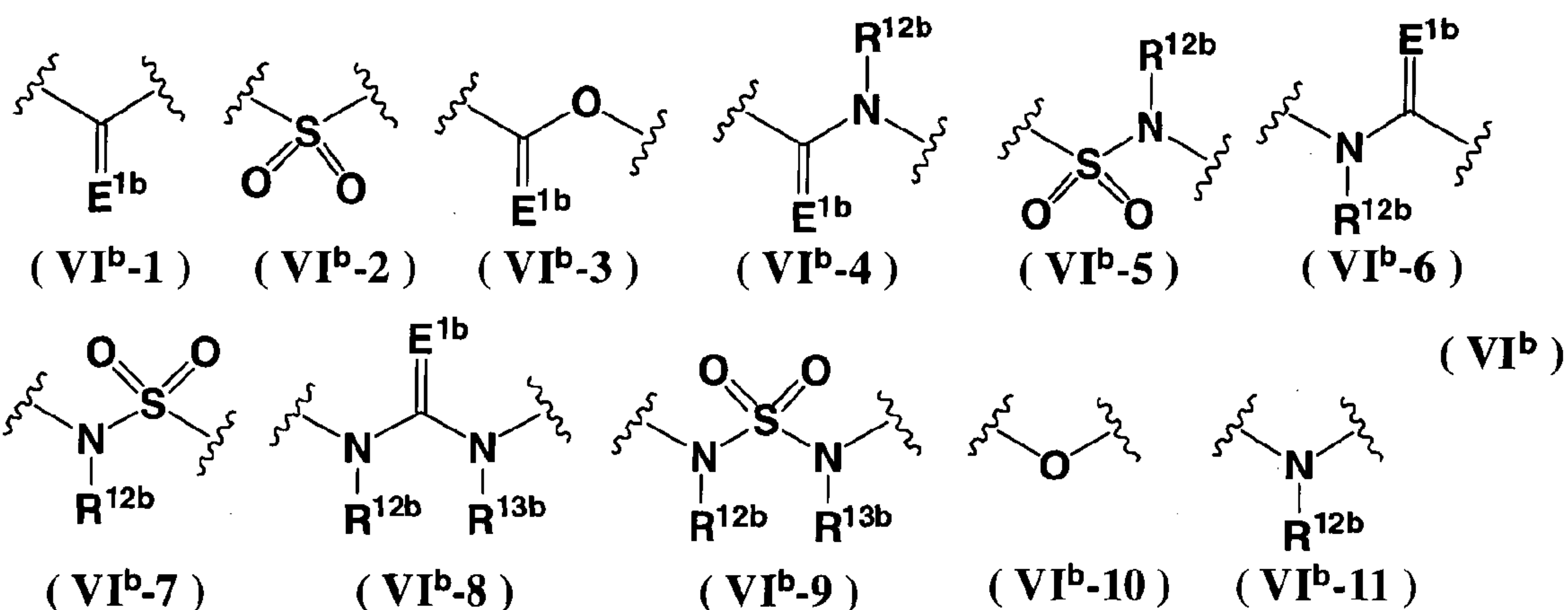
L^{2b} is a single bond or a C₁₋₃ alkylene group (the C₁₋₃ alkylene group is unsubstituted or substituted with a cyano group or a C₁₋₃ haloalkyl group),

the ring B^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,

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



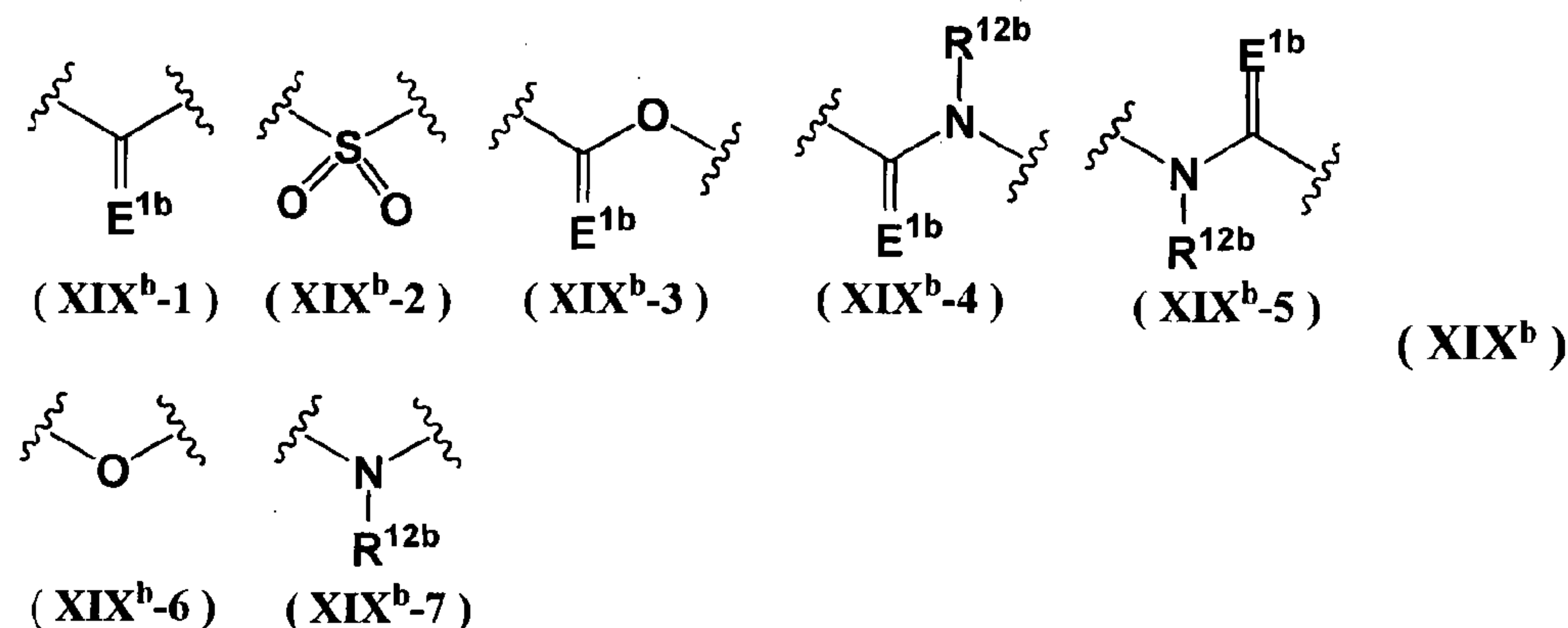
(wherein E^{1b} is an oxygen atom, each of R^{12b} and R^{13b} is independently a hydrogen atom, a C₁₋₆ alkyl group or a C₁₋₆ haloalkyl group), and

R^{2b} 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^{5b}), 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^{1b}), a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

(57) The compound according to (55), 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):

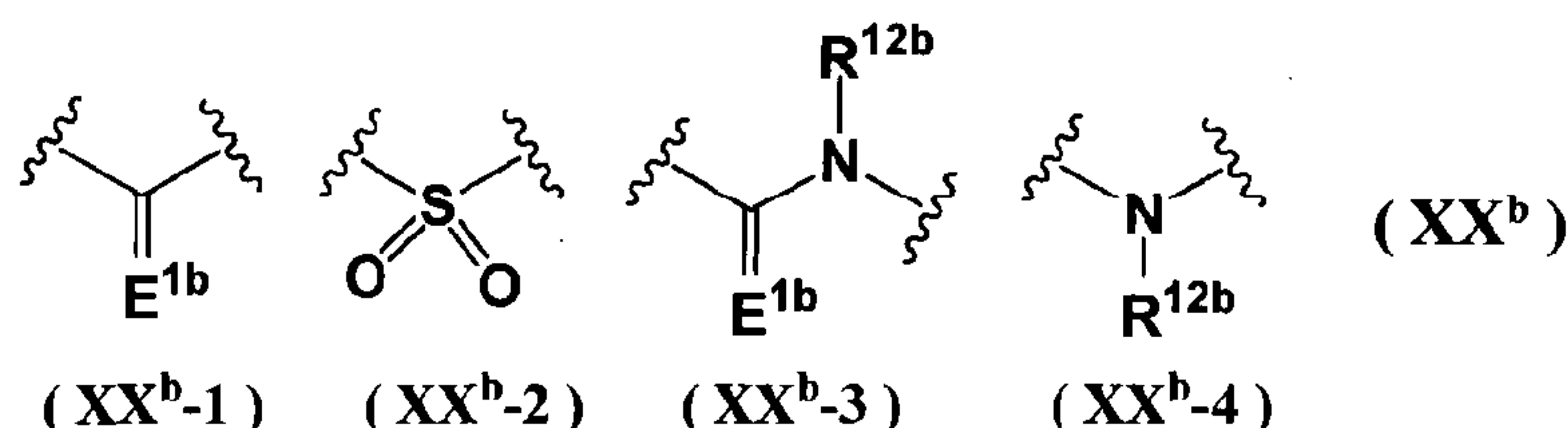


(wherein E^{1b} is an oxygen atom, and R^{12b} is a hydrogen atom, a C₁₋₆ alkyl group (the C₁₋₆ alkyl group is unsubstituted or substituted with one or more identical or different substituents independently selected from the group consisting of cyano groups, hydroxy groups, C₁₋₃ alkoxy groups, C₃₋₆ cycloalkyl 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 (55) or (57), wherein L^{3b} is represented by any of the following formulae (XX^b-1) to (XX^b-4):

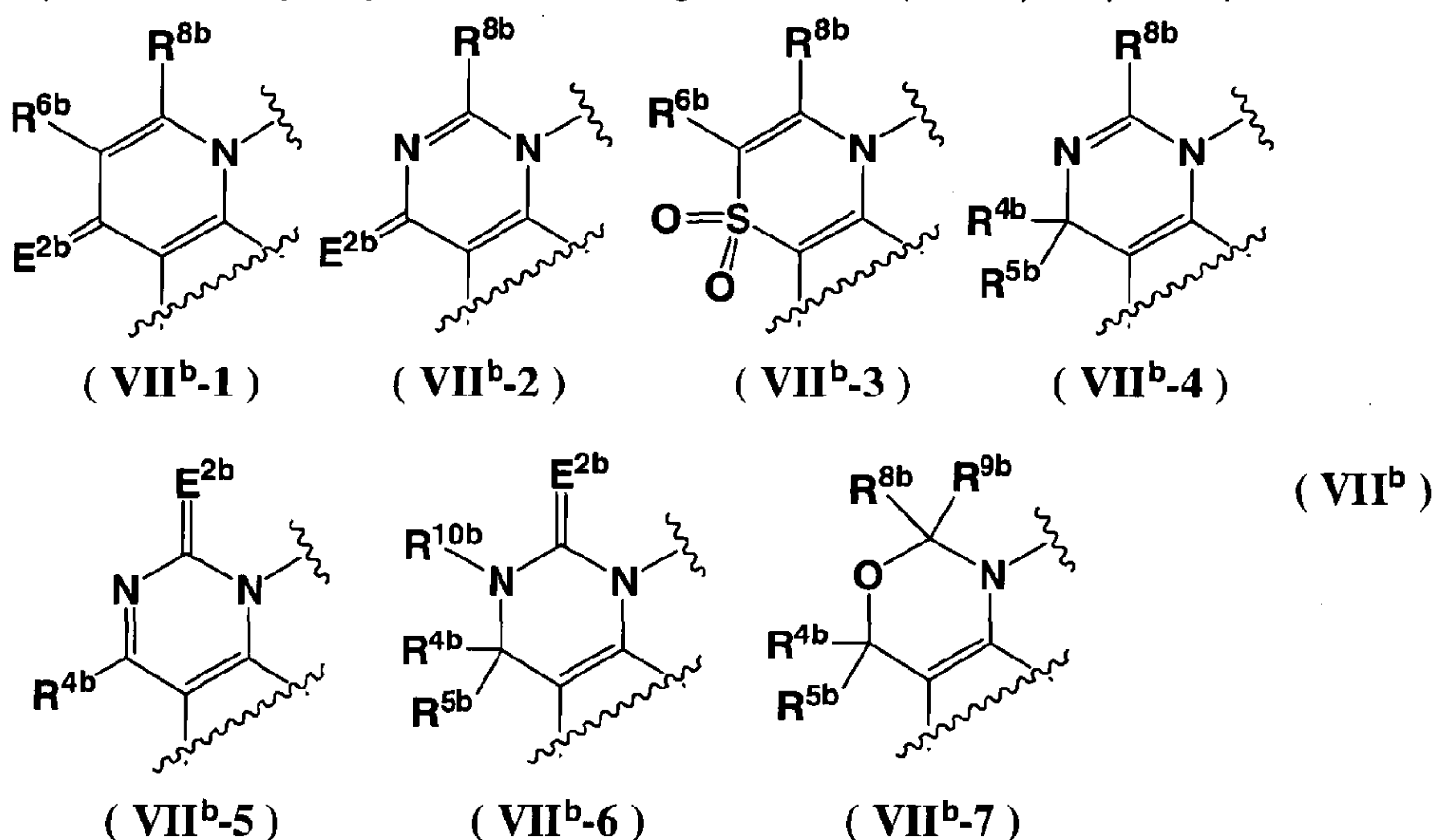


(wherein E^{1b} is an oxygen atom, and R^{12b} is a hydrogen atom, a C₁₋₃ alkyl group (the C₁₋₃ alkyl group is unsubstituted or substituted with a substituent selected from the group consisting of a cyano group, a hydroxy group, a C₁₋₃ alkoxy group, a C₃₋₆ cycloalkyl group and a phenyl group) or C₁₋₃ 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 alkoxycarbonyl groups), a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

(59) The compound according to any one of (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.

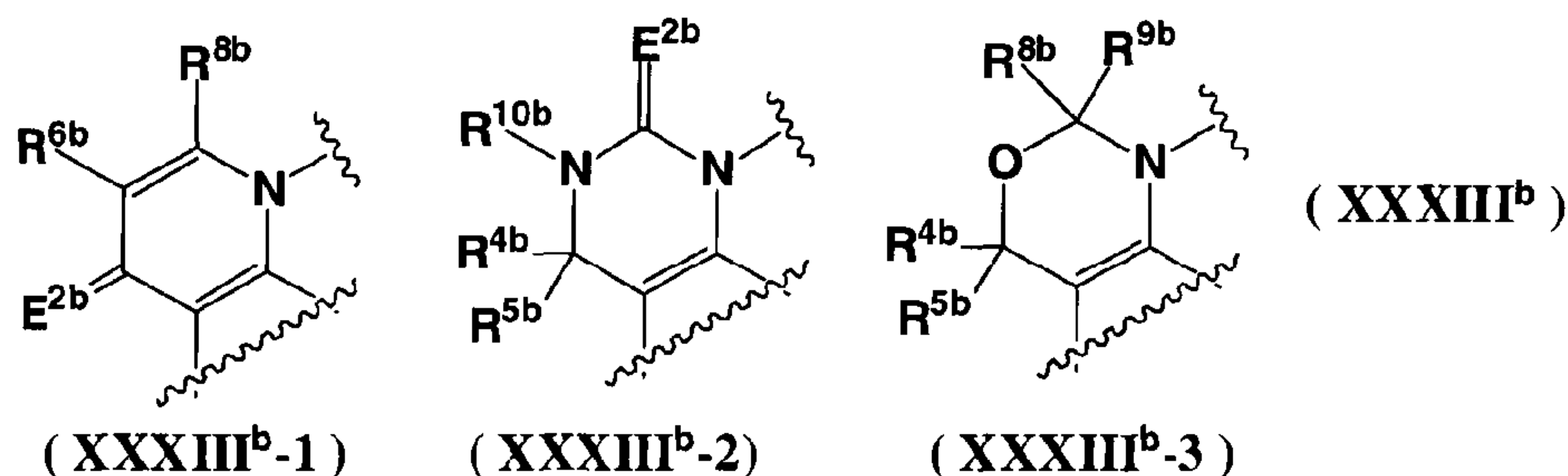
(60) The compound according to any one of (44) to (59), wherein X^b is a nitrogen atom or CR^{15b} (wherein R^{15b} is a hydrogen atom), and Y^b is CR^{16b} (wherein R^{16b} is a hydrogen atom), a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

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



5 (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 (46) to (60), wherein the ring A^b is represented by any of the following formulae (XXXIII^b-1) to (XXXIII^b-3):



10 (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 (49), (60) and (61), wherein L^{1b} is a single bond,

15 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

20 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.

25 (64) The compound according to any one of (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
5 compound or a solvate thereof.

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

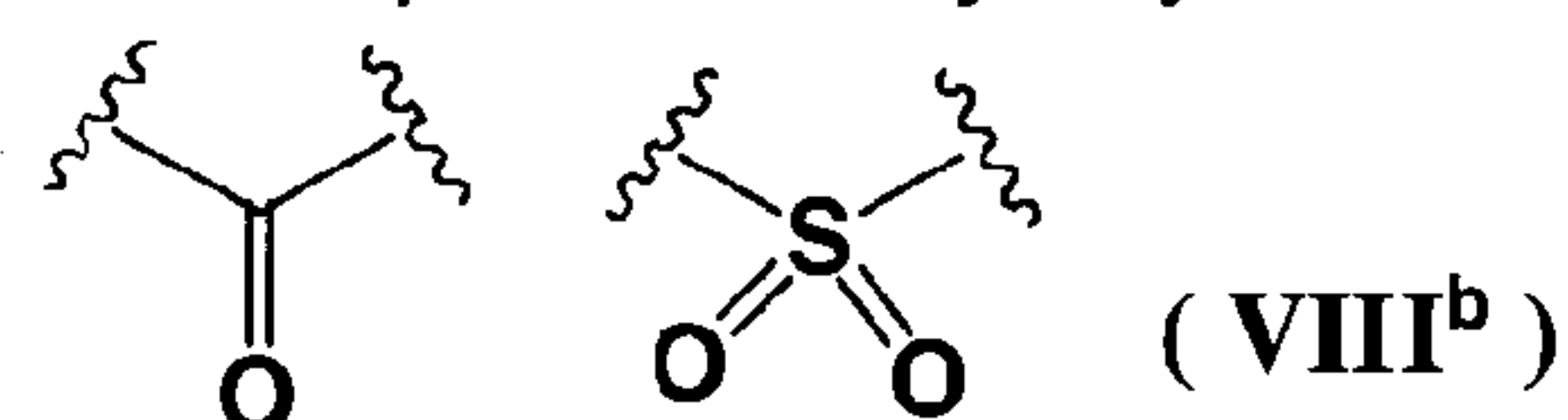
L^{2b} is a single bond,

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

10 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

15 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 (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.

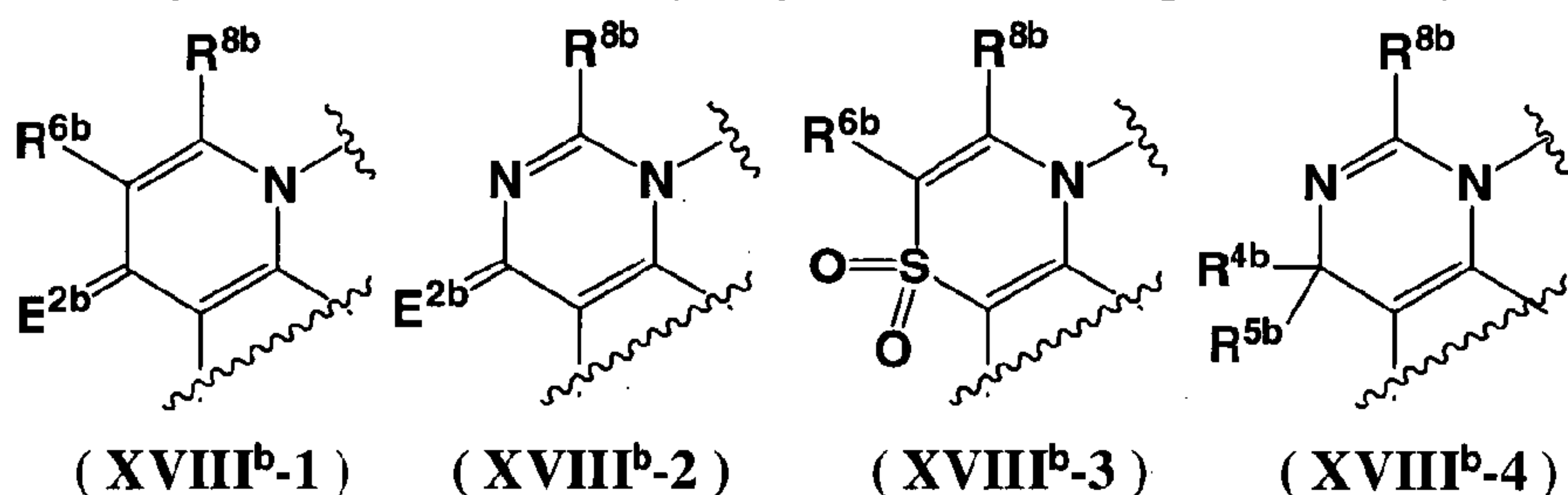
20 (67) The compound according to any one of (42) to (62), wherein the ring B^b is a 4 to
7-membered non-aromatic heterocycle, a tautomer or a pharmaceutically acceptable
salt of the compound or a solvate thereof.

(68) The compound according to (41), wherein X^b is a nitrogen atom or CR^{15b} (wherein
R^{15b} is a hydrogen atom or a halogen atom),

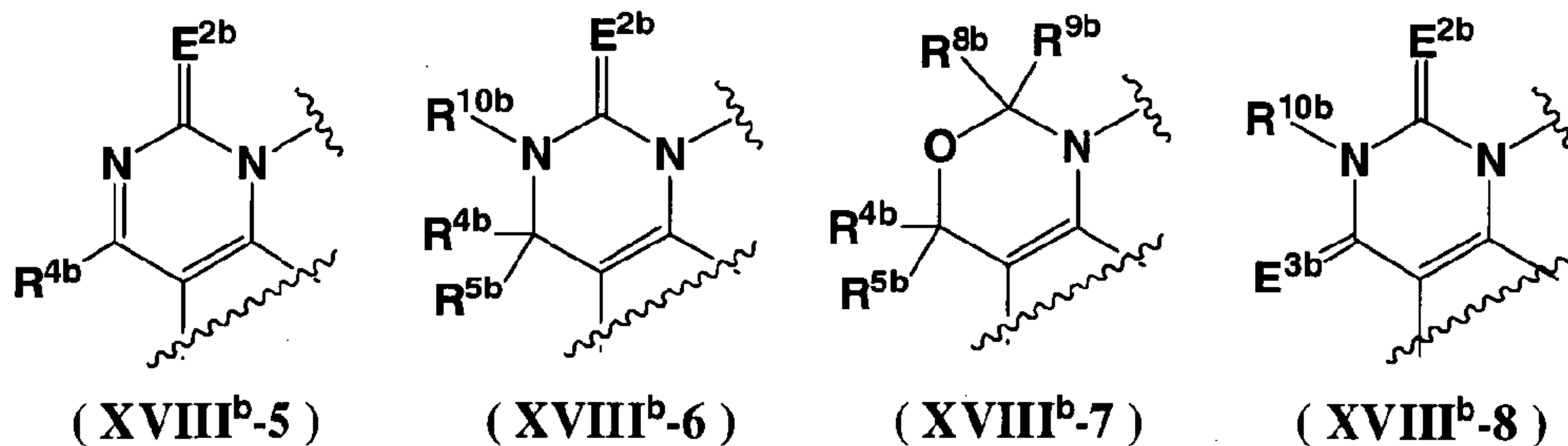
25 Y^b is CR^{16b} (wherein R^{16b} is a hydrogen atom),

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):

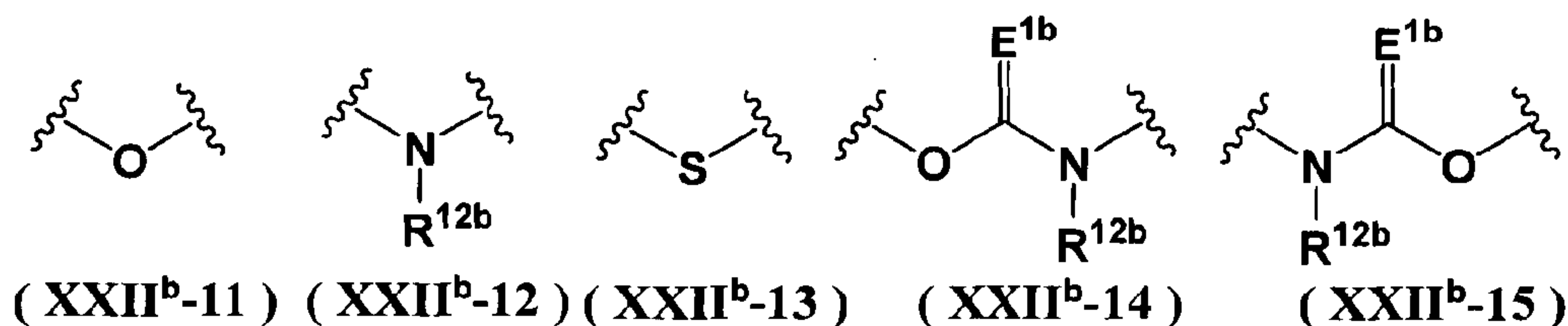
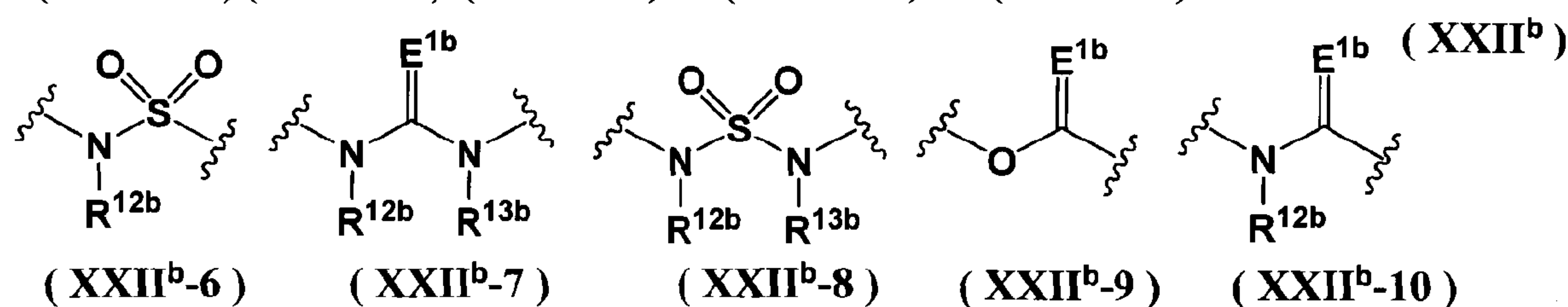
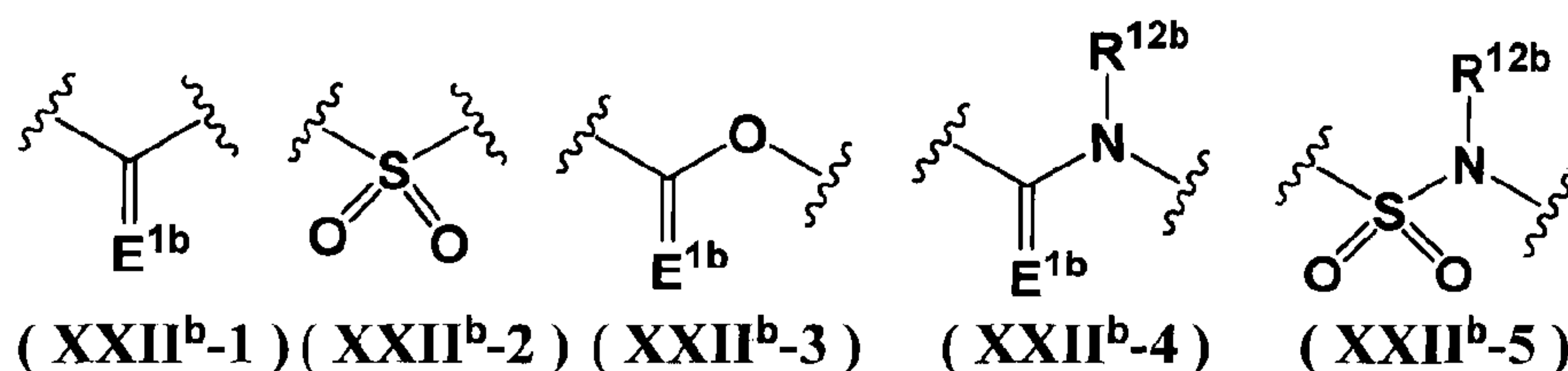


(XVIII^b)



30 (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 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^{3b}), 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^{1b})),
 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^{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):

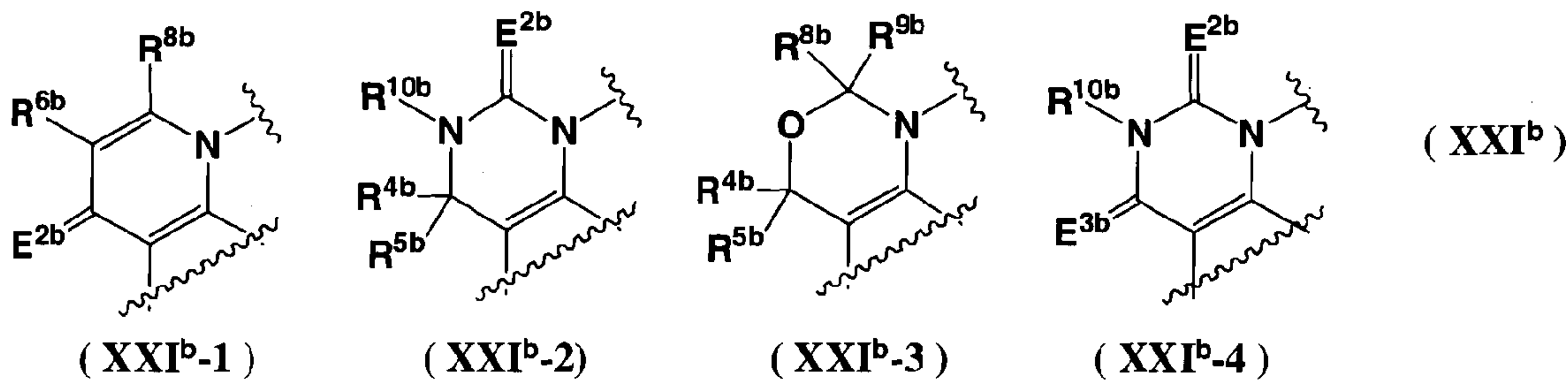


(wherein E^{1b} is an oxygen atom or a sulfur atom, and each of R^{12b} and R^{13b} is independently a hydrogen atom, a C_{1-6} alkyl group or a C_{1-6} haloalkyl group (the C_{1-6} alkyl group and the C_{1-6} haloalkyl group are unsubstituted or substituted with one or more identical or different substituents 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 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 (41) or (68), wherein the ring A^b is represented by any of the following formulae (XXI^b-1) to (XXI^b-4):



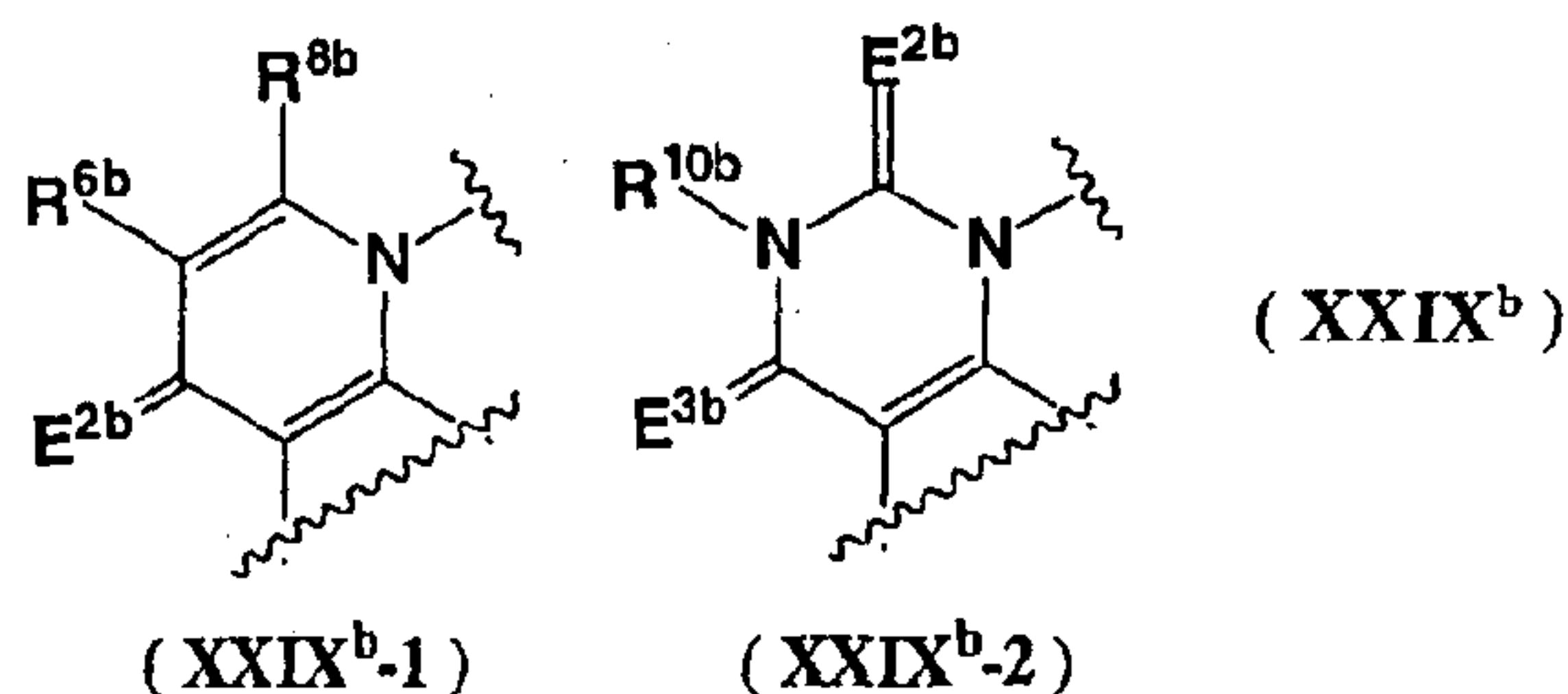
(wherein each of E^{2b} and E^{3b} is independently an oxygen atom or a sulfur atom, R^{4b}, R^{5b}, R^{8b} and R^{9b} are hydrogen atoms, R^{6b} is a hydrogen atom, a halogen atom or a C₁₋₃ alkyl group, and R^{10b} is a hydrogen atom, a C₁₋₆ alkyl group (the C₁₋₆ alkyl group is unsubstituted or substituted with one or two identical or different substituents independently selected from the group consisting of cyano groups, hydroxy groups, C₁₋₃ alkoxy groups, C₁₋₃ alkylthio groups, mono-C₁₋₃ alkylamino groups, di-C₁₋₃ alkylamino groups, mono-C₁₋₃ alkylaminocarbonyl groups, di-C₁₋₃ alkylaminocarbonyl 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 one or two identical or different substituents independently selected from the group consisting

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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

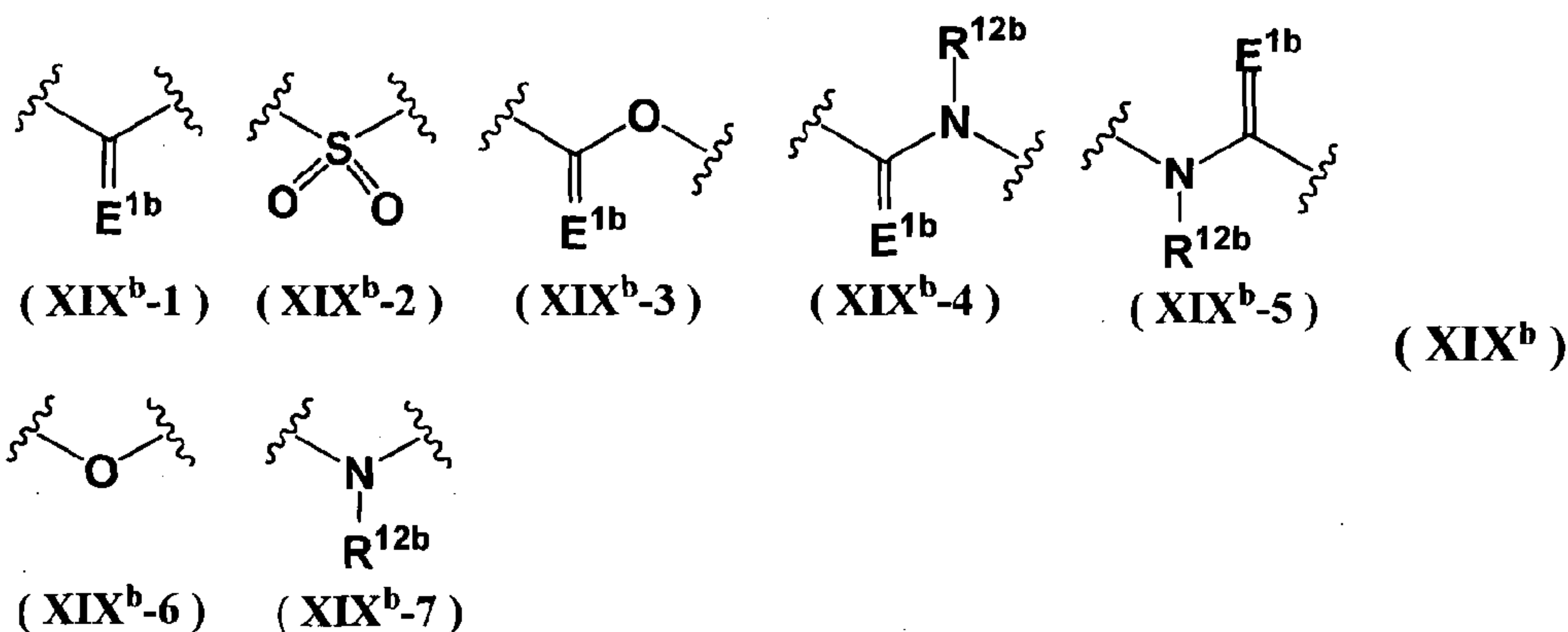
R^{2b} is a hydrogen atom, a 4 to 7-membered non-aromatic heterocyclyl group, a phenyl group, a 5 to 10-membered aromatic heterocyclyl group or a 8 to 11-membered partially saturated aromatic cyclic group (the 4 to 7-membered non-aromatic heterocyclyl group, the phenyl group, the 5 to 10-membered aromatic 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

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

(73) The compound according to (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} alkoxy carbonyl groups), a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

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

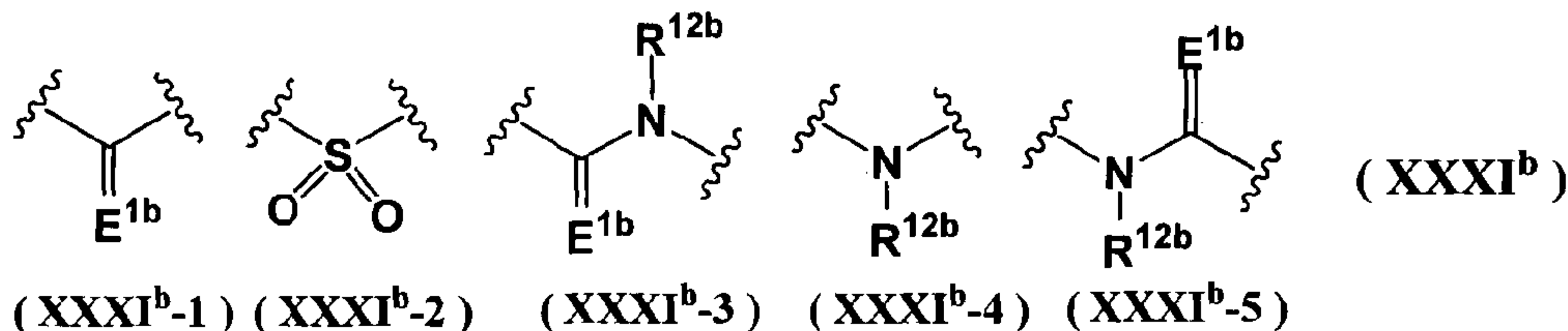


(wherein E^{1b} is an oxygen atom, and R^{12b} is a hydrogen atom or a C_{1-6} alkyl group (the C_{1-6} alkyl group is unsubstituted or substituted with one or more identical or different substituents independently selected from the group consisting of halogen atoms, cyano groups, hydroxy groups, C_{1-3} 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

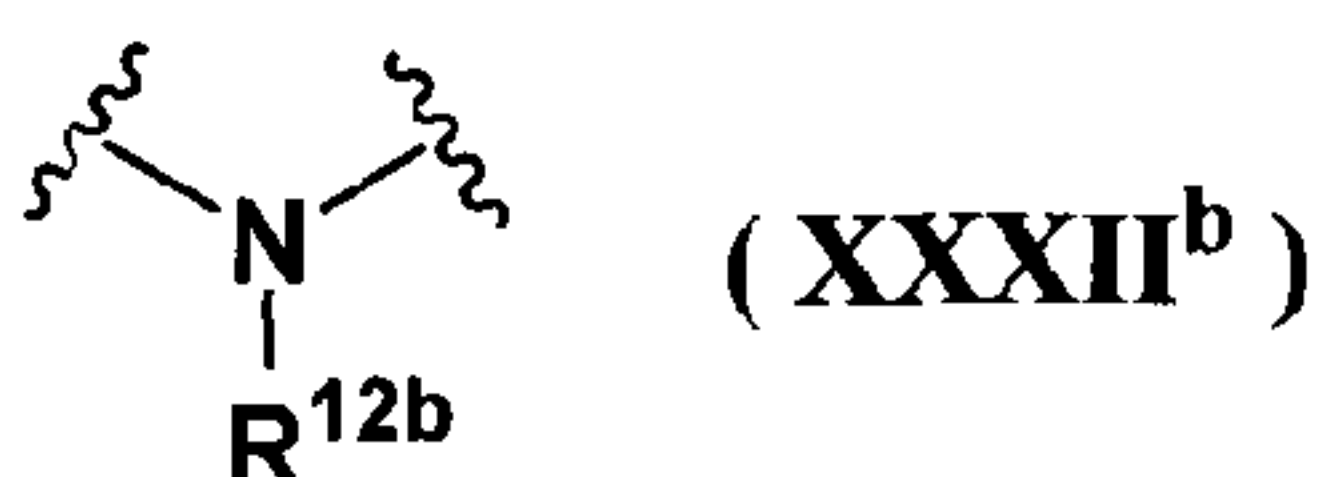
8 to 11-membered partially saturated aromatic cyclic group (the C₃₋₆ cycloalkyl group, the 4 to 7-membered non-aromatic heterocyclyl group, the phenyl group, the 5 to 6-membered aromatic heterocyclyl group and the 8 to 11-membered partially saturated aromatic cyclic group are unsubstituted or substituted 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 (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, 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 alkoxycarbonyl groups), a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

(77) The compound according to (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 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 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 groups and C₁₋₆ alkoxy carbonyl groups), a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

(78) The compound according to any one of (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.

(79) The compound according to any one of (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.

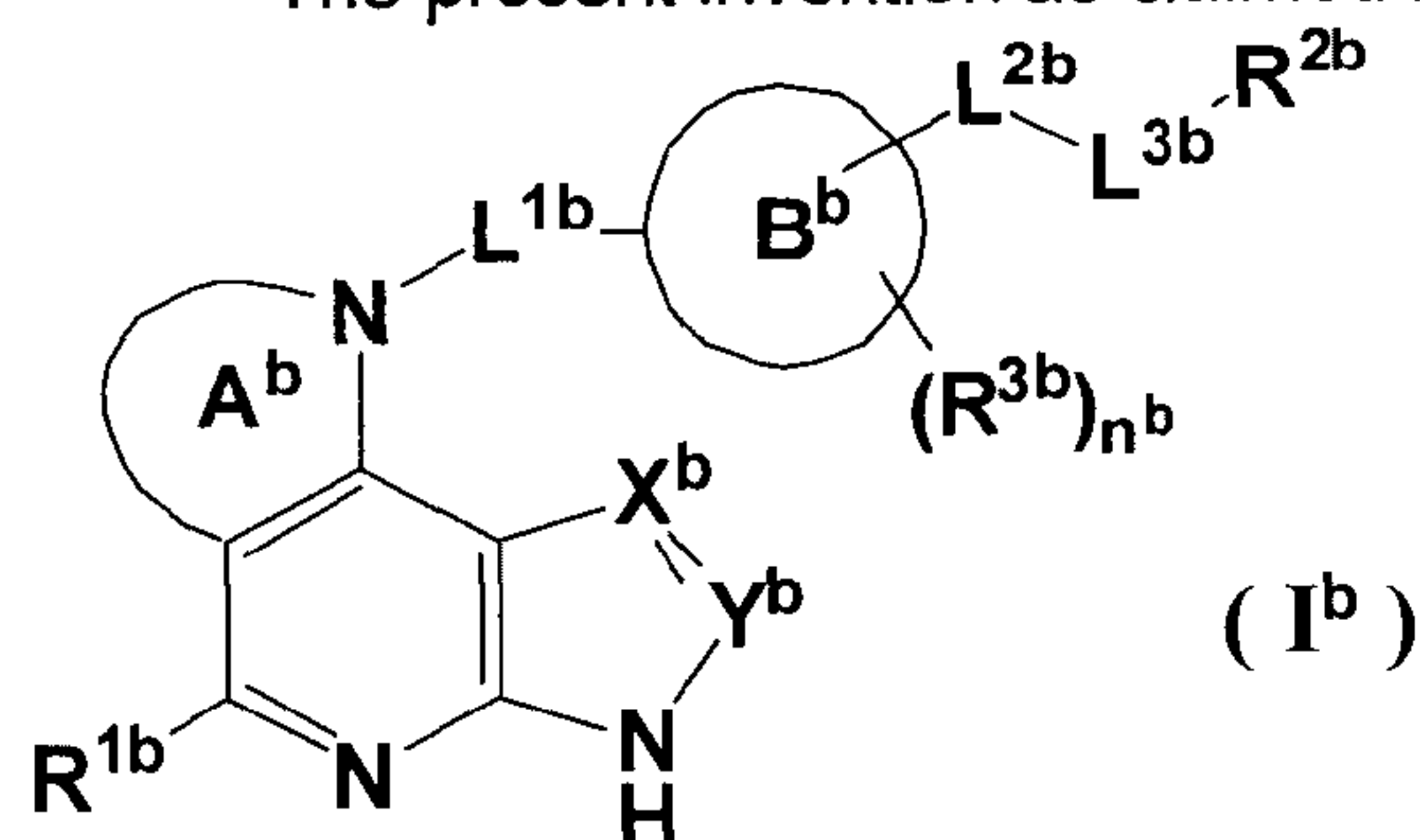
(80) A JAK inhibitor containing the compound as defined in any one of (1) to (79), a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof, as an active ingredient.

(81) A preventive, therapeutic or improving agent for diseases against which inhibition of JAK is effective, which contains the JAK inhibitor as defined in (80).

(82) A therapeutic agent for articular rheumatism, which contains the JAK inhibitor as defined in (80).

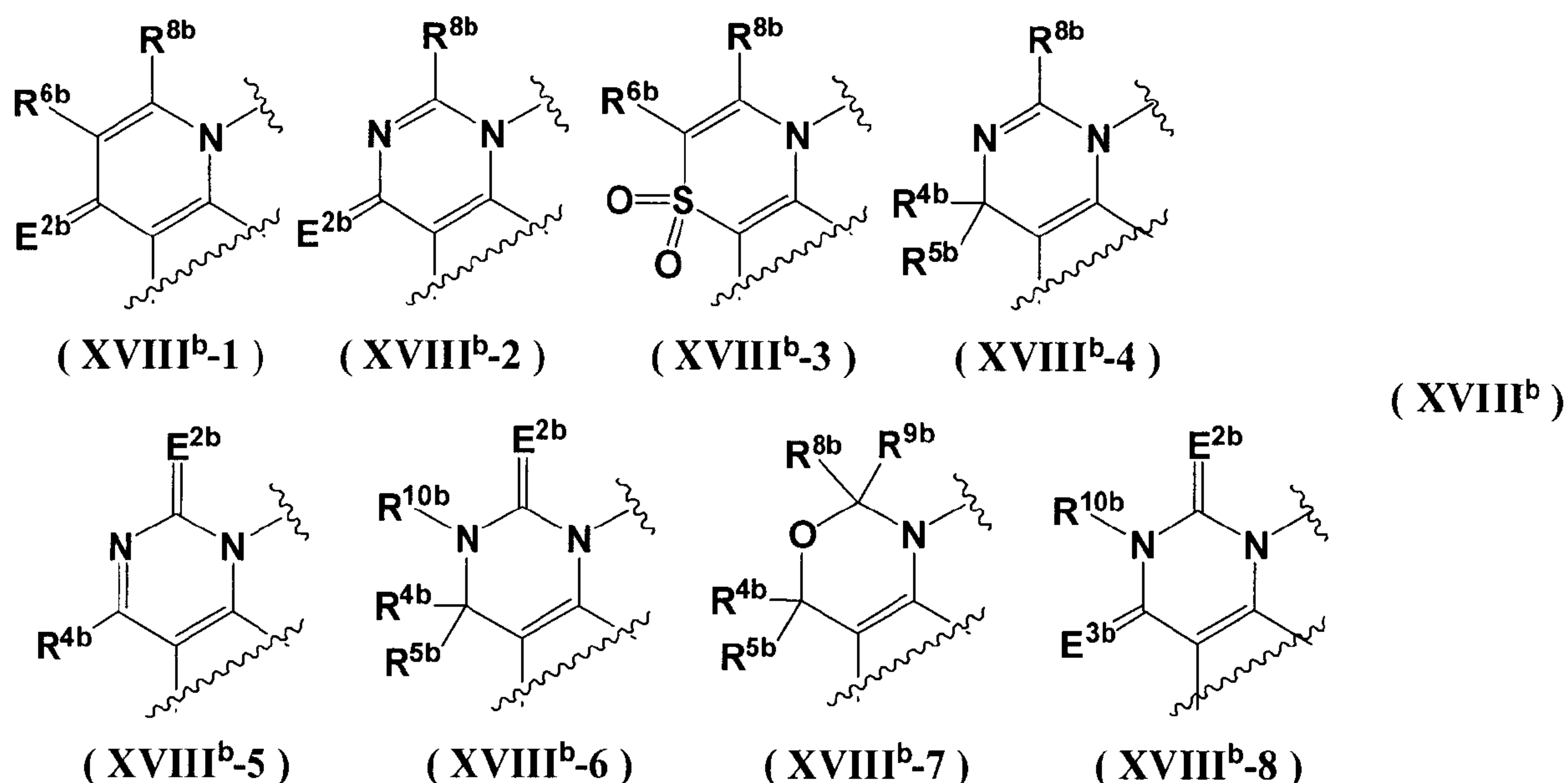
(83) Medicament containing the compound as defined in any one of (1) to (79), a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof, as an active ingredient.

The present invention as claimed relates to a compound represented by the formula (I^b):



wherein the ring A^b is represented by any of the following formulae (XVIII^b-1) to (XVIII^b-8):

52a



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,

5 and R^{10b} is a hydrogen atom or a C₁₋₃ alkyl group,

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

10 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,

wherein 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

15 groups and nitro groups,

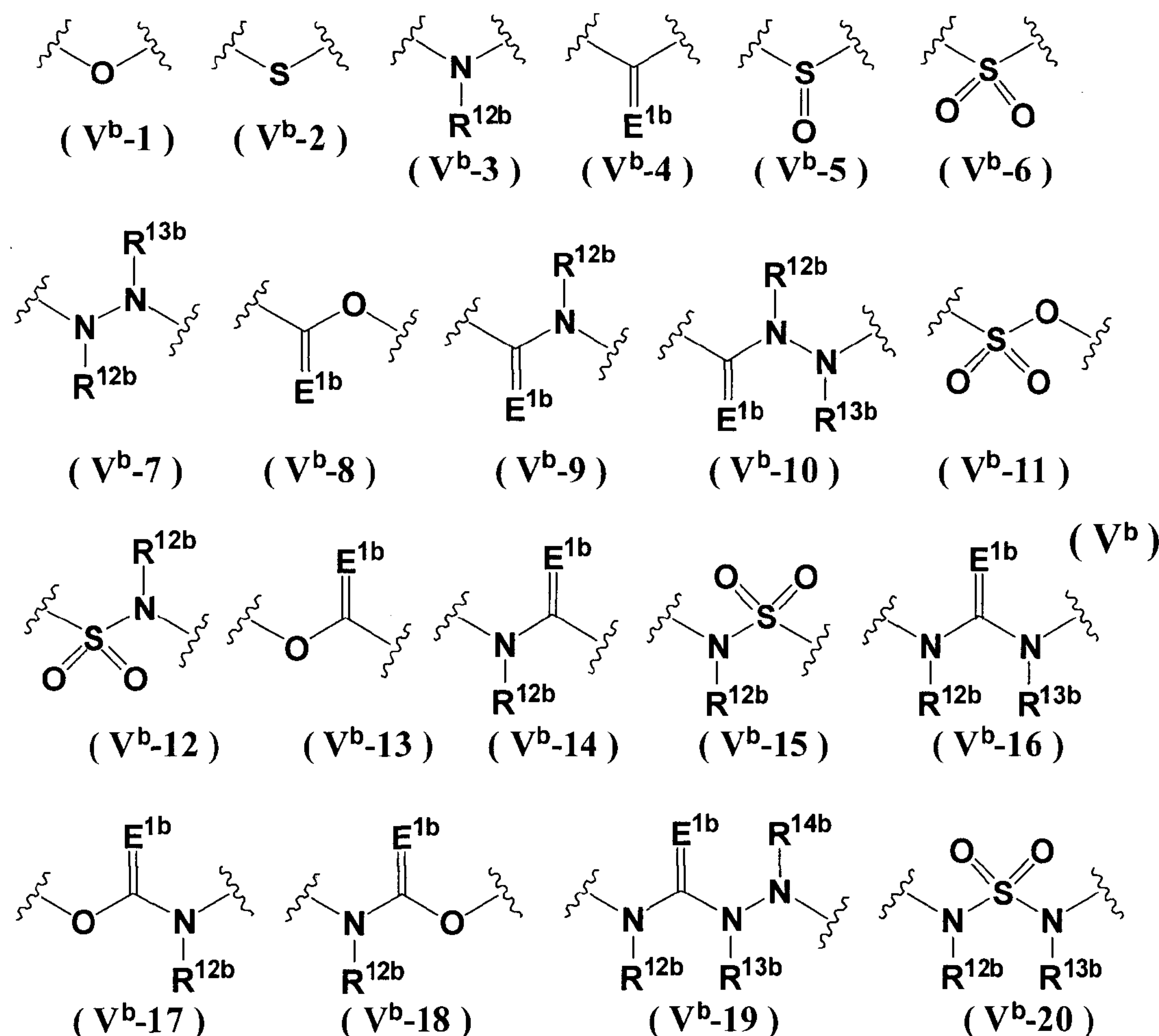
L^{2b} is a single bond, a C₁₋₆ alkylene group, a C₂₋₆ alkenylene group or a C₂₋₆ alkynylene group,

wherein 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

20 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):

52b



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 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, wherein 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 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,

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, wherein 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}, and 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,
- 10 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, and 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 C₁₋₆ alkyl group or a C₁₋₆ haloalkyl group, wherein 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 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,
R^{18b} is a hydrogen atom, a hydroxy group, a cyano group, a nitro group, a C₁₋₆ alkyl group or a C₁₋₆ alkoxy group,
- 30 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, 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
- 35

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, wherein 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^{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 group and 5 to 10-membered aromatic heterocyclyl groups, wherein 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, C₃₋₁₁ cycloalkyl groups, 3 to 11-membered non-aromatic heterocyclyl groups, C₆₋₁₄ aryl groups and 5 to 10-membered aromatic heterocyclyl groups, wherein 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}, and 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, 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, wherein 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, 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, 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, wherein 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} , and 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} , 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,

wherein 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, 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, wherein the mono- C_{1-6} alkylaminosulfonyl groups, di- C_{1-6} alkylaminosulfonyl groups and C_{1-6} alkylsulfonylamino groups are unsubstituted or substituted
10 with one or more identical or different substituents independently selected from the substituent set V^{3b} , and 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} ,
15 a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

The present invention has made it possible to provide novel tricyclic pyrimidine compounds and tricyclic pyridine compounds which have excellent JAK inhibitory action and are especially useful for prevention and treatment of autoimmune diseases, inflammatory diseases and allergic diseases.

- 20 Now, the present invention will be described in further detail.

- In the present invention, "n-" denotes normal, "i-" denotes iso, "s-" or "sec" denotes secondary, "t-" or "tert-" denotes tertiary, "c-" denotes cyclo, "o-" denotes ortho, "m-" denotes meta, "p-" denotes para, "cis-" denotes a cis isomer, "trans-" denotes a trans isomer, "(E)-" denotes a E isomer, "(Z)-" denotes a Z isomer, "rac" and "racemate" denotes racemate,
25 "diastereomixture" denotes a mixture of diastereomers, "Ph" denotes phenyl, "Py" denotes pyridyl, "Me" denotes methyl, "Et" denotes ethyl, "Pr" denotes propyl, "Bu" denotes butyl, "Boc" denotes tertiary-butoxycarbonyl, "Cbz" denotes benzyloxycarbonyl, "Ms" denotes methanesulfonyl, "Tf" denotes trifluoromethanesulfonyl, "Ts" denotes p-toluenesulfonyl, "SEM" denotes [2-(trimethylsilyl)ethoxy]methyl, "TIPS" denotes triisopropylsilyl, "TBDPS"
30 denotes tertiary-butyldiphenylsilyl, and "TBS" denotes tertiary-butyldimethylsilyl.

First, the terms used herein for description of chemical structures will be explained.

A "halogen atom" is a fluorine atom, a chlorine atom, a bromine atom or an iodine atom.

A " C_{1-3} alkyl group" is a methyl group, an ethyl group, a propyl group or an isopropyl group.

- 35 A " C_{1-6} alkyl group" is a linear or branched alkyl group containing one to six carbon

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" 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

atoms and may, for example, be a methoxy group, an ethoxy group, a n-propoxy group, an isopropoxy group, a n-butoxy group, an isobutoxy group, a t-butoxy group, a n-pentyloxy group, a n-hexyloxy group or the like.

5 A "C₁₋₃ alkoxy group" is a methoxy group, an ethoxy group, a n-propoxy group or an i-propoxy group.

A "C₁₋₆ haloalkoxy group" is a group derived from the above-mentioned "C₁₋₆ alkoxy 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 "C₁₋₃ haloalkoxy group" is a group derived from the above-mentioned "C₁₋₃ alkoxy 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 "C₁₋₆ alkylene group" is a bivalent group derived from the above-mentioned "C₁₋₆ alkyl group" by removing a hydrogen atom at an arbitrary position and may, for example, be a methylene group, an ethylene group, a propane-1,3-diyl group, a propane-1,2-diyl group, a 2,2-dimethyl-propane-1,3-diyl group, a hexane-1,6-diyl group, or a 3-methylbutane-1,2-diyl group or the like.

20 A "C₁₋₃ alkylene group" is a methylene group, an ethylene group, a propane-1,3-diyl group or a propane-1,2-diyl group.

A "C₁₋₆ haloalkylene group" is a group derived from the above-mentioned "C₁₋₆ alkylene 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.

25 A "C₁₋₃ haloalkylene group" is a group derived from the above-mentioned "C₁₋₃ alkylene 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.

30 A "C₂₋₆ alkenylene group" is a bivalent group derived from the above-mentioned "C₂₋₆ alkenyl group" by removing a hydrogen atom at an arbitrary position and may, for example, be an ethenylene group, an ethene-1,1-diyl group, an ethane-1,2-diyl group, a propene-1,1-diyl group, a propene-1,2-diyl group, a propene-1,3-diyl group, a but-1-ene-1,4-diyl group, a but-1-ene-1,3-diyl group, a but-2-ene-1,4-diyl group, a but-1,3-diene-1,4-diyl group, a pent-2-ene-1,5-diyl group, a hex-3-ene-1,6-diyl group, a hexa-2,4-diene-1,6-diyl group or the like.

A "C₂₋₃ alkenylene group" is an ethene-1,1-diyl group, an ethane-1,2-diyl group, a propene-1,1-diyl group, a propene-1,2-diyl group, a propene-1,3-diyl group.

40 A "C₂₋₆ alkynylene group" is a linear or branched alkynylene group having at least one triple bond and 2 to 6 carbon atoms and may, for example, be an ethyn-1,2-diyl group, a propyn-1,2-diyl group, a but-1-yn-1,4-diyl group, a but-1-yn-1,3-diyl group, a but-2-yn-1,4-diyl group, a pent-2-yn-1,5-diyl group, a pent-2-yn-1,4-diyl group, a hex-3-yn-1,6-diyl group or the like.

45 A "C₆₋₁₄ aromatic carbocycle" is a monocyclic, bicyclic or tricyclic aromatic carbocycle having 6 to 14 carbon atoms as the sole ring-constituting atoms and may, for example, be benzene, pentalene, naphthalene, azulene, anthracene, phenanthrene or the like.

A "C₆₋₁₄ aryl group" is a monovalent group derived from the above-mentioned "C₆₋₁₄ aromatic carbocycle" by removing a hydrogen atom and may have the free valence

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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, benzothiazole, benzoxazole, benzimidazole, indole, isoindole, indazole, pyrrolopyridine,
 10 thienopyridine, furopyridine, benzothiadiazoole, 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 from the above-mentioned "5 to 6-membered aromatic heterocycle" by removing a
 25 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,
 40 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-tetrahydrobenz[b]thiophene, 2,3-dihydroisoindol-1-one, 3,4-dihydro-2H-isoquinolin-1-one, 3,4-dihydro-2H-benzo[b]oxepin-5-one, 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

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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.

5 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
- 10 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
- 15 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-
- 20 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-dihydro2H-isoquinolin-1-one, 3,4-dihydro2H-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

25 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

30 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
- 35 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,
- 40 tetrahydrofuran, 1,3-dioxorane, 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

45 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

isobutylthio group, a t-butylthio group, a n-pentylthio group, a n-hexylthio group or the like.

5 A "C₁₋₃ alkylthio group" is a group consisting of the above-mentioned "C₁₋₃ alkyl group" attached to a sulfur atom and may, for example, be a methylthio group, an ethylthio group, a n-propylthio group or an isopropylthio group.

A "C₁₋₆ haloalkylthio group" is a group derived from the above-mentioned "C₁₋₆ alkylthio 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 "C₁₋₃ haloalkylthio group" is a group derived from the above-mentioned "C₁₋₃ alkylthio 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 "C₁₋₆ alkylsulfonyl group" is a group consisting of the above-mentioned "C₁₋₆ alkyl group" attached to a sulfonyl group and may, for example, be a methylsulfonyl group, an ethylsulfonyl group, a n-propylsulfonyl group, an isopropylsulfonyl group, a n-butylsulfonyl group, an isobutylsulfonyl group, a t-butylsulfonyl group, a n-pentylsulfonyl group, a n-hexylsulfonyl group or the like.

20 A "C₁₋₃ alkylsulfonyl group" is a group consisting of the above-mentioned "C₁₋₃ alkyl group" attached to a sulfonyl group and may, for example, be a methylsulfonyl group, an ethylsulfonyl group, a n-propylsulfonyl group or an isopropylsulfonyl group.

25 A "C₁₋₆ haloalkylsulfonyl group" is a group derived from the above-mentioned "C₁₋₆ alkylsulfonyl 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.

A "C₁₋₃ haloalkylsulfonyl group" is a group derived from the above-mentioned "C₁₋₃ alkylsulfonyl 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.

30 A "C₁₋₆ alkoxy carbonyl group" is a group consisting of the above-mentioned "C₁₋₆ alkoxy group" attached to a carbonyl group and may, for example, be a methoxycarbonyl group, an ethoxycarbonyl group, a n-propoxycarbonyl group, an isopropoxycarbonyl group, a n-butoxycarbonyl group, an isobutoxycarbonyl group, a t-butoxycarbonyl group, a n-pentyloxycarbonyl group, a n-hexyloxycarbonyl group or the like.

A "C₁₋₃ alkoxy carbonyl group" is a methoxycarbonyl group, an ethoxycarbonyl group, a n-propoxycarbonyl group or an isopropoxycarbonyl group.

40 A "mono-C₁₋₆ alkylamino group" is a group consisting of the above-mentioned "C₁₋₆ alkyl group" attached to an amino group and may, for example, be a methylamino group, an ethylamino group, a n-propylamino group, an isopropylamino group, a n-butylamino group, an isobutylamino group, a t-butylamino group, a n-pentylamino group, a n-hexylamino group or the like.

A "mono-C₁₋₃ alkylamino group" is a methylamino group, an ethylamino group, a n-propylamino group or an isopropylamino group.

45 A "di-C₁₋₆ alkylamino group" is a group consisting of an amino group attached to two identical or different "C₁₋₆ alkyl groups" such as those mentioned above and may, for example, be a dimethylamino group, a diethylamino group, a di-n-propylamino group, a diisopropylamino group, a di-n-butylamino group, a diisobutylamino group, a di-t-

butylamino group, a di-n-pentylamino group, a di-n-hexylamino group, an N-ethyl-N-methylamino group, an N-methyl-N-n-propylamino group, an N-isopropyl-N-methylamino group, an N-n-butyl-N-methylamino group, an N-isobutyl-N-methylamino group, an N-t-butyl-N-methylamino group, an N-methyl-N-n-pentylamino group, N-n-
 5 hexyl-N-methylamino group, an N-ethyl-N-n-propylamino group, an N-ethyl-N-isopropylamino group, an N-n-butyl-N-ethylamino group, an N-ethyl-N-isobutylamino group, an N-t-butyl-N-ethylamino group, an N-ethyl-N-n-pentylamino group, an N-ethyl-N-n-hexylamino group or the like.

A "di-C₁₋₃ alkylamino group" is a dimethylamino group, a diethylamino group, a di-n-propylamino group, a diisopropylamino group, an N-ethyl-N-methylamino group, an N-methyl-N-n-propylamino group, an N-isopropyl-N-methylamino group, an N-ethyl-N-n-propylamino group or an N-ethyl-N-isopropylamino group.

A "C₁₋₆ alkylcarbonyl group" is a group consisting of the above-mentioned "C₁₋₆ alkyl group" attached to a carbonyl group and may, for example, be an acetyl group, a propionyl group, a butyryl group, an isobutyryl group, a pentanoyl group, a 3-methylbutanoyl group, a pivaloyl group, a hexanoyl group or a heptanoyl group.

A "C₁₋₃ alkylcarbonyl group" is an acetyl group, a propionyl group, a butyryl group or an isobutyryl group.

A "C₁₋₆ haloalkylcarbonyl group" is a group derived from the above-mentioned "C₁₋₆ alkylcarbonyl 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.

A "C₁₋₃ haloalkylcarbonyl group" is a group derived from the above-mentioned "C₁₋₃ alkylcarbonyl 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.

A "mono-C₁₋₆ alkylaminocarbonyl group" is a group consisting of the above-mentioned "mono-C₁₋₆ alkylamino group" attached to a carbonyl group and may, for example, be a methylaminocarbonyl group, an ethylaminocarbonyl group, a n-propylaminocarbonyl group, an isopropylaminocarbonyl group, a n-butylaminocarbonyl group, an isobutylaminocarbonyl group, a t-butylaminocarbonyl group, a n-pentylaminocarbonyl group, a n-hexylaminocarbonyl group or the like.

A "mono-C₁₋₃ alkylaminocarbonyl group" is a methylaminocarbonyl group, an ethylaminocarbonyl group, a n-propylaminocarbonyl group or an isopropylaminocarbonyl group.

A "di-C₁₋₆ alkylaminocarbonyl group" is a group consisting of the above-mentioned "di-C₁₋₆ alkylamino group" attached to a carbonyl group and may, for example, be a dimethylaminocarbonyl group, a diethylaminocarbonyl group, a di-n-propylaminocarbonyl group, a diisopropylaminocarbonyl group, a di-n-butylaminocarbonyl group, a diisobutylaminocarbonyl group, a di-t-butylaminocarbonyl group, a di-n-pentylaminocarbonyl group, a di-n-hexylaminocarbonyl group, an N-ethyl-N-methylaminocarbonyl group, an N-methyl-N-n-propylaminocarbonyl group, an N-isopropyl-N-methylaminocarbonyl group, an N-n-butyl-N-methylaminocarbonyl group, an N-isobutyl-N-methylaminocarbonyl group, an N-t-butyl-N-methylaminocarbonyl group, an N-methyl-N-n-pentylaminocarbonyl group, an N-n-hexyl-N-methylaminocarbonyl group, an N-ethyl-N-n-propylaminocarbonyl group, an N-ethyl-N-isopropylaminocarbonyl group, an N-n-butyl-N-ethylaminocarbonyl group, an N-ethyl-N-isobutylaminocarbonyl group, an N-t-butyl-N-ethylaminocarbonyl group, an N-ethyl-N-n-

pentylaminocarbonyl group, an N-ethyl-N-n-hexylaminocarbonyl group or the like.

A “di-C₁₋₃ alkylaminocarbonyl group” is a dimethylaminocarbonyl group, a diethylaminocarbonyl group, a di-n-propylaminocarbonyl group, a diisopropylaminocarbonyl group, an N-ethyl-N-methylaminocarbonyl group, an N-methyl-N-n-propylaminocarbonyl group, an N-isopropyl-N-methylaminocarbonyl group, N-ethyl-N-n-propylaminocarbonyl group, or an N-ethyl-N-isopropylaminocarbonyl group.

A “C₁₋₆ alkylcarbonylamino group” is a group consisting of the above-mentioned “C₁₋₆ alkylcarbonyl group” attached to an amino group and may, for example, be a methylcarbonylamino group, an ethylcarbonylamino group, a n-propylcarbonylamino group, an isopropylcarbonylamino group, a n-butylcarbonylamino group, an isobutylcarbonylamino group, a t-butylcarbonylamino group, a n-pentylcarbonylamino group, a n-hexylcarbonylamino group or the like.

A “C₁₋₃ alkylcarbonylamino group” is a methylcarbonylamino group, an ethylcarbonylamino group, a n-propylcarbonylamino group or an isopropylcarbonylamino group.

A “mono-C₁₋₆ alkylaminosulfonyl group” is a group consisting of the above-mentioned “mono-C₁₋₆ alkylamino group” attached to a sulfonyl group and may, for example, be a methylaminosulfonyl group, an ethylaminosulfonyl group, a n-propylaminosulfonyl group, an isopropylaminosulfonyl group, a n-butylaminosulfonyl group, an isobutylaminosulfonyl group, a t-butylaminosulfonyl group, a n-pentylaminosulfonyl group, a n-hexylaminosulfonyl group or the like.

A “mono-C₁₋₃ alkylaminosulfonyl group” is a methylaminosulfonyl group, an ethylaminosulfonyl group, a n-propylaminosulfonyl group or an isopropylaminosulfonyl group.

A “di-C₁₋₆ alkylaminosulfonyl group” is a group consisting of the above-mentioned “di-C₁₋₆ alkylamino group” attached to a sulfonyl group and may, for example, be a dimethylaminosulfonyl group, a diethylaminosulfonyl group, a di-n-propylaminosulfonyl group, a diisopropylaminosulfonyl group, a di-n-butylaminosulfonyl group, a diisobutylaminosulfonyl group, a di-t-butylaminosulfonyl group, a di-n-pentylaminosulfonyl group, a di-n-hexylaminosulfonyl group, an N-ethyl-N-methylaminosulfonyl group, an N-methyl-N-n-propylaminosulfonyl group, an N-isopropyl-N-methylaminosulfonyl group, an N-n-butyl-N-methylaminosulfonyl group, an N-isobutyl-N-methylaminosulfonyl group, an N-t-butyl-N-methylaminosulfonyl group, an N-methyl-N-n-pentylaminosulfonyl group, N-n-hexyl-N-methylaminosulfonyl group, an N-ethyl-N-n-propylaminosulfonyl group, an N-ethyl-N-isopropylaminosulfonyl group, an N-n-butyl-N-ethylaminosulfonyl group, an N-ethyl-N-isobutylaminosulfonyl group, an N-t-butyl-N-ethylaminosulfonyl group, an N-ethyl-N-n-pentylaminosulfonyl group, an N-ethyl-N-n-hexylaminosulfonyl group or the like.

A “di-C₁₋₃ alkylaminosulfonyl group” is a dimethylaminosulfonyl group, a diethylaminosulfonyl group, a di-n-propylaminosulfonyl group, a diisopropylaminosulfonyl group, an N-ethyl-N-methylaminosulfonyl group, an N-methyl-N-n-propylaminosulfonyl group, an N-isopropyl-N-methylaminosulfonyl group, an N-ethyl-N-n-propylaminosulfonyl group, or an N-ethyl-N-isopropylaminosulfonyl group or an N-isopropyl-N-n-propylaminosulfonyl group.

A “C₁₋₆ alkylsulfonylamino group” is a group consisting of the above-mentioned “C₁₋₆ alkylsulfonyl group” attached to an amino group and may, for example, be a methylsulfonylamino group, an ethylsulfonylamino group, a n-propylsulfonylamino group, an isopropylsulfonylamino group, a n-butylsulfonylamino group, an

isobutylsulfonylamino group, a t-butylsulfonylamino group, a n-pentylsulfonylamino group, a n-hexylsulfonylamino group or the like.

A "C₁₋₆ alkoxy carbonylamino group" is a group consisting of the above-mentioned "C₁₋₆ alkoxy carbonyl group" attached to an amino group and may, for example, be a methoxycarbonylamino group, an ethoxycarbonylamino group, a n-propoxycarbonylamino group, an isopropoxycarbonylamino group, a n-butoxycarbonylamino group, an isobutoxycarbonylamino group, a t-butoxycarbonylamino group, a n-pentyloxycarbonylamino group, a n-hexyloxycarbonylamino group or the like.

A "C₃₋₆ cycloalkoxy group" is a group consisting of the above-mentioned "C₃₋₆ cycloalkyl group" attached to an oxygen atom and may, for example, be a cyclopropoxy group, a cyclobutoxy group, a cyclopentyloxy group, a cyclohexyloxy group or the like.

A "C₃₋₆ cycloalkylamino group" is a group consisting of the above-mentioned "C₃₋₆ cycloalkyl group" attached to an amino group and may, for example, be a cyclopropylamino group, a cyclobutylamino group, a cyclopentylamino group, a cyclohexylamino group or the like.

A "di-C₃₋₆ cycloalkylamino group" is a group consisting of an amino group attached to two identical or different "C₃₋₆ cycloalkyl groups" such as those mentioned above and may, for example, be a dicyclopropylamino group, a dicyclobutylamino group, a dicyclopentylamino group, a dicyclohexylamino group or the like.

A "C₃₋₆ cycloalkylthio group" is a group consisting of the "C₃₋₆ cycloalkyl group" attached to -S- and may, for example, be a cyclopropylthio group, a cyclobutylthio group, a cyclopentylthio group, a cyclohexylthio group or the like.

A "C₃₋₆ cycloalkylcarbonyl group" is a group consisting of the above-mentioned "C₃₋₆ cycloalkyl group" attached to a carbonyl group and may, for example, be a cyclopropylcarbonyl group, a cyclobutylcarbonyl group, a cyclopentylcarbonyl group, a cyclohexylcarbonyl group or the like.

A "C₃₋₆ cycloalkylsulfonyl group" is a group consisting of the above-mentioned "C₃₋₆ cycloalkyl group" attached to a sulfonyl group and may, for example, be a cyclopropylsulfonyl group, a cyclobutylsulfonyl group, a cyclopentylsulfonyl group, a cyclohexylsulfonyl group or the like.

A "8 to 14-membered aromatic ring-condensed alicyclic hydrocarbon" is a fused ring system:

- 1) which has 8 to 14 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 non-aromatic ring(s) fused to aromatic-ring(s). It may, for example, be 1H-indene, 2,3-dihydroindene, 1H-inden-1-on, 1,2-dihydronaphthalene, 1,2,3,4-tetrahydronaphthalene, 3,4-dihydronaphthalen-1(2H)-on, 1,2,3,4-tetrahydro-1,4-methanonaphthalene, 1,2,3,4-tetrahydrophenanthrene, 2,3-dihydro-1H-phenalene, 9H-fluorene or the like.

A "8 to 14-membered aromatic ring-condensed alicyclic hydrocarbon group" is a monovalent group derived from 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 alicyclic carbocycle without particular restrictions.

It may, for example, be a 1H-inden-1-yl group, a 1H-inden-2-yl group, a 1H-inden-

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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.

5 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
10 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
15 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.

20 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
25 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
30 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
40 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" 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.

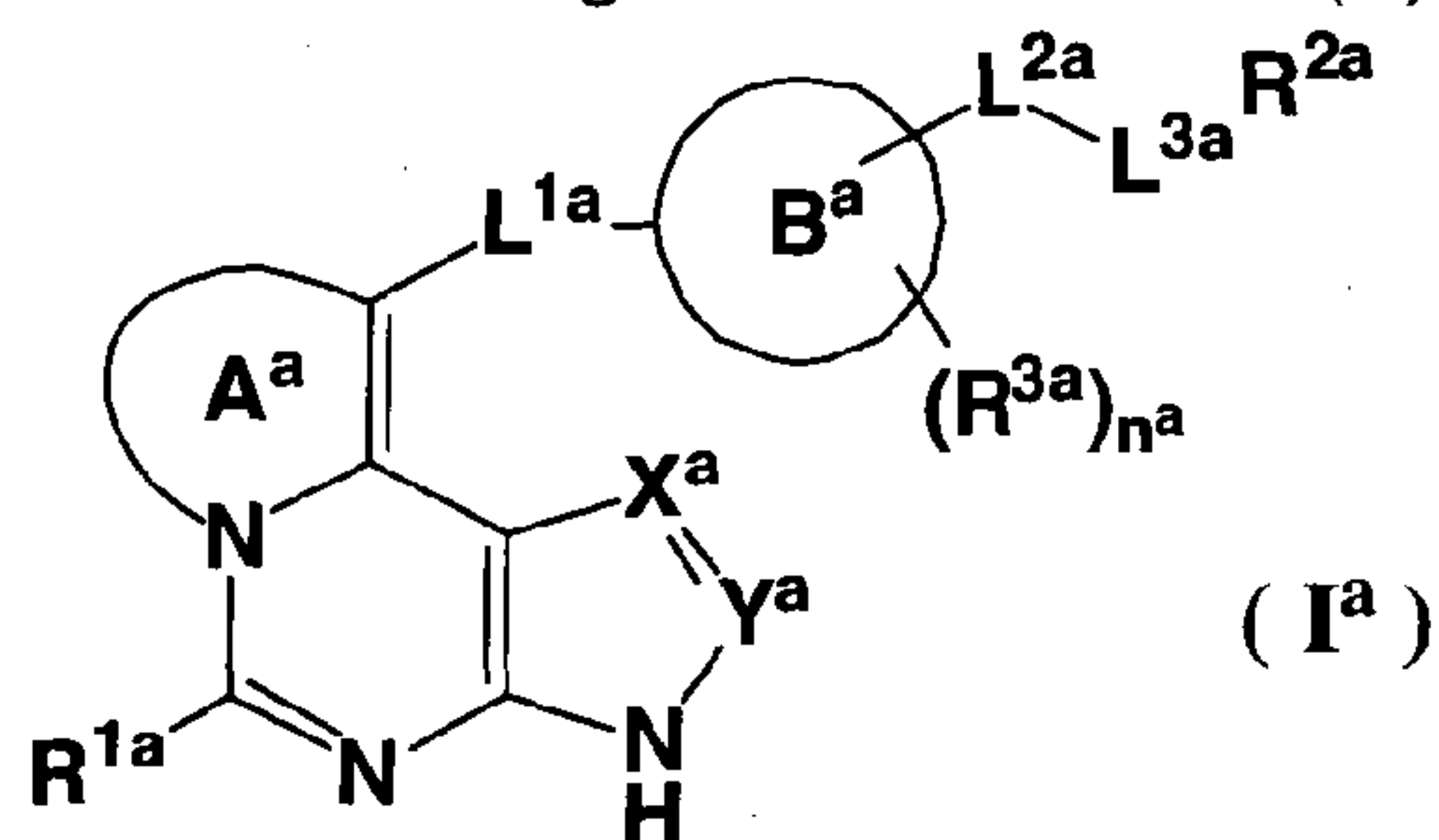
It may, for example, be a 1H-inden-4-yl group, a 1H-inden-5-yl group, a 1H-inden-6-yl group, a 1H-inden-7-yl group, a 5,6,7,8-tetrahydronaphthalen-1-yl group, a 5,6,7,8-tetrahydronaphthalen-2-yl group, a 5,6,7,8-tetrahydronaphthalen-3-yl group, a 5,6,7,8-tetrahydronaphthalen-4-yl group, an indolin-4-yl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

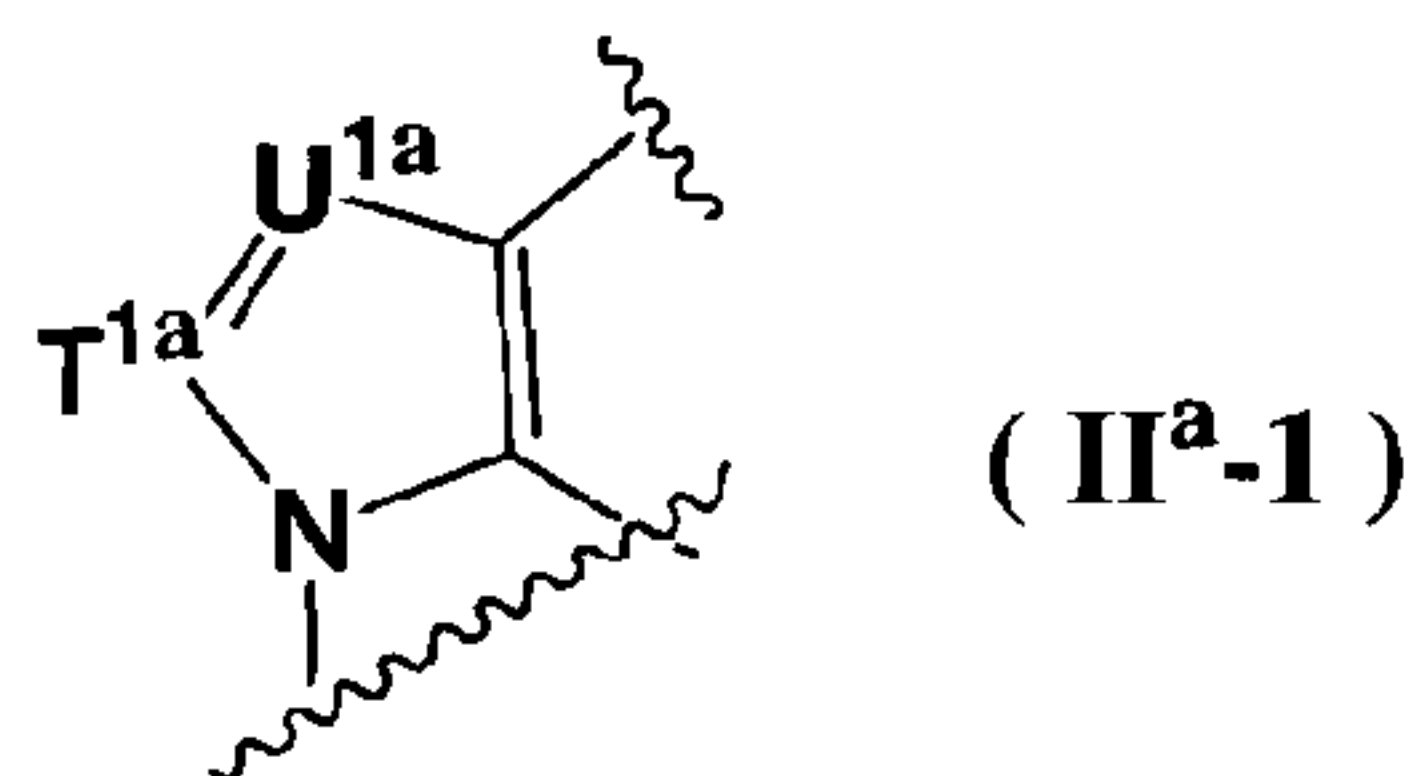
Now, the tricyclic pyrimidine compounds of the present invention represented by the formula (I^a) will be described.

First, how the ring A^a is fused in the tricyclic pyrimidine compounds of the present invention will be described.

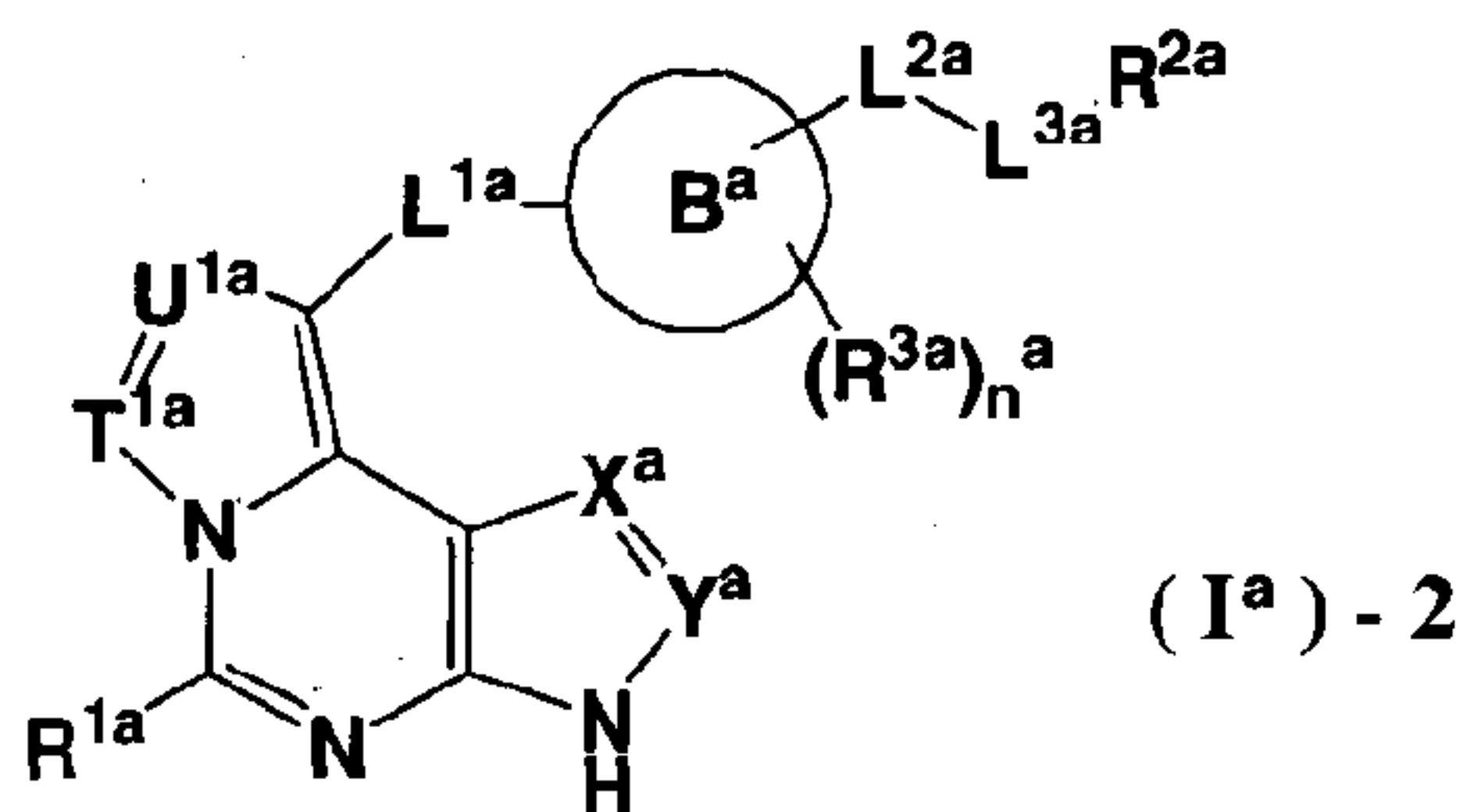
As is indicated in the formula (I^a), the ring A^a is fused to the pyrimidine ring so as to have a carbon atom and a nitrogen atom in common and attached to L^{1a} via a carbon atom in the ring A^a in the formula (I^a).



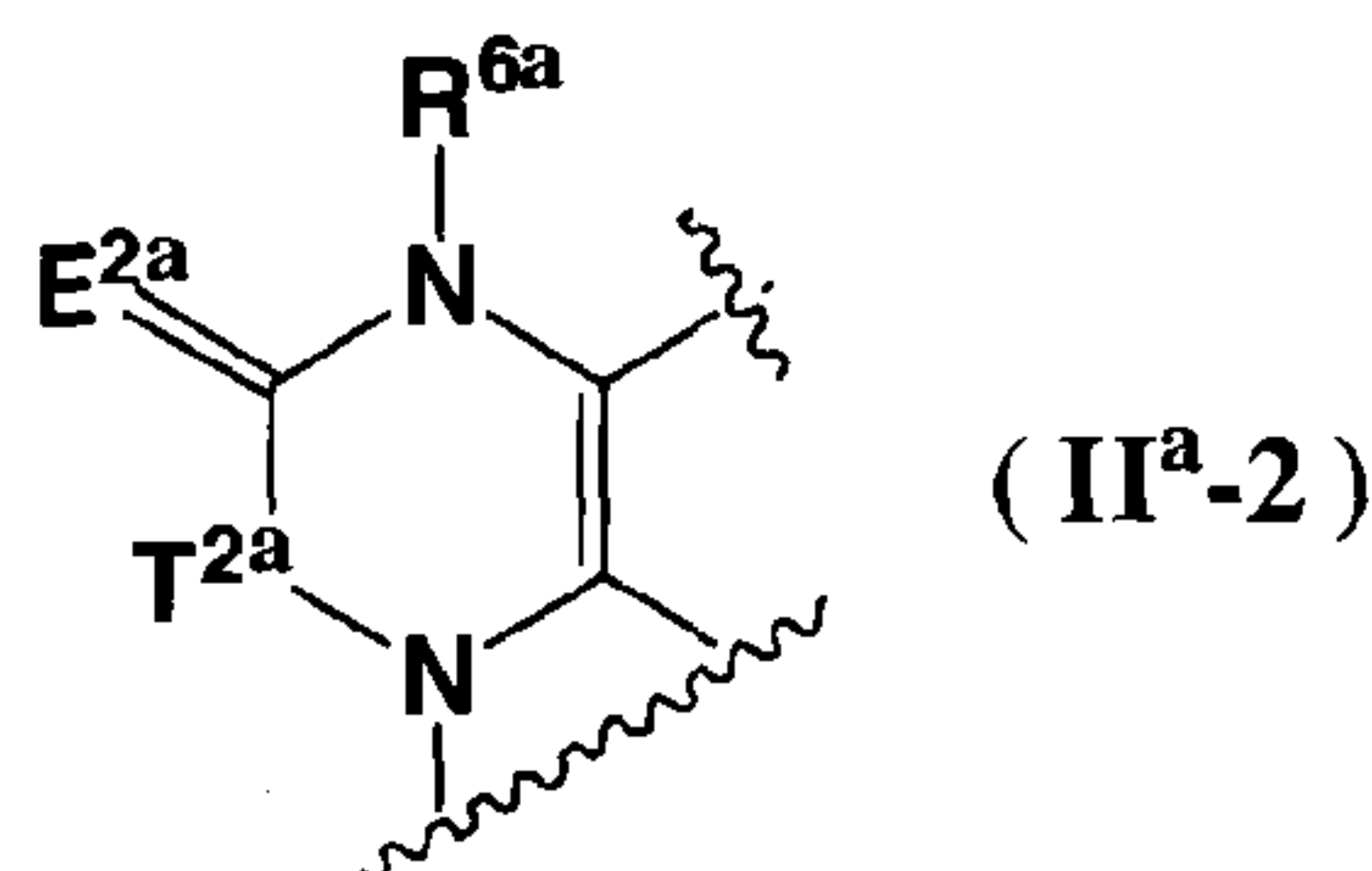
Therefore, when the ring A^a is represented by the formula (II^a-1),



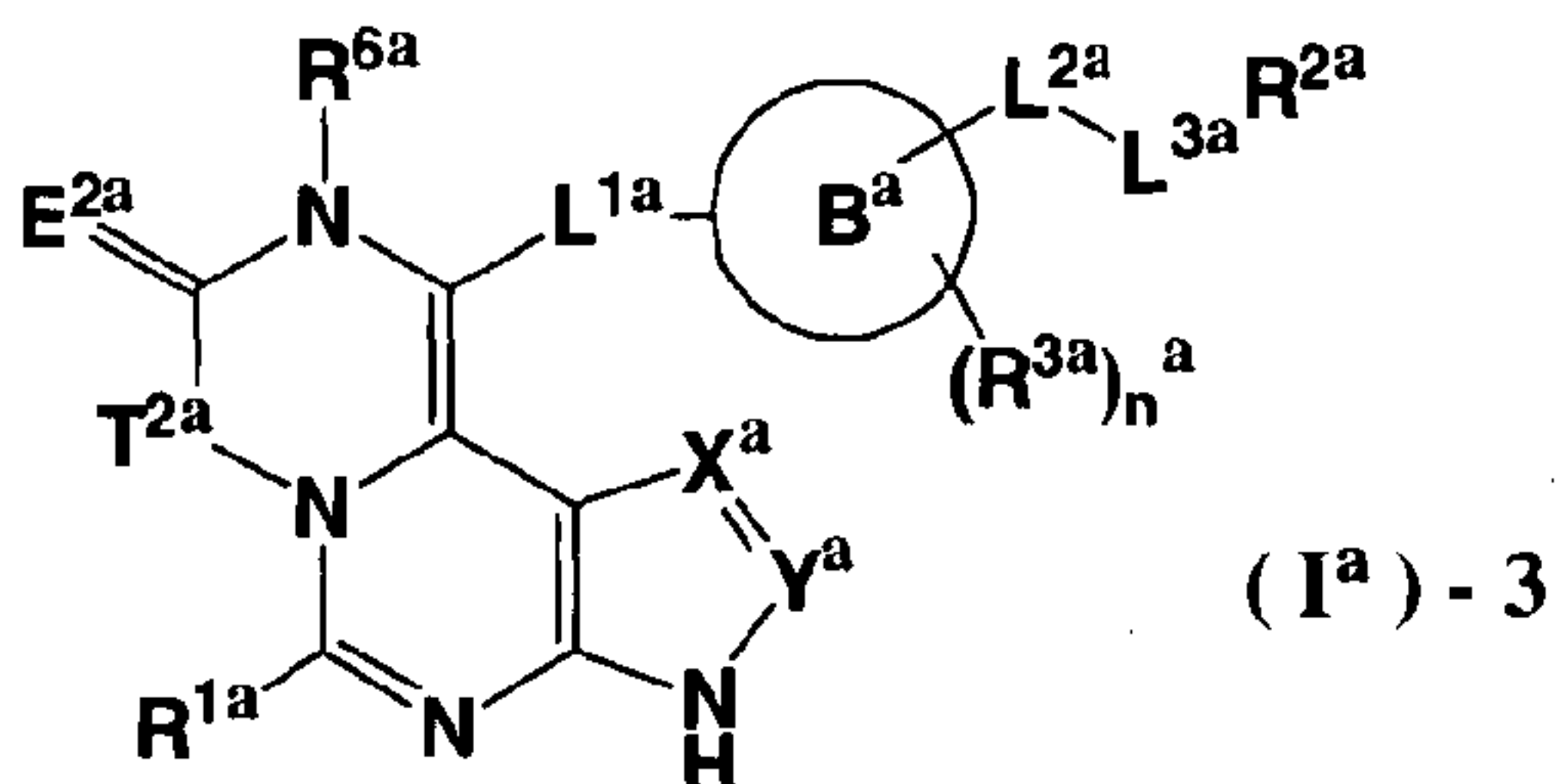
the molecule as a whole is represented by the formula (I^a)-2:



and when the ring A^a is represented by the formula (II^a-2),



the molecule as a whole is represented by the formula (I^a)-3.



In the present invention, the formulae representing L^{3a} indicate that the left ends of the formulae are bonded to L^{2a} , and the right ends of the formulae are bonded to R^{2a} .

In the present invention, L^{1a} , L^{2a} and R^{3a} may be bounded to the ring B^a in the formula (I^a) at any positions of the ring B^a without any particular restrictions.

Next, preferred structures of the respective substituents will be mentioned.

A preferred embodiment of the substituent R^{1a} is a hydrogen atom or a halogen atom.

A more preferred embodiment of the substituent R^{1a} is a hydrogen atom.

A preferred embodiment of the substituent Y^a is CR^{10a} (wherein R^{10a} is a hydrogen atom, a halogen atom, a cyano group, a C_{1-6} alkyl group, a C_{1-6} haloalkyl group or a C_{3-6} cycloalkyl group).

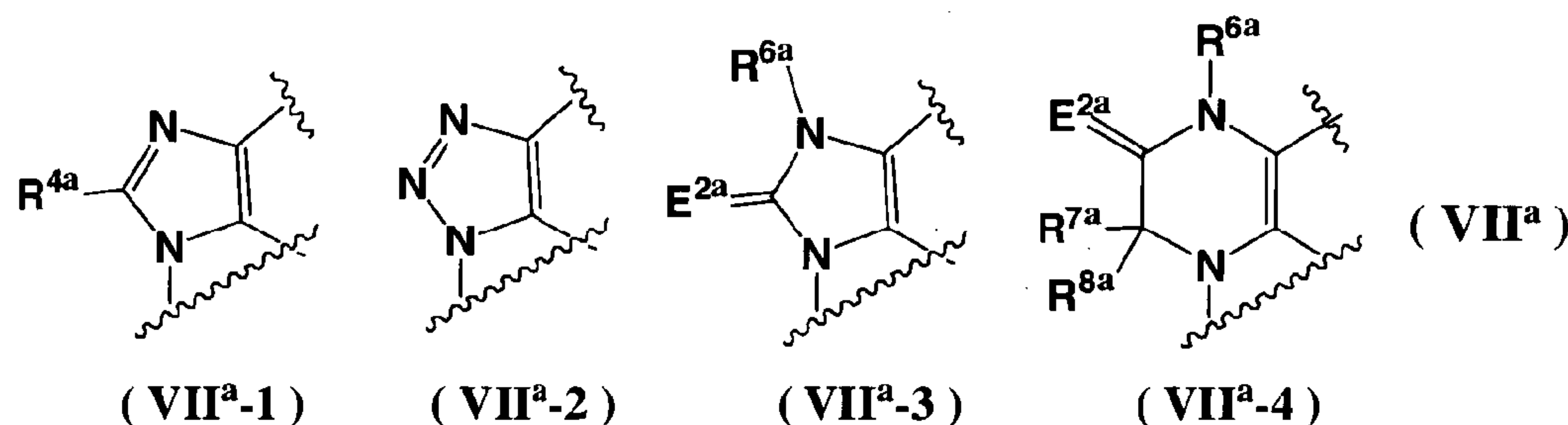
A more preferred embodiment of the substituent Y^a is CR^{10a} (wherein R^{10a} is a hydrogen atom).

A preferred embodiment of the substituent X^a is CR^{9a} (wherein R^{9a} is a hydrogen atom, a halogen atom, a cyano group, a C_{1-6} alkyl group, a C_{1-6} haloalkyl group or a C_{3-6} cycloalkyl group) or a nitrogen atom.

A more preferred embodiment of the substituent X^a is CR^{9a} (wherein R^{9a} is a hydrogen atom).

Another more preferred embodiment of the substituent X^a is CR^{9a} (wherein R^{9a} is a halogen atom).

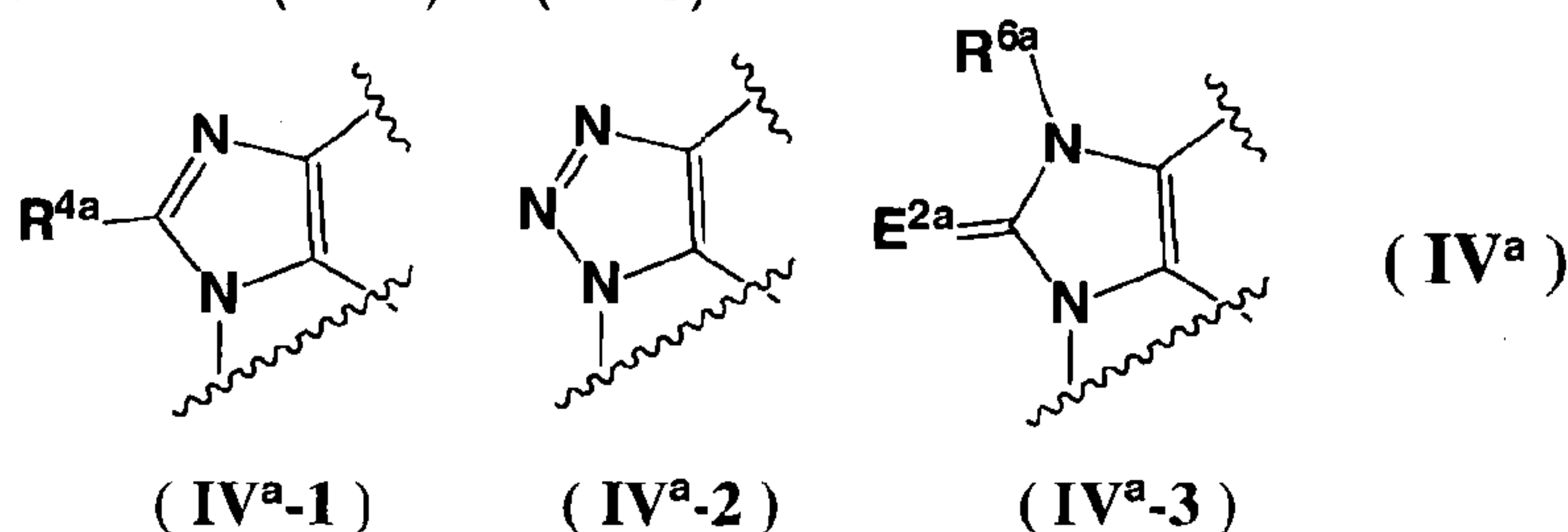
A preferred embodiment of the ring A^a is represented by any of the following formulae (VII^a-1) to (VII^a-4):



(wherein E^{2a} is an oxygen atom or a sulfur atom, each of R^{4a} , R^{7a} and R^{8a} is independently a hydrogen atom, an amino group, a carbamoyl group, a halogen atom, a cyano group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group, a C_{1-6} alkylthio group, a C_{1-6} alkylsulfonyl group (the C_{1-6} alkyl group, the C_{1-6} alkoxy group, the C_{1-6} alkylthio group and the C_{1-6} alkylsulfonyl group are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{3a}), 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^{1a}), and R^{6a} 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^{3a}), 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

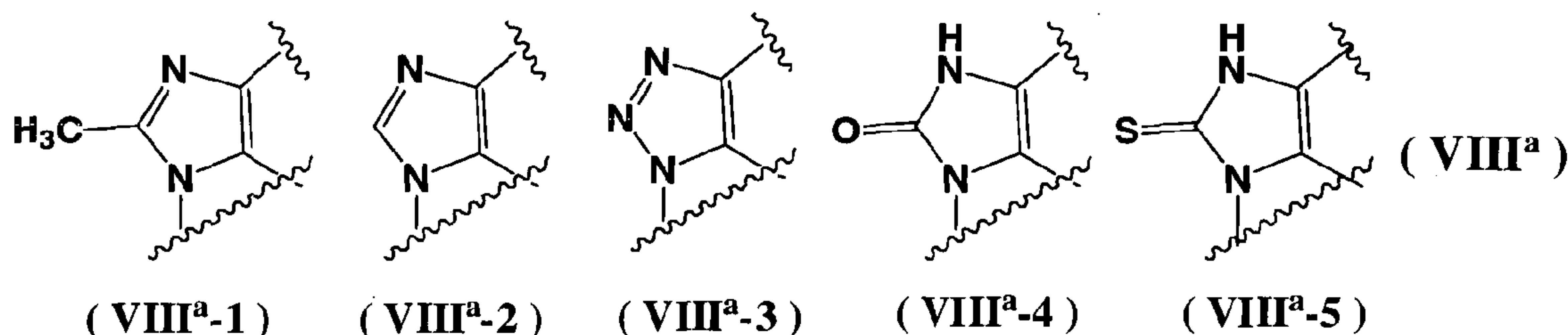
different substituents independently selected from the substituent set V^{1a})).

A more preferred embodiment of the ring A^a is represented by any of the following formulae (IV^a-1) to (IV^a-3):

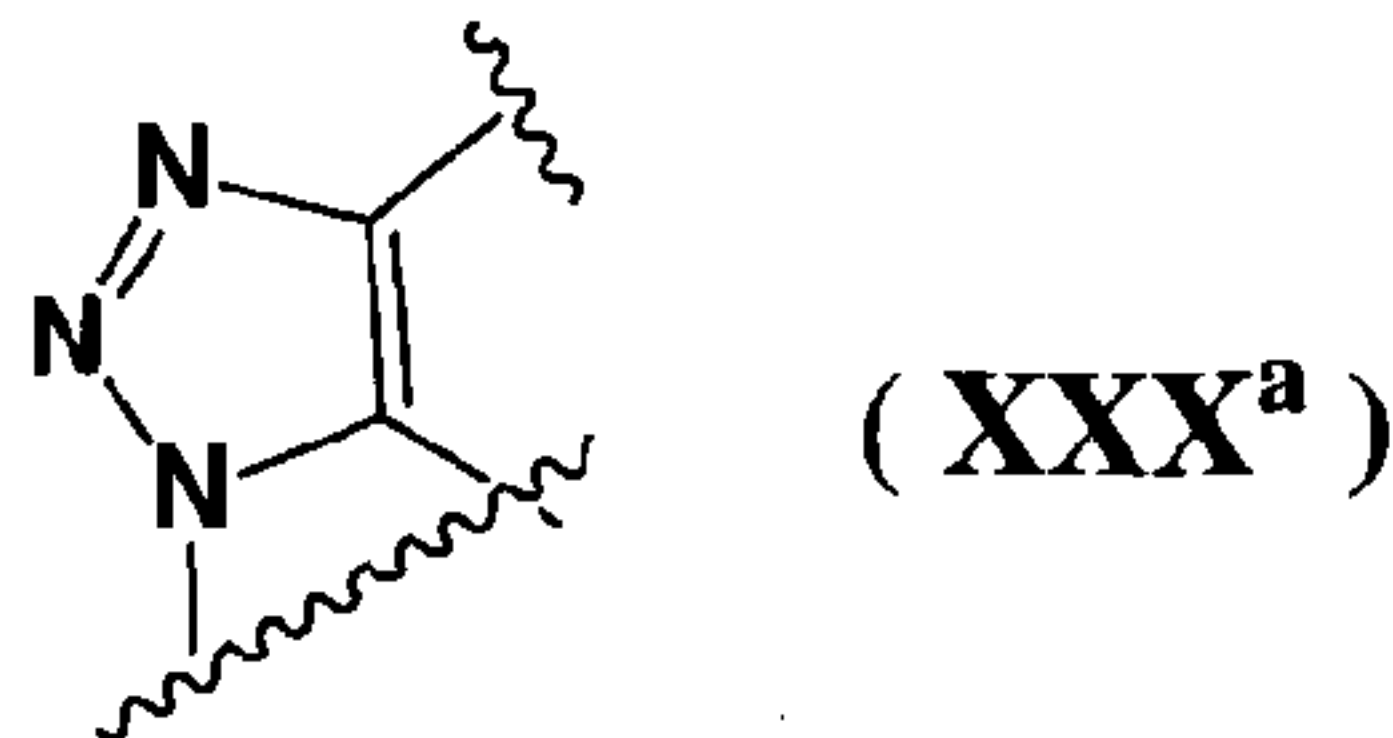


- 5 (wherein E^{2a} is an oxygen atom or a sulfur atom, R^{4a} is a hydrogen atom, a halogen atom, a C_{1-3} alkyl group, a C_{1-3} alkoxy group, a C_{1-3} alkylthio group or a C_{1-3} alkylsulfonyl group, and R^{6a} is a hydrogen atom or a C_{1-3} alkyl group).

A further preferred embodiment of the ring A^a is represented by any of the following formulae (VIII^a-1) to (VIII^a-5).



10 A particularly preferred embodiment of the ring A^a is represented by the formula (XXX^a).



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

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

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

20 A preferred embodiment of the ring B^a 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.

Another preferred embodiment of the ring B^a is a C_{3-11} cycloalkane (a ring-constituting methylene group of the C_{3-11} cycloalkane and the C_{3-11} cycloalkene is replaced by a carbonyl group).

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

Another more preferred embodiment of the ring B^a is a C_{4-7} cycloalkane (a ring-constituting methylene group of the C_{4-7} cycloalkane is replaced by a carbonyl group).

30 Another more preferred embodiment of the ring B^a is spiro[2,5]octane or adamantane.

A further preferred embodiment of the ring B^a is azetidine, pyrrolidine, piperidine,

azepane, cyclobutane, cyclopentane, cyclohexane, bicyclo[2.2.1]heptane, cycloheptane or benzene.

Another further preferred embodiment of the ring B^a is cyclohexanone.

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

5 A preferred embodiment of the substituent L^{2a} is a single bond, a C₁₋₃ alkylene group or a C₁₋₃ haloalkylene group (the C₁₋₃ alkylene group and the C₁₋₃ haloalkylene group are substituted with a cyano group).

10 Another preferred embodiment of the substituent L^{2a} is a C₁₋₃ alkylene group or a C₁₋₃ haloalkylene group (the C₁₋₃ alkylene group and the C₁₋₃ haloalkylene group are unsubstituted or substituted with a hydroxy group).

Another preferred embodiment of the substituent L^{2a} is a C₂₋₃ alkenylene group (the C₂₋₃ alkenylene group is unsubstituted or substituted with a hydroxy group or a cyano group).

15 Another preferred embodiment of the substituent L^{2a} is a C₁₋₃ alkylene group or a C₂₋₃ alkenylene group (the C₁₋₃ alkylene group and the C₂₋₃ alkenylene group are substituted with two cyano groups).

Another preferred embodiment of the substituent L^{2a} is 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 two cyano groups) or a C₁₋₆ haloalkylene.

20 Another preferred embodiment of the substituent 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 cyano group, and the bond connecting the ring B^a and L^{2a} is a double bond).

25 A more preferred embodiment of the substituent L^{2a} is a single bond or a methylene group (the methylene 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 or with a hydroxy group).

30 Another more preferred embodiment of the substituent L^{2a} is an ethylene group (the ethylene 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 or with a hydroxy group) or a propylene group.

35 Another more preferred embodiment of the substituent L^{2a} is a C₁₋₃ alkylene group (the C₁₋₃ alkylene group is substituted with a cyano group).

Another more preferred embodiment of the substituent L^{2a} is a C₁₋₃ alkylene group (the C₁₋₃ alkylene group is substituted with two cyano groups).

Another more preferred embodiment of the substituent L^{2a} is a C₂₋₃ alkenylene group (the C₂₋₃ alkenylene group is substituted with a cyano group).

40 Another more preferred embodiment of the substituent L^{2a} is a C₂₋₃ alkenylene group (the C₂₋₃ alkenylene group is substituted with two cyano groups).

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

45 Another further preferred embodiment of the substituent L^{2a} is a C₁₋₃ alkylene group (the C₁₋₃ alkylene group is substituted with one or two cyano groups).

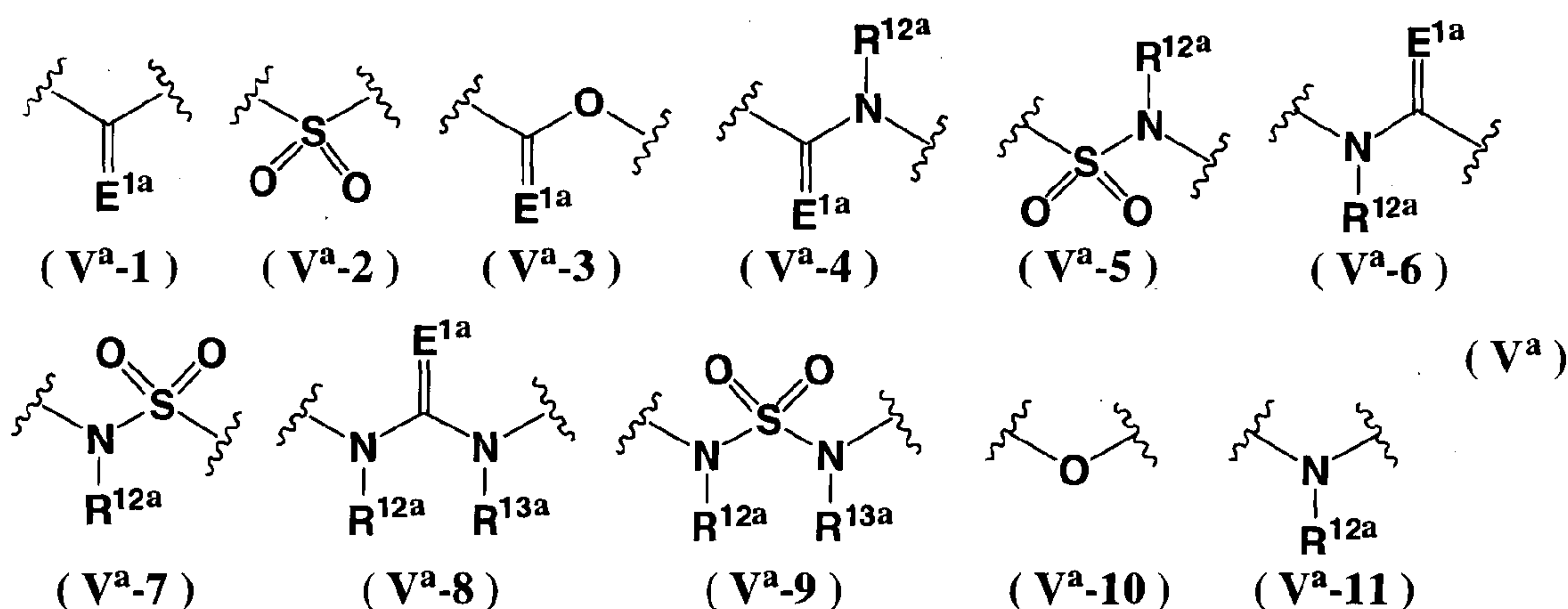
A preferred embodiment of the substituent L^{3a} and the substituent R^{2a} is such that L^{3a} is a single bond, and R^{2a} is a hydrogen atom, a halogen atom, a C₃₋₆ cycloalkyl group, a 3 to 11-membered non-aromatic heterocyclyl group, a phenyl group or a 5 to

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 substituent set V^{1a}).

Another 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, an azido group, 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 the substituent set V^{4a}, the 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))).

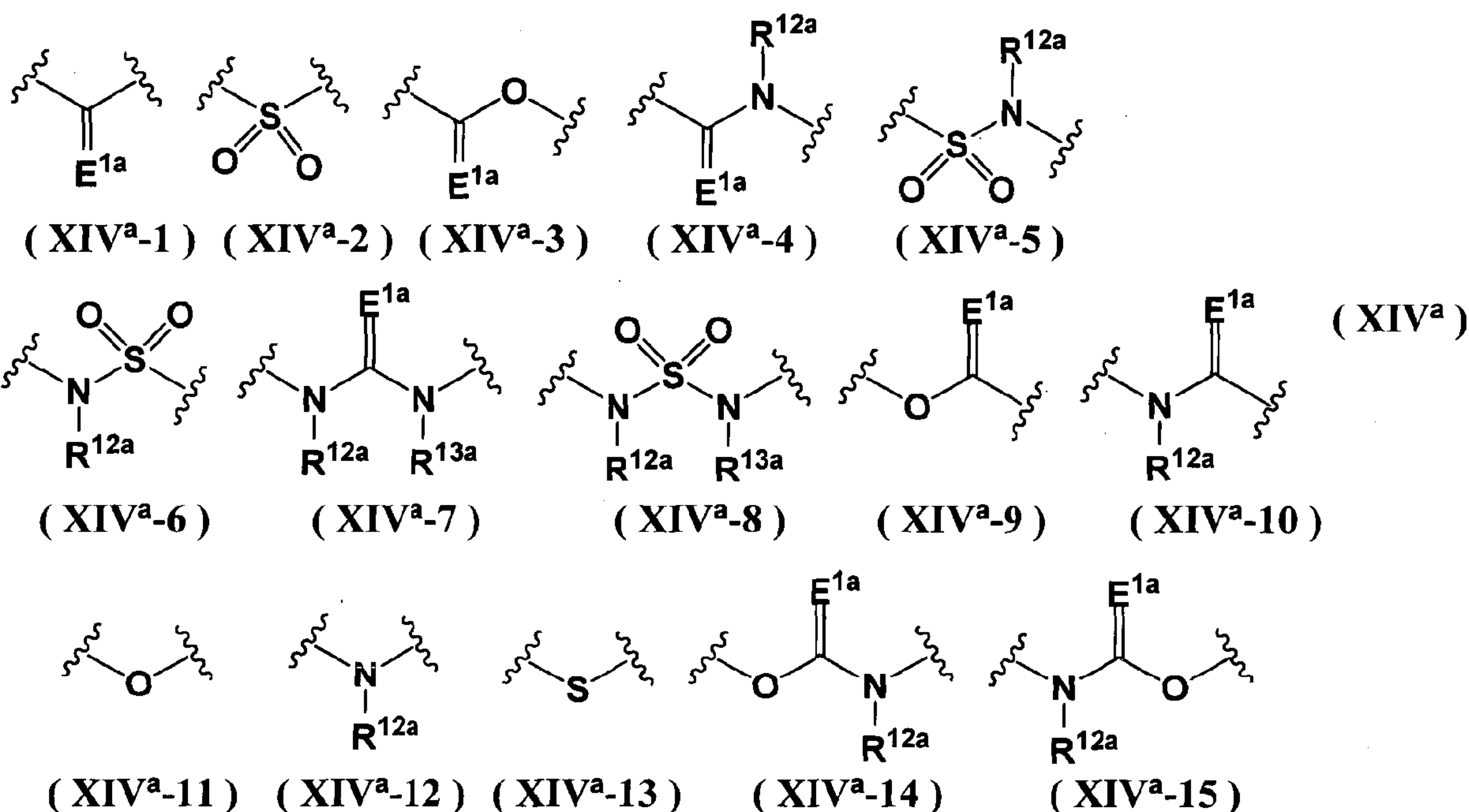
Another preferred embodiment of the substituent L^{3a} and the substituent R^{2a} is such that L^{3a} is a single bond, and R^{2a} is a 8 to 11-membered partially saturated aromatic cyclic group (the 8 to 11-membered partially saturated aromatic cyclic group is unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{1a}).

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 (V^a-1) to (V^a-11):



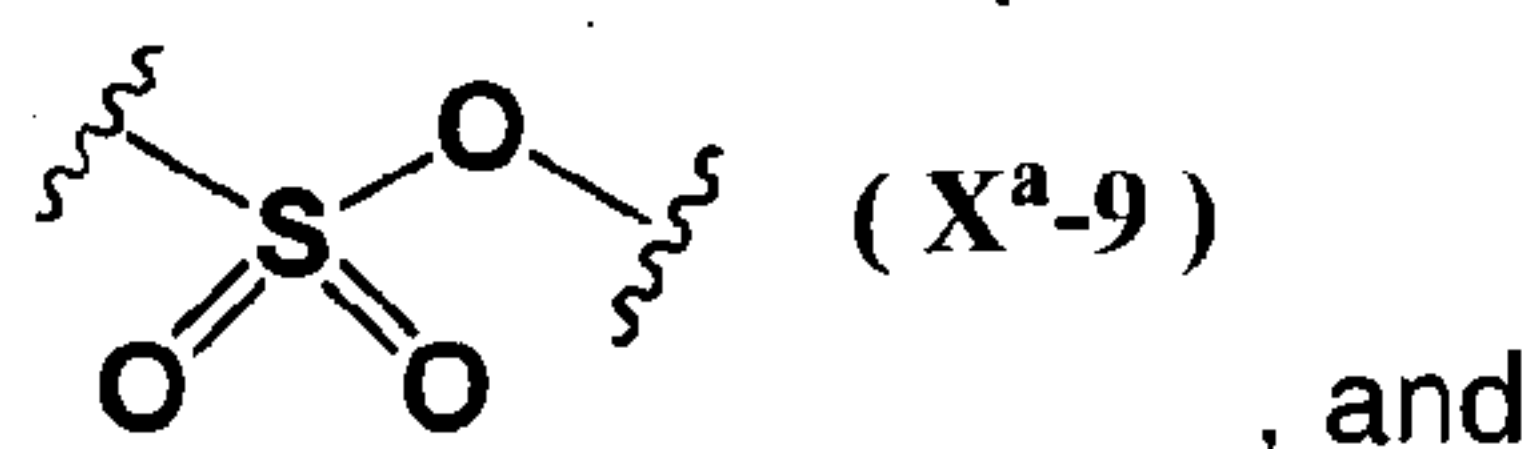
(wherein E^{1a} is an oxygen atom or a sulfur atom, and each of R^{12a} and R^{13a} is independently a hydrogen atom, a C₁₋₆ alkyl group or a C₁₋₆ haloalkyl group), and R^{2a} is a hydrogen atom, a C₁₋₆ alkyl group (the C₁₋₆ alkyl group is unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{5a}), a C₂₋₆ alkenyl group, 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 C₂₋₆ alkenyl 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 substituent set V^{4a}).

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 (XIV^a-1) to (XIV^a-15) and (XIII^a):



(wherein E^{1a} is an oxygen atom, a sulfur atom or NR^{11a} (wherein R^{11a} is a hydroxy group), and each of R^{12a} and R^{13a} is independently a hydrogen atom, a C_{1-6} alkyl group or a C_{1-6} haloalkyl group), and 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 V^{9a}), 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^{4a} and the substituent set V^{9a}).

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):



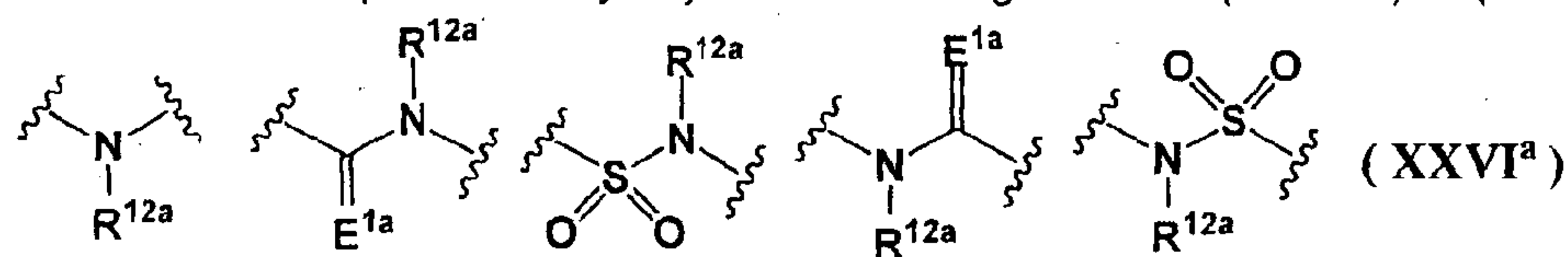
R^{2a} is a hydrogen atom.

Another preferred embodiment of the substituent L^{3a} and the substituent R^{2a} is

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such that L^{3a} is represented by any of the following formulae (XXVI^a-1) to (XXVI^a-5):



(XXVI^a-1) (XXVI^a-2) (XXVI^a-3) (XXVI^a-4) (XXVI^a-5)

(wherein E^{1a} is an oxygen atom or a sulfur atom, and R^{12a} is a C₁₋₆ alkyl group or a C₁₋₆ haloalkyl group (the C₁₋₆ alkyl group and the C₁₋₆ 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, 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 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 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})), 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})), and R^{2a} is 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 C₃₋₆ cycloalkyl group, a phenyl group, a naphthyl 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, 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 C₃₋₆ cycloalkyl group, a phenyl group or a 5 to 6-membered aromatic heterocyclyl group (the C₃₋₆ cycloalkyl 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})).

Another 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 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 substituent set V^{1a})).

Another 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 C_{3-6} cycloalkyl group, a 3 to 11-membered non-aromatic heterocyclyl group, a phenyl group or a 5 to 6-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 6-membered aromatic heterocyclyl group are substituted with identical or different one, two or three substituents independently selected from the group consisting of C_{1-6} alkyl groups, C_{1-6} alkoxy groups, C_{1-6} alkylthio groups, C_{1-6} alkylsulfonyl groups, C_{1-6} alkylcarbonyl groups (the C_{1-6} alkyl groups, the C_{1-6} alkoxy groups, the C_{1-6} alkylthio groups, the C_{1-6} alkylsulfonyl groups and the C_{1-6} alkylcarbonyl groups are substituted with a substituent selected from the group consisting of a hydroxy group, a cyano group, a C_{1-6} alkoxy group and a C_{1-6} alkoxycarbonylamino group), 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} 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 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), mono-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 halogen atoms independently selected from the group consisting of fluorine atoms, chlorine atoms, bromine atoms and iodine atoms), 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 substituent set V^{1a})).

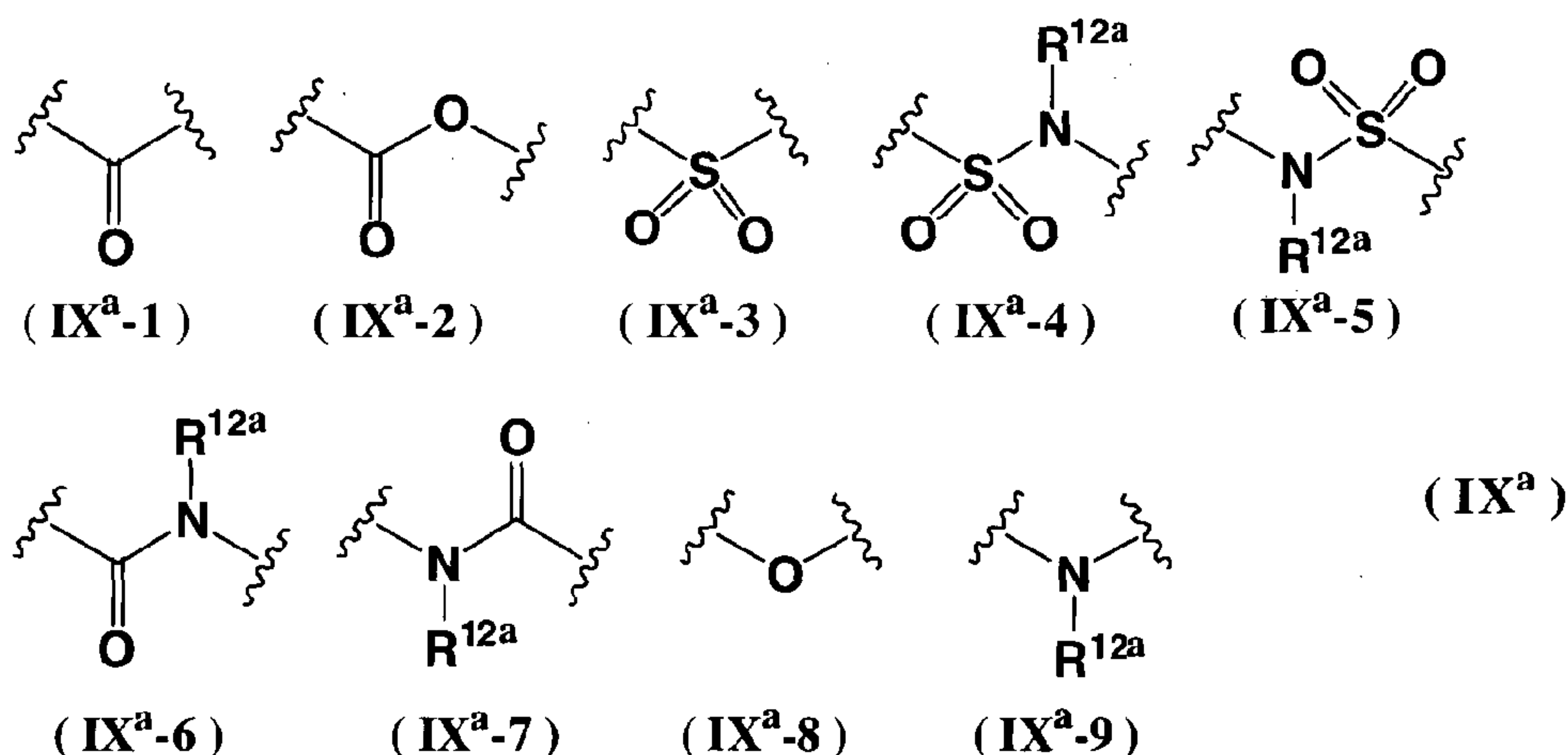
Another more preferred embodiment of the substituent L^{3a} and the substituent R^{2a} is such that L^{3a} is a single bond, and R^{2a} is a C_{3-6} cycloalkyl group, a 3 to 11-membered non-aromatic heterocyclyl group, a phenyl group or a 5 to 6-membered aromatic heterocyclyl group (the C_{3-6} cycloalkyl group, the 3 to 11-membered non-aromatic heterocyclyl group, the phenyl group and the 5 to 6-membered aromatic heterocyclyl group are substituted with a substituent selected from the group consisting of a C_{1-6} alkyl group, a C_{1-6} alkoxy group (the C_{1-6} alkyl group and the C_{1-6} alkoxy group are substituted with a hydroxy group or a cyano group), a mono- C_{1-6} alkylamino group, a di- C_{1-6} alkylamino group, a mono- C_{1-6} alkylaminocarbonyl group, a C_{1-6} alkylcarbonylamino group (the mono- C_{1-6} alkylamino group, the di- C_{1-6} alkylamino group, the mono- C_{1-6} alkylaminocarbonyl group and the C_{1-6} alkylcarbonylamino group are substituted with one or more identical or different substituents independently selected from the group consisting of halogen atoms, hydroxy groups and cyano groups), a phenyl group, 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 one or two identical or different substituents independently selected from the group consisting of halogen atoms, cyano groups, C_{1-6} alkyl groups and C_{1-6} haloalkyl groups), a mono- C_{1-6} alkylaminosulfonyl group, a di- C_{1-6} alkylaminosulfonyl group, a C_{1-6} alkylsulfonylamino group and a C_{1-6} alkoxycarbonylamino group (the mono- C_{1-6} alkylaminosulfonyl group,

the di-C₁₋₆ alkylaminosulfonyl group, the C₁₋₆ alkylsulfonylamino group and the C₁₋₆ alkoxy carbonylamino 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) and with one or more identical or different substituents independently selected from the group consisting of hydroxy groups, halogen atoms, cyano groups, C₁₋₆ alkyl groups, C₁₋₆ haloalkyl groups, C₁₋₆ alkoxy groups, C₁₋₆ haloalkoxy groups, C₁₋₆ alkylsulfonyl groups and C₁₋₆ haloalkylsulfonyl groups).

Another 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 an azido group.

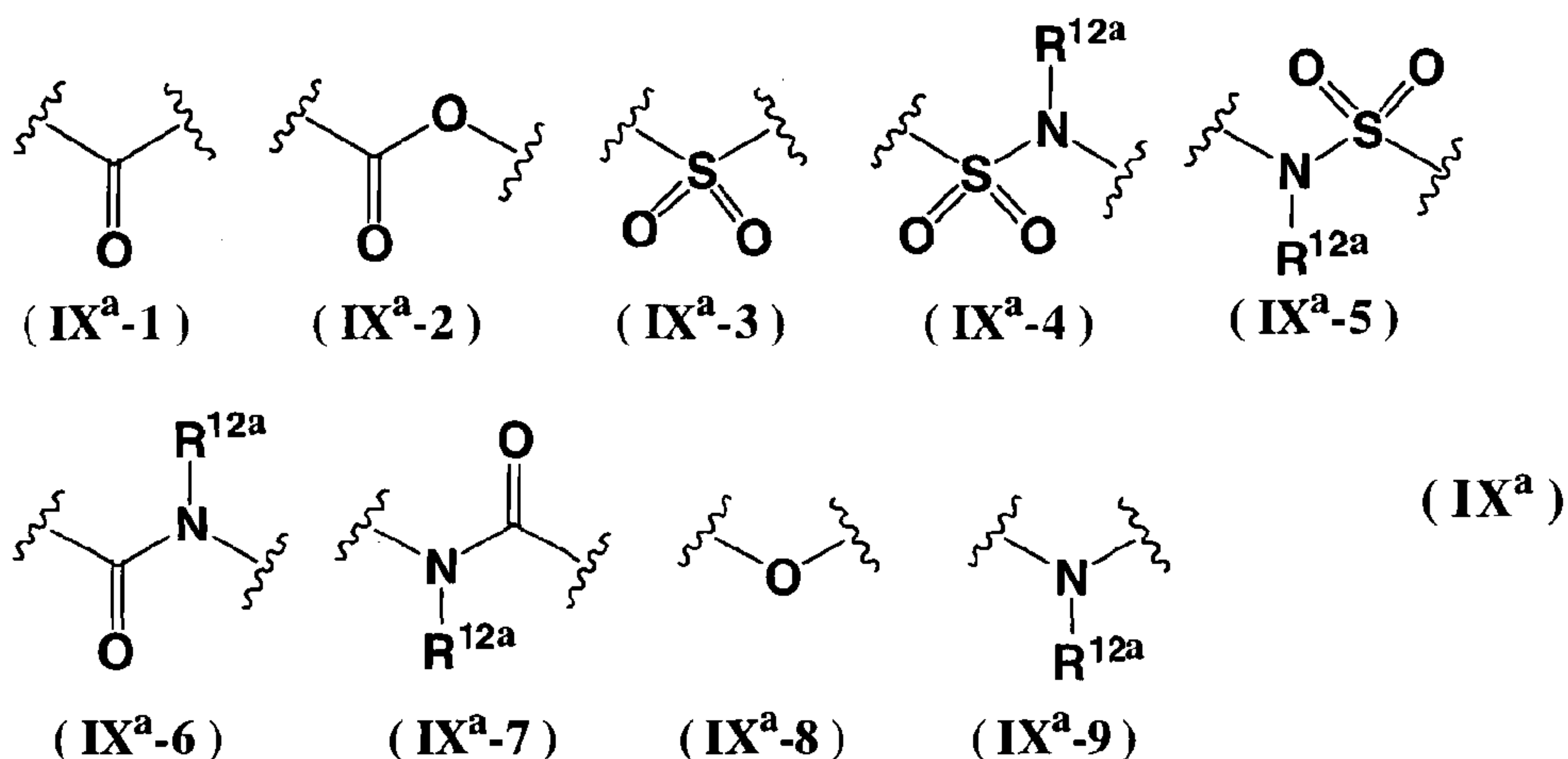
Another more preferred embodiment of the substituent L^{3a} and the substituent R^{2a} is such that L^{3a} is a single bond, and R^{2a} is a 8 to 11-membered partially saturated aromatic cyclic group (the 8 to 11-membered partially saturated aromatic cyclic group is unsubstituted or substituted with one or two identical or different halogen atoms independently selected from the group consisting of fluorine atoms, chlorine atoms, bromine atoms and iodine atoms).

Another more 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 (IX^a-1) to (IX^a-9):



(wherein R^{12a} is a hydrogen atom or a C₁₋₃ alkyl group), and R^{2a} 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 are unsubstituted or substituted with one or two identical or different substituents independently selected from the group consisting of hydroxy groups, amino groups, carbamoyl groups, sulfamoyl groups, tetrazolyl groups, cyano groups, nitro groups, C₃₋₆ cycloalkyl groups, C₁₋₃ alkoxy groups, C₁₋₆ haloalkoxy groups, C₁₋₃ alkylsulfonyl groups, C₁₋₃ haloalkylsulfonyl groups, 4 to 7-membered non-aromatic heterocyclyl groups, phenyl groups and 5 to 6-membered aromatic heterocyclyl groups).

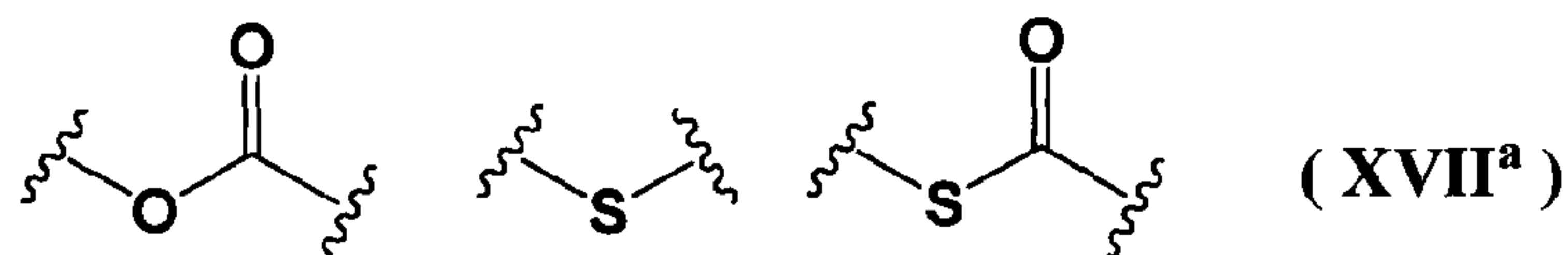
Another more preferred embodiment of the substituent L^{3a} and the substituent R^{2a} is such that L^{3a} is represented by any of the following formulae (IX^a-1) to (IX^a-9):



(wherein R^{12a} is a hydrogen atom, a C₁₋₃ alkyl group or a C₁₋₃ haloalkyl group), 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, two or three identical or different substituents independently selected from the group consisting of hydroxy groups, amino groups, carbamoyl groups, sulfamoyl groups, cyano groups, nitro groups, C₁₋₆ alkoxy groups, C₁₋₆ haloalkoxy groups, C₁₋₆ alkylsulfonyl groups, C₁₋₆ haloalkylsulfonyl groups, mono-C₁₋₆ alkylamino groups, di-C₁₋₆ alkylamino groups, mono-C₁₋₆ alkylaminocarbonyl groups, di-C₁₋₆ alkylaminocarbonyl groups (the mono-C₁₋₆ alkylamino groups, the di-C₁₋₆ alkylamino 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, 3 to 11-membered non-aromatic heterocyclyl groups, phenyl groups and 5 to 10-membered aromatic heterocyclyl groups (the C₃₋₆ cycloalkyl groups, the 3 to 11-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 or more 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, C₁₋₆ alkylthio groups, C₁₋₆ haloalkylthio groups, C₁₋₆ alkylsulfonyl groups, C₁₋₆ haloalkylsulfonyl 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, 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 and 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, phenyl groups and 5 to 6-membered aromatic heterocyclyl groups)), 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 identical or different one or more substituents independently selected from the group consisting of hydroxy groups, amino groups, halogen atoms, cyano groups, carbamoyl groups, C₁₋₆ alkyl groups (the C₁₋₆

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), C₁₋₆ haloalkyl 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, mono-C₁₋₆ alkylamino groups, di-C₁₋₆ alkylamino groups, mono-C₁₋₆ alkylaminocarbonyl groups, di-C₁₋₆ alkylaminocarbonyl groups, C₁₋₆ alkylcarbonylamino groups, 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 and 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, 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, cyano groups and C₁₋₃ haloalkyl groups)).

Another more 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 (XVII^a-1) to (XVII^a-3):

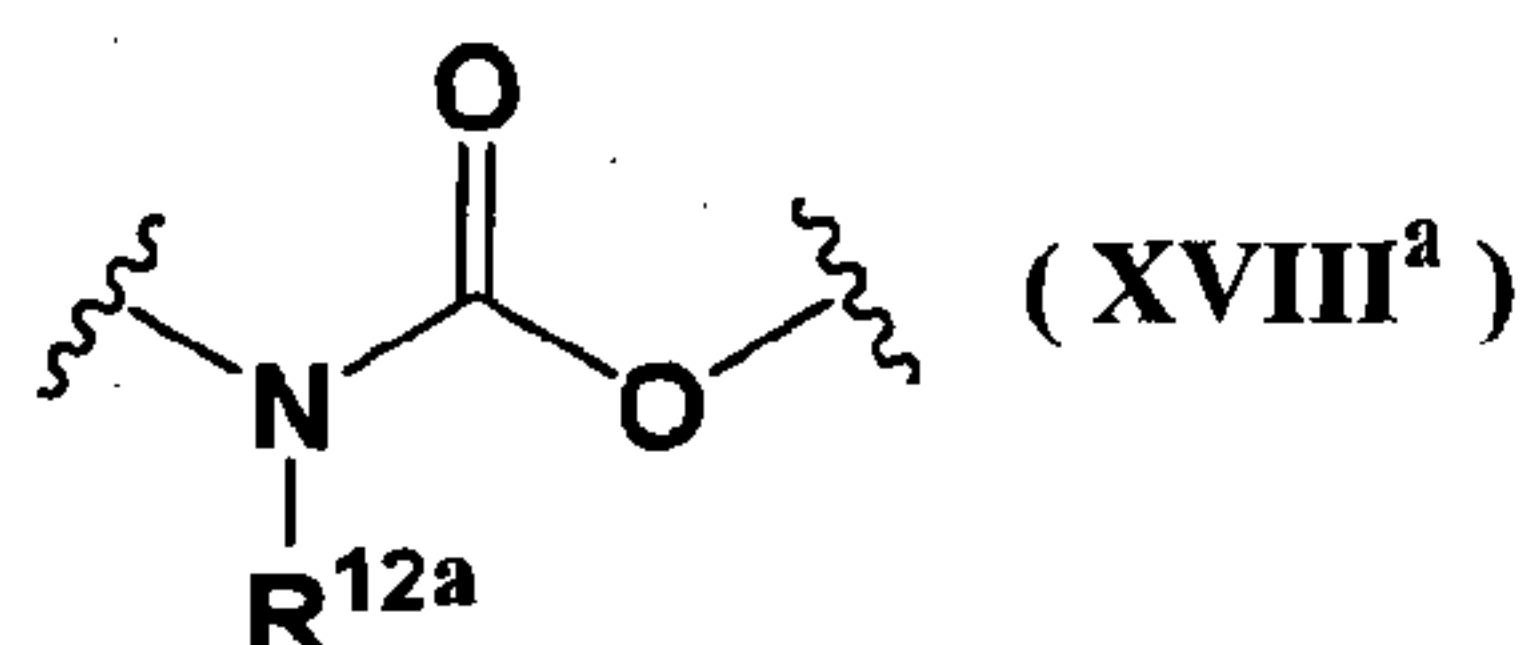


(XVII^a-1) (XVII^a-2) (XVII^a-3)

,and

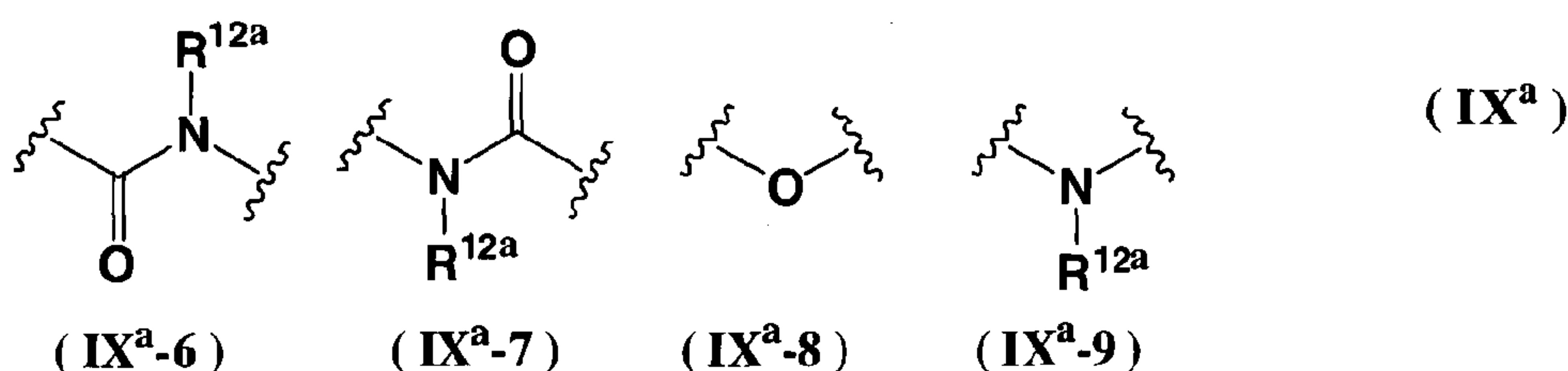
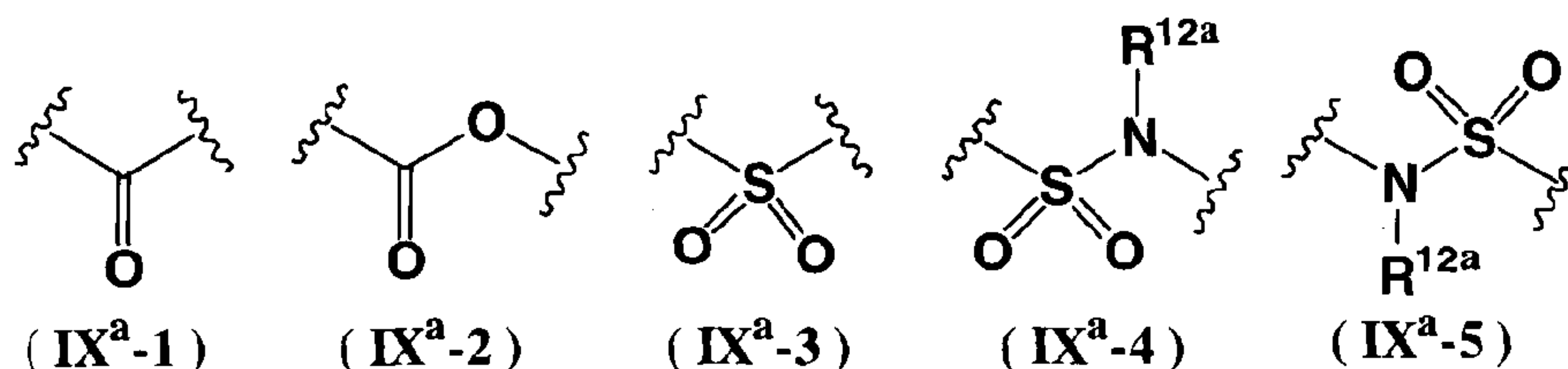
R^{2a} is a hydrogen atom or a C₁₋₆ alkyl group.

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



(wherein R^{12a} is a hydrogen atom), and R^{2a} is a C₁₋₆ alkyl group (the C₁₋₆ alkyl group is, unsubstituted or substituted with a phenyl group).

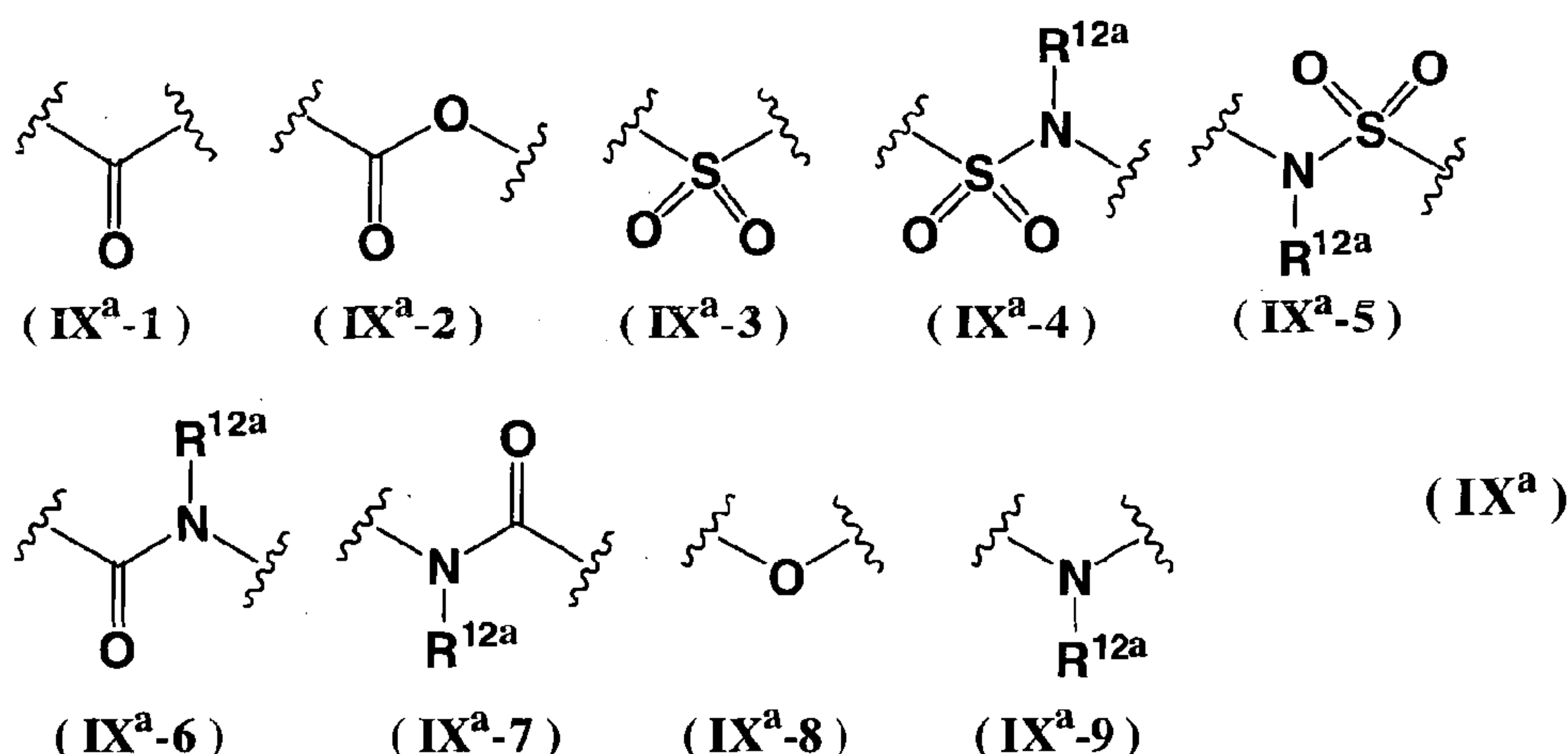
Another more preferred embodiment of the substituent L^{3a} and the substituent R^{2a} is such that L^{3a} is represented by any of the following formulae (IX^a-1) to (IX^a-9):



(IX^a)

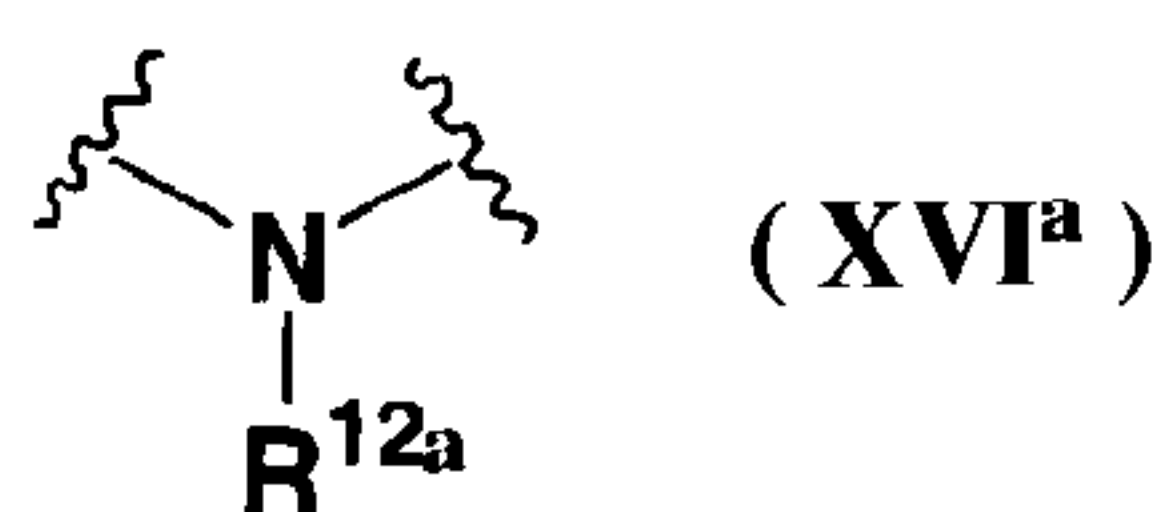
(wherein R^{12a} is a hydrogen atom, a C_{1-3} alkyl group or a C_{1-3} haloalkyl group), and R^{2a} is a C_{1-6} alkyl group, a C_{1-6} haloalkyl group (the C_{1-6} alkyl group and the C_{1-6} haloalkyl group are substituted with a substituent selected from the group consisting of a C_{3-6} cycloalkyl group, a 3 to 11-membered non-aromatic heterocyclyl group, a phenyl group and 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 substituted with one or two identical or different substituents independently selected from the group consisting of C_{1-6} alkyl groups (the C_{1-6} alkyl groups are unsubstituted or substituted with a hydroxy group or a cyano group) and C_{1-6} haloalkyl groups)) or a C_{2-6} alkynyl group.

Another more 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 (IX^a-1) to (IX^a-9):



(wherein R^{12a} is a hydrogen atom, a C_{1-3} alkyl group or a C_{1-3} haloalkyl group), and R^{2a} 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 are substituted with a substituent selected from the group consisting of a C_{3-6} cycloalkyl group, a 3 to 11-membered non-aromatic heterocyclyl group, a phenyl group and 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 substituted with one or two identical or different substituents independently selected from the group consisting of C_{1-6} alkyl groups and C_{1-6} haloalkyl groups and with one or two identical or different substituents independently selected from the group consisting of hydroxy groups, amino groups, halogen atoms, cyano groups, C_{1-6} alkoxy groups, C_{1-6} haloalkoxy groups, mono- C_{1-6} alkylamino groups, di- C_{1-6} alkylamino groups, C_{1-6} alkylthio groups, C_{1-6} haloalkylthio groups, C_{1-6} alkylsulfonyl groups, C_{1-6} haloalkylsulfonyl groups, C_{3-6} cycloalkyl groups, 4 to 7-membered non-aromatic heterocyclyl groups, phenyl groups and 5 to 6-membered aromatic heterocyclyl groups)).

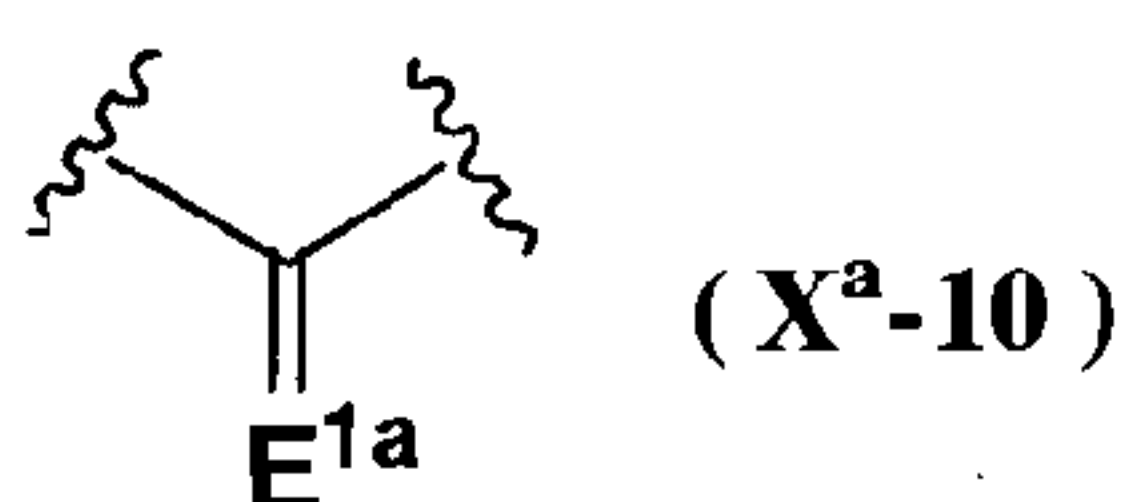
Another more preferred embodiment of the substituent L^{3a} and the substituent R^{2a} is such that L^{3a} is represented by the formula (XVI^a):



(wherein R^{12a} is a hydrogen atom), and R^{2a} is a 8 to 11-membered partially saturated aromatic cyclic group or a 8 to 11-membered aromatic ring-condensed alicyclic

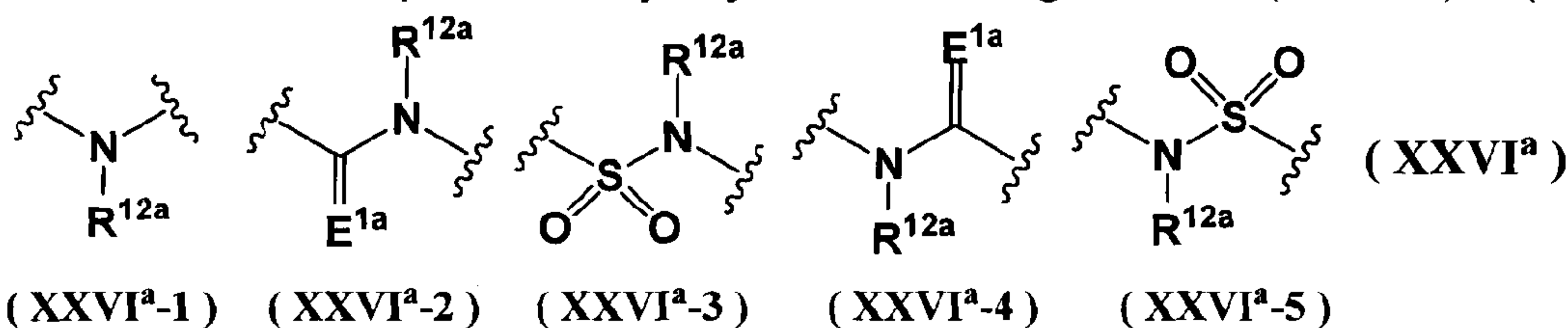
hydrocarbon group (the 8 to 11-membered partially saturated aromatic cyclic group and the 8 to 11-membered aromatic ring-condensed alicyclic hydrocarbon group are unsubstituted or substituted with one or more identical or different substituents independently selected from the group consisting of halogen atoms and hydroxy groups).

Another more preferred embodiment of the substituent L^{3a} and the substituent R^{2a} is such that L^{3a} is represented by the formula (X^a-10):



(wherein E^{1a} is NR^{11a} (wherein R^{11a} is a hydroxy group)), and R^{2a} is a hydrogen atom.

Another more 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, and R^{12a} is a C_{1-6} alkyl group (the C_{1-6} alkyl group is 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 4 to 7-membered non-aromatic heterocyclyl group, a phenyl group and 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 a substituent selected from the group consisting of a hydroxy group, a halogen atom, a cyano group, a C_{1-3} alkyl group, a C_{1-3} haloalkyl group and a C_{1-3} alkoxy group)), 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_{1-3} alkyl groups, C_{1-3} haloalkyl groups and C_{1-3} alkoxy groups)), and R^{2a} is 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, mono- C_{1-6} alkylaminocarbonyl groups, (the mono- C_{1-6} 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), 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 identical or different one or two substituents independently selected from the group consisting of hydroxy groups, halogen atoms, cyano groups, carbamoyl groups, C_{1-6} alkyl groups, C_{1-6} haloalkyl

groups, C₁₋₆ alkoxy groups, C₁₋₆ haloalkoxy groups, mono-C₁₋₆ alkylamino groups and di-C₁₋₆ alkylamino groups)), a C₂₋₆ alkynyl group, 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, 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, mono-C₁₋₆ alkylamino groups, di-C₁₋₆ alkylamino groups, phenyl groups and 5 to 6-membered aromatic heterocyclyl group).

A further 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 C₃₋₆ cycloalkyl group, a phenyl group or a 5 to 6-membered aromatic heterocyclyl group (the C₃₋₆ cycloalkyl group, the phenyl group and the 5 to 6-membered aromatic heterocyclyl group are unsubstituted or substituted with identical or different one, two or three substituents independently selected from the group consisting of hydroxy groups, amino groups, halogen atoms, cyano groups, nitro groups, carbamoyl groups, sulfamoyl groups, C₁₋₆ alkyl groups, C₁₋₆ haloalkyl 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 alkylcarbonyl groups, C₁₋₆ haloalkylcarbonyl groups, C₁₋₆ alkylsulfonyl groups, C₁₋₆ haloalkylsulfonyl groups, carboxy groups, C₁₋₆ alkoxycarbonyl groups, mono-C₁₋₆ alkylaminocarbonyl groups, di-C₁₋₆ alkylaminocarbonyl groups, C₁₋₆ alkylcarbonylamino groups, C₃₋₆ cycloalkyl groups and 4 to 7-membered non-aromatic heterocyclyl groups).

Another further 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 3 to 11-membered non-aromatic heterocyclyl group (the 3 to 11-membered non-aromatic heterocyclyl group is 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, nitro groups, carbamoyl groups, sulfamoyl groups, C₁₋₆ alkyl groups, C₁₋₆ haloalkyl 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 alkylcarbonyl groups, C₁₋₆ haloalkylcarbonyl groups, C₁₋₆ alkylsulfonyl groups, C₁₋₆ haloalkylsulfonyl groups, carboxy groups, C₁₋₆ alkoxycarbonyl groups, mono-C₁₋₆ alkylaminocarbonyl groups, di-C₁₋₆ alkylaminocarbonyl groups, C₁₋₆ alkylcarbonylamino groups, C₃₋₆ cycloalkyl groups and 4 to 7-membered non-aromatic heterocyclyl groups).

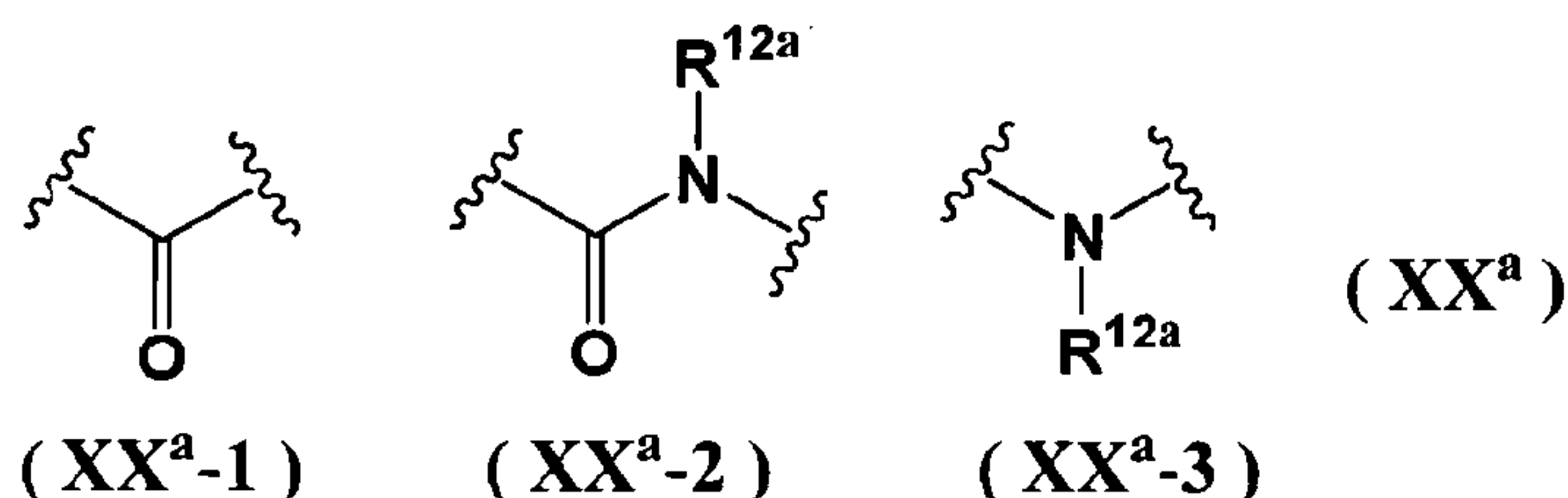
Another further preferred embodiment of the substituent L^{3a} and the substituent R^{2a} is such that L^{3a} is a single bond, and R^{2a} is a 4 to 7-membered non-aromatic heterocyclyl group, a phenyl group or a 5 to 6-membered aromatic heterocyclyl group (the 4 to 7-membered non-aromatic heterocyclyl group, the phenyl group and the 5 to 6-membered aromatic heterocyclyl group are substituted with a C₁₋₆ alkyl group, a C₁₋₆ alkoxy group (the C₁₋₆ alkyl group and the C₁₋₆ alkoxy group are substituted with a substituent selected from the group consisting of a hydroxy group, a cyano group and a C₁₋₆ alkoxycarbonylamino group), a mono-C₁₋₆ alkylamino group, a di-C₁₋₆ alkylamino group, a mono-C₁₋₆ alkylaminocarbonyl group, a C₁₋₆ alkylcarbonylamino group (the mono-C₁₋₆ alkylamino group, the di-C₁₋₆ alkylamino group, the mono-C₁₋₆

alkylaminocarbonyl group and the C₁₋₆ alkylcarbonylamino group are 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), a C₁₋₆ alkoxycarbonylamino 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 one or two identical or different substituents independently selected from the group consisting of halogen atoms, cyano groups, C₁₋₃ alkyl groups 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 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 substituted with a di-C₁₋₃ alkylaminosulfonyl group).

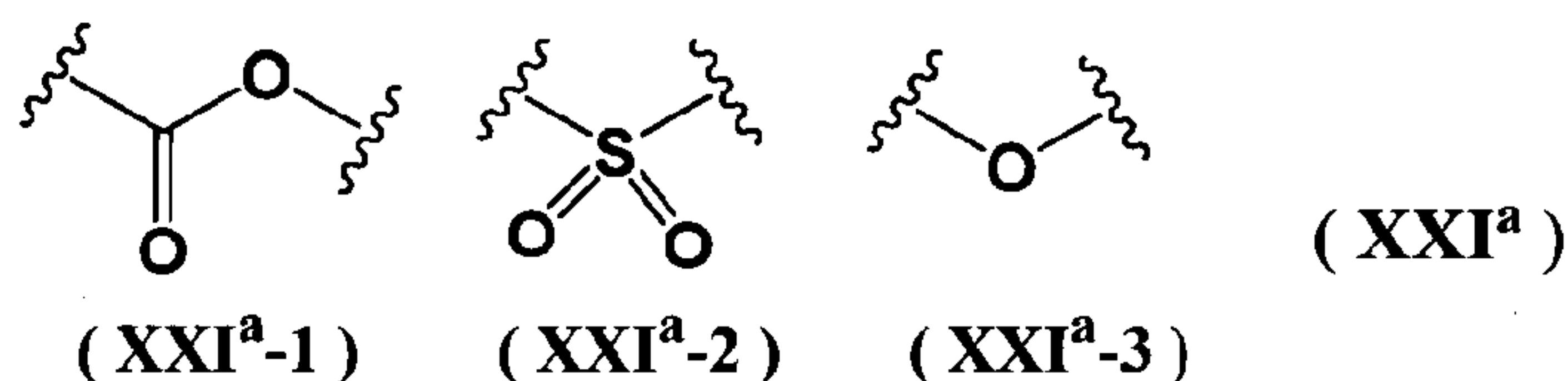
Another further preferred embodiment of the substituent L^{3a} and the substituent R^{2a} is such that L^{3a} is a single bond, R^{2a} is a 4 to 7-membered non-aromatic heterocyclyl group (the 4 to 7-membered non-aromatic heterocyclyl group is substituted with a phenyl group (the phenyl group is unsubstituted 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) and with a substituent selected from the group consisting of a hydroxy group, a halogen atom, a cyano group, a C₁₋₃ alkyl group and 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 (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 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 two identical or different substituents independently 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).

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 (XXI^a-1) to (XXI^a-3):

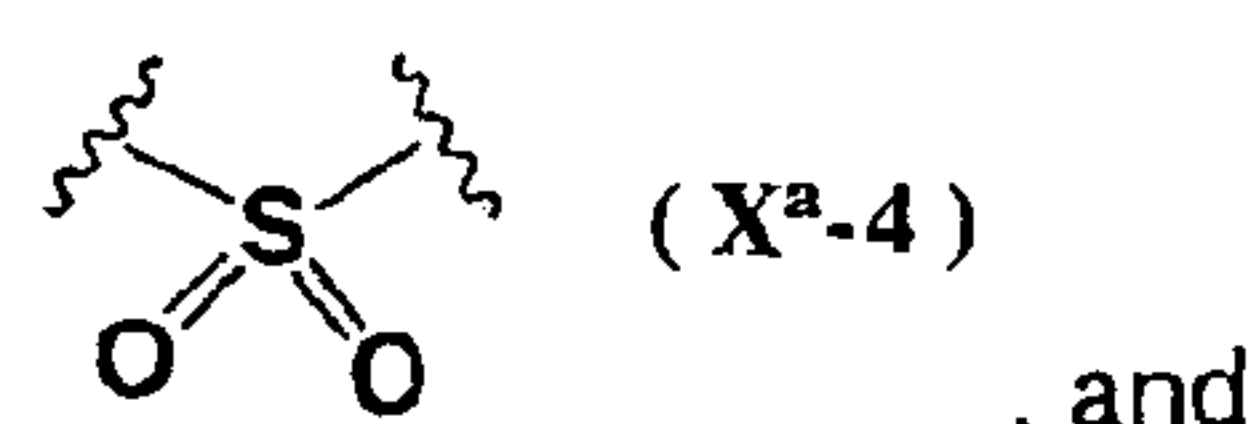


and R^{2a} is a hydrogen atom or a C₁₋₆ alkyl group (the C₁₋₆ alkyl group is unsubstituted or substituted with a phenyl groups).

Another further preferred embodiment of the substituent L^{3a} and the substituent R^{2a} is such that L^{3a} is represented by the formula (X^a-4):

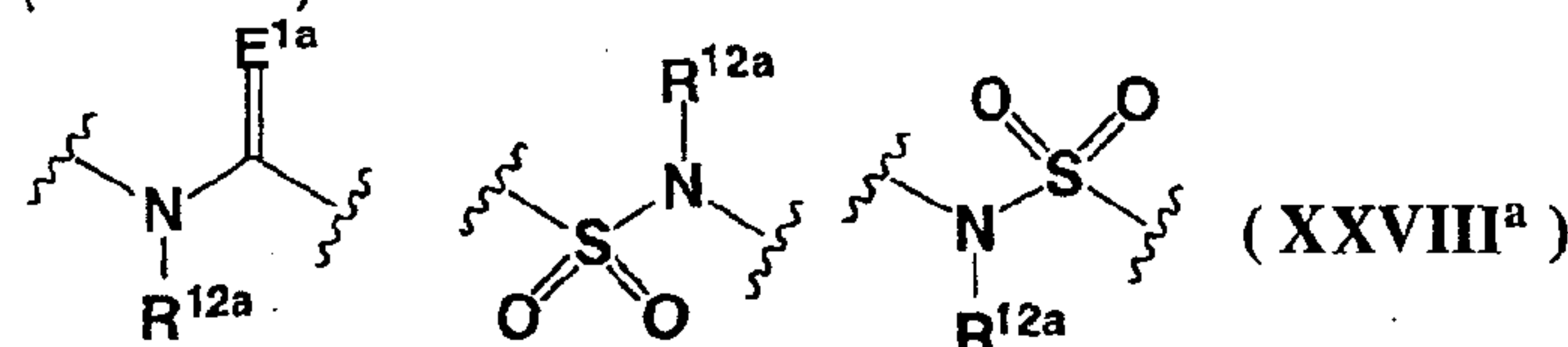
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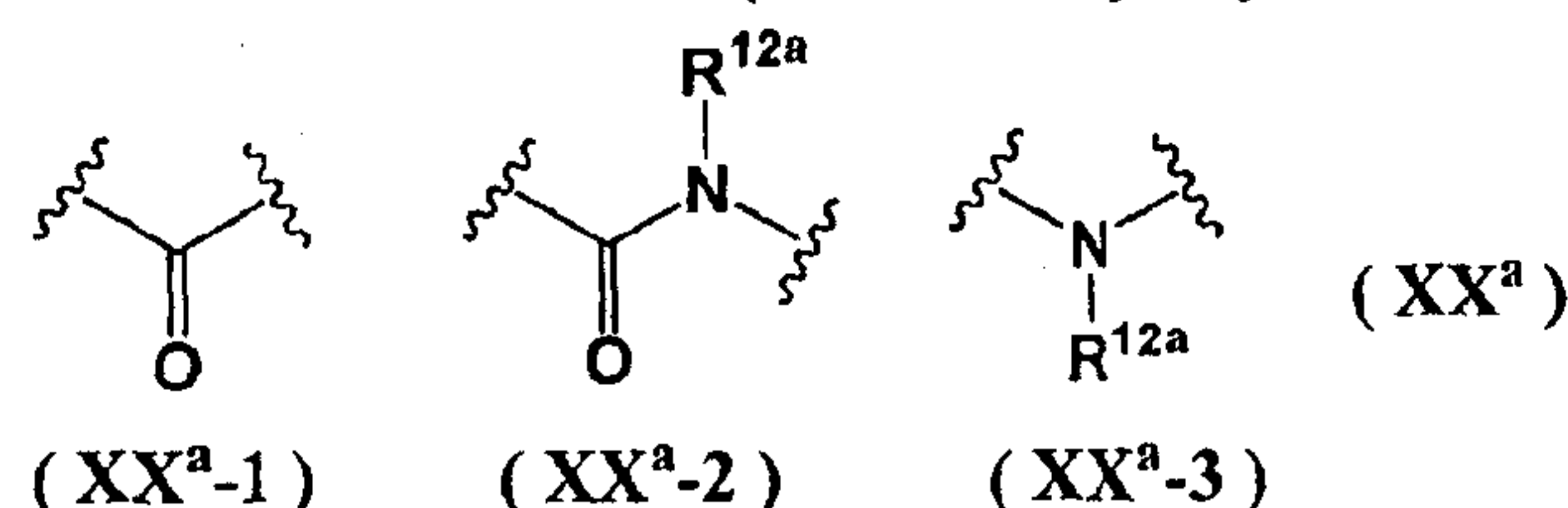
R^{2a} is a C₁₋₃ haloalkyl group.

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):



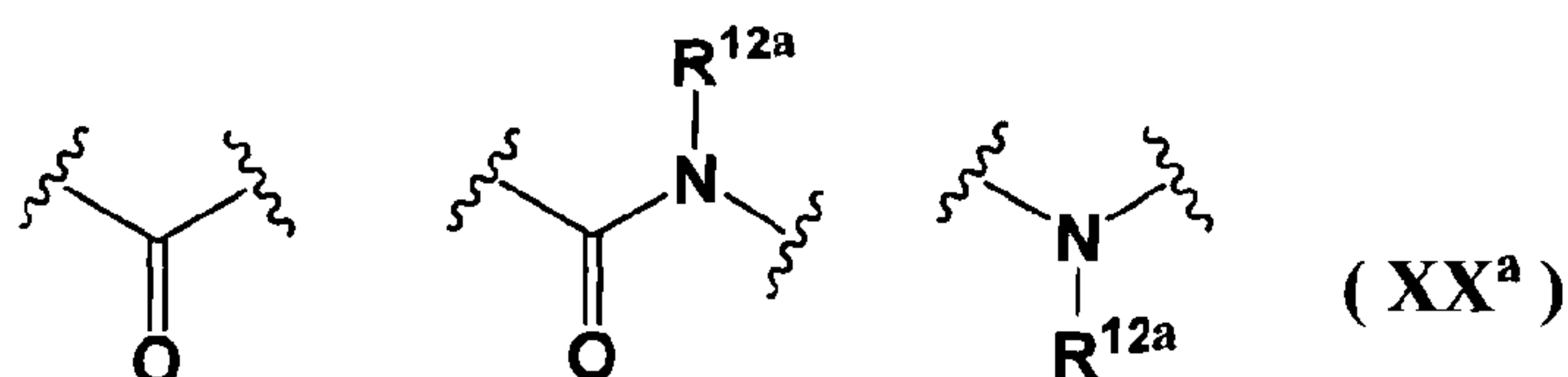
(wherein E^{1a} is an oxygen atom, and R^{12a} is a hydrogen atom or a C₁₋₃ alkyl group), and R^{2a} is a C₁₋₆ alkyl group (the C₁₋₆ alkyl group is unsubstituted or substituted with a cyano group) or a C₁₋₆ haloalkyl group.

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))).

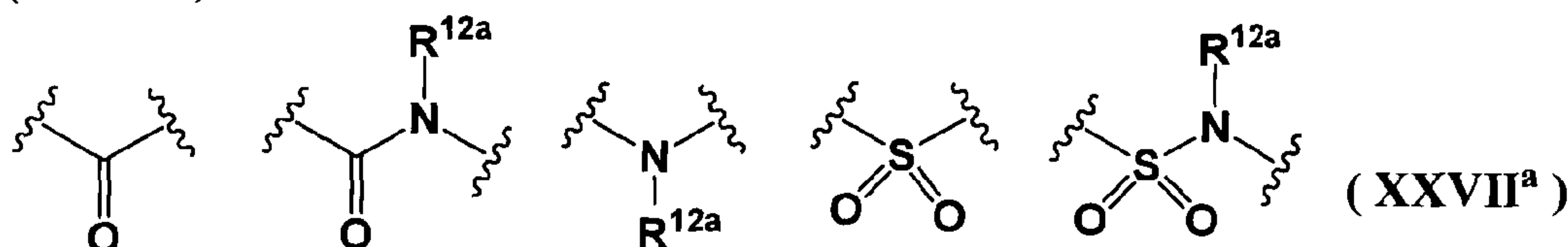
Another further preferred embodiment of the substituent L^{3a} and the substituent R^{2a} is such that L^{3a} is represented by any of the following formulae (XX^a-1) to (XX^a-3):



(XX^a-1) (XX^a-2) (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 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 and 4 to 7-membered non-aromatic heterocyclyl groups) and with a substituent selected from the group consisting of a hydroxy group and a cyano group).

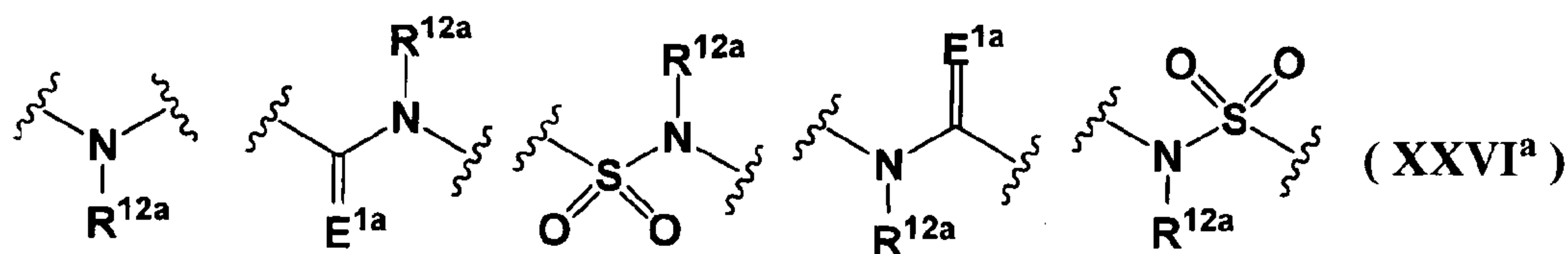
Another further preferred embodiment of the substituent L^{3a} and the substituent R^{2a} is such that L^{3a} is represented by any of the following formulae (XXVII^a-1) to (XXVII^a-5):



(XXVII^a-1) (XXVII^a-2) (XXVII^a-3) (XXVII^a-4) (XXVII^a-5)

(wherein R^{12a} is a hydrogen atom or a C₁₋₃ alkyl group), and R^{2a} is 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 two identical or different substituents independently selected from the group consisting of hydroxy groups, amino groups, halogen atoms, cyano groups, carbamoyl groups, C₁₋₆ alkyl groups (the C₁₋₆ 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), C₁₋₆ haloalkyl 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, 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 halogen atom)).

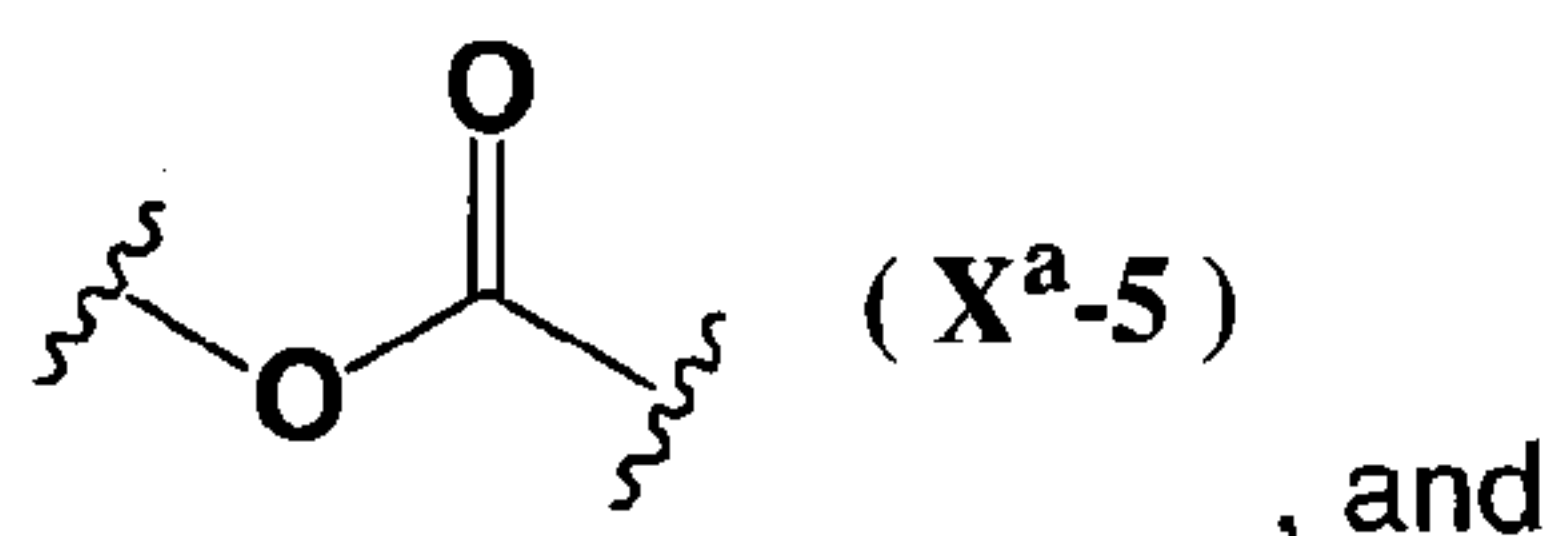
Another further preferred embodiment of the substituent L^{3a} and the substituent R^{2a} is such that L^{3a} is represented by any of the following formulae (XXVI^a-1) to (XXVI^a-5):



(XXVI^a-1) (XXVI^a-2) (XXVI^a-3) (XXVI^a-4) (XXVI^a-5)

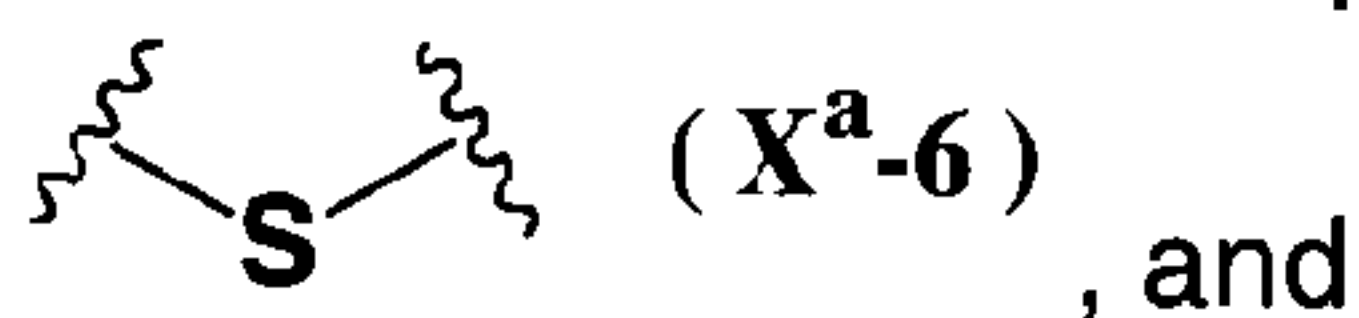
(wherein E^{1a} is an oxygen atom, and R^{12a} is a C₁₋₆ haloalkyl group), and R^{2a} is a C₁₋₆ alkyl group (the C₁₋₆ alkyl group is unsubstituted or substituted with a substituent selected from the group consisting of a hydroxy group, a cyano group, a C₁₋₃ alkoxy group, a C₃₋₆ cycloalkyl group and a phenyl group) 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 the formula (X^a-5):



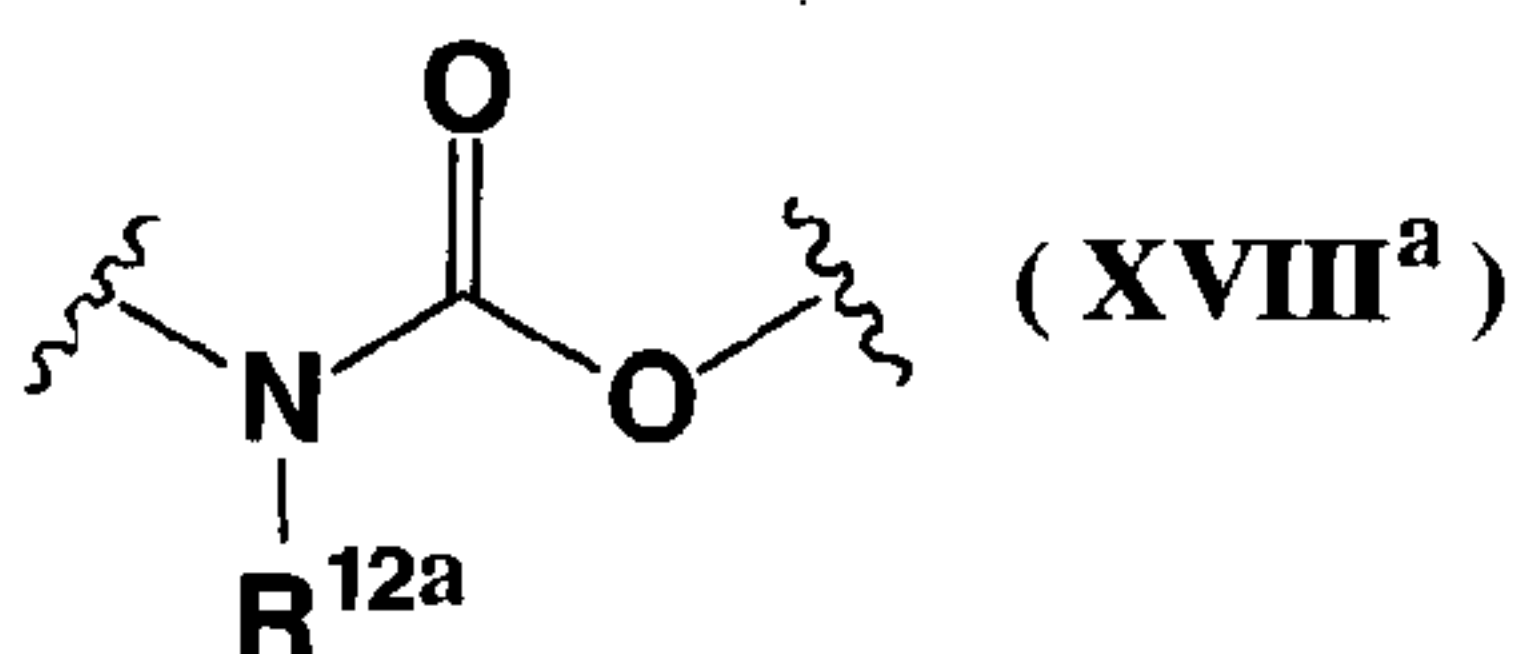
R^{2a} is a C₁₋₃ alkyl group.

Another further preferred embodiment of the substituent L^{3a} and the substituent R^{2a} is such that L^{3a} is represented by the formula (X^a-6):



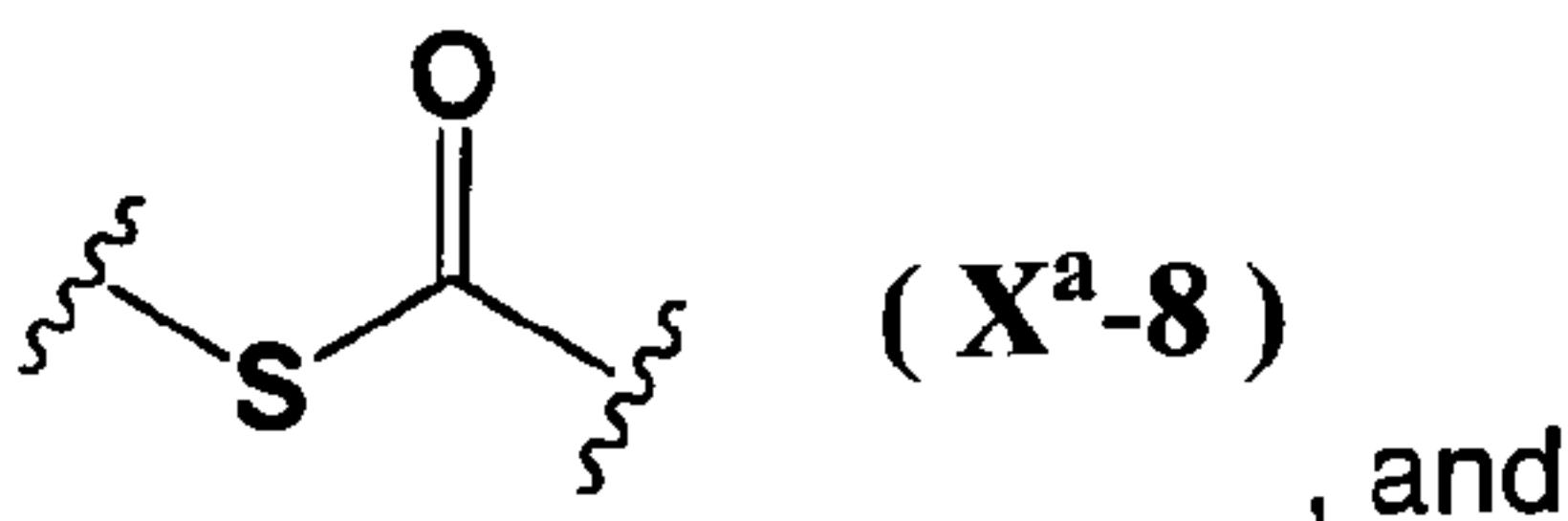
R^{2a} is a hydrogen atom.

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



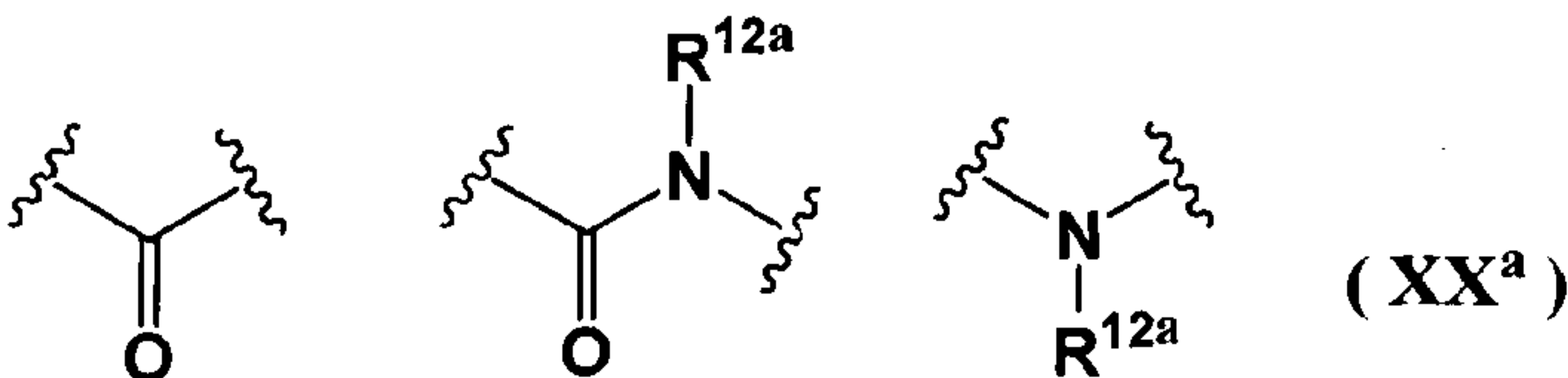
(wherein R^{12a} is a hydrogen atom), and R^{2a} is a C₁₋₆ alkyl group or a C₁₋₃ alkyl group (the C₁₋₃ alkyl group is substituted with a phenyl group).

Another further preferred embodiment of the substituent L^{3a} and the substituent R^{2a} is such that L^{3a} is represented by the formula (X^a-8):



R^{2a} is a C₁₋₃ alkyl 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):

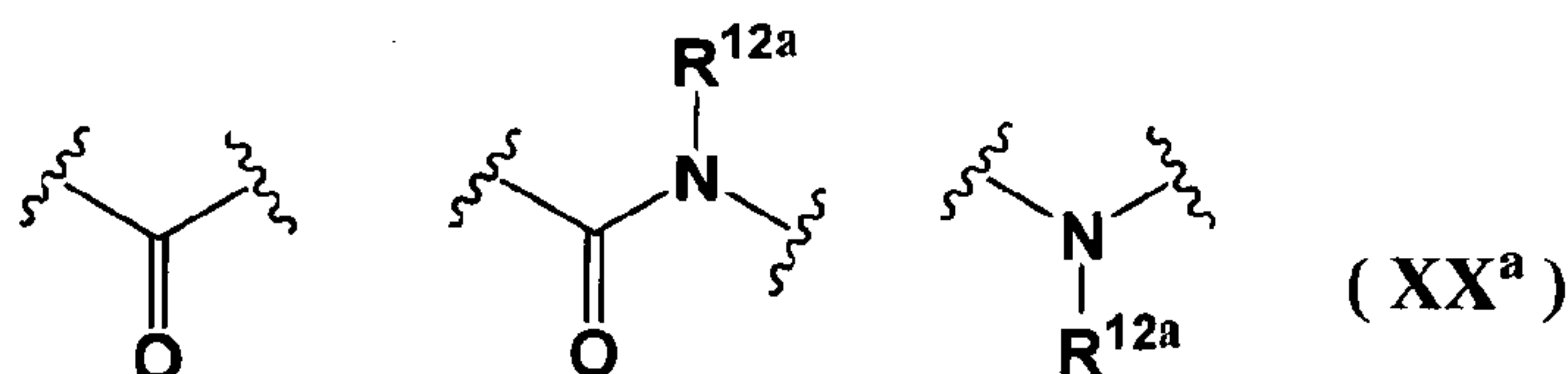


(XX^a-1) (XX^a-2) (XX^a-3)

(wherein R^{12a} is a hydrogen atom or a C₁₋₃ alkyl group), and R^{2a} is a C₁₋₃ alkyl group (the C₁₋₃ alkyl group is substituted with a substituent selected from the group consisting

of 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 a C₁₋₃ alkyl group or a C₁₋₃ haloalkyl group)) or a C₂₋₆ alkynyl group.

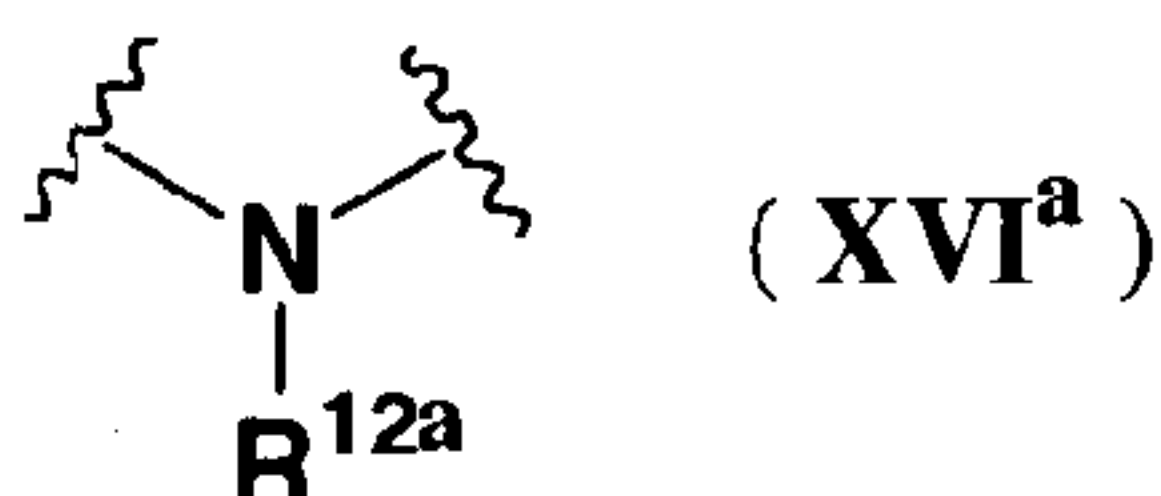
Another further preferred embodiment of the substituent L^{3a} and the substituent R^{2a} is such that L^{3a} is represented by any of the following formulae (XX^a-1) to (XX^a-3):



(XX^a-1) (XX^a-2) (XX^a-3)

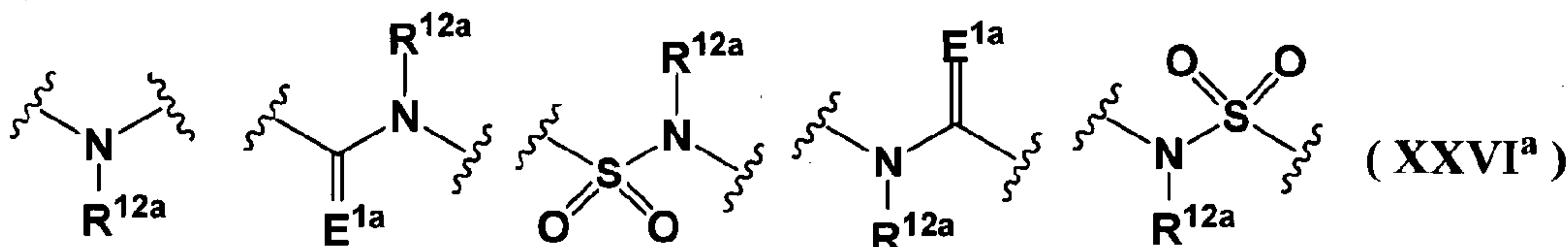
(wherein R^{12a} is a hydrogen atom or a C₁₋₃ alkyl group), and R^{2a} is a C₁₋₃ alkyl group (the C₁₋₃ alkyl group is substituted with a substituent selected from the group consisting of a phenyl group and a 5 to 6-membered aromatic heterocyclyl group (the phenyl group and the 5 to 6-membered aromatic heterocyclyl group are substituted with a C₁₋₃ alkyl group or a C₁₋₃ haloalkyl group and with a substituent selected from the group consisting of a halogen atom, a cyano group, a C₁₋₃ alkoxy group, a C₁₋₃ haloalkoxy group and a C₁₋₃ alkylsulfonyl group)).

Another further 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), and R^{2a} is a 8 to 11-membered partially saturated aromatic cyclic group or a 8 to 11-membered aromatic ring-condensed alicyclic hydrocarbon 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 two identical or different substituents independently selected from the group consisting of halogen atoms and hydroxy 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 (XXVI^a-1) to (XXVI^a-5):



(XXVI^a-1) (XXVI^a-2) (XXVI^a-3) (XXVI^a-4) (XXVI^a-5)

(wherein E^{1a} is an oxygen atom, and R^{12a} is a C₁₋₃ alkyl group (the C₁₋₃ alkyl group is 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, 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 C₃₋₆ cycloalkyl group or a phenyl group (the phenyl group is unsubstituted or substituted with a halogen or a

cyano group)), and

R^{2a} is 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 substituents independently selected from the group consisting of hydroxy groups, cyano groups, C_{1-3} alkoxy groups, C_{3-6} cycloalkyl groups, phenyl groups and 5 to 6-membered aromatic heterocyclyl groups).

A particularly 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 or a halogen atom.

Another particularly 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 C_{3-6} cycloalkyl group (the C_{3-6} cycloalkyl group is unsubstituted or substituted with a C_{1-3} haloalkyl group).

Another particularly 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 phenyl group or 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 one, two or three identical or different substituents independently selected from the group consisting of halogen atoms, cyano groups, carbamoyl groups, C_{1-3} alkyl groups, C_{1-3} alkoxy groups, C_{1-3} alkylthio groups, C_{1-3} alkylsulfonyl groups, C_{1-3} haloalkyl groups, C_{1-3} haloalkoxy groups, C_{1-3} haloalkylthio 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 a single bond, 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 cyano groups, halogen atoms, C_{1-3} alkyl groups, C_{1-3} haloalkyl groups, hydroxy groups, di- C_{1-3} alkylamino groups, carboxy groups, carbamoyl groups, C_{1-3} haloalkoxy groups, C_{1-3} alkylcarbonylamino 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 a single bond, and R^{2a} is a phenyl group (the phenyl group is substituted with a substituent selected from the group consisting of a C_{1-3} alkoxy group, a di- C_{1-3} alkylamino group (the C_{1-3} alkoxy group and the di- C_{1-3} alkylamino group are substituted with a hydroxy group or a cyano group) and a 5 to 6-membered aromatic heterocyclyl group).

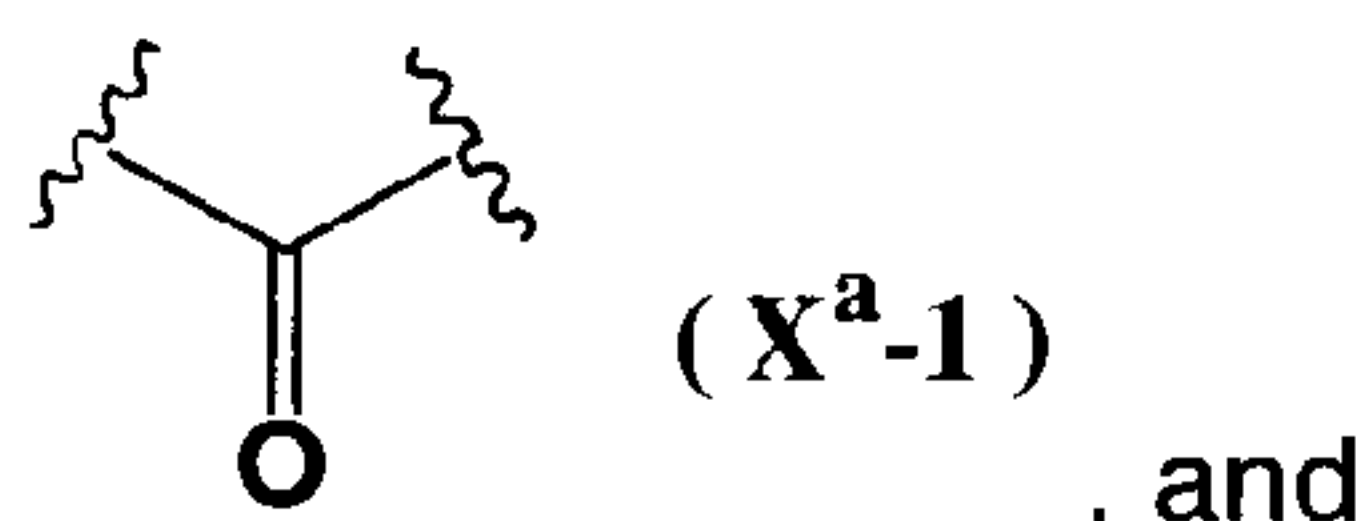
Another particularly preferred embodiment of the substituent L^{3a} and the substituent R^{2a} is such that L^{3a} is a single bond, and R^{2a} is a 5 to 6-membered aromatic heterocyclyl group (the 5 to 6-membered aromatic heterocyclyl group is substituted with a C_{1-3} alkyl group (the C_{1-3} alkyl group is substituted with a hydroxy group)).

Another particularly 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 4 to 7-membered non-aromatic heterocyclyl group (the 4 to 7-membered non-aromatic heterocyclyl group is substituted with a substituent selected from the group consisting of a C_{1-6} alkyl group (the C_{1-6} alkyl group is substituted with a substituent selected from the group consisting of a hydroxy group, a cyano group and a C_{1-6} alkoxy carbonylamino group), a mono- C_{1-3} alkylaminocarbonyl group, a C_{1-3} alkylcarbonylamino group (the mono- C_{1-3} alkylaminocarbonyl group and the C_{1-3} alkylcarbonylamino group are 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) and a C_{1-}

alkoxycarbonylamino group).

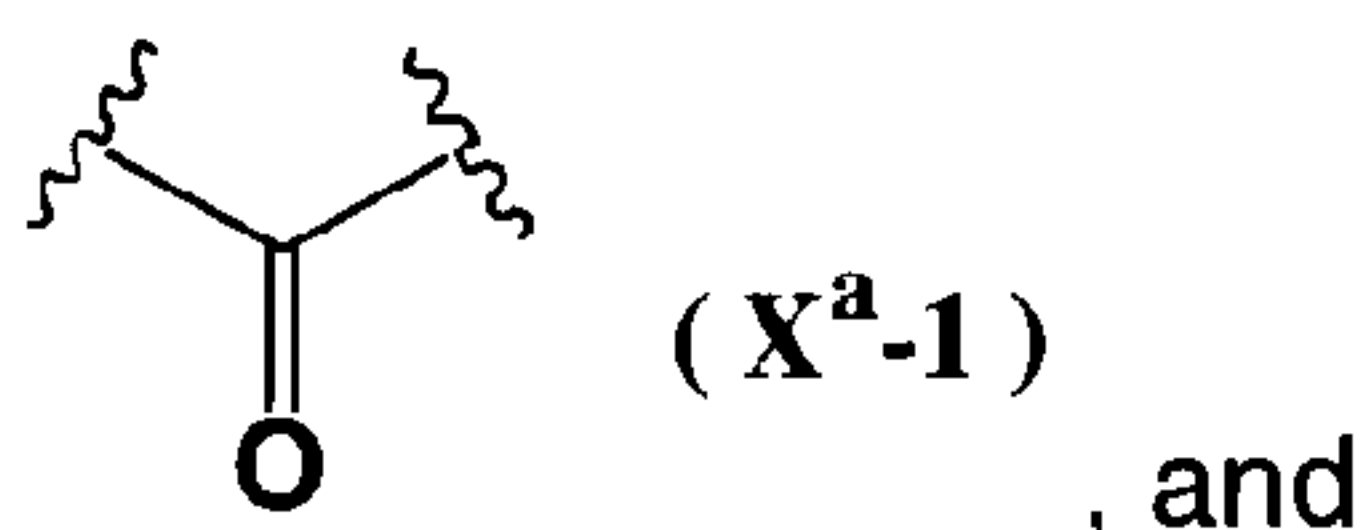
Another particularly preferred embodiment of the substituent L^{3a} and the substituent R^{2a} is such that L^{3a} is a single bond, and R^{2a} is a 4 to 7-membered non-aromatic heterocyclyl group (the 4 to 7-membered non-aromatic heterocyclyl group is substituted with a phenyl group (the phenyl group is unsubstituted or substituted with one or two identical or different substituents independently selected from the group consisting of halogen atoms and C_{1-3} haloalkyl groups) and with a hydroxy group or a cyano 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-1):



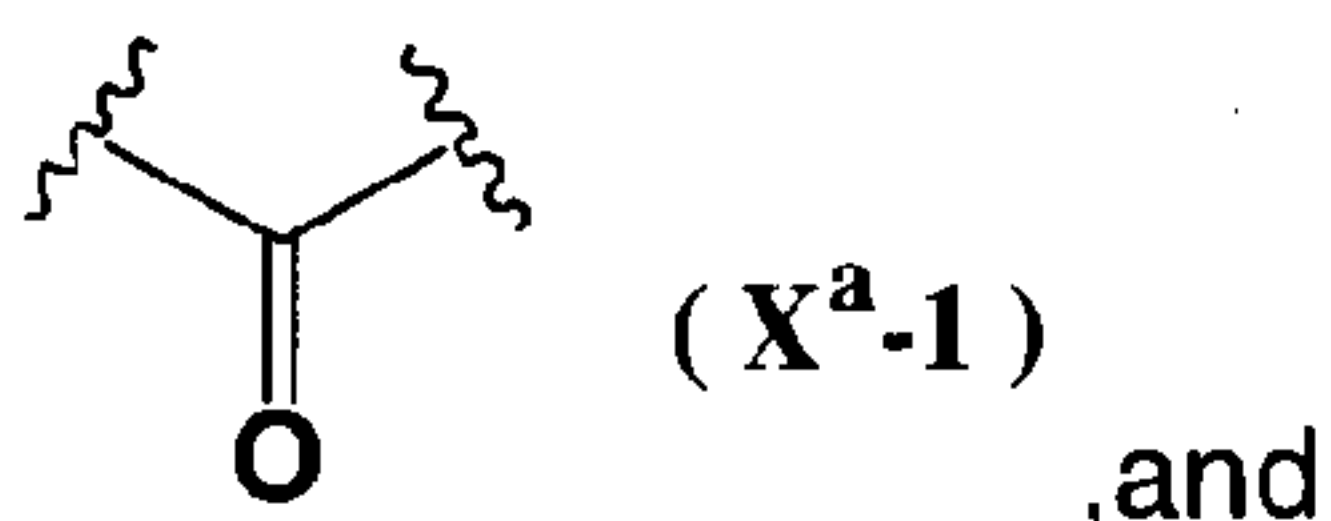
R^{2a} is a methyl group (the methyl group is unsubstituted or substituted with a cyano group).

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-1):



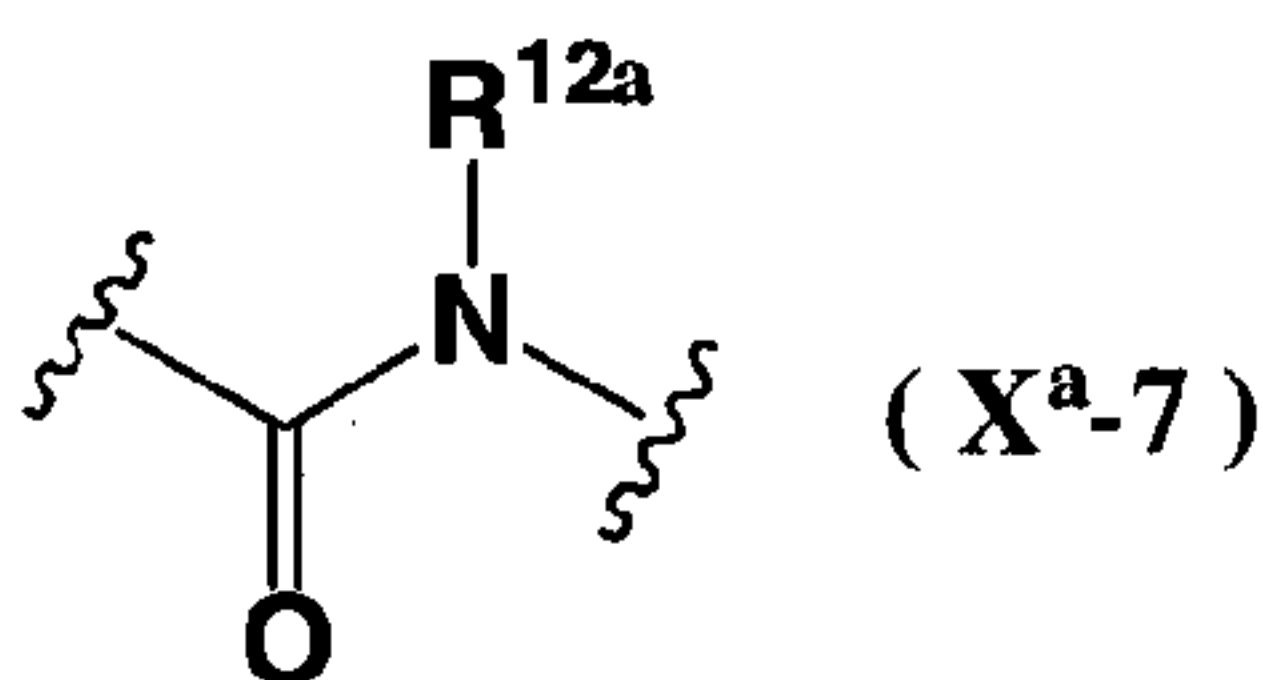
R^{2a} is a hydrogen atom or a C_{1-3} haloalkyl group.

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-1):



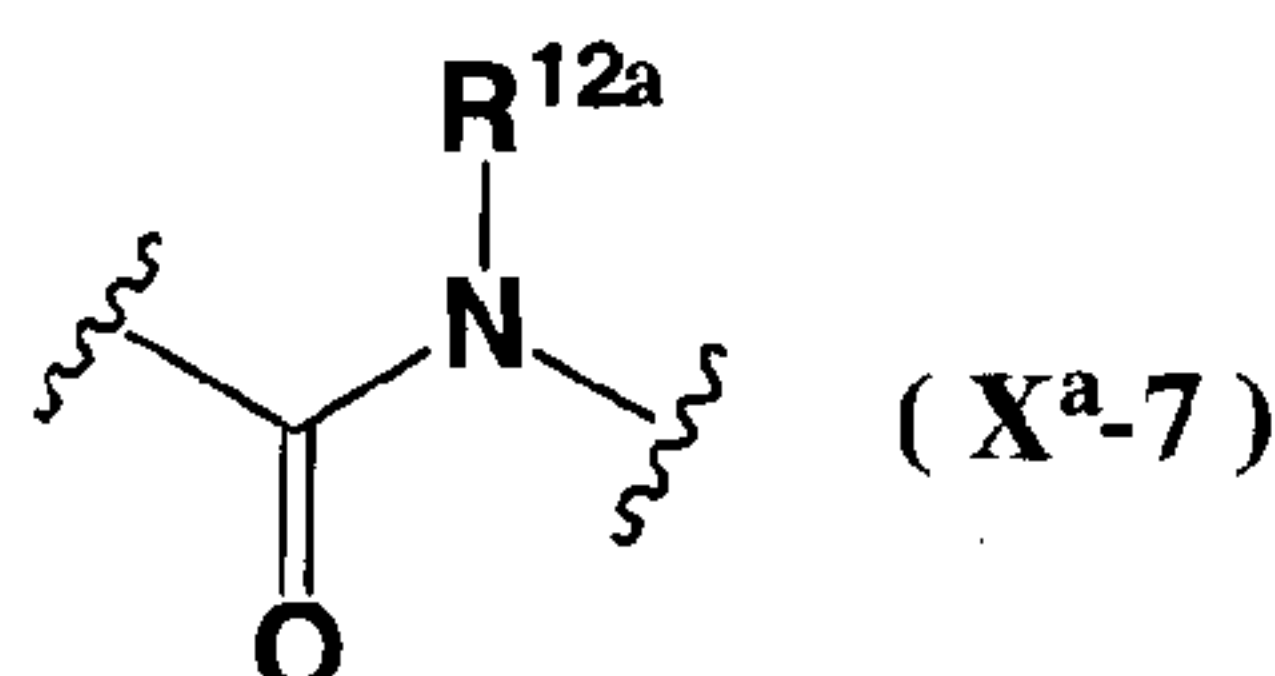
R^{2a} is a 4 to 7-membered non-aromatic heterocyclyl group or a phenyl group (the 4 to 7-membered non-aromatic heterocyclyl group and the phenyl group are unsubstituted or substituted with a substituent selected from the group consisting of a hydroxy group, a cyano group, a halogen atom and a C_{1-3} haloalkyl 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-7):



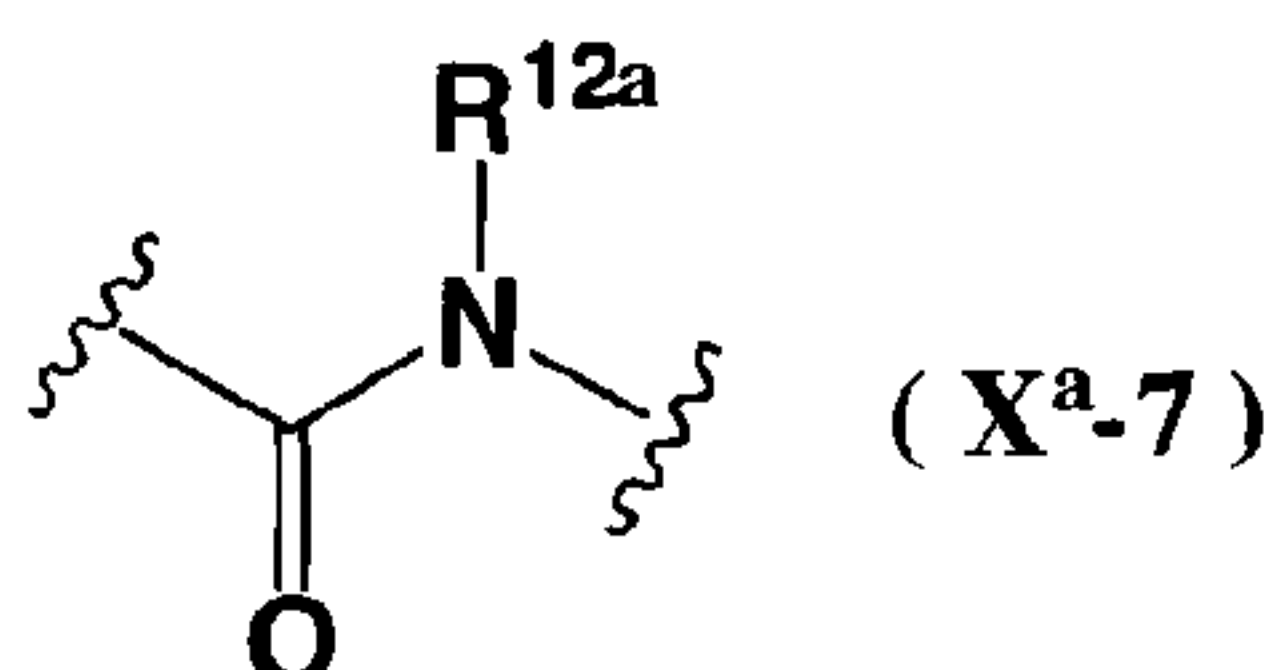
(wherein R^{12a} is a hydrogen atom), and R^{2a} is a hydrogen atom, a C_{1-3} alkyl group or a C_{1-3} haloalkyl group (the C_{1-3} alkyl group and the a C_{1-3} haloalkyl group are unsubstituted or substituted with one or two identical or different substituents independently selected from the group consisting of hydroxy groups, cyano groups and phenyl 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-7):



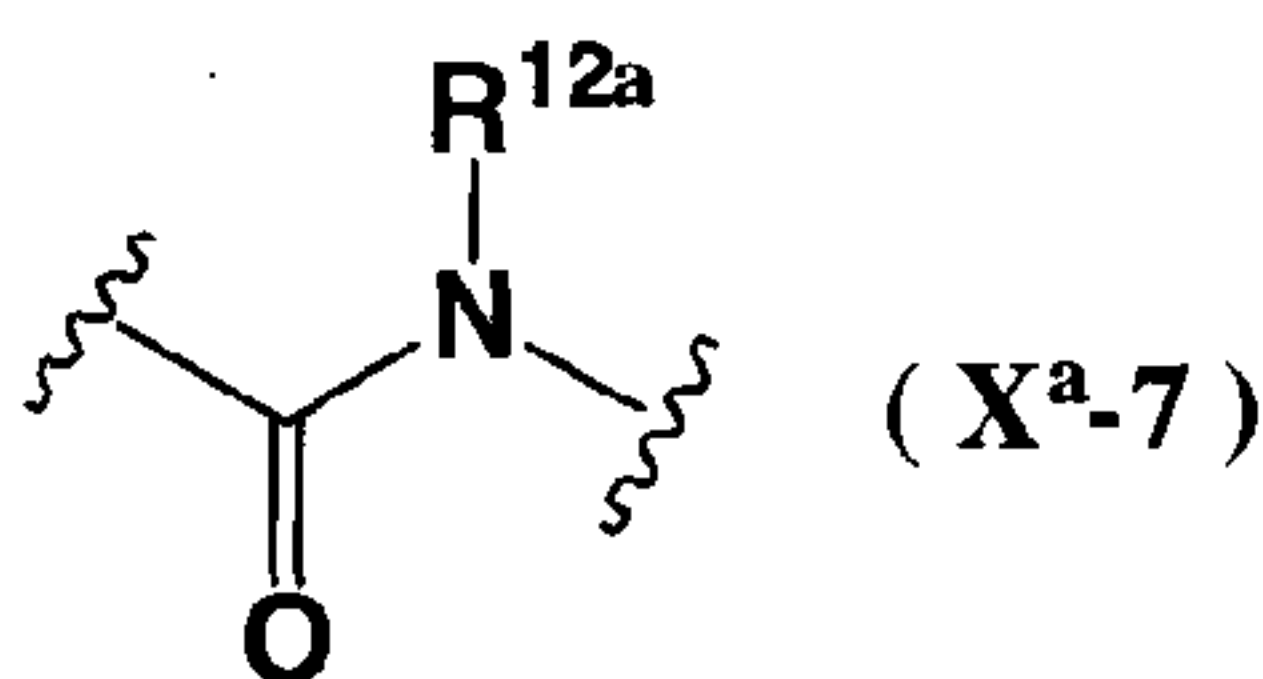
(wherein R^{12a} is a hydrogen atom), and R^{2a} is a C₁₋₃ alkyl group (the C₁₋₃ alkyl group is substituted with a phenyl group (the phenyl group is substituted with a halogen atom or a cyano group)).

5 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-7):



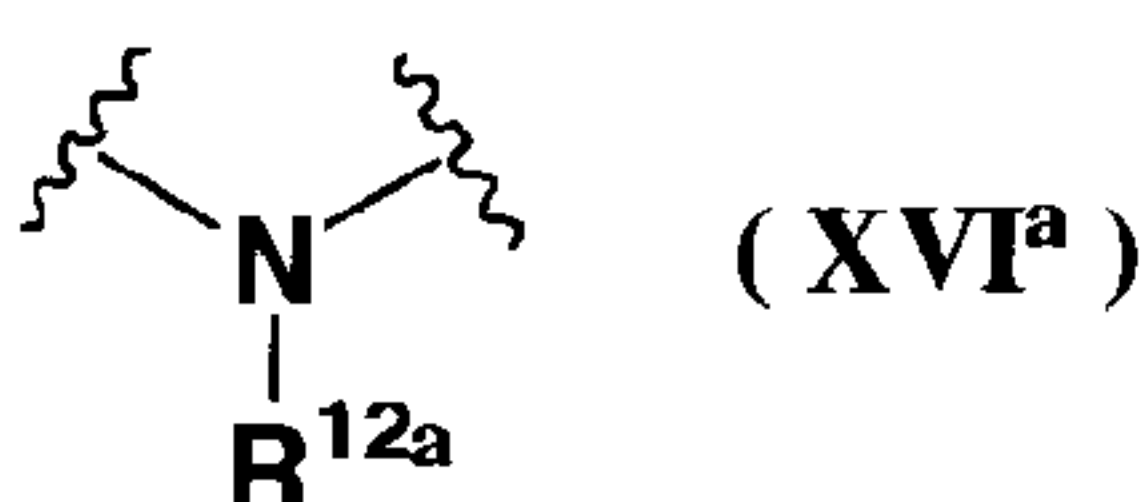
10 (wherein R^{12a} is a hydrogen atom), and R^{2a} is a C₁₋₃ haloalkyl group (the C₁₋₃ haloalkyl group is substituted with a phenyl group (the phenyl group is substituted with a halogen atom) and with a hydroxy group).

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-7):



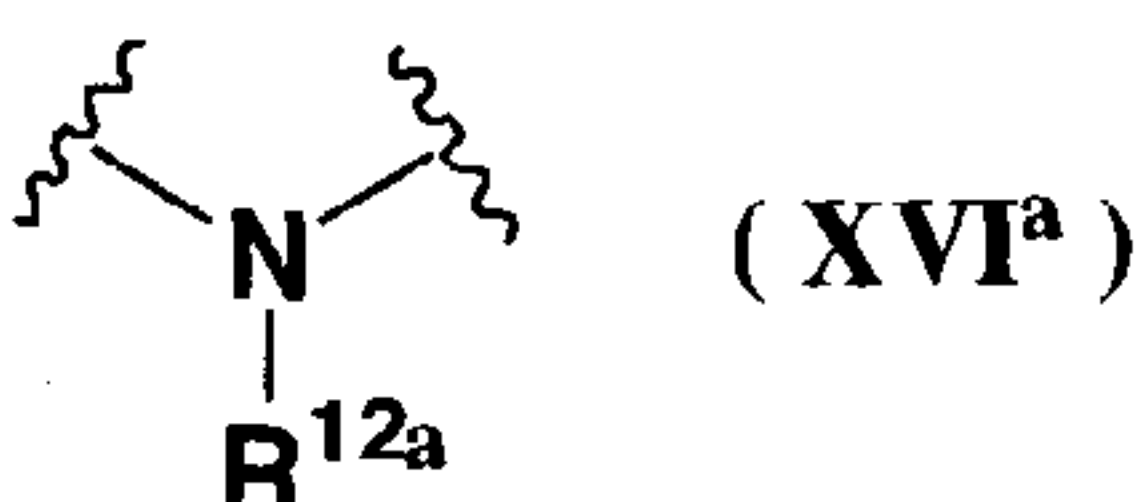
15 (wherein R^{12a} is a hydrogen atom), and R^{2a} is a C₃₋₆ cycloalkyl group, a phenyl group or a 5 to 6-membered aromatic heterocyclyl group (the C₃₋₆ cycloalkyl group, the phenyl group and the 5 to 6-membered aromatic heterocyclyl group are unsubstituted or substituted with a substituent selected from the group consisting of a C₁₋₃ alkyl group, a C₁₋₃ haloalkyl group and a halogen atom).

20 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):



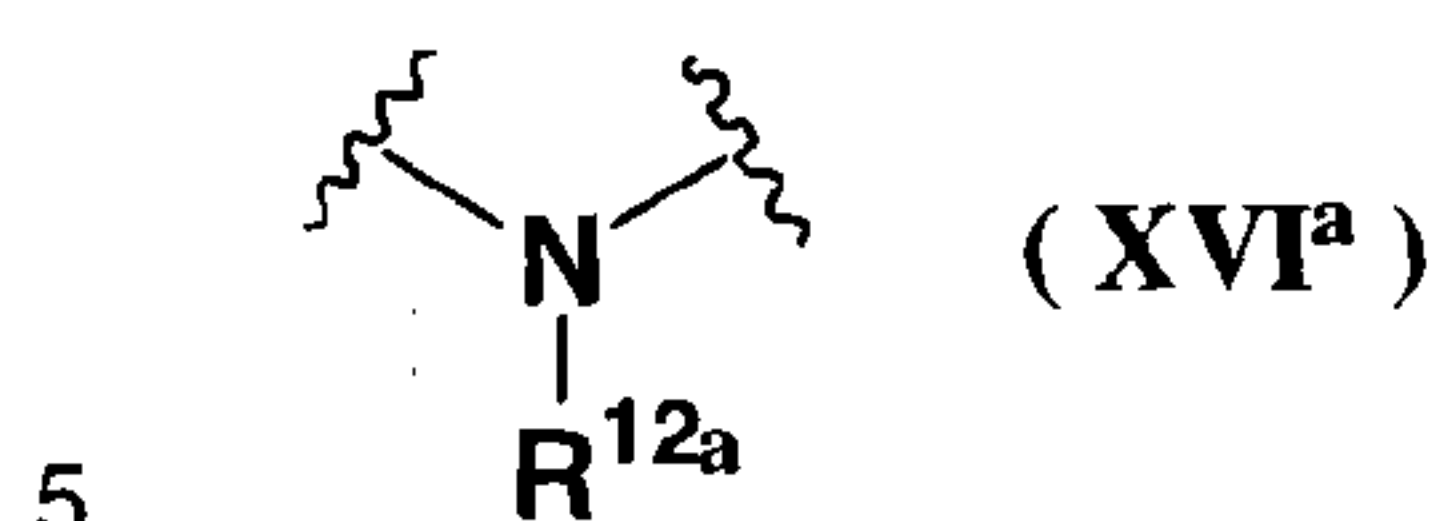
25 (wherein R^{12a} is a hydrogen atom or a C₁₋₃ alkyl group), and R^{2a} is a hydrogen atom, a C₁₋₆ alkyl group (the C₁₋₆ alkyl group is unsubstituted or substituted with a substituent selected from the group consisting of a hydroxy group, a cyano group, a C₁₋₃ alkoxy group, a C₃₋₆ cycloalkyl group, a 4 to 7-membered non-aromatic heterocyclyl group, a phenyl group and a 5 to 6-membered aromatic heterocyclyl group) or a C₁₋₆ haloalkyl group (the C₁₋₆ haloalkyl group is unsubstituted or substituted with a hydroxy 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 (XVI^a):



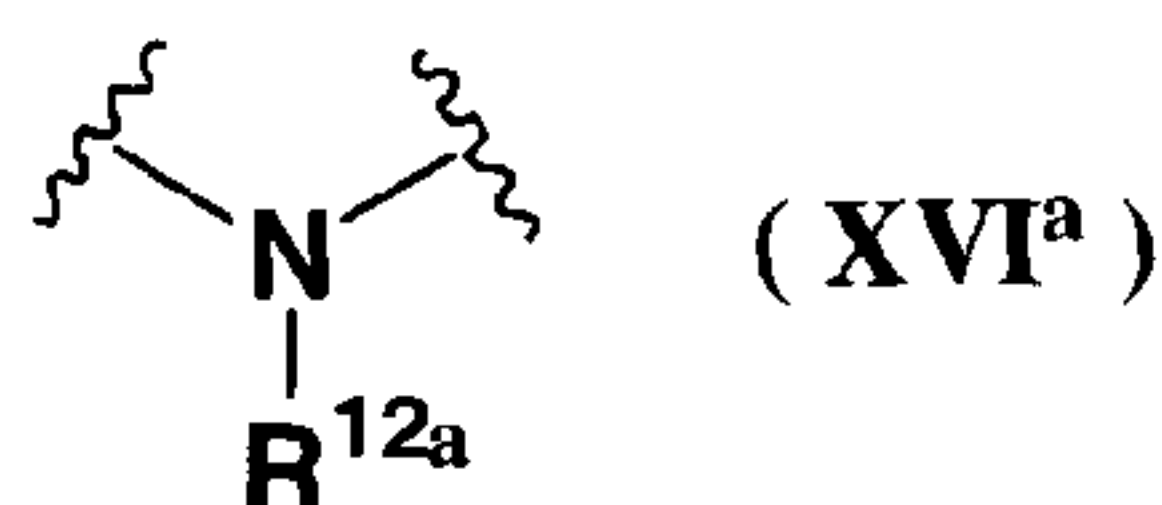
(wherein R^{12a} is a C_{1-3} haloalkyl group), and R^{2a} is a C_{1-3} alkyl group (the C_{1-3} alkyl group is substituted with a C_{3-6} cycloalkyl group).

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



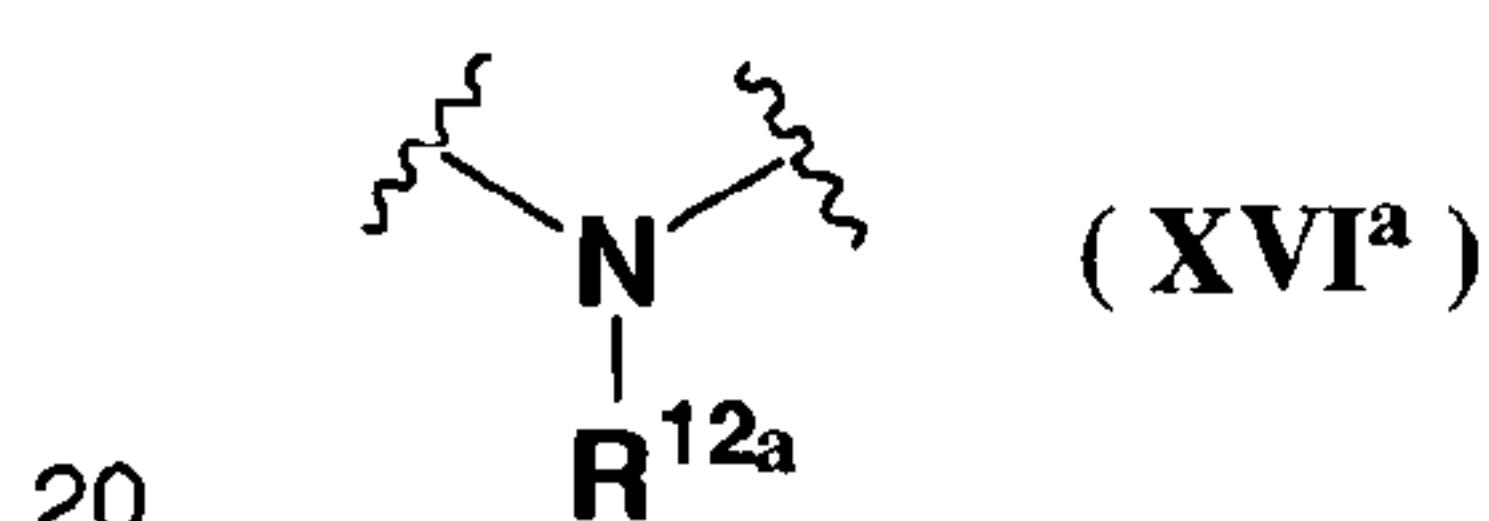
(wherein R^{12a} is a hydrogen atom), and R^{2a} is a C_{1-3} alkyl group or a C_{1-3} haloalkyl group (the C_{1-3} alkyl group and the C_{1-3} haloalkyl group are substituted with a hydroxy group and with a phenyl group or a 5 to 6-membered aromatic heterocyclyl group).

10 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):



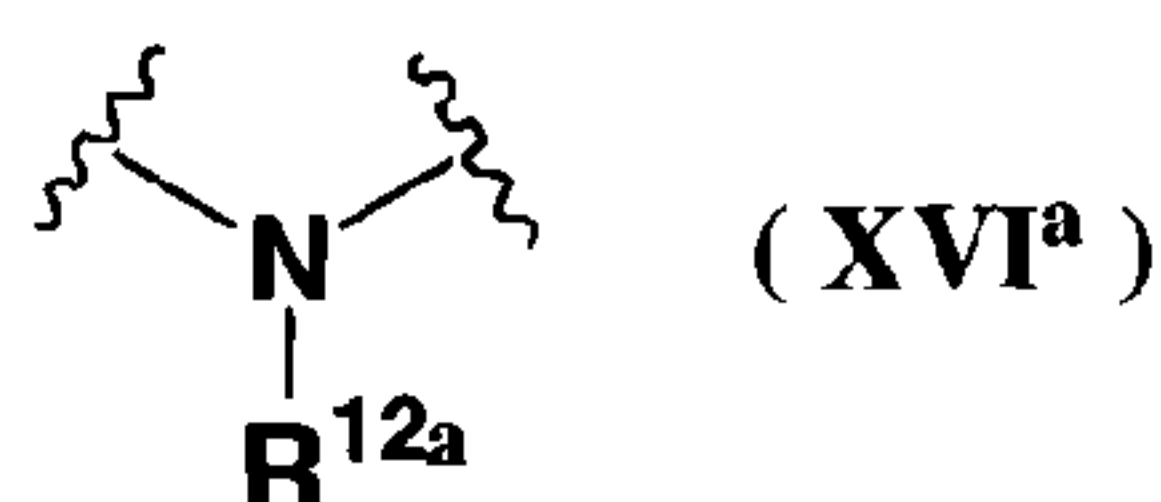
15 (wherein R^{12a} is a hydrogen atom or a C_{1-3} alkyl group), and R^{2a} is a C_{1-6} alkyl group (the C_{1-6} alkyl group is substituted with a phenyl group or a 5 to 6-membered aromatic heterocyclyl group (the phenyl group and the 5 to 6-membered aromatic heterocyclyl group are substituted with one or two identical or different substituents independently selected from the group consisting of halogen atoms, cyano groups, C_{1-3} alkoxy groups, C_{1-3} haloalkoxy groups and C_{1-3} alkylsulfonyl 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 (XVI^a):



25 (wherein R^{12a} is a hydrogen atom), and R^{2a} is a C_{1-6} alkyl group (the C_{1-6} alkyl group is substituted with 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 substituted with a substituent selected from the group consisting of a hydroxy group, a C_{1-6} alkoxy carbonyl group and a phenyl group (the phenyl group is unsubstituted or substituted with a halogen 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 (XVI^a):



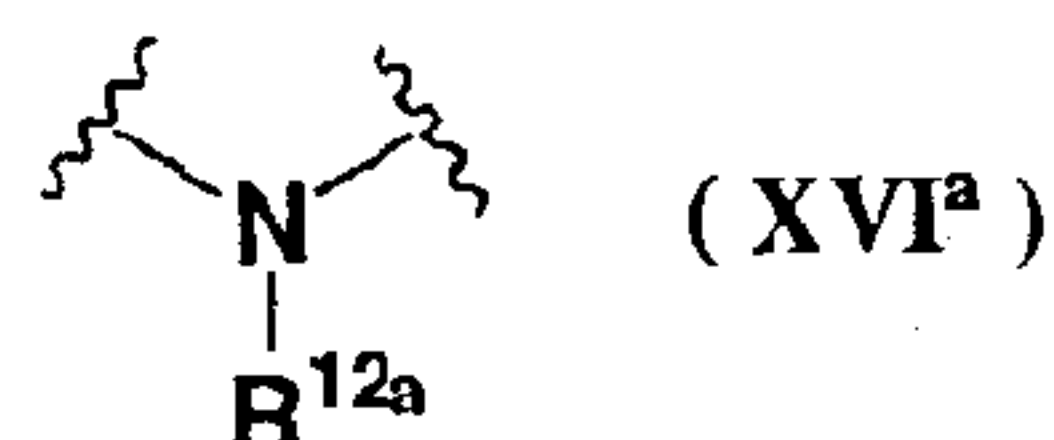
30 (wherein R^{12a} is a hydrogen atom), and R^{2a} is a C_{1-3} alkyl group or a C_{1-3} haloalkyl group (the C_{1-3} alkyl group and the C_{1-3} haloalkyl group are substituted with a phenyl group or a 5 to 6-membered aromatic heterocyclyl group (the phenyl group and the 5 to 6-membered aromatic heterocyclyl group are substituted with one or two identical or different substituents independently selected from the group consisting of halogen atoms, C_{1-3} alkoxy groups, C_{1-3} haloalkoxy groups and C_{1-3} alkylthio groups) and with a hydroxy group).

35

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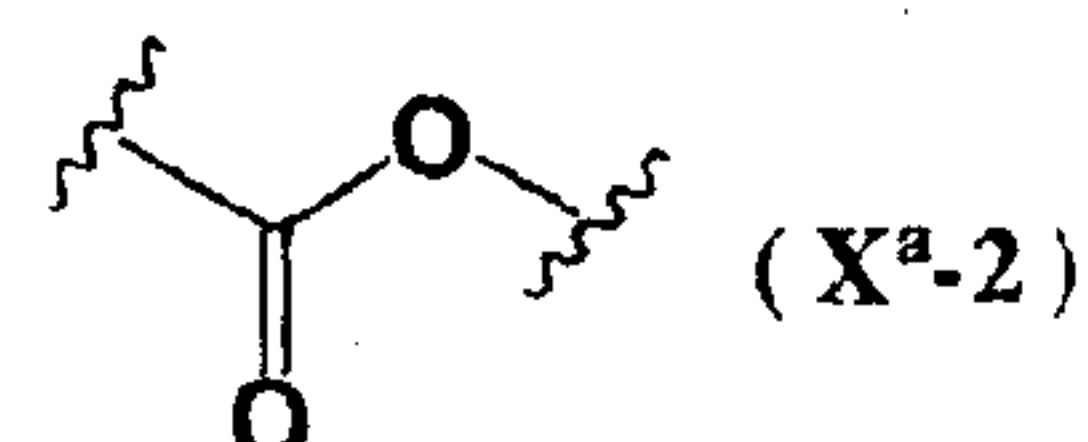
85

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} alkoxy carbonyl 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).

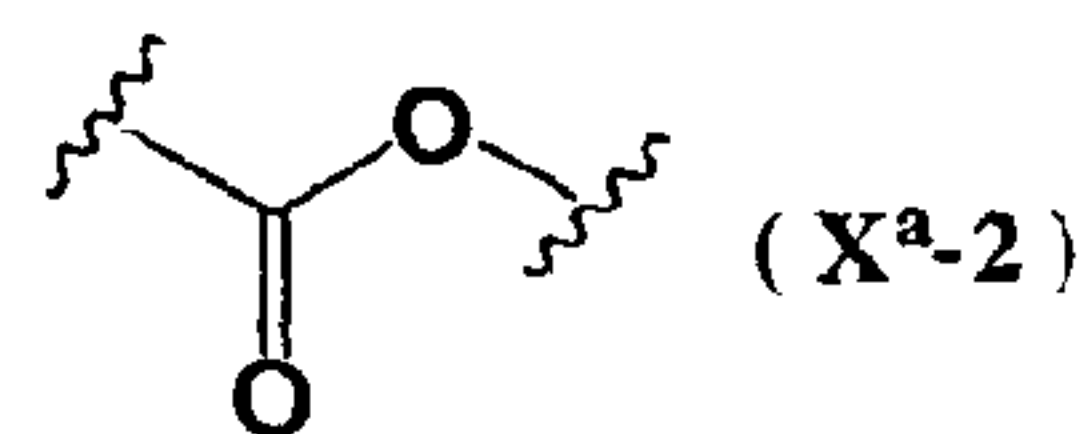
Another particularly preferred embodiment of the substituent L^{3a} and the substituent R^{2a} is such that L^{3a} is represented by the formula (X^a-2):



, and

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

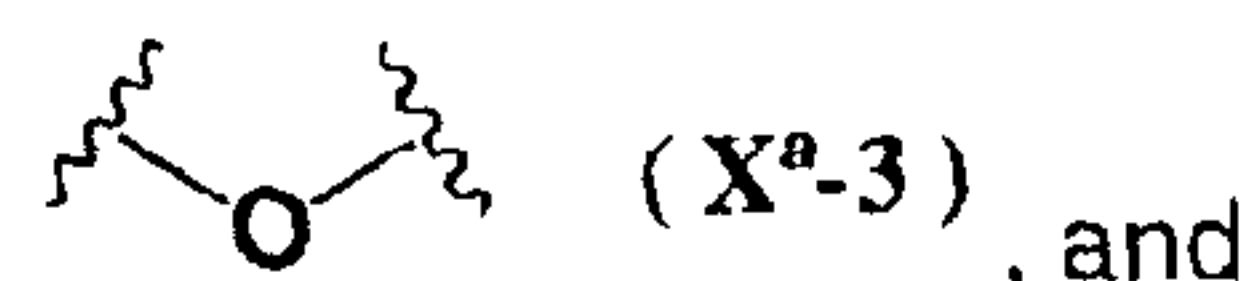
Another particularly preferred embodiment of the substituent L^{3a} and the substituent R^{2a} is such that L^{3a} is represented by the formula (X^a-2):



, and

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

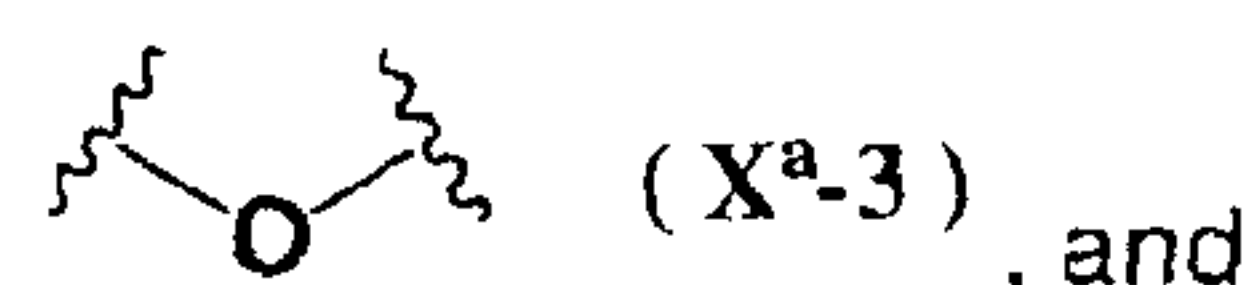
Another particularly preferred embodiment of the substituent L^{3a} and the substituent R^{2a} is such that L^{3a} is represented by the formula (X^a-3):



, and

R^{2a} is a hydrogen atom.

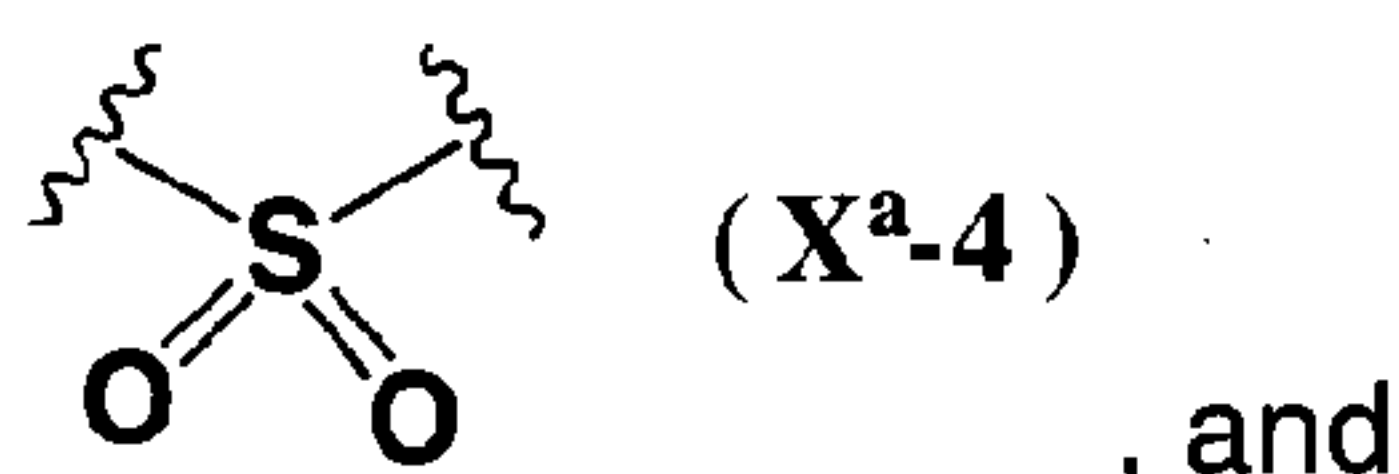
Another particularly preferred embodiment of the substituent L^{3a} and the substituent R^{2a} is such that L^{3a} is represented by the formula (X^a-3):



, and

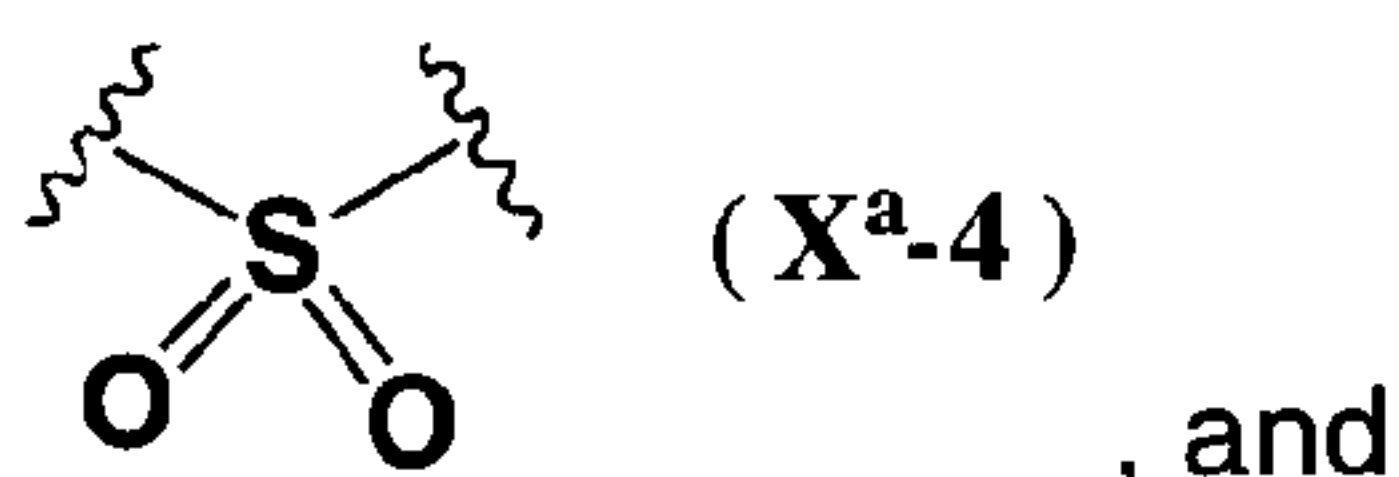
R^{2a} is a C_{1-3} alkyl group.

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-4):



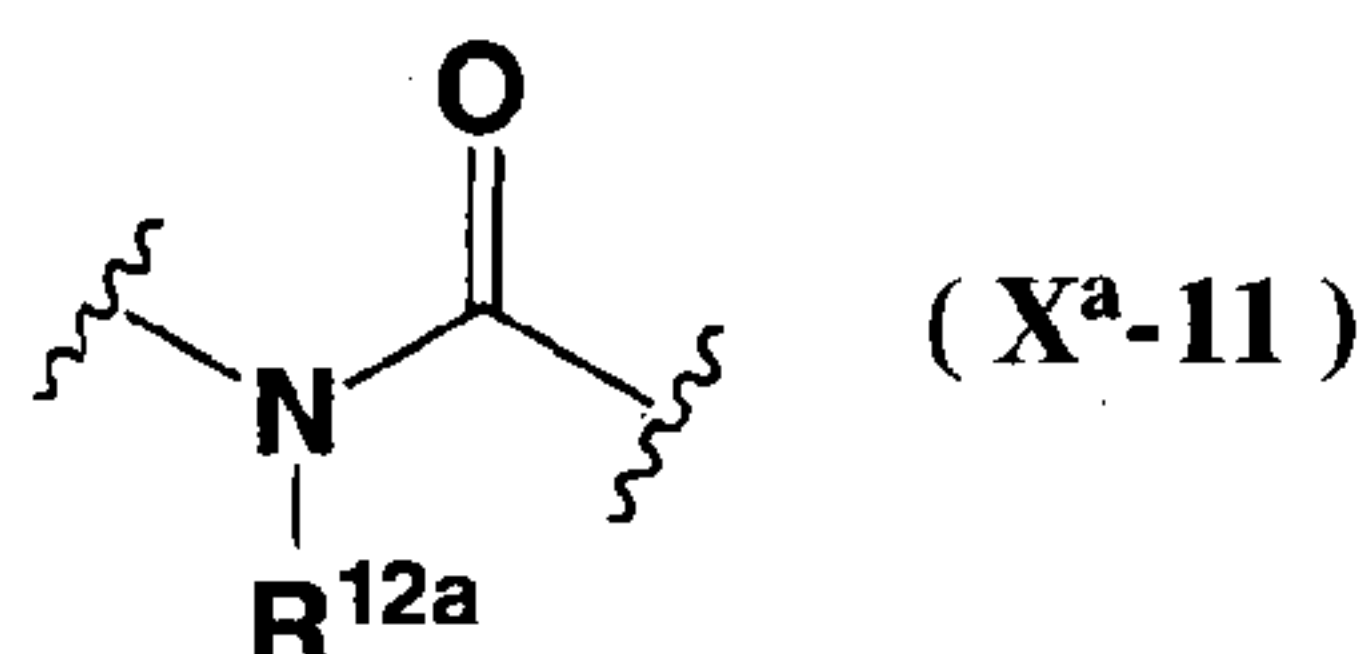
R^{2a} is a C₁₋₃ alkyl group.

5 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-4):



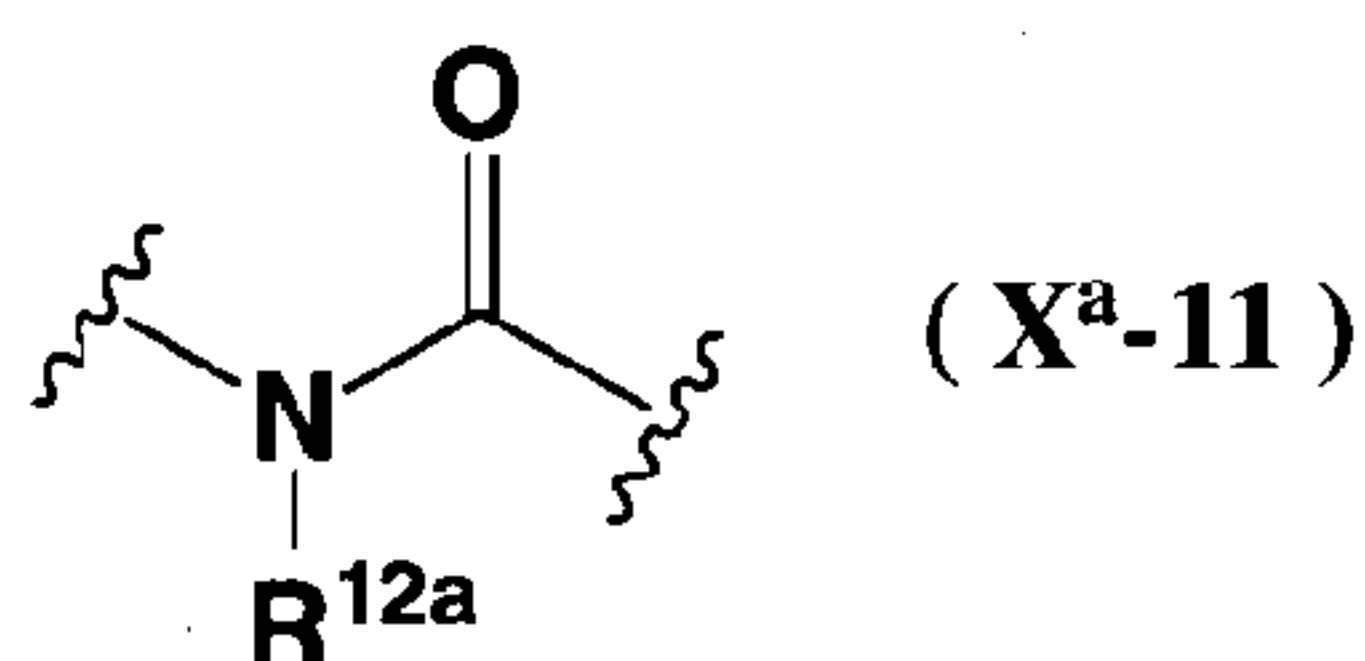
R^{2a} is a C₃₋₆ cycloalkyl group or a phenyl group (the phenyl group is unsubstituted or substituted with a halogen atom).

10 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-11):



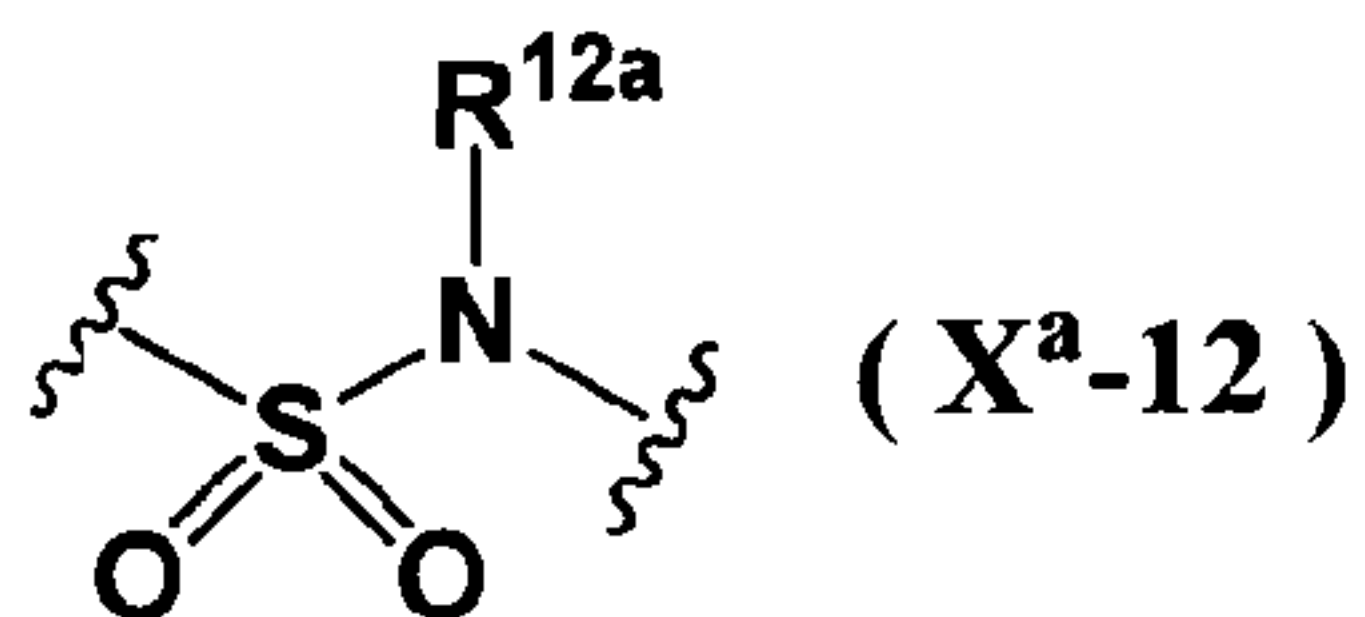
(wherein R^{12a} is a hydrogen atom or a C₁₋₃ alkyl group), and R^{2a} is a C₁₋₃ alkyl group (the C₁₋₃ alkyl group is unsubstituted or substituted with a cyano group) or a C₁₋₃ haloalkyl group.

15 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-11):



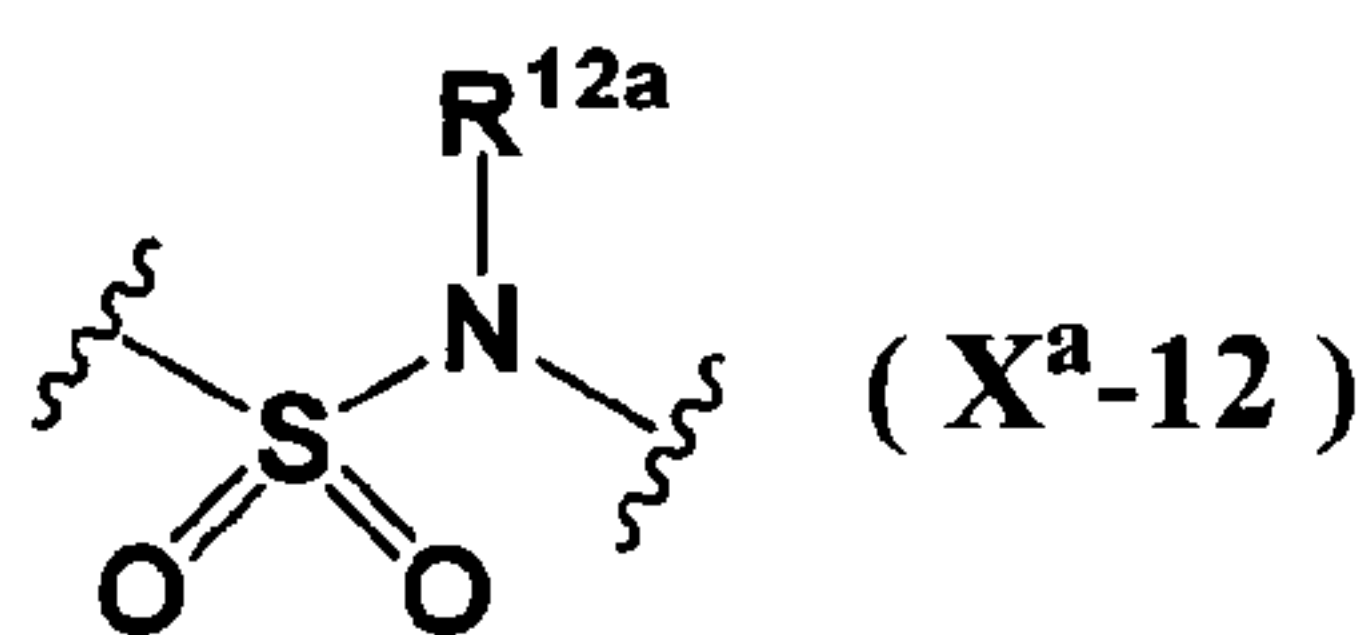
20 (wherein R^{12a} is a C₁₋₃ haloalkyl group), and R^{2a} is a C₁₋₃ alkyl group (the C₁₋₃ alkyl group is unsubstituted or substituted with a cyano group) or a C₁₋₃ haloalkyl group.

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-12):



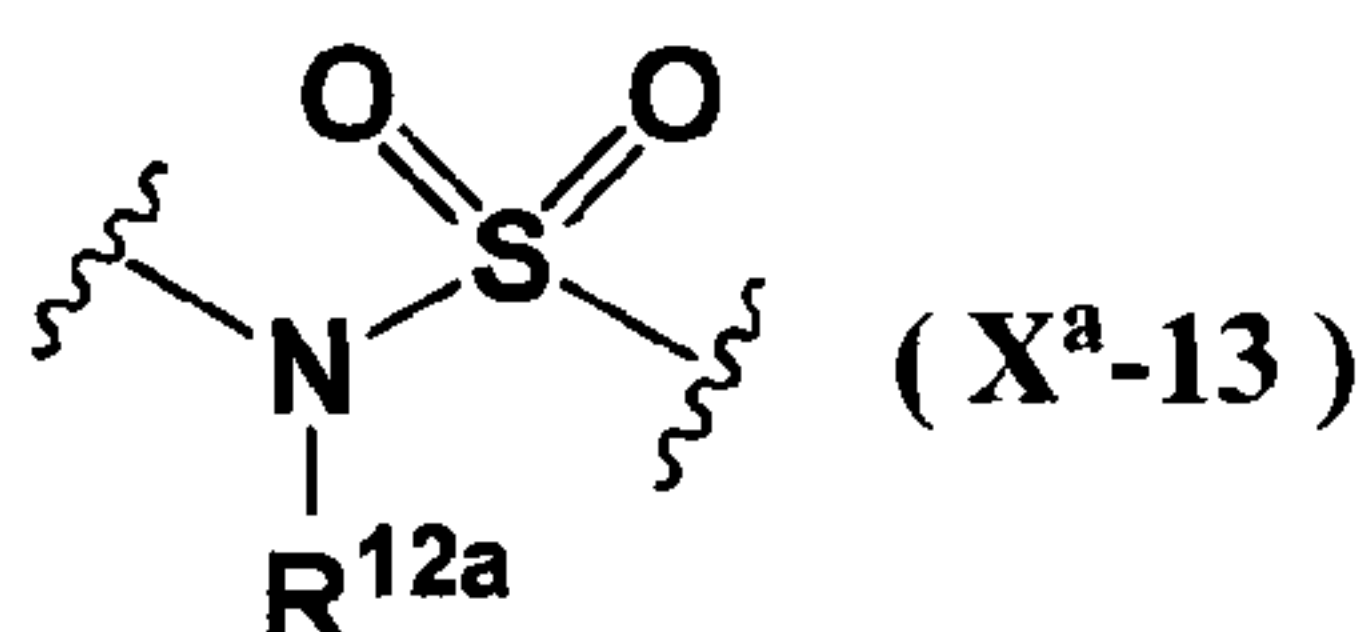
25 (wherein R^{12a} is a hydrogen atom or a C₁₋₃ alkyl group), and R^{2a} is a C₁₋₃ alkyl group (the C₁₋₃ alkyl group is unsubstituted or substituted with a cyano group) or a C₁₋₃ haloalkyl group.

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-12):



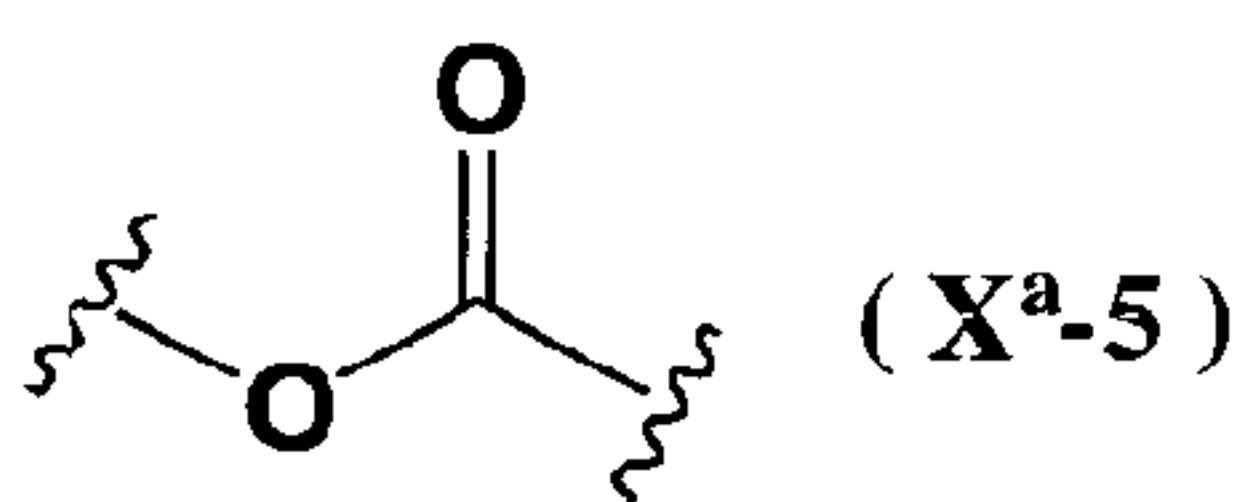
(wherein R^{12a} is a hydrogen atom), and R^{2a} is a C₃₋₆ cycloalkyl group.

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-13):



(wherein R^{12a} is a hydrogen atom), and R^{2a} is a C₁₋₃ alkyl group.

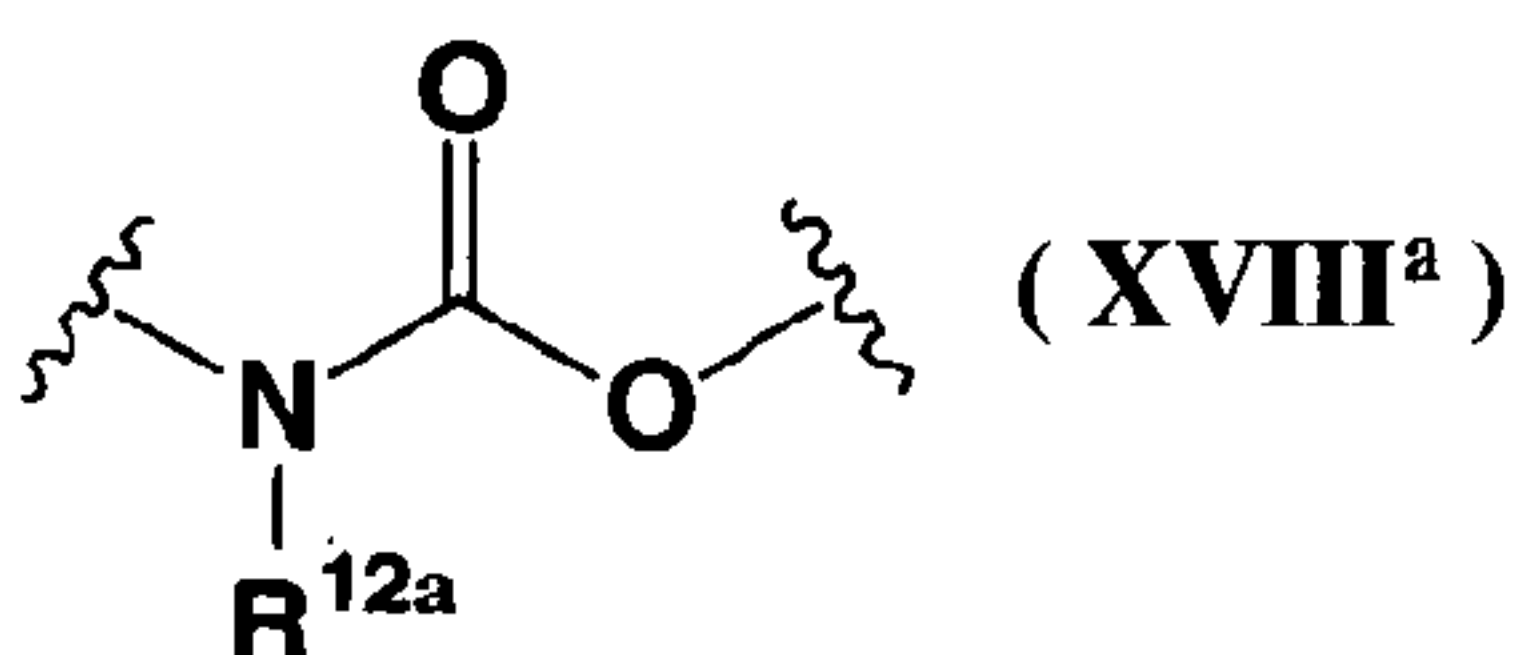
Another particularly preferred embodiment of the substituent L^{3a} and the substituent R^{2a} is such that L^{3a} is represented by the formula (X^a-5):



, and

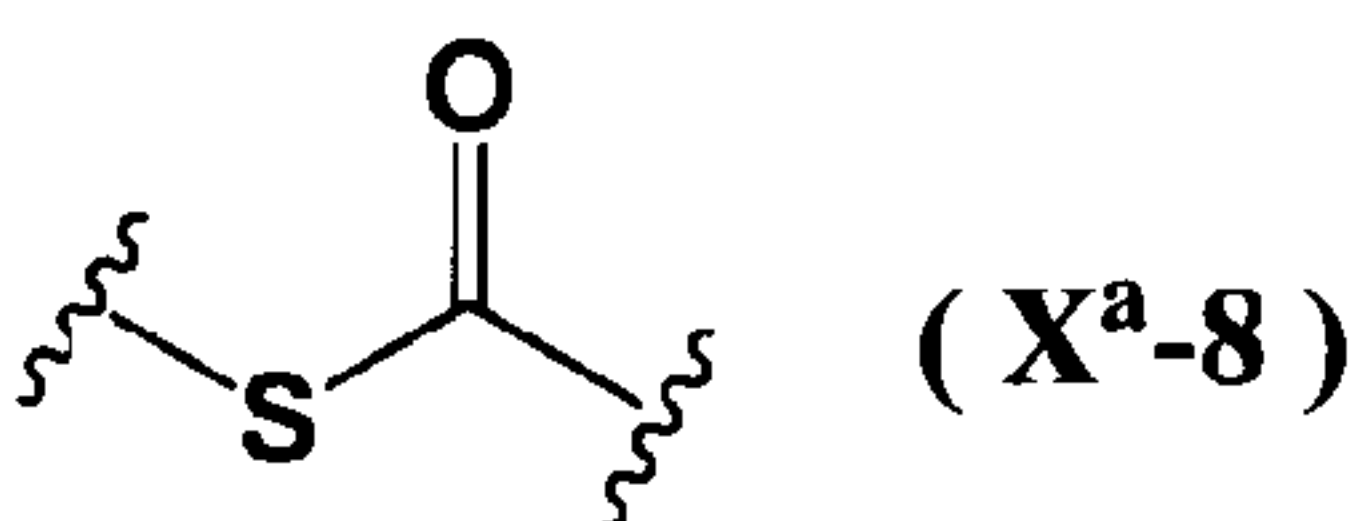
R^{2a} is a methyl group.

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



(wherein R^{12a} is a hydrogen atom), and R^{2a} is a methyl group (the methyl group is substituted with a phenyl group) or a t-butyl group.

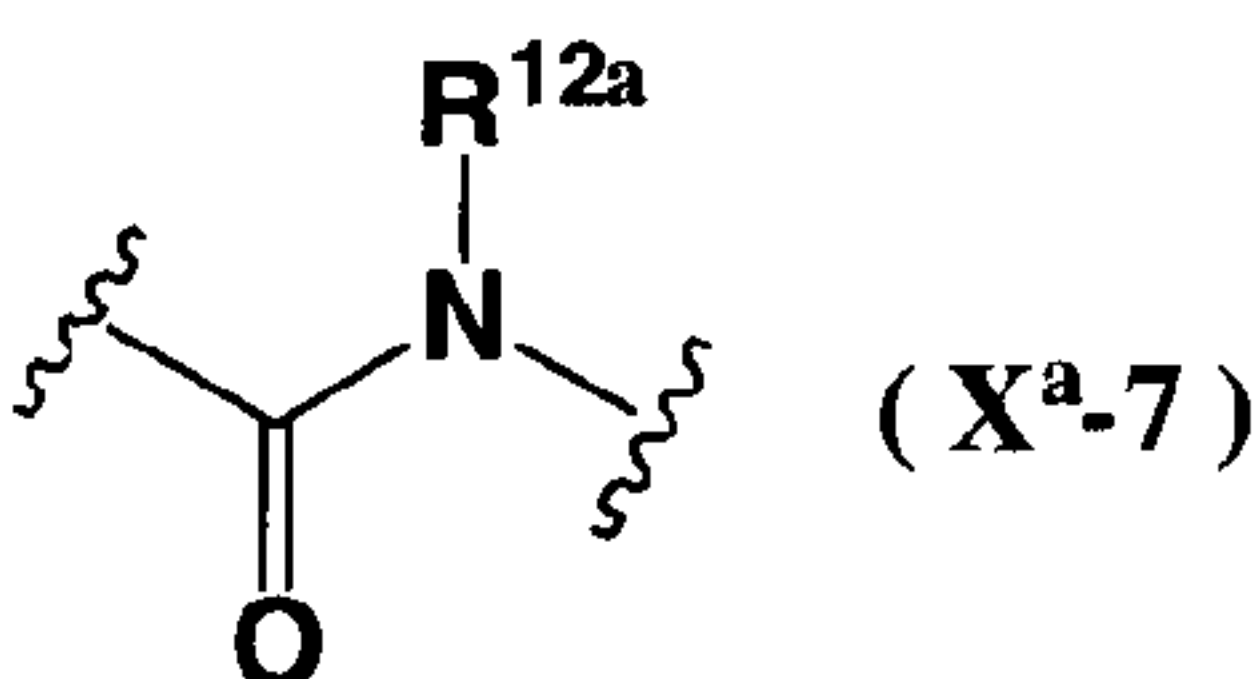
Another particularly preferred embodiment of the substituent L^{3a} and the substituent R^{2a} is such that L^{3a} is represented by the formula (X^a-8):



, and

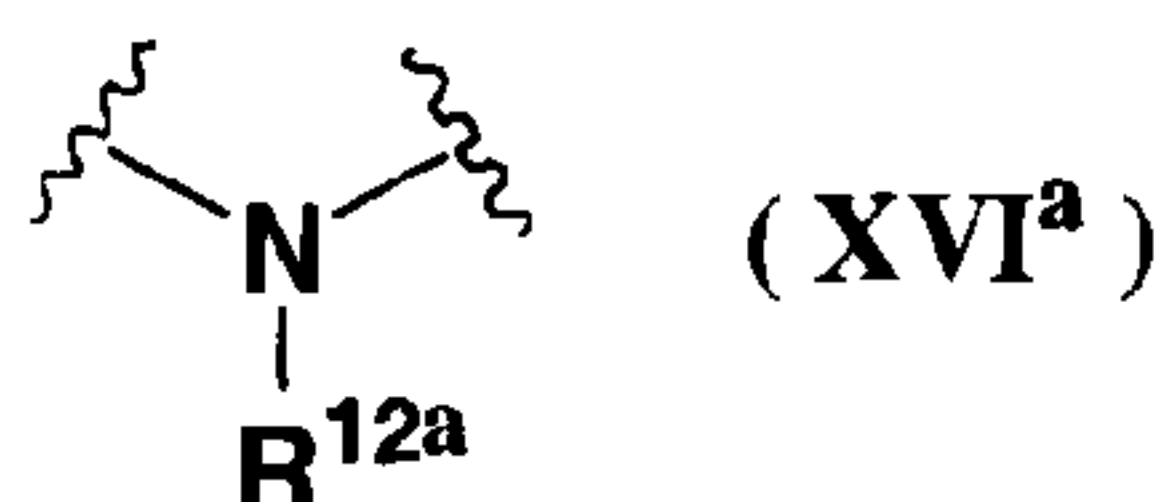
R^{2a} is a methyl group.

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-7):



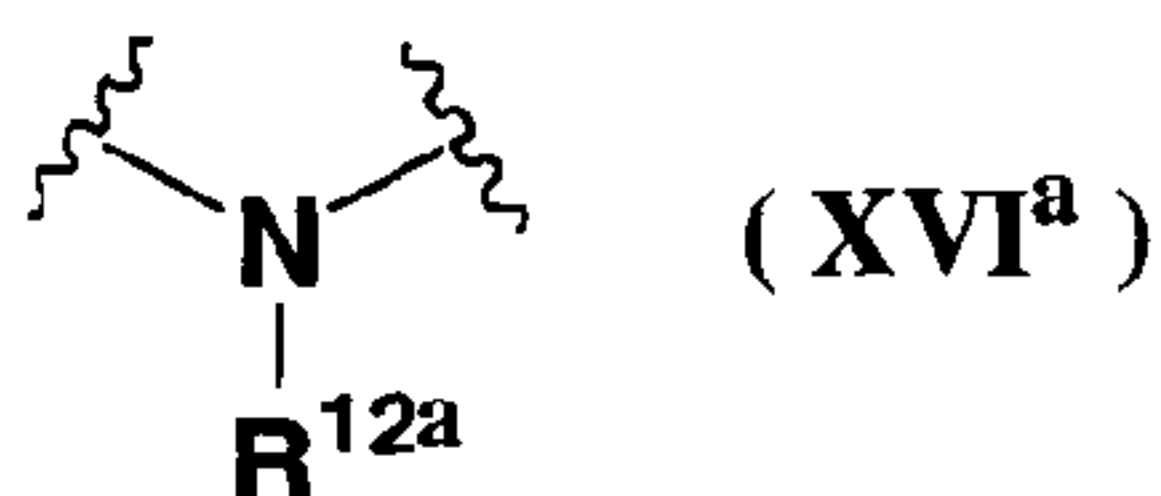
(wherein R^{12a} is a hydrogen atom), and R^{2a} is a C₁₋₃ alkyl group (the C₁₋₃ alkyl group is substituted with a 5 to 6-membered aromatic heterocyclyl group (the 5 to 6-membered aromatic heterocyclyl group is substituted with a C₁₋₃ alkyl group)).

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



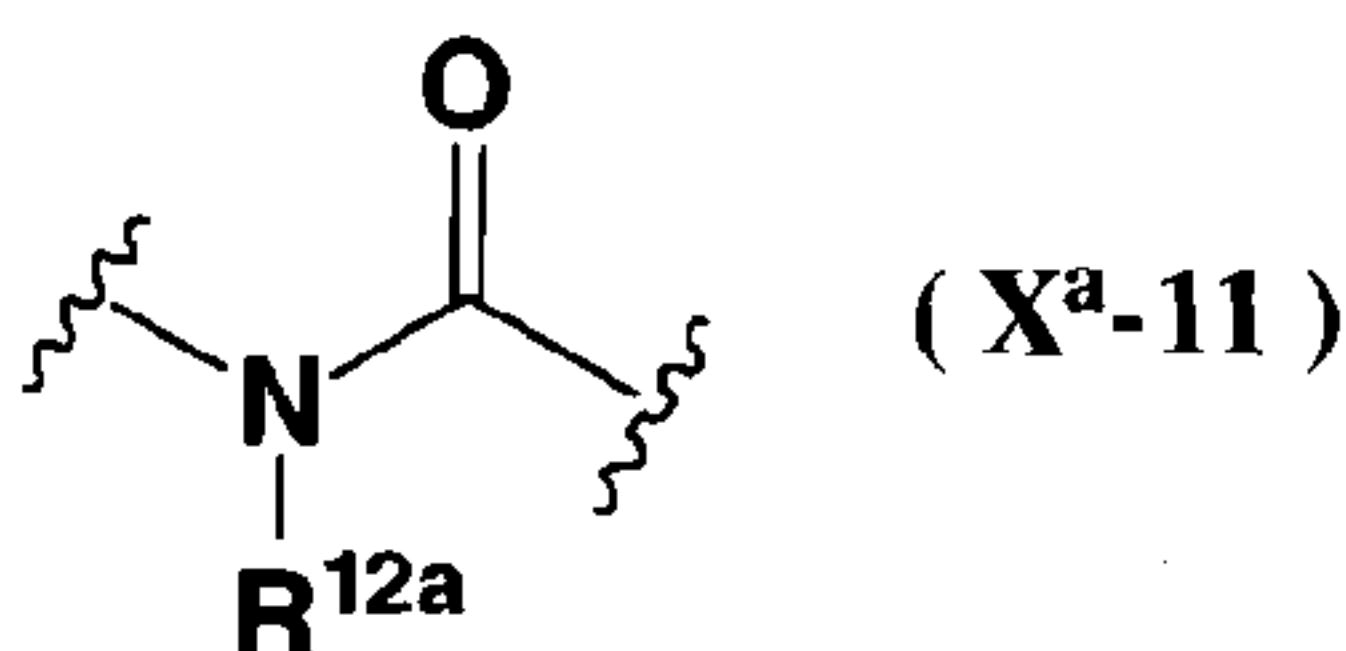
(wherein R^{12a} is a hydrogen atom), and R^{2a} is a C₁₋₃ alkyl group (the C₁₋₃ alkyl group is substituted with a phenyl group or a 5 to 6-membered aromatic heterocyclyl group (the phenyl group and the 5 to 6-membered aromatic heterocyclyl group are substituted with a C₁₋₃ alkyl group or a C₁₋₃ haloalkyl group)) or a C₂₋₆ alkynyl 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 (XVI^a):



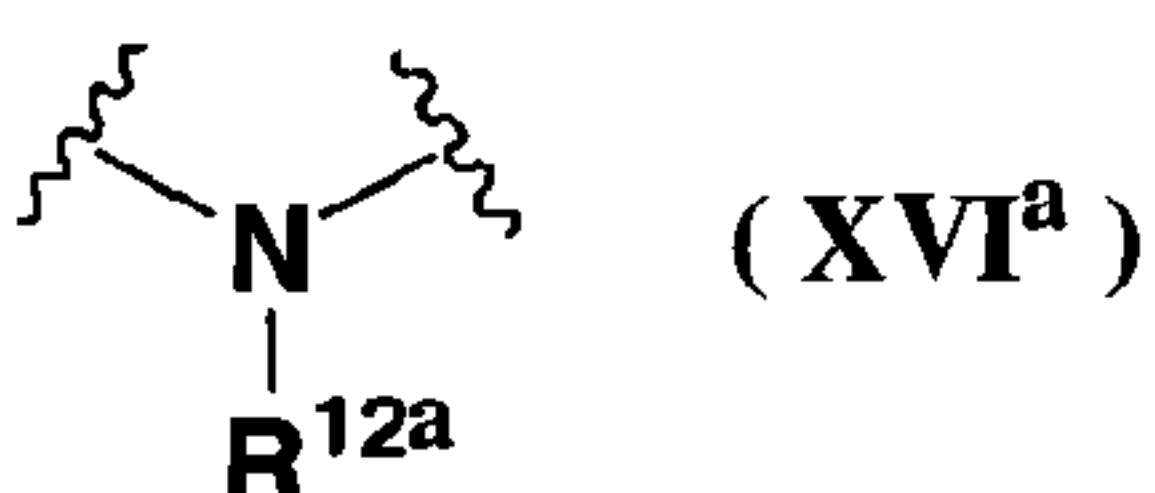
(wherein R^{12a} is a hydrogen atom), and R^{2a} is a C₁₋₃ alkyl group (the C₁₋₃ alkyl group is substituted with a phenyl group or a 5 to 6-membered aromatic heterocyclyl group (the phenyl group and the 5 to 6-membered aromatic heterocyclyl group are substituted with a C₁₋₃ alkyl group or a C₁₋₃ haloalkyl group and with a halogen 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-11):



(wherein R^{12a} is a C₁₋₃ alkyl group (the C₁₋₃ alkyl group is 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 C₁₋₃ alkyl group)) or a C₃₋₆ cycloalkyl group), and R^{2a} is a C₁₋₃ alkyl group (the C₁₋₃ alkyl group is unsubstituted or substituted with a cyano group) or a C₁₋₃ haloalkyl 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 (XVI^a):



(wherein R^{12a} is a C₁₋₃ alkyl group (the C₁₋₃ alkyl group is 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), a C₃₋₆ cycloalkyl group or a phenyl group), and R^{2a} is a C₁₋₃ alkyl group (the C₁₋₃ alkyl group is 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).

A preferred embodiment of n^a and the substituent R^{3a} is such that n^a is 0, 1 or 2, and R^{3a} is a hydroxy group, an amino group, a halogen atom, a cyano group, a C₁₋₃ alkyl group, a C₁₋₃ haloalkyl group, a C₁₋₃ alkoxy group or a C₁₋₃ haloalkoxy group (when n^a is 2, R^{3a}'s may be identical or different).

Another preferred embodiment of n^a and the substituent R^{3a} is such that n^a is 0, 1 or 2, and R^{3a} is a carbamoyl group, a carboxy group, a C₁₋₃ haloalkylcarbonyl group, a

C₁₋₆ alkoxy carbonyl group, a mono-C₁₋₃ alkylamino group, di-C₁₋₃ alkylamino group, mono-C₁₋₃ alkylaminocarbonyl group, a di-C₁₋₃ alkylaminocarbonyl group or a C₁₋₃ alkylcarbonylamino group (when n^a is 2, R^{3a} 's may be identical or different).

A more preferred embodiment of n^a and the substituent R^{3a} is such that n^a is 0 or 1, and R^{3a} is a C₁₋₃ alkyl group.

Another more preferred embodiment of n^a and the substituent R^{3a} is such that n^a is 0 or 1, and R^{3a} is a halogen atom.

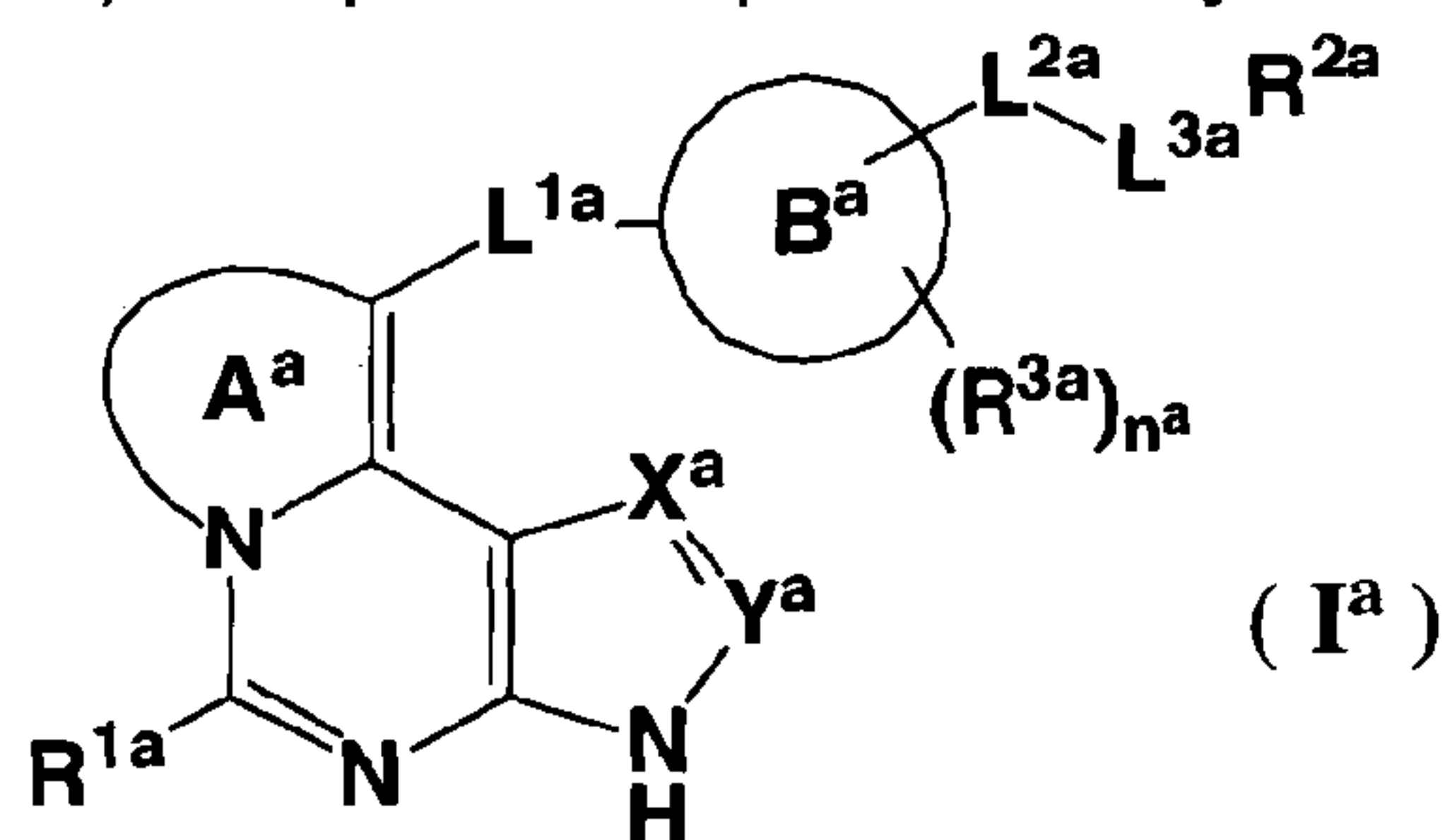
Another more preferred embodiment of n^a and the substituent R^{3a} is such that n^a is 0 or 1, and R^{3a} is a cyano group.

Another more preferred embodiment of n^a and the substituent R^{3a} is such that n^a is 0 or 1, and R^{3a} is a hydroxy group.

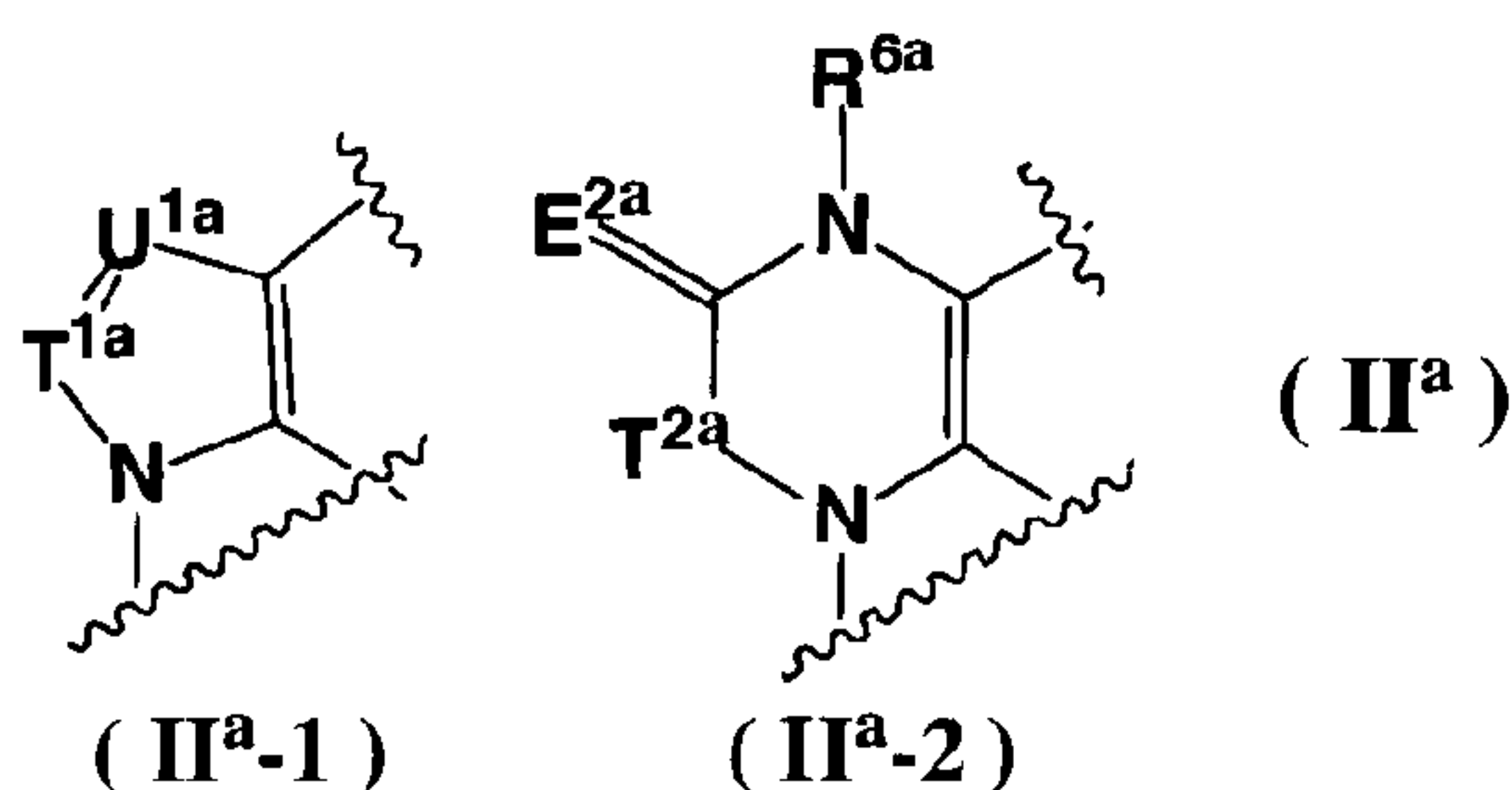
Another more preferred embodiment of n^a and the substituent R^{3a} is such that n^a is 2, and R^{3a} is a halogen atom or a C₁₋₃ alkyl group (R^{3a} 's may be identical or different).

As favorable tricyclic pyrimidine compounds of the present invention for use as JAK inhibitors and as preventive, therapeutic and/or improving agent for diseases against which inhibition of JAK is effective, the following compounds may be mentioned.

1^a) Compounds represented by the formula (I^a):



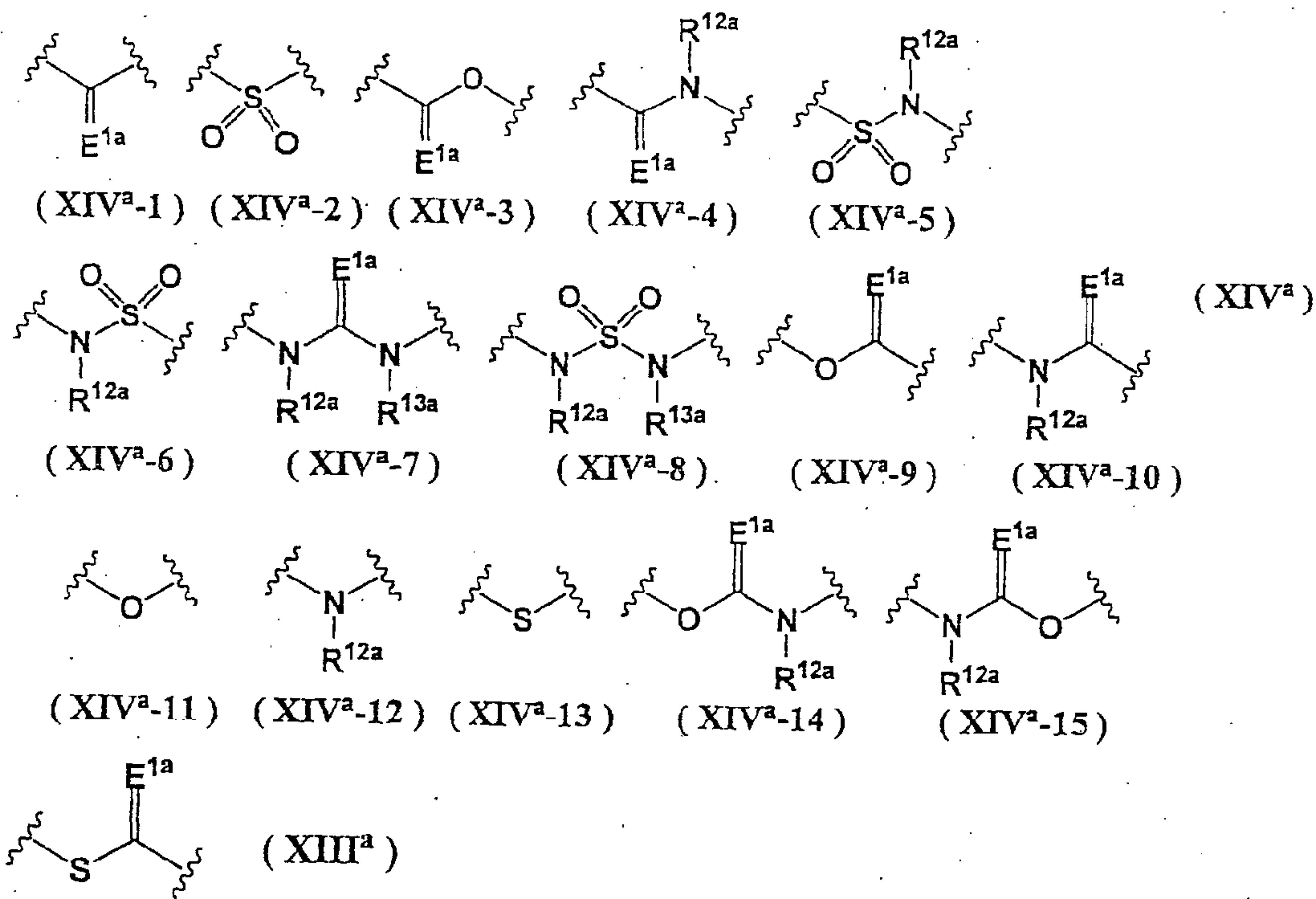
[wherein R^{1a} is a hydrogen atom or a halogen atom,
X^a is CR^{9a} (wherein R^{9a} is a hydrogen atom, a halogen atom, a cyano group, a C₁₋₆ alkyl group, a C₁₋₆ haloalkyl group or a C₃₋₆ cycloalkyl group) or a nitrogen atom,
Y^a is CR^{10a} (wherein R^{10a} is a hydrogen atom),
the ring A^a is represented by the following formula (II^a-1) or (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, and E^{2a} is an oxygen atom or a sulfur atom),
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,
L^{1a} is a single bond or a C₁₋₆ alkylene group,
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 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-
- 15 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
- 20 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))),
- 25 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

V^{9a}), 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 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}),

n^a is 0, 1 or 2,

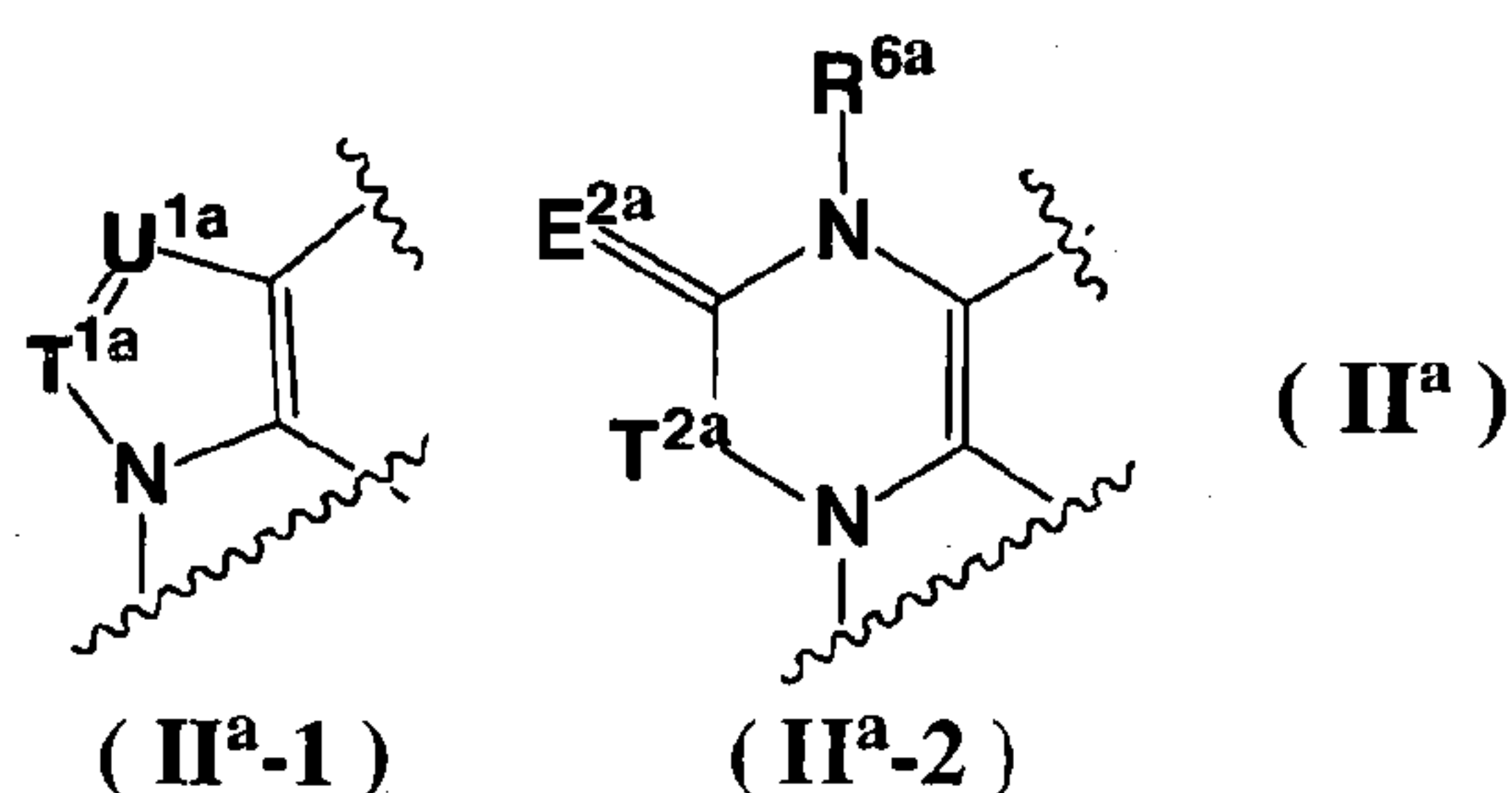
R^{3a} is a hydroxy group, an amino group, a carboxy group, a carbamoyl group, a sulfamoyl group, a phosphono group, a phosphonooxy group, a sulfo group, a sulfoxy group, a tetrazolyl group, a halogen atom, a cyano group, a nitro group, a C₁₋₆ alkyl group, a C₁₋₆ haloalkyl group, a C₃₋₁₁ cycloalkyl group, 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), each of R^{4a} and R^{5a} 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}),

R^{6a} 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^{3a}), 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}),

each of R^{12a} and R^{13a} is independently 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 substituent set V^{2a}, the substituent set V^{8a} and the substituent set V^{9a}), 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 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 11-membered non-aromatic heterocyclyl group, 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^{4a} or the substituent set V^{9a}),

tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.
 2^a) The compounds according to 1^a), wherein R^{1a} is a hydrogen atom or a halogen atom, X^a is CR^{9a} (wherein R^{9a} is a hydrogen atom, a halogen atom, a cyano group, a C₁₋₆ alkyl group, a C₁₋₆ haloalkyl group or a C₃₋₆ cycloalkyl group) or a nitrogen atom, Y^a is CR^{10a} (wherein R^{10a} is a hydrogen atom), the ring A^a is represented by the following formula (II^a-1) or (II^a-2):



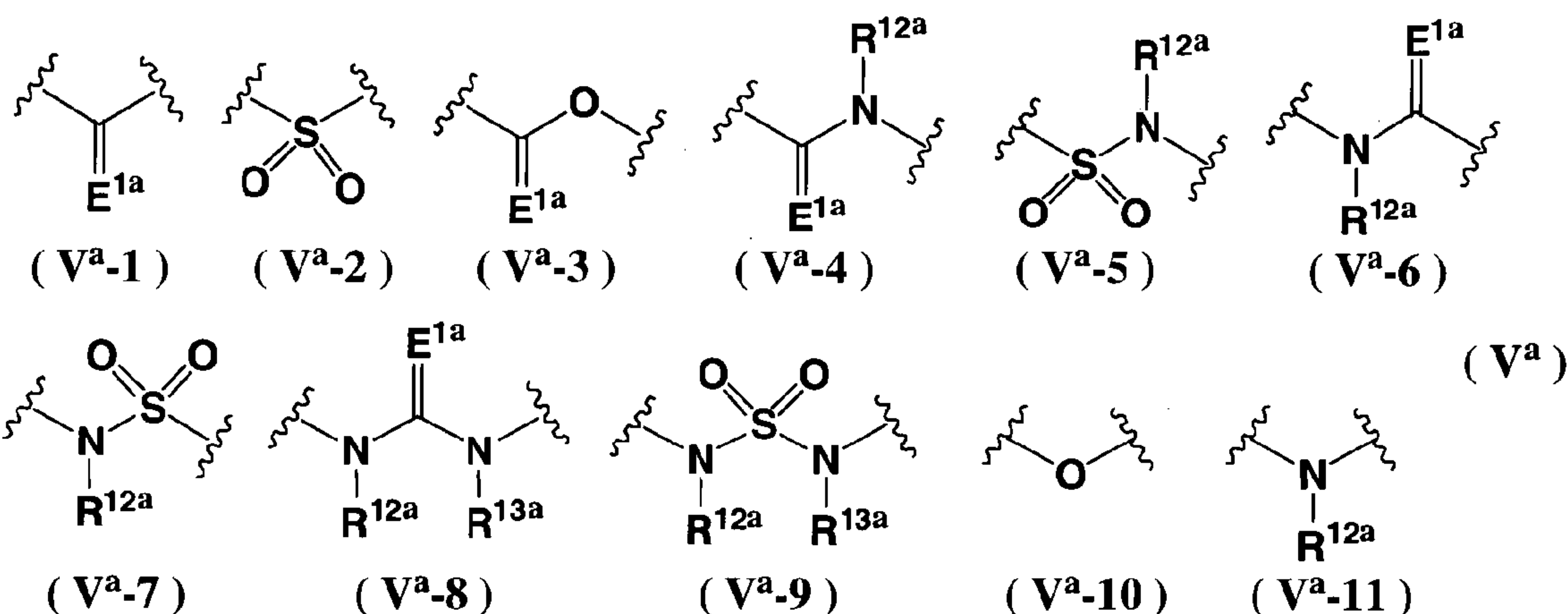
(wherein T^{1a} is a nitrogen atom or CR^{4a}, U^{1a} is a nitrogen atom or CR^{5a}, T^{2a} is a single bond, E^{2a} is an oxygen atom or a sulfur atom, and R^{6a} is a hydrogen atom, a C₁₋₆ alkyl group (the C₁₋₆ alkyl group is unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{3a}), 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})),

L^{1a} is a single bond or a C₁₋₃ alkylene group,

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

L^{3a} is a single bond, 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 6-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 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}), or L^{3a} is represented by any of the following formulae (V^a-1) to (V^a-11):



(wherein E^{1a} is an oxygen atom, and each of R^{12a} and R^{13a} is independently a hydrogen atom or a C₁₋₆ alkyl 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^{4a}), and

each of R^{4a} and R^{5a} is independently a hydrogen atom, an amino group, a carbamoyl group, a halogen atom, a cyano group, a C₁₋₆ alkyl group, a C₁₋₆ haloalkyl group, a C₃₋₆ cycloalkyl group, a C₁₋₆ alkoxy group, a C₁₋₆ haloalkoxy group, a C₁₋₆ alkylsulfonyl group, a 4 to 7-membered non-aromatic heterocyclyl group, a phenyl group or a 5 to 6-membered aromatic heterocyclyl group, tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.

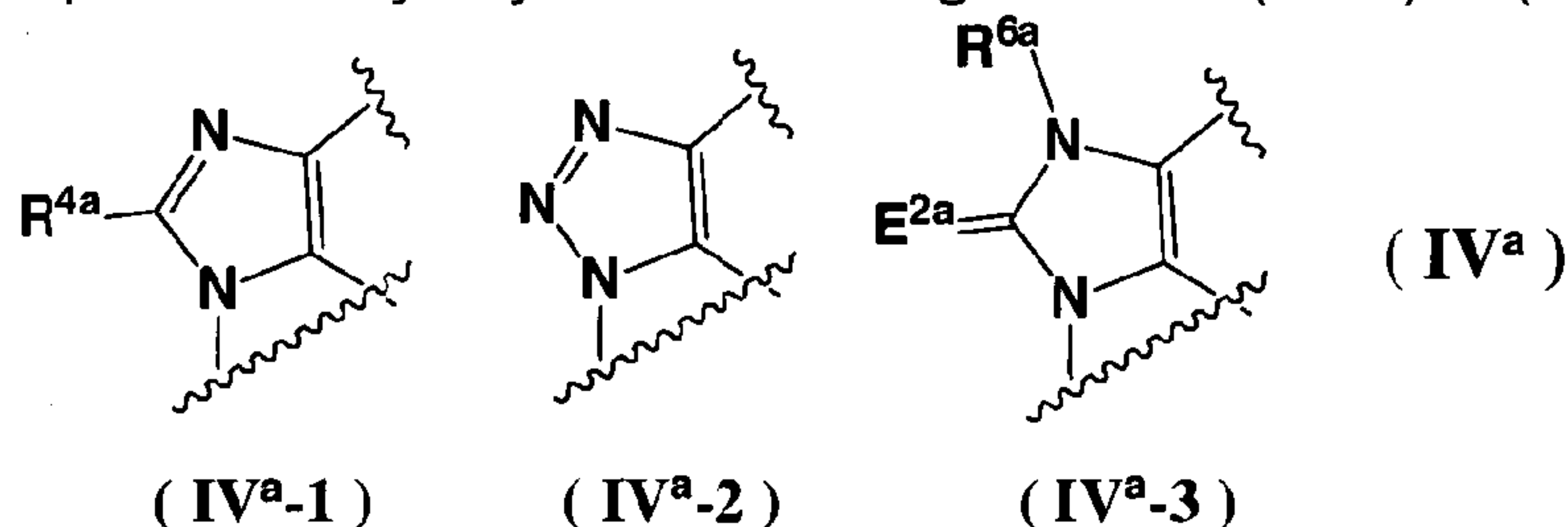
3^a) The compounds according to 2^a), wherein R^{1a} is a hydrogen atom, tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.

4^a) The compounds according to 2^a) or 3^a), wherein Y^a is CR^{10a} (wherein R^{10a} is a hydrogen atom), tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.

5^a) The compounds according to any one of 2^a) to 4^a), wherein X^a is a nitrogen atom or CR^{9a} (wherein R^{9a} is a hydrogen atom, a halogen atom or a cyano group), tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.

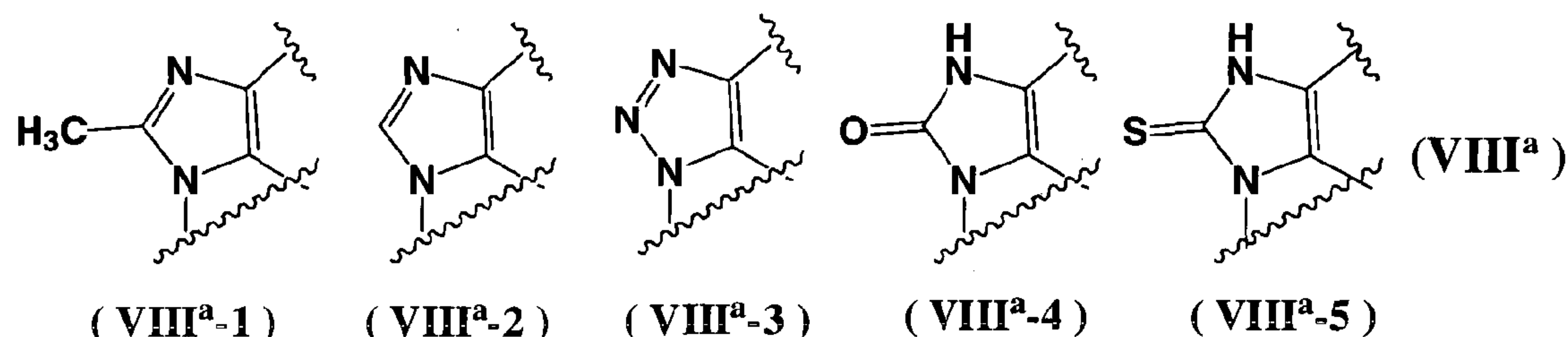
6^a) The compounds according to any one of 2^a) to 5^a), wherein X^a is CR^{9a} (wherein R^{9a} is a hydrogen atom), tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.

7^a) The compounds according to any one of 2^a) to 6^a), 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, R^{4a} is a hydrogen atom, an amino group, a carbamoyl group, a halogen atom, a cyano group, a C_{1-6} alkyl group, a C_{1-6} haloalkyl group, a C_{3-6} cycloalkyl group, a C_{1-6} alkoxy group, a C_{1-6} haloalkoxy group, a C_{1-6} alkylsulfonyl group, a 4 to 7-membered non-aromatic heterocyclyl group, a phenyl group or a 5 to 6-membered aromatic heterocyclyl group, and R^{6a} is a hydrogen atom, a C_{1-6} alkyl group, a C_{1-6} haloalkyl group, 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), tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.

8^a) The compounds according to any one of 2^a) to 7^a), wherein the ring A^a is represented by any of the following formulae (VIII^a-1) to (VIII^a-5):



tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.

9^a) The compounds according to any one of 2^a) to 8^a), wherein L^{1a} is a single bond, tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.

10^a) The compounds according to any one of 2^a) to 9^a), wherein L^{2a} 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} haloalkylene group, tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.

11^a) The compounds according to any one of 2^a) to 9^a), wherein L^{2a} is a single bond or a methylene group, tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.

12^a) The compounds according to any one of 2^a) to 11^a), wherein the ring B^a is a C_{4-7} cycloalkane, benzene or a 4 to 7-membered non-aromatic heterocycle, tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.

13^a) The compounds according to any one of 2^a) to 11^a), wherein the ring B^a is cyclohexane, benzene or piperidine, tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.

14^a) The compounds according to any one of 2^a) to 11^a), wherein the ring B^a is spiro[2,5]octane or adamantane, tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.

15^a) The compounds according to any one of 2^a) to 11^a), wherein the ring B^a is cyclohexane, tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.

16^a) The compounds according to any one of 2^a) to 15^a), wherein n^a is 0 or 1, and R^{3a} is a methyl group, tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.

17^a) The compounds according to any one of 2^a) to 15^a), wherein n^a is 0 or 1, and R^{3a} is a halogen atom, tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.

18^a) The compounds according to any one of 2^a) to 15^a), wherein n^a is 0 or 1, and R^{3a} is a cyano group, tautomers or pharmaceutically acceptable salts of the compounds or

solvates thereof.

19^a) The compounds according to any one of 2^a) to 15^a), wherein n^a is 0 or 1, and R^{3a} is a hydroxy group, tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.

5 20^a) The compounds according to any one of 2^a) to 15^a), wherein n^a is 0, tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.

21^a) The compounds according to any one of 2^a) to 20^a), wherein L^{3a} is a single bond, and R^{2a} is a hydrogen atom, tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.

10 22^a) The compounds according to any one of 2^a) to 20^a), wherein L^{3a} is a single bond, and R^{2a} is a halogen atom, tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.

23^a) The compounds according to any one of 2^a) to 20^a), wherein L^{3a} is a single bond, and R^{2a} is a C₃₋₆ cycloalkyl group or a 3 to 11-membered non-aromatic heterocyclyl group (the C₃₋₆ cycloalkyl group and the 3 to 11-membered non-aromatic heterocyclyl group are unsubstituted or substituted with one or more identical or different substituents independently selected from the group consisting of hydroxy groups, cyano groups, halogen atoms, carboxy groups, carbamoyl groups, C₁₋₆ alkyl groups (the C₁₋₆ alkyl groups are unsubstituted or substituted with a hydroxy group or a cyano group), C₁₋₆ haloalkyl groups, C₁₋₆ haloalkoxy groups, di-C₁₋₆ alkylamino groups, C₁₋₆ alkylsulfonyl groups, mono-C₁₋₆ alkylaminocarbonyl groups, C₁₋₆ alkylcarbonylamino groups (the mono-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), 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 and C₁₋₆ haloalkyl groups)), tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.

30 24^a) The compounds according to 23^a) , wherein L^{3a} is a single bond, and R^{2a} is a cyclohexyl group or a cyclopentyl group (the cyclohexyl group and the cyclopentyl group are unsubstituted or substituted with a C₁₋₃ alkyl group or a C₁₋₃ haloalkyl group), tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.

35 25^a) The compounds according to 23^a), wherein L^{3a} is a single bond, and R^{2a} is an azetidiny group, a pyrrolidiny group, a piperidiny group, a morpholiny group, a 1,1-dioxothiomorpholino group, a thiazolidiny group, a piperadiny group, an oxopiperadiny group or a indoliny group (the azetidiny group, the pyrrolidiny group, the piperidiny group, the morpholiny group, the 1,1-dioxothiomorpholino group, the thiazolidiny group, the piperadiny group, the oxopiperadiny group and the indoliny group are unsubstituted or substituted with one or two identical or different substituents independently selected from the group consisting of hydroxy groups, cyano groups, halogen atoms, carboxy groups, carbamoyl groups, C₁₋₆ alkyl groups (the C₁₋₆ alkyl groups are unsubstituted or substituted with a hydroxy group or a cyano group), C₁₋₆ haloalkyl groups, C₁₋₆ haloalkoxy groups, di-C₁₋₆ alkylamino groups, C₁₋₆ alkylsulfonyl groups, mono-C₁₋₆ alkylaminocarbonyl groups, C₁₋₆ alkylcarbonylamino groups (the mono-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), 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 and C₁₋₆ haloalkyl groups)), tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.

26^a) The compounds according to any one of 2^a) to 20^a), wherein L^{3a} is a single bond, and R^{2a} is 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 one or more identical or different substituents independently selected from the group consisting of halogen atoms, cyano groups, carbamoyl groups, C₁₋₆ alkyl groups, C₁₋₆ alkoxy groups, di-C₁₋₃ alkylamino groups (the C₁₋₆ alkyl groups, the C₁₋₆ alkoxy groups and the di-C₁₋₃ alkylamino groups are unsubstituted or substituted with a hydroxy group or a cyano group), C₁₋₆ alkylthio groups, C₁₋₆ alkylsulfonyl groups, C₁₋₆ haloalkyl groups, C₁₋₆ haloalkoxy groups, C₁₋₆ haloalkylthio groups, 4 to 7-membered non-aromatic heterocyclyl groups and 5 to 6-membered aromatic heterocyclyl groups), tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.

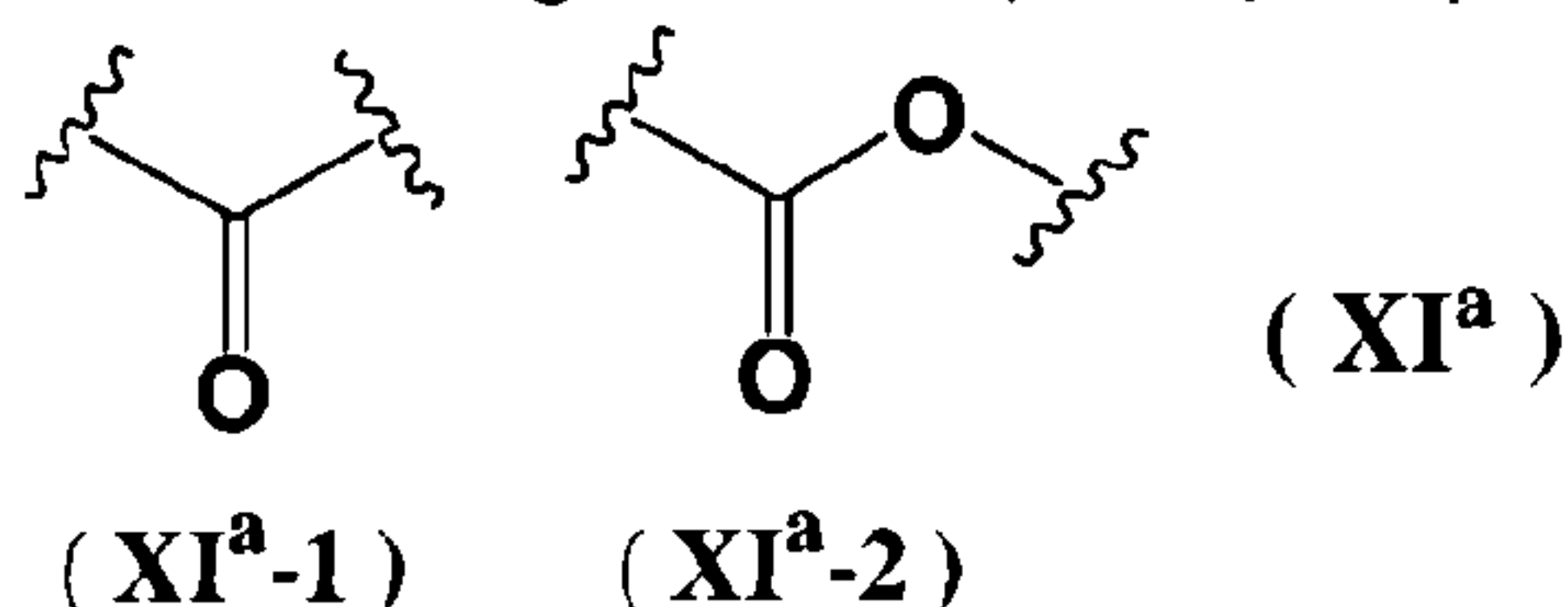
27^a) The compounds according to any one of 2^a) to 20^a), wherein L^{3a} is a single bond, and R^{2a} is a phenyl group or a 5 to 6-membered aromatic heterocyclyl group (the phenyl group and the 5 to 6-membered aromatic heterocyclyl group are unsubstituted or substituted with one, two or three identical or different substituents independently selected from the group consisting of halogen atoms, hydroxy groups, cyano groups, C₁₋₆ alkyl groups, C₁₋₆ alkoxy groups, C₁₋₆ alkylthio groups, mono-C₁₋₃ alkylamino groups, di-C₁₋₃ alkylamino groups, C₁₋₆ alkylsulfonyl groups (the C₁₋₆ alkyl group, the C₁₋₆ alkoxy group, the C₁₋₆ alkylthio group, the mono-C₁₋₃ alkylamino group, the di-C₁₋₃ alkylamino group and the C₁₋₆ alkylsulfonyl group are unsubstituted or substituted with a hydroxy group or a cyano group), C₁₋₆ haloalkyl groups, C₁₋₆ haloalkoxy groups, C₁₋₆ haloalkylthio groups and C₁₋₆ haloalkylsulfonyl groups), tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.

28^a) The compounds according to 27^a), wherein L^{3a} is a single bond, and R^{2a} is a phenyl group (the phenyl group is unsubstituted or substituted with one, two or three identical or different substituents independently selected from the group consisting of halogen atoms, cyano groups, C₁₋₃ alkyl groups, C₁₋₃ alkoxy groups (the C₁₋₃ alkoxy group is unsubstituted or substituted with a hydroxy group or a cyano group), C₁₋₃ alkylthio groups, C₁₋₃ alkylsulfonyl groups, C₁₋₃ haloalkyl groups, C₁₋₃ haloalkoxy groups, C₁₋₃ haloalkylthio groups, di-C₁₋₃ alkylamino groups (the di-C₁₋₃ alkylamino groups are unsubstituted or substituted with a cyano group), carbamoyl groups and 5 to 6-membered aromatic heterocyclyl groups), tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.

29^a) The compounds according to 27^a), wherein L^{3a} is a single bond, and R^{2a} is a furanyl group, a thienyl group, a pyrazolyl group, an isoxazolyl group, a thiazolyl group, a thiadiazolyl group, an indazolyl group, a quinoxaliny group, an oxazolyl group, a benzothiazolyl group, a triazolyl group or a pyridinyl group (the furanyl group, the thienyl group, the pyrazolyl group, the isoxazolyl group, the thiazolyl group, the thiadiazolyl group, the indazolyl group, the quinoxaliny group, the oxazolyl group, the benzothiazolyl group, the triazolyl group and the pyridinyl 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, C₁₋₃ alkyl groups (the C₁₋₃ alkyl groups are unsubstituted or substituted with a hydroxy group), C₁₋₃

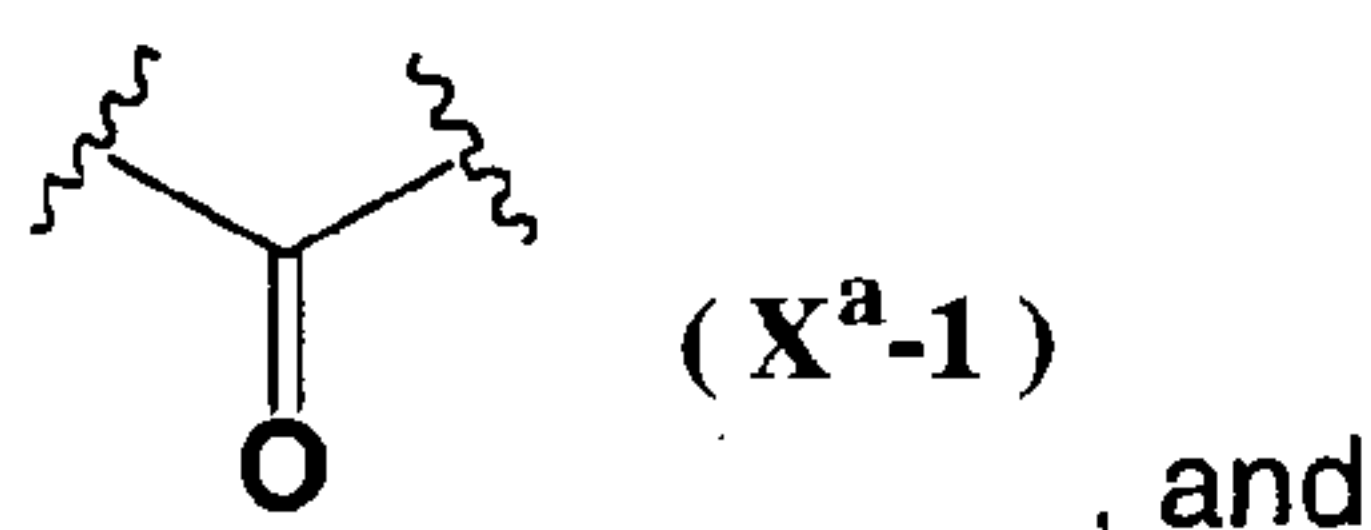
haloalkyl groups, hydroxy groups, C₁₋₃ alkoxy groups, 4 to 7-membered non-aromatic heterocyclyl group and C₁₋₃ haloalkoxy groups), tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.

30^a) The compounds according to any one of 2^a) to 20^a), wherein L^{3a} is represented by the following formula (XI^a-1) or (XI^a-2):



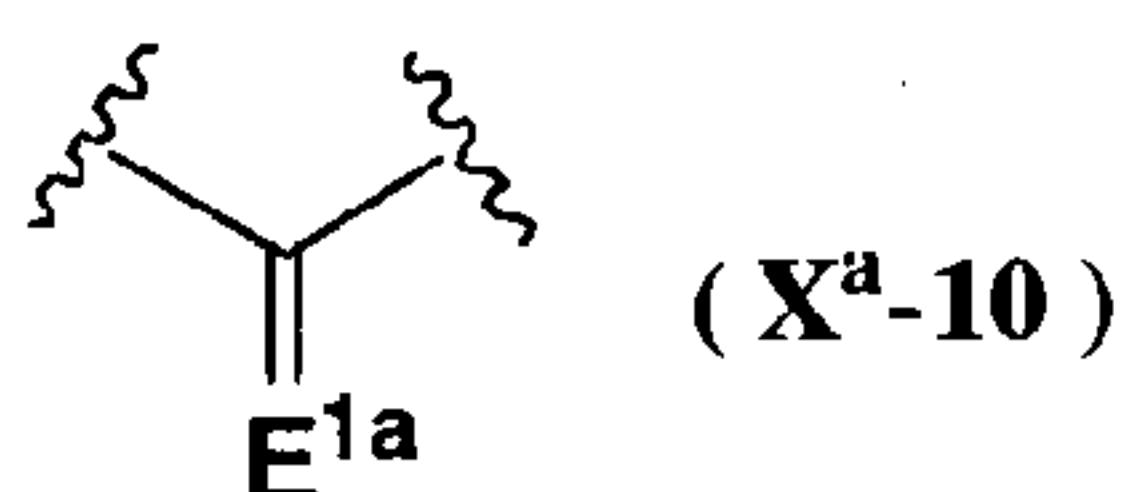
R^{2a} is a methyl group (the methyl group is unsubstituted or substituted with a cyano group or a phenyl group), tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.

31^a) The compounds according to any one of 2^a) to 20^a), wherein L^{3a} is represented by the formula (X^a-1):



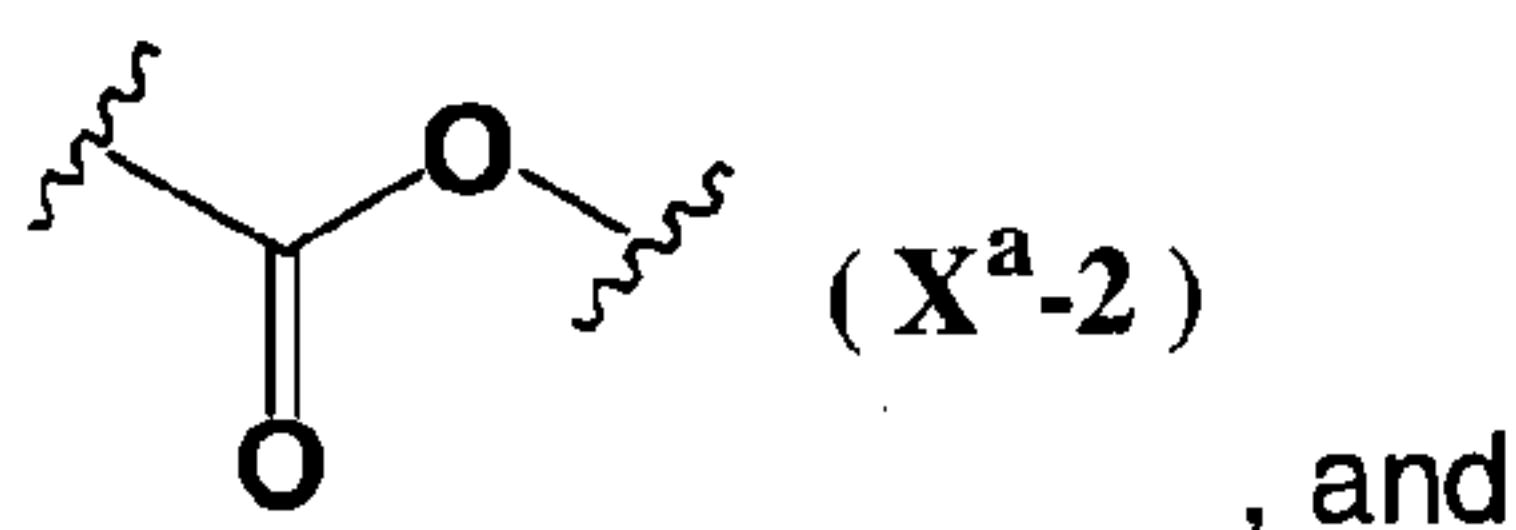
R^{2a} is a hydrogen atom, a C₁₋₃ alkyl group (the C₁₋₃ alkyl group is unsubstituted or substituted with a cyano group), a C₁₋₃ haloalkyl group, a 4 to 7-membered non-aromatic heterocyclyl group or a phenyl group (the 4 to 7-membered non-aromatic heterocyclyl group and the phenyl group are unsubstituted or substituted with a substituent selected from the group consisting of a hydroxy group, a halogen atom, a C₁₋₃ alkyl group and a C₁₋₃ haloalkyl group), tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.

32^a) The compounds according to any one of 2^a) to 20^a), wherein L^{3a} is represented by the formula (X^a-10):



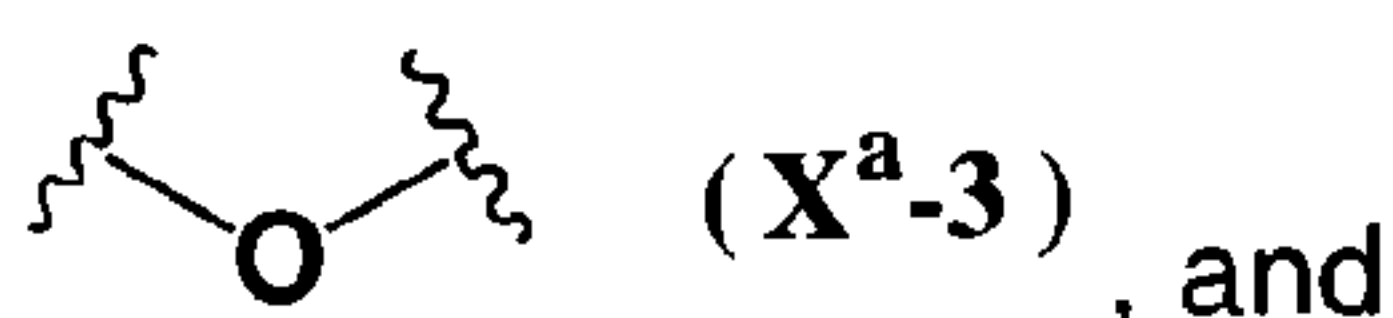
(wherein E^{1a} is NR^{11a} (wherein R^{11a} is a hydroxy group)), and R^{2a} is a hydrogen atom, tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.

33^a) The compounds according to any one of 2^a) to 20^a), wherein L^{3a} is represented by the formula (X^a-2):



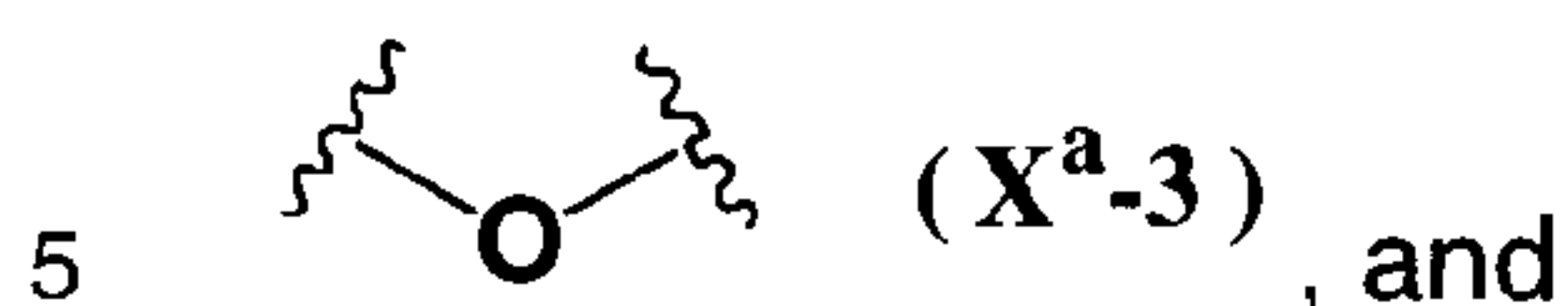
R^{2a} is a C₁₋₆ alkyl group (the C₁₋₆ alkyl group is unsubstituted or substituted with a phenyl group), tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.

34^a) The compounds according to any one of 2^a) to 20^a), wherein L^{3a} is represented by the formula (X^a-3):



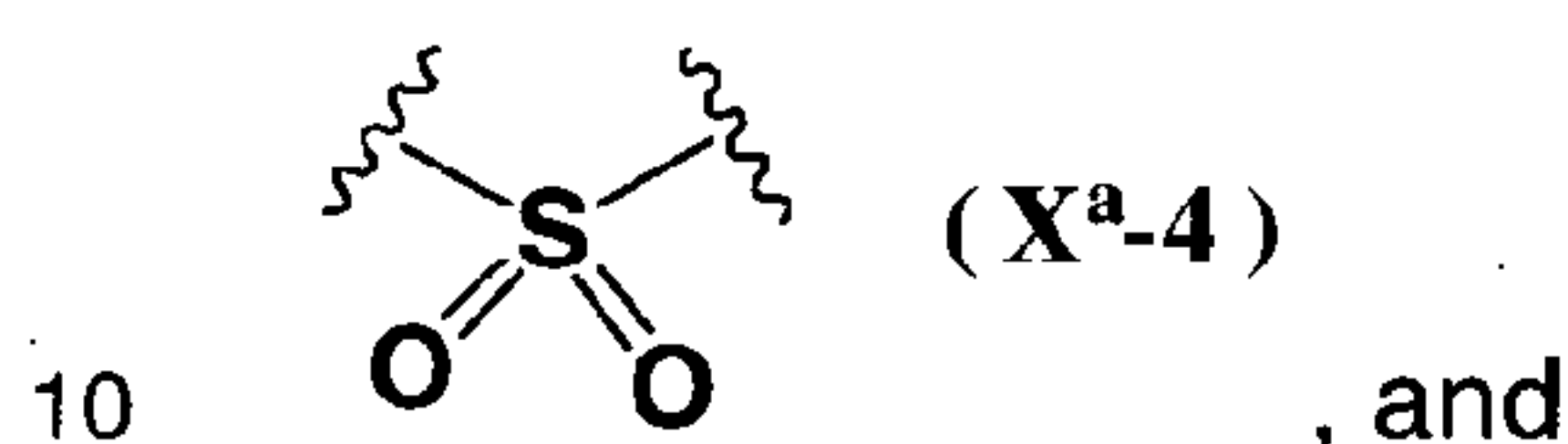
R^{2a} is a hydrogen atom, tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.

35^a) The compounds according to any one of 2^a) to 20^a), wherein L^{3a} is represented by the formula (X^a-3):



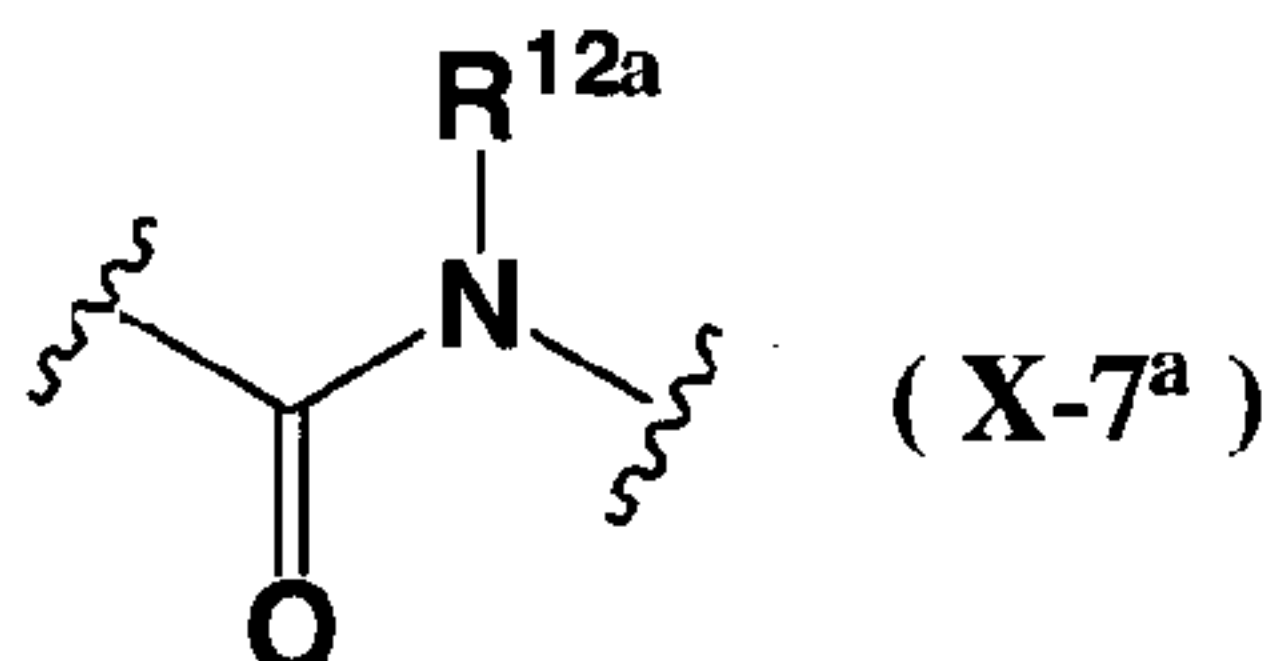
R^{2a} is a C₁₋₃ alkyl group, tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.

36^a) The compounds according to any one of 2^a) to 20^a), wherein L^{3a} is represented by the formula (X^a-4):



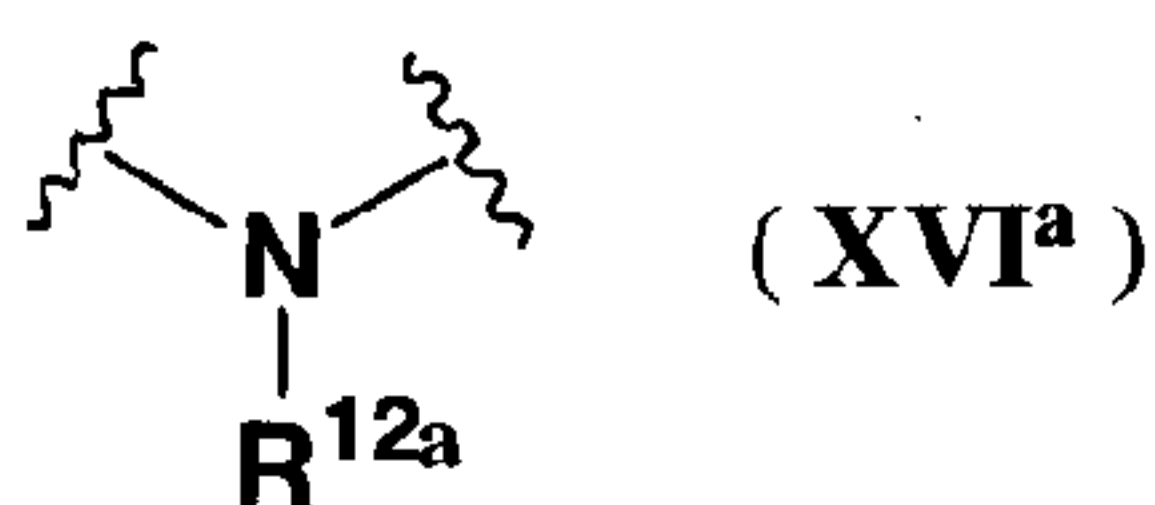
R^{2a} is a C₁₋₃ alkyl group, a C₁₋₃ haloalkyl group, a C₃₋₆ cycloalkyl group or a phenyl group (the phenyl group is unsubstituted or substituted with a halogen atom), tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.

15 37^a) The compounds according to any one of 2^a) to 20^a), wherein L^{3a} is represented by the formula (X^a-7):



(wherein R^{12a} is a hydrogen atom), 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 two identical or different substituents independently selected from the group consisting of hydroxy groups, cyano groups and phenyl groups (the phenyl groups are unsubstituted or substituted with a halogen atom or a cyano group)), a C₃₋₆ cycloalkyl group, a phenyl group or a 5 to 6-membered aromatic heterocyclyl group (the C₃₋₆ cycloalkyl 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 C₁₋₃ alkyl group, a C₁₋₃ haloalkyl group and a halogen atom), tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.

38^a) The compounds according to any one of 2^a) to 20^a), wherein L^{3a} is represented by the formula (XVI^a)

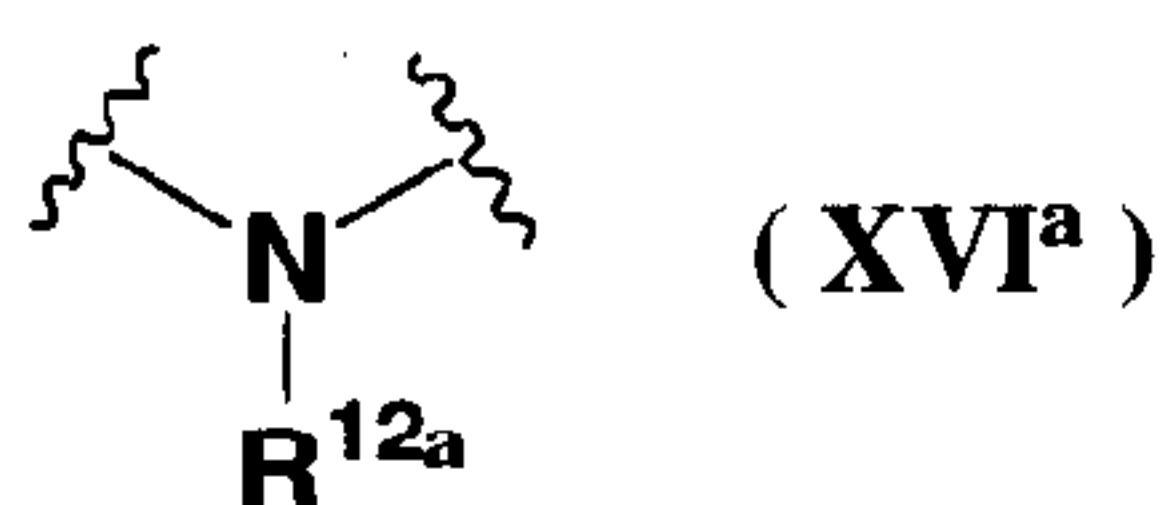


30 (wherein R^{12a} is a hydrogen atom, a C₁₋₆ alkyl group or C₁₋₆ haloalkyl group), and R^{2a} is a hydrogen atom, a C₁₋₆ alkyl group, a C₁₋₆ haloalkyl group (the C₁₋₆ alkyl group and the C₁₋₆ haloalkyl group are unsubstituted or substituted with a substituent selected from the group consisting of a hydroxy group, a cyano group, a C₁₋₃ alkoxy group, mono-C₁₋₃ alkylaminocarbonyl group (the mono-C₁₋₃ 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,

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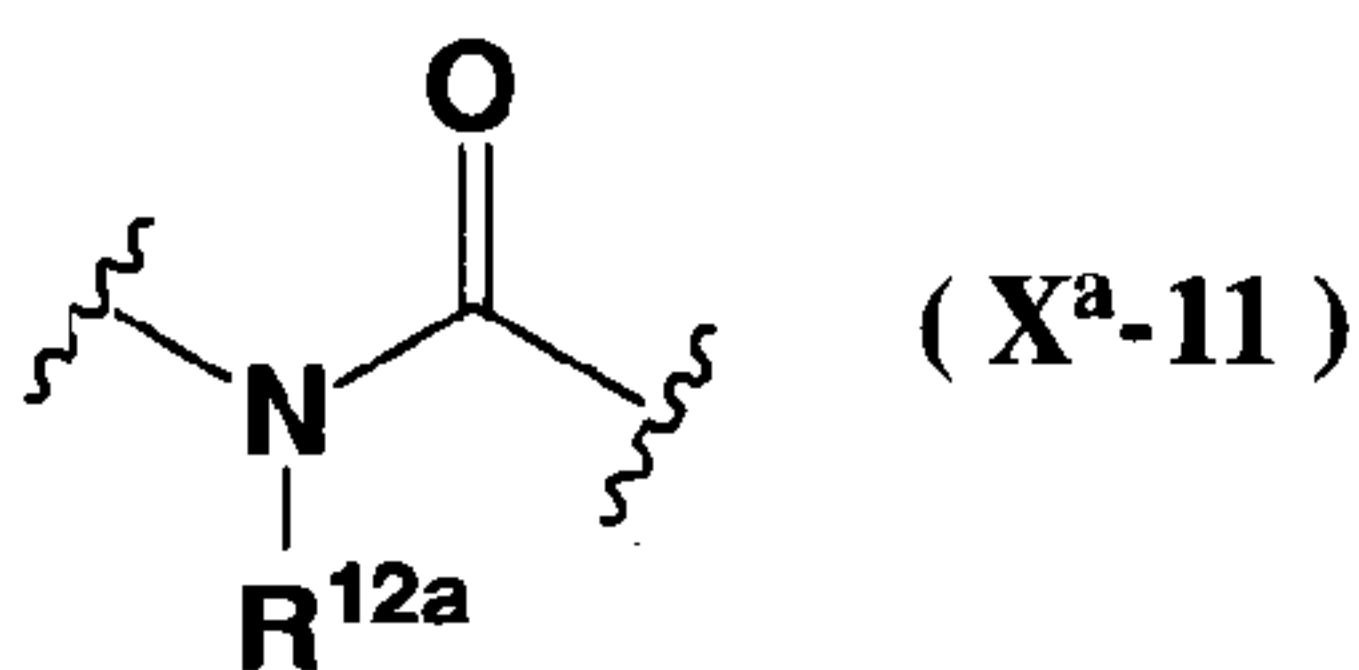
bromine atoms and iodine atoms), a C₃₋₆ cycloalkyl group, a 4 to 7-membered non-aromatic heterocyclyl group, a phenyl group and a 5 to 6-membered aromatic heterocyclyl group (the C₃₋₆ cycloalkyl group, the 4 to 7-membered non-aromatic heterocyclyl group, the phenyl group and the 5 to 6-membered aromatic heterocyclyl group are unsubstituted or substituted with one or two identical or different substituents independently selected from the group consisting of halogen atoms, cyano groups, hydroxy groups, C₁₋₃ alkoxy groups, C₁₋₃ haloalkoxy groups, C₁₋₃ 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 C₃₋₆ 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 (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 haloalkylsulfonyl groups, 4 to 7-membered non-aromatic heterocyclyl groups and phenyl groups (the phenyl groups are unsubstituted or substituted with a halogen atom))), tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.

39^a) The compounds according to any one of 2^a) to 20^a), wherein L^{3a} is represented by the formula (XVI^a):



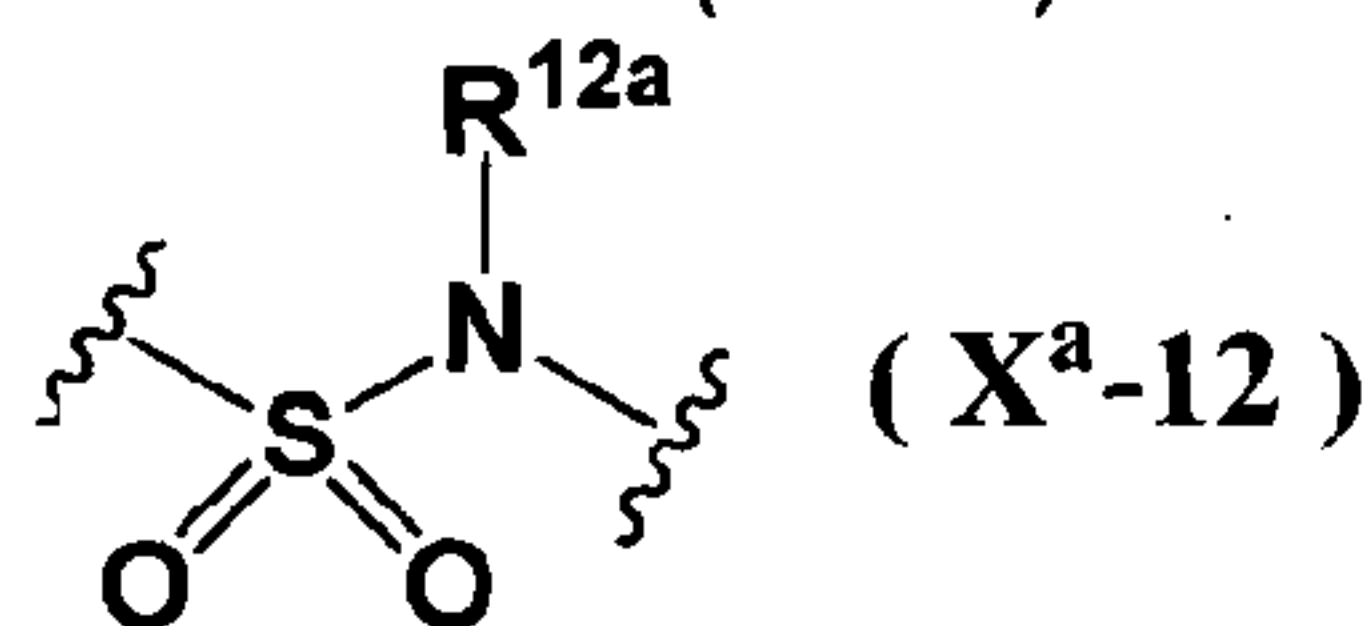
(wherein R^{12a} is a hydrogen atom or a C₁₋₃ alkyl group), and R^{2a} is a hydrogen atom, a C₁₋₆ alkyl group or a C₁₋₆ haloalkyl group (the C₁₋₆ alkyl group and the C₁₋₆ haloalkyl group are substituted with a substituent selected from the group consisting of a hydroxy group and a cyano group and with a substituent selected from the group consisting of a 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 one or two identical or different substituents independently selected from the group consisting of halogen atoms, cyano groups, C₁₋₃ alkoxy groups and C₁₋₃ alkylthio groups))), tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.

40^a) The compounds according to any one of 2^a) to 20^a), wherein L^{3a} is represented by the formula (X^a-11):



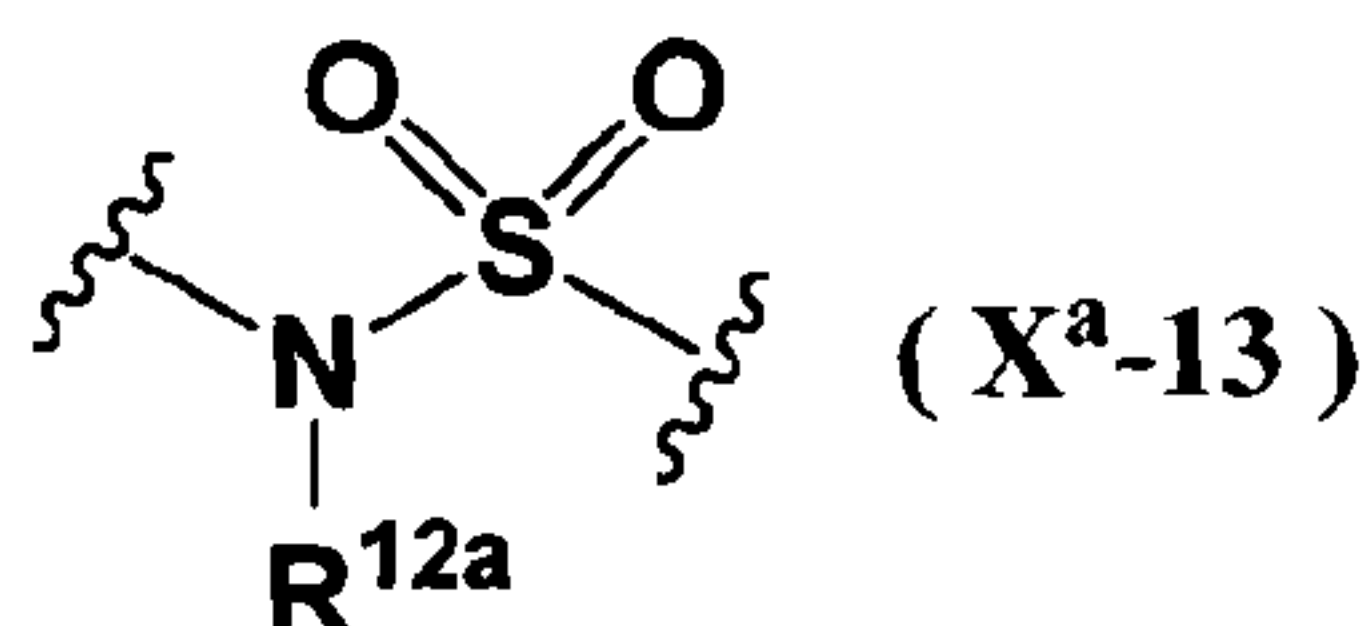
(wherein R^{12a} is a hydrogen atom, a C₁₋₃ alkyl group or a C₁₋₃ haloalkyl group), and R^{2a} is a C₁₋₆ alkyl group (the C₁₋₆ alkyl group is unsubstituted or substituted with a cyano group) or a C₁₋₆ haloalkyl group, tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.

41^a) The compounds according to any one of 2^a) to 20^a), wherein L^{3a} is represented by the formula (X^a-12):



(wherein 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), a C₁₋₆ haloalkyl group or a C₃₋₆ cycloalkyl group, tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.

42^a) The compounds according to any one of 2^a) to 20^a), wherein L^{3a} is represented by the formula (X^a-13):



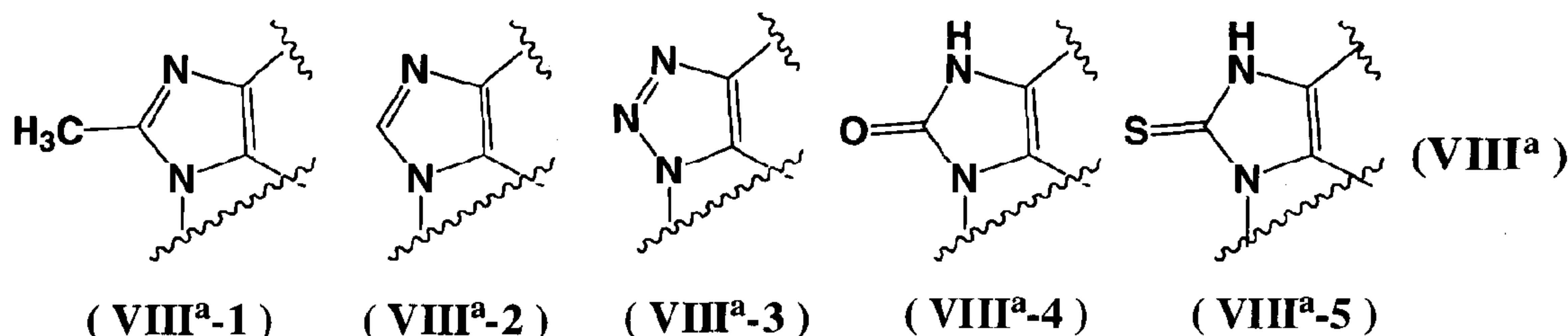
(wherein R^{12a} is a hydrogen atom), and R^{2a} is a C₁₋₆ alkyl group, tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.

43^a) The compounds according to 1^a), wherein R^{1a} is a hydrogen atom,

X^a is CR^{9a} (wherein R^{9a} is a hydrogen atom),

Y^a is CR^{10a} (wherein R^{10a} is a hydrogen atom),

the ring A^a is represented by any of the following formulae (VIII^a-1) to (VIII^a-5):



L^{1a} is a single bond,

the ring B^a is a C₄₋₇ cycloalkane, (a ring-constituting methylene group of the C₄₋₇ cycloalkane may be replaced by a carbonyl group) or a 4 to 7-membered non-aromatic heterocycle,

n^a is 0 or 1,

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

L^{2a} is a single bond, a C₁₋₆ alkylene group (the C₁₋₆ alkylene group is unsubstituted or substituted with one or two identical or different substituents independently selected from the group consisting of hydroxy groups and cyano groups), a C₁₋₆ haloalkylene group, a C₂₋₆ alkenylene group (the C₁₋₆ haloalkylene group and the C₂₋₆ alkenylene group are unsubstituted or substituted with one or two 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})-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), tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.

44^a) The compounds according to 43^a), wherein the ring B^a is cyclohexane or piperidine, tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.

45^a) The compounds according to 43^a), wherein L^{2a} is a single bond, a C₁₋₃ alkylene, a

C₂₋₃ alkenylene group (the C₁₋₃ alkylene group and the C₂₋₃ alkenylene group are unsubstituted or substituted with one or two cyano groups) or a C₁₋₃ haloalkylene group, tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.

46^a) The compounds according to 43^a), wherein n^a is 0, tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.

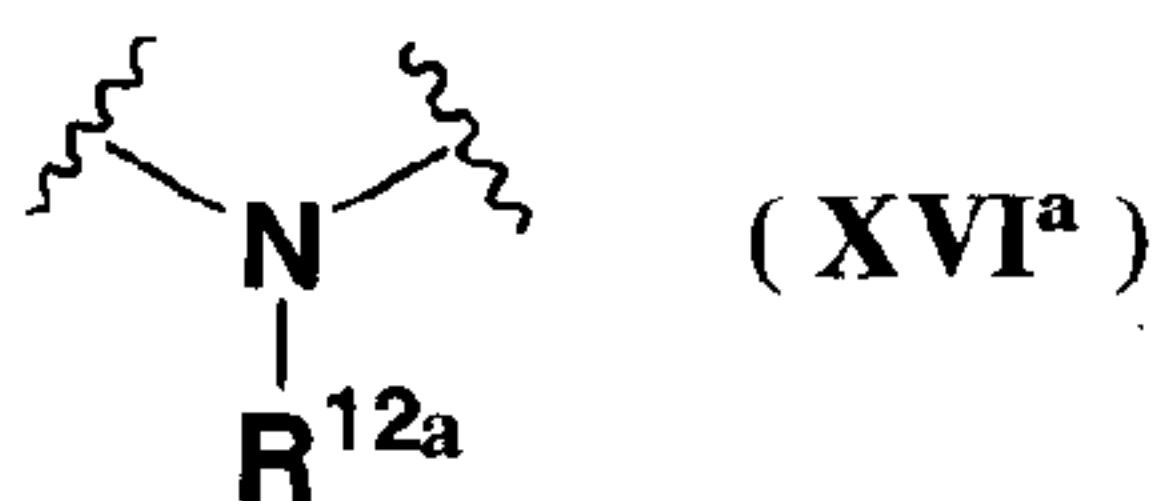
47^a) The compounds according to any one of 1^a) or 43^a) to 46^a), wherein L^{3a} is a single bond,

R^{2a} is a hydrogen atom, a halogen atom, an azido 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 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, two or three identical or different substituents independently selected from the group consisting of hydroxy groups, amino groups, halogen atoms, cyano groups, nitro groups, carbamoyl groups, sulfamoyl groups, C₁₋₆ alkyl groups, C₁₋₆ haloalkyl 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 alkylcarbonyl groups, C₁₋₆ haloalkylcarbonyl groups, C₁₋₆ alkylsulfonyl groups, C₁₋₆ haloalkylsulfonyl groups, mono-C₁₋₆ alkylaminosulfonyl groups, di-C₁₋₆ alkylaminosulfonyl groups, C₁₋₆ alkoxycarbonyl groups, mono-C₁₋₆ alkylaminocarbonyl groups, di-C₁₋₆ alkylaminocarbonyl groups, C₁₋₆ alkylcarbonylamino groups, C₁₋₆ alkoxycarbonylamino groups (the C₁₋₆ alkoxycarbonyl groups, the mono-C₁₋₆ alkylaminocarbonyl groups, the di-C₁₋₆ alkylaminocarbonyl groups, the C₁₋₆ alkylcarbonylamino groups and the C₁₋₆ alkoxycarbonylamino 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 and 5 to 6-membered aromatic heterocyclyl groups), tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.

48^a) The compounds according to any one of 1^a) or 43^a) to 46^a), wherein 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 two identical or different substituents independently selected from the group consisting of cyano groups, halogen atoms, hydroxy groups, amino groups, carbamoyl groups, sulfamoyl groups, C₁₋₃ alkyl groups (the C₁₋₃ alkyl groups are unsubstituted or substituted with a substituent selected from the group consisting of a cyano group, a hydroxy group and a C₁₋₆ alkoxycarbonylamino group), C₁₋₃ haloalkyl groups, C₁₋₃ alkoxy groups, mono-C₁₋₃ alkylamino groups, di-C₁₋₃ alkylamino groups, C₁₋₃ alkylsulfonyl groups, mono-C₁₋₃ alkylaminocarbonyl groups, di-C₁₋₃ alkylaminocarbonyl groups, mono-C₁₋₃ alkylaminosulfonyl groups, di-C₁₋₃ alkylaminosulfonyl groups, C₁₋₃ alkylcarbonylamino groups and C₁₋₆ alkoxycarbonylamino groups (the C₁₋₃ alkoxy groups, the mono-C₁₋₃ alkylamino groups, the di-C₁₋₃ alkylamino groups, the C₁₋₃ alkylsulfonyl groups, the mono-C₁₋₃ alkylaminocarbonyl groups, the di-C₁₋₃ alkylaminocarbonyl groups, the mono-C₁₋₃ alkylaminosulfonyl groups, the di-C₁₋₃ alkylaminosulfonyl groups, the C₁₋₃ alkylcarbonylamino groups and the C₁₋₆ alkoxycarbonylamino 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 cyano group)), tautomers or pharmaceutically acceptable

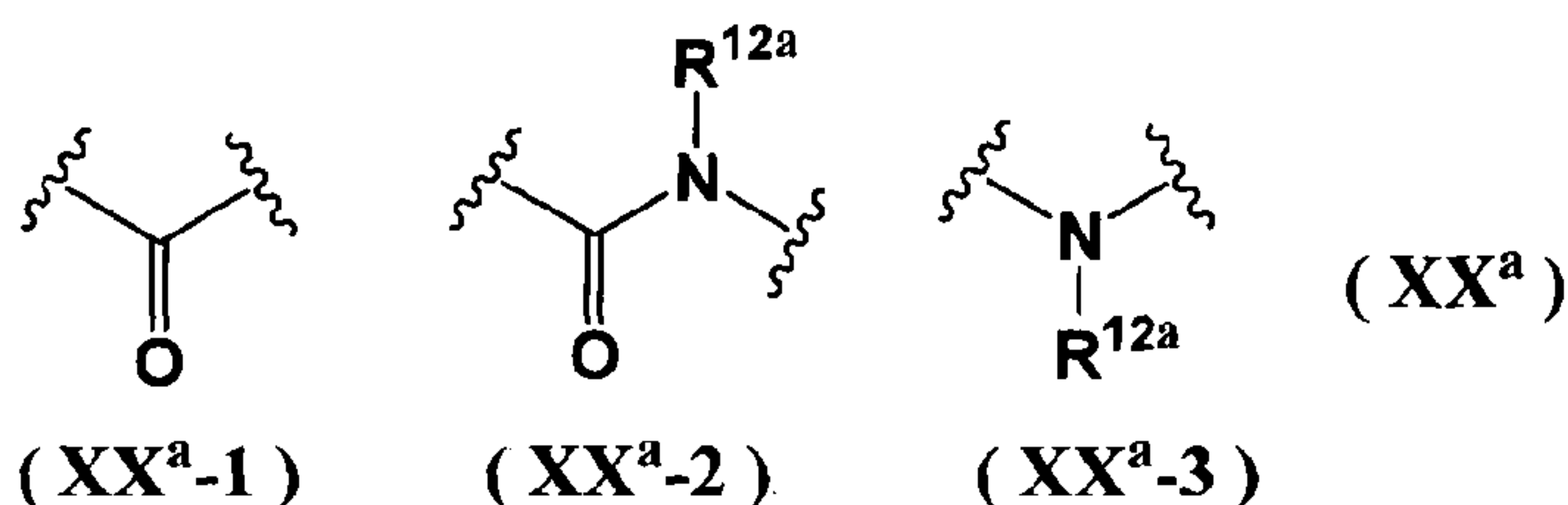
salts of the compounds or solvates thereof.

49^a) The compounds according to any one of 1^a) or 43^a) to 46^a), wherein L^{3a} is represented by the formulae (XVI^a):



- 5 (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)), and
- 10 R^{2a} is a hydrogen atom, a C₁₋₆ alkyl group (the C₁₋₆ 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 mono-C₁₋₃ alkylaminocarbonyl group (the C₁₋₃ alkoxy group and the mono-C₁₋₃ alkylaminocarbonyl group are unsubstituted or substituted with one or more identical or different halogen atoms independently selected
- 15 from the group consisting of fluorine atoms, chlorine atoms, bromine atoms and iodine atoms), a C₃₋₆ cycloalkyl group, a 3 to 11-membered non-aromatic heterocyclyl group, a phenyl group and 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
- 20 one or two identical or different substituents independently selected from the group consisting of 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 haloalkylsulfonyl groups and 4 to 7-membered non-aromatic heterocyclyl groups)), a C₁₋₆ haloalkyl group, a C₂₋₆ alkynyl group, a C₃₋₆ cycloalkyl group, a 3 to 11-membered
- 25 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 C₃₋₆ 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-
- 30 membered aromatic ring-condensed alicyclic hydrocarbon 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, C₁₋₃ alkoxy groups, C₁₋₃ haloalkoxy groups, C₁₋₃ alkylthio groups, C₁₋₃ haloalkylthio groups, C₁₋₃ haloalkylsulfonyl groups and 4 to 7-membered
- 35 non-aromatic heterocyclyl groups), tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.

50^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 (XX^a-1) to (XX^a-3):

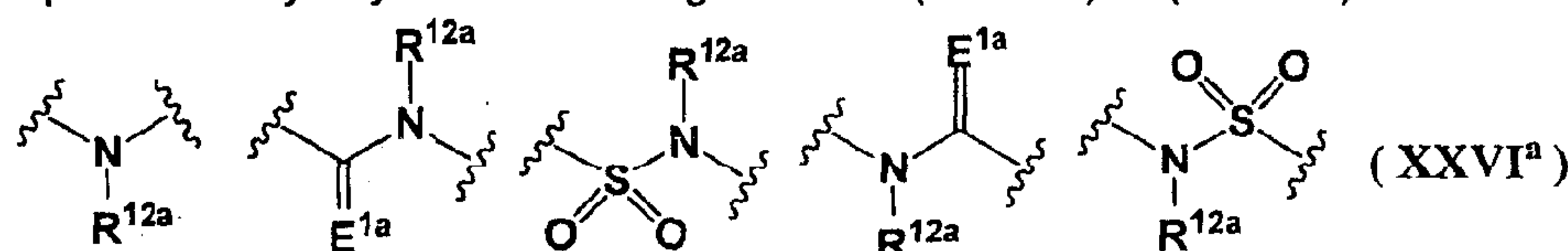


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(wherein R^{12a} is a hydrogen atom, a C_{1-3} alkyl group (the C_{1-3} alkyl group is unsubstituted or substituted with a cyano group) or a C_{1-3} haloalkyl group), and R^{2a} is a hydrogen atom, a C_{1-3} alkyl group or a C_{1-3} haloalkyl group (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):

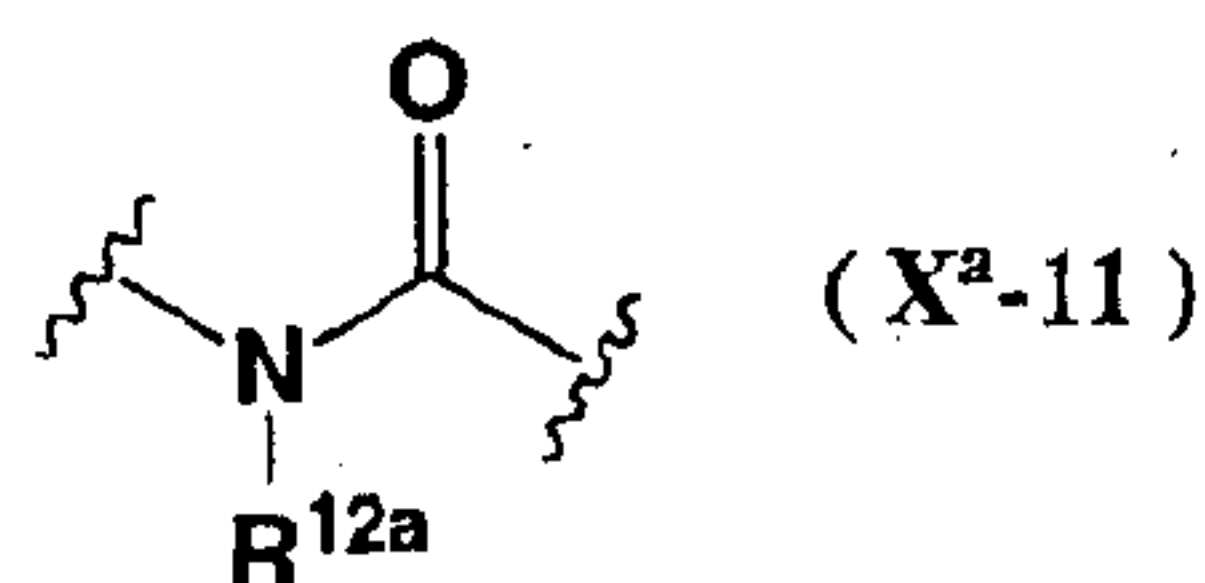


(XXVI^a-1) (XXVI^a-2) (XXVI^a-3) (XXVI^a-4) (XXVI^a-5)

(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.

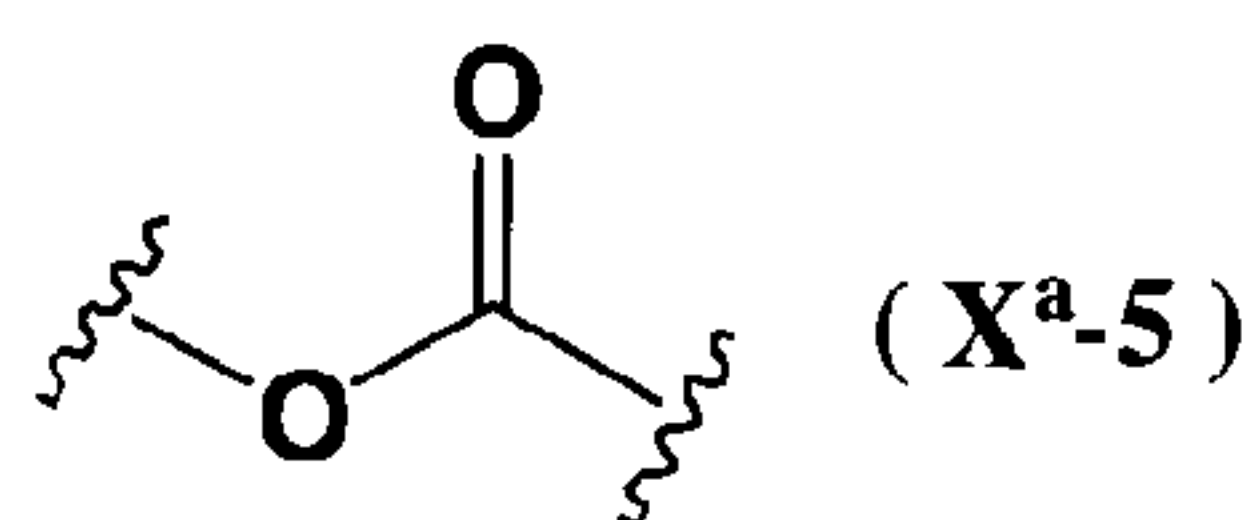
52^a) The compounds according to any one of any one of 1^a) or 43^a) to 46^a), wherein L^{3a} is represented by the formula (X^a-11):



30

(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 represented by the formula (X^a-5):



, and

R^{2a} is a C₁₋₃ alkyl group, tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.

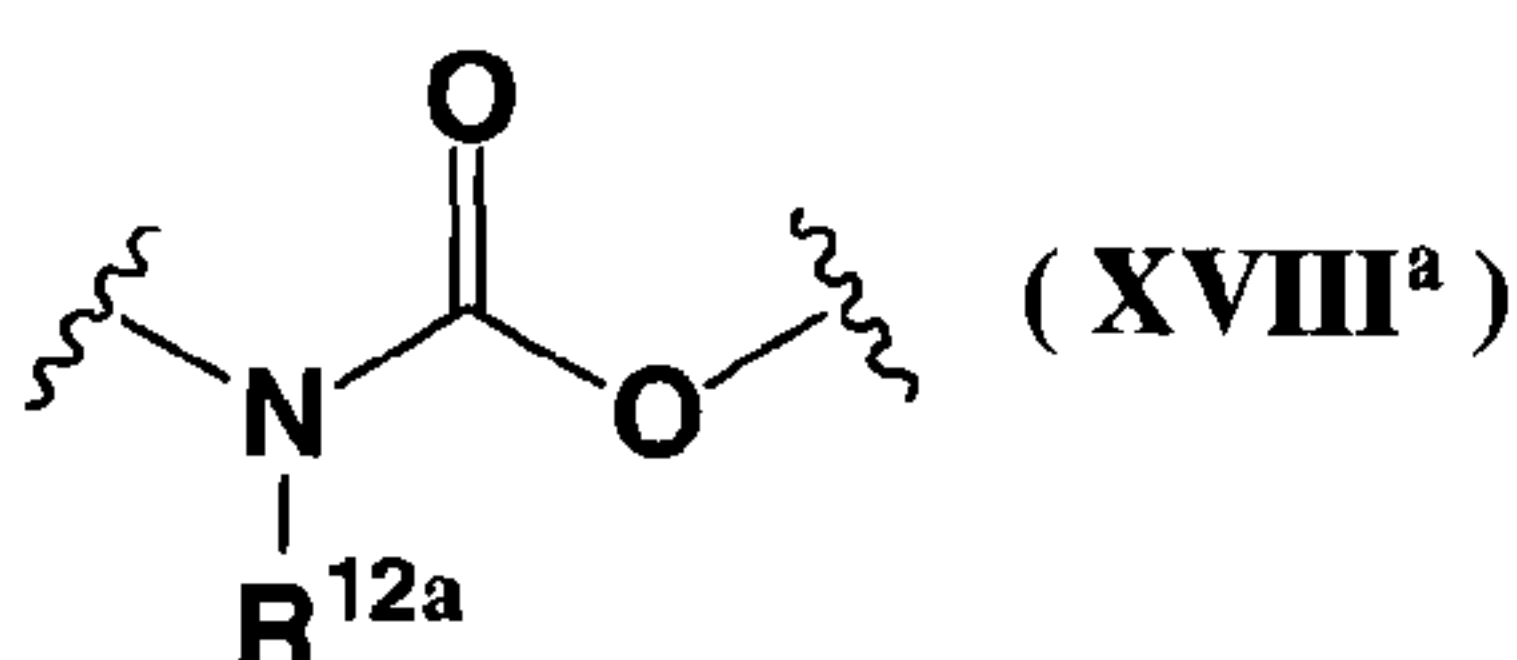
5 54^a) The compounds according to any one of 1^a) or 43^a) to 46^a), wherein L^{3a} is represented by the formula (X^a-6):



, and

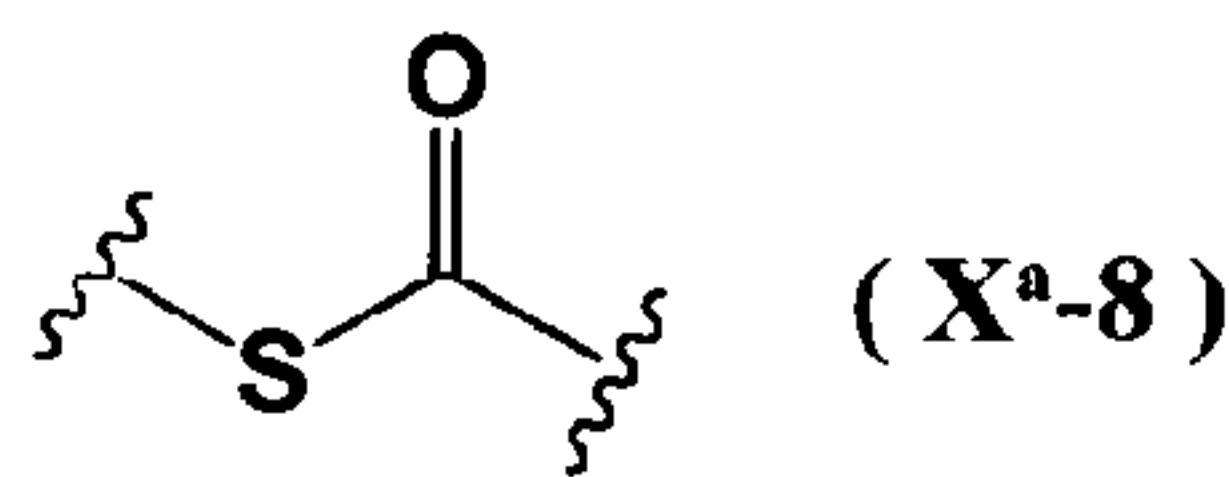
R^{2a} is a hydrogen atom, tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.

10 55^a) The compounds according to any one of 1^a) or 43^a) to 46^a), wherein L^{3a} is represented by the formula (XVIII^a):



(wherein R^{12a} is a hydrogen atom), and R^{2a} is a C₁₋₆ alkyl group (the C₁₋₆ alkyl group is unsubstituted or substituted with a phenyl group), tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.

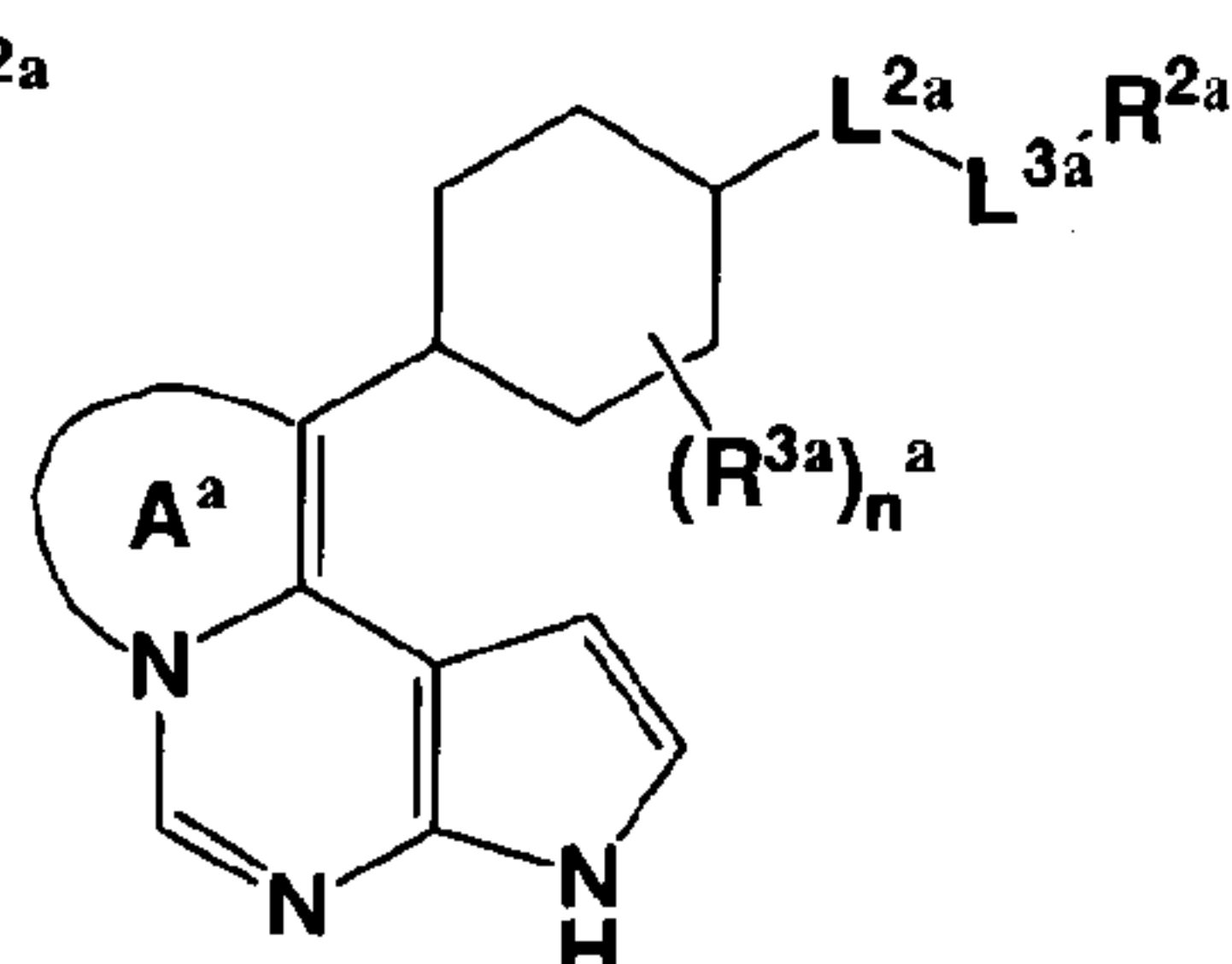
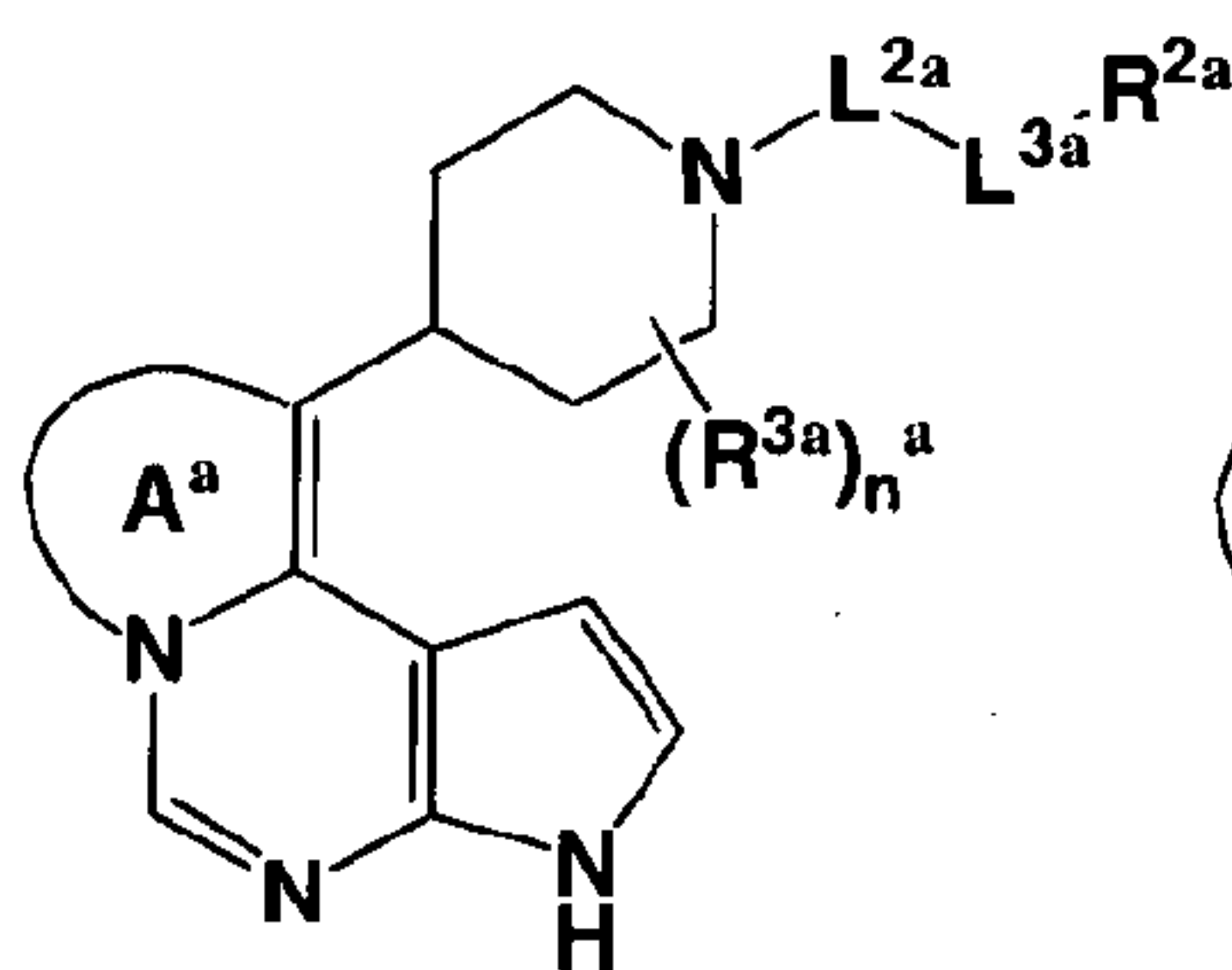
15 56^a) The compounds according to any one of 1^a) or 43^a) to 46^a), wherein L^{3a} is represented by the formula (X^a-8):



, and

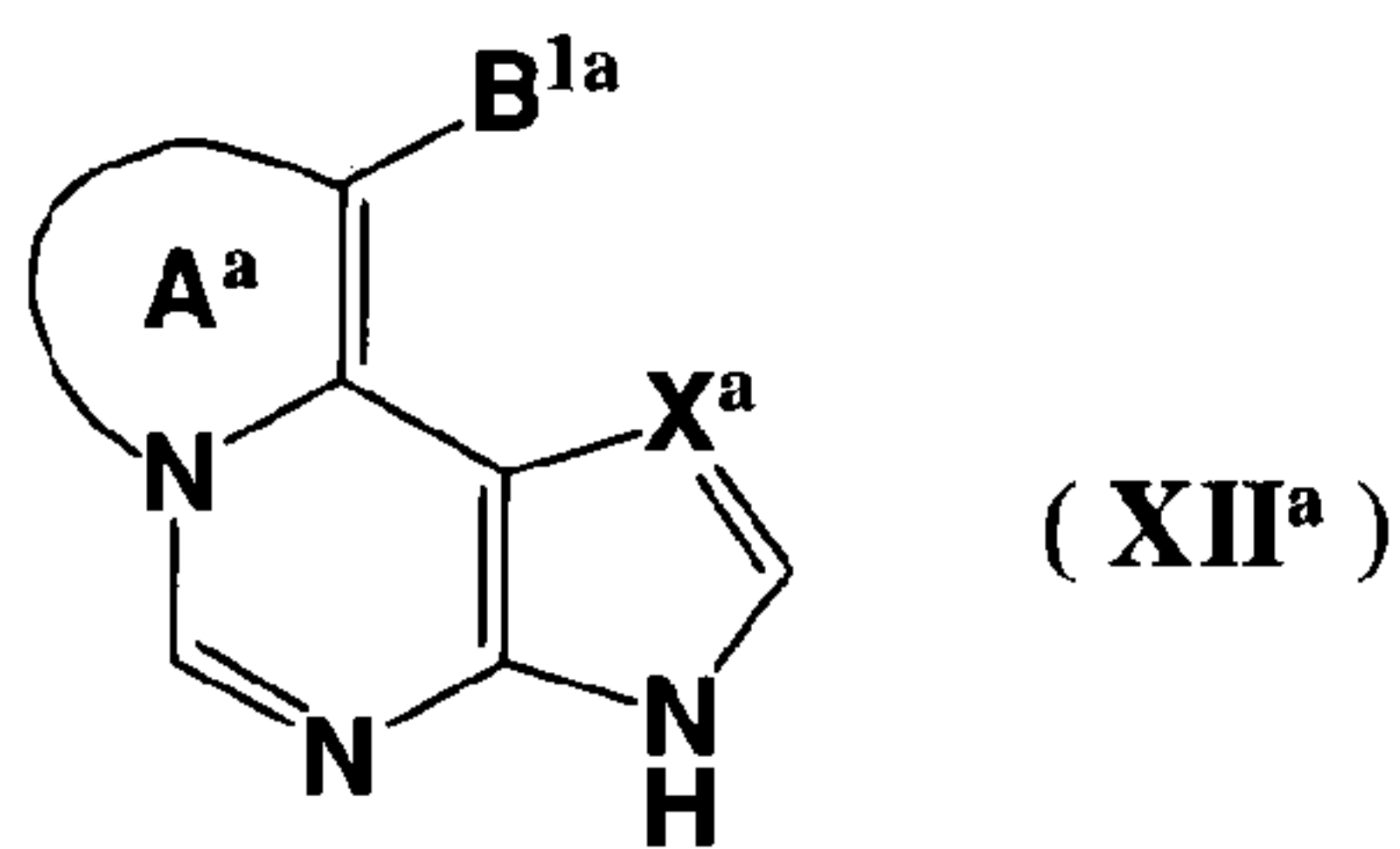
R^{2a} is a C₁₋₃ alkyl group, tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.

20 57^a) The compounds according to any one of 1^a), 2^a) or 43^a) to 56^a), which is represented by the following formula (XXII^a-1) or (XXII^a-2):

(XXII^a)

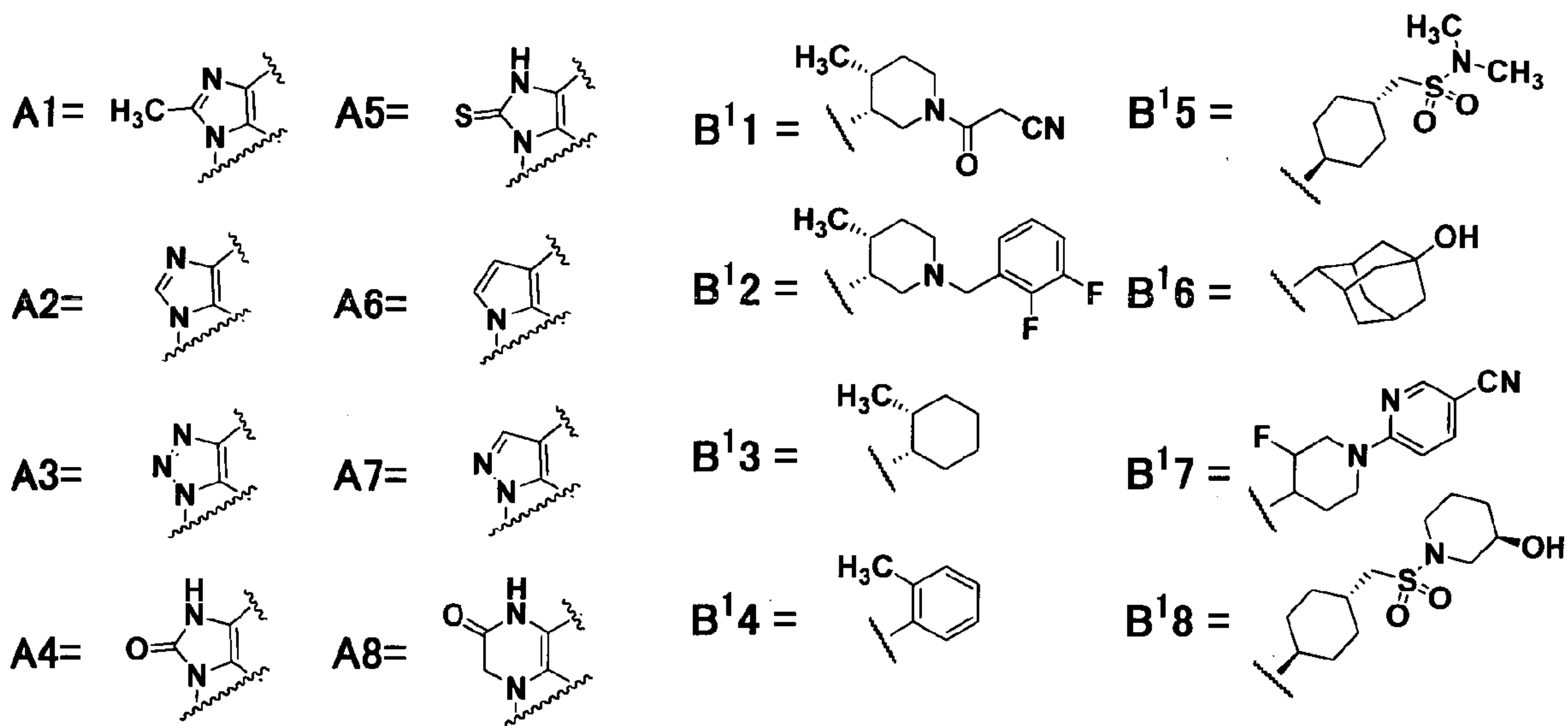
tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.

58^a) Compounds represented by the formula (XII^a):



wherein X^a is CR^{9a} (wherein R^{9a} is a hydrogen atom, a halogen atom or a cyano group), and the rings A^a and B^{1a} are any of the following combinations shown in Table^a 1, tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.

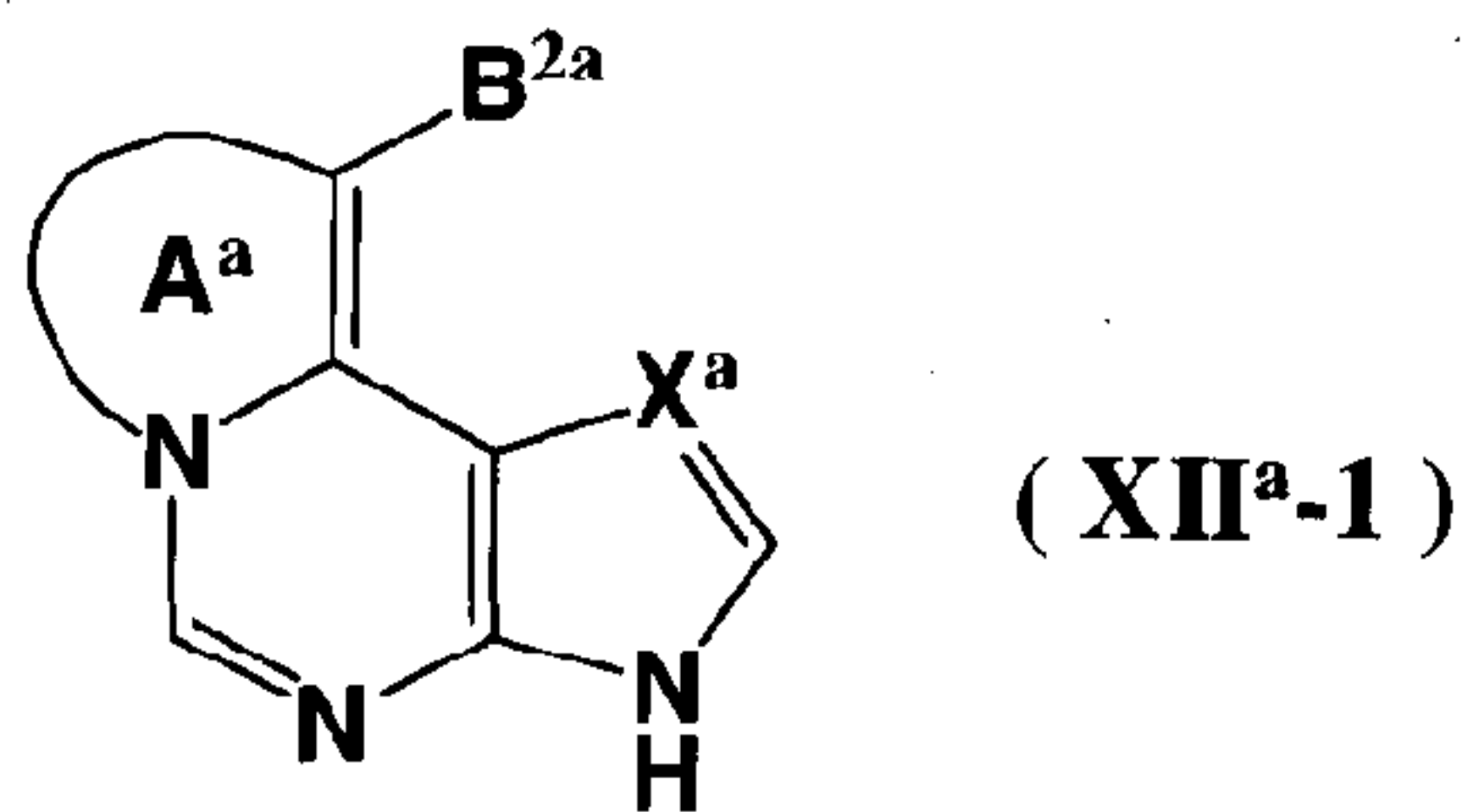
5 The symbols in Table^a 1 denote the following substituents.



TABLE^a 1

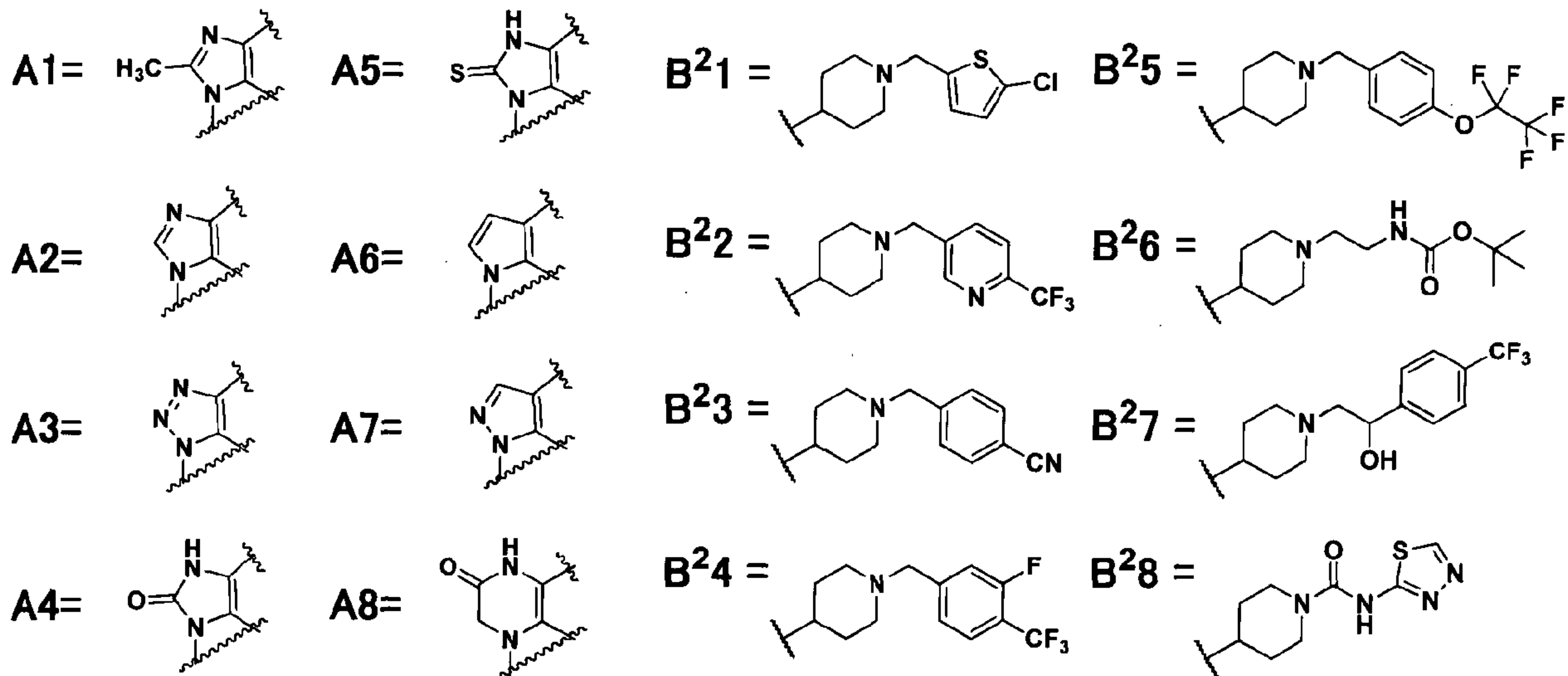
A ^a	B ^{1 a}	A ^a	B ^{1 a}	A ^a	B ^{1 a}	A ^a	B ^{1 a}
A 1	B ¹ 1	A 1	B ¹ 3	A 1	B ¹ 5	A 1	B ¹ 7
A 2	B ¹ 1	A 2	B ¹ 3	A 2	B ¹ 5	A 2	B ¹ 7
A 3	B ¹ 1	A 3	B ¹ 3	A 3	B ¹ 5	A 3	B ¹ 7
A 4	B ¹ 1	A 4	B ¹ 3	A 4	B ¹ 5	A 4	B ¹ 7
A 5	B ¹ 1	A 5	B ¹ 3	A 5	B ¹ 5	A 5	B ¹ 7
A 6	B ¹ 1	A 6	B ¹ 3	A 6	B ¹ 5	A 6	B ¹ 7
A 7	B ¹ 1	A 7	B ¹ 3	A 7	B ¹ 5	A 7	B ¹ 7
A 8	B ¹ 1	A 8	B ¹ 3	A 8	B ¹ 5	A 8	B ¹ 7
A 1	B ¹ 2	A 1	B ¹ 4	A 1	B ¹ 6	A 1	B ¹ 8
A 2	B ¹ 2	A 2	B ¹ 4	A 2	B ¹ 6	A 2	B ¹ 8
A 3	B ¹ 2	A 3	B ¹ 4	A 3	B ¹ 6	A 3	B ¹ 8
A 4	B ¹ 2	A 4	B ¹ 4	A 4	B ¹ 6	A 4	B ¹ 8
A 5	B ¹ 2	A 5	B ¹ 4	A 5	B ¹ 6	A 5	B ¹ 8
A 6	B ¹ 2	A 6	B ¹ 4	A 6	B ¹ 6	A 6	B ¹ 8
A 7	B ¹ 2	A 7	B ¹ 4	A 7	B ¹ 6	A 7	B ¹ 8
A 8	B ¹ 2	A 8	B ¹ 4	A 8	B ¹ 6	A 8	B ¹ 8

59^a) Compounds represented by the formula (XII^a-1):



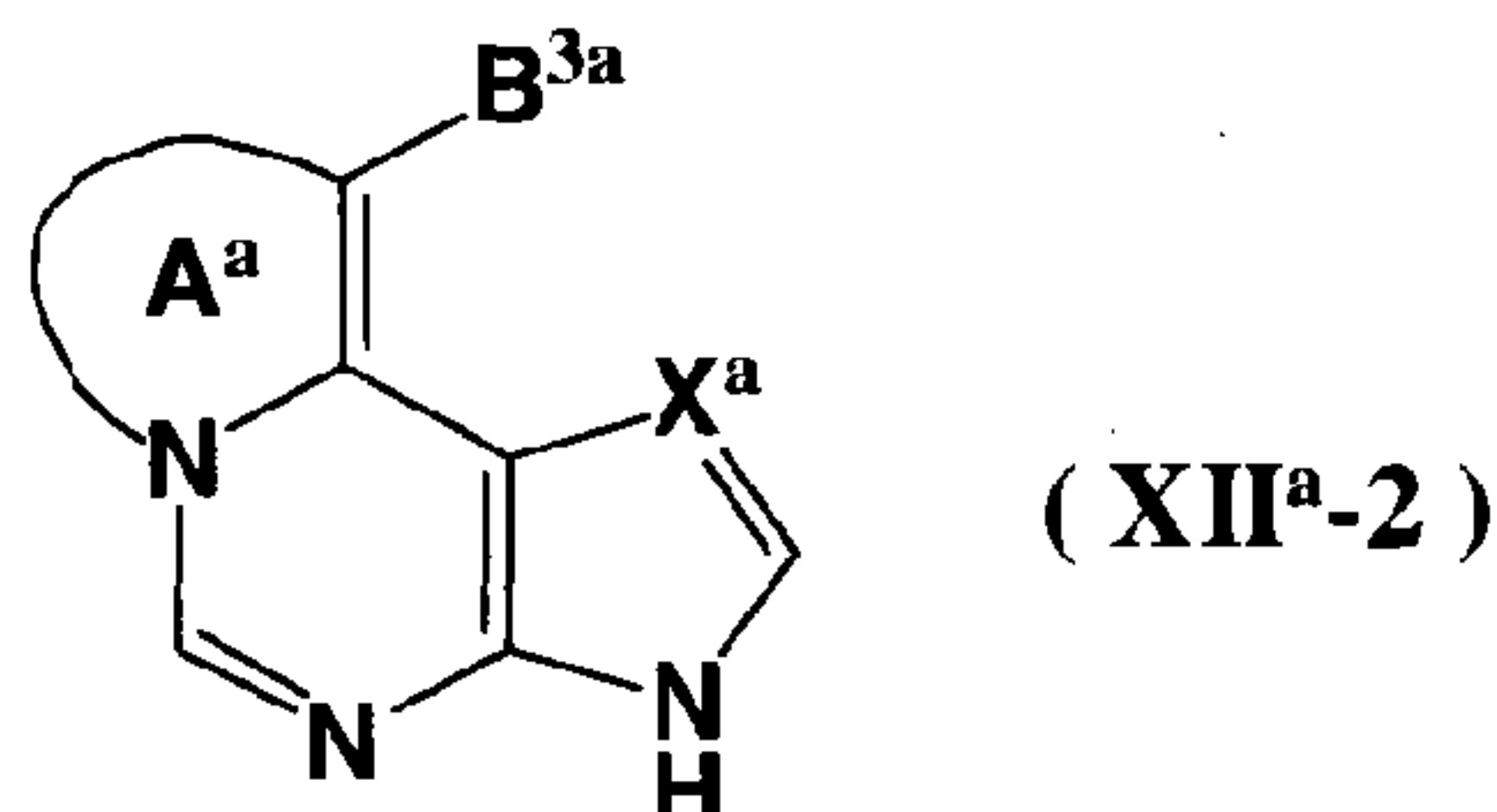
- 5 wherein X^a is CR^{9a} (wherein R^{9a} is a hydrogen atom, a halogen atom or a cyano group), and the rings A^a and B^{2a} are any of the following combinations shown in Table^a 2, tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.

The symbols in Table^a 2 denote the following substituents.

10 TABLE^a 2

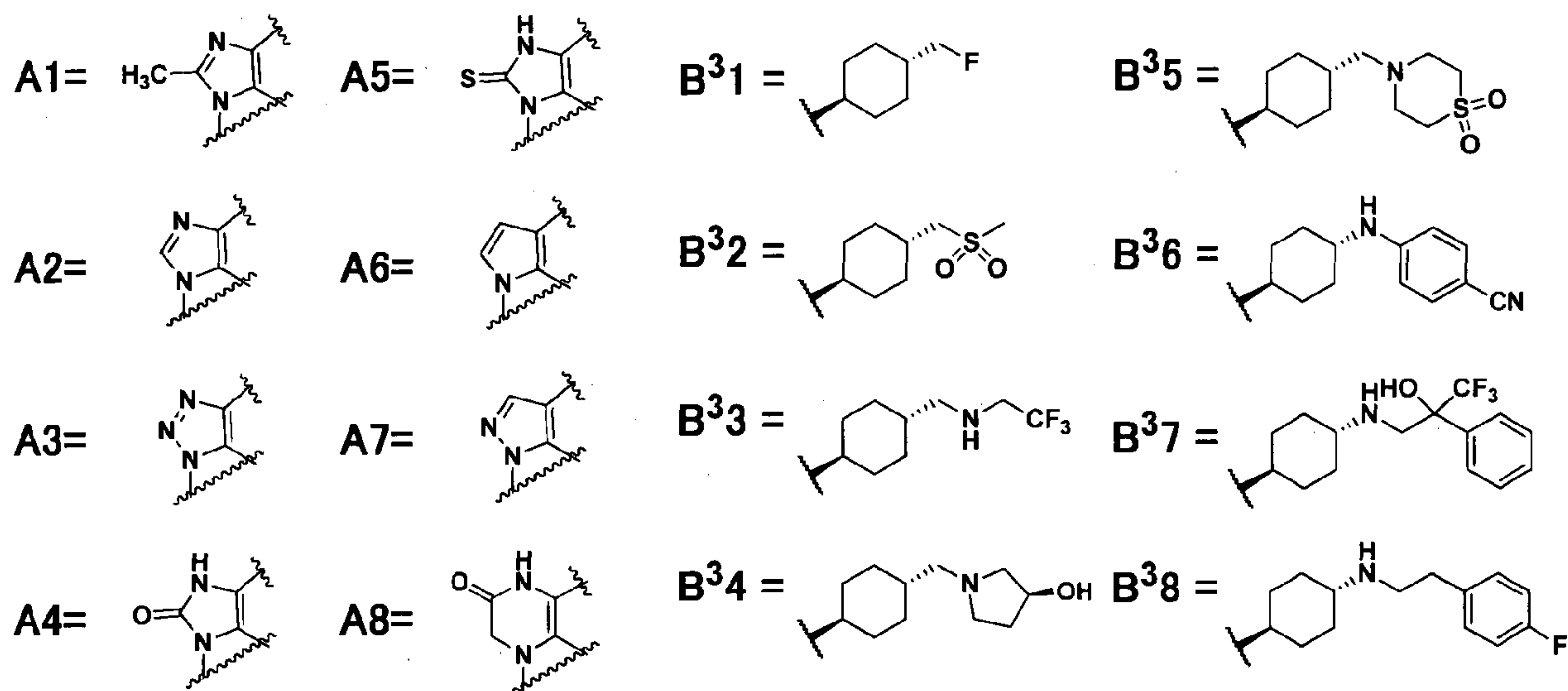
A ^a	B ^{2 a}	A ^a	B ^{2 a}	A ^a	B ^{2 a}	A ^a	B ^{2 a}
A 1	B ² 1	A 1	B ² 3	A 1	B ² 5	A 1	B ² 7
A 2	B ² 1	A 2	B ² 3	A 2	B ² 5	A 2	B ² 7
A 3	B ² 1	A 3	B ² 3	A 3	B ² 5	A 3	B ² 7
A 4	B ² 1	A 4	B ² 3	A 4	B ² 5	A 4	B ² 7
A 5	B ² 1	A 5	B ² 3	A 5	B ² 5	A 5	B ² 7
A 6	B ² 1	A 6	B ² 3	A 6	B ² 5	A 6	B ² 7
A 7	B ² 1	A 7	B ² 3	A 7	B ² 5	A 7	B ² 7
A 8	B ² 1	A 8	B ² 3	A 8	B ² 5	A 8	B ² 7
A 1	B ² 2	A 1	B ² 4	A 1	B ² 6	A 1	B ² 8
A 2	B ² 2	A 2	B ² 4	A 2	B ² 6	A 2	B ² 8
A 3	B ² 2	A 3	B ² 4	A 3	B ² 6	A 3	B ² 8
A 4	B ² 2	A 4	B ² 4	A 4	B ² 6	A 4	B ² 8
A 5	B ² 2	A 5	B ² 4	A 5	B ² 6	A 5	B ² 8
A 6	B ² 2	A 6	B ² 4	A 6	B ² 6	A 6	B ² 8
A 7	B ² 2	A 7	B ² 4	A 7	B ² 6	A 7	B ² 8
A 8	B ² 2	A 8	B ² 4	A 8	B ² 6	A 8	B ² 8

60^a) Compounds represented by the formula (XII^a-2):



5 wherein X^a is CR^{9a} (wherein R^{9a} is a hydrogen atom, a halogen atom or a cyano group), and the rings A^a and B^{3a} are any of the following combinations shown in Table^a 3, tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.

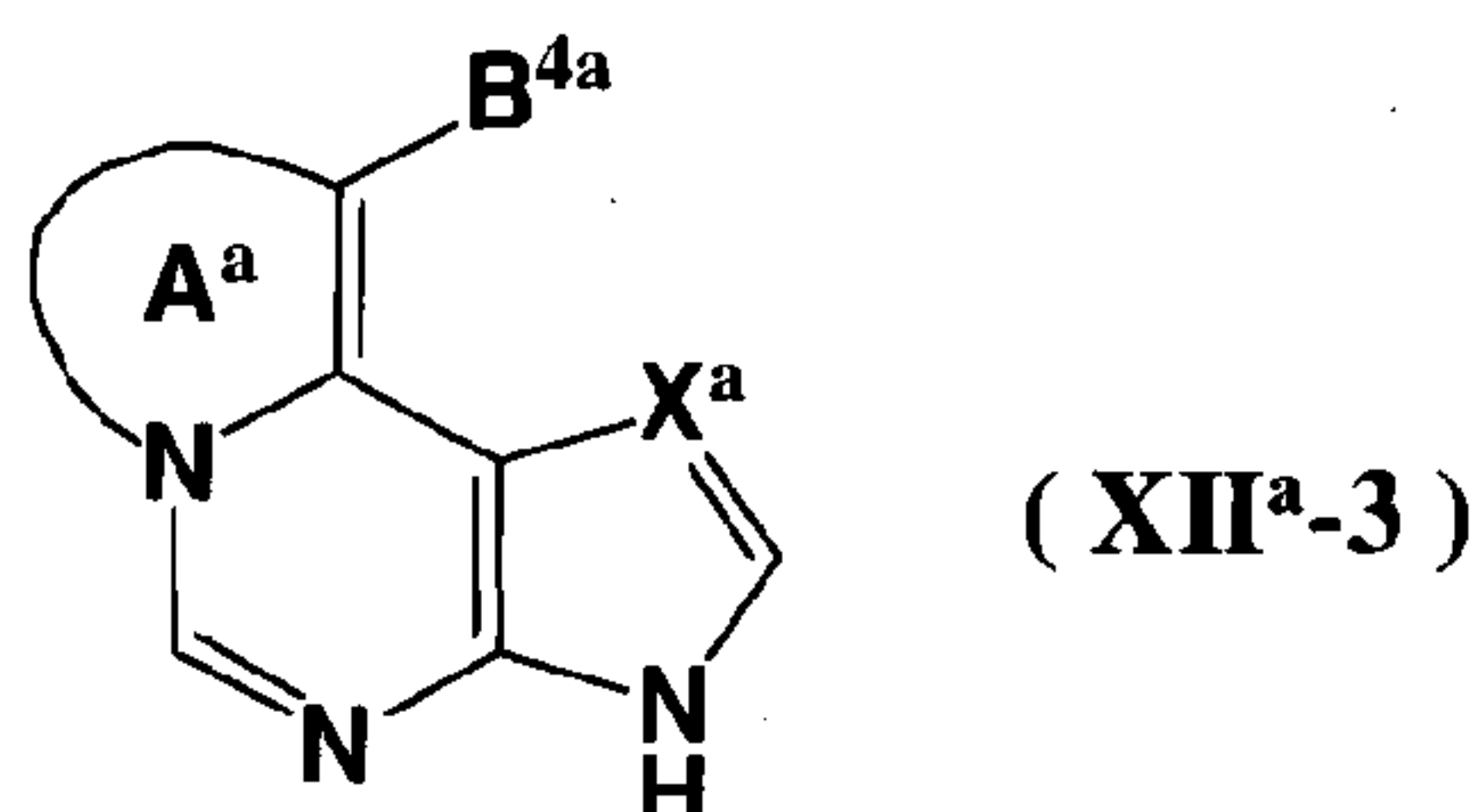
The symbols in Table^a 3 denote the following substituents.



TABLE^a 3

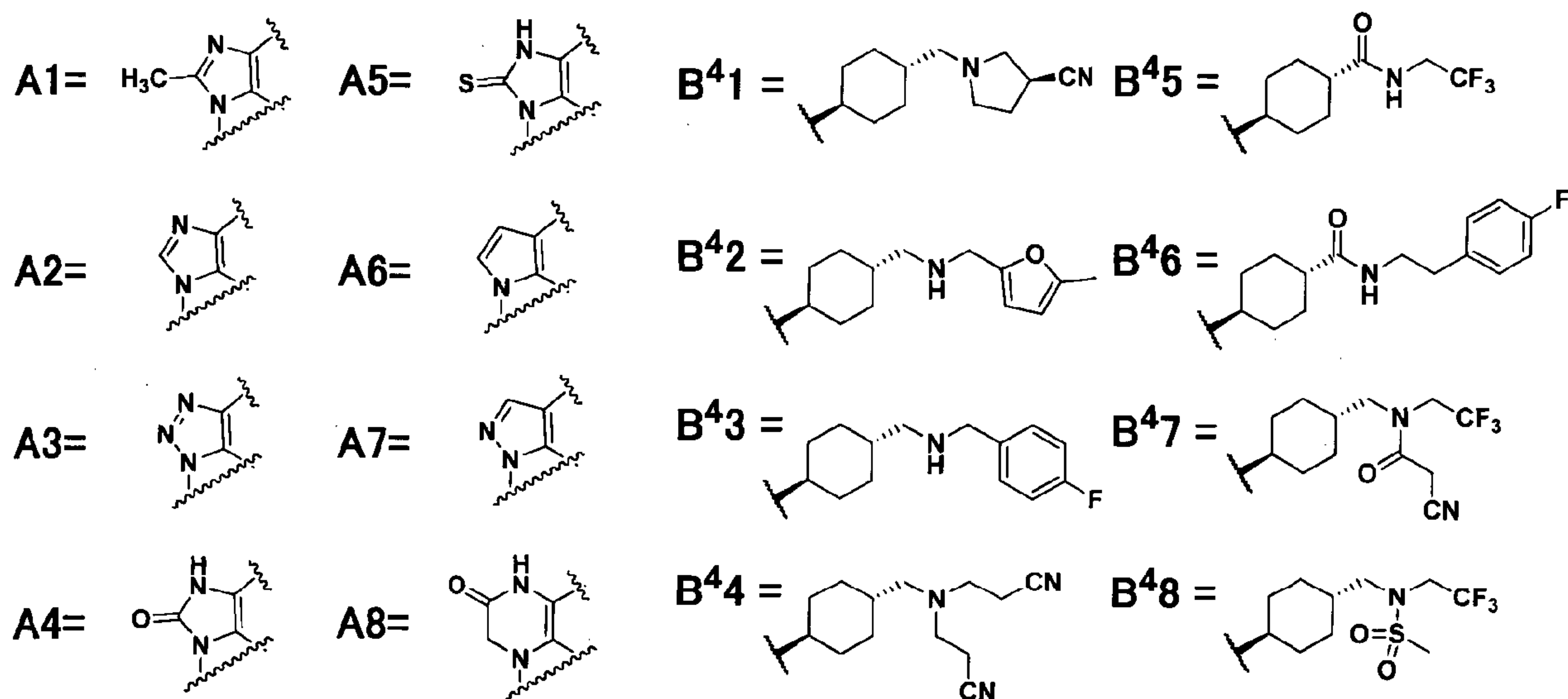
A ^a	B ^{3 a}	A ^a	B ^{3 a}	A ^a	B ^{3 a}	A ^a	B ^{3 a}
A 1	B ³ 1	A 1	B ³ 3	A 1	B ³ 5	A 1	B ³ 7
A 2	B ³ 1	A 2	B ³ 3	A 2	B ³ 5	A 2	B ³ 7
A 3	B ³ 1	A 3	B ³ 3	A 3	B ³ 5	A 3	B ³ 7
A 4	B ³ 1	A 4	B ³ 3	A 4	B ³ 5	A 4	B ³ 7
A 5	B ³ 1	A 5	B ³ 3	A 5	B ³ 5	A 5	B ³ 7
A 6	B ³ 1	A 6	B ³ 3	A 6	B ³ 5	A 6	B ³ 7
A 7	B ³ 1	A 7	B ³ 3	A 7	B ³ 5	A 7	B ³ 7
A 8	B ³ 1	A 8	B ³ 3	A 8	B ³ 5	A 8	B ³ 7
A 1	B ³ 2	A 1	B ³ 4	A 1	B ³ 6	A 1	B ³ 8
A 2	B ³ 2	A 2	B ³ 4	A 2	B ³ 6	A 2	B ³ 8
A 3	B ³ 2	A 3	B ³ 4	A 3	B ³ 6	A 3	B ³ 8
A 4	B ³ 2	A 4	B ³ 4	A 4	B ³ 6	A 4	B ³ 8
A 5	B ³ 2	A 5	B ³ 4	A 5	B ³ 6	A 5	B ³ 8
A 6	B ³ 2	A 6	B ³ 4	A 6	B ³ 6	A 6	B ³ 8
A 7	B ³ 2	A 7	B ³ 4	A 7	B ³ 6	A 7	B ³ 8
A 8	B ³ 2	A 8	B ³ 4	A 8	B ³ 6	A 8	B ³ 8

61^a) Compounds represented by the formula (XII^a-3):



5 wherein X^a is CR^{9a} (wherein R^{9a} is a hydrogen atom, a halogen atom or a cyano group), the rings A^a and B^{4a} are any of the following combinations shown in Table^a 4, tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.

The symbols in Table^a 4 denote the following substituents.



TABLE^a 4

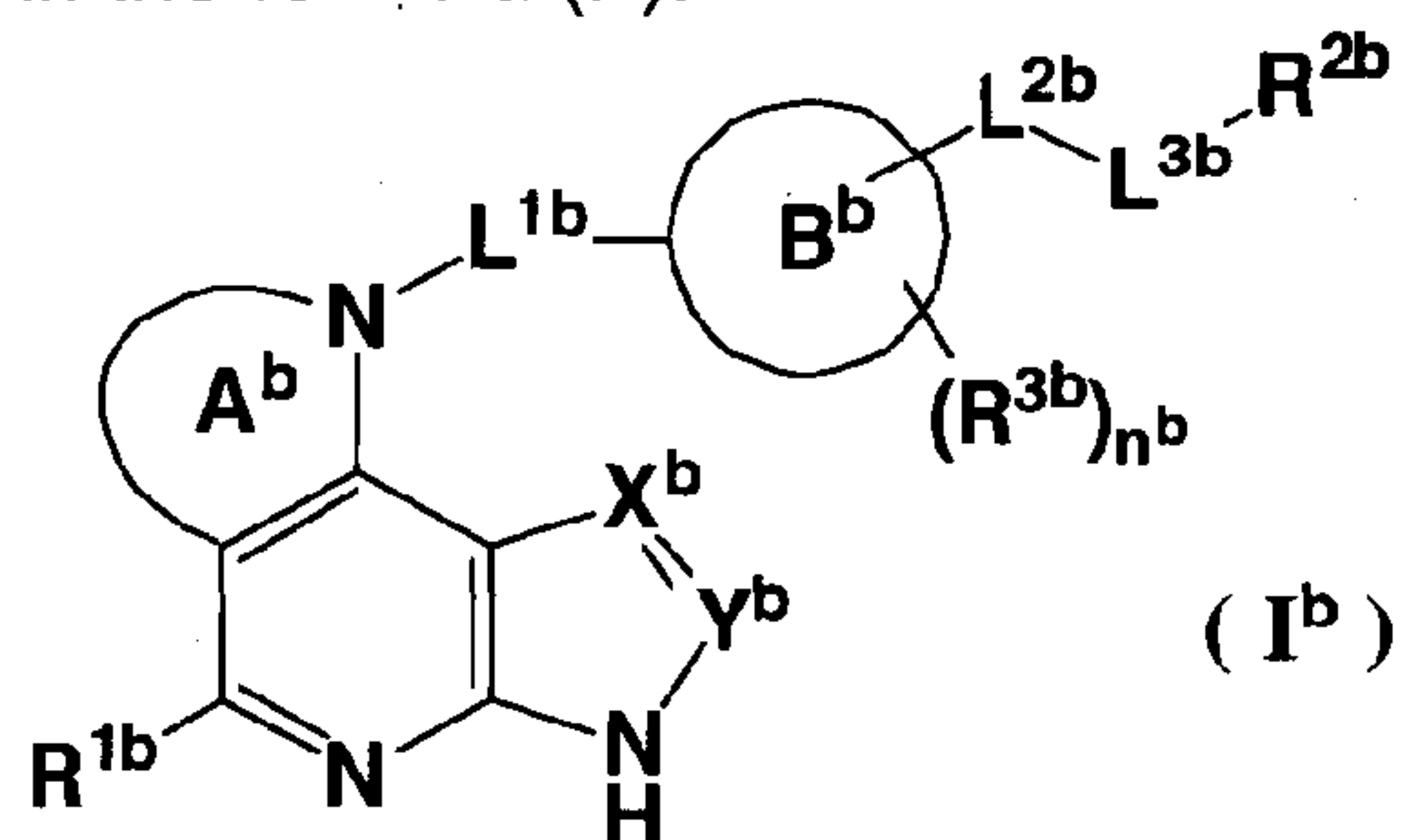
A ^a	B ^{4 a}	A ^a	B ^{4 a}	A ^a	B ^{4 a}	A ^a	B ^{4 a}
A 1	B ⁴ 1	A 1	B ⁴ 3	A 1	B ⁴ 5	A 1	B ⁴ 7
A 2	B ⁴ 1	A 2	B ⁴ 3	A 2	B ⁴ 5	A 2	B ⁴ 7
A 3	B ⁴ 1	A 3	B ⁴ 3	A 3	B ⁴ 5	A 3	B ⁴ 7
A 4	B ⁴ 1	A 4	B ⁴ 3	A 4	B ⁴ 5	A 4	B ⁴ 7
A 5	B ⁴ 1	A 5	B ⁴ 3	A 5	B ⁴ 5	A 5	B ⁴ 7
A 6	B ⁴ 1	A 6	B ⁴ 3	A 6	B ⁴ 5	A 6	B ⁴ 7
A 7	B ⁴ 1	A 7	B ⁴ 3	A 7	B ⁴ 5	A 7	B ⁴ 7
A 8	B ⁴ 1	A 8	B ⁴ 3	A 8	B ⁴ 5	A 8	B ⁴ 7
A 1	B ⁴ 2	A 1	B ⁴ 4	A 1	B ⁴ 6	A 1	B ⁴ 8
A 2	B ⁴ 2	A 2	B ⁴ 4	A 2	B ⁴ 6	A 2	B ⁴ 8
A 3	B ⁴ 2	A 3	B ⁴ 4	A 3	B ⁴ 6	A 3	B ⁴ 8
A 4	B ⁴ 2	A 4	B ⁴ 4	A 4	B ⁴ 6	A 4	B ⁴ 8
A 5	B ⁴ 2	A 5	B ⁴ 4	A 5	B ⁴ 6	A 5	B ⁴ 8
A 6	B ⁴ 2	A 6	B ⁴ 4	A 6	B ⁴ 6	A 6	B ⁴ 8
A 7	B ⁴ 2	A 7	B ⁴ 4	A 7	B ⁴ 6	A 7	B ⁴ 8
A 8	B ⁴ 2	A 8	B ⁴ 4	A 8	B ⁴ 6	A 8	B ⁴ 8

62^a) The compounds with the combinations of substituents as defined in any of 58^a) to 61^a), wherein X^a is converted to a nitrogen atom, tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.

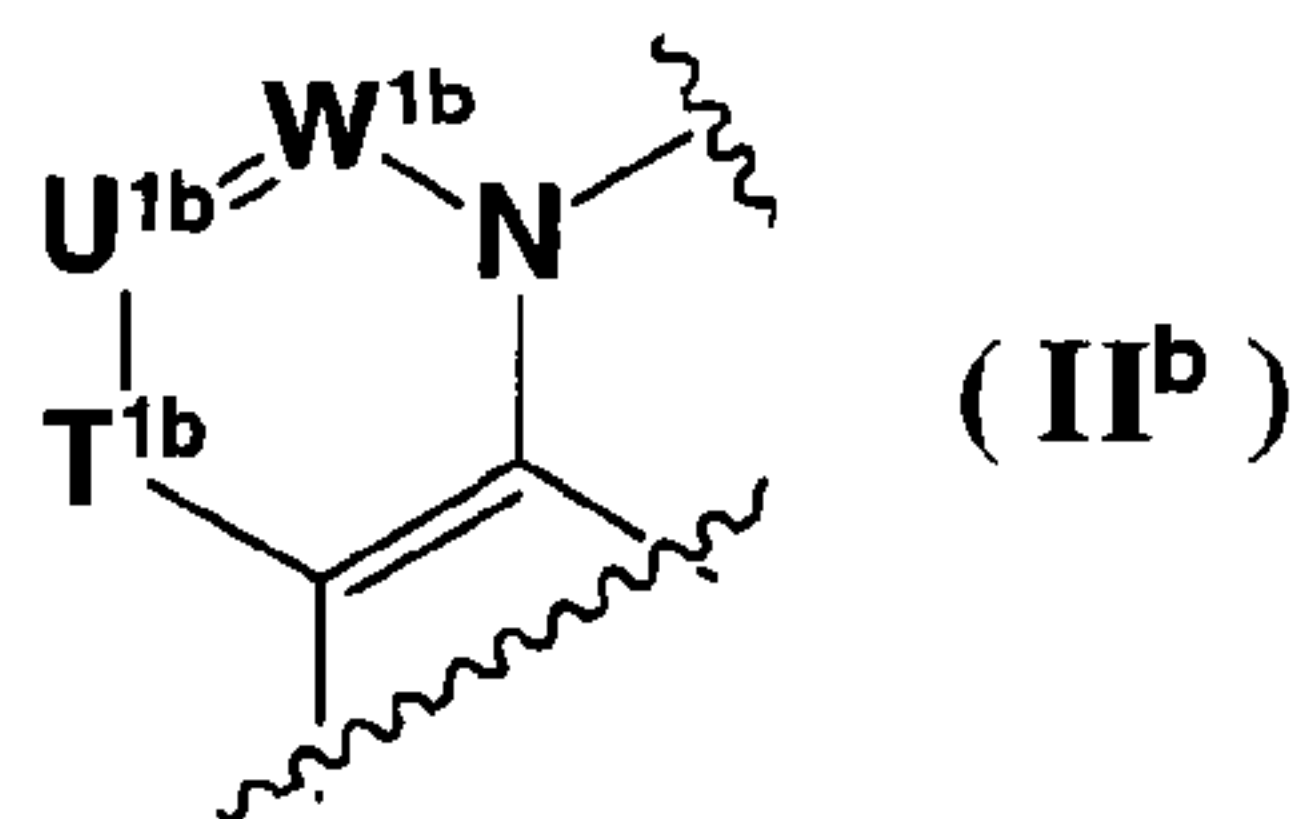
5 Next, the tricyclic pyridine compounds of the present invention represented by the formula (I^b) will be described.

First, how the ring A^b is fused in the tricyclic pyridine compounds of the present invention will be described.

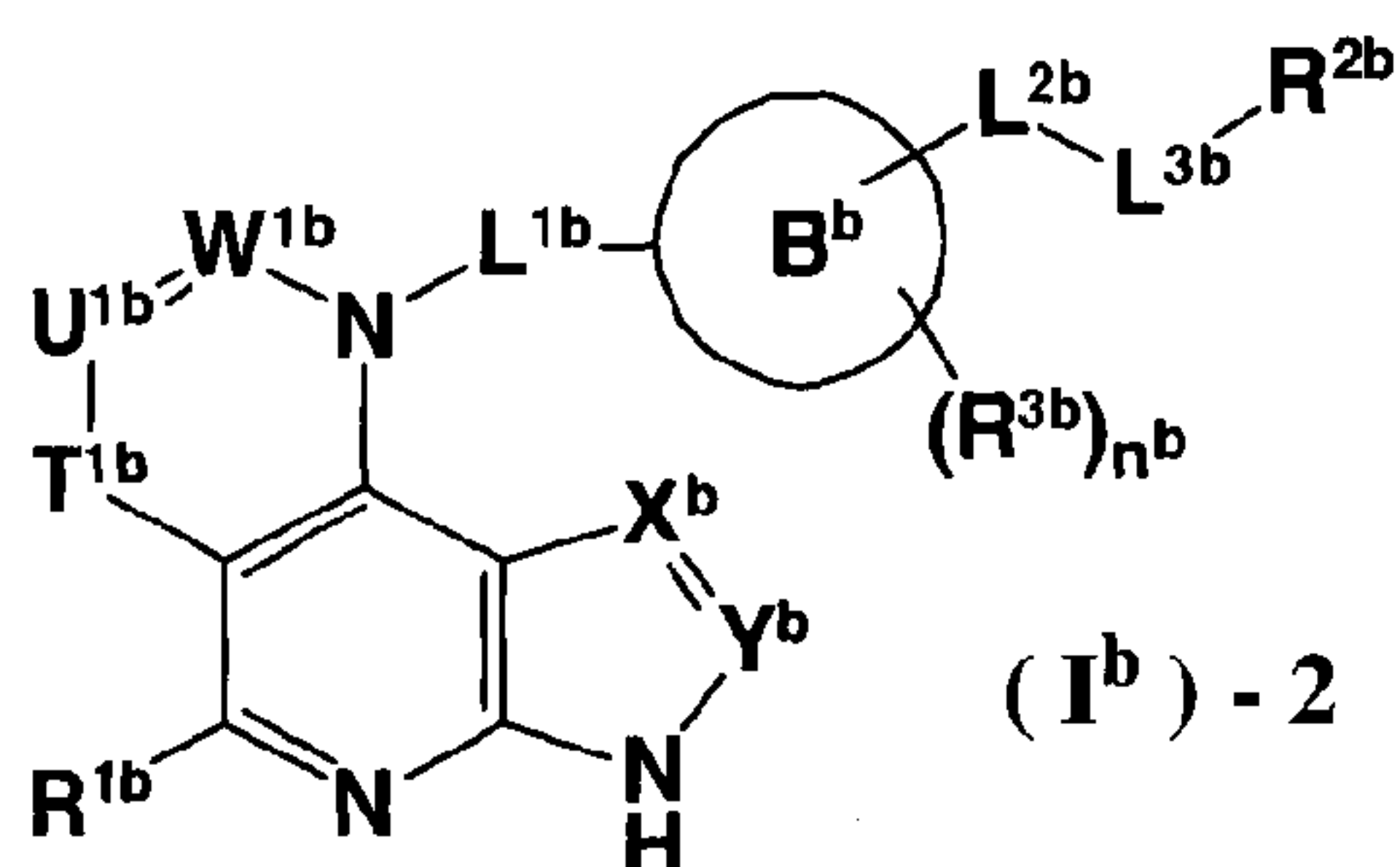
10 As is indicated in the formula (I^b), the ring A^b is fused to the pyridine ring so as to have two carbon atoms in common and attached to L^{1b} via a nitrogen atom in the ring A^b in the formula (I^b).



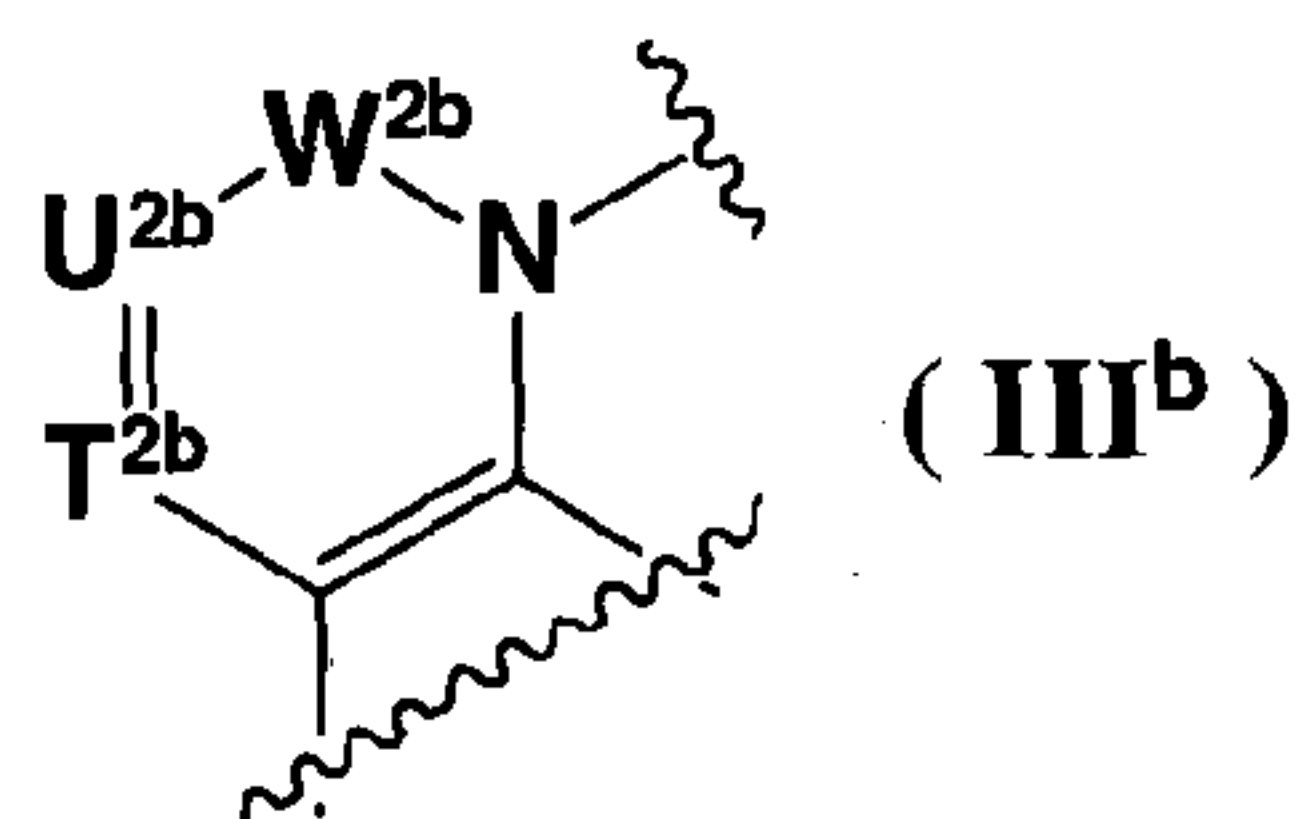
Therefore, when the ring A^b is represented by the formula (II^b),



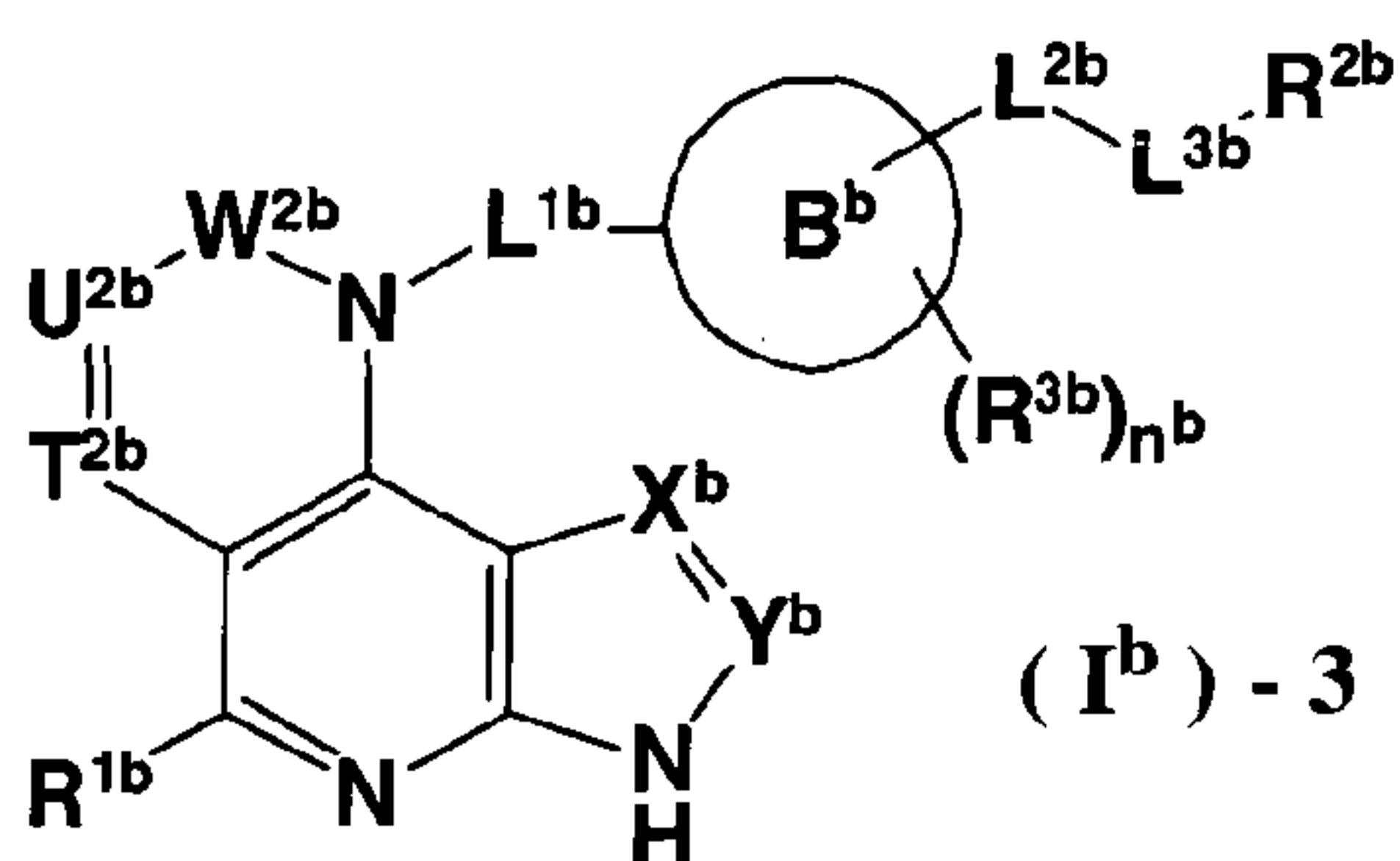
15 the molecule of the compounds as a whole is represented by the formula (I^b)-2,



and when the ring A^b is represented by the formula (III^b),

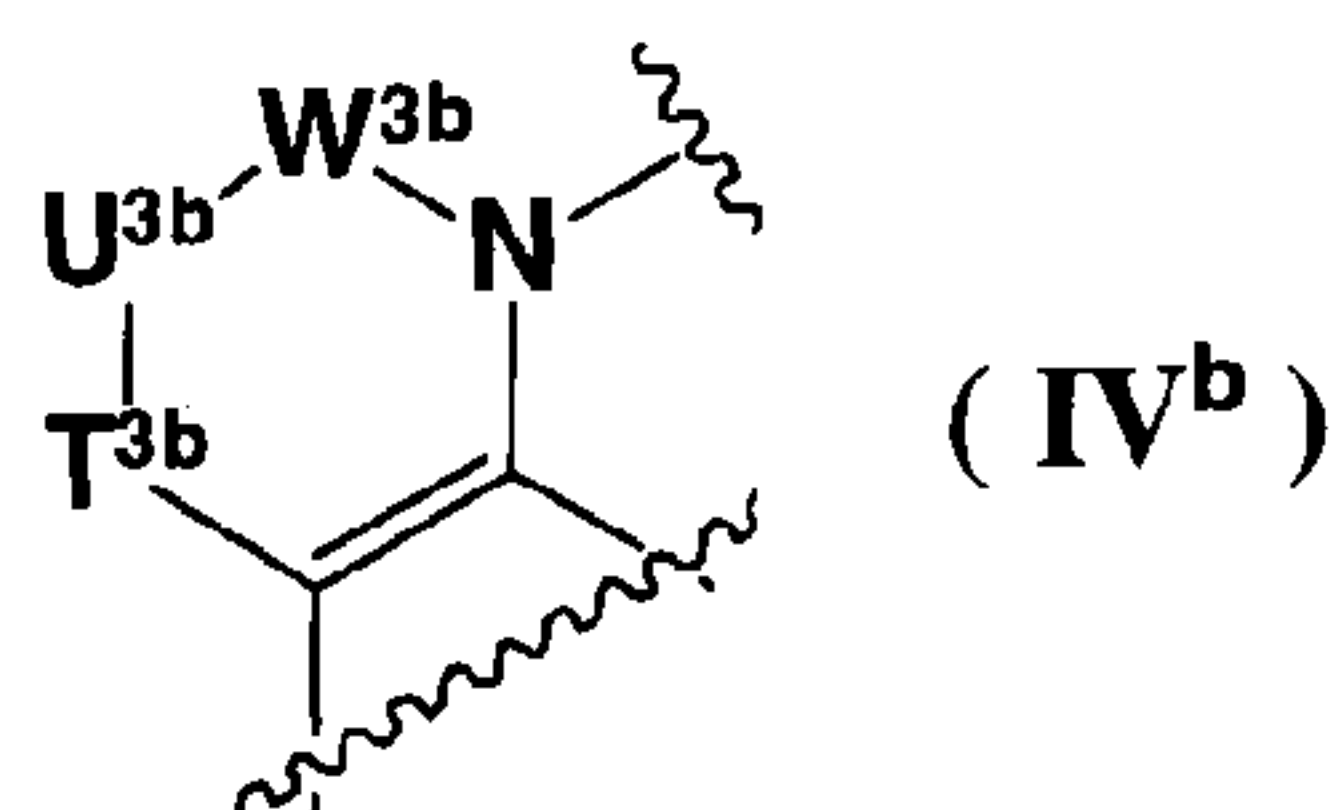


the molecule as a whole is represented by the formula (I^b)-3,

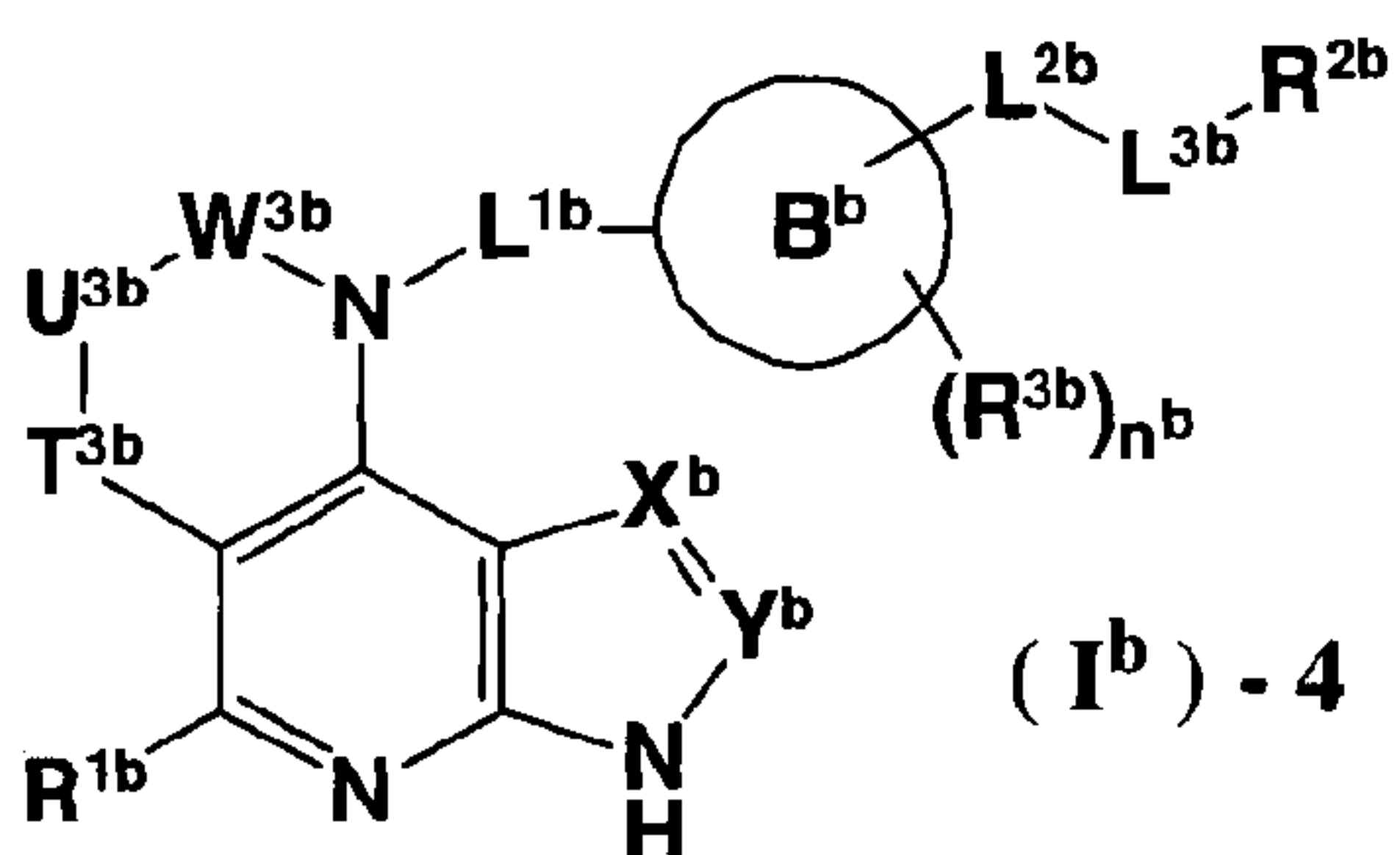


5

and when the ring A^b is represented by the formula (IV^b),



the molecule as a whole is represented by the formula (I^b)-4.



10

In the present invention, the formulae representing L^{3b} indicate that the left ends of the formulae are bonded to L^{2b}, and the right ends of the formulae are bonded to R^{2b}.

In the present invention, L^{1b}, L^{2b} and R^{3b} may be bonded to the ring B^b in the formula (I^b) at any positions of the ring B^a without any particular restrictions.

Next, preferred structures of the respective substituents will be mentioned.

15

A preferred embodiment of the substituent R^{1b} is a hydrogen atom or a halogen atom.

A more preferred embodiment of the substituent R^{1b} is a hydrogen atom.

A preferred embodiment of the substituent X^b is a nitrogen atom or CR^{15b} (wherein R^{15b} is a hydrogen atom, a halogen atom, a cyano group, a C_{1-6} alkyl group, a C_{1-6} haloalkyl group or a C_{3-6} cycloalkyl group).

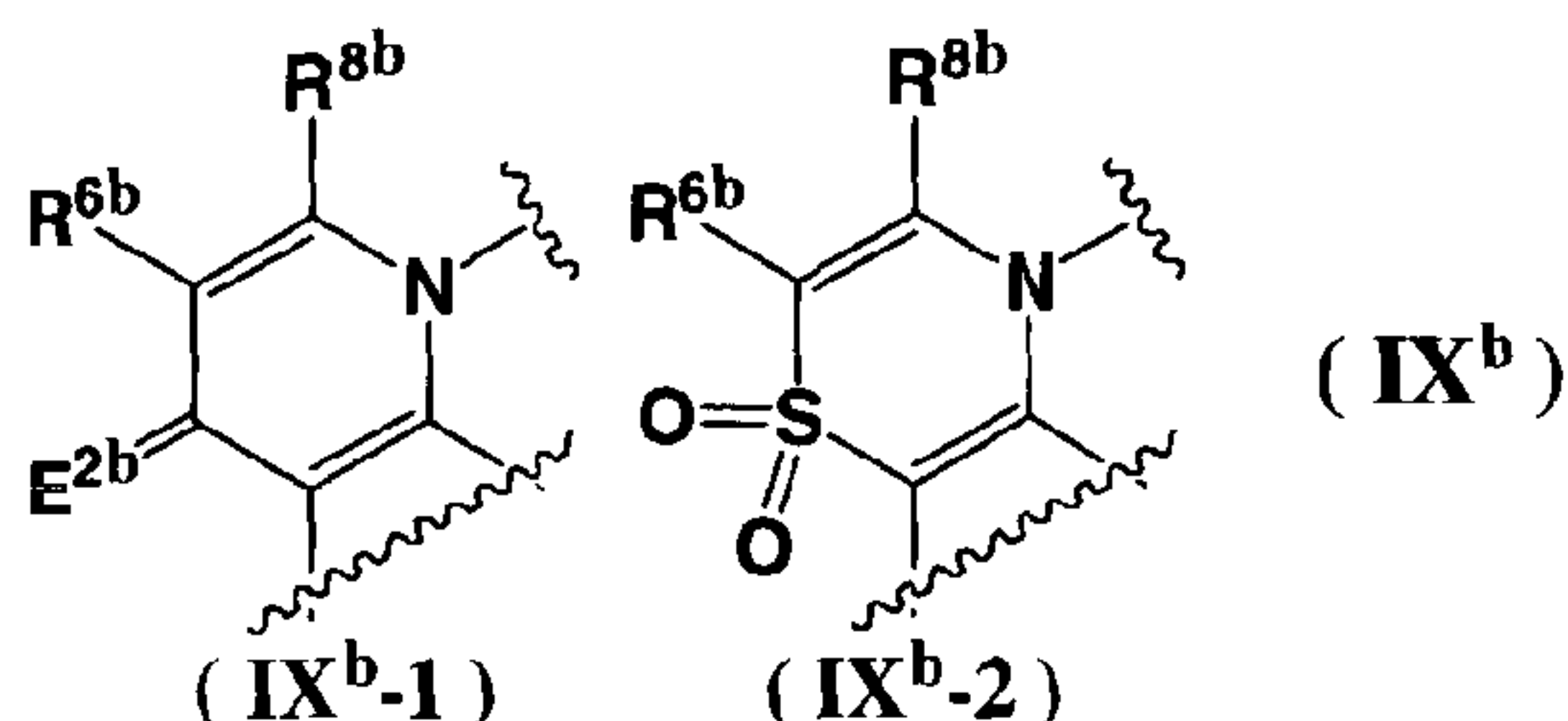
5 A more preferred embodiment of the substituent X^b is a nitrogen atom or CR^{15b} (wherein R^{15b} is a hydrogen atom).

Another more preferred embodiment of the substituent X^b is CR^{15b} (wherein R^{15b} is a halogen atom).

A further preferred embodiment of the substituent X^b is CR^{15b} (wherein R^{15b} is a hydrogen atom).

10 A preferred embodiment of the substituent Y^b is CR^{16b} (wherein R^{16b} is a hydrogen atom).

A preferred embodiment of the ring A^b is represented by the following formula (IX^b-1) or (IX^b-2):

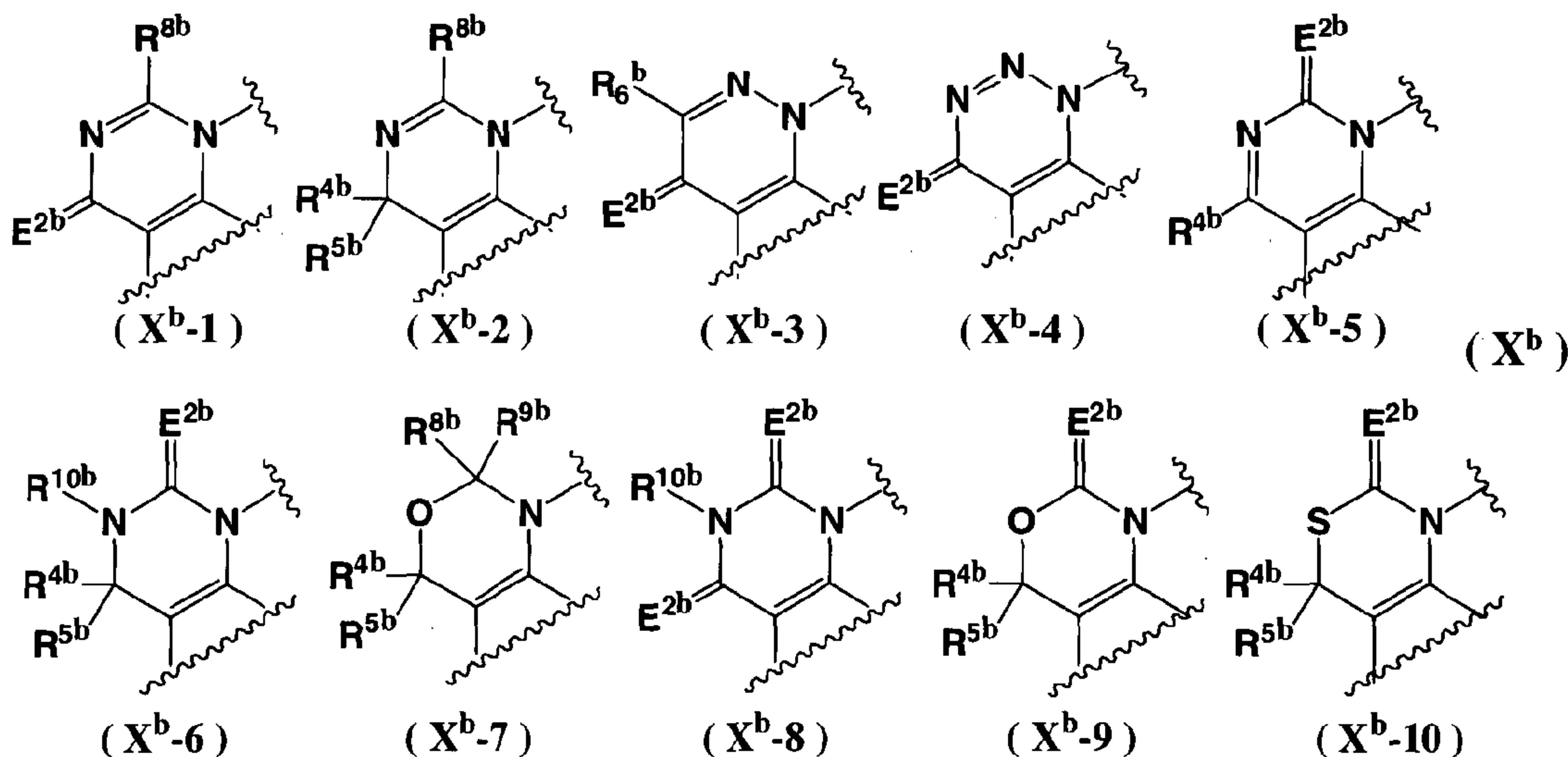


15 (wherein E^{2b} is an oxygen atom, a sulfur atom or NR^{17b} , and each of R^{6b} and R^{8b} is independently a hydrogen atom, an amino group, a carbamoyl group, a halogen atom, a cyano group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group, a C_{1-6} alkylsulfonyl group (the C_{1-6} alkyl group, the C_{1-6} alkoxy group and the C_{1-6} alkylsulfonyl group are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{3b}), 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})).

20

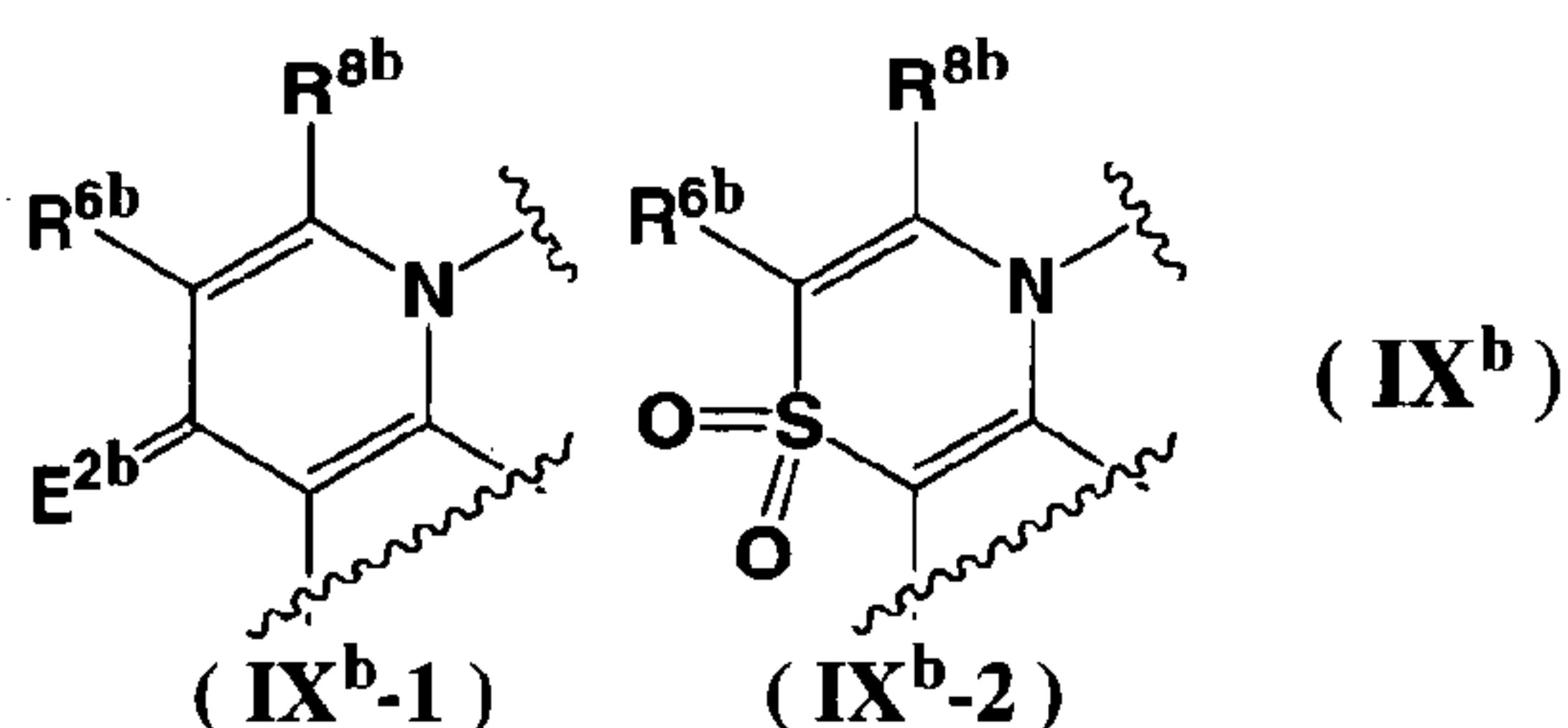
25

Another preferred embodiment of the ring A^b is represented by any of the following formulae (X^b-1) to (X^b-10):



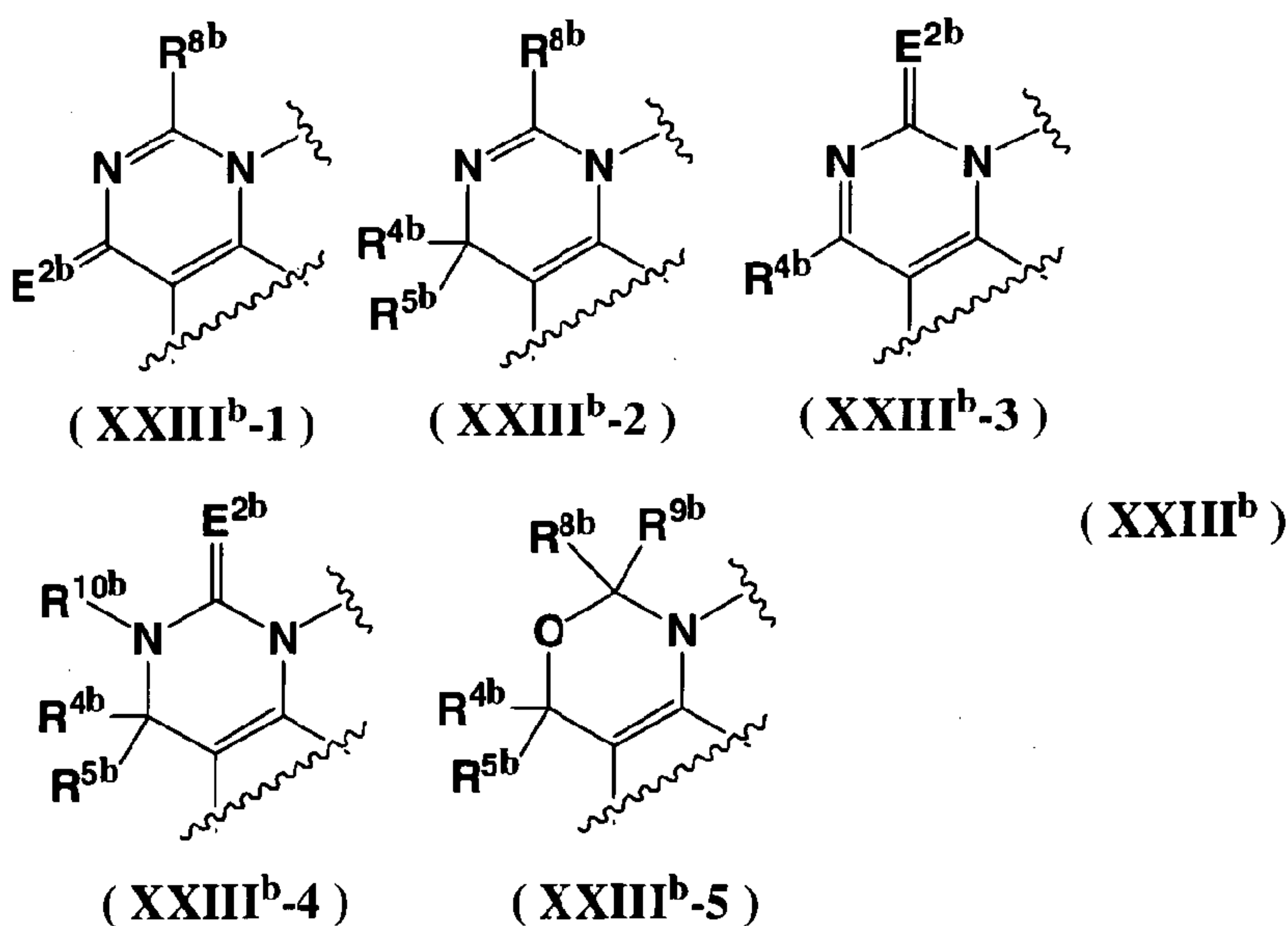
(wherein E^{2b} is an oxygen atom, a sulfur atom or NR^{17b} , and each of R^{4b} , R^{5b} , R^{6b} , R^{8b} and R^{9b} is independently a hydrogen atom, an amino group, a carbamoyl group, a halogen atom, a cyano group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group, a C_{1-6} alkylcarbonyl group, a C_{1-6} alkylsulfonyl group (the C_{1-6} alkyl group, the C_{1-6} alkoxy group, the C_{1-6} alkylcarbonyl group and the C_{1-6} alkylsulfonyl group are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{3b}), 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}), 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 more identical or different substituents independently selected from substituent set V^{3b}), 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 more preferred embodiment of the ring A^b is represented by the following formula (IX^b-1) or (IX^b-2):



(wherein E^{2b} is an oxygen atom, each of R^{6b} and R^{8b} is independently a hydrogen atom, a halogen atom or a C_{1-3} alkyl group).

Another more preferred embodiment of the ring A^b is represented by any of the following formulae (XXIII^b-1) to (XXIII^b-5):

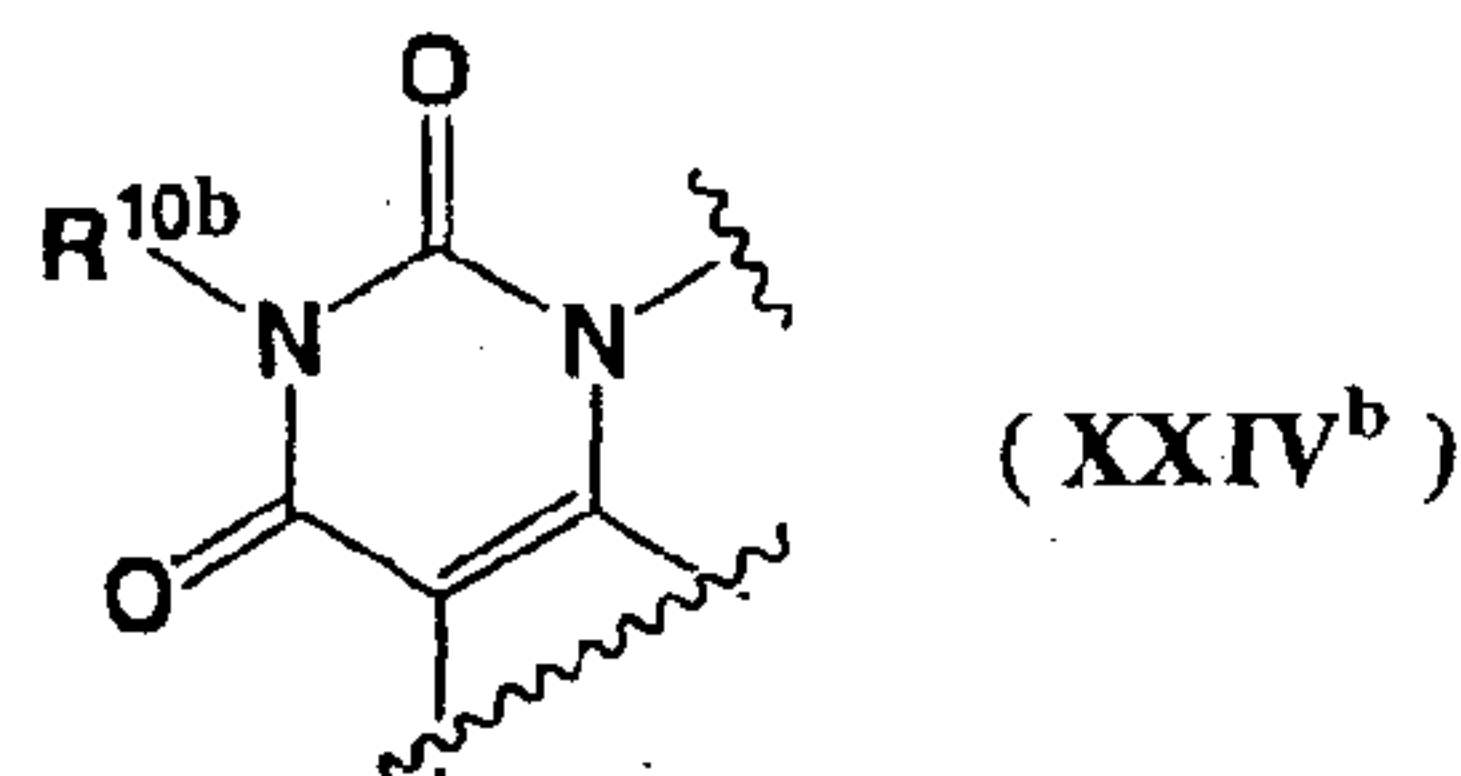


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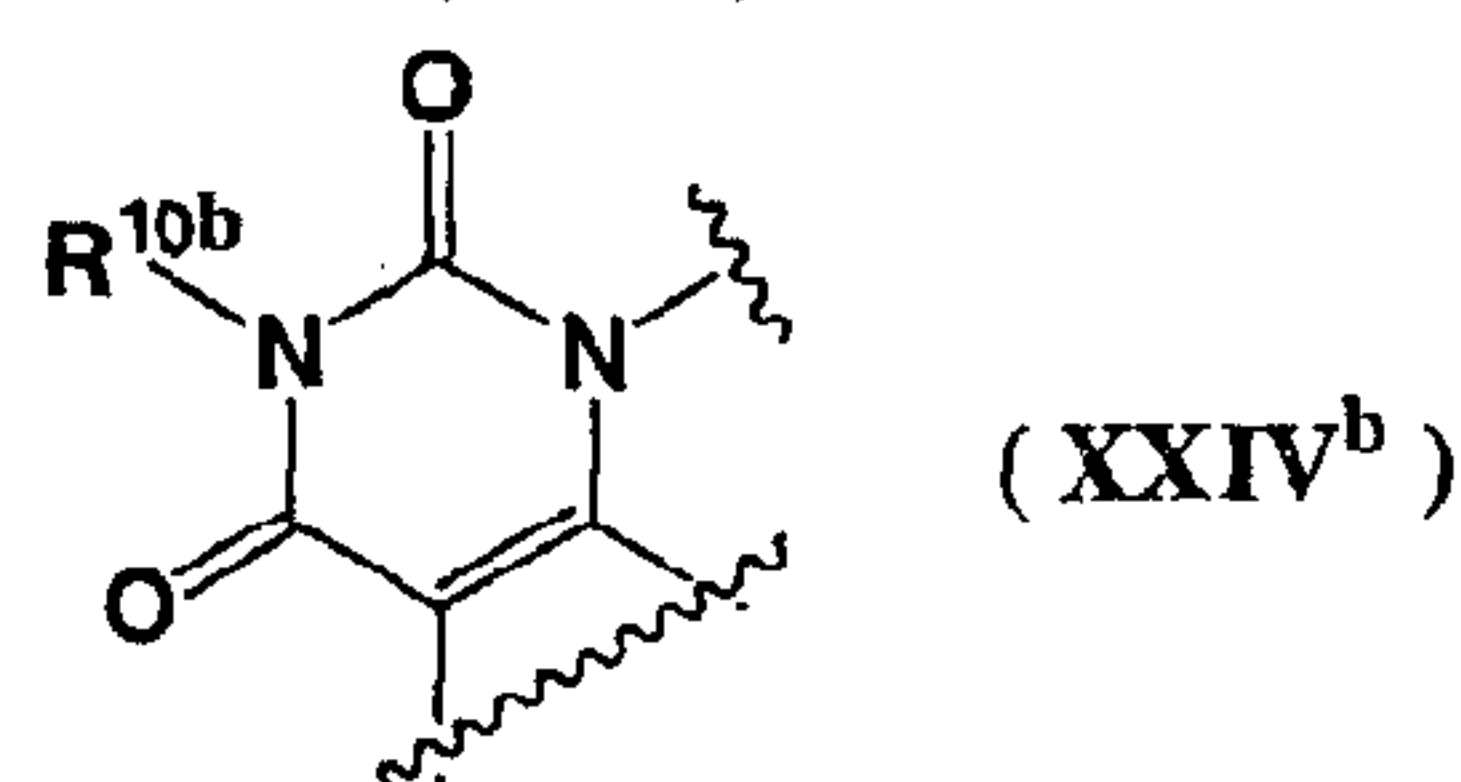
(wherein E^{2b} is an oxygen atom, each of R^{4b} , R^{5b} , R^{8b} and R^{9b} is independently a hydrogen atom, a halogen atom or a C_{1-3} alkyl group, and R^{10b} is a hydrogen atom or a C_{1-3} alkyl group).

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

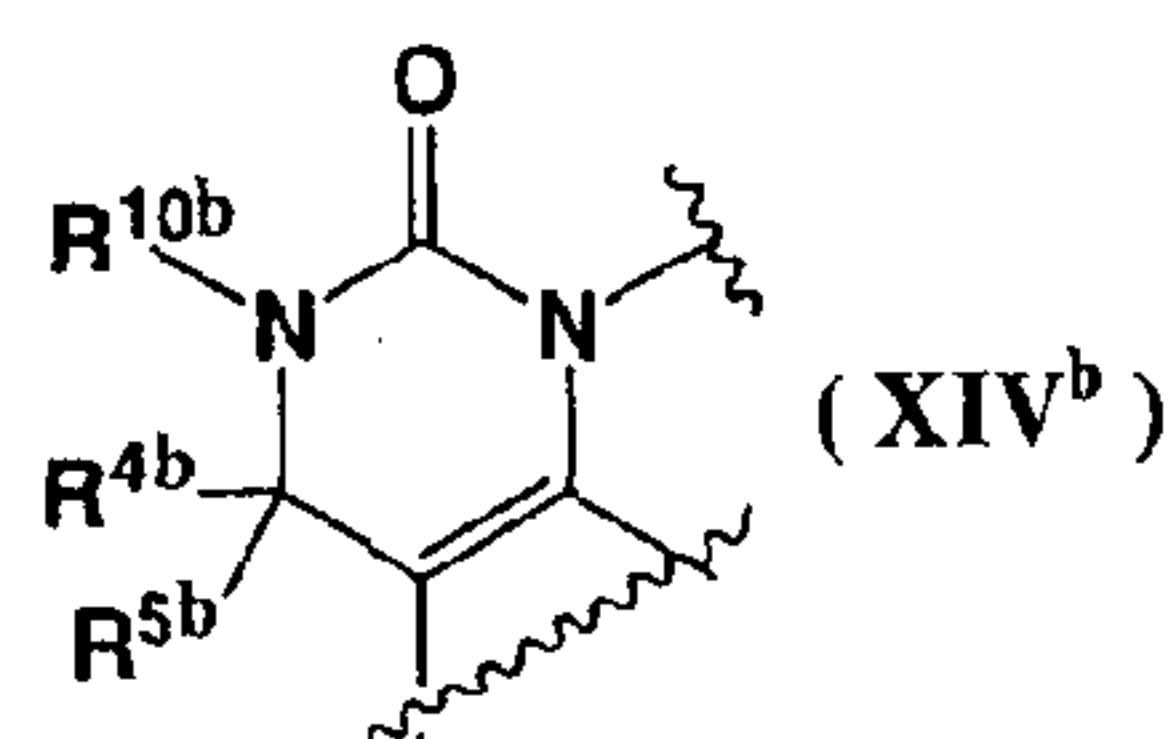


10

(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).

20

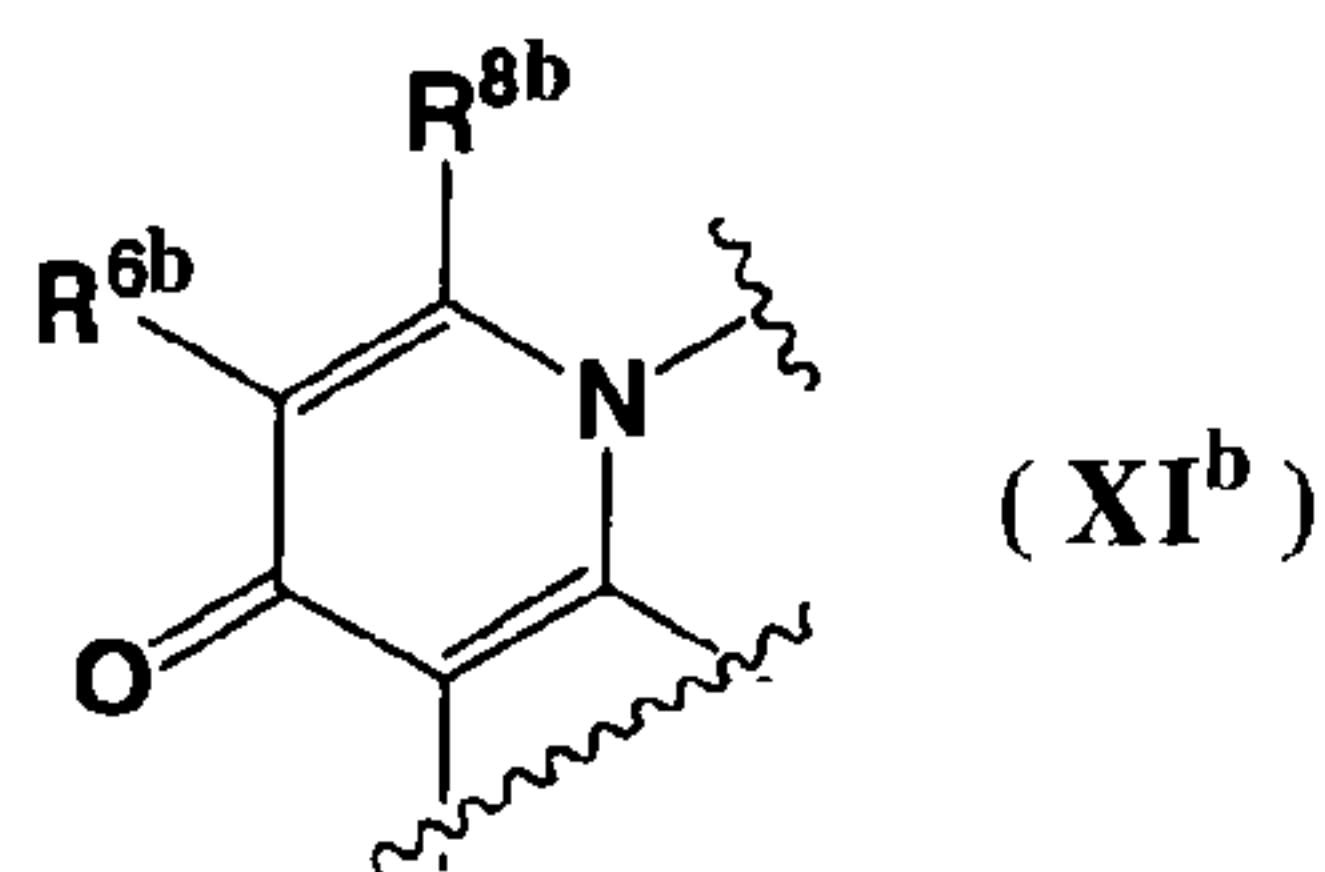
Another more preferred embodiment of the ring A^b is represented by the formula (XIV^b):



(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).

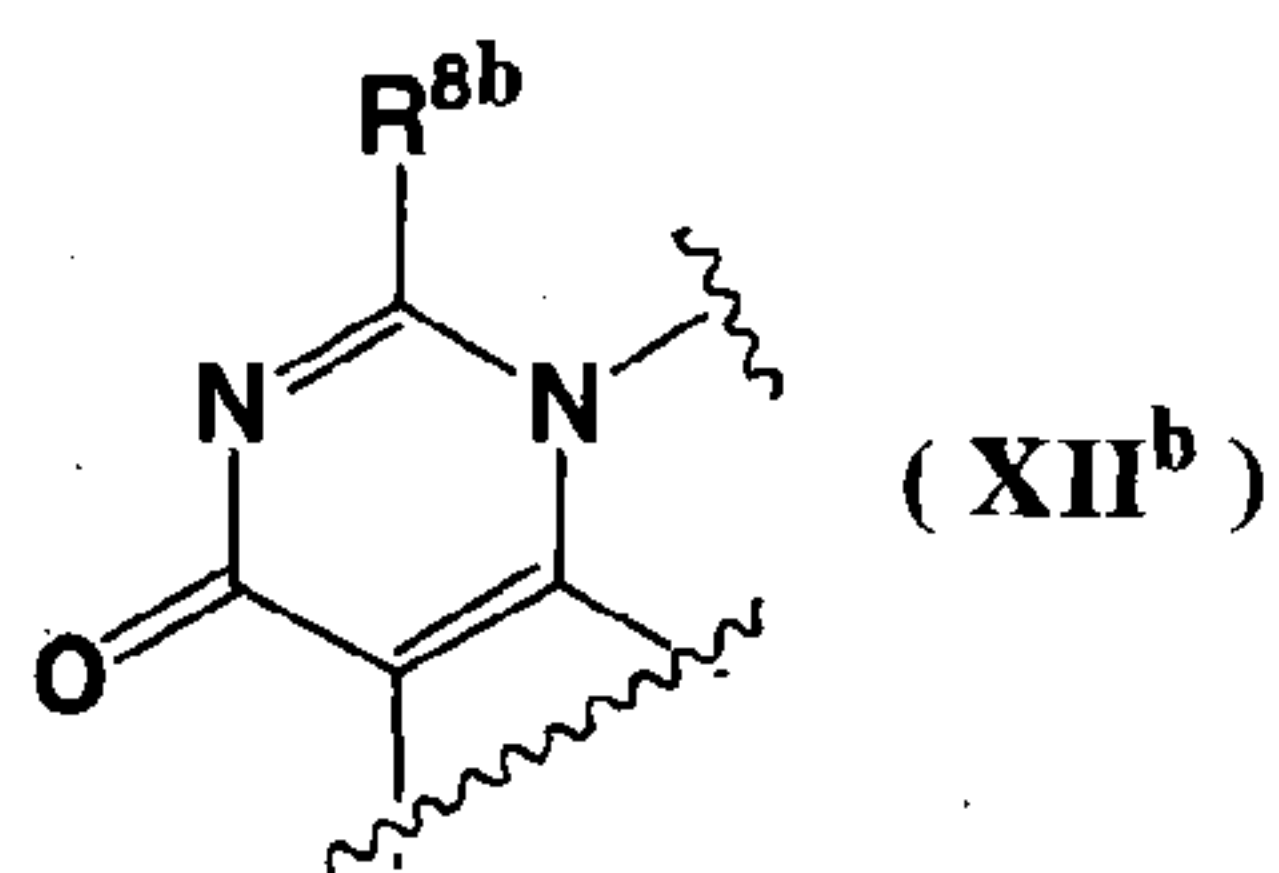
30

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



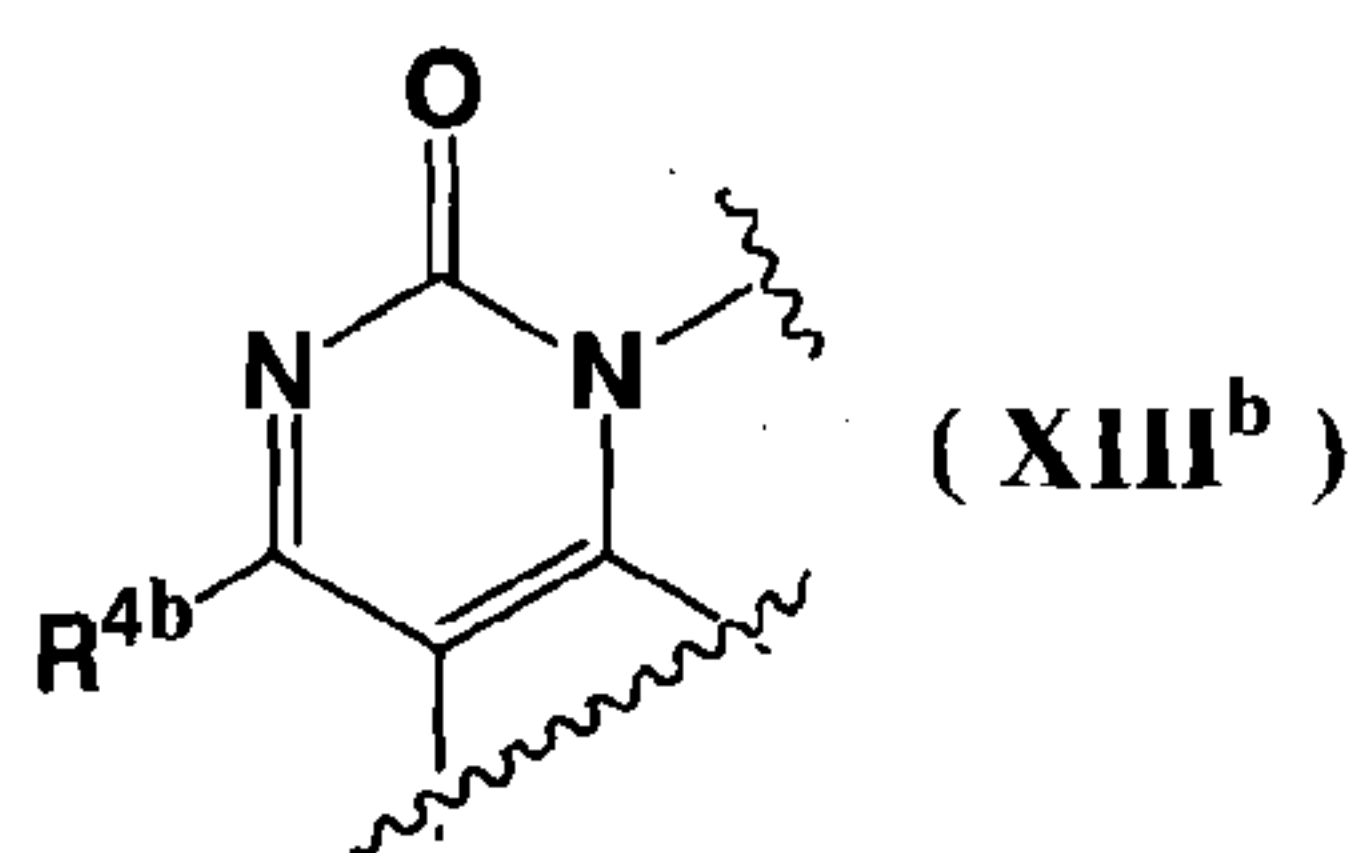
(wherein each of R^{6b} and R^{8b} is independently a hydrogen atom, a halogen atom or a C₁₋₃ alkyl group).

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



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

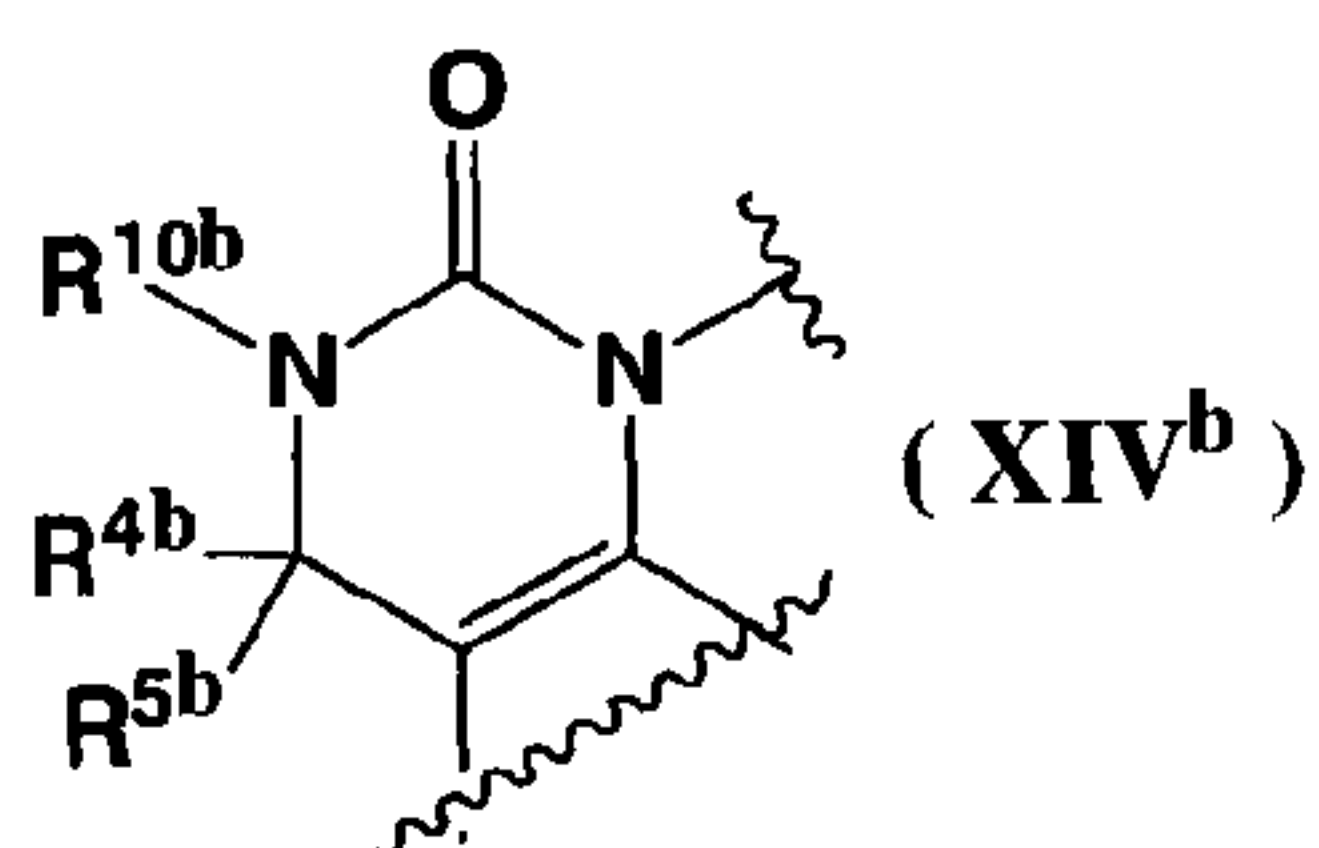
Another further preferred embodiment of the ring A^b is represented by the formula (XIII^b):



10

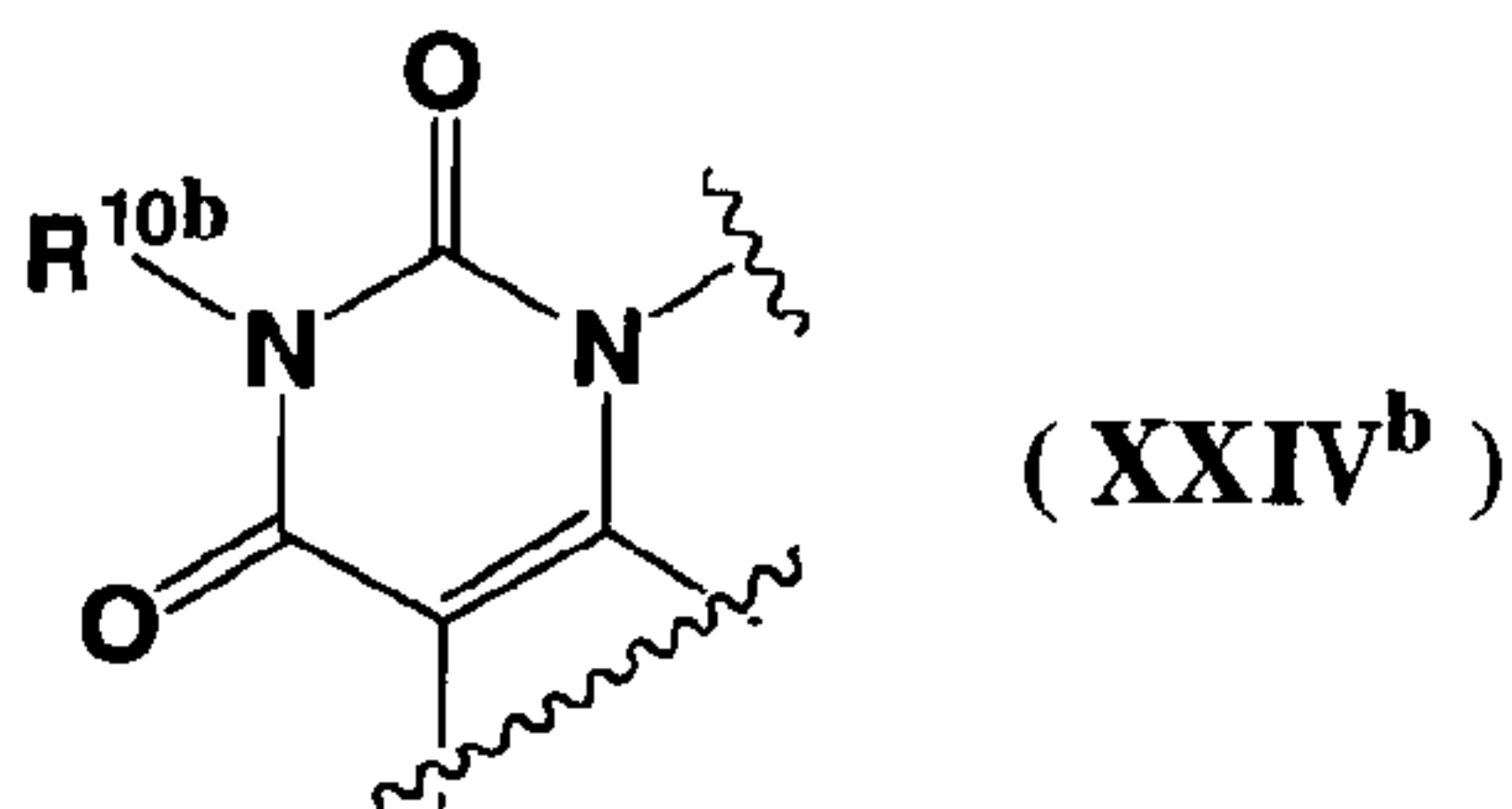
(wherein R^{4b} is a hydrogen atom, a halogen atom or a C₁₋₃ alkyl group).

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



15 (wherein each of R^{4b}, R^{5b} and R^{10b} is independently a hydrogen atom or a C₁₋₃ alkyl group).

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

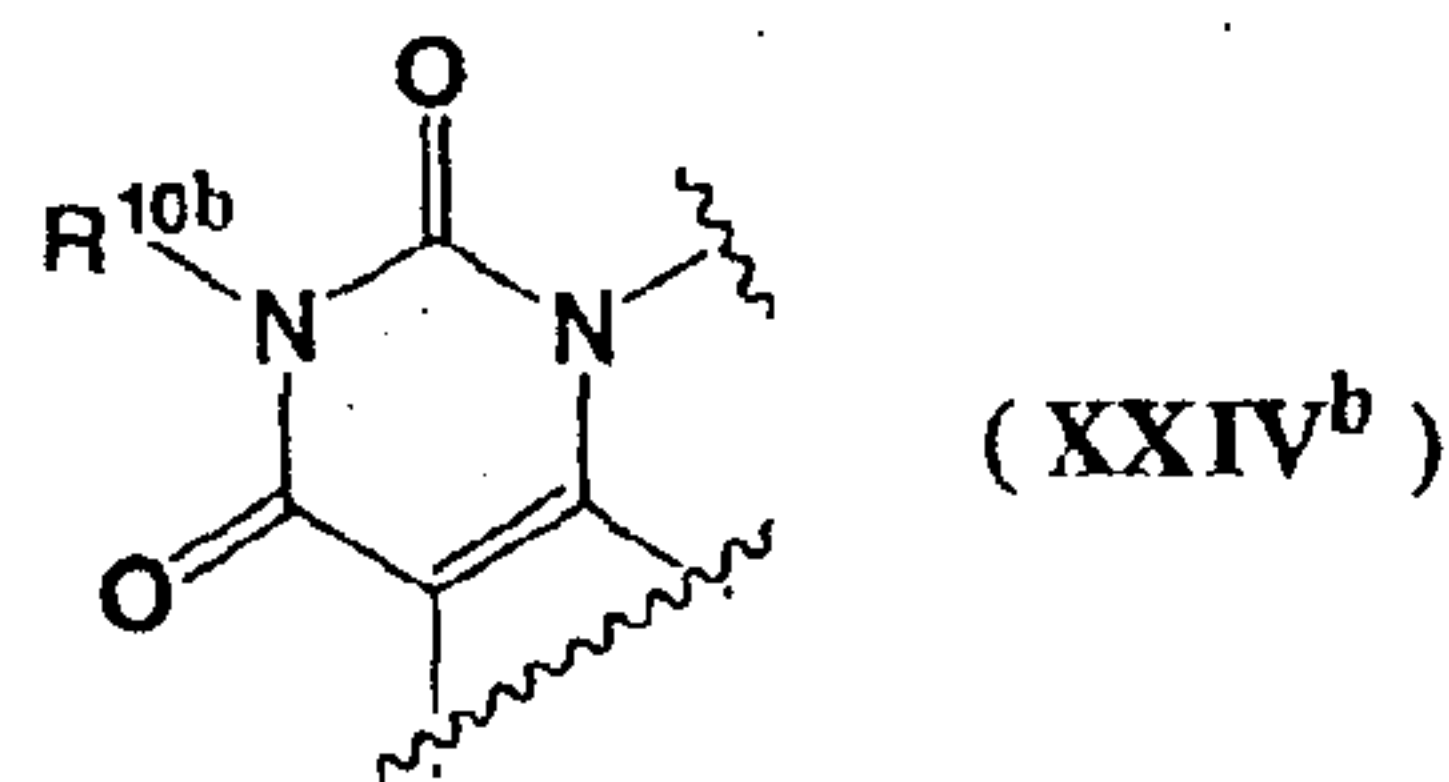


20 (wherein R^{10b} is a hydrogen atom).

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

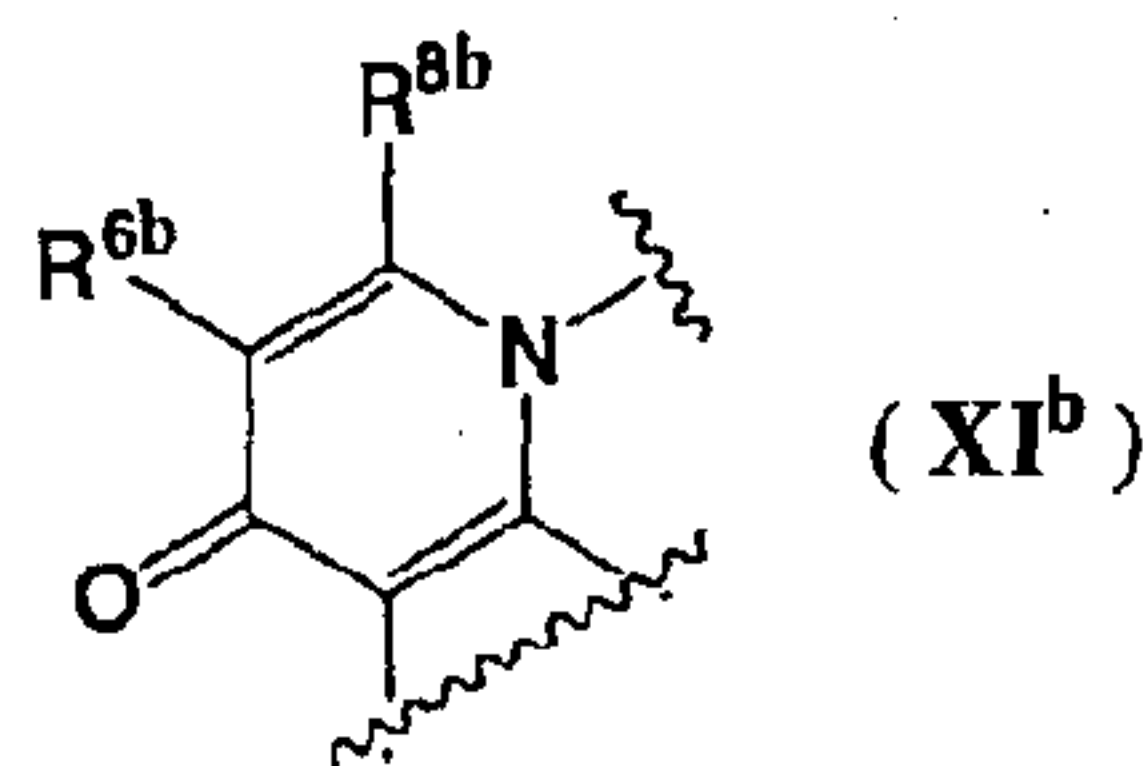
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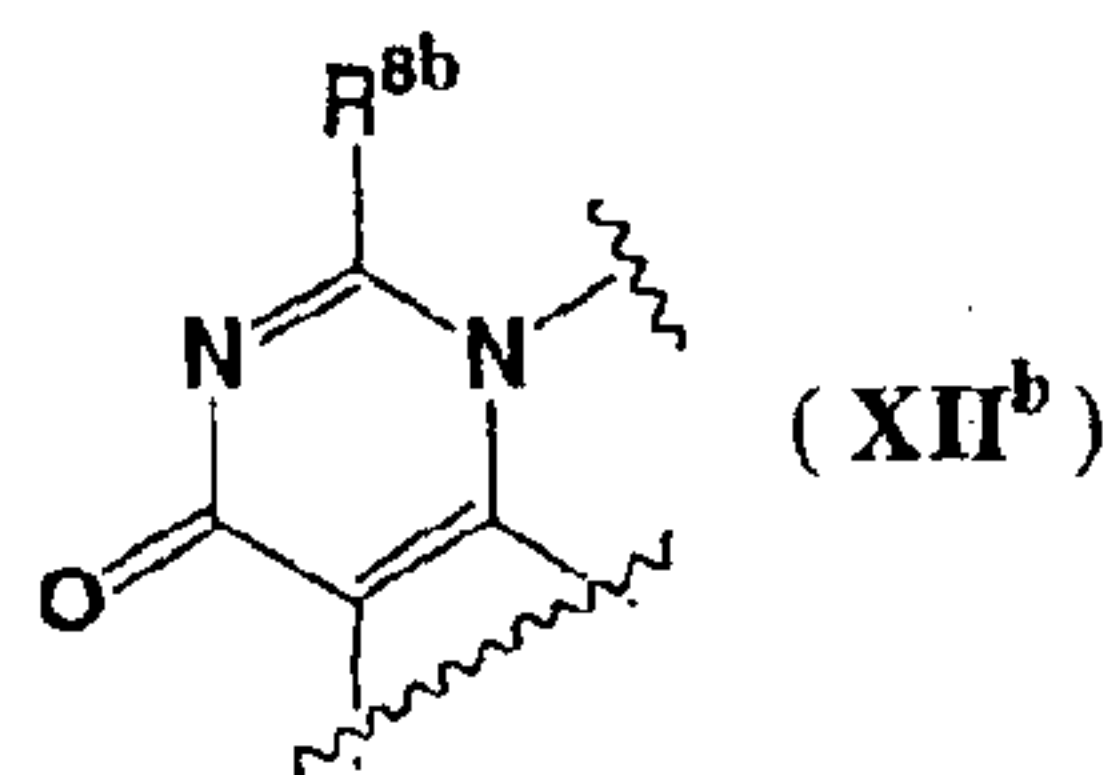
- (wherein R^{10b} is a C₁₋₆ alkyl group (the C₁₋₆ alkyl group is unsubstituted or substituted with one or two identical or different substituents independently selected from the group consisting of cyano groups, hydroxy groups, C₁₋₃ alkoxy groups, C₁₋₃ alkylthio groups, di-C₁₋₃ alkylamino groups and 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):



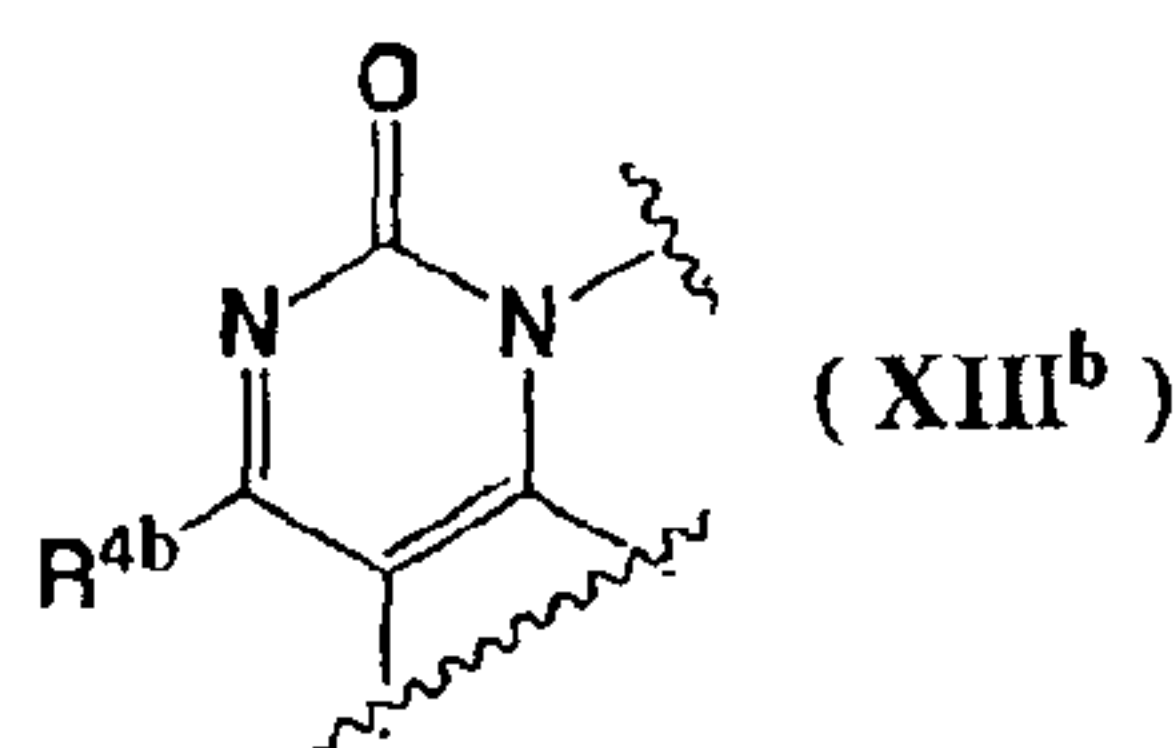
- (wherein R^{6b} is a hydrogen atom, a halogen atom or a C₁₋₃ alkyl group, and R^{8b} is a hydrogen atom).

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



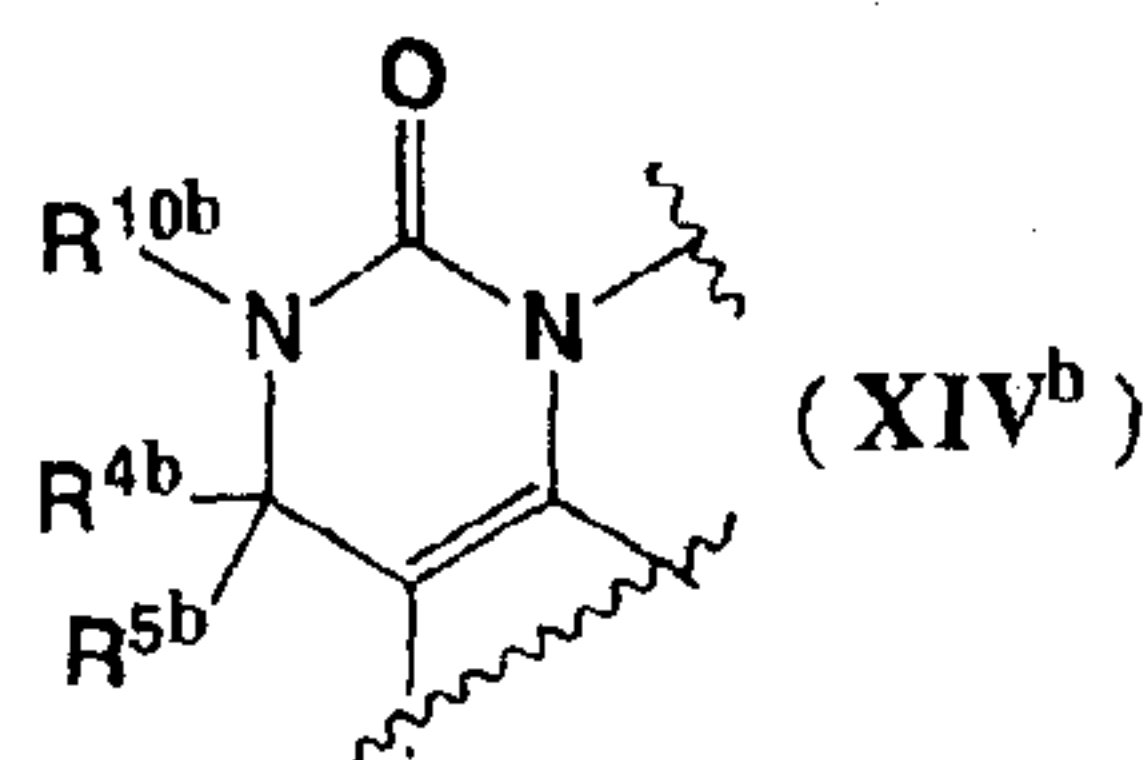
- (wherein R^{8b} is a hydrogen atom).

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).

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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.

5 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.

10 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.

15 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).

20 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.

25 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.

30 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).

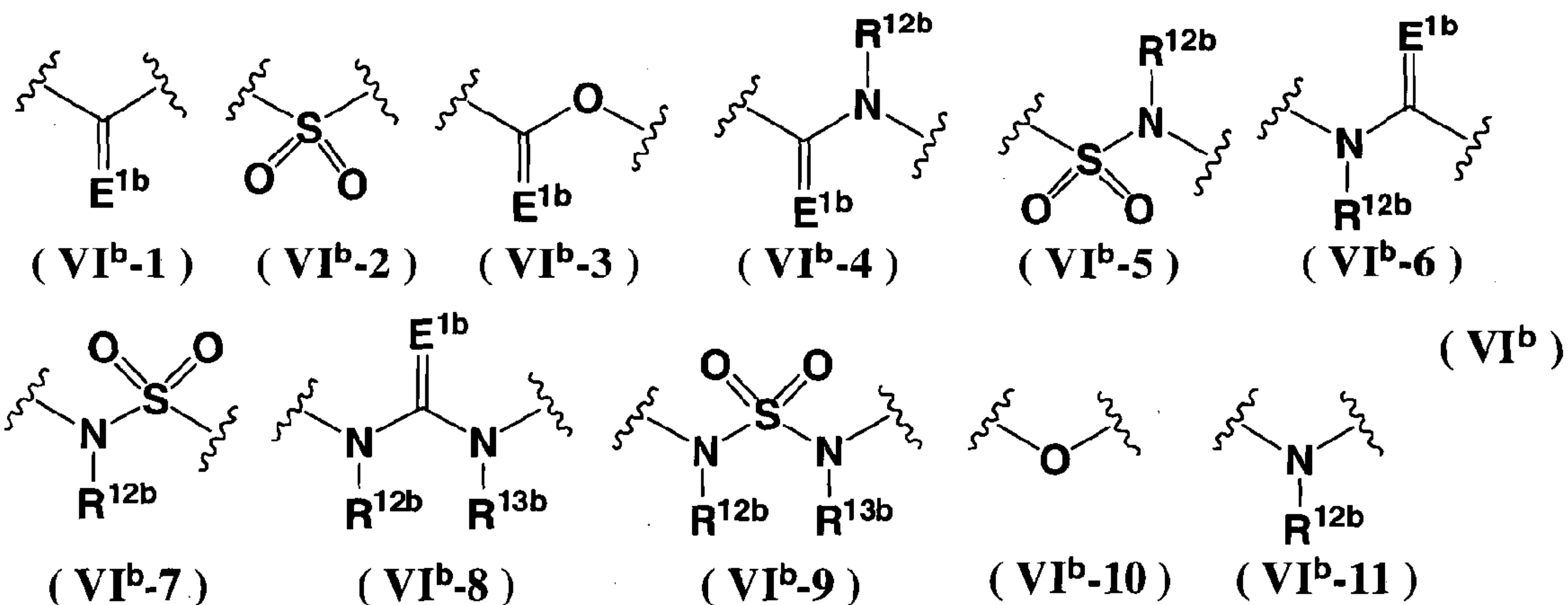
A further preferred embodiment of the substituent L^{2b} is a single bond or a methylene group.

35 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}).

40 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 saturated aromatic cyclic group are unsubstituted or substituted with one or more

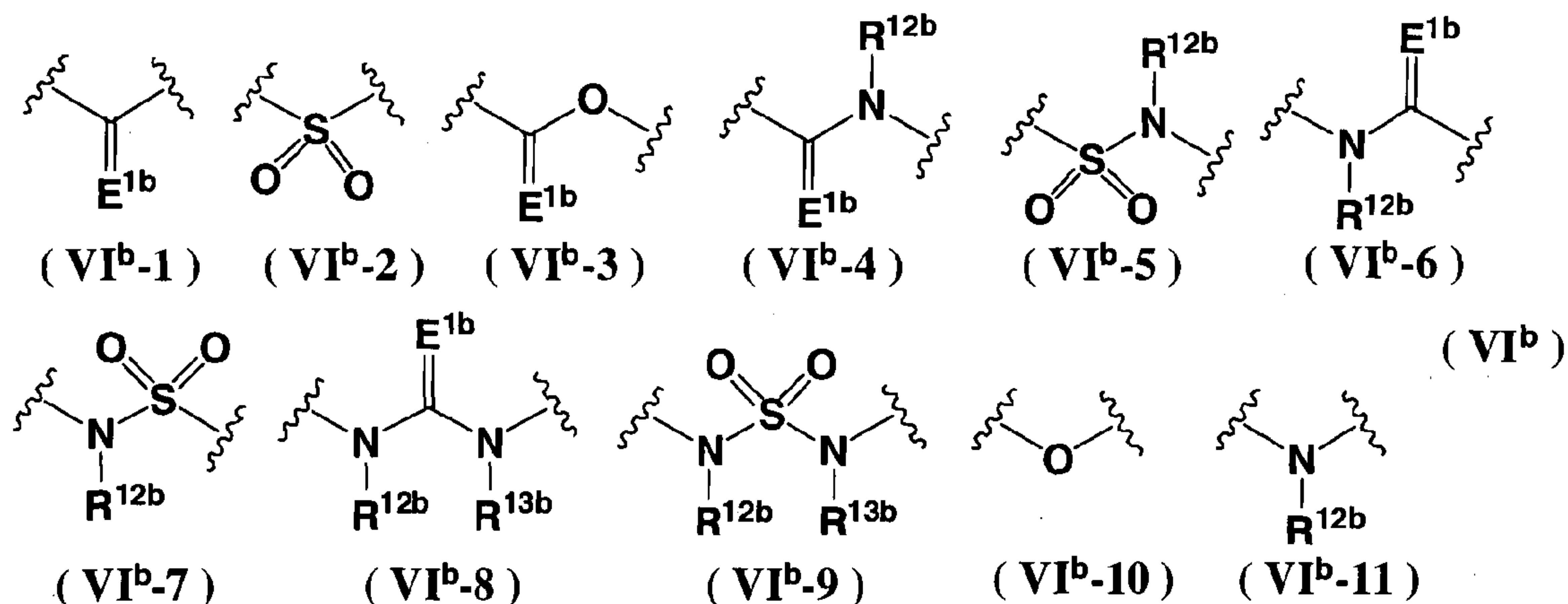
identical or different substituents independently selected from the substituent set V^{4b} and the substituent set V^{9b}).

Another preferred embodiment of the substituent L^{3b} and the substituent R^{2b} is such that 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, 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), 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_{2-6} alkenyl group, 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_{2-6} alkenyl 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^{4b}).

Another preferred embodiment of the substituent L^{3b} and the substituent R^{2b} is such that 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, 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 two identical or different substituents independently selected from the group consisting of cyano groups, hydroxy groups, C_{1-6} 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_{2-6}

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 C₃₋₆ 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 C₃₋₆ 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 substituent set V^{4b} and the substituent set V^{9b}).

A more 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 C₃₋₆ cycloalkyl group, a phenyl group or a 5 to 6-membered aromatic heterocyclyl group (the C₃₋₆ cycloalkyl 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}).

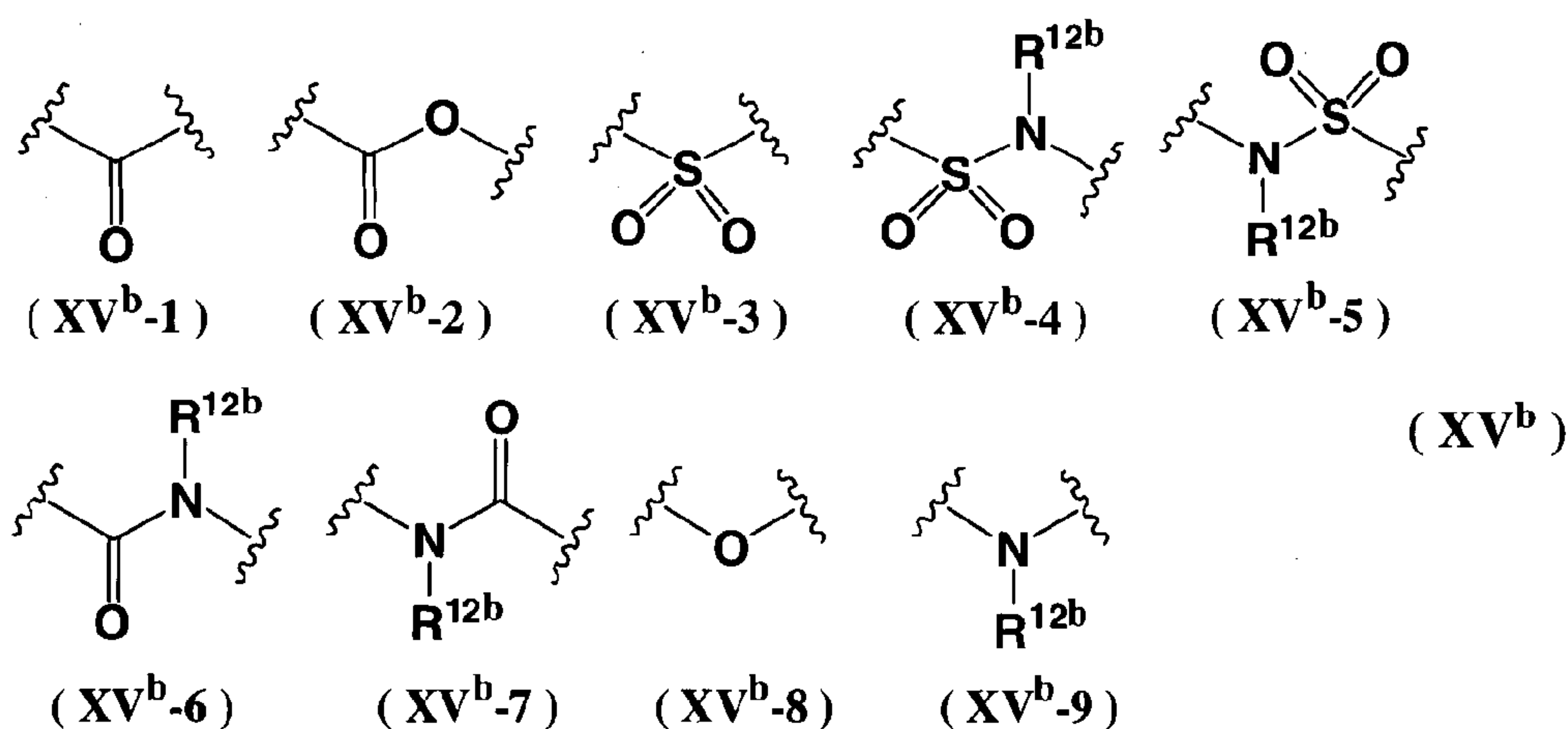
Another more preferred embodiment of the substituent L^{3b} and the substituent R^{2b} is such that L^{3b} is a single bond, and R^{2b} is a hydrogen atom, a halogen atom, a C₃₋₆ cycloalkyl group, a 4 to 7-membered non-aromatic heterocyclyl group, a phenyl group or a 5 to 10-membered aromatic heterocyclyl group (the C₃₋₆ 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 or more identical or different substituents independently selected from the substituent set V^{1b}).

Another more 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 4 to 7-membered non-aromatic heterocyclyl group, a phenyl group or a 5 to 6-membered aromatic heterocyclyl 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 C₁₋₆ alkyl groups, C₁₋₆ alkoxy groups (the C₁₋₆ alkyl group and the C₁₋₆ alkoxy group are substituted with a hydroxy group or a cyano group), mono-C₁₋₆ alkylamino groups, di-C₁₋₆ alkylamino groups, the mono-C₁₋₆ alkylaminocarbonyl groups, the di-C₁₋₆ alkylaminocarbonyl groups, C₁₋₆ alkylcarbonylamino groups (the mono-C₁₋₆ alkylamino group, the di-C₁₋₆ alkylamino group, mono-C₁₋₆ alkylaminocarbonyl groups, di-C₁₋₆ alkylaminocarbonyl groups and the C₁₋₆ alkylcarbonylamino groups are 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), phenyl groups, 5 to 6-membered aromatic heterocyclyl groups (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 halogen atoms, cyano atoms and C₁₋₆ haloalkyl groups)).

Another more 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 8 to 11-membered partially saturated aromatic cyclic group (the 8 to 11-membered partially saturated aromatic cyclic 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, nitro groups, carbamoyl groups, sulfamoyl groups, C₁₋₆

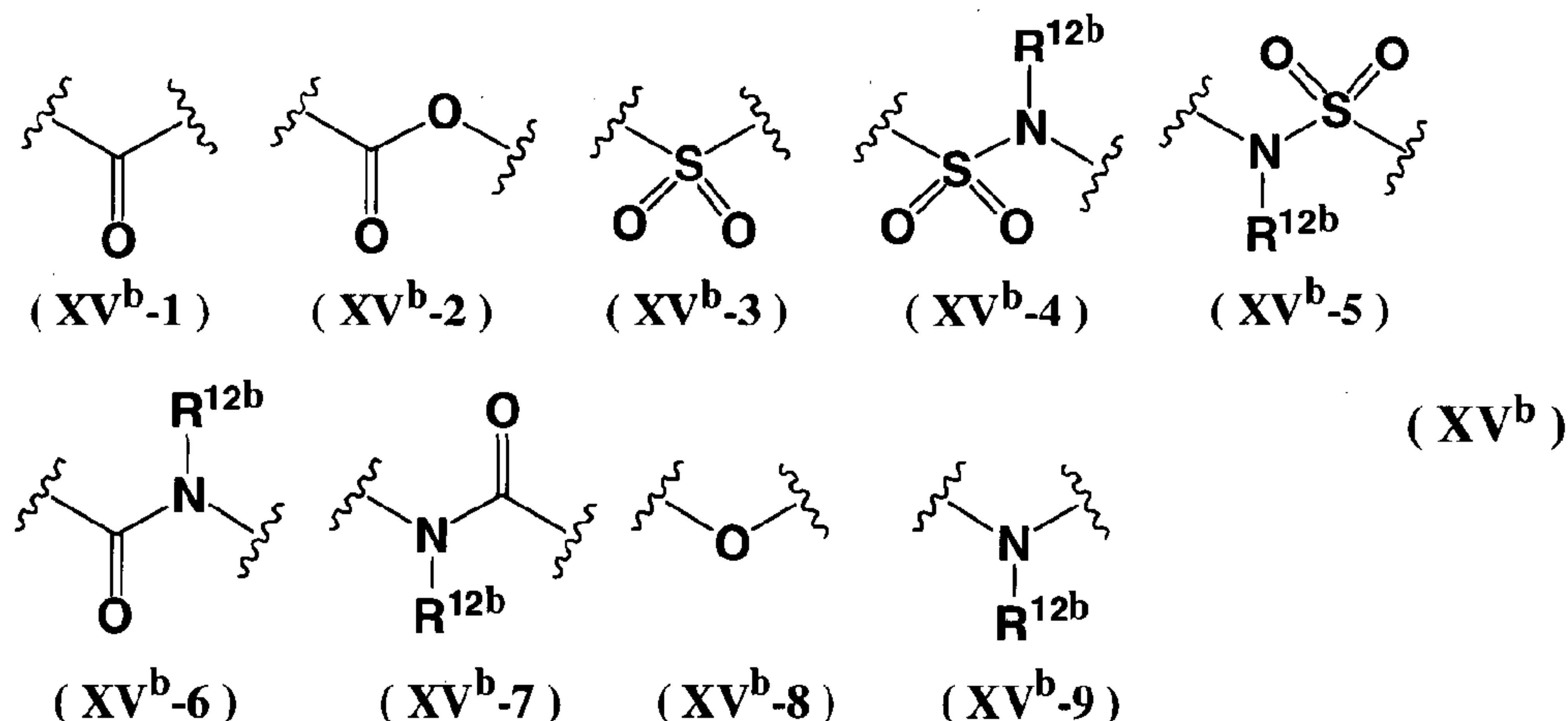
alkyl groups, C₁₋₆ haloalkyl groups, C₁₋₆ alkoxy groups, C₁₋₆ haloalkoxy groups, mono-C₁₋₆ alkylamino groups, di-C₁₋₆ alkylamino groups, C₁₋₆ alkylthio groups, C₁₋₆ haloalkylthio groups, C₁₋₆ alkylcarbonyl groups, C₁₋₆ haloalkylcarbonyl groups, C₁₋₆ alkylsulfonyl groups, C₁₋₆ haloalkylsulfonyl groups and C₁₋₆ alkoxycarbonyl groups).

Another more preferred embodiment of the substituent L^{3b} and the substituent R^{2b} is such that L^{3b} is represented by any of the following formulae (XV^b-1) to (XV^b-9):



(wherein R^{12b} is a hydrogen atom or a C₁₋₆ alkyl group), and R^{2b} 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 are unsubstituted or substituted with one or two identical or different substituents independently selected from the group consisting of hydroxy groups, amino groups, carbamoyl groups, sulfamoyl groups, tetrazolyl groups, cyano groups, nitro groups, C₃₋₆ cycloalkyl groups, C₁₋₃ alkoxy groups, C₁₋₆ haloalkoxy groups, C₁₋₃ alkylsulfonyl groups, C₁₋₃ haloalkylsulfonyl groups, 4 to 7-membered non-aromatic heterocyclyl groups, phenyl groups and 5 to 6-membered aromatic heterocyclyl groups).

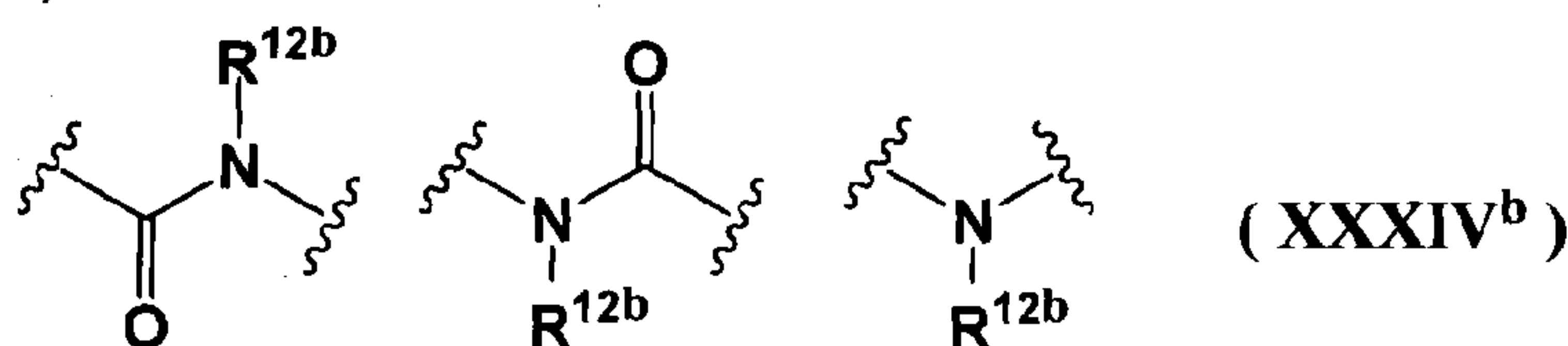
Another more preferred embodiment of the substituent L^{3b} and the substituent R^{2b} is such that L^{3b} is represented by any of the following formulae (XV^b-1) to (XV^b-9):



(wherein R^{12b} is a hydrogen atom, a C₁₋₆ alkyl group or a C₁₋₆ haloalkyl group), and R^{2b} is a C₁₋₆ alkyl group (the C₁₋₆ alkyl group is substituted with a substituent selected from the group consisting of 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 is substituted with

one or two identical or different substituents independently selected from the group consisting of hydroxy groups, halogen atoms and cyano 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 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).

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



(wherein R^{12b} is a C₁₋₆ alkyl group (the C₁₋₆ alkyl group is substituted with a substituent selected from the group consisting of a cyano group, a hydroxy group and a phenyl group)), and R^{2b} is a C₁₋₆ alkyl group (the C₁₋₆ alkyl group is unsubstituted or substituted with a substituent selected from the group consisting of a hydroxy group, a cyano group and a phenyl group) or a C₁₋₆ haloalkyl group.

A further preferred embodiment of the substituent L^{3b} and the R^{2b} is such that L^{3b} is a single bond, and R^{2b} is a hydrogen atom, a phenyl group (the phenyl group is unsubstituted or substituted with one or more identical or different substituents independently selected from the group consisting of halogen atoms, hydroxy groups, cyano groups, C₁₋₃ alkyl groups, C₁₋₃ haloalkyl groups, C₁₋₃ alkoxy groups and C₁₋₃ haloalkoxy groups).

Another further preferred embodiment of the substituent L^{3b} and the R^{2b} is such that L^{3b} is a single bond, and R^{2b} is a hydrogen atom, a C₃₋₆ cycloalkyl group, a phenyl group or 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 one or more identical or different substituents independently selected from the group consisting of halogen atoms, cyano groups, nitro groups, C₁₋₃ alkyl groups, C₁₋₃ haloalkyl groups and C₁₋₃ alkoxy carbonyl groups).

Another further preferred embodiment of the substituent L^{3b} and the R^{2b} is such that L^{3b} is a single bond, and R^{2b} is an indolyl group.

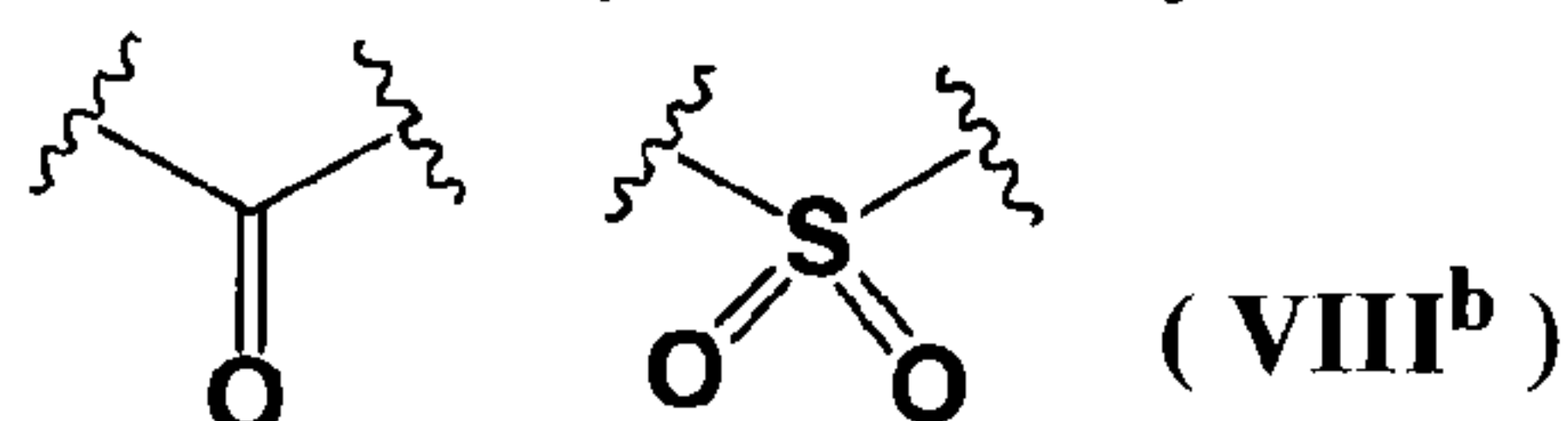
Another further preferred embodiment of the substituent L^{3b} and the R^{2b} is such that L^{3b} is a single bond, and R^{2b} is a 4 to 7-membered non-aromatic heterocyclyl group (the 4 to 7-membered non-aromatic heterocyclyl group is unsubstituted or substituted with one or more identical or different substituents independently selected from the group consisting of hydroxy groups, halogen atoms, cyano groups, C₁₋₆ alkyl groups, C₁₋₆ haloalkyl groups, C₁₋₆ alkoxy groups, C₁₋₆ haloalkoxy groups and C₁₋₆ alkoxy carbonyl groups).

Another further preferred embodiment of the substituent L^{3b} and the R^{2b} is such that L^{3b} is a single bond, and R^{2b} is a 4 to 7-membered non-aromatic heterocyclyl group (the 4 to 7-membered non-aromatic heterocyclyl group is substituted with a C₁₋₆ alkyl

groups (the C₁₋₆ alkyl group is substituted with a cyano group)).

Another further preferred embodiment of the substituent L^{3b} and the R^{2b} is such that L^{3b} is a single bond, and R^{2b} is a 8 to 11-membered partially saturated aromatic cyclic group (the 8 to 11-membered partially saturated aromatic cyclic group is
 5 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).

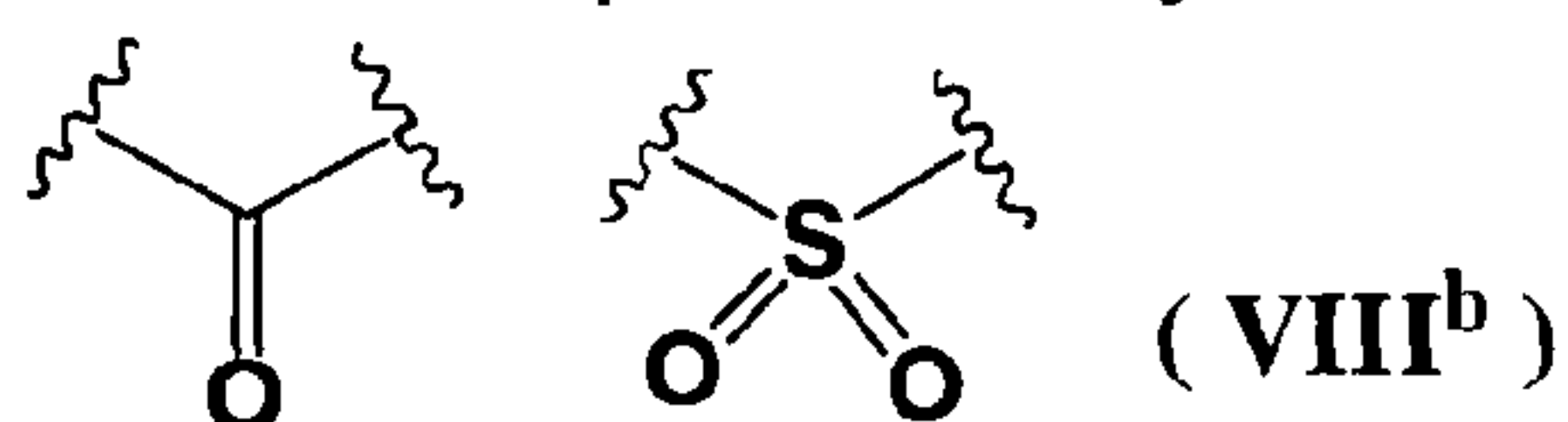
Another further preferred embodiment of the substituent L^{3b} and the R^{2b} is such that L^{3b} is represented by the following formula (VIII^b-1) or (VIII^b-2):



10 (VIII^b-1) (VIII^b-2) ,and

R^{2b} is a C₁₋₆ alkyl group or a C₁₋₃ haloalkyl group (the C₁₋₆ alkyl group and the C₁₋₃ haloalkyl group are unsubstituted or substituted with a cyano group or a C₃₋₆ cycloalkyl group).

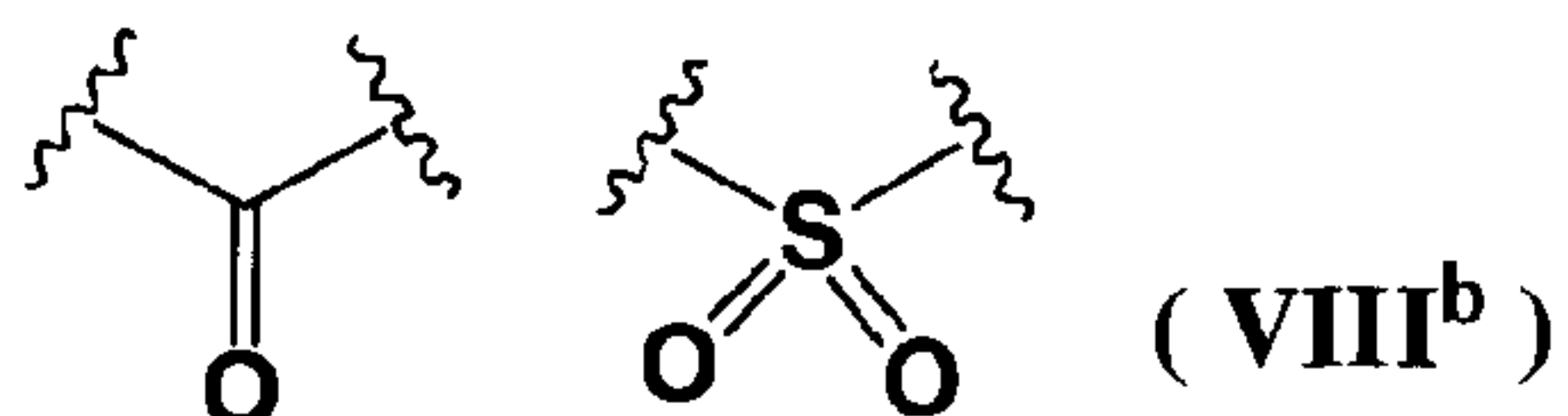
Another further preferred embodiment of the substituent L^{3b} and the R^{2b} is such
 15 that L^{3b} is represented by the following formula (VIII^b-1) or (VIII^b-2):



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

R^{2b} is a C₁₋₃ alkyl group (the C₁₋₃ alkyl group is substituted with a phenyl group).

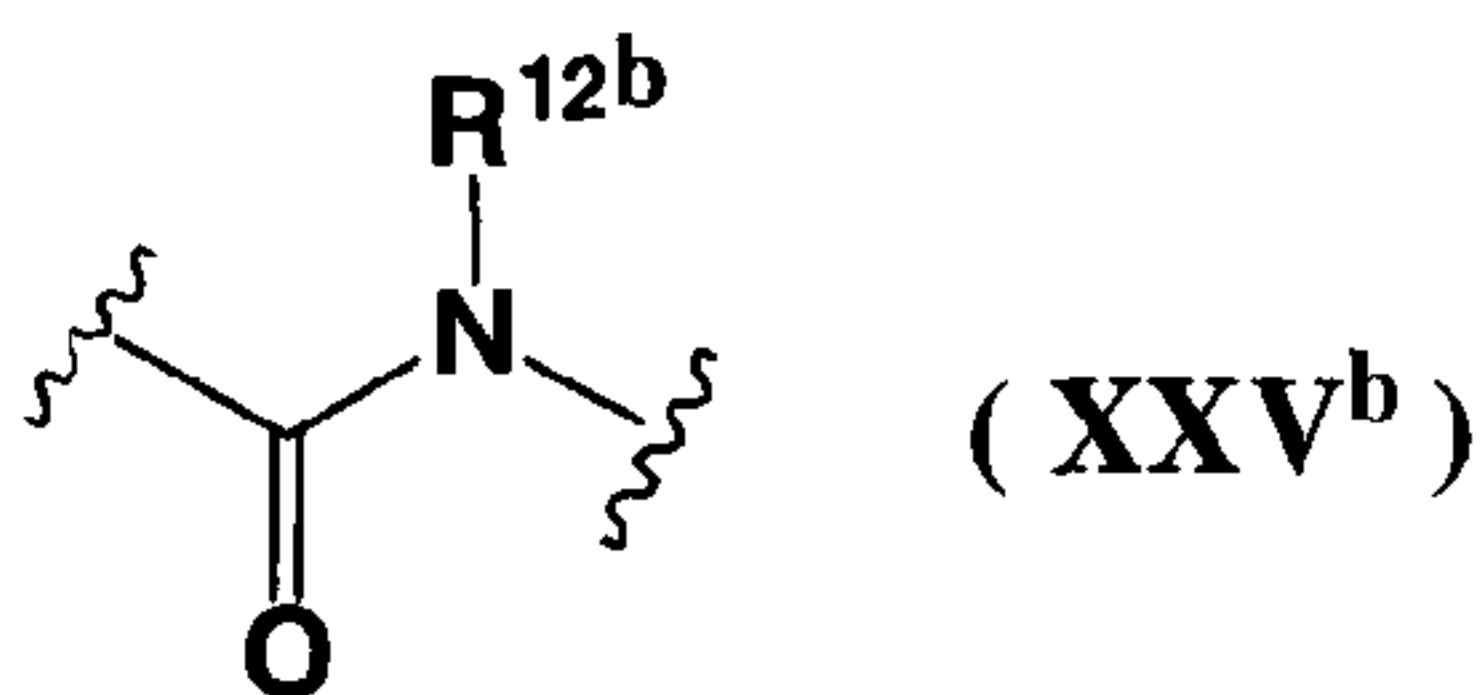
Another further preferred embodiment of the substituent L^{3b} and the R^{2b} is such that L^{3b} is represented by the following formula (VIII^b-1) or (VIII^b-2):



20 (VIII^b-1) (VIII^b-2) ,and

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

Another further preferred embodiment of the substituent L^{3b} and the R^{2b} is such that L^{3b} is represented by the formula (XXV^b):

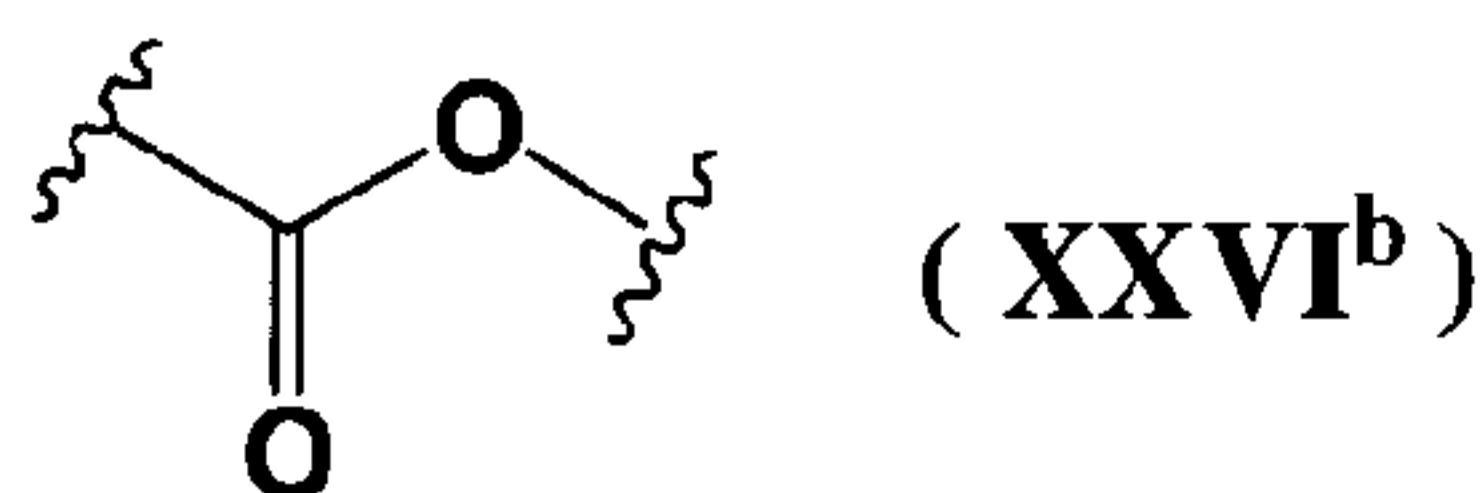


30

(wherein R^{12b} is a hydrogen atom), and R^{2b} is a phenyl group or a 5 to 6-membered aromatic heterocyclyl group (the phenyl group and the 5 to 6-membered aromatic

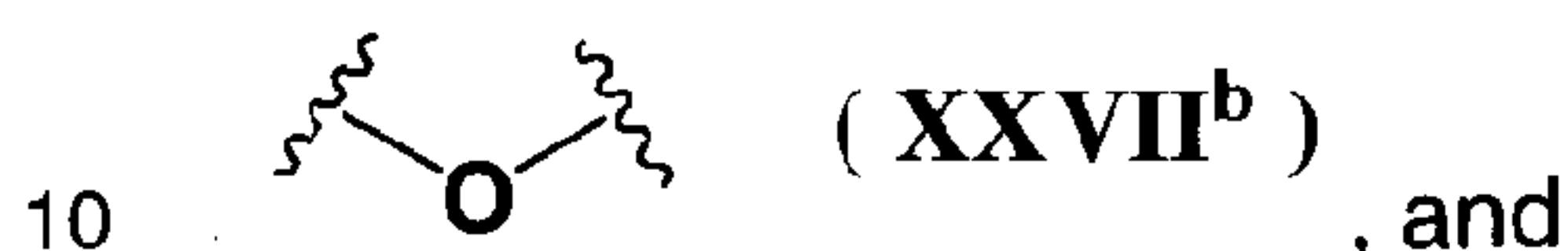
heterocyclyl group are unsubstituted or substituted with a substituent selected from the group consisting of a C₁₋₃ alkyl group and a C₁₋₃ haloalkyl group).

Another further preferred embodiment of the substituent L^{3b} and the R^{2b} is such that L^{3b} is represented by the formula (XXVI^b):



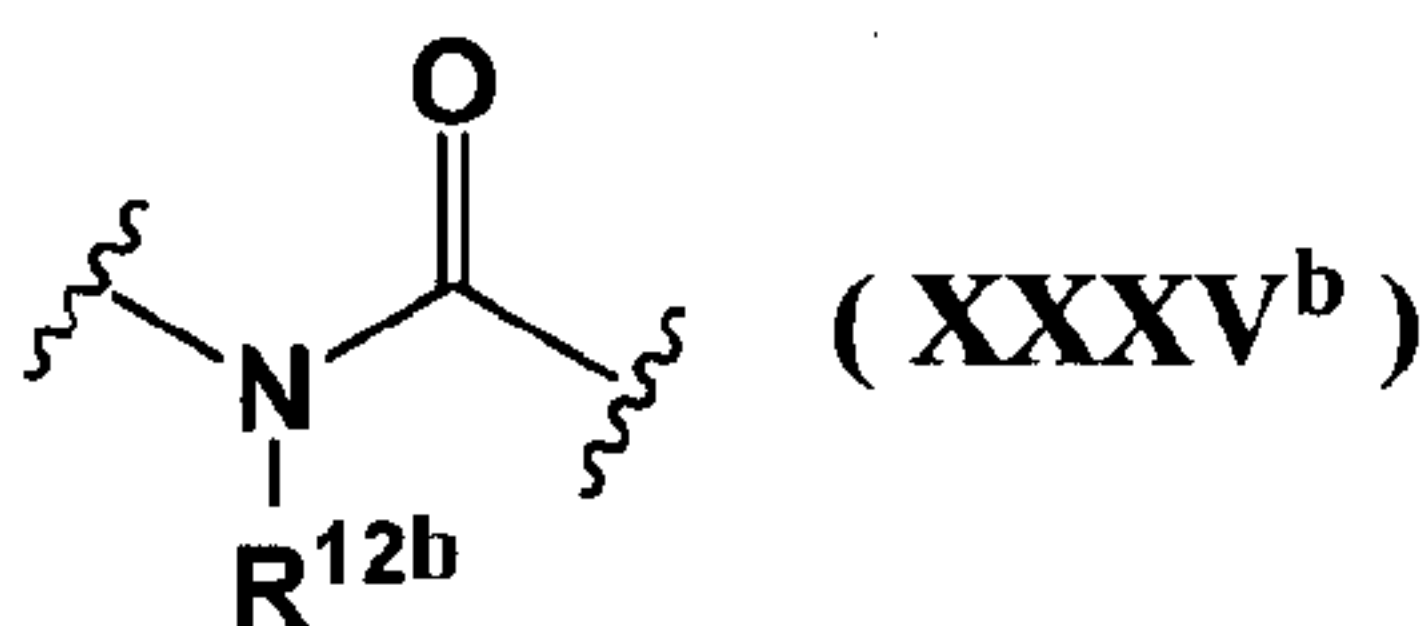
R^{2b} is a C₁₋₆ alkyl group (the C₁₋₆ alkyl group is unsubstituted or substituted with a phenyl group).

Another further preferred embodiment of the substituent L^{3b} and the R^{2b} is such that L^{3b} is represented by the formula (XXVII^b):



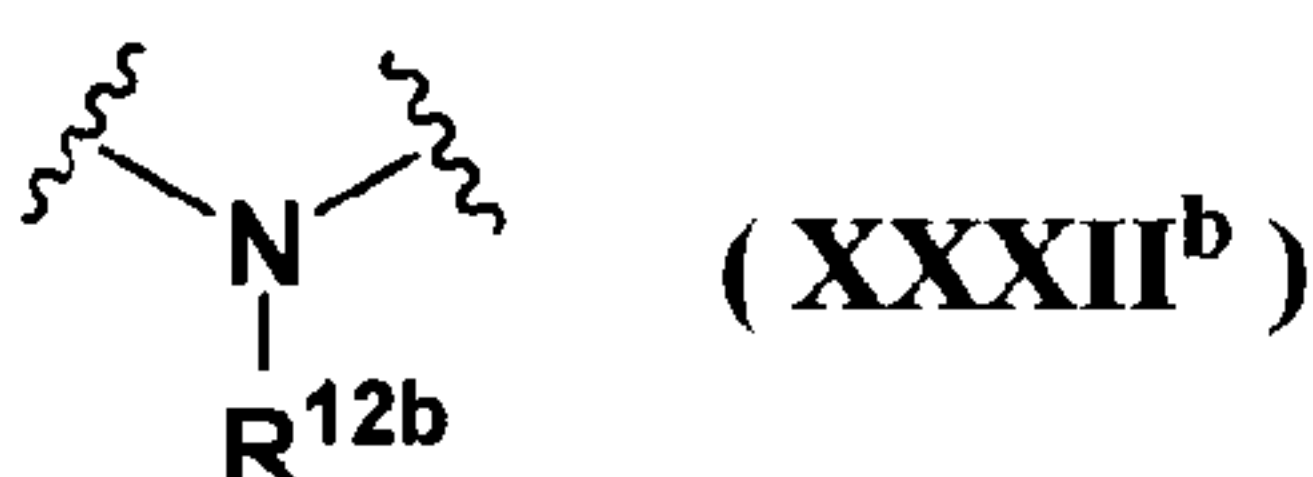
R^{2b} is a hydrogen atom or a C₁₋₃ alkyl group.

Another further preferred embodiment of the substituent L^{3b} and the R^{2b} is such that L^{3b} is represented by the formula (XXXV^b):



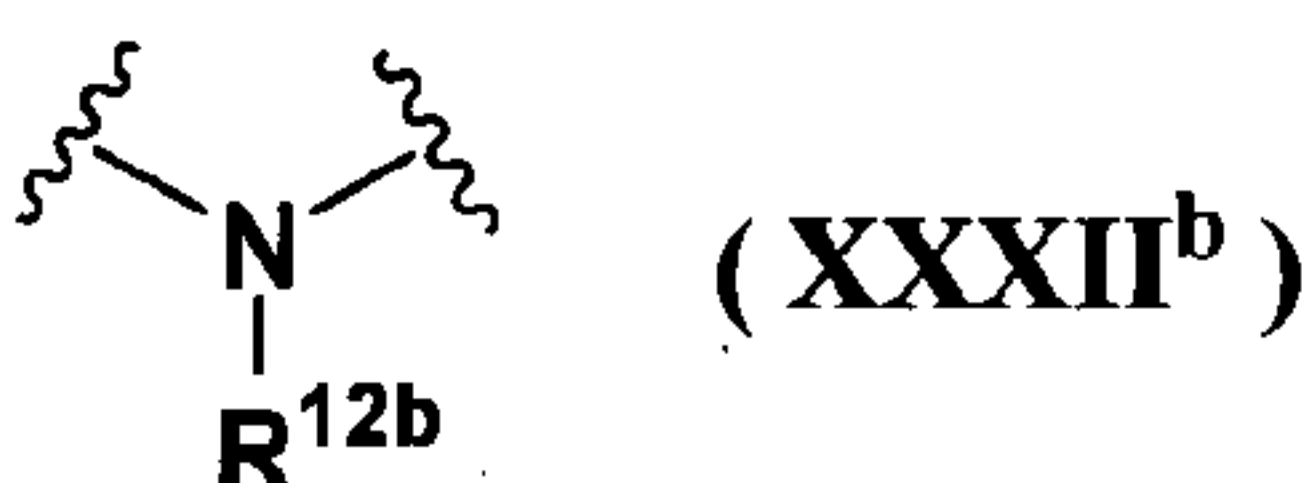
(wherein R^{12b} is a C₁₋₃ haloalkyl group), and R^{2b} is a C₁₋₆ alkyl group (the C₁₋₆ alkyl group is unsubstituted or substituted with a cyano group) or a C₁₋₆ haloalkyl group.

Another further preferred embodiment of the substituent L^{3b} and the R^{2b} is such that L^{3b} is represented by the formula (XXXII^b):



25 (wherein R^{12b} is a hydrogen atom or a C₁₋₃ alkyl group), and R^{2b} 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 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, C₃₋₆ cycloalkyl groups, 4 to 7-membered non-aromatic heterocyclyl groups, phenyl groups and 5 to 6-membered aromatic heterocyclyl groups).

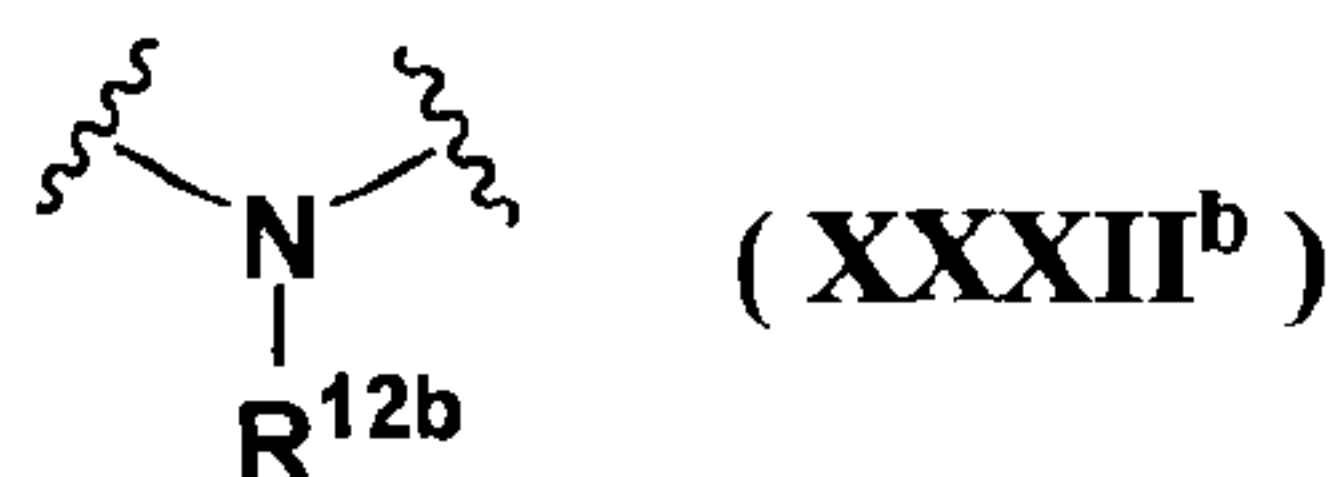
Another further preferred embodiment of the substituent L^{3b} and the R^{2b} is such that L^{3b} is represented by the formula (XXXII^b):



(wherein R^{12b} is a C₁₋₃ haloalkyl group), and R^{2b} 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 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, C₃₋₆ cycloalkyl groups, 4 to 7-membered non-aromatic heterocyclyl

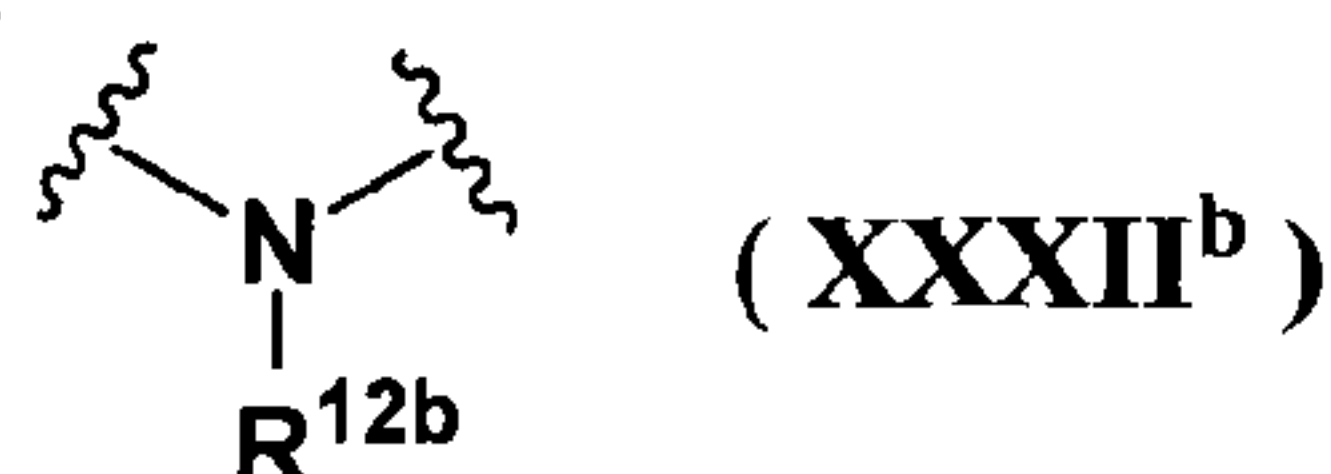
groups, phenyl groups and 5 to 6-membered aromatic heterocyclyl groups).

Another further preferred embodiment of the substituent L^{3b} and the R^{2b} is such that L^{3b} is represented by the formula (XXXII^b):



- 5 (wherein R^{12b} is a hydrogen atom or a C_{1-3} alkyl group), and R^{2b} is a C_{1-6} alkyl group (the C_{1-6} alkyl group is substituted with a C_{3-6} cycloalkyl group (the C_{3-6} cycloalkyl group is substituted with a hydroxy group)), 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, 10 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 C_{1-3} alkyl groups, C_{1-3} haloalkyl groups and C_{1-6} alkoxy carbonyl groups).

- 15 Another further preferred embodiment of the substituent L^{3b} and the R^{2b} is such that L^{3b} is represented by the formula (XXXII^b):



- (wherein R^{12b} is a C_{1-3} alkyl group (the C_{1-3} alkyl group is substituted with a substituent selected from the group consisting of a cyano group, a hydroxy group and a phenyl group)), and R^{2b} is a C_{1-6} alkyl group (the C_{1-6} alkyl group is unsubstituted or substituted 20 with a substituent selected from the group consisting of a hydroxy group, a cyano group and a phenyl group) or a C_{1-6} haloalkyl group.

- A particularly preferred embodiment of the substituent L^{3b} and the R^{2b} is such that 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 25 selected from the group consisting of fluorine atoms, chlorine atoms, bromine atoms and iodine atoms).

- Another particularly preferred embodiment of the substituent L^{3b} and the R^{2b} is such that L^{3b} is a single bond, and R^{2b} is a phenyl group (the phenyl group is unsubstituted or substituted with one or two identical or different substituents 30 independently selected from the group consisting of halogen atoms, cyano groups and C_{1-3} haloalkyl groups).

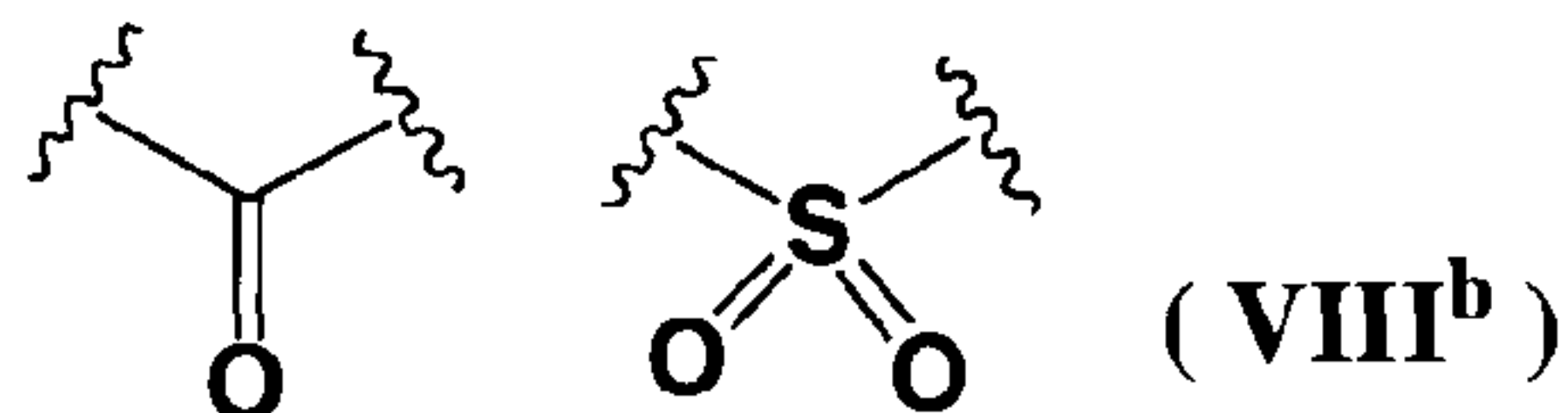
- Another particularly preferred embodiment of the substituent L^{3b} and the R^{2b} is such that L^{3b} is a single bond, and R^{2b} is a 5 to 6-membered aromatic heterocyclyl group (the 5 to 6-membered aromatic heterocyclyl group is unsubstituted or substituted 35 with a substituent selected from the group consisting of a halogen atom, a cyano group, a nitro group, a C_{1-3} alkyl group, a C_{1-3} haloalkyl group and a C_{1-3} alkoxy carbonyl group).

Another particularly preferred embodiment of the substituent L^{3b} and the R^{2b} is such that L^{3b} is a single bond, and R^{2b} is a C_{3-6} cycloalkyl group.

- Another particularly preferred embodiment of the substituent L^{3b} and the R^{2b} is such that L^{3b} is a single bond, and R^{2b} is a 4 to 7-membered non-aromatic heterocyclyl group (the 4 to 7-membered non-aromatic heterocyclyl group is unsubstituted or 40 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 and C₁₋₃ haloalkyl groups).

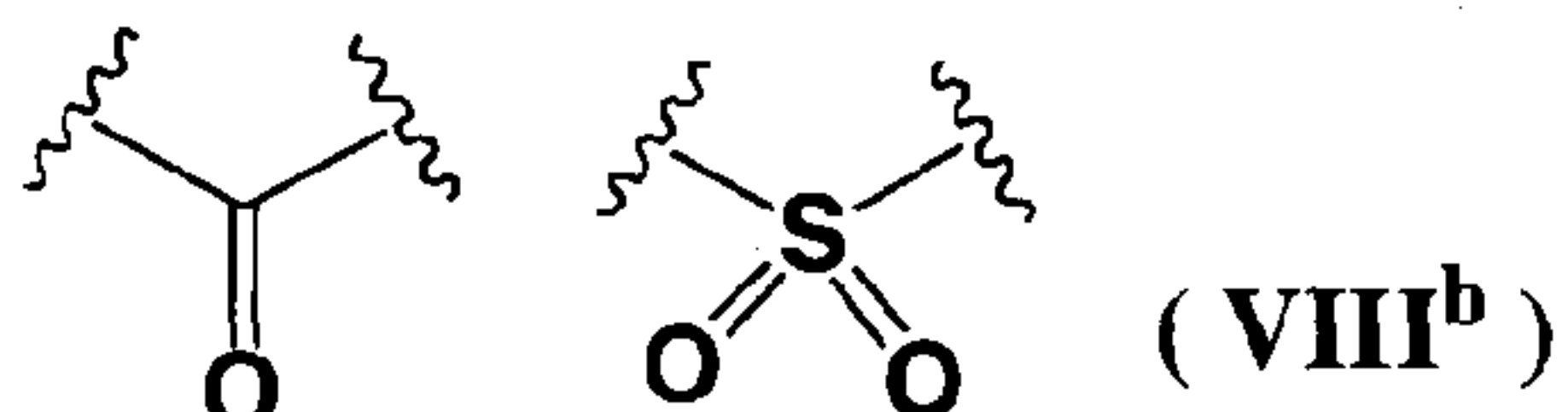
Another particularly preferred embodiment of the substituent L^{3b} and the R^{2b} is such that L^{3b} is represented by the following formula (VIII^b-1) or (VIII^b-2):



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

and R^{2b} is a methyl group (the methyl group is unsubstituted or substituted with a cyano group, a cyclopropyl group or a trifluoromethyl group) or an isobutyl group.

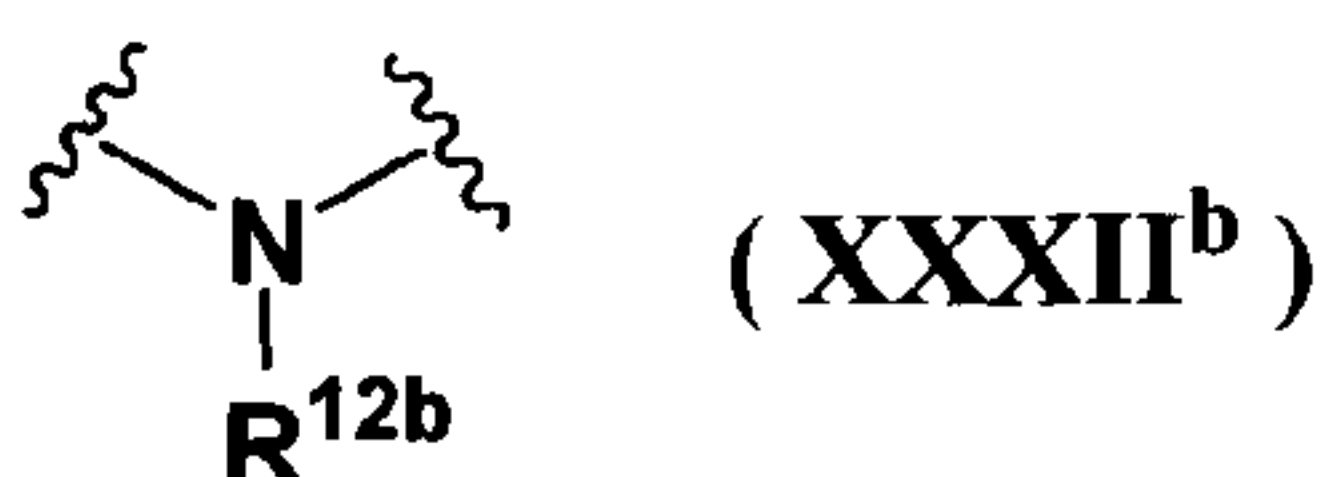
Another particularly preferred embodiment of the substituent L^{3b} and the R^{2b} is such that L^{3b} is represented by the following formula (VIII^b-1) or (VIII^b-2):



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

and R^{2b} is a phenyl group (the phenyl group is unsubstituted or substituted with a substituent selected from the group consisting of a halogen atom, a cyano group and a C₁₋₃ haloalkyl group) or a 5 to 6-membered aromatic heterocyclyl group (the 5 to 6-membered aromatic heterocyclyl group is unsubstituted or substituted with a halogen atom).

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



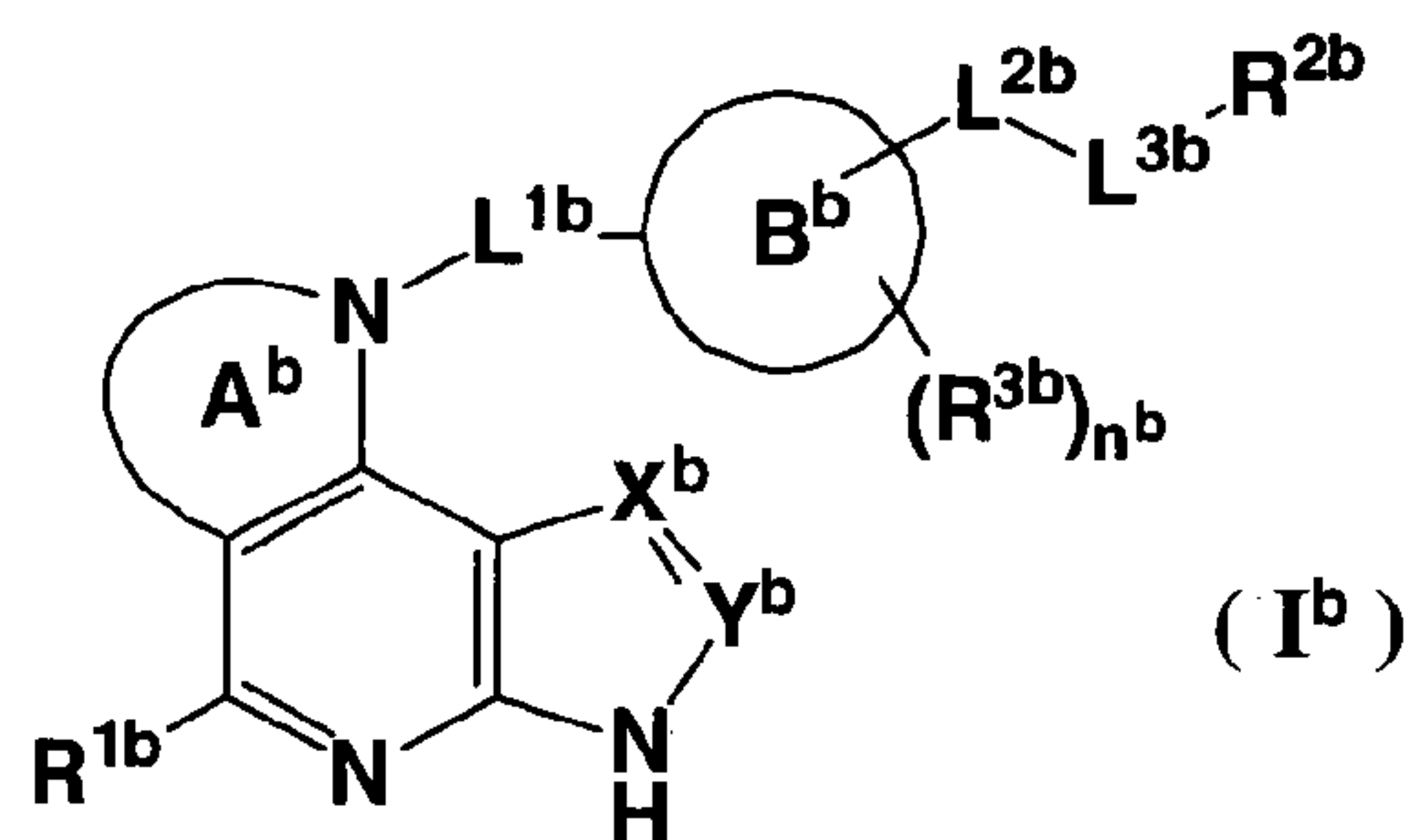
(wherein R^{12b} is a hydrogen atom), and R^{2b} is a C₃₋₆ cycloalkyl group or a 4 to 7-membered non-aromatic heterocyclyl group (the C₃₋₆ cycloalkyl group and the 4 to 7-membered non-aromatic heterocyclyl group are unsubstituted or substituted with one or two identical or different substituents independently selected from the group consisting of C₁₋₃ alkyl groups, C₁₋₃ haloalkyl groups and C₁₋₆ alkoxy carbonyl groups).

A preferred embodiment of n^b and the substituent R^{3b} is such that n^b is 0, 1 or 2, and 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 C₁₋₃ alkoxy group or a C₁₋₃ haloalkoxy group (when n^b is 2, R^{3b}'s may be identical or different).

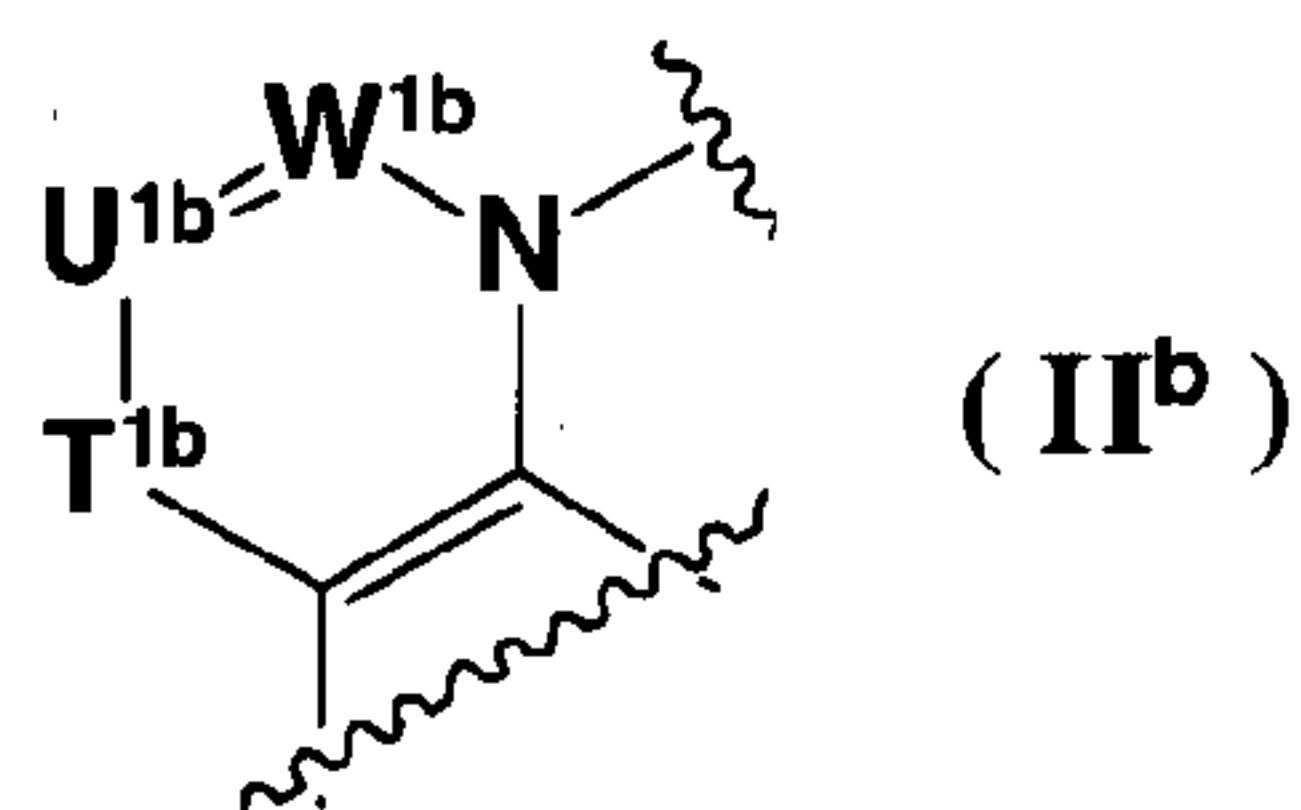
A more preferred embodiment of n^b and the substituent R^{3b} is such that n^b is 0 or 1, and R^{3b} is a C₁₋₃ alkyl group.

As favorable tricyclic pyridine compounds of the present invention for use as JAK inhibitors and as preventive, therapeutic and/or improving agent for diseases against which inhibition of JAK is effective, the following compound may be mentioned.

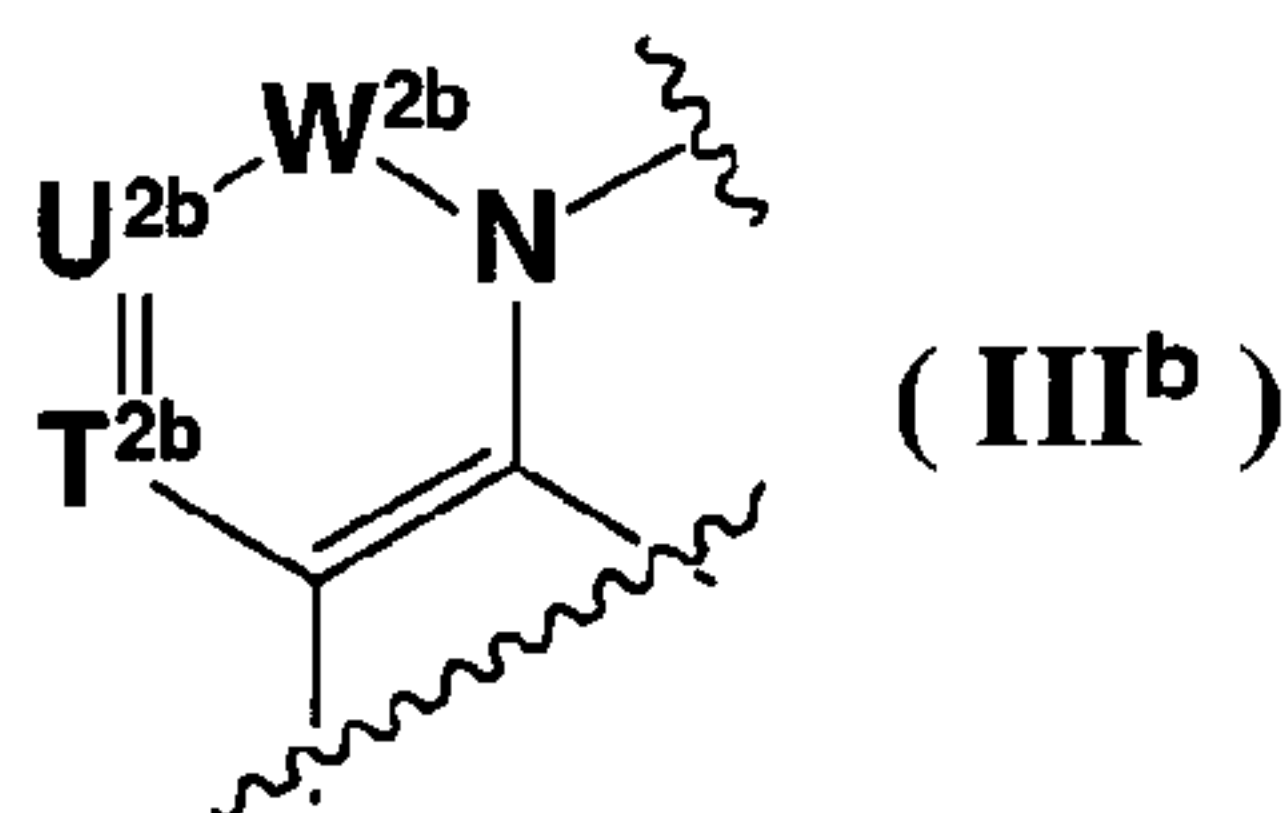
1^b) Compounds represented by the formula (I^b):



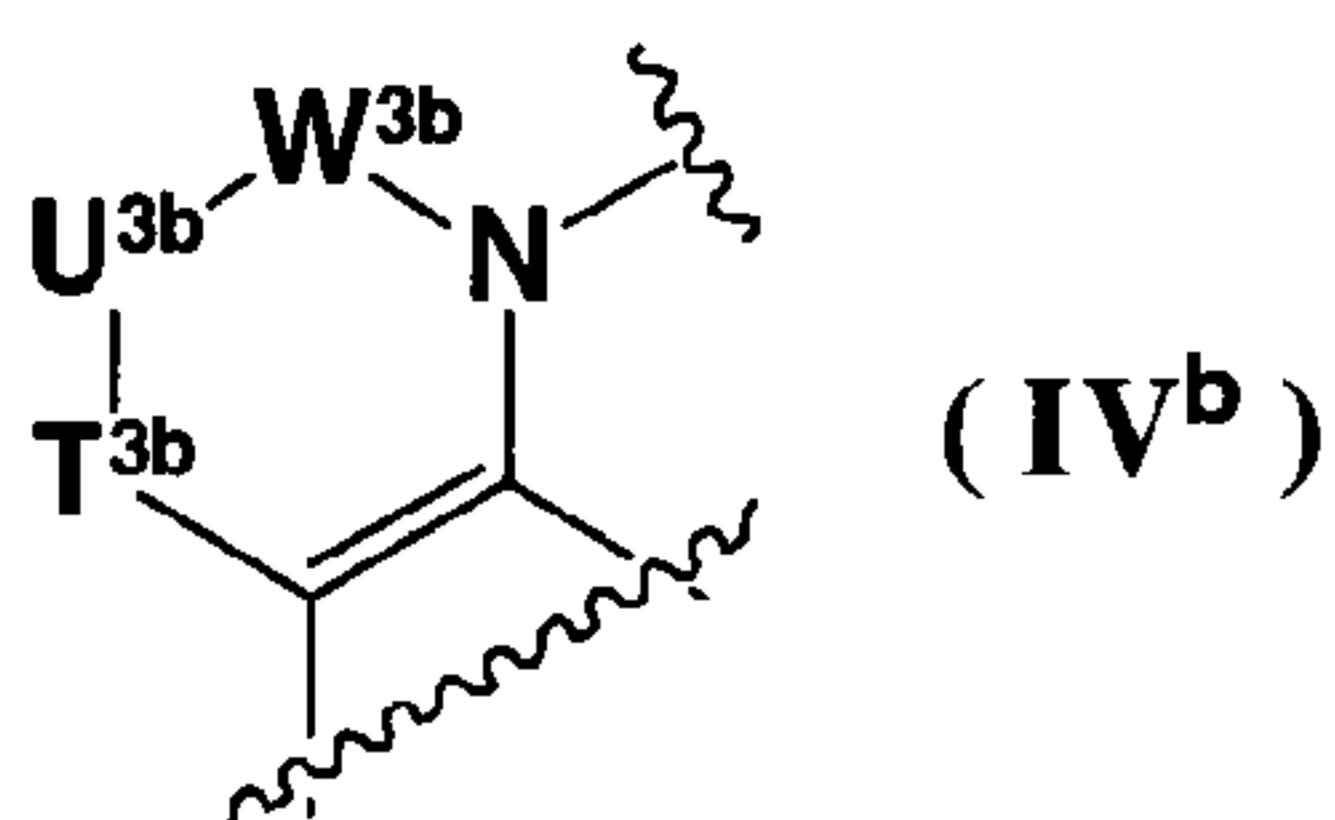
[wherein R^{1b} is a hydrogen atom or a halogen atom,
 X^b is a nitrogen atom or CR^{15b} (wherein R^{15b} is a hydrogen atom, a halogen atom, a
 cyano group, a C₁₋₆ alkyl group, a C₁₋₆ haloalkyl group or a C₃₋₆ cycloalkyl group),
 Y^b is CR^{16b} (wherein R^{16b} is a hydrogen atom),
 the ring A^b is 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})),

L^{1b} is a single bond or a C₁₋₃ alkylene group,

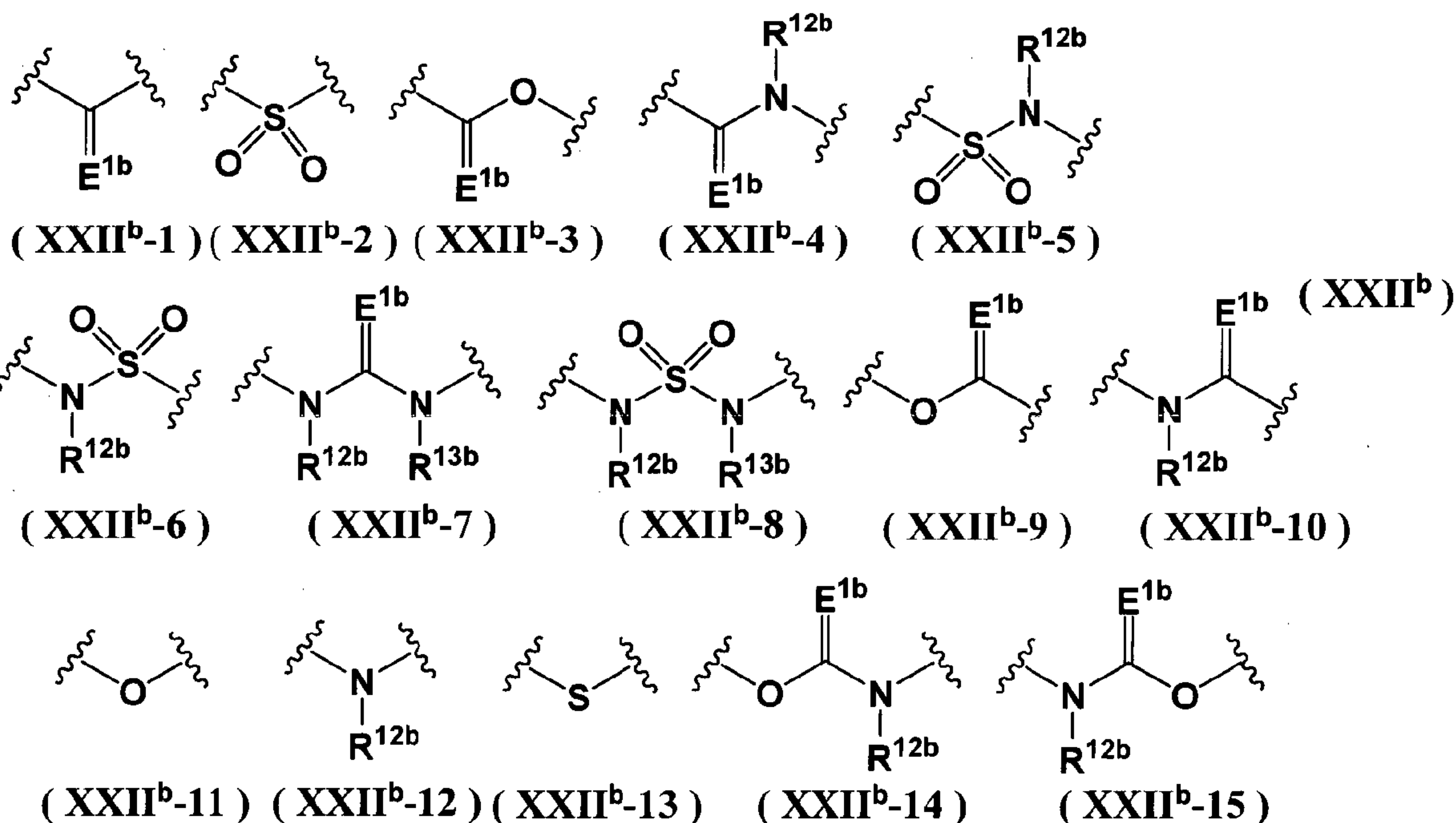
L^{2b} is a single bond, a C₁₋₆ alkylene group, a C₂₋₆ alkenylene group or a C₂₋₆ alkynylene
 group (the C₁₋₆ alkylene group, the C₂₋₆ alkenylene group and the C₂₋₆ alkynylene group
 are unsubstituted or substituted with one or more identical or different substituents
 independently selected from the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

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, 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, and

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),

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, 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^{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 and the 8 to 11-membered aromatic ring-

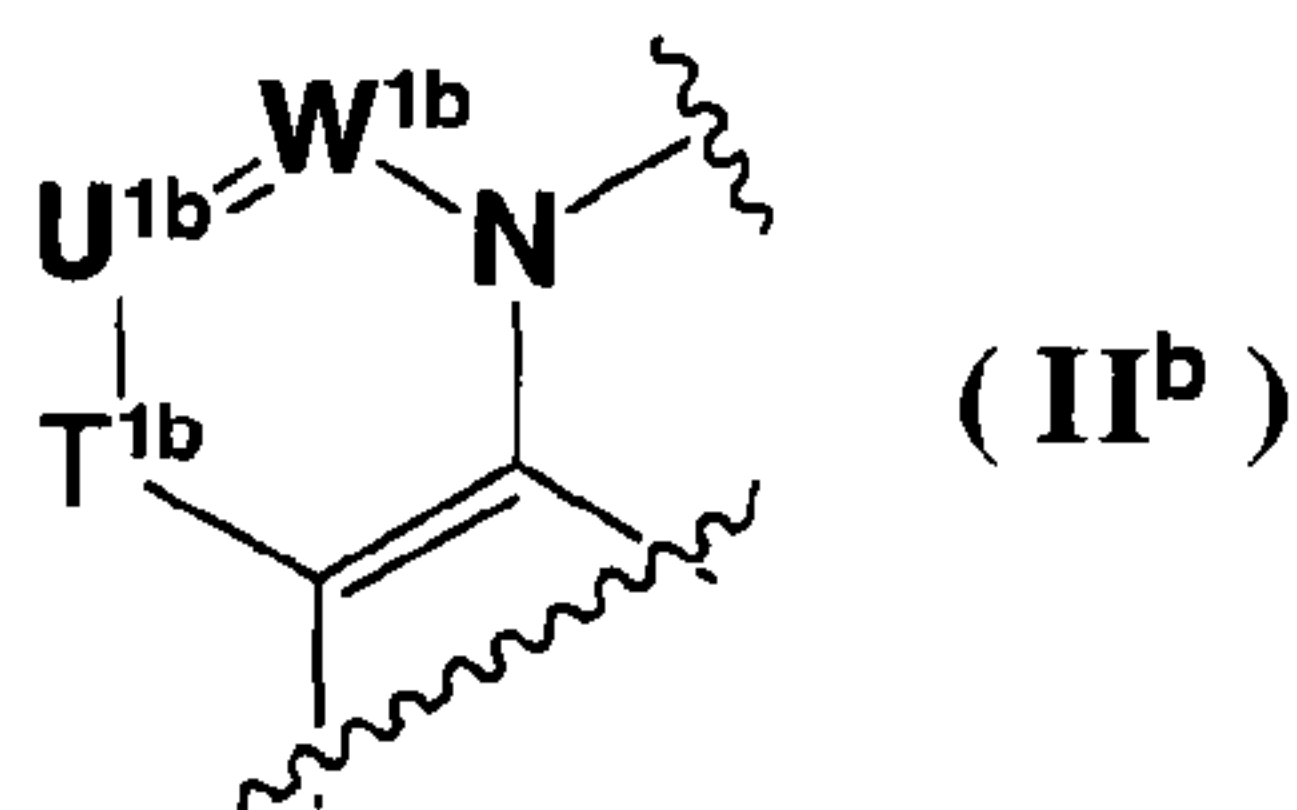
condensed alicyclic hydrocarbon group are unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{4b} and the substituent set V^{9b}),

n^b is 0, 1 or 2,

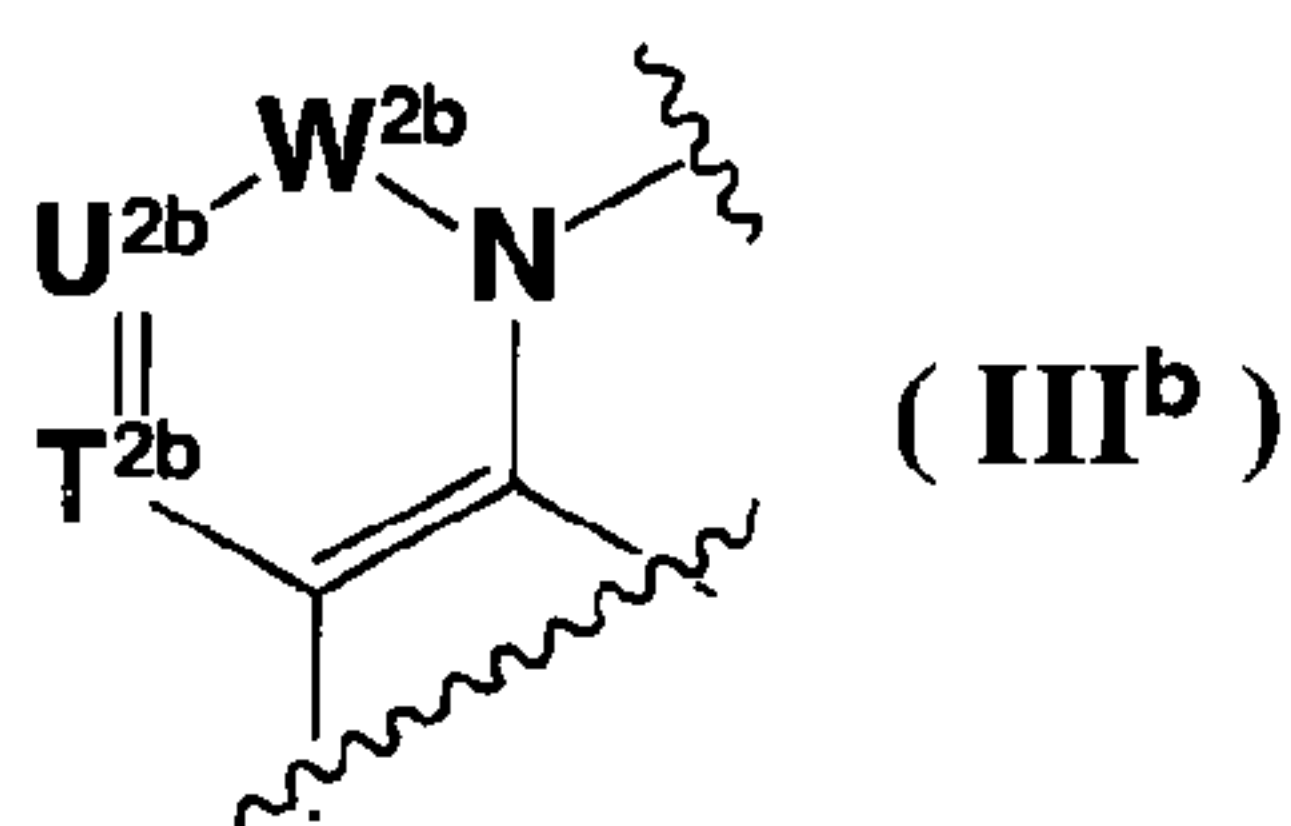
- 5 R^{3b} is a hydroxy group, an amino group, a carboxy group, a carbamoyl group, a sulfamoyl group, a phosphono group, a phosphonooxy group, a sulfo group, a sulfoxy group, a tetrazolyl group, a halogen atom, a cyano group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} haloalkyl group, a C_{3-11} 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} alkylcarbonylamino group (when n^b is 2, R^{3b} 's may be identical or different),
- 10 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 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^{3b}), 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^{1b}),
- 25 each of R^{10b} and R^{11b} is independently 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^{3b}), 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^{1b}),
- 30 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 substituent set V^{3b} , the substituent set V^{8b} and the substituent set V^{9b}), and
- 45 R^{17b} 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], tautomers or pharmaceutically acceptable salts of the

compounds or solvates thereof.

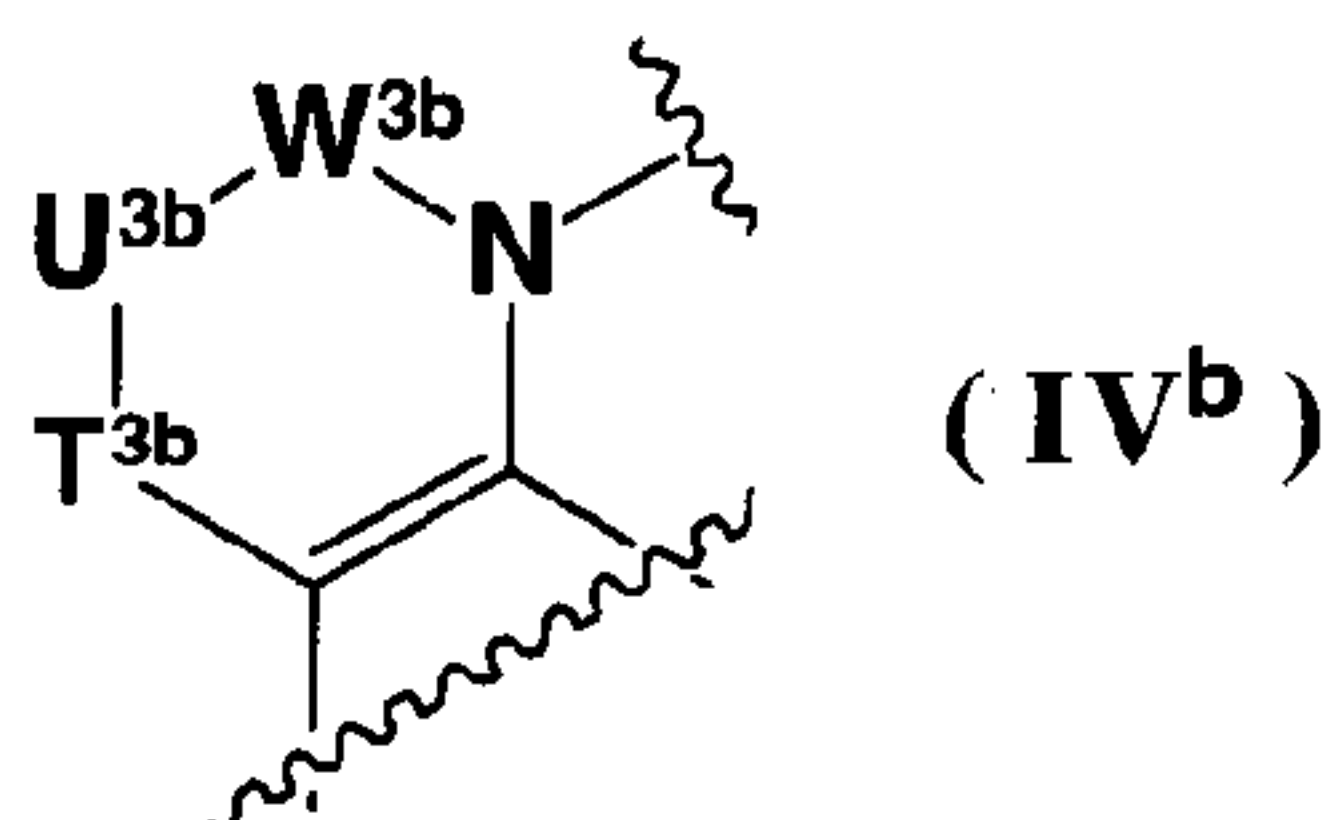
2^b) The compounds according to 1^b), wherein R^{1b} is a hydrogen atom or a halogen atom, X^b is a nitrogen atom or CR^{15b} (wherein R^{15b} is a hydrogen atom, a halogen atom, a cyano group, a C₁₋₆ alkyl group, a C₁₋₆ haloalkyl group or a C₃₋₆ cycloalkyl group),
 5 Y^b is CR^{16b} (wherein R^{16b} is a hydrogen atom),
 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})),

L^{1b} is a single bond or a C₁₋₃ alkylene group,

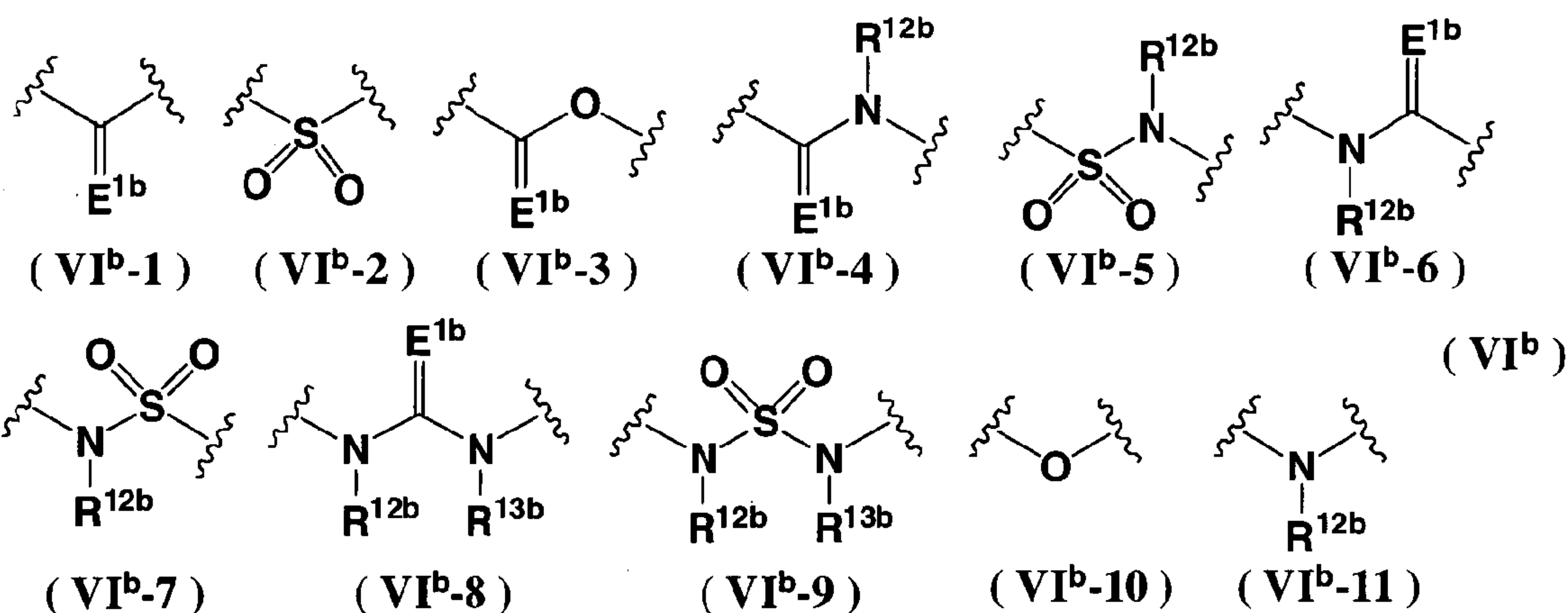
L^{2b} is a single bond, a C₁₋₆ alkylene group or a C₁₋₆ haloalkylene group (the C₁₋₆ alkylene group and the C₁₋₆ haloalkylene group are unsubstituted or substituted with one or more hydroxy groups or one or more cyano groups),

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,
 25 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
 30 a C₁₋₃ alkylsulfonyl group,

L^{3b} is a single bond, and R^{2b} 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 6-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 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}), or L^{3b} is represented by any of the following formulae (VI^b-1) to (VI^b-11):



(wherein E^{1b} is an oxygen atom, and each of R^{12b} and R^{13b} is independently a hydrogen atom or a C₁₋₆ alkyl group), and R^{2b} 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^{5b}), 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^{4b}), each of R^{4b}, R^{5b}, R^{6b}, R^{7b}, R^{8b} and R^{9b} is independently a hydrogen atom, an amino group, a carbamoyl group, a halogen atom, a cyano group, a C₁₋₆ alkyl group, a C₁₋₆ haloalkyl group, a C₁₋₆ alkoxy group, a C₁₋₆ haloalkoxy group, a C₁₋₆ alkylsulfonyl group, 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 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}),

each of R^{10b} and R^{11b} is independently a hydrogen atom, a C₁₋₆ alkyl group, a C₁₋₆ haloalkyl group, a C₃₋₆ cycloalkyl group, a C₁₋₆ alkoxy group, a C₁₋₆ haloalkoxy group, a C₁₋₆ alkylsulfonyl group, a 4 to 7-membered non-aromatic heterocyclyl group, a phenyl group or 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 one or more identical or different substituents independently selected from the substituent set V^{1b}), and

R^{17b} is a hydrogen atom, a hydroxy group, a cyano group, a nitro group, a C₁₋₆ alkyl group or a C₁₋₆ alkoxy group, tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.

3^b) The compounds according to 1^b) or 2^b), wherein R^{1b} is a hydrogen atom, tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.

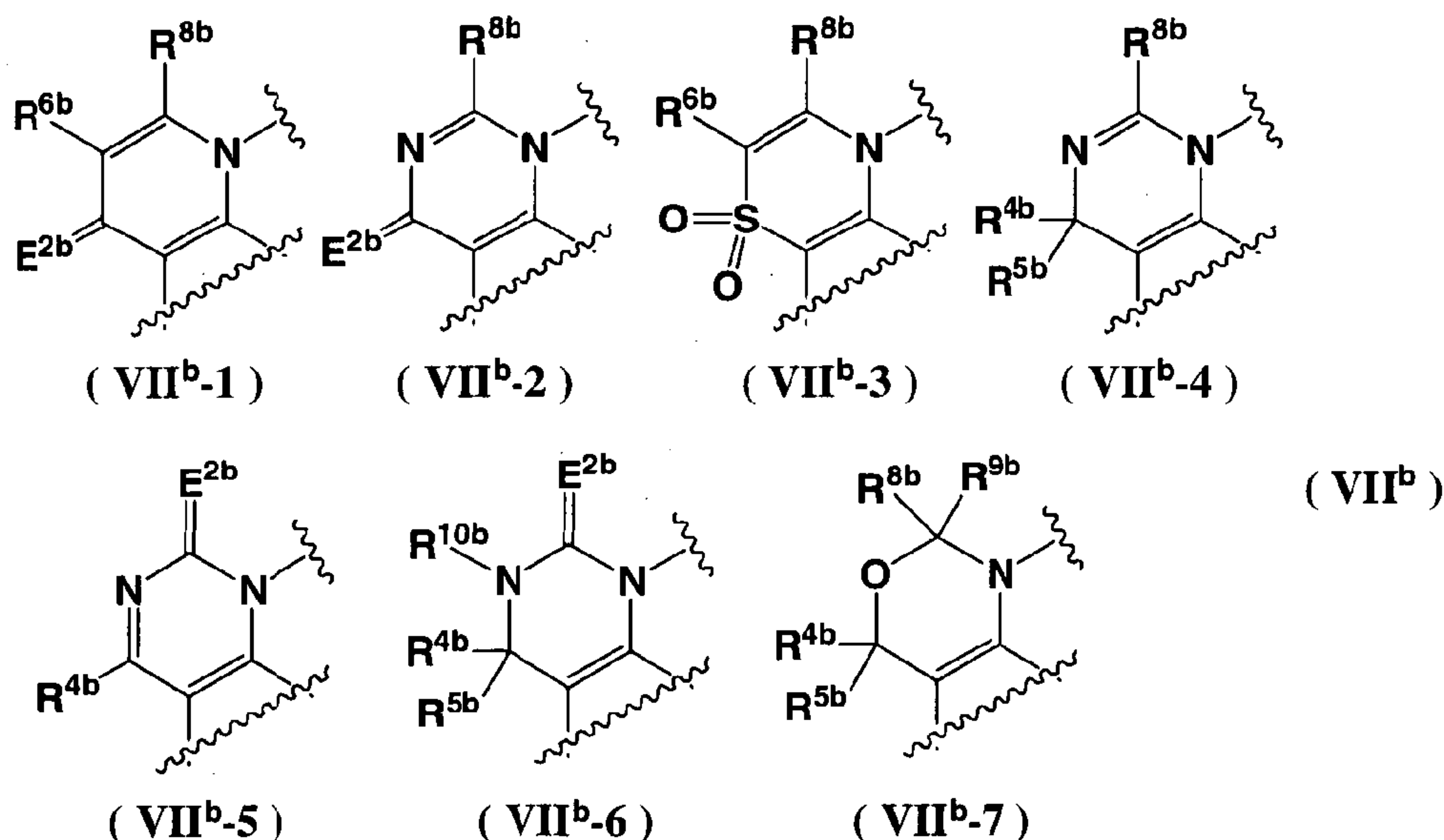
4^b) The compounds according to any one of 1^b) to 3^b), wherein X^b is a nitrogen atom or a CR^{15b} (wherein R^{15b} is a hydrogen atom, a halogen atom or a cyano group) or a nitrogen atom, tautomers or pharmaceutically acceptable salts of the compounds or

solvates thereof.

5^b) The compounds according to any one of 1^b) to 4^b), wherein X^b is a nitrogen atom or CR^{15b} (wherein R^{15b} is a hydrogen atom), tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.

5 6^b) The compounds according to any one of 1^b) to 5^b), wherein Y^b is CR^{16b} (wherein R^{16b} is a hydrogen atom), tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.

7^b) The compounds according to any one of 1^b) to 6^b), wherein the ring A^b is represented by any of the following formulae (VII^b-1) to (VII^b-7):

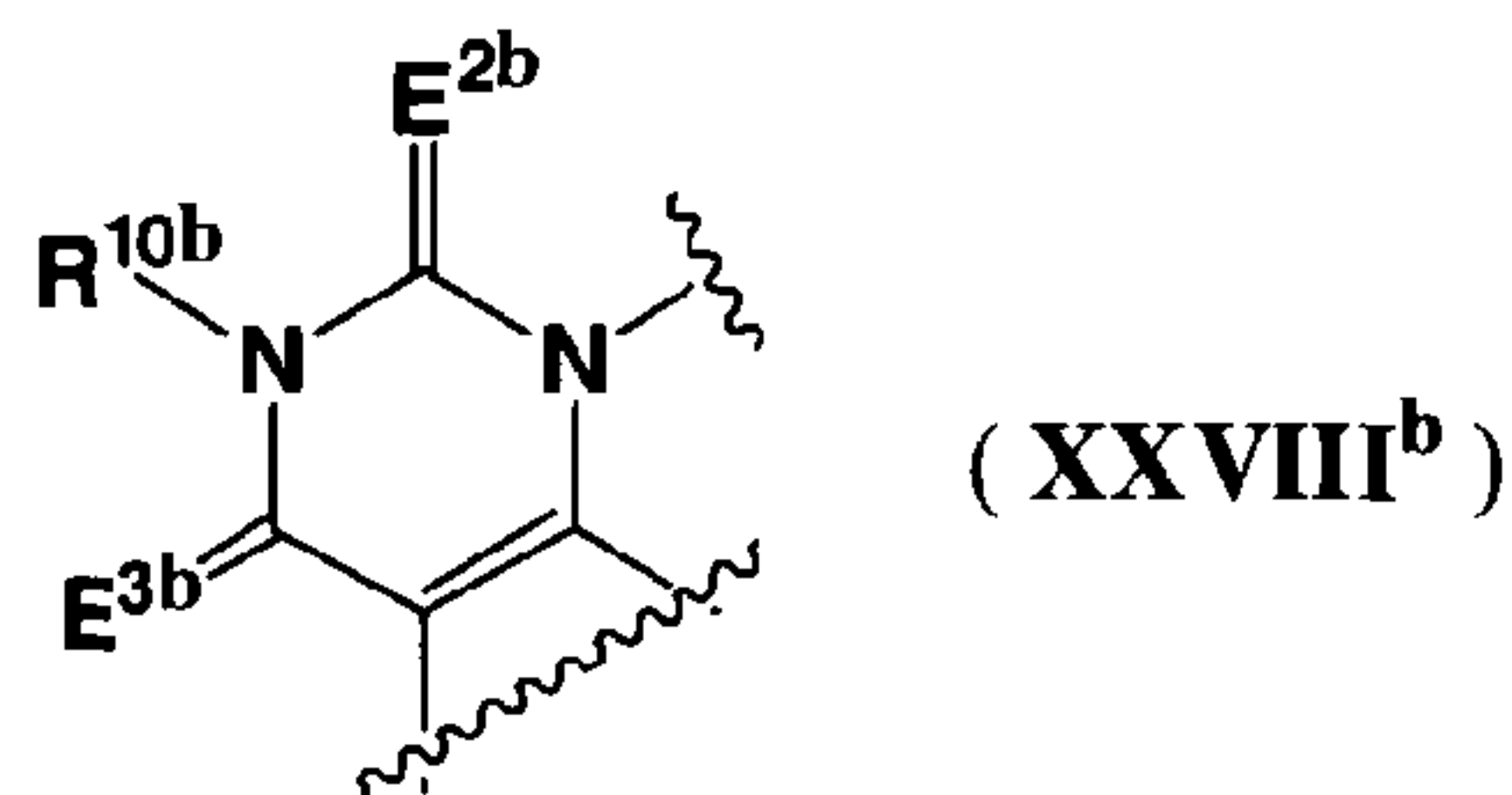


10 (wherein E^{2b} is an oxygen atom or a sulfur atom, each of each of R^{4b}, R^{5b}, R^{6b}, R^{8b} and R^{9b} is independently a hydrogen atom, an amino group, a carbamoyl group, a halogen atom, a cyano group, a C₁₋₆ alkyl group, a C₁₋₆ haloalkyl group, a C₃₋₆ cycloalkyl group, a C₁₋₆ alkoxy group, a C₁₋₆ haloalkoxy group, a C₁₋₆ alkylsulfonyl group, a 4 to 7-

15 membered non-aromatic heterocyclyl group, a phenyl group or a 5 to 6-membered aromatic heterocyclyl group, and R^{10b} is a hydrogen atom, a C₁₋₆ alkyl group, a C₁₋₆ haloalkyl group, a C₃₋₆ cycloalkyl group, a C₁₋₆ alkoxy group, a C₁₋₆ haloalkoxy group, a C₁₋₆ alkylsulfonyl group, a 4 to 7-membered non-aromatic heterocyclyl group, a phenyl group or a 5 to 6-membered aromatic heterocyclyl group), tautomers or

20 pharmaceutically acceptable salts of the compounds or solvates thereof.

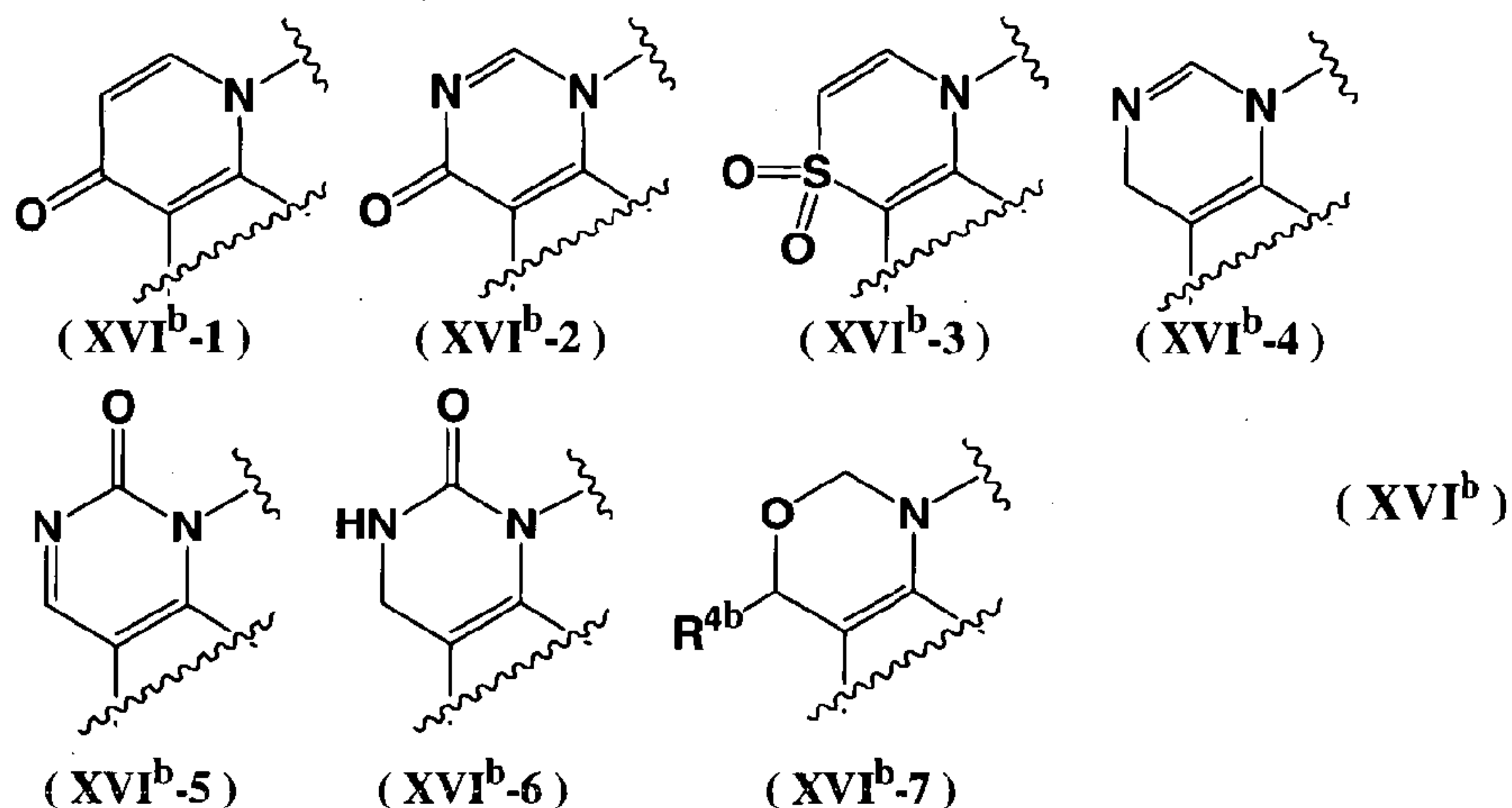
8^b) The compounds according to any one of 1^b) to 6^b), wherein the ring A^b is represented by the formula (XXVIII^b):



25 (wherein each of E^{2b} and E^{3b} is independently, an oxygen atom or a sulfur 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, di-C₁₋₃ alkylaminocarbonyl groups, C₃₋₆ cycloalkyl groups and 4 to 7-membered non-aromatic heterocyclyl groups), a C₁₋₆

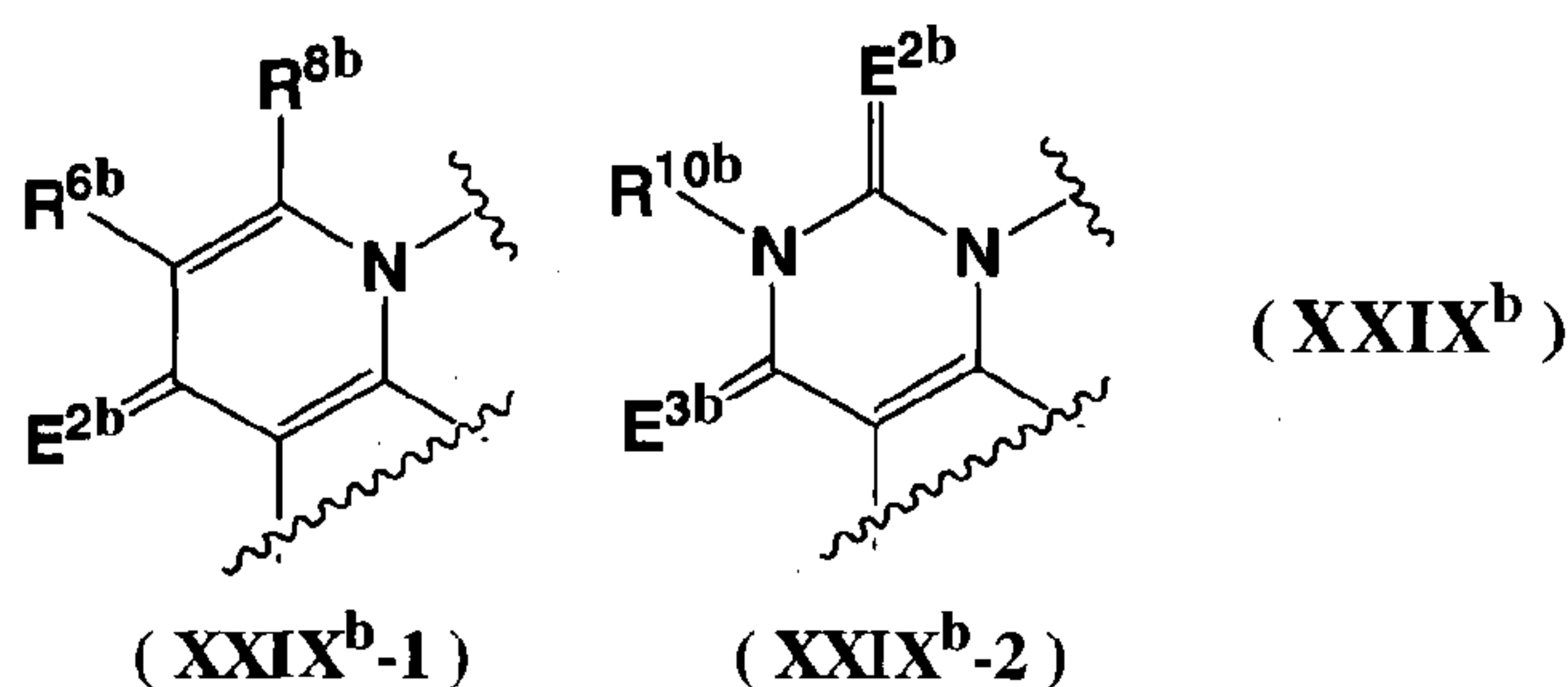
haloalkyl group, a C₃₋₆ cycloalkyl group or a 4 to 7-membered non-aromatic heterocyclyl group), tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.

- 9^b) The compounds according to any one of 1^b) to 7^b), wherein the ring A^b is represented by any of the following formulae (XVI^b-1) to (XVI^b-7):



(wherein R^{4b} is a hydrogen atom or a methyl group), tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.

- 10^b) The compounds according to any one of 1^b) to 6^b), wherein the ring A^b is represented by any of the following formula (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, and R^{8b} and R^{10b} are hydrogen atoms), tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.

- 11^b) The compounds according to any one of 1^b) to 10^b), wherein L^{1b} is a single bond, tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.
- 12^b) The compounds according to any one of 1^b) to 11^b), wherein L^{2b} is a single bond or a C₁₋₆ alkylene group, a C₁₋₆ alkenylene group (the C₁₋₆ alkylene group and the C₁₋₆ alkenylene group are unsubstituted or substituted with a cyano group) or a C₁₋₆ haloalkylene group, tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.
- 13^b) The compounds according to any one of 1^b) to 11^b), wherein L^{2b} is a single bond or a C₁₋₃ alkylene group, tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.
- 14^b) The compounds according to any one of 1^b) to 11^b), wherein L^{2b} is a single bond or a methylene group, tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.
- 15^b) The compounds according to any one of 1^b) to 14^b), wherein the ring B^b is a C₄₋₇ cycloalkane or a 4 to 7-membered non-aromatic heterocycle, tautomers or

pharmaceutically acceptable salts of the compounds or solvates thereof.

16^b) The compounds according to any one of 1^b) to 14^b), wherein the ring B^b is cyclohexane or piperidine, tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.

5 17^b) The compounds according to any one of 1^b) to 16^b), wherein n^b is, 0 or 1, and R^{3b} is a methyl group, tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.

18^b) The compounds according to any one of 1^b) to 17^b), wherein L^{3b} is a single bond, and R^{2b} is a 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 C₃₋₁₁ 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 or more identical or different substituents independently selected from the substituent set V^{1b}), tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.

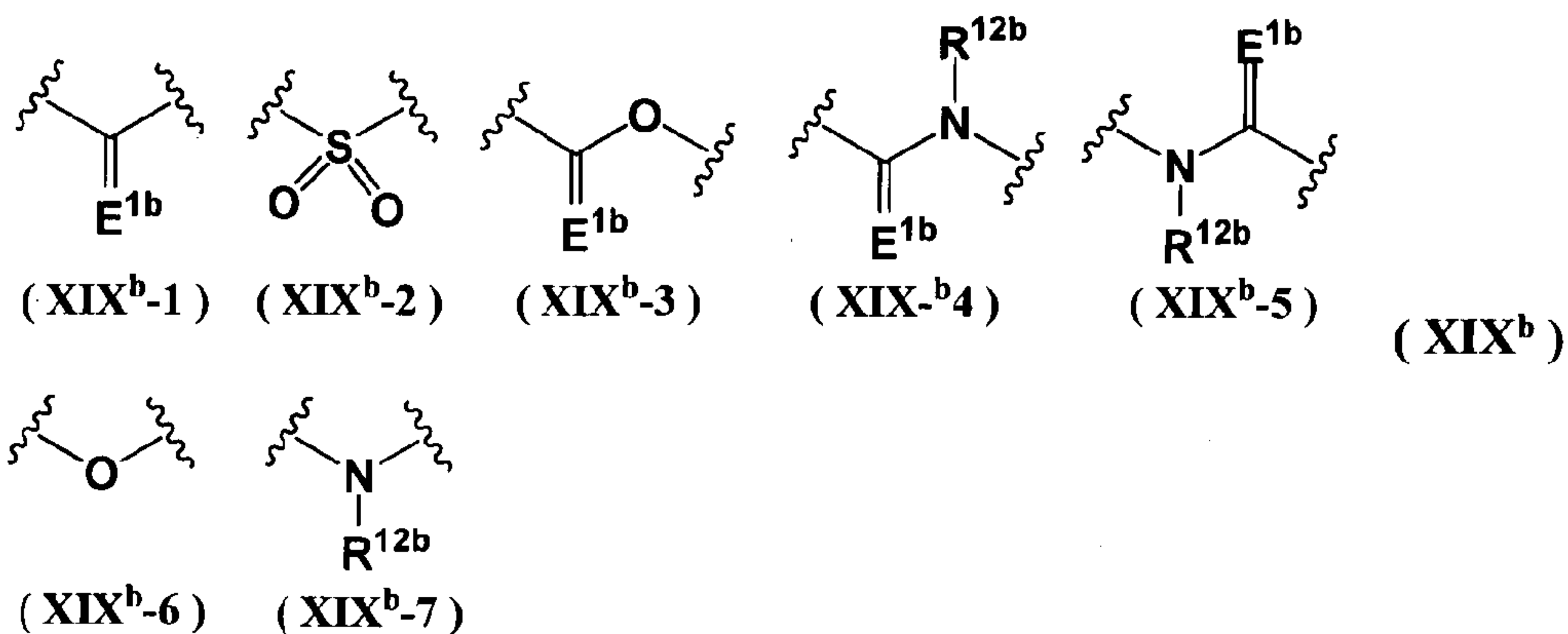
19^b) The compounds according to any one of 1^b) to 17^b), wherein L^{3b} is a single bond, and R^{2b} is a hydrogen atom, a 4 to 7-membered non-aromatic heterocyclyl group, a phenyl group or a 5 to 6-membered aromatic heterocyclyl group (the 4 to 7-membered non-aromatic heterocyclyl group, the phenyl 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, nitro groups, C₁₋₆ alkyl groups, C₁₋₆ alkoxy groups and C₁₋₆ alkoxycarbonyl groups (the C₁₋₆ alkyl groups, the C₁₋₆ alkoxy groups and the C₁₋₆ alkoxycarbonyl groups are unsubstituted or substituted with one or more identical or different substituents independently selected from the group consisting of halogen atoms and cyano groups)), tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.

20^b) The compounds according to any one of 1^b) to 17^b), wherein 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 two halogen atoms), tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.

21^b) The compounds according to any one of 1^b) to 17^b), wherein L^{3b} is a single bond, and R^{2b} is a C₃₋₆ cycloalkyl group, tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.

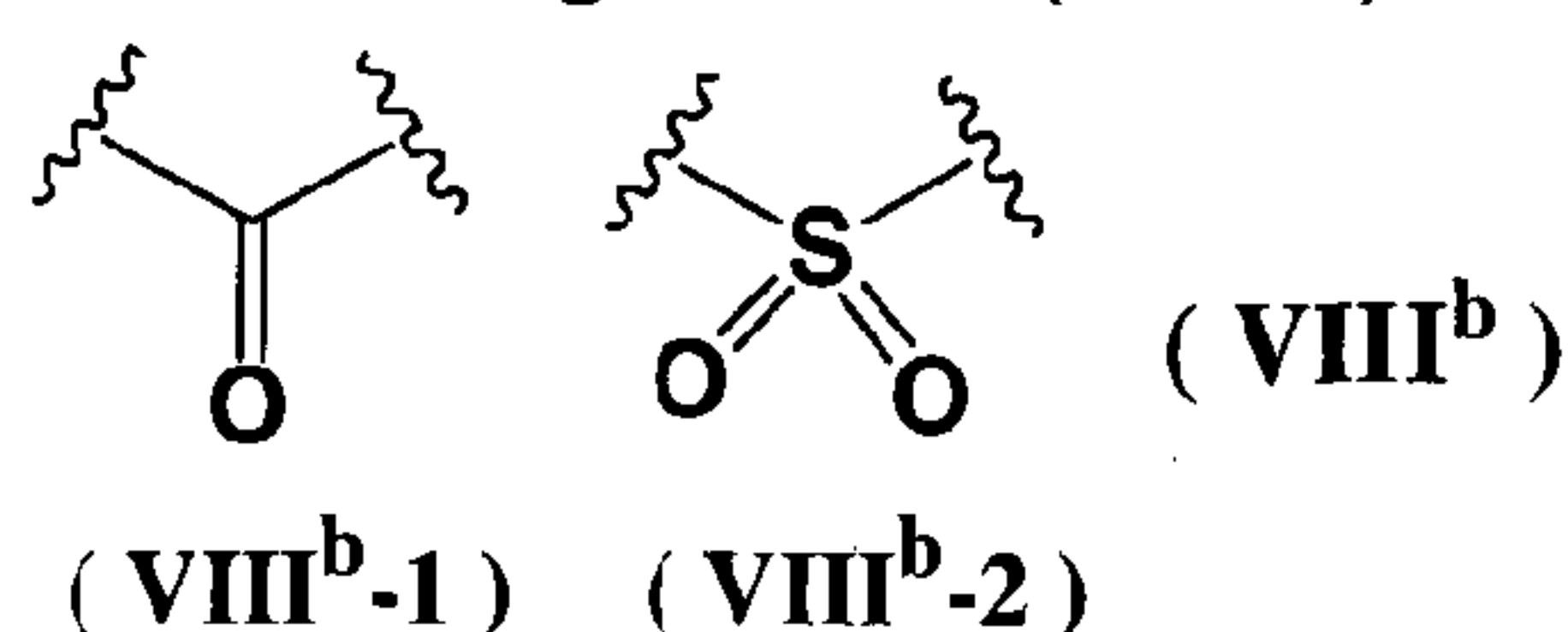
22^b) The compounds according to any one of 1^b) to 17^b), wherein L^{3b} is a single bond, and R^{2b} is a 4 to 7-membered non-aromatic heterocyclyl group (the 4 to 7-membered non-aromatic heterocyclyl group is unsubstituted or substituted with one or more identical or different substituents independently 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 a cyano group), C₁₋₆ haloalkyl groups, C₁₋₆ alkoxy groups, C₁₋₆ haloalkoxy groups and C₁₋₆ alkoxycarbonyl groups), tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.

23^b) The compounds according to any one of 1^b) to 17^b), wherein L^{3b} is represented by any of the following formulae (XIX^b-1) to (XIX^b-7):



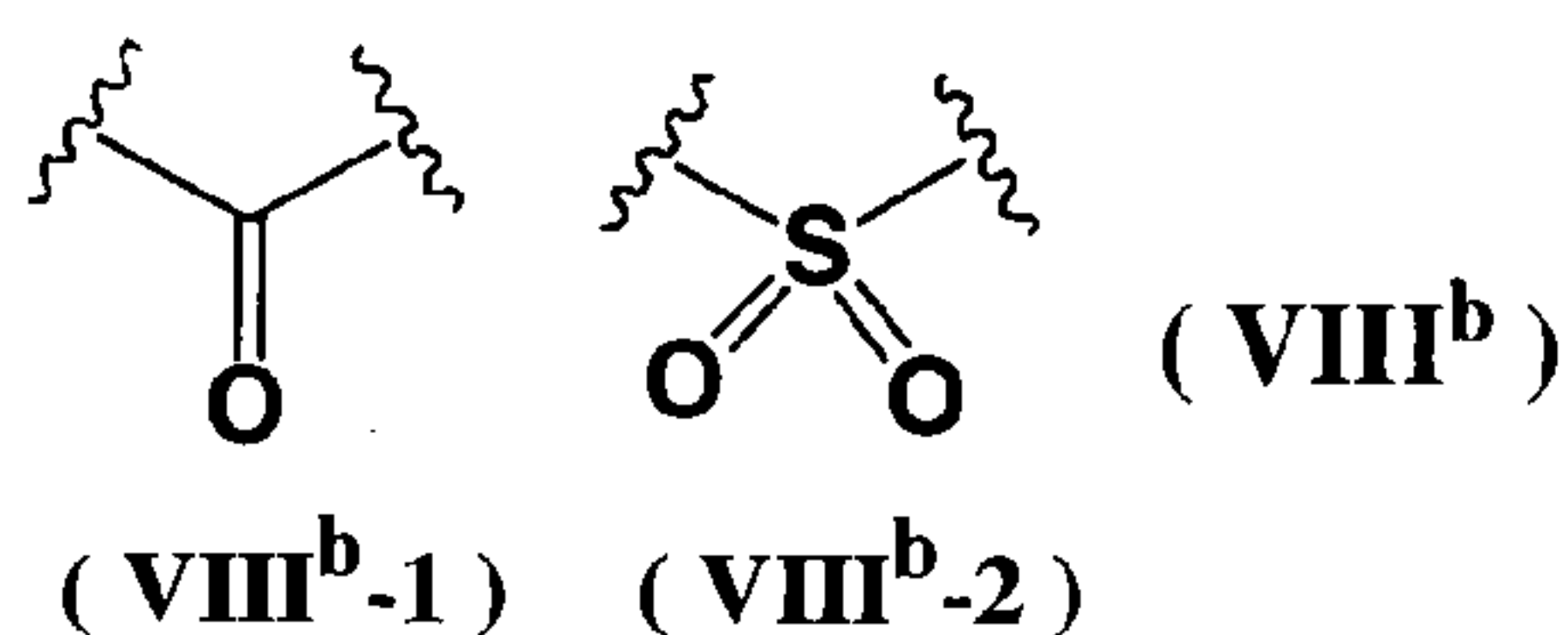
(wherein E^{1b} is an oxygen atom, and R^{12b} is a hydrogen atom or a C₁₋₃ alkyl group), and R^{2b} is a hydrogen atom, a C₁₋₆ alkyl group, a C₁₋₆ haloalkyl group (the C₁₋₆ alkyl group and the C₁₋₆ haloalkyl group are unsubstituted or substituted with a substituent selected from the group consisting of a cyano group, a hydroxy group, a C₃₋₆ cycloalkyl group, a phenyl group and a 5 to 6-membered aromatic heterocyclyl group (the C₃₋₆ cycloalkyl 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 halogen atoms, cyano groups, C₁₋₃ alkoxy groups, C₁₋₃ haloalkoxy groups, C₁₋₃ alkylsulfonyl groups and C₁₋₃ haloalkylsulfonyl groups)), a C₃₋₆ cycloalkyl group, a phenyl group or a 5 to 6-membered aromatic heterocyclyl group (the C₃₋₆ cycloalkyl 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 halogen atoms, cyano groups, C₁₋₆ alkyl groups, C₁₋₃ haloalkyl groups, C₁₋₃ alkoxy groups, C₁₋₃ haloalkoxy groups, C₁₋₃ alkylsulfonyl groups and C₁₋₃ haloalkylsulfonyl groups), tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.

24^b) The compounds according to any one of 1^b) to 17^b), wherein L^{3b} is represented by the following formula (VIII^b-1) or (VIII^b-2):



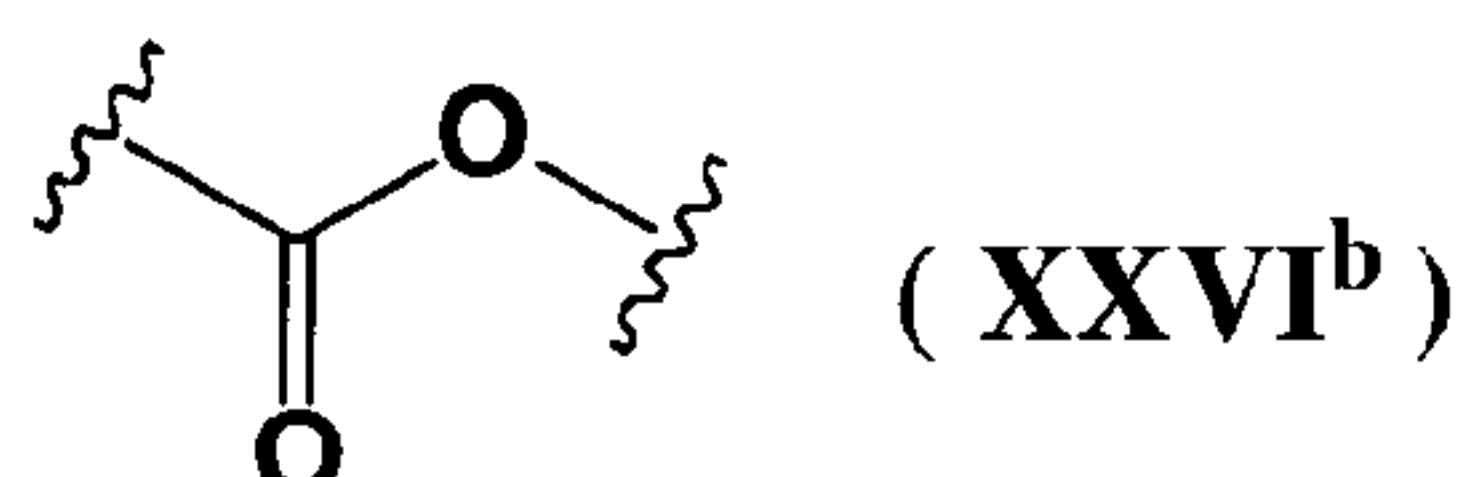
and R^{2b} is a C₁₋₆ alkyl group (the C₁₋₆ alkyl group is unsubstituted or substituted with a substituent selected from the group consisting of a cyano group, a C₃₋₆ cycloalkyl group, a phenyl group and a 5 to 6-membered aromatic heterocyclyl group (the phenyl group, the 5 to 6-membered aromatic heterocyclyl group are unsubstituted or substituted with one or two identical or different substituents independently selected from the group consisting of halogen atoms and cyano groups)) or a C₁₋₃ haloalkyl group, tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.

25^b) The compounds according to any one of 1^b) to 17^b), wherein L^{3b} is represented by the following formula (VIII^b-1) or (VIII^b-2):



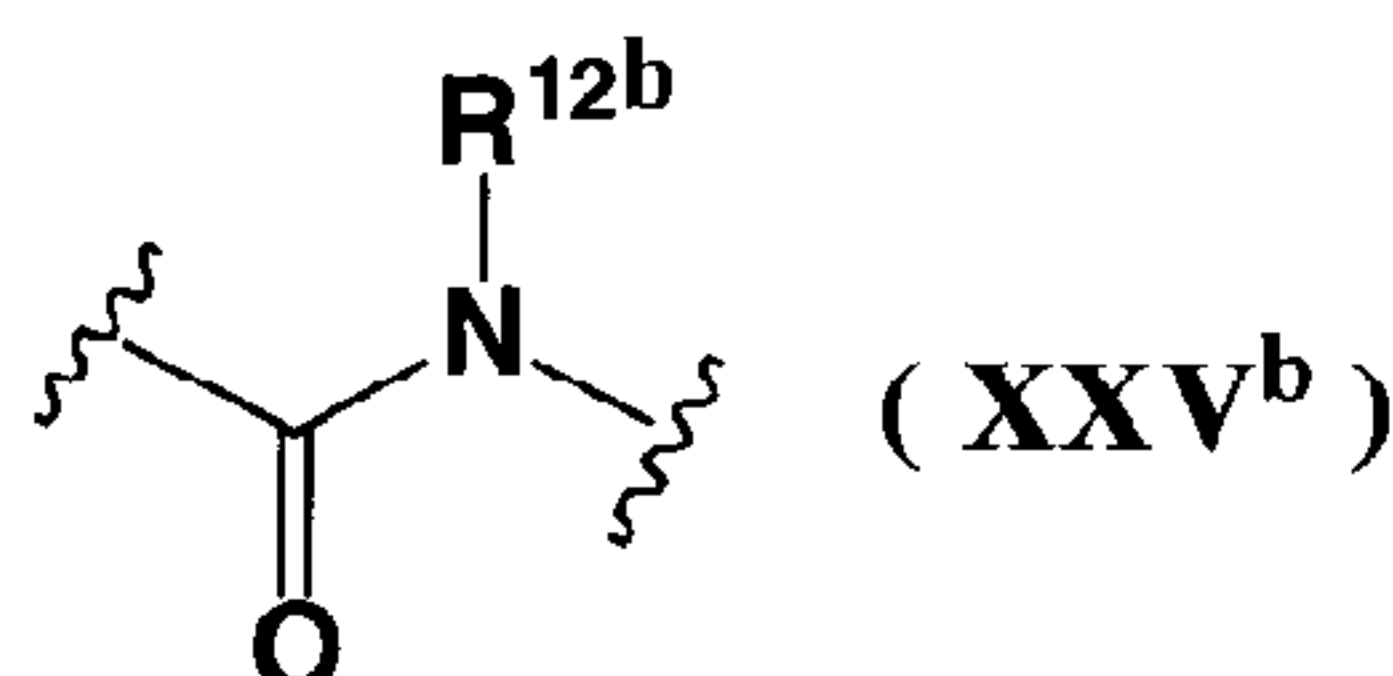
and R^{2b} is a methyl group (the methyl group is unsubstituted or substituted with a cyano groups, a cyclopropyl groups or a trifluoromethyl groups) or an isobutyl group, tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.

26^b) The compounds according to any one of 1^b) to 17^b), wherein L^{3b} is represented by the formula (XXVI^b):



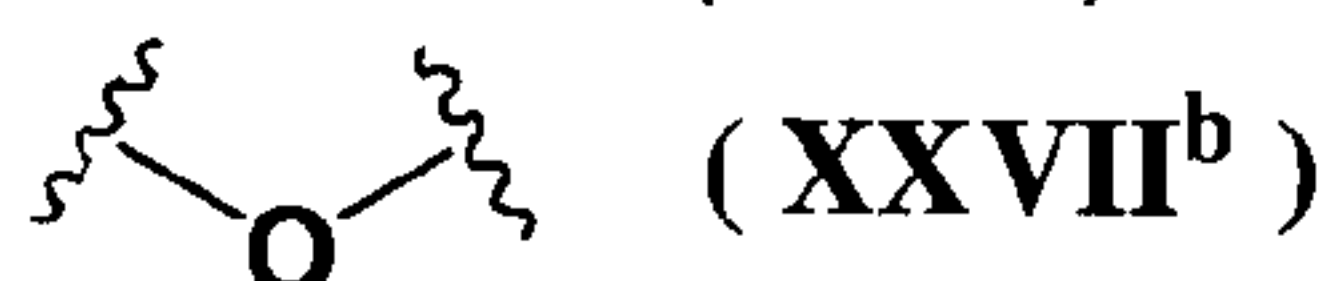
and R^{2b} is a C₁₋₆ alkyl group (the C₁₋₆ alkyl group is unsubstituted or substituted with a cyano group or a phenyl group), tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.

27^b) The compounds according to any one of 1^b) to 17^b), wherein L^{3b} is represented by the formula (XXV^b):



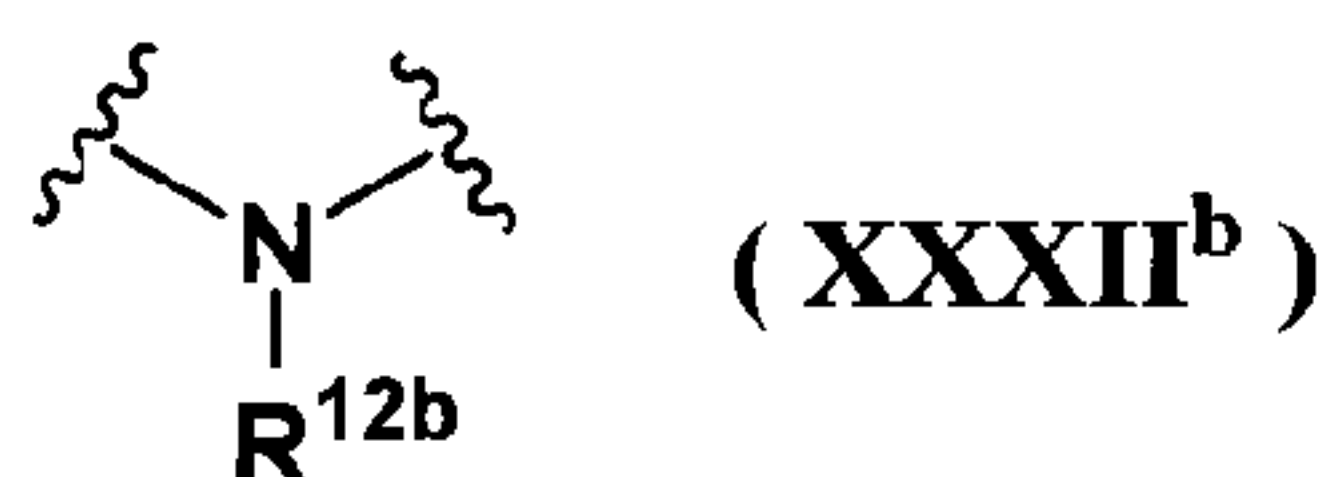
(wherein R^{12b} is a hydrogen atom), and R^{2b} is a phenyl group or a 5 to 6-membered aromatic heterocyclyl group (the phenyl group and the 5 to 6-membered aromatic heterocyclyl group are unsubstituted or substituted with a C₁₋₃ alkyl group or a C₁₋₃ haloalkyl group), tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.

28^b) The compounds according to any one of 1^b) to 17^b), wherein L^{3b} is represented by the formula (XXVII^b):



and R^{2b} is a hydrogen atom or a C₁₋₃ alkyl group, tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.

29^b) The compounds according to any one of 1^b) to 17^b), wherein L^{3b} is represented by the formula (XXXII^b):

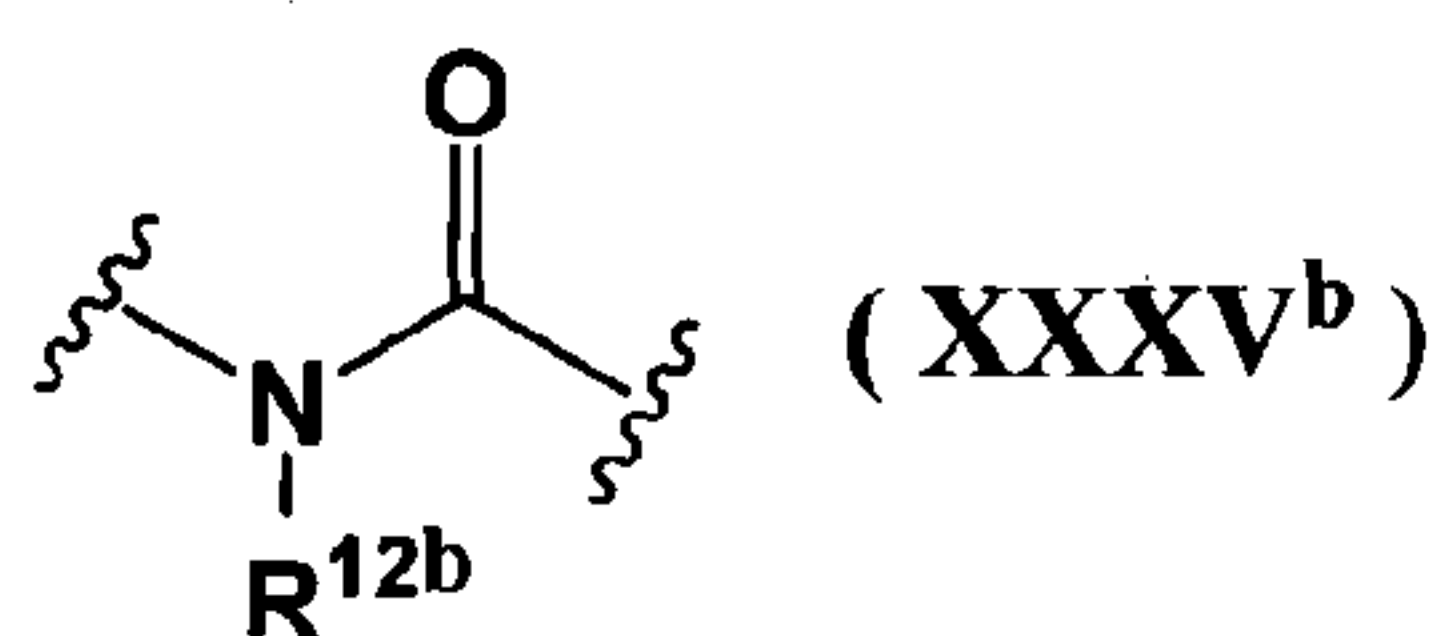


(wherein R^{12b} is a hydrogen atom, a C₁₋₃ alkyl group (the C₁₋₃ alkyl group is unsubstituted or substituted with a substituent selected from the group consisting of a cyano group, a hydroxy group, a C₁₋₃ alkoxy group, a C₃₋₆ cycloalkyl group and a phenyl group) or a C₁₋₃ haloalkyl group), and

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 substituents independently 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 substituent selected from the group consisting of a hydroxy group, a halogen atom and a cyano group)), 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 halogen atoms, cyano groups, C₁₋₃ alkyl groups, C₁₋₃ haloalkyl groups and C₁₋₆ alkoxy carbonyl groups), tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.

30^b) The compounds according to any one of 1^b) to 17^b), wherein L^{3b} is represented by the formula (XXXV^b):



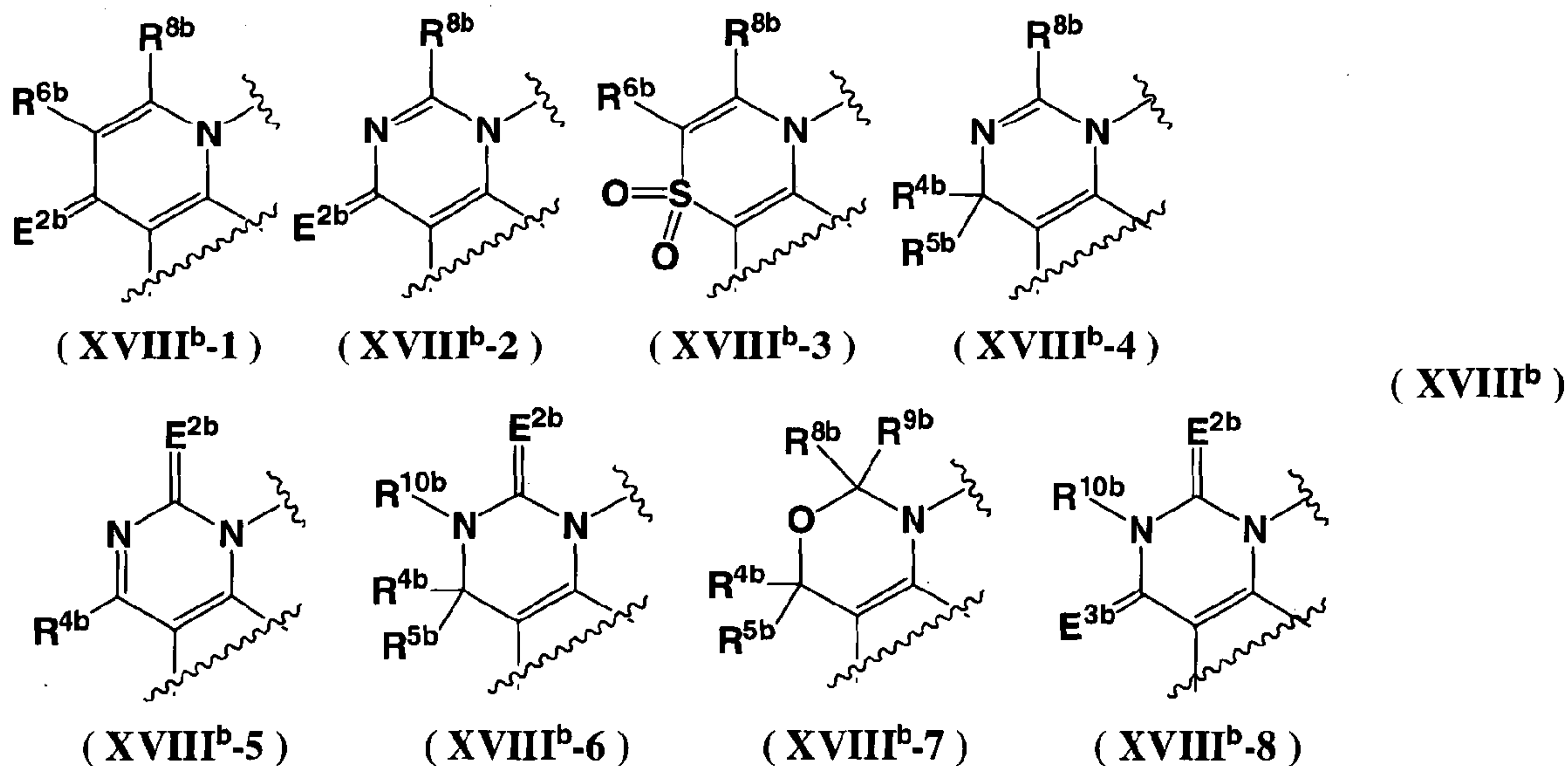
(wherein R^{12b} is a hydrogen atom, a C₁₋₃ alkyl group or a C₁₋₃ haloalkyl group), and R^{2b} is a C₁₋₆ alkyl group (the C₁₋₆ alkyl group is unsubstituted or substituted with a cyano group) or a C₁₋₆ haloalkyl group, tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.

31^b) The compounds according to 1^b), 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, and each of R^{4b}, R^{5b}, R^{6b}, R^{8b} and R^{9b} is independently a hydrogen 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 two identical or different substituents

independently selected from the group consisting of cyano groups, hydroxy groups, C₁₋₃

alkoxy groups, di-C₁₋₃ alkylamino 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 group 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, C₁₋₃ alkyl groups and C₁₋₃ haloalkyl groups)), a C₁₋₆ haloalkyl group, 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 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,

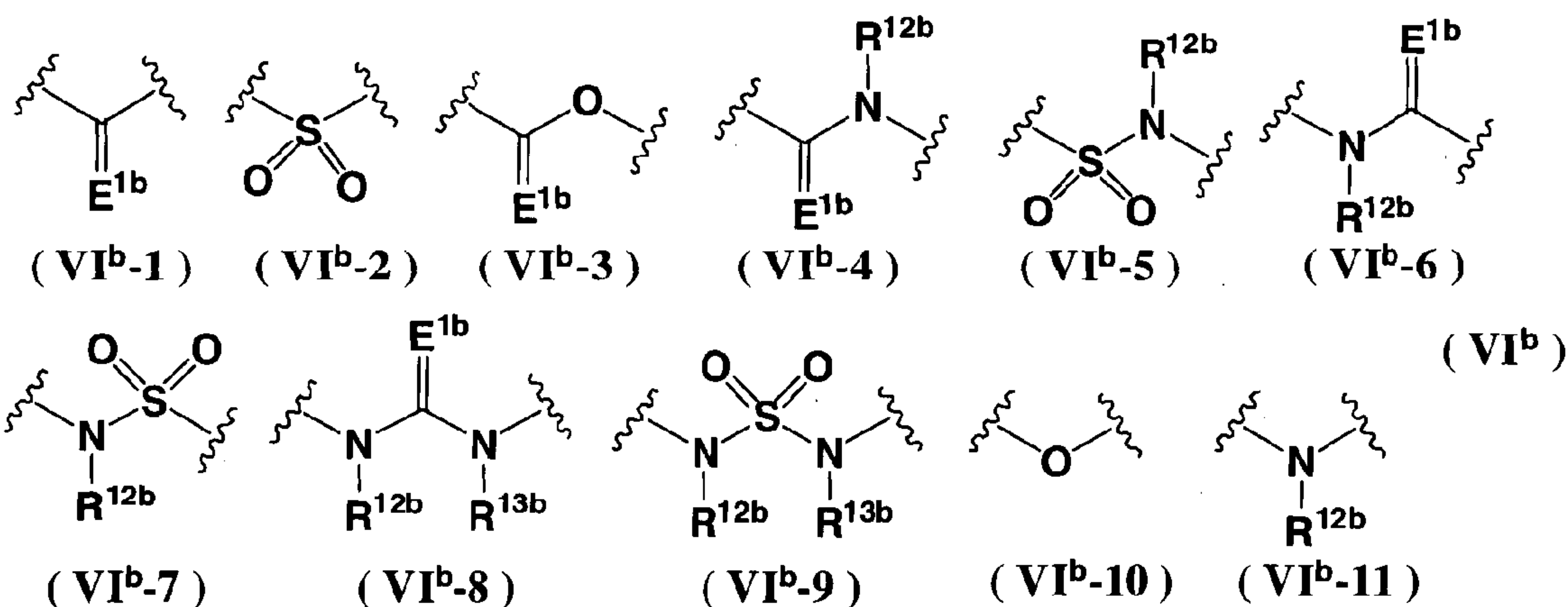
L^{1b} is a single bond or a C₁₋₃ alkylene group,

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 is represented by any of the following formulae (VI^b-1) to (VI^b-11)



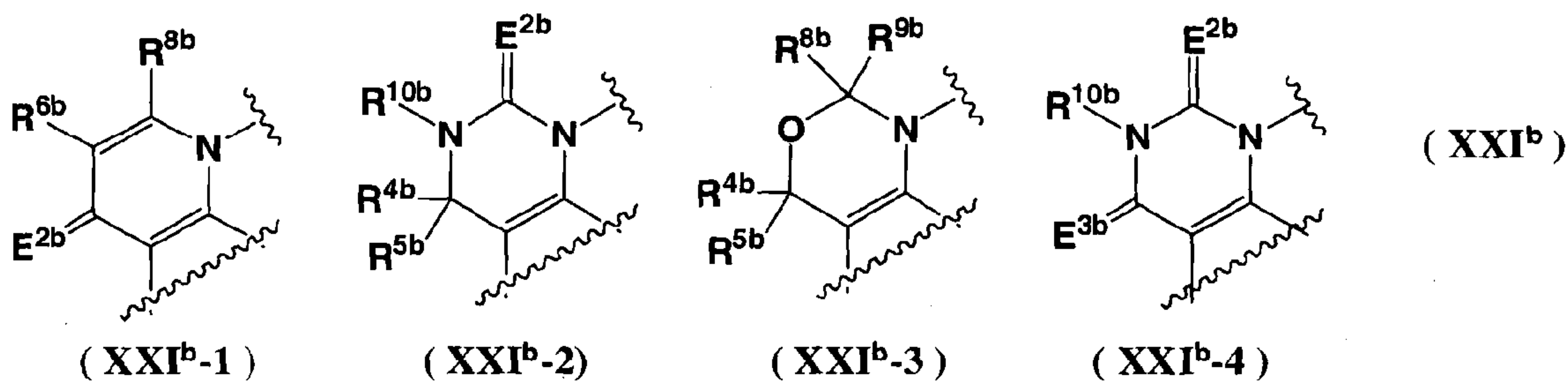
(wherein E^{1b} is an oxygen atom or a sulfur atom, and each of R^{12b} and R^{13b} is independently a hydrogen atom, a C₁₋₆ alkyl group or a C₁₋₆ haloalkyl group (the C₁₋₆ alkyl group and the C₁₋₆ haloalkyl group are unsubstituted or substituted with one or two identical or different substituents independently selected from the group consisting of cyano groups, hydroxy groups, C₁₋₆ 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

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}), 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 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}), tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.

32^b) The compounds according to 1^b) or 31^b), wherein the ring A^b is represented by any of the following formulae (XXI^b-1) to (XXI^b-4):



(wherein E^{2b} and E^{3b} are oxygen atoms, R^{4b} , R^{5b} , R^{8b} and R^{9b} are hydrogen atoms, and 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, di- C_{1-3} alkylamino groups, di- C_{1-3} alkylaminocarbonyl 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), tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.

33^b) The compounds according to 1^b), 31^b) or 32^b), wherein L^{1b} is a single bond, L^{2b} is a single bond, a C_{1-6} alkylene group (the C_{1-6} alkylene group is unsubstituted or substituted with a hydroxy group or a cyano group) or a C_{1-6} haloalkylene 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, and

R^{3b} is a C_{1-3} alkyl group, tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.

34^b) The compounds according to any one of 1^b) and 31^b) to 33^b), 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,

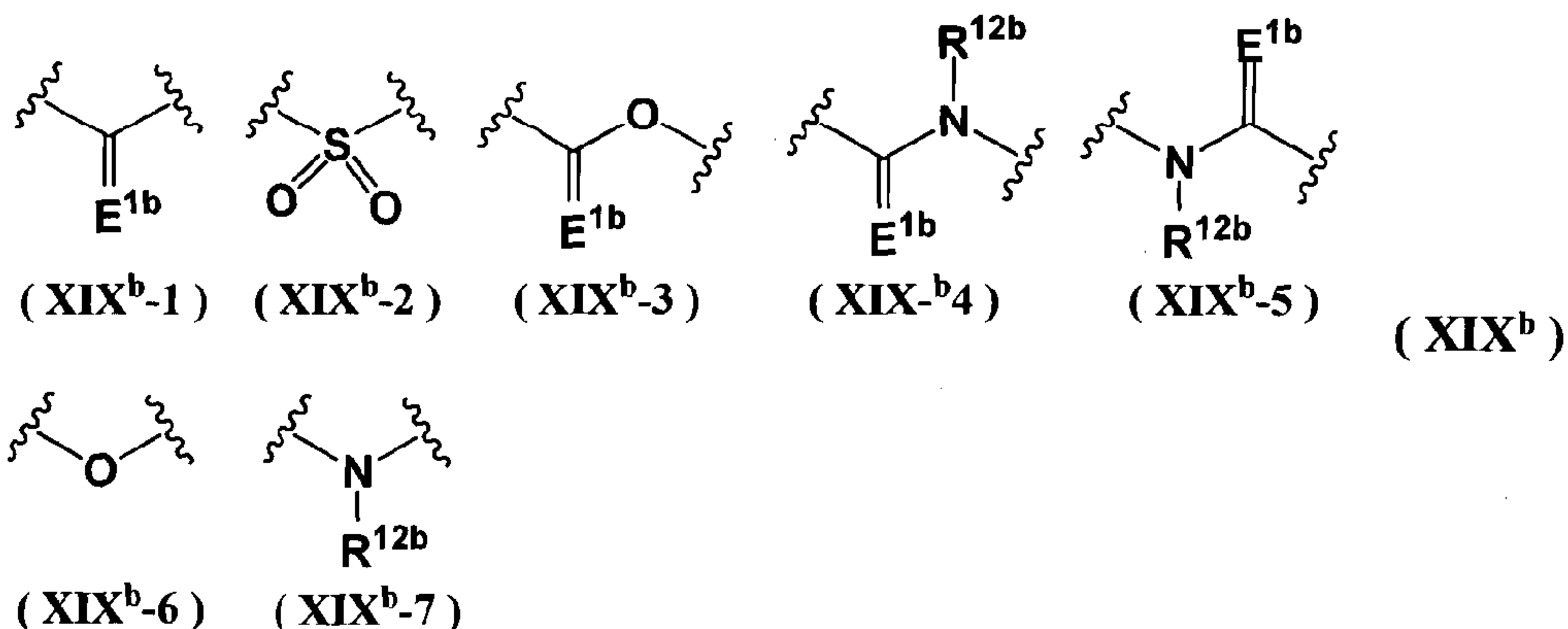
the phenyl group, the 5 to 10-membered aromatic heterocyclyl group and the 8 to 11-membered partially saturated aromatic cyclic group are unsubstituted or substituted with one or more identical or different substituents independently selected from the group consisting of hydroxy groups, amino groups, 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 group, 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 and 5 to 6-membered aromatic heterocyclyl groups), tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.

35^b) The compounds according to any one of 1^b) and 31^b) to 33^b), wherein L^{3b} is a single bond, and

R^{2b} is a 8 to 11-membered partially saturated aromatic cyclic group (the 8 to 11-membered partially saturated aromatic cyclic 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), tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.

36^b) The compounds according to 34^b) or 35^b), wherein L^{2b} is a C₁₋₃ alkylene group, and the ring B^b is cyclohexane or piperidine, tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.

37^b) The compounds according to any one of 1^b) and 31^b) to 33^b), wherein L^{3b} is represented by any of the following formulae (XIX^b-1) to (XIX^b-7):

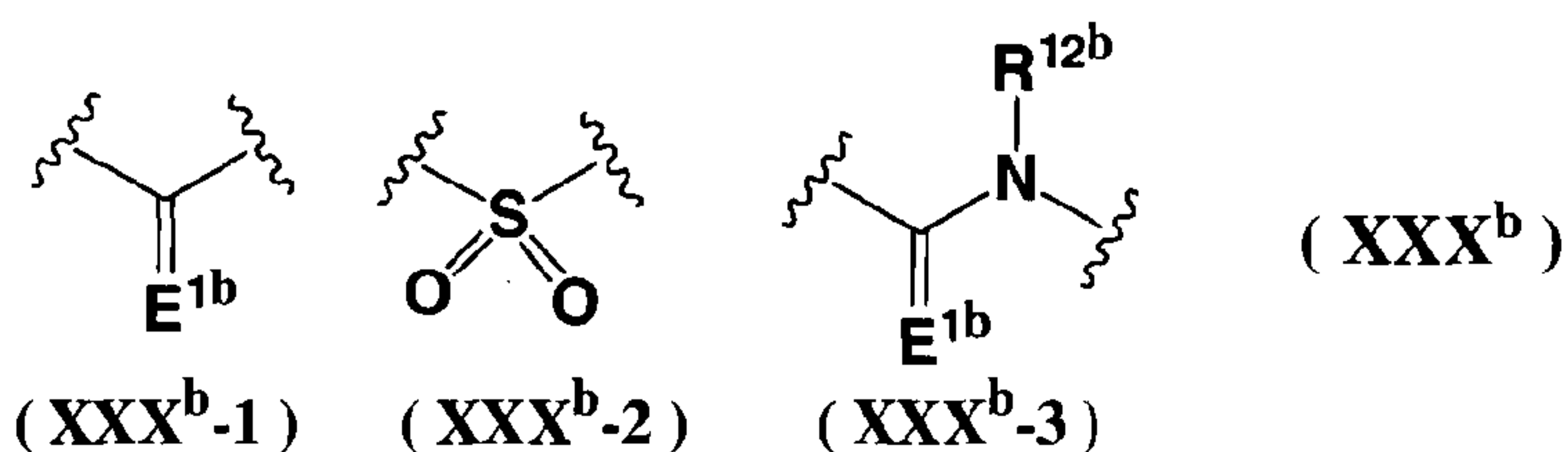


(wherein E^{1b} is an oxygen atom, and R^{12b} is a hydrogen atom, a C₁₋₆ alkyl group (the C₁₋₆ alkyl group is unsubstituted or substituted with one or two identical or different substituents independently selected from the group consisting of cyano groups, hydroxy groups, C₁₋₃ alkoxy groups, C₃₋₆ cycloalkyl groups and phenyl groups) or a C₁₋₆ haloalkyl 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, C₃₋₆ cycloalkyl groups, 4 to 7-membered non-aromatic heterocyclyl groups, phenyl groups, 5 to 6-membered aromatic heterocyclyl groups and 8 to 11-membered partially saturated aromatic cyclic groups (the C₃₋₆ cycloalkyl groups, the 4 to 7-membered non-aromatic heterocyclyl groups, the phenyl groups, the 5 to 6-membered aromatic heterocyclyl groups and the 8 to 11-membered partially saturated

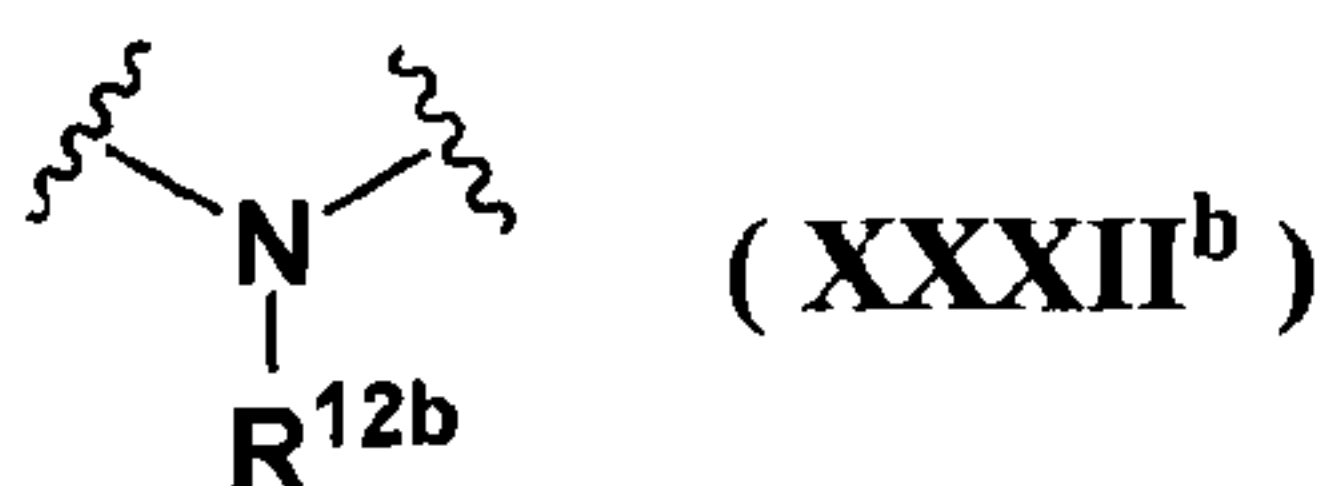
aromatic cyclic group are unsubstituted or substituted with one or two identical or different substituents independently selected from the group consisting of hydroxy groups, amino groups, halogen atoms, cyano groups, C₁₋₆ alkyl groups, C₁₋₃ haloalkyl groups, C₁₋₃ alkoxy groups, C₁₋₃ haloalkoxy groups, C₁₋₃ alkylsulfonyl groups, C₁₋₃ haloalkylsulfonyl groups, 4 to 7-membered non-aromatic heterocyclyl group, phenyl groups, 5 to 6-membered aromatic heterocyclyl groups (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 substituent set V^{1b}), mono-C₁₋₆ alkylaminosulfonyl groups, di-C₁₋₆ alkylaminosulfonyl groups and C₁₋₆ alkylsulfonylamino 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 or two identical or different substituents independently selected from the group consisting of hydroxy groups, amino groups, halogen atoms, cyano groups, C₁₋₆ alkyl groups, C₁₋₃ haloalkyl groups, C₁₋₃ alkoxy groups, C₁₋₃ haloalkoxy groups, C₁₋₃ alkylsulfonyl groups, C₁₋₃ haloalkylsulfonyl groups, 4 to 7-membered non-aromatic heterocyclyl groups, phenyl groups, 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 more identical or different substituents independently selected from the substituent set V^{1b}), mono-C₁₋₆ alkylaminosulfonyl groups, di-C₁₋₆ alkylaminosulfonyl groups and C₁₋₆ alkylsulfonylamino groups), tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.

38^b) The compounds according to any one of 1^b), 31^b) to 33^b) and 37^b), wherein L^{3b} is represented by any of the following formulae (XXX^b-1) to (XXX^b-3):



(wherein E^{1b} is an oxygen atom, and R^{12b} is a hydrogen atom), and R^{2b} is a C₁₋₆ alkyl group (the C₁₋₆ alkyl group is unsubstituted or substituted with a substituent selected from the group consisting of a cyano 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 one or two identical or different substituents independently selected from the group consisting of halogen atoms, cyano groups, C₁₋₆ alkyl groups and C₁₋₃ haloalkyl groups)), a C₁₋₃ haloalkyl group, a C₃₋₆ cycloalkyl group, a phenyl group or 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 one or two identical or different substituents independently selected from the group consisting of halogen atoms, cyano groups, C₁₋₃ alkyl groups and C₁₋₃ haloalkyl groups), tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.

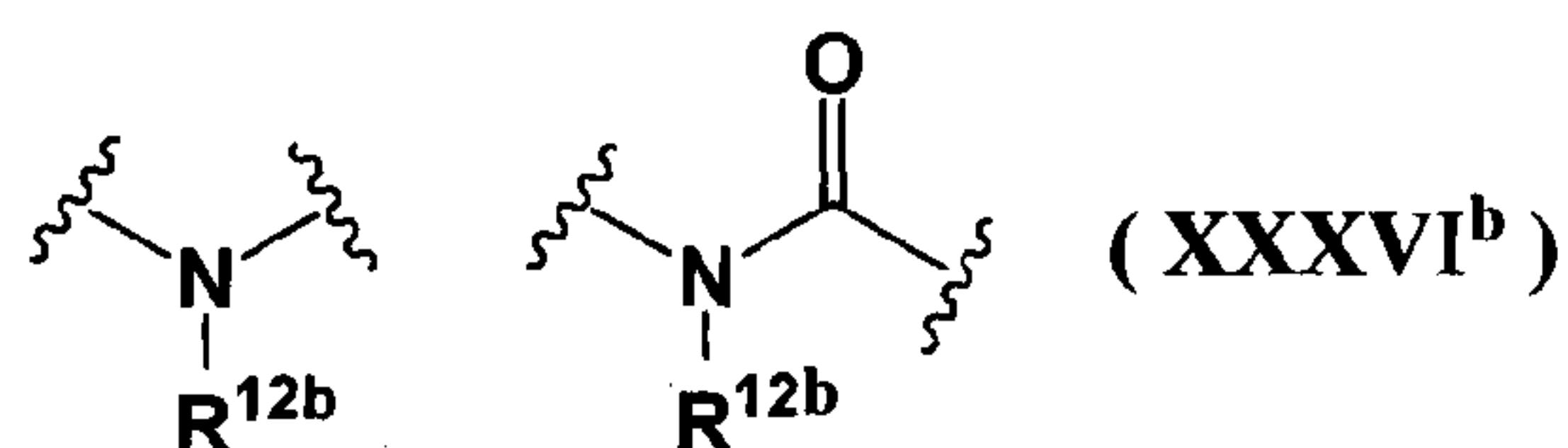
39^b) The compounds according to any one of 1^b) and 31^b) to 33^b), wherein L^{3b} is represented by the formula (XXXII^b):



(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

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 substituents independently 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 substituent selected from the group consisting of a hydroxy group, a halogen atom, a cyano group and a C₁₋₃ haloalkyl group)), 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 halogen atoms, cyano groups, C₁₋₃ alkyl groups, C₁₋₃ haloalkyl groups and C₁₋₆ alkoxy carbonyl groups), tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.

40^b) The compounds according to any one of 1^b) and 31^b) to 33^b), wherein L^{3b} is represented by the following formula (XXXVI^b-1) or (XXXVI^b-2):

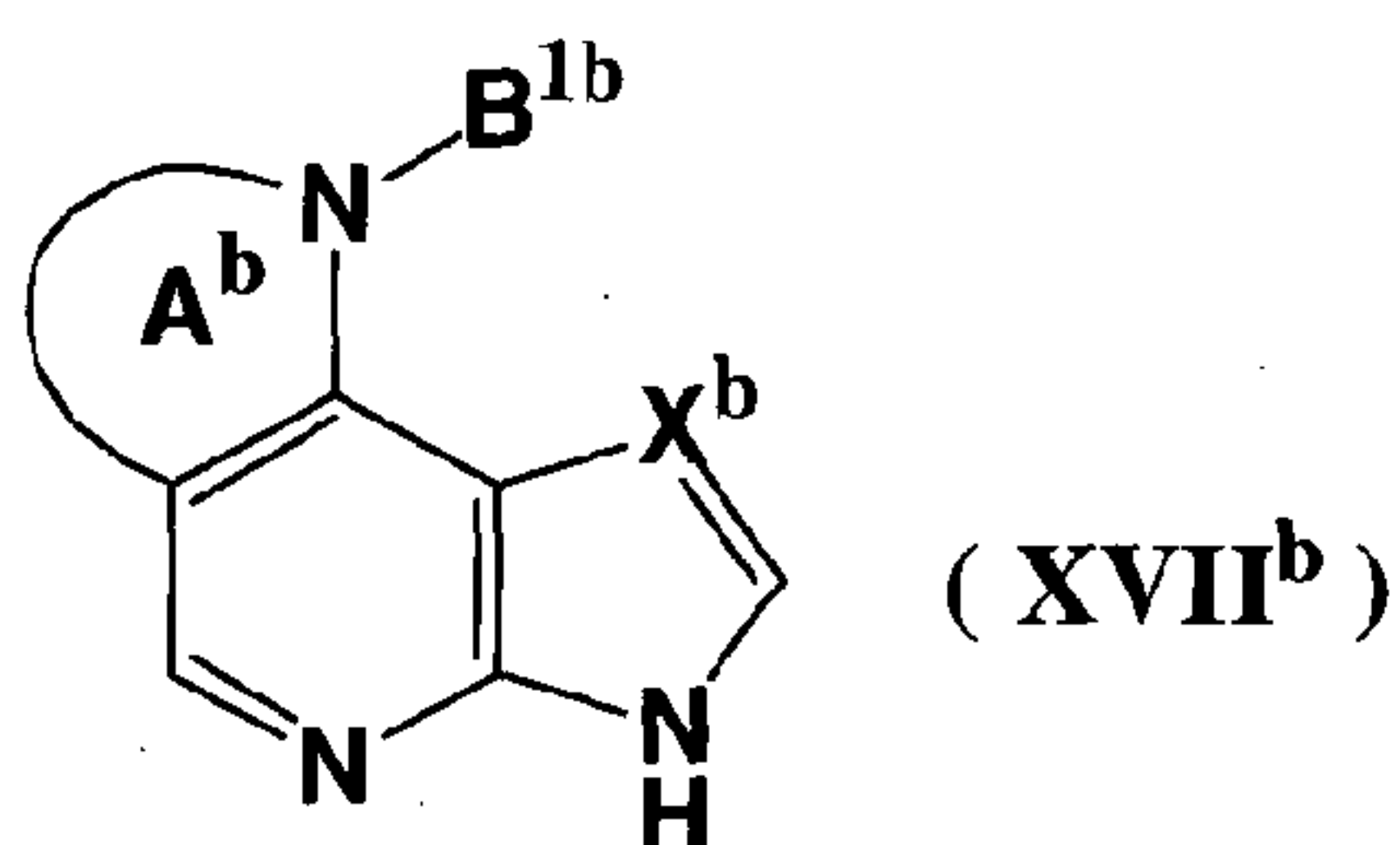


(XXXVI^b-1) (XXXVI^b-2)

(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 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 substituents independently 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), a C₃₋₆ cycloalkyl group or a 4 to 7-membered non-aromatic heterocyclyl group, tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.

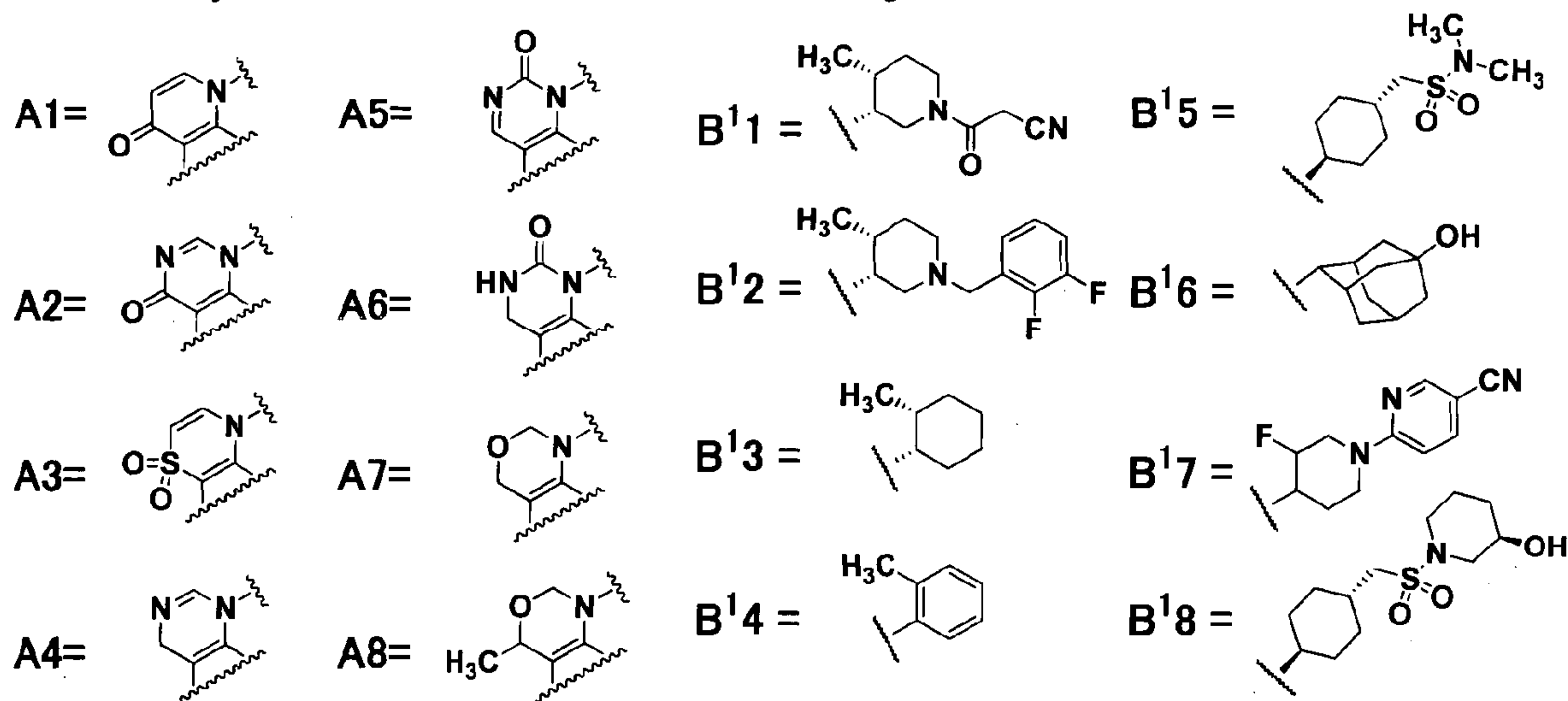
41^b) The compounds according to 37^b) or 40^b), wherein L^{2b} is a single bond or a C₁₋₃ alkylene group, and the ring B^b is a cyclohexane or piperidine, tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.

42^b) Compounds represented by the formula (XVII^b):



wherein X^b is CR^{15b} (wherein R^{15b} is a hydrogen atom, a halogen atom or a cyano group), and the rings A^b and B^{1b} are any of the following combinations shown in Table^b 1, tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.

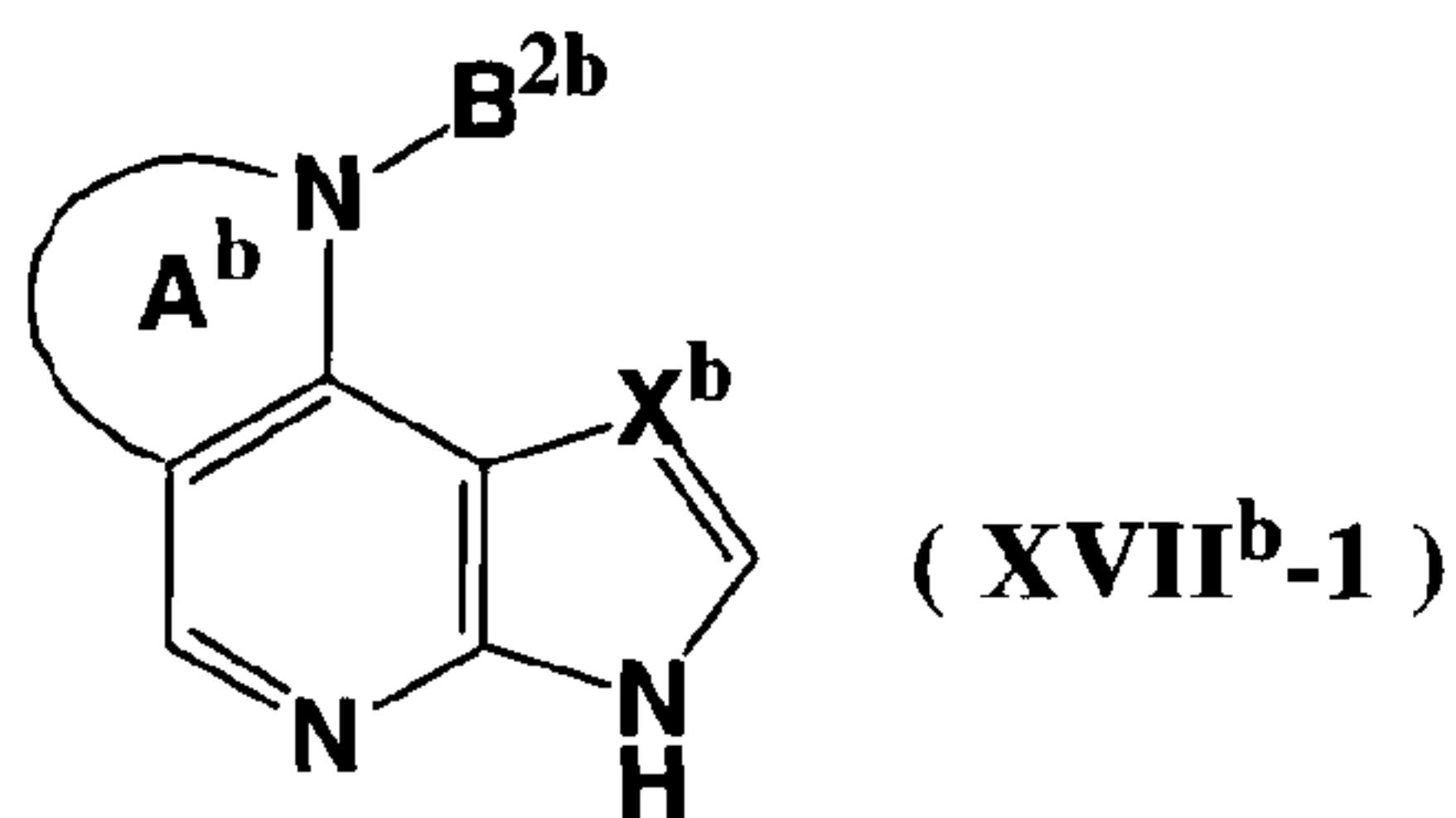
5 The symbols in Table^b 1 denote the following substituents.



TABLE^b 1

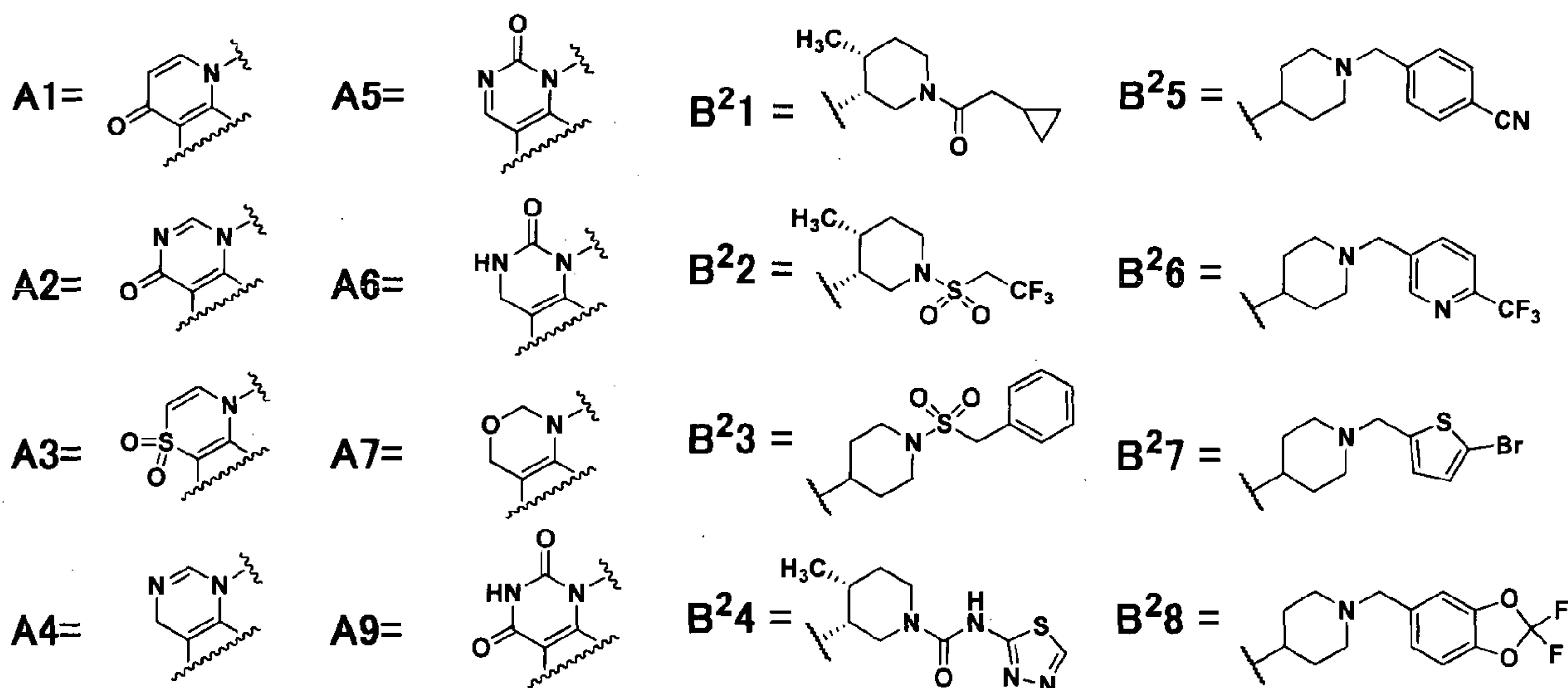
A ^b	B ^{1b}	A ^b	B ^{1b}	A ^b	B ^{1b}	A ^b	B ^{1b}
A 1	B ¹ 1	A 1	B ¹ 3	A 1	B ¹ 5	A 1	B ¹ 7
A 2	B ¹ 1	A 2	B ¹ 3	A 2	B ¹ 5	A 2	B ¹ 7
A 3	B ¹ 1	A 3	B ¹ 3	A 3	B ¹ 5	A 3	B ¹ 7
A 4	B ¹ 1	A 4	B ¹ 3	A 4	B ¹ 5	A 4	B ¹ 7
A 5	B ¹ 1	A 5	B ¹ 3	A 5	B ¹ 5	A 5	B ¹ 7
A 6	B ¹ 1	A 6	B ¹ 3	A 6	B ¹ 5	A 6	B ¹ 7
A 7	B ¹ 1	A 7	B ¹ 3	A 7	B ¹ 5	A 7	B ¹ 7
A 8	B ¹ 1	A 8	B ¹ 3	A 8	B ¹ 5	A 8	B ¹ 7
A 1	B ¹ 2	A 1	B ¹ 4	A 1	B ¹ 6	A 1	B ¹ 8
A 2	B ¹ 2	A 2	B ¹ 4	A 2	B ¹ 6	A 2	B ¹ 8
A 3	B ¹ 2	A 3	B ¹ 4	A 3	B ¹ 6	A 3	B ¹ 8
A 4	B ¹ 2	A 4	B ¹ 4	A 4	B ¹ 6	A 4	B ¹ 8
A 5	B ¹ 2	A 5	B ¹ 4	A 5	B ¹ 6	A 5	B ¹ 8
A 6	B ¹ 2	A 6	B ¹ 4	A 6	B ¹ 6	A 6	B ¹ 8
A 7	B ¹ 2	A 7	B ¹ 4	A 7	B ¹ 6	A 7	B ¹ 8
A 8	B ¹ 2	A 8	B ¹ 4	A 8	B ¹ 6	A 8	B ¹ 8

43^b) Compounds represented by the formula (XVII^b-1):



wherein X^b is CR^{15b} (wherein R^{15b} is a hydrogen atom, a halogen atom or a cyano group), and the rings A^b and B^{2b} are any of the following combinations shown in Table^b 2, tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof.

5 The symbols in Table^b 2 denote the following substituents.



TABLE^b 2

A ^b	B ^{2b}	A ^b	B ^{2b}	A ^b	B ^{2b}	A ^b	B ^{2b}
A 1	B ² 1	A 1	B ² 3	A 1	B ² 5	A 1	B ² 7
A 2	B ² 1	A 2	B ² 3	A 2	B ² 5	A 2	B ² 7
A 3	B ² 1	A 3	B ² 3	A 3	B ² 5	A 3	B ² 7
A 4	B ² 1	A 4	B ² 3	A 4	B ² 5	A 4	B ² 7
A 5	B ² 1	A 5	B ² 3	A 5	B ² 5	A 5	B ² 7
A 6	B ² 1	A 6	B ² 3	A 6	B ² 5	A 6	B ² 7
A 7	B ² 1	A 7	B ² 3	A 7	B ² 5	A 7	B ² 7
A 9	B ² 1	A 9	B ² 3	A 9	B ² 5	A 9	B ² 7
A 1	B ² 2	A 1	B ² 4	A 1	B ² 6	A 1	B ² 8
A 2	B ² 2	A 2	B ² 4	A 2	B ² 6	A 2	B ² 8
A 3	B ² 2	A 3	B ² 4	A 3	B ² 6	A 3	B ² 8
A 4	B ² 2	A 4	B ² 4	A 4	B ² 6	A 4	B ² 8
A 5	B ² 2	A 5	B ² 4	A 5	B ² 6	A 5	B ² 8
A 6	B ² 2	A 6	B ² 4	A 6	B ² 6	A 6	B ² 8
A 7	B ² 2	A 7	B ² 4	A 7	B ² 6	A 7	B ² 8
A 9	B ² 2	A 9	B ² 4	A 9	B ² 6	A 9	B ² 8

44^b) The compounds with the combinations of substituents as defined in 42^b) or 43^b),
 10 wherein X^b is converted to a nitrogen atom, tautomers or pharmaceutically acceptable

salts of the compounds or solvates thereof.

The compounds of the present invention can be synthesized by the processes mentioned later, but the production of the compounds of the present invention is not restricted to these general examples.

5 The compounds of the present invention can usually be purified by column chromatography, thin layer chromatography, high performance liquid chromatography (HPLC) or high performance liquid chromatography-mass spectrometry (LC-MS) and, if necessary, they may be obtained with high purity by recrystallization or washing with solvents.

10 In general, in the production of the compounds of the present invention, any solvents that are stable and inert under the reaction conditions and do not hinder the reactions may be used without any particular restrictions, and for example, sulfoxide solvents (such as dimethyl sulfoxide), amide solvents (such as N,N-dimethylformamide or N,N-dimethylacetamide), ether solvents (such as diethyl ether, 1,2-dimethoxyethane, 15 tetrahydrofuran, 1,4-dioxane or cyclopentyl methyl ether), halogenated solvents (such as dichloromethane, chloroform or 1,2-dichloroethane), nitrile solvents (such as acetonitrile or propionitrile), aromatic hydrocarbon solvents (such as benzene or toluene), aliphatic hydrocarbon solvents (such as hexane or heptane), ester solvents (such as ethyl acetate), alcohol solvents (such as methanol, ethanol, 1-propanol, 2-propanol or ethylene glycol) and water may be mentioned. The reactions may be 20 carried out in an arbitrary mixture of solvents mentioned above or in the absence of a solvent.

In general, in the production of the compounds of the present invention, the reaction temperature is chosen appropriately within the range of from -78°C to the 25 boiling point of the solvent used for the reaction, and the production of the compounds of the present invention may be carried out at ordinary pressure or under pressure or with microwave irradiation.

As acids generally used in the production of the compounds of the present invention, for example, organic acids (such as acetic acid, trifluoroacetic acid or p-toluenesulfonic acid) and inorganic acids (such as sulfuric acid or hydrochloric acid) 30 may be mentioned.

As bases generally used in the production of the compounds of the present invention, for example, organic metal compounds (such as n-butyllithium, s-butyllithium, lithiumdiisopropylamide or isopropylmagnesium bromide), organic bases (such as 35 triethylamine, N,N-diisopropylethylamine or N,N-dimethylaminopyridine) and inorganic bases (such as sodium carbonate, potassium carbonate, cesium carbonate, sodium hydroxide, potassium hydroxide or sodium hydride) may be mentioned.

General processes for production of the compounds of the present invention are shown below, and the formulae of the intermediate and the end product in each step 40 therein conceptually cover their protected derivatives, too. Herein, protected derivatives are defined as compounds which can be converted to the desired product, if necessary, through hydrolysis, reduction, oxidation, alkylation or the like and include compounds protected with chemically acceptable protective groups.

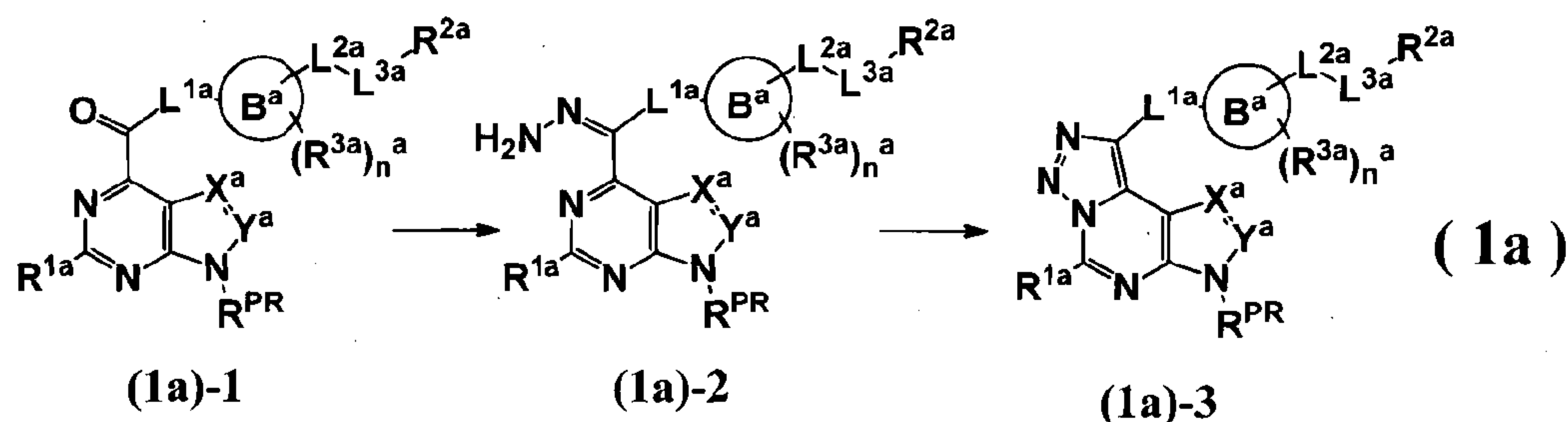
Protection and deprotection may be carried out by generally known protection and 45 deprotection reactions (for example, by referring to Protective Groups in Organic Synthesis, Fourth edition, T. W. Greene, John Wiley & Sons Inc. (2006)).

Hydrolysis, reduction and oxidation may be carried out by generally known functional group conversions (for example, by referring to Comprehensive Organic

Transformations, Second Edition, R.C.Larock, Wiley-VCH (1999)).

First, processes for producing the tricyclic pyrimidine compounds represented by the formula (I^a) will be described.

Among the tricyclic pyrimidine compounds represented by the formula (I^a), the compounds (1a)-3 can be produced, for example, through the following scheme (1a) (wherein R^{PR} is a hydrogen atom or a protective group such as a Ts group, a TIPS group or a SEM group, and the other symbols are the same as defined above).



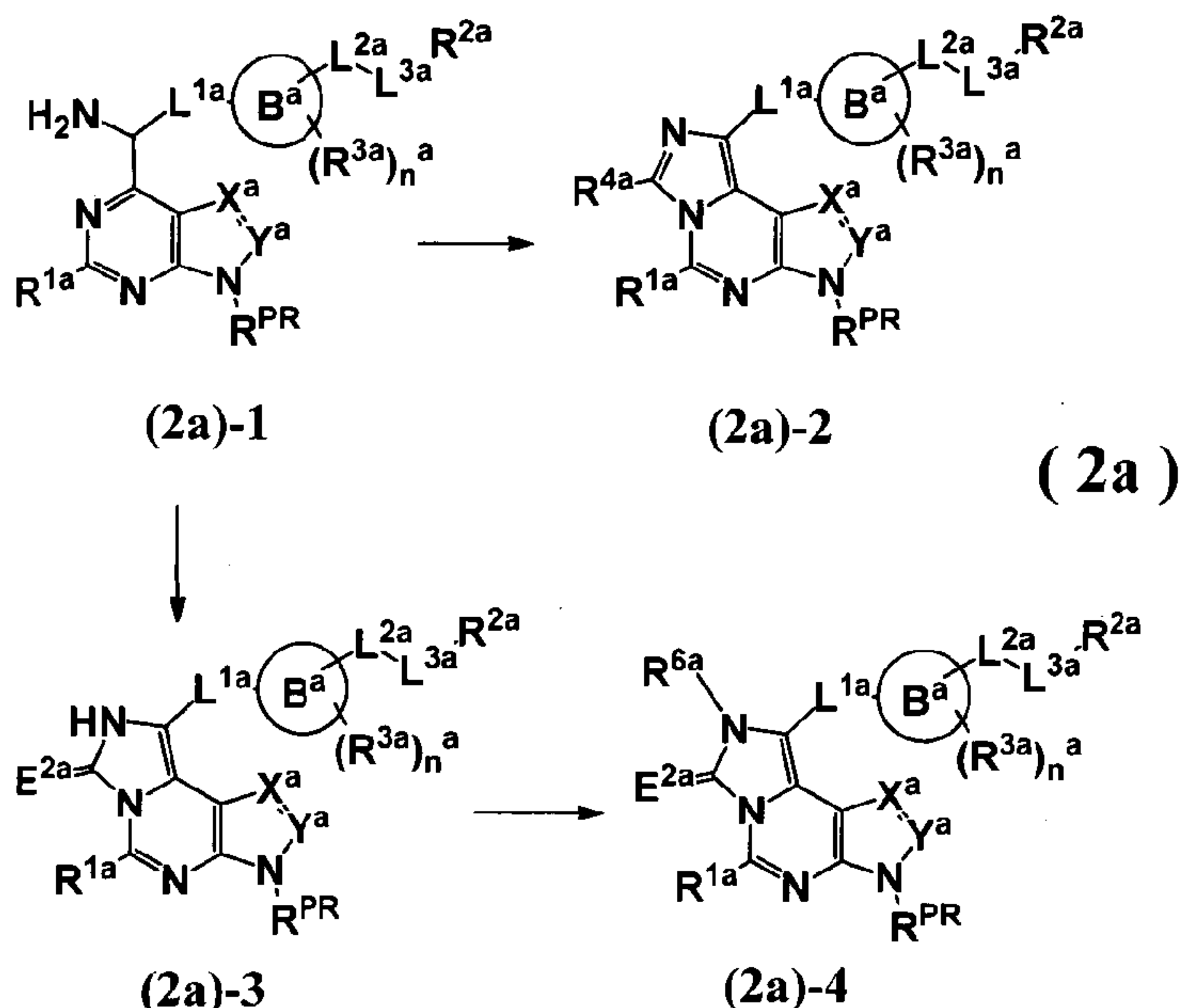
A compound (1a)-1 can be converted to a compound (1a)-2 by using an equivalent or excessive amount of hydrazine or its equivalent in an appropriate solvent or in the absence of solvent at room temperature to a refluxing temperature.

A compound (1a)-2 can be converted to a compound (1a)-3 by using an equivalent or excessive amount of an oxidizing agent such as manganese dioxide or iodobenzenediacetate in an appropriate solvent or in the absence of solvent at room temperature to a refluxing temperature. The presence of an acid or a base is sometimes effective for smooth progress of the reaction.

A compound (1a)-3 can also be obtained by using a compound (1a)-1 and an equivalent or excessive amount of tosylhydrazine or its equivalent in an appropriate solvent or in the absence of solvent at room temperature to a refluxing temperature. The presence of a base is sometimes effective for smooth progress of the reaction.

A compound (1a)-3 having a protective group as R^{PR} can be converted to a compound (1a)-3 having a hydrogen atom as R^{PR} by deprotection.

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



A compound (2a)-1 can be converted to a compound (2a)-2 by using an equivalent or excessive amount of $R^{4a}CHO$, $R^{4a}CO_2R^Q$, $R^{4a}C(OR^Q)_3$, $R^{4a}CONR^Q_2$ or $R^{4a}C(OR^Q)_2NR^Q_2$ (wherein R^Q is a hydrogen atom or a C_{1-6} alkyl group) in an appropriate solvent or in the absence of solvent at room temperature to a refluxing temperature. Microwave irradiation or the presence of an acid or a base is sometimes effective for smooth progress of the reaction.

A compound (2a)-1 can be converted to a compound (2a)-3 by using an equivalent or excessive amount of phosgene, phosgene dimer, phosgene trimer, 1,1'-carbonyldiimidazole, dimethyl carbonate, carbon disulfide or 1,1'-thiocarbonyldiimidazole in an appropriate solvent or in the absence of solvent at room temperature to a refluxing temperature. The presence of an acid or a base is sometimes effective for smooth progress of the reaction.

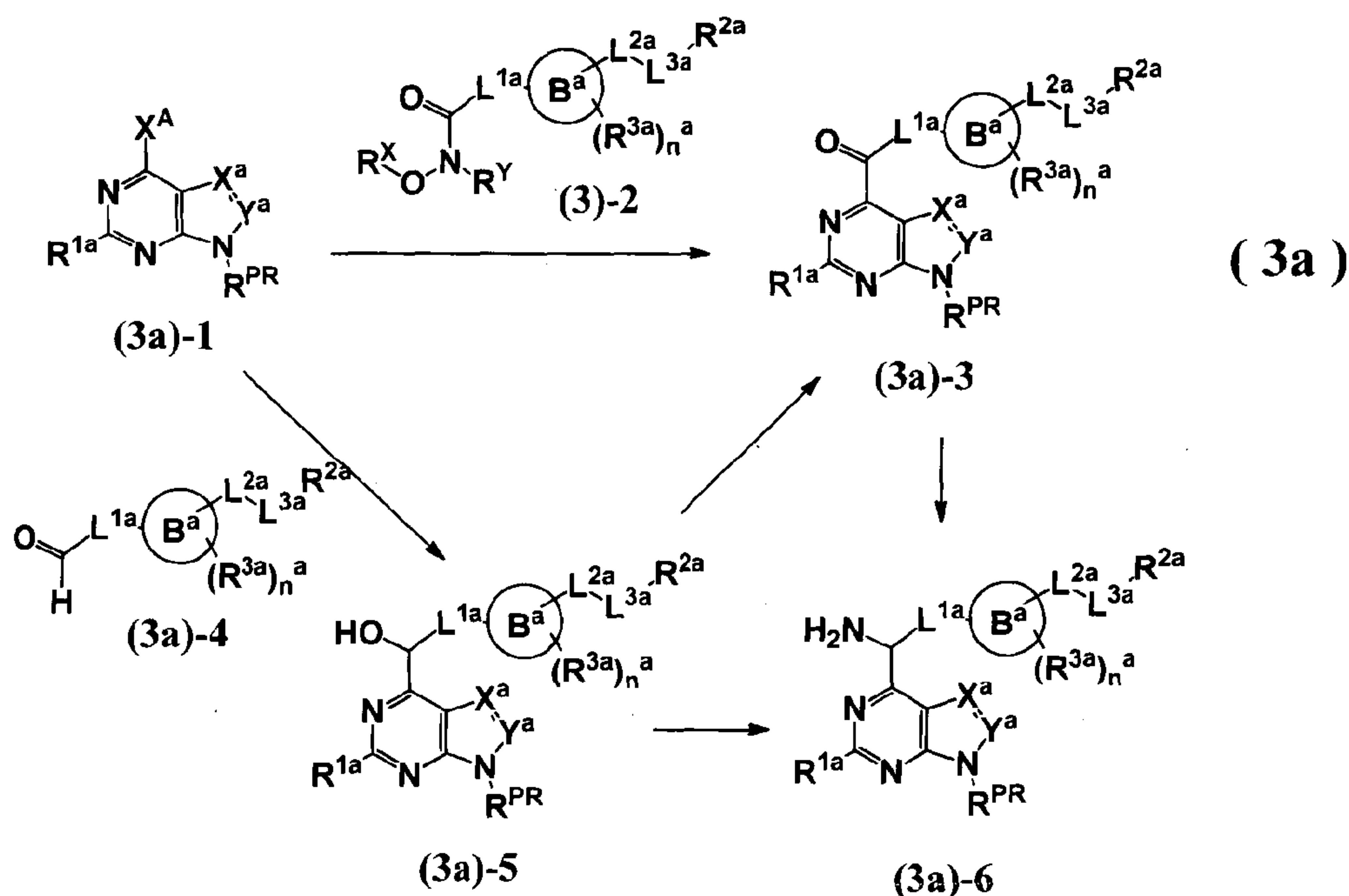
A compound (2a)-3 can be converted to a compound (2a)-4 by using equivalent or excessive amounts of $R^{6a}-R^L$ (wherein R^L is a leaving group such as a halogen atom, a methanesulfonyloxy group or a p-toluenesulfonyloxy group) and a base such as potassium carbonate or sodium hydride in an appropriate solvent or in the absence of solvent at room temperature to a refluxing temperature.

A compound (2a)-3 or (2a)-4 having an oxygen atom as E^{2a} can be converted to a compound (2a)-3 or (2a)-4 having a sulfur atom as E^{2a} by using an equivalent or excessive amount of a thiocarbonylation reagent such as phosphorus pentasulfide or Lawesson's reagent in an appropriate solvent or in the absence of solvent at $-78^\circ C$ to a refluxing temperature.

Compounds (2a)-2, (2a)-3 and (2a)-4 having a protective group as R^{PR} can be converted to compounds (2a)-2, (2a)-3 and (2a)-4 having a hydrogen atom as R^{PR} by deprotection.

(Synthesis of starting materials 1a)

The compounds (3a)-3 and (3a)-6 can be produced, for example, through the following scheme (3a) (wherein X^A is a chlorine atom, a bromine atom or an iodine atom, each of R^X and R^Y is independently a C_{1-6} alkyl group, and R^{PR} is a hydrogen atom or a protective group such as a Ts group, a TIPS group or a SEM group, and the other symbols are the same as defined above).



A compound (3a)-1 can be converted to a compound (3a)-3 by a metal-halogen exchange reaction using an equivalent or excessive amount of an organic metal reagent such as isopropylmagnesium chloride, 2,6-dimethylphenylmagnesium bromide or n-butyllithium in an appropriate solvent at -78°C to room temperature followed by treatment with an equivalent or excessive amount of a compound (3a)-2 in an appropriate solvent at -78°C to room temperature.

A compound (3a)-1 can be converted to a compound (3a)-5 by a metal-halogen exchange reaction using an equivalent or excessive amount of an organic metal reagent such as isopropylmagnesium chloride, 2,6-dimethylphenylmagnesium bromide or n-butyllithium in an appropriate solvent at -78°C to room temperature followed by treatment with an equivalent or excessive amount of a compound (3a)-4 in an appropriate solvent at -78°C to room temperature.

A compound (3a)-5 can be converted to a compound (3a)-3 by using an equivalent or excessive amount of an oxidizing agent such as manganese dioxide or 1,1,1-triacetoxy-1,1-dihydro-1,2-benziodoxol-3(1H)-one (Dess-Martin reagent) in an appropriate solvent at -78°C to a refluxing temperature.

A compound (3a)-3 can be converted to a compound (3a)-6 by using equivalent or excessive amounts of an amine reagent such as ammonium acetate or hydroxylamine and a reducing agent such as sodium triacetoxyborohydride or zinc in an appropriate solvent or in the absence of solvent at -78°C to a refluxing temperature.

A compound (3a)-5 can be converted to a compound (3a)-6 by carrying out a reaction using equivalent or excessive amounts of phthalimide, a Mitsunobu reagent and a phosphine reagent in an appropriate solvent or in the absence of solvent at -78°C to a refluxing temperature, followed by deprotection. As a Mitsunobu reagent, diethyl azodicarboxylate, diisopropyl azodicarboxylate or the like may be mentioned, and as a phosphine reagent, triphenylphosphine, tributylphosphine or the like may be mentioned.

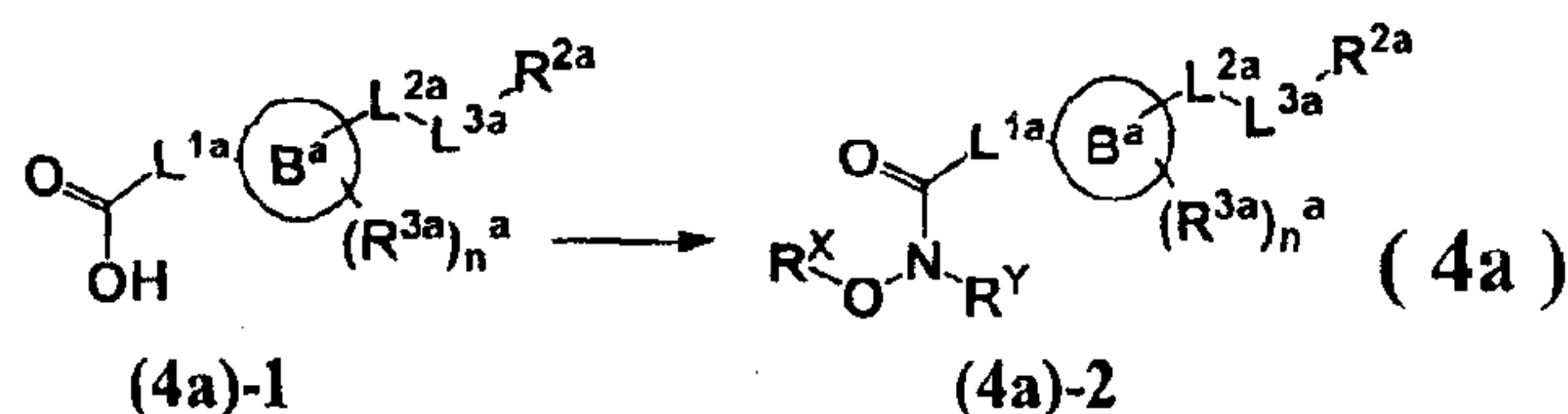
A compound (3a)-1 having a chlorine atom as X^A can be converted to a compound (3a)-1 having a bromine or iodine atom as X^A by using an equivalent or excessive amount of hydrobromic acid or hydroiodic acid in an appropriate solvent or in the absence of solvent at 0°C to a refluxing temperature.

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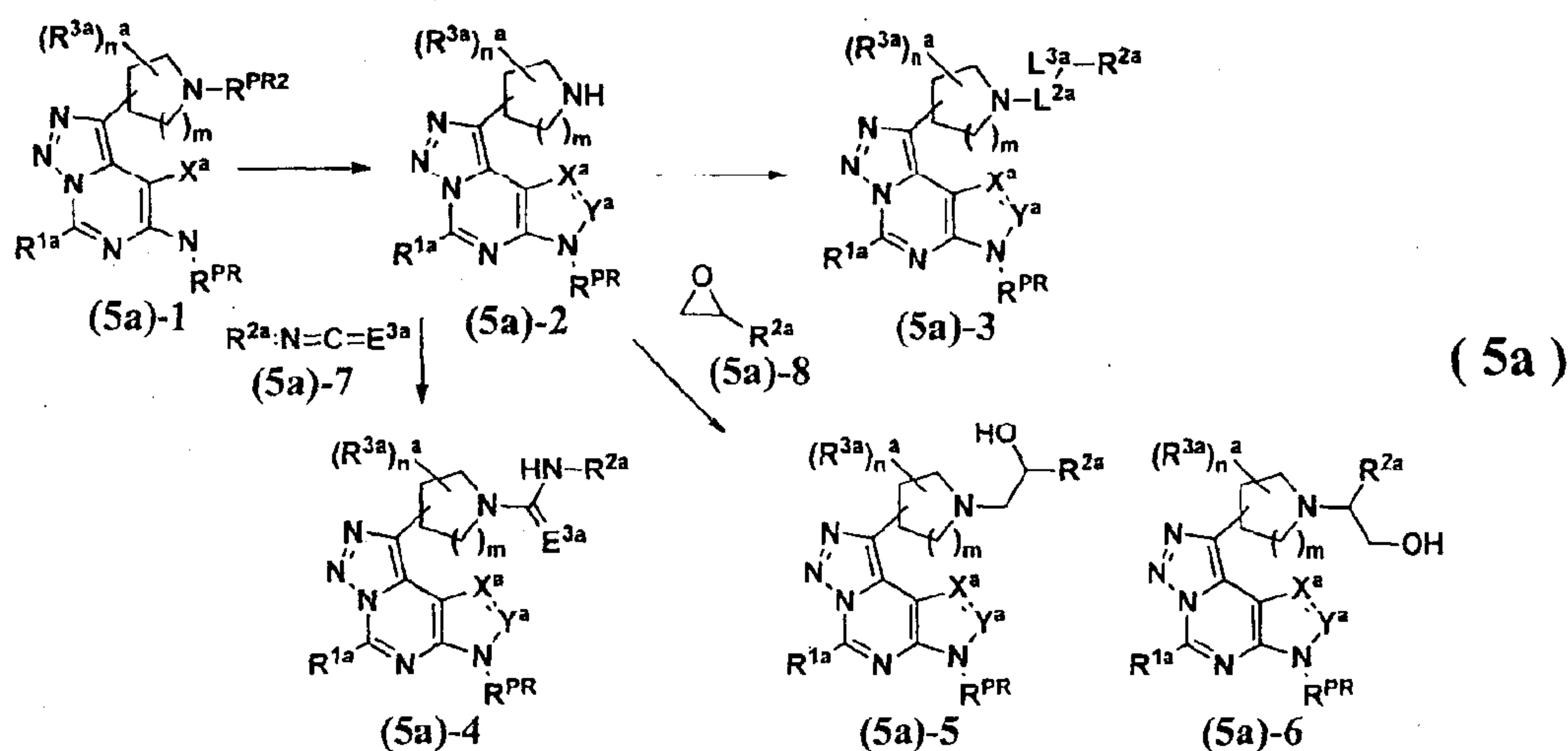
Compounds (3a)-3 and (3a)-6 having a protective group as R^{PR} can be converted to compounds (3a)-3 and (3a)-6 having a hydrogen atom as R^{PR} by deprotection.
(Synthesis of starting materials 2a)

5 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).



10 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.

15 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).



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

25 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

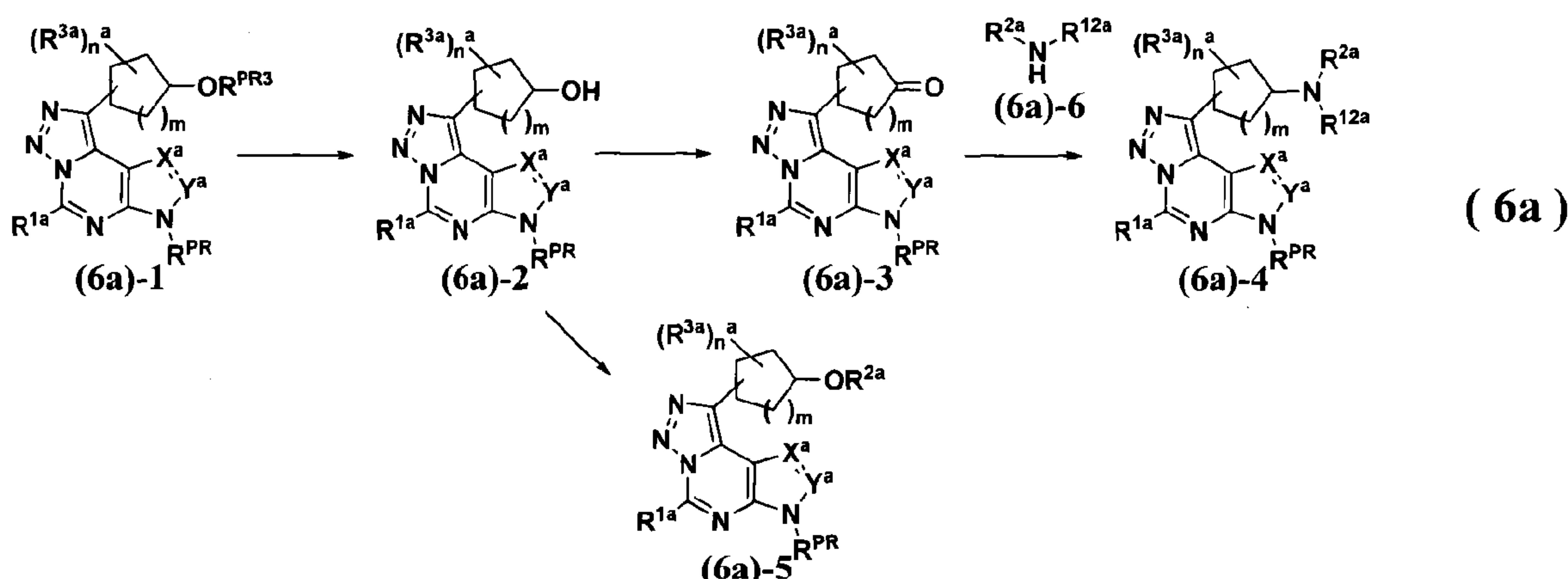
excessive amounts of R^{2a} -CHO and a reducing agent such as 2-picoline borane or sodium triacetoxyborohydride in an appropriate solvent or in the absence of solvent at room temperature to a refluxing temperature.

A compound (5a)-2 can be converted to a compound (5a)-4 by using equivalent or
5 excessive amounts of a compound (5a)-7 and a base such as potassium carbonate or 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)-5 or / and (5a)-6 by using equivalent or excessive amounts of a compound (5a)-8, a base such as
10 triethylamine and an acid catalyst such as ytterbium (III) trifluoromethanesulfonate in an appropriate solvent or in the absence of solvent at -78°C to a refluxing temperature.

Compounds (5a)-3, (5a)-4, (5a)-5 and (5a)-6 having a protective group as R^{PR} can be converted to compounds (5a)-3, (5a)-4, (5a)-5 and (5a)-6 having a hydrogen atom as R^{PR} by deprotection.

15 Among the compounds represented by the formula (1^a), the compounds (6a)-3, (6a)-4 and (6a)-5 can be produced, for example, through the following scheme (6a) (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, $R^{\text{PR}3}$ is a protective group such as a benzyl group or an acetyl group, and the other symbols are the same as defined above).



20 A compound (6a)-1 among the compounds (1a)-3 is converted to a compound (6a)-2 by deprotection.

A compound (6a)-2 can be converted to a compound (6a)-3 by using an equivalent or excessive amount of an oxidizing agent such as 2-iodoxybenzoic acid or
25 pyridinium chlorochromate in an appropriate solvent or in the absence of solvent at -78°C to a refluxing temperature.

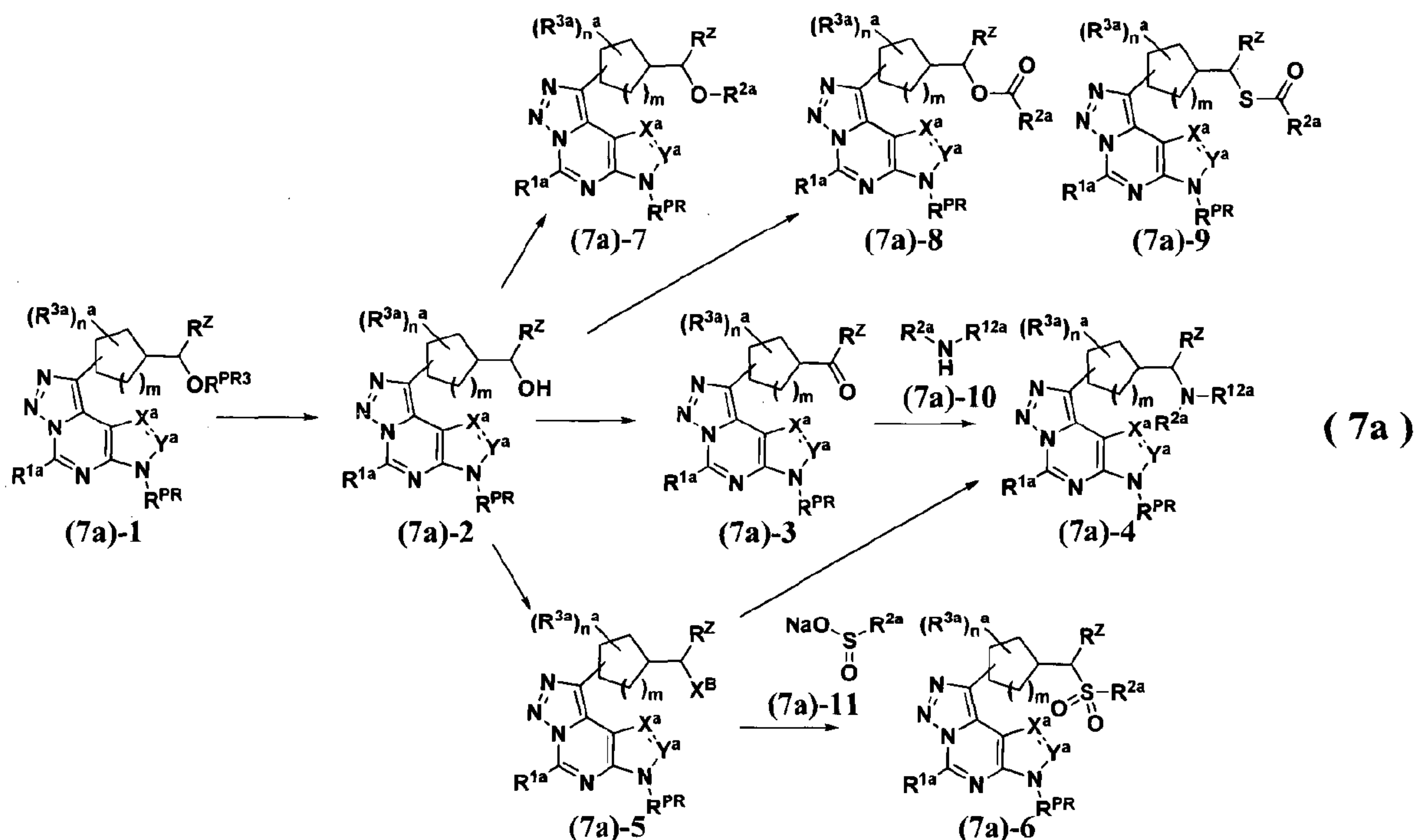
A compound (6a)-3 can be converted to a compound (6a)-4 by using equivalent or excessive amounts of a compound (6a)-6 and a reducing agent such as 2-picoline
30 borane or sodium triacetoxyborohydride in an appropriate solvent or in the absence of solvent at room temperature to a refluxing temperature.

A compound (6a)-2 can be converted to a compound (6a)-5 by using equivalent or excessive amounts of an acidic alcohol represented by R^{2a} -OH such as phenol, a Mitsunobu reagent and a phosphine reagent in an appropriate solvent or in the absence
35 of solvent at -78°C to a refluxing temperature. As a Mitsunobu reagent, diethyl azodicarboxylate, diisopropyl azodicarboxylate or the like may be mentioned, and as a phosphine reagent, triphenylphosphine, tributylphosphine or the like may be mentioned.

Compounds (6a)-3, (6a)-4 and (6a)-5 having a protective group as R^{PR} can be

converted to compounds (6a)-3, (6a)-4 and (6a)-5 having a hydrogen atom as R^{PR} by deprotection.

Among the compounds represented by the formula (I^a), the compounds (7a)-3, (7a)-4, (7a)-5, (7a)-6, (7a)-7, (7a)-8 and (7a)-9 can be produced, for example, through the following scheme (7a) (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^{PR3} is a protective group such as a benzyl group or an acetyl group, R^Z is a hydrogen atom or a C₁₋₆ alkyl group, X^B is a halogen atom, and the other symbols are the same as defined above).



A compound (7a)-1 among the compounds (1a)-3 can be converted to a compound (7a)-2 by deprotection.

A compound (7a)-2 can be converted to a compound (7a)-3 by using an equivalent or excessive amount of an oxidizing agent such as 2-iodoxybenzoic acid or pyridinium chlorochromate in an appropriate solvent or in the absence of solvent at -78°C to a refluxing temperature.

A compound (7a)-3 can be converted to a compound (7a)-4 by using equivalent or excessive amounts of a compound (7a)-10 and a reducing agent such as 2-picoline borane or sodium triacetoxyborohydride in an appropriate solvent or in the absence of solvent at room temperature to a refluxing temperature.

A compound (7a)-5 can be converted to a compound (7a)-4 by using an equivalent or excessive amount of a compound (7a)-10 in an appropriate solvent or in the absence of solvent at -78°C to a refluxing temperature. The presence of a base is sometimes effective for smooth progress of the reaction.

A compound (7a)-2 can be converted to a compound (7a)-5 by using equivalent or excessive amounts of a halogenating agent and a phosphine reagent in an appropriate solvent or in the absence of solvent at -78°C to a refluxing temperature. As a halogenating agent, N-bromosuccinimide, N,N-diethylaminosulfur trifluoride or the like may be mentioned, and as a phosphine reagent, triphenylphosphine, tributylphosphine or the like may be mentioned.

A compound (7a)-5 can be converted to a compound (7a)-6 by using an

equivalent or excessive amount of a compound (7a)-11 in an appropriate solvent or in the absence of solvent at room temperature to a refluxing temperature. The presence of an acid or a base is sometimes effective for smooth progress of the reaction.

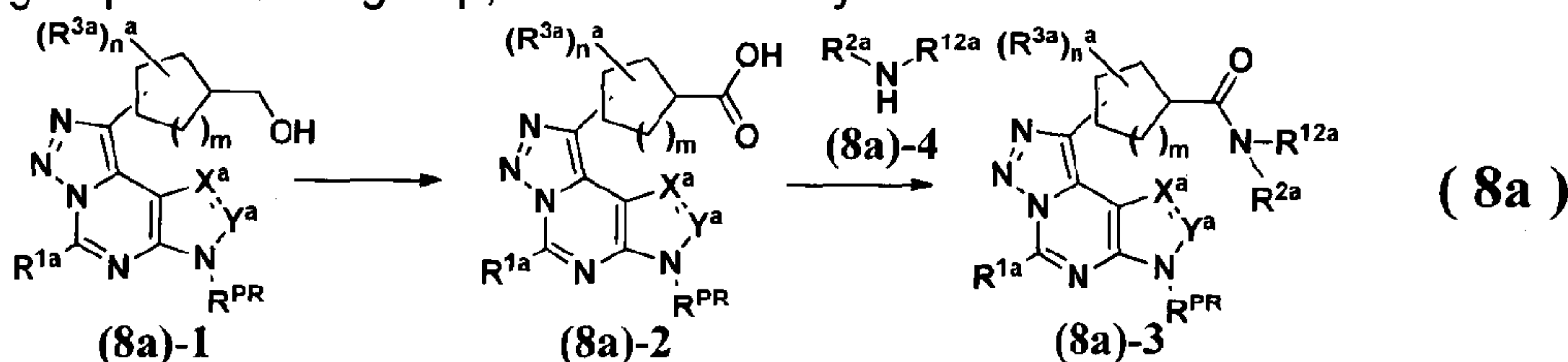
A compound (7a)-2 can be converted to a compound (7a)-7 by using equivalent or excessive amounts of an electrophilic reagent represented by $R^{2a}-R^L$ (R^L is a leaving group such as a halogen atom, a methanesulfonyloxy group or a p-toluenesulfonyloxy group) such as an alkyl halide, a methanesulfonyl ester or an acid halide and a base such as potassium carbonate or sodium hydroxide in an appropriate solvent or in the absence of solvent at -78°C to a refluxing temperature.

A compound (7a)-2 can be converted to a compound (7a)-7 by using equivalent or excessive amounts of an acidic alcohol represented by $R^{2a}-\text{OH}$ such as phenol, a Mitsunobu reagent and a phosphine reagent in an appropriate solvent or in the absence of solvent at -78°C to a refluxing temperature. As a Mitsunobu reagent, diethyl azodicarboxylate, diisopropyl azodicarboxylate or the like may be mentioned, and as a phosphine reagent, triphenylphosphine, tributylphosphine or the like may be mentioned.

A compound (7a)-2 can be converted to a compound (7a)-8 or (7a)-9 by using equivalent or excessive amounts of $R^{2a}\text{C}(=\text{O})\text{OH}$ or $R^{2a}\text{C}(=\text{O})\text{SH}$, a Mitsunobu reagent and a phosphine reagent in an appropriate solvent or in the absence of solvent at -78°C to a refluxing temperature. As $R^{2a}\text{C}(=\text{O})\text{OH}$, acetic acid or the like may be mentioned, as $R^{2a}\text{C}(=\text{O})\text{SH}$, thioacetic acid or the like may be mentioned. As a Mitsunobu reagent, diethyl azodicarboxylate, diisopropyl azodicarboxylate or the like may be mentioned, and as a phosphine reagent, triphenylphosphine, tributylphosphine or the like may be mentioned.

Compounds (7a)-3, (7a)-4, (7a)-5, (7a)-6, (7a)-7, (7a)-8 and (7a)-9 having a protective group as R^{PR} can be converted to compounds (7a)-3, (7a)-4, (7a)-5, (7a)-6, (7a)-7, (7a)-8 and (7a)-9 having a hydrogen atom as R^{PR} by deprotection.

Among the compounds represented by the formula (I^a), the compounds (8a)-2 and (8a)-3 can be produced, for example, through the following scheme (8a) (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, and the other symbols are the same as defined above).

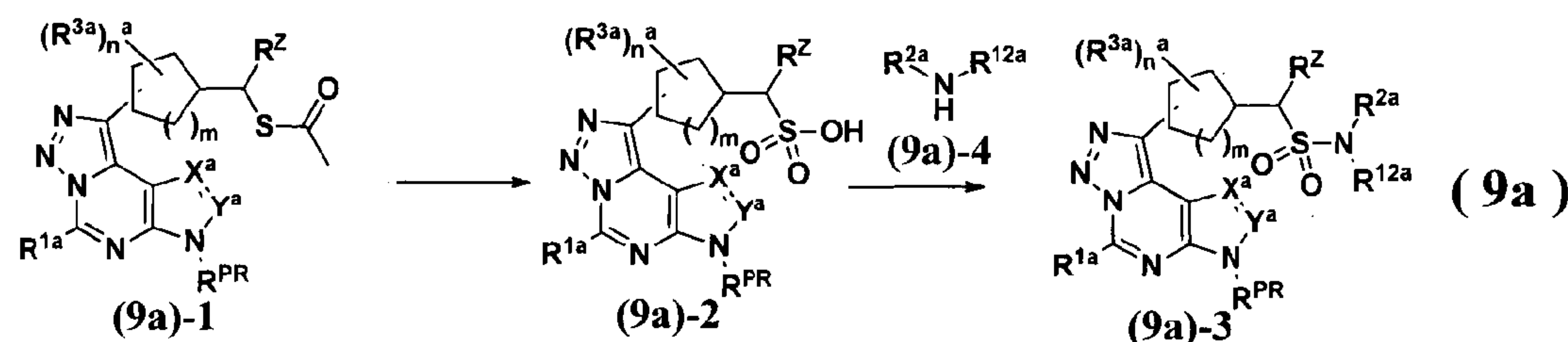


A compound (8a)-1 among the compounds (7a)-2 can be converted to a compound (8a)-2 by using an equivalent or excessive amount of an oxidizing agent such as Jones reagent in an appropriate solvent or in the absence of solvent at -78°C to a refluxing temperature.

A compound (8a)-2 can be converted to a compound (8a)-3 by using equivalent or excessive amounts of a compound (8a)-4 and a condensation agent such as N,N'-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.

Compounds (8a)-2 and (8a)-3 having a protective group as R^{PR} can be converted to compounds (8a)-2 and (8a)-3 having a hydrogen atom as R^{PR} by deprotection.

Among the compounds represented by the formula (I^a), the compounds (9a)-2 and (9a)-3 can be produced, for example, through the following scheme (9a) (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^Z is a hydrogen atom or a C₁₋₆ alkyl group, and the other symbols are the same as defined above).

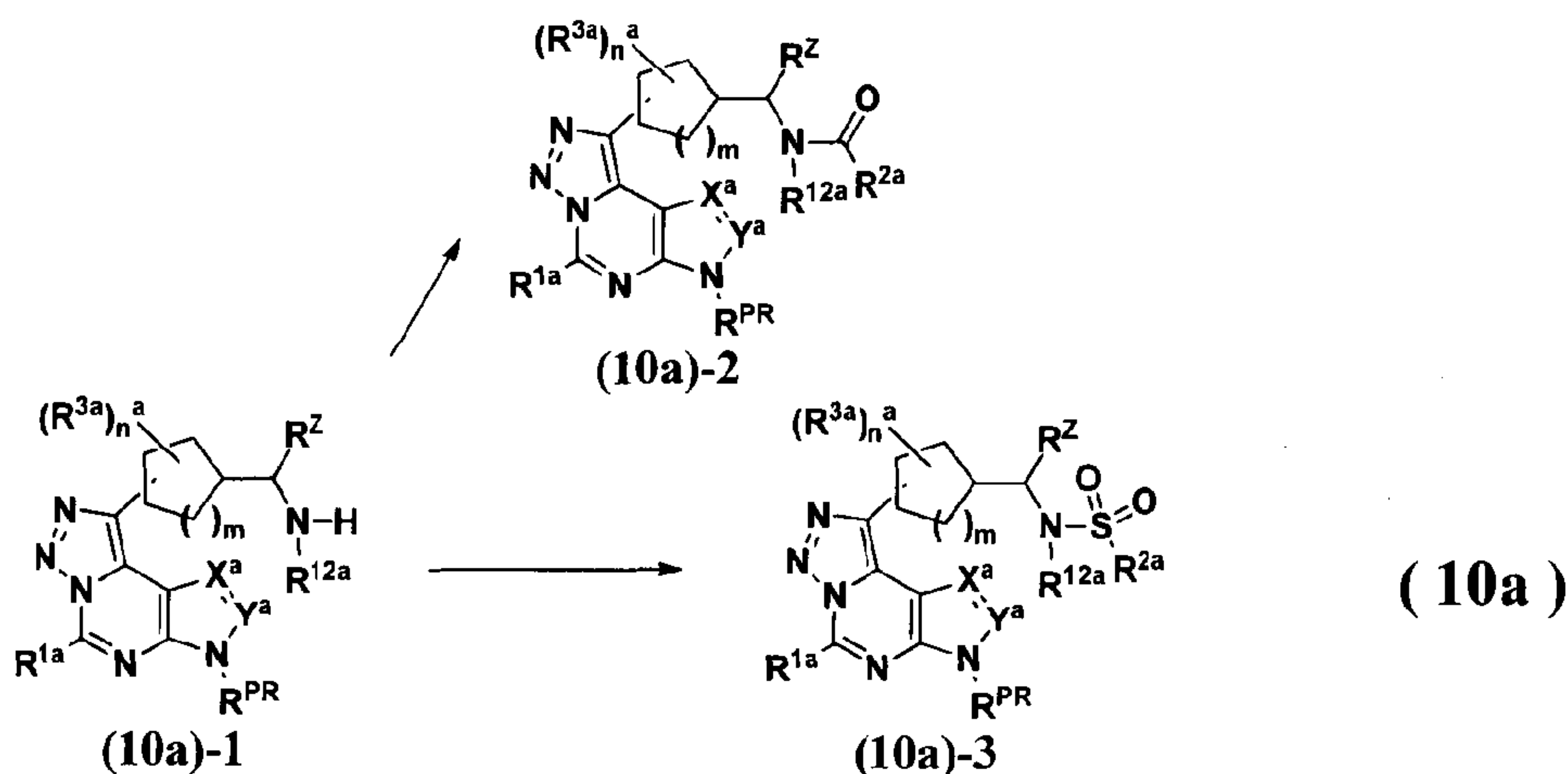


A compound (9a)-1 among the compounds (7a)-9 can be converted to a compound (9a)-2 by using an equivalent or excessive amount of an oxidizing agent such as hydrogen peroxide in an appropriate solvent or in the absence of solvent at -78°C to a refluxing temperature. The presence of an acid catalyst such as ammonium molybdate tetrahydrate is sometimes effective for smooth progress of the reaction.

A compound (9a)-2 can be converted to a compound (9a)-3 by using equivalent or excessive amounts of a compound (9a)-4 and a halogenating agent such as thionyl chloride or phosphorus oxychloride in an appropriate solvent or in the absence of solvent at 0°C to a refluxing temperature. The presence of a base such as triethylamine is sometimes effective for smooth progress of the reaction.

Compounds (9a)-2 and (9a)-3 having a protective group as R^{PR} can be converted to compounds (9a)-2 and (9a)-3 having a hydrogen atom as R^{PR} by deprotection.

Among the compounds represented by the formula (I^a), the compounds (10a)-2 and (10a)-3 can be produced, for example, through the following scheme (10a) (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^Z is a hydrogen atom or a C₁₋₆ alkyl group, and the other symbols are the same as defined above).



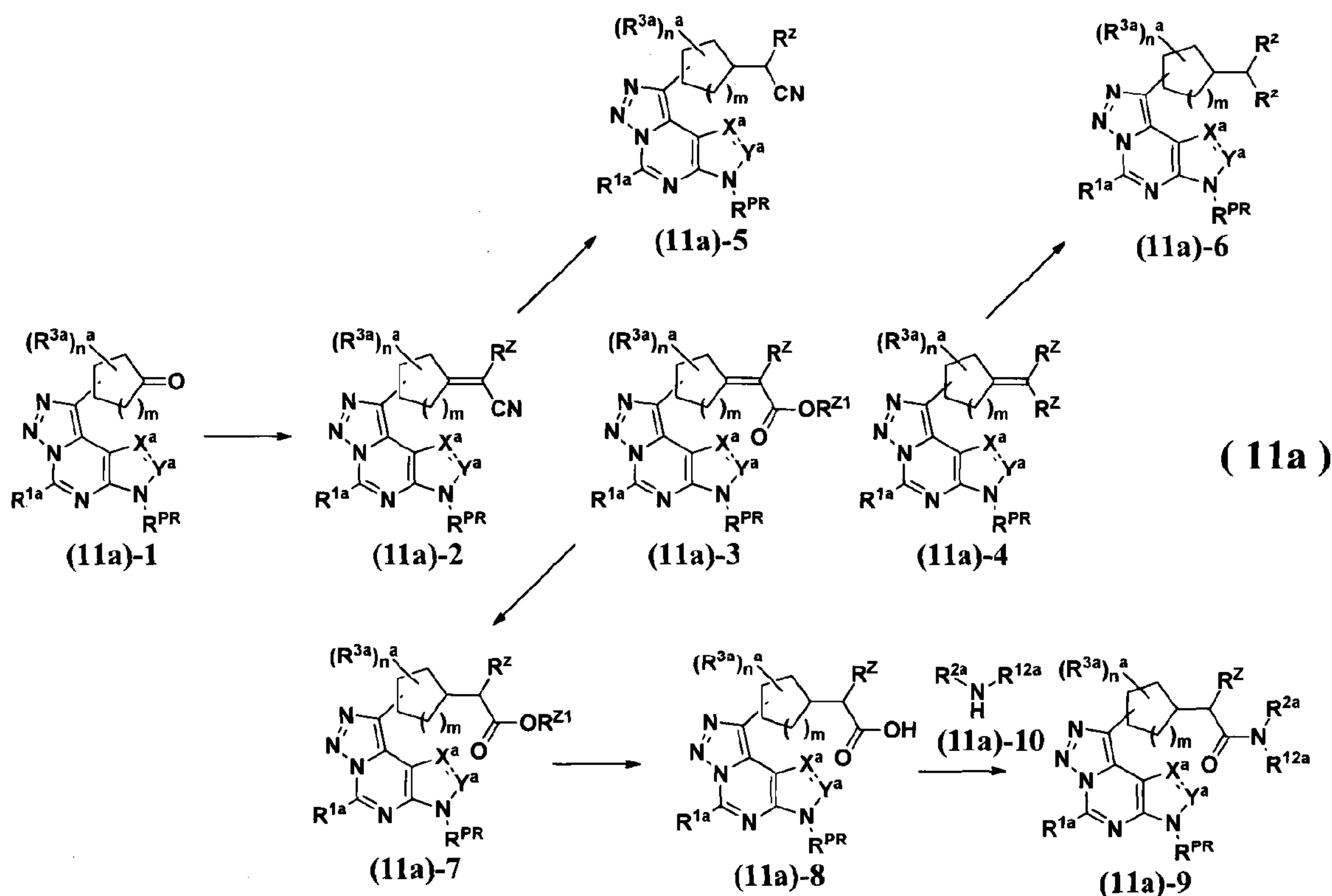
A compound (10a)-1 among the compounds (7a)-4 can be converted to a compound (10a)-2 by using an equivalent or excessive amount of an acid halide in an appropriate solvent or in the absence of solvent at -78°C to a refluxing temperature. The presence of a base is sometimes effective for smooth progress of the reaction.

A compound (10a)-1 among the compounds (7a)-4 can be converted to a compound (10a)-3 by using an equivalent or excessive amount of a sulfonyl halide in an

appropriate solvent or in the absence of solvent at -78°C to a refluxing temperature. The presence of a base is sometimes effective for smooth progress of the reaction.

Compounds (10a)-2 and (10a)-3 having a protective group as R^{PR} can be converted to compounds (10a)-2 and (10a)-3 having a hydrogen atom as R^{PR} by deprotection.

Among the compounds represented by the formula (1a), the compounds (11a)-2, (11a)-3, (11a)-4, (11a)-5, (11a)-6, (11a)-7, (11a)-8 and (11a)-9 can be produced, for example, through the following scheme (11a) (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^{Z} is a hydrogen atom or a C_{1-6} alkyl group, $\text{R}^{\text{Z}1}$ is a C_{1-6} alkyl group, and the other symbols are the same as defined above).



A compound (11a)-1 can be converted to a compound (11a)-2, (11a)-3 or (11a)-4 by using an equivalent or excessive amounts of a phosphonium ylide such as a Horner-Wadsworth-Emmons reagent and a base such as sodium hydride in an appropriate solvent or in the absence of solvent at -78°C to a refluxing temperature.

A compound (11a)-2, (11a)-4 or (11a)-3 can be converted to a compound (11a)-5, (11a)-6 or (11a)-7 respectively by using an equivalent or excessive amount of a metal catalyst such as palladium-carbon catalyst under a hydrogen atmosphere in an appropriate solvent at -78°C to a refluxing temperature.

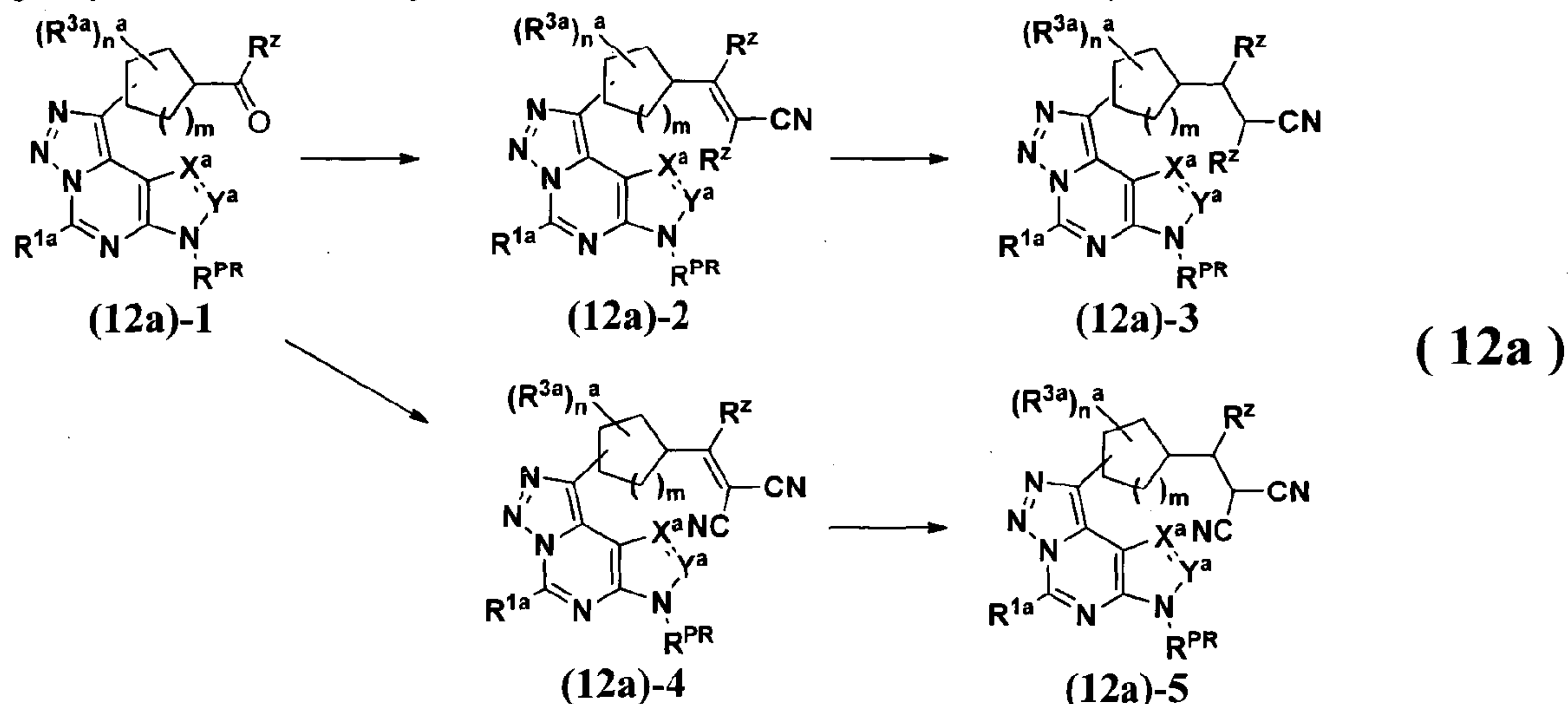
A compounds (11a)-7 can be converted to a compounds (11a)-8 by deprotection.

A compound (11a)-8 can be converted to a compound (11a)-9 by using equivalent or excessive amounts of a compound (11a)-10 and a condensation agent such as $\text{N,N}'$ -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.

Compounds (11a)-2, (11a)-3, (11a)-4, (11a)-5, (11a)-6, (11a)-7, (11a)-8 and (11a)-9

having a protective group as R^{PR} can be converted to compounds (11a)-2, (11a)-3, (11a)-4, (11a)-5, (11a)-6, (11a)-7, (11a)-8 and (11a)-9 having a hydrogen atom as R^{PR} by deprotection.

Among the compounds represented by the formula (I^a), the compounds (12a)-2, (12a)-3, (12a)-4 and (12a)-5 can be produced, for example, through the following scheme (12a) (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^Z is a hydrogen atom or a C_{1-6} alkyl group, and the other symbols are the same as defined above).



A compound (12a)-1 among the compounds (7a)-3 can be converted to a compound (12a)-2 by using equivalent or excessive amounts of a phosphonium ylide such as a Horner-Wadsworth-Emmons reagent and a base such as sodium hydride in an appropriate solvent or in the absence of solvent at -78°C to a refluxing temperature.

A compound (12a)-2 can be converted to a compound (12a)-3 by using an equivalent or excessive amount of a metal catalyst such as palladium-carbon catalyst under a hydrogen atmosphere in an appropriate solvent at -78°C to a refluxing temperature.

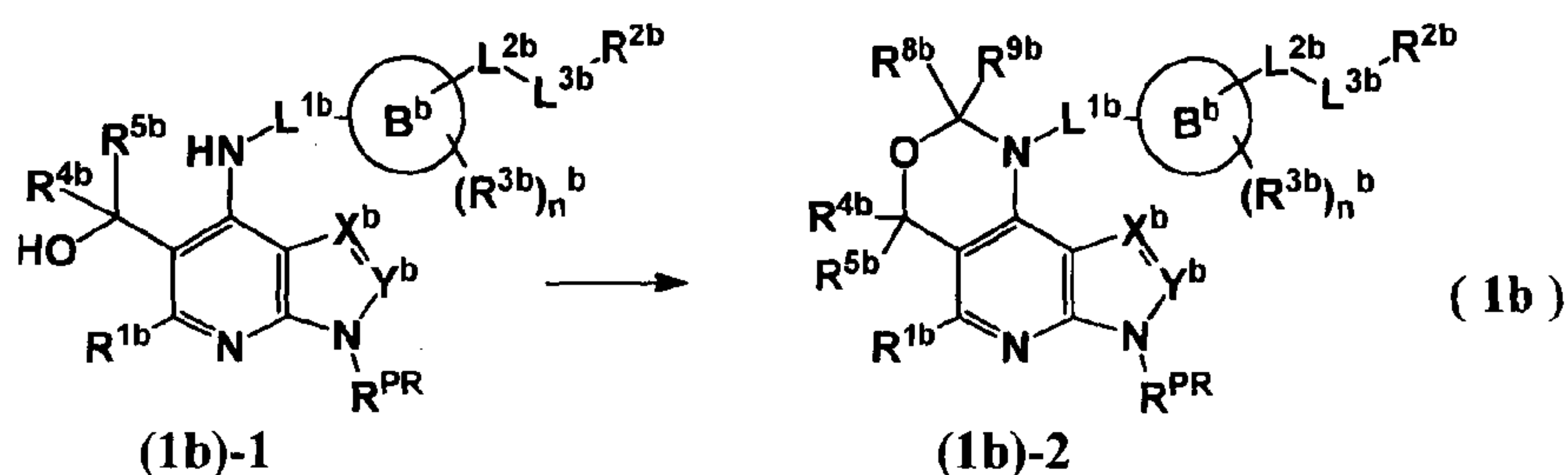
A compound (12a)-1 can be converted to a compound (12a)-4 by using equivalent or excessive amounts of malononitrile and a base such as piperidine in an appropriate solvent or in the absence of solvent at -78°C to a refluxing temperature.

A compound (12a)-4 can be converted to a compound (12a)-5 by using an equivalent or excessive amount of a metal catalyst such as palladium-carbon catalyst under a hydrogen atmosphere in an appropriate solvent at -78°C to a refluxing temperature.

Compounds (12a)-2, (12a)-3, (12a)-4 and (12a)-5 having a protective group as R^{PR} can be converted to compounds (12a)-2, (12a)-3, (12a)-4 and (12a)-5 having a hydrogen atom as R^{PR} by deprotection.

Next, processes for producing the tricyclic pyridine compounds represented by the formula (I^b) will be described.

Among the tricyclic pyridine compounds represented by the formula (I^b), the compounds (1b)-2 can be produced, for example, through the following scheme (1b) (wherein R^{PR} is a hydrogen atom or a protective group such as a Ts group, a TIPS group or a SEM group, and the other symbols are the same as defined above).

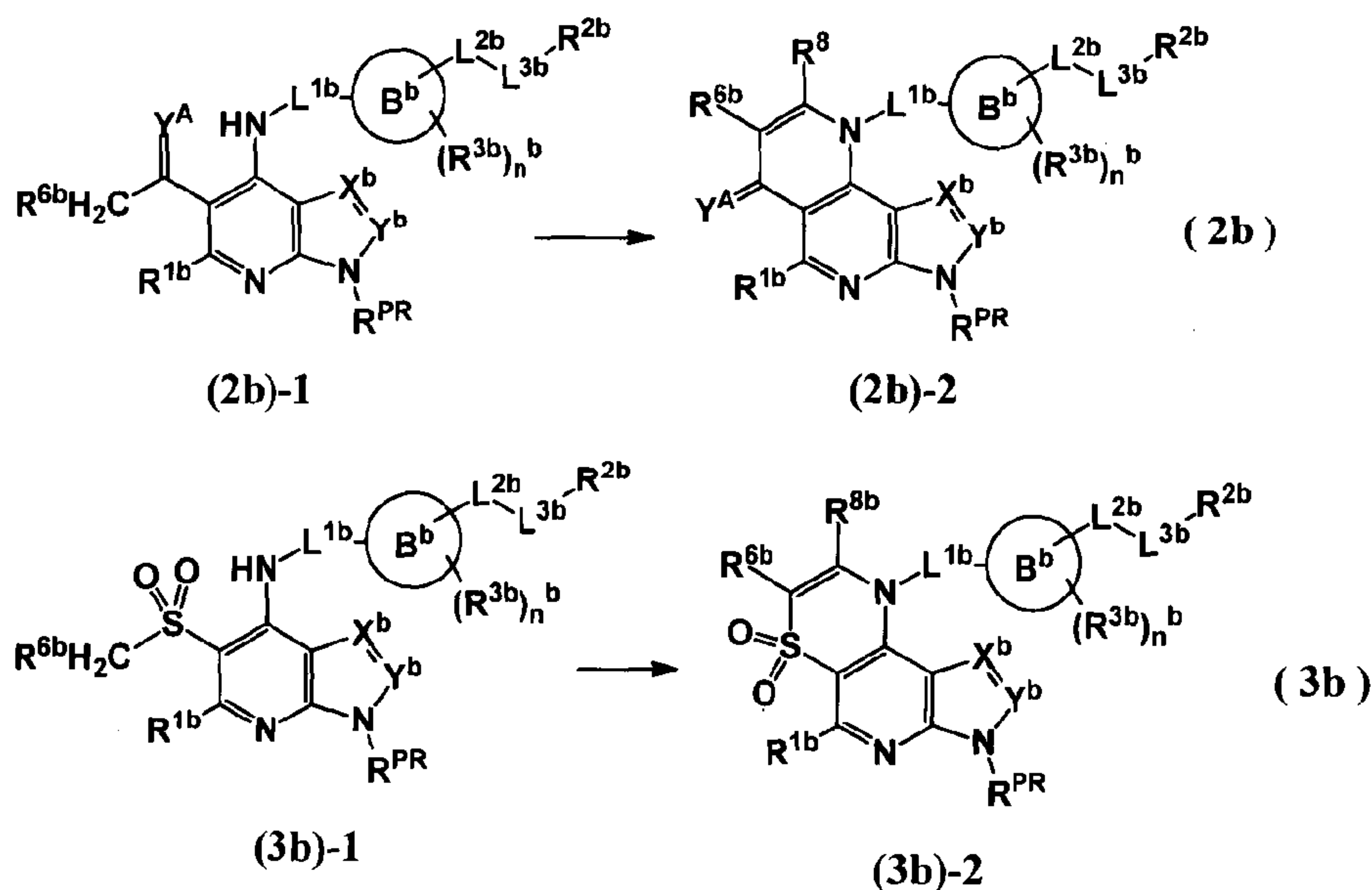


A compound (1b)-2 can be obtained by cyclization of a compound (1b)-1.

A compound (1b)-1 can be converted to a compound (1b)-2 by using an equivalent or excessive amount of $R^{8b}C(=O)R^{9b}$ or $R^{8b}C(OR^Q)_2R^{9b}$ (wherein R^Q is a hydrogen atom or a C_{1-6} alkyl group) in an appropriate solvent or in the absence of solvent at room temperature to a refluxing temperature. Microwave irradiation or the presence of an acid catalyst such as acetic acid, trifluoroacetic acid, hydrochloric acid, sulfuric acid or p-toluenesulfonic acid is sometimes effective for smooth progress of the reaction.

A compound (1b)-2 having a protective group as R^{PR} can be converted to a compound having a hydrogen atom as R^{PR} by deprotection.

Among the compounds represented by the formula (1b), the compounds (2b)-2 and (3b)-2 can be produced, for example, through the following schemes (2b) and (3b) (wherein Y^A is an oxygen atom or a sulfur atom, R^{PR} is a hydrogen atom or a protective group such as a Ts group, a TIPS group or a SEM group, and the other symbols are the same as defined above).



A compound (2b)-2 can be obtained by cyclization of a compound (2b)-1.

A compound (2b)-1 can be converted to a compound (2b)-2 by using an equivalent or excessive amount of $R^{8b}CHO$, $R^{8b}CO_2R^Q$, $R^{8b}C(OR^Q)_3$, $R^{8b}CONR^Q_2$ or $R^{8b}C(OR^Q)_2NR^Q_2$ (wherein R^Q is a hydrogen atom or a C_{1-6} alkyl group) in an appropriate solvent or in the absence of solvent at room temperature to a refluxing temperature. Microwave irradiation or the presence of an acid or a base is sometimes effective for smooth progress of the reaction.

A compound (2b)-2 having an oxygen atom as Y^A can be converted to a compound (2b)-2 having a sulfur atom as Y^A by using an equivalent or excessive amount of a thiocarbonylation agent such as phosphorus pentasulfide or Lawesson's

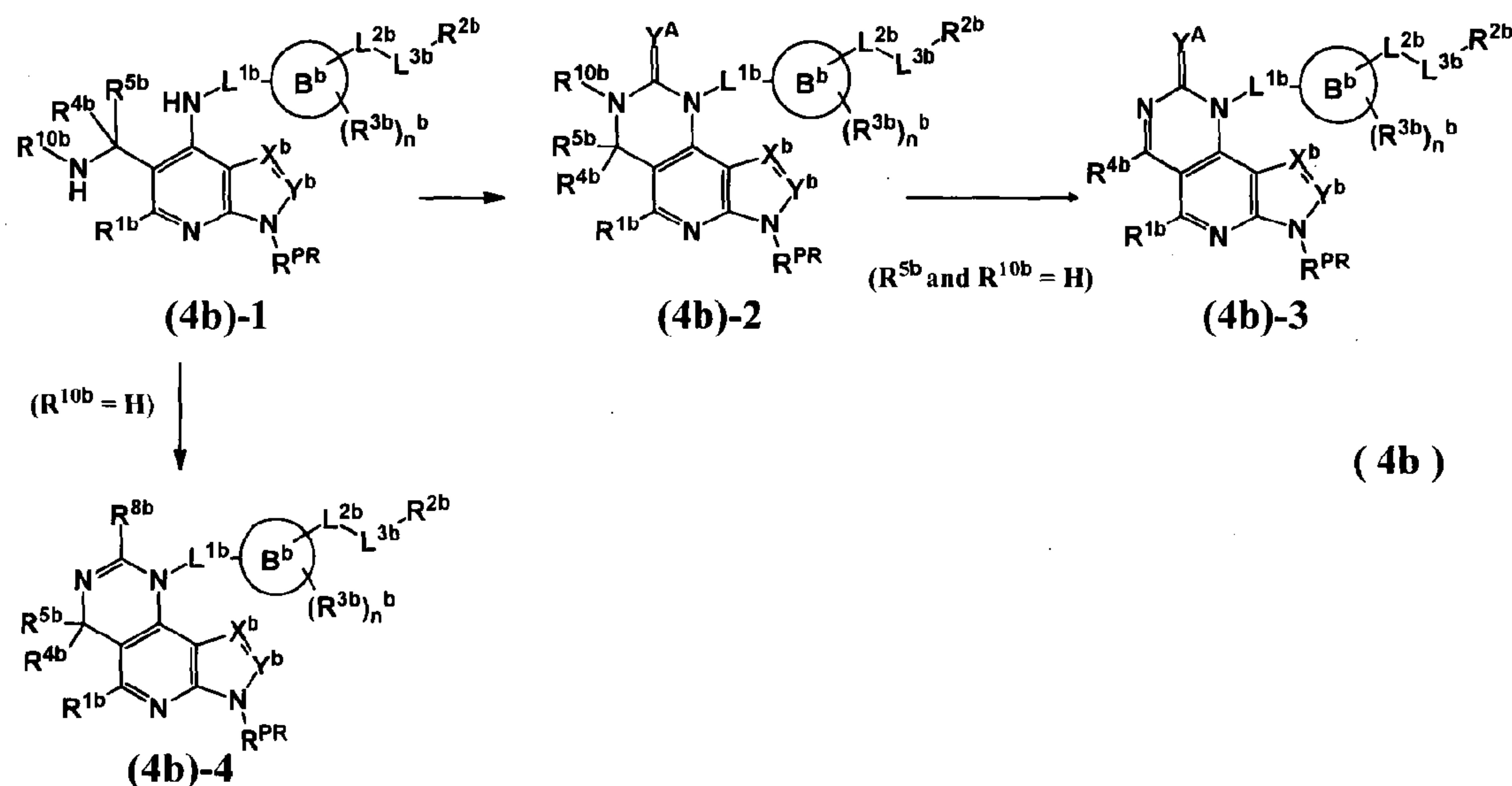
reagent in an appropriate solvent or in the absence of solvent at -78°C to a refluxing temperature.

A compound (2b)-2 having a protective group as R^{PR} can be converted to a compound having a hydrogen atom as R^{PR} by deprotection.

5 A compound (3b)-2 can be obtained by cyclization of a compound (3b)-1 like the synthesis of a compound (2b)-2.

A compound (3b)-2 having a protective group as R^{PR} can be converted to a compound having a hydrogen atom as R^{PR} by deprotection.

10 Among the compounds represented by the formula (I^b), the compounds (4b)-2, (4b)-3 and (4b)-4 can be produced, for example, through the following scheme (4b) (wherein Y^{A} is an oxygen atom or a sulfur atom, R^{PR} is a hydrogen atom or a protective group such as a Ts group, a TIPS group or a SEM group, and the other symbols are the same as defined above).



15 A compound (4b)-2 can be obtained by cyclization of a compound (4b)-1.

A compound (4b)-1 can be converted to a compound (4b)-2 by using an equivalent or excessive amount of phosgene, phosgene dimer, phosgene trimer, 1,1'-carbonyldiimidazole, dimethyl carbonate, 1,1'-thiocarbonyldiimidazole, carbon disulfide or the like in an appropriate solvent at room temperature to a refluxing temperature.

20 The presence of an acid or a base is sometimes effective for smooth progress of the reaction.

A compound (4b)-2 having hydrogen atoms as R^{5b} and R^{10b} can be converted to a compound (4b)-3 by using a catalyst such as palladium-carbon or manganese dioxide in an appropriate solvent at room temperature to a refluxing temperature.

25 A compound (4b)-2 or (4b)-3 having an oxygen atom as Y^{A} can be converted to a compound (4b)-2 or (4b)-3 having a sulfur atom as Y^{A} by using a thiocarbonylation agent such as phosphorus pentasulfide or Lawesson's reagent in an appropriate solvent or in the absence of solvent at -78°C to a refluxing temperature.

30 A compound (4b)-2 or (4b)-3 having a protective group as R^{PR} can be converted to a compound having a hydrogen atom as R^{PR} by deprotection.

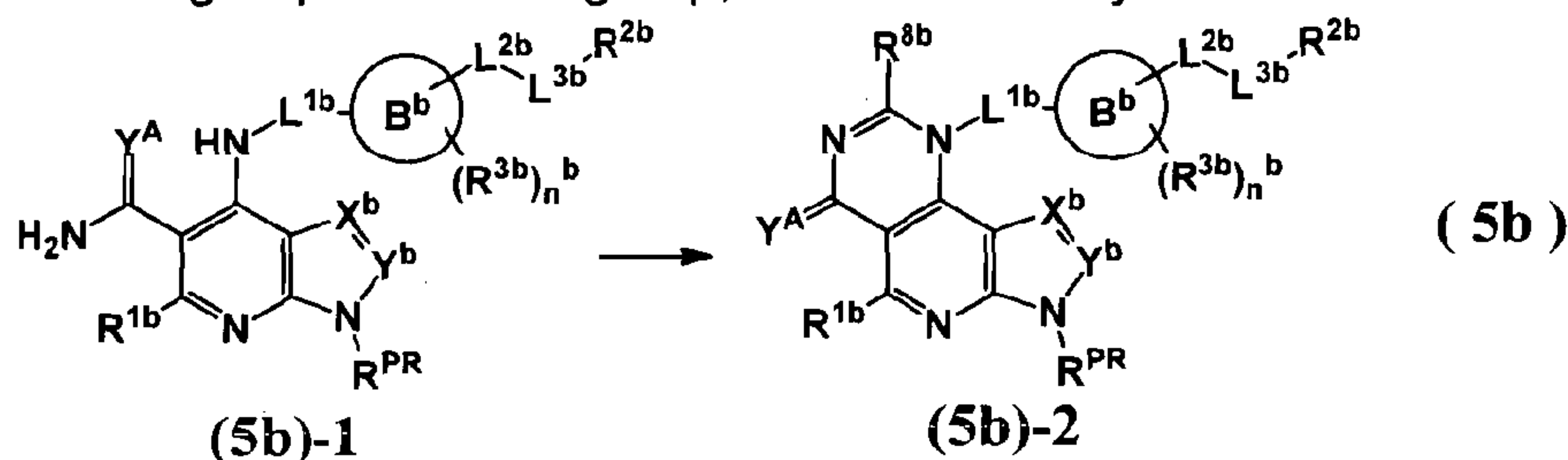
A compound (4b)-1 having a hydrogen atom as R^{10b} can be converted to a compound (4b)-4 by cyclization.

A compound (4b)-1 can be converted to a compound (4b)-4 by using an

equivalent or excessive amount of $R^{8b}CHO$, $R^{8b}CO_2R^Q$, $R^{8b}C(OR^Q)_3$, $R^{8b}CONR^Q_2$ or $R^{8b}C(OR^Q)_2NR^Q_2$ (wherein R^Q is a hydrogen atom or C_{1-6} alkyl group) in an appropriate solvent or in the absence of solvent at room temperature to a refluxing temperature. Microwave irradiation or the presence of an acid or a base is sometimes effective for smooth progress of the reaction.

A compound (4b)-4 having a protective group as R^{PR} can be converted to a compound having a hydrogen atom as R^{PR} by deprotection.

Among the compounds represented by the formula (I^b), the compounds (5b)-2 can be produced, for example, through the following scheme (5b) (wherein Y^A is an oxygen atom or a sulfur atom, R^{PR} is a hydrogen atom or a protective group such as a Ts group, a TIPS group or a SEM group, and the other symbols are the same as defined above).



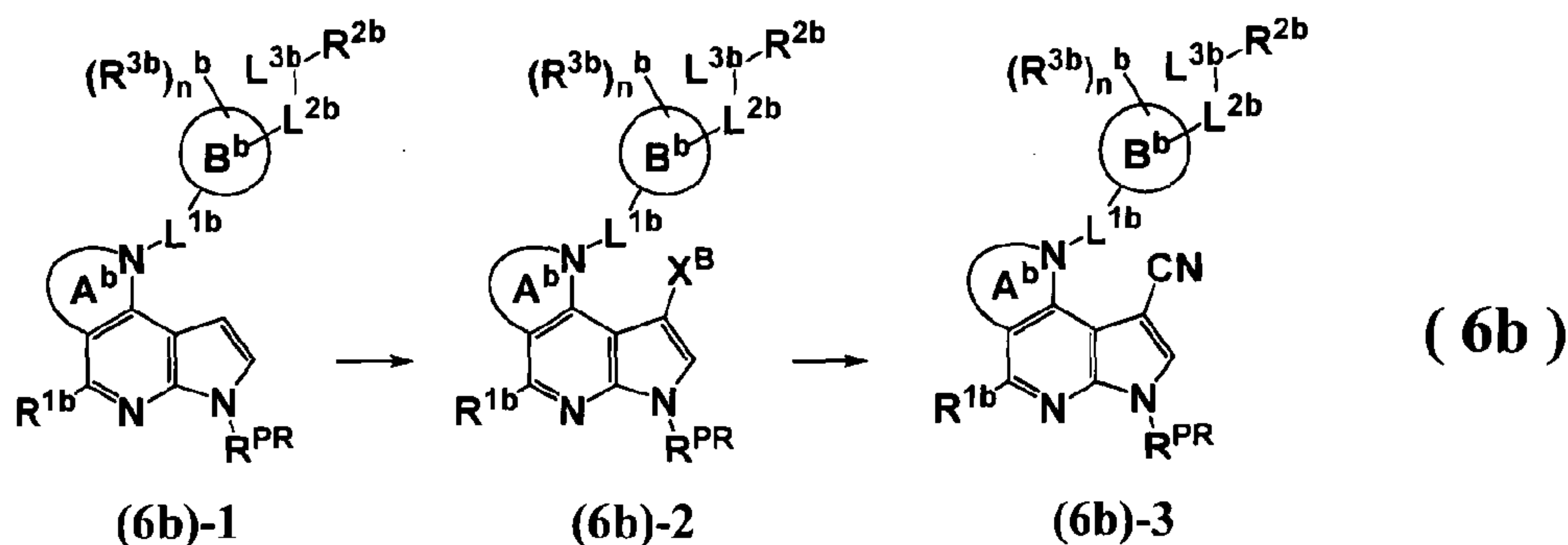
A compound (5b)-2 can be obtained by cyclization of a compound (5b)-1.

A compound (5b)-1 can be converted to a compound (5b)-2 by using an equivalent or excessive amount of $R^{8b}CHO$, $R^{8b}CO_2R^Q$, $R^{8b}C(OR^Q)_3$, $R^{8b}CONR^Q_2$ or $R^{8b}C(OR^Q)_2NR^Q_2$ (wherein R^Q is a hydrogen atom or a C_{1-6} alkyl group) in an appropriate solvent or in the absence of solvent at room temperature to a refluxing temperature. Microwave irradiation or the presence of an acid or a base is sometimes effective for smooth progress of the reaction.

A compound (5b)-2 having an oxygen atom as Y^A can be converted to a compound having a sulfur atom as Y^A by using an equivalent or excessive amount of a thiocarbonylation agent such as phosphorus pentasulfide or Lawesson's reagent in an appropriate solvent or in the absence of solvent at $-78^\circ C$ to a refluxing temperature.

A compound (5b)-2 having a protective group as R^{PR} can be converted to a compound having a hydrogen atom as R^{PR} by deprotection.

Among the compounds represented by the formula (I^b), the compounds (6b)-2 and (6b)-3 can be produced, for example, through the following scheme (6b) (wherein X^B is a bromine atom or an iodine atom, R^{PR} is a hydrogen atom or a protective group such as a Ts group, a TIPS group or a SEM group, and the other symbols are the same as defined above).



A compound (6b)-3 can be obtained by bromination or iodination of a compound (6b)-1 followed by cyanization of the resulting compound (6b)-2.

A compound (6b)-1 can be converted to a compound (6b)-2 by using an

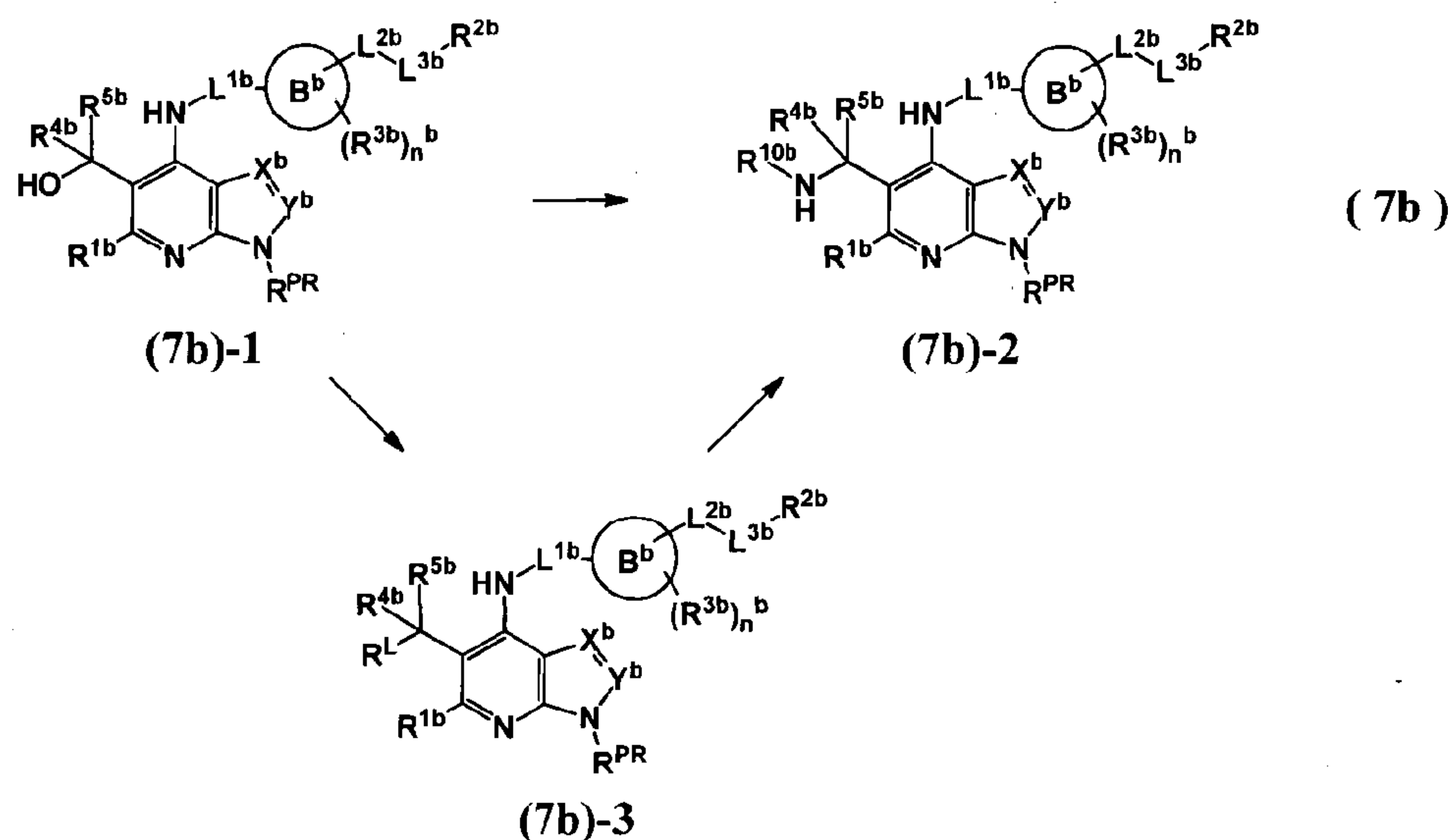
equivalent or excessive amount of a halogenating agent such as bromine, iodine, N-bromosuccinimide or N-iodosuccinimide in an appropriate solvent or in the absence of solvent at -78°C to a refluxing temperature.

A compound (6b)-2 can be converted to a compound (6b)-3 by using an equivalent or excessive amount of a metal cyanide such as copper cyanide or zinc cyanide in the presence of a palladium catalyst such as tetrakis(triphenylphosphine)palladium(0) or bis(triphenylphosphine)palladium(II) dichloride in an appropriate solvent or in the absence of solvent at room temperature to a refluxing temperature.

A compound (6b)-2 or (6b)-3 having a protective group as R^{PR} can be converted to a compound having a hydrogen atom as R^{PR} by deprotection.

(Synthesis of starting materials 1b)

The compounds (7b)-2 can be produced, for example, through the following scheme (7b) (wherein R^{L} is a leaving group such as a chlorine atom, a methanesulfonyloxy group or a p-toluenesulfonyloxy group, R^{PR} is a hydrogen atom or a protective group such as a Ts group, a TIPS group or a SEM group, and the other symbols are the same as defined above).



A compound (7b)-2 can be obtained by a Mitsunobu reaction of a compound (7b)-1 with $\text{R}^{\text{10b}}\text{R}^{\text{PR1}}\text{NH}$ (wherein R^{PR1} is a protective group suited for a Mitsunobu reaction such as a methanesulfonyl group or a p-toluenesulfonyl group) followed by deprotection.

A compound (7b)-1 can be converted to a compound (7b)-2 by using equivalent or excessive amounts of $\text{R}^{\text{10b}}\text{R}^{\text{PR1}}\text{NH}$, a Mitsunobu reagent and a phosphine reagent in an appropriate solvent or in the absence of solvent at -78°C to a refluxing temperature, followed by deprotection. As a Mitsunobu reagent, diethyl azodicarboxylate, diisopropyl azodicarboxylate or the like may be mentioned, and as a phosphine reagent, triphenylphosphine, tributylphosphine or the like may be mentioned. A compound (7b)-2 having a hydrogen atom as R^{10b} can be obtained by a similar reaction using phthalimide instead of $\text{R}^{\text{10b}}\text{R}^{\text{PR1}}\text{NH}$ followed by deprotection.

A compound (7b)-2 can be obtained by conversion of a compound (7b)-1 to a compound (7b)-3 having a leaving group R^{L} followed by a substitution reaction using $\text{R}^{\text{10b}}\text{NH}_2$.

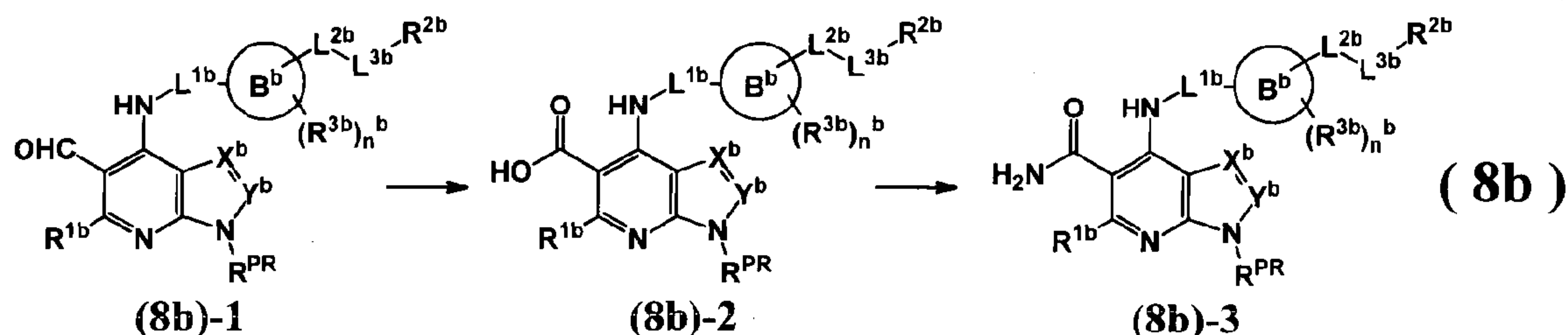
A compound (7b)-1 can be converted to a compound (7b)-3 by using an equivalent or excessive amount of phosphorus oxychloride, thionyl chloride,

methanesulfonyl chloride, p-toluenesulfonyl chloride or the like in an appropriate solvent or in the absence of solvent at -78°C to a refluxing temperature. The presence of a base is sometimes effective for smooth progress of the reaction.

A compound (7b)-3 can be converted to a compound (7b)-2 by using an equivalent or excessive amount of $\text{R}^{10\text{b}}\text{NH}_2$ in an appropriate solvent or in the absence of solvent at -78°C to a refluxing temperature. Microwave irradiation or the presence of a base is sometimes effective for smooth progress of the reaction.

(Synthesis of starting materials 2b)

The compounds (8b)-3 can be produced, for example, through the following scheme (8b) (wherein R^{PR} is a hydrogen atom or a protective group such as a Ts group, a TIPS group or a SEM group, and the other symbols are the same as defined above).



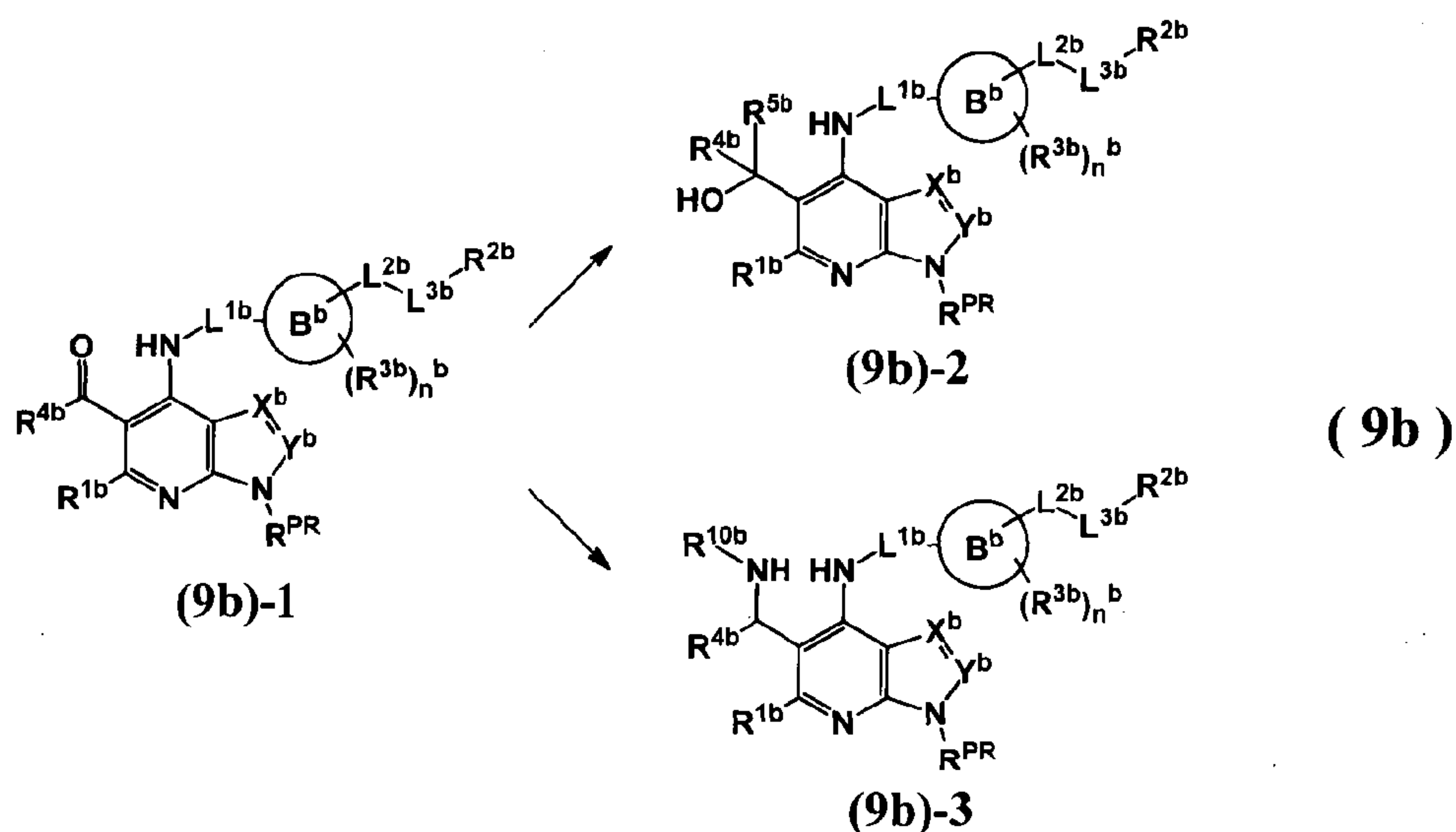
A compound (8b)-3 can be obtained by oxidation of a compound (8b)-1 followed by condensation of the resulting compound (8b)-2.

A compound (8b)-1 can be converted to a compound (8b)-2 by using an equivalent or excessive amount of an oxidizing agent such as potassium permanganate or sodium chlorite in an appropriate solvent or in the absence of solvent at room temperature to a refluxing temperature.

A compound (8b)-2 can be converted to a compound (8b)-3 by using equivalent or excessive amounts of ammonia-methanol or its equivalent and a condensation agent such as N,N'-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 a catalyst such as N-hydroxybenzotriazole or a base is sometimes effective for smooth progress of the reaction.

(Synthesis of starting materials 3b)

The compounds (9b)-2 and (9b)-3 can be produced, for example, through the following scheme (9b) (wherein R^{PR} is a hydrogen atom or a protective group such as a Ts group, a TIPS group or a SEM group, and the other symbols are the same as defined above).



A compound (9b)-2 can be obtained by an addition reaction of a compound (9b)-1.

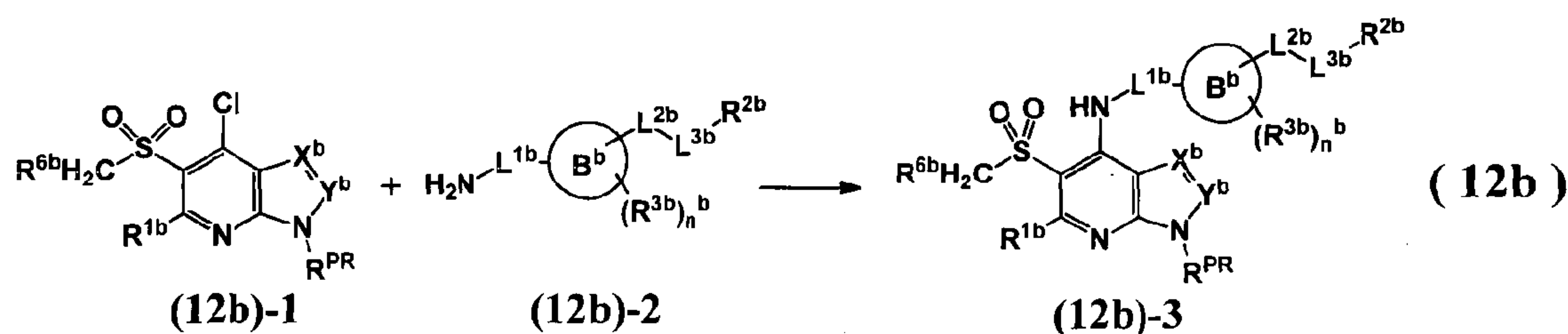
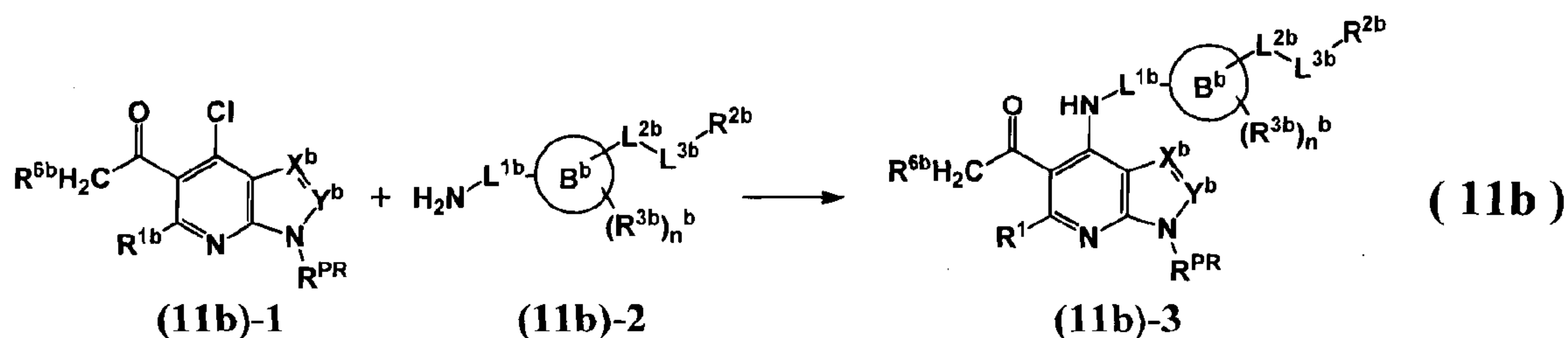
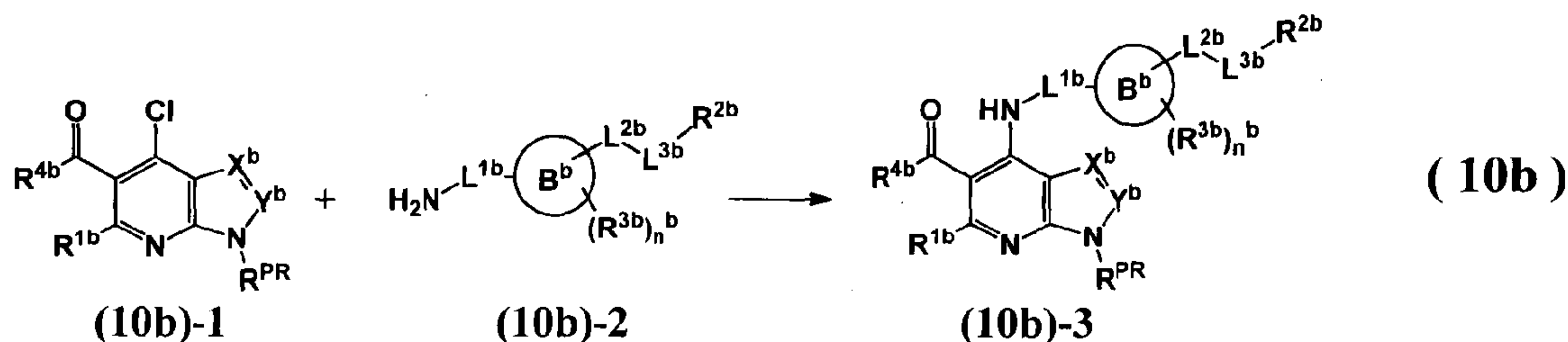
A compound (9b)-1 can be converted to a compound (9b)-2 by using an equivalent or excessive amount of an addition reaction reagent in a solvent inert to the reaction at -78°C to a refluxing temperature. As an addition reaction reagent, a hydride reducing agent such as sodium borohydride or diisobutylaluminum hydride or a metal reagent such as methyllithium or phenylmagnesium bromide may be mentioned.

A compound (9b)-3 can be obtained by reductive N-alkylation of a compound (9b)-1 through formation of an imine.

A compound (9b)-1 can be converted to a compound (9b)-3 by using equivalent or excessive amounts of $\text{R}^{10\text{b}}\text{NH}_2$ and a hydride reducing agent such as sodium cyanoborohydride or sodium triacetoxyborohydride in an appropriate solvent or in the absence of solvent at 0°C to a refluxing temperature. Microwave irradiation or the presence of an acid is sometimes effective for smooth progress of the reaction. A compound having a hydrogen atom as $\text{R}^{10\text{b}}$ can be obtained by using hydroxylamine or its equivalent instead of $\text{R}^{10\text{b}}\text{NH}_2$ and lithium aluminum hydride, zinc or a hydrogen atmosphere containing palladium-carbon as a reducing agent.

(Synthesis of starting materials 4b)

The compounds (10b)-3, (11b)-3 and (12b)-3 can be produced, for example, through the following schemes (10b), (11b) and (12b) (wherein R^{PR} is a hydrogen atom or a protective group such as a Ts group, a TIPS group or a SEM group, and the other symbols are the same as defined above).

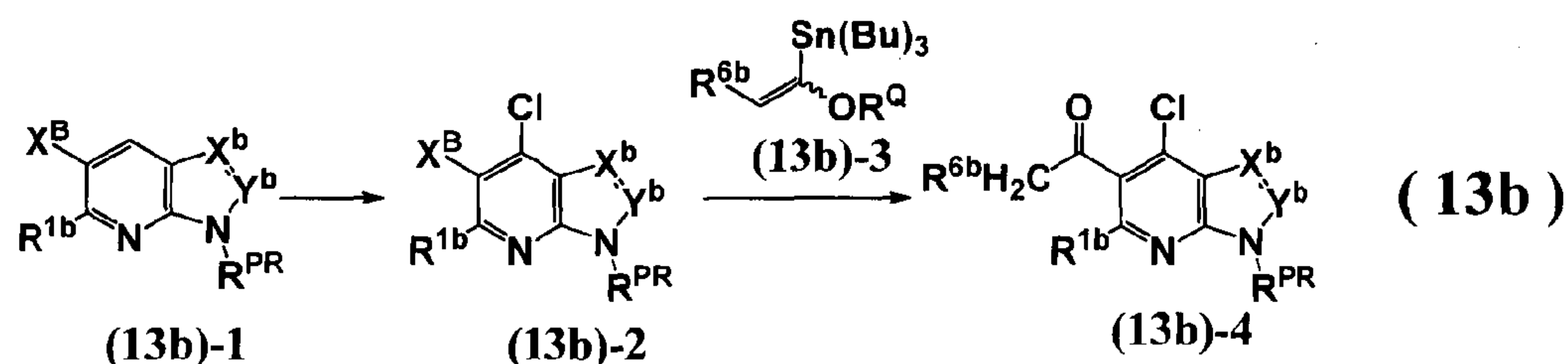


A compound (10b)-1 can be converted to a compound (10b)-3 by using an equivalent or excessive amount of an amine derivative (10b)-2 in an appropriate solvent or in the absence of solvent at room temperature to a refluxing temperature. The substituent reaction is preferred to be carried out under microwave irradiation or sometimes in the presence of a base or may be carried out under the reaction conditions used for the Buchwald-Hartwig reaction (for example, by referring to Advanced Synthesis & Catalysis, 2004, 346, pp. 1599-1626). It is possible to appropriately combine tris(dibenzylideneacetone)dipalladium (0), tetrakis(triphenylphosphine)palladium(0), palladium (II) acetate or the like with 4,5-bis(diphenylphosphino)-9,9-dimethylxanthene (Xantphos), 2-dicyclohexylphosphino-2',6'-dimethoxybiphenyl (SPhos), 2-dicyclohexylphosphino-2',4',6'-triisopropylbiphenyl (XPhos) or the like, without particular restrictions.

Compounds (11b)-3 and (12b)-3 can be obtained by using a compound (11b)-1 and an amine derivative (11b)-2 or a compound (12b)-1 and an amine derivative (12b)-2, like a compound (10b)-3.

(Synthesis of starting materials 5b)

The compounds (13b)-4 can be produced, for example, through the following scheme (13b) (wherein R^{PR} is a hydrogen atom or a protective group such as a Ts group, a TIPS group or a SEM group, R^Q is a hydrogen atom or a C_{1-6} alkyl group, and the other symbols are the same as defined above).



A compound (13b)-4 can be obtained by the Stille reaction of compounds (13b)-2 and (13b)-3 (for example, by referring Bulletin of the Chemical Society of Japan, 1987, 60, pp. 767-768).

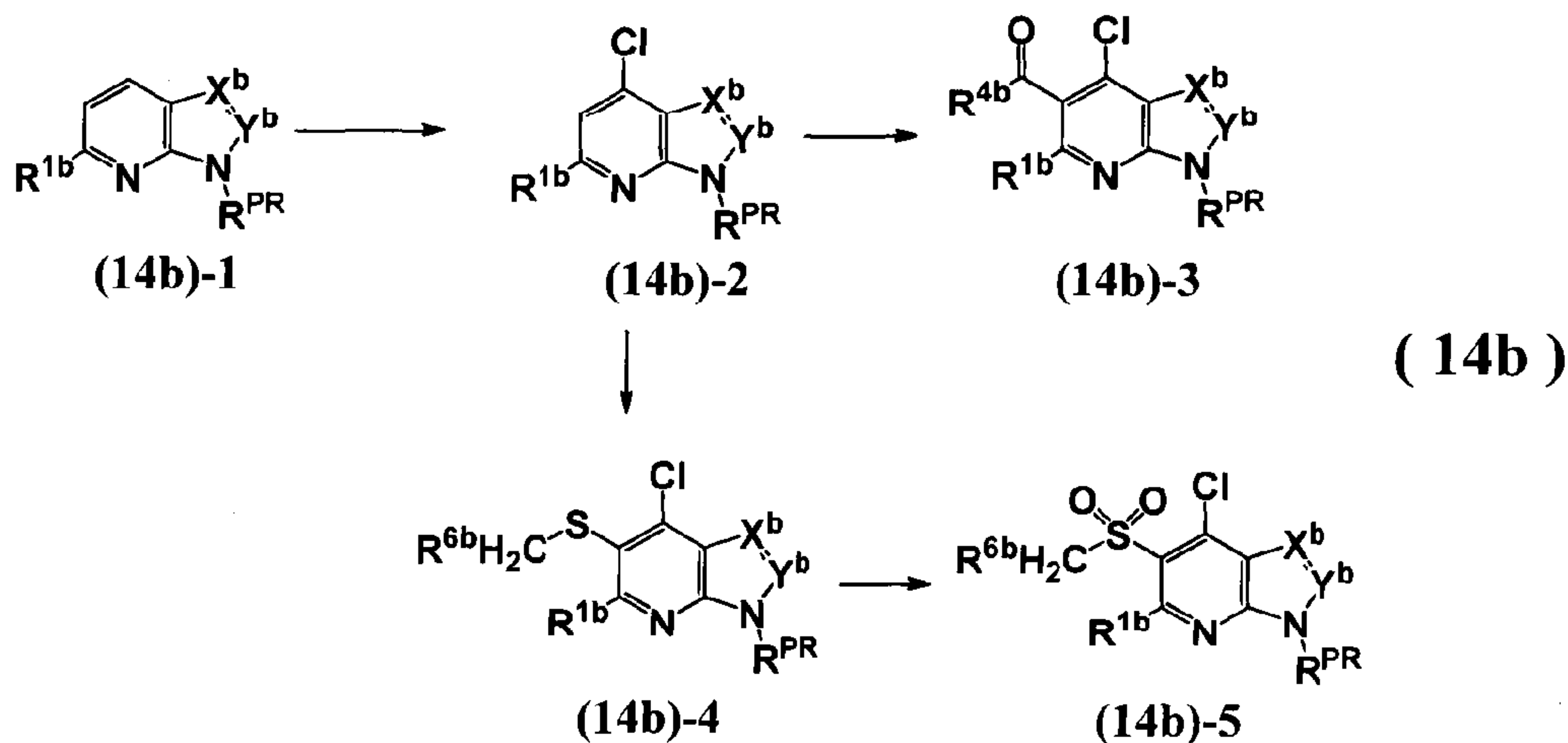
A compound (13b)-2 can be converted to a compound (13b)-4 by using an equivalent or excessive amount of a compound (13b)-3 in the presence of a palladium catalyst such as tetrakis(triphenylphosphine)palladium (0), bis(triphenylphosphine)palladium (II) dichloride or bis(acetonitrile)palladium (II) dichloride in an appropriate solvent or in the absence of solvent at room temperature to a refluxing temperature. The presence of an acid or a base is sometimes effective for smooth progress of the reaction.

A compound (13b)-2 can be obtained by oxidization of a compound (13b)-1 followed by a reaction of the resulting N-oxide derivative with a chlorination agent.

A compound (13b)-1 can be converted to a compound (13b)-2 by oxidation with an equivalent or excessive amount of an oxidizing agent such as m-chloroperoxybenzoic acid, peracetic acid or aqueous hydrogen peroxide in an appropriate solvent or in the absence of solvent at 0°C to a refluxing temperature, followed by a reaction of the resulting N-oxide derivative with an equivalent or excessive amount of a chlorination agent such as phosphorus oxychloride or methanesulfonyl chloride in an appropriate solvent or in the absence of solvent at room temperature to a refluxing temperature.

(Synthesis of starting materials 6b)

The compounds (14b)-3 and (14b)-5 can be produced, for example, through the following scheme (14b) (wherein R^{PR} is a hydrogen atom or a protective group such as a Ts group, a TIPS group or a SEM group, and the other symbols are the same as defined above).



Compounds (14b)-3 and (14b)-4 can be obtained by coupling of an anion formed from a compound (14b)-2.

A compound (14b)-2 can be converted to a compound (14b)-3 by lithiation using an equivalent or excessive amount of an organic metal reagent such as n-butyllithium or s-butyllithium in an appropriate solvent or in the absence of solvent at -78°C to room temperature followed by coupling with an electrophilic reagent such as N,N-dimethylformamide, $R^{4b}CO_2R^Q$, $R^{4b}CONR^Q_2$ or $R^{4b}C(O)N(OR^Q)R^Q$ (wherein R^Q is a hydrogen atom or a C_{1-6} alkyl group).

A compound (14b)-2 can be converted to a compound (14b)-4 by lithiation using an equivalent or excessive amount of an organic metal reagent such as n-butyllithium or s-butyllithium in an appropriate solvent or in the absence of solvent at -78°C to room

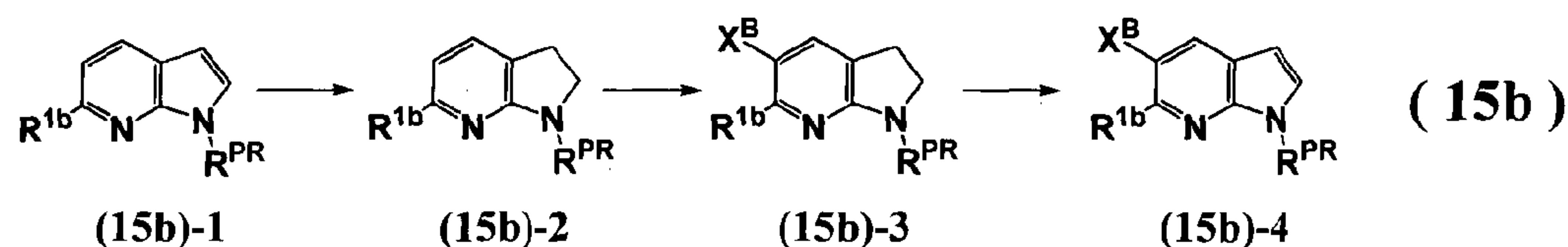
temperature followed by coupling with an electrophilic reagent such as $(R^{6b}CH_2S)_2$.

A compound (14b)-4 can be converted to a compound (14b)-5 by using an equivalent or excessive amount of an oxidizing agent such as m-chloroperbenzoic acid, peracetic acid or aqueous hydrogen peroxide in an appropriate solvent or in the absence of solvent at 0°C to a refluxing temperature.

A compound (14b)-1 can be converted to a compound (14b)-2 by oxidation with an equivalent or excessive amount of an oxidizing agent such as m-chloroperbenzoic acid, peracetic acid or aqueous hydrogen peroxide in an appropriate solvent or in the absence of solvent at 0°C to a refluxing temperature, followed by a reaction of the resulting N-oxide derivative with an equivalent or excessive amount of a chlorination agent such as phosphorus oxychloride or methanesulfonyl chloride in an appropriate solvent or in the absence of solvent at room temperature to a refluxing temperature.

(Synthesis of starting materials 7b)

The compounds (15b)-4 can be produced, for example, through the following scheme (15b) (wherein R^{PR} is a hydrogen atom or a protective group such as a Ts group, a TIPS group or a SEM group).



A compound (15b)-4 can be obtained by bromination or iodination of a compound (15b)-2 followed by dehydrogenation of the resulting compound (15b)-3.

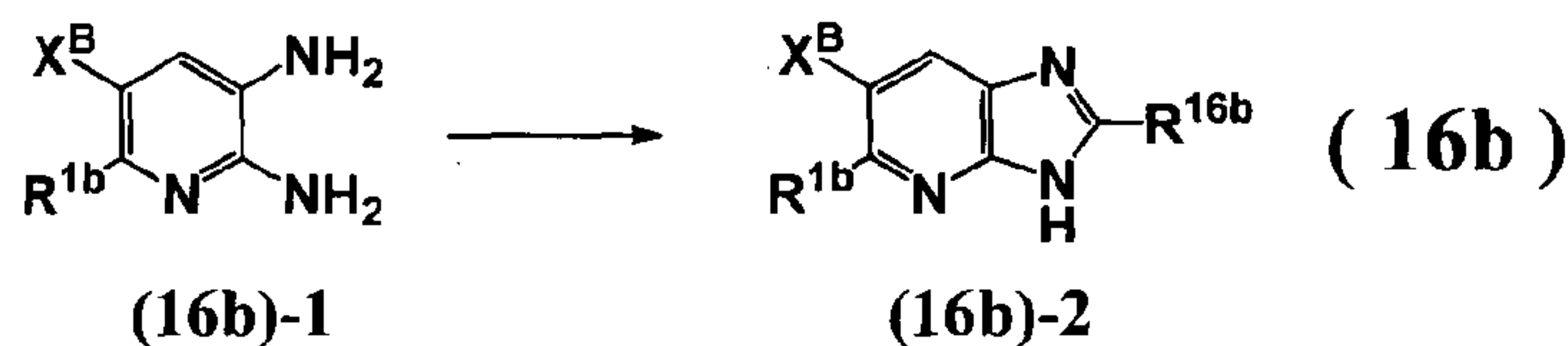
A compound (15b)-3 can be converted to a compound (15b)-4 by using a catalyst such as palladium-carbon or manganese dioxide in an appropriate solvent or in the absence of solvent at room temperature to a refluxing temperature.

A compound (15b)-2 can be converted to a compound (15b)-3 by using an equivalent or excessive amount of a halogenating agent such as bromine, N-bromosuccinimide, iodine or N-iodosuccinimide in an appropriate solvent or in the absence of solvent at 0°C to a refluxing temperature.

A compound (15b)-1 can be converted to a compound (15b)-2 in the presence of a palladium-carbon catalyst under a hydrogen atmosphere in an appropriate solvent or in the absence of solvent at room temperature to a refluxing temperature.

(Synthesis of starting materials 8b)

The compounds (16b)-2 can be produced, for example, through the following scheme (16b).



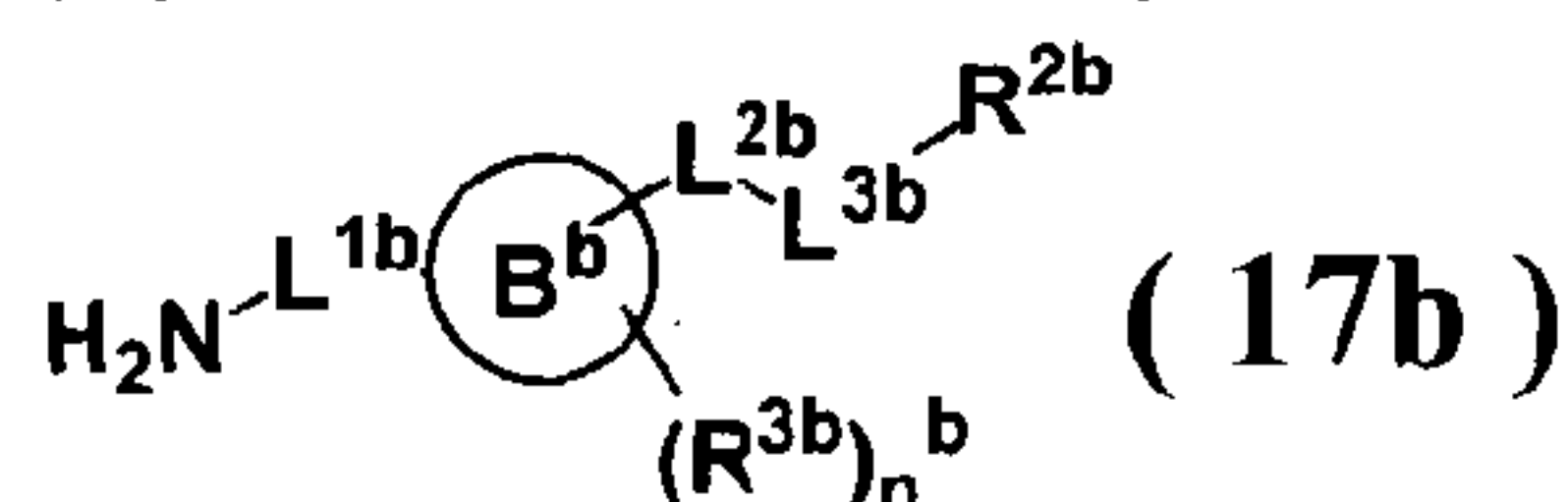
A compound (16b)-1 can be converted to a compound (16b)-2 by using an equivalent or excessive amount of $R^{16b}CO_2R^Q$ or $R^{16b}C(OR^Q)_3$ (wherein R^Q is a hydrogen atom or a C_{1-6} alkyl group) in an appropriate solvent or in the absence of solvent at room temperature to a refluxing temperature.

For synthesis of 7-azaindole or 1-deazapurine, the following general methods may be referred to.

As general methods for synthesis of 7-azaindole, those disclosed in Current

Organic Chemistry, 2001, 5, pp. 471-506 are known.

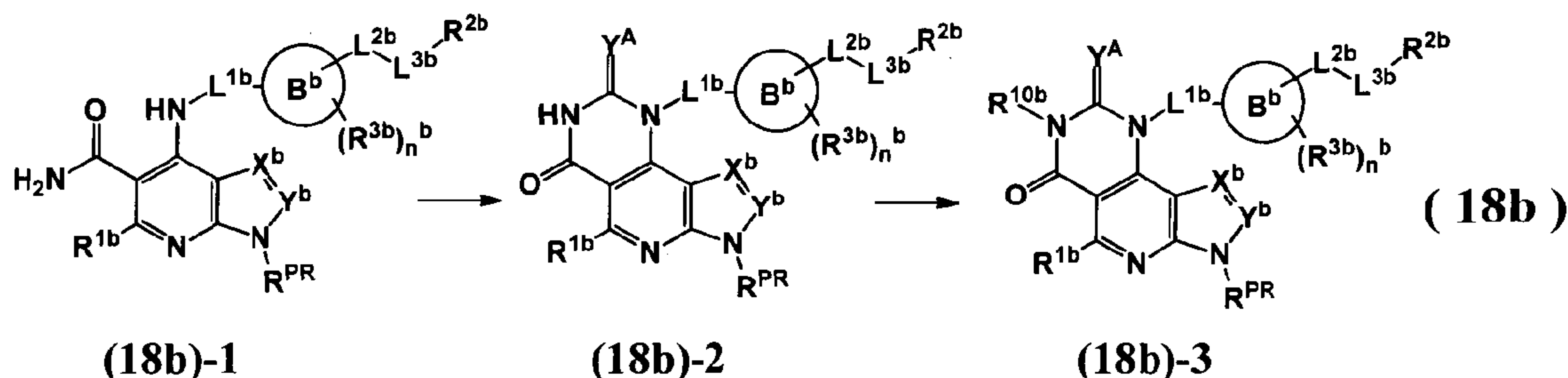
As general methods for synthesis of 1-deazapurine, those disclosed in Shin-pen Hetero-kan Kagoubutsu Ouyou-hen (Kodansha, 2004) pp. 233-251 are known. (Synthesis of starting materials 9b)



(17b)-1

The amine compounds (17b)-1 can be produced from the corresponding nitrile compounds, acid amide compounds, oxime compounds, halogen compounds, ketone compounds, aldehyde compounds, alcohol compounds, boron compounds, epoxide compounds, acid imide compounds and carbamate compounds (for example, by referring to Jikken Kagaku Koza vol. 20 Yuki Gosei II, edited by the Chemical Society of Japan, published by MARUZEN Co., Ltd., 1992; Bioorganic & Medicinal Chemistry, 13, 4022, 2005, Kuramochi T. et al.; Journal of Medicinal Chemistry, 50, 149, 2007; Journal of Organic Chemistry, 46, 4296, 1981; Journal of Organic Chemistry, 44, 2081, 1979; Acta Chemica Scandinavica, 19, 1741, 1965; and Organic Letters, 5, 4497, 2003).

Among the compounds represented by the formula (1^b), the compounds (18b)-2 and (18b)-3 can be produced, for example, through the following scheme (18b) (wherein R^{PR} is a hydrogen atom or a protective group such as a Ts group, a TIPS group or a SEM group, and the other symbols are the same as defined above).



A compound (18b)-3 can be obtained by cyclization of a compound (18b)-1 followed by a substitution reaction of the resulting compound (18b)-2.

A compound (18b)-1 can be converted to a compound (18b)-2 by using an equivalent or excessive amount of phosgene, phosgene dimer, phosgene trimer, 1,1'-carbonyldiimidazole, dimethyl carbonate, 1,1'-thiocarbonyldiimidazole, carbon disulfide or the like in an appropriate solvent or in the absence of solvent at room temperature to a refluxing temperature. The presence of an acid or a base or microwave irradiation is sometimes effective for smooth progress of the reaction.

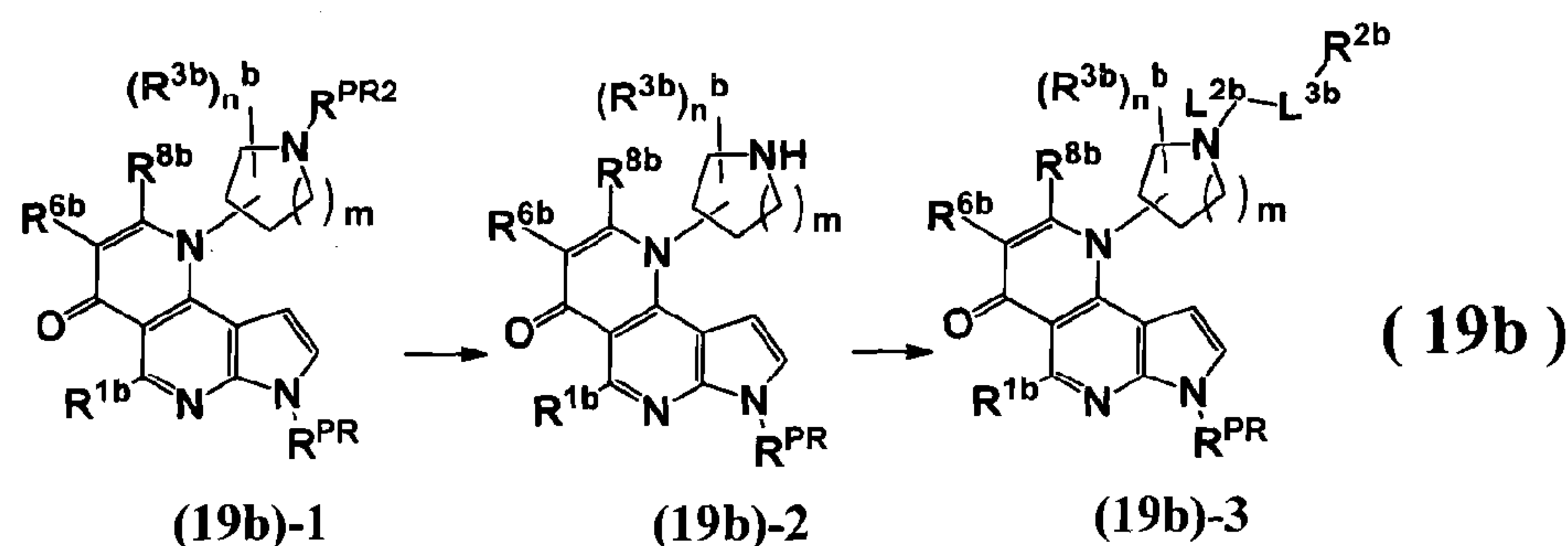
A compound (18b)-2 can be converted to a compound (18b)-3 by using an equivalent or excessive amount of an electrophilic reagent represented by R^{10b}-R^L (wherein R^L is a leaving group such as a chlorine atom, a methanesulfonyloxy group or a p-toluenesulfonyloxy group) such as an alkyl halide, an alkyl mesylate or an aryl halide in the presence of a base such as triethylamine in an appropriate solvent or in the absence of solvent at room temperature to a refluxing temperature. Microwave irradiation is sometimes effective for smooth progress of the reaction. A compound (18b)-2 can also be converted to a compound (18b)-3 by using equivalent or excessive amounts of a primary or secondary alcohol, a Mitsunobu reagent and a phosphine reagent in an appropriate solvent or in the absence of solvent at -78°C to a refluxing

temperature. As a Mitsunobu reagent, diethyl azodicarboxylate, diisopropyl azodicarboxylate or the like may be mentioned, and as a phosphine reagent, triphenylphosphine, tributylphosphine or the like may be mentioned.

A compound (18b)-2 or (18b)-3 having an oxygen atom as Y^A can be converted to a compound (18b)-2 or (18b)-3 having a sulfur atom as Y^A by using an equivalent or excessive amount of a thiocarbonylation agent such as phosphorus pentasulfide or Lawesson's reagent in an appropriate solvent or in the absence of solvent at -78°C to a refluxing temperature.

A compound (18b)-2 or (18)-3 having a protective group as R^{PR} can be converted to a compound having a hydrogen atom as R^{PR} by deprotection.

Among the compounds represented by the formula (I^b), the compounds (19b)-2 and (19b)-3 can be produced, for example, through the following scheme (19b) (wherein 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 benzyl type protective group such as a benzyl group or a benzyloxycarbonyl group, m is 0~3, and the other symbols are the same as defined above).



A compound (19b)-3 can be obtained by deprotection of the R^{PR2} in a compound (19b)-1 among the compounds (2b)-2 followed by a substitution reaction of the resulting compound (19b)-2.

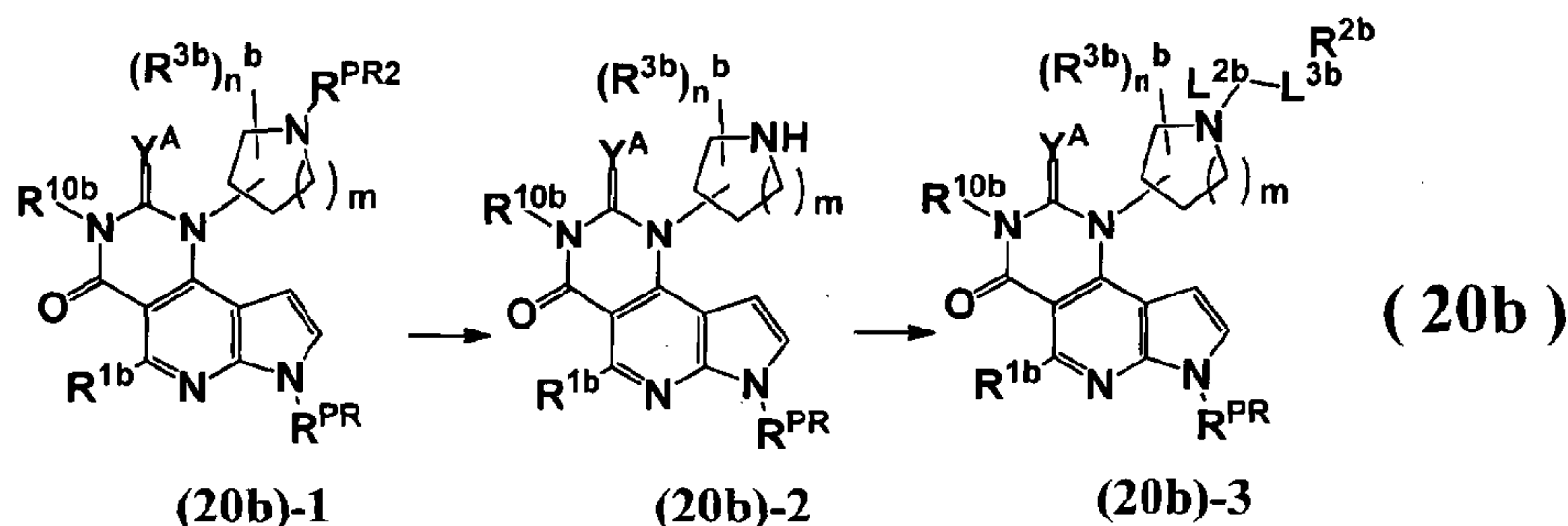
A compound (19b)-1 having a benzyl type protective group as R^{PR2} can be converted to a compound (19b)-2 by using a catalytic amount of palladium-carbon under a hydrogen atmosphere in an appropriate solvent at room temperature to a refluxing temperature. The presence of an acid is sometimes effective for smooth progress of the reaction.

A compound (19b)-2 can be converted to a compound (19b)-3 by using equivalent or excessive amounts of an electrophilic reagent represented by $R^{2b}L^{3b}L^{2b}-R^L$ (wherein R^L is a leaving group such as a halogen atom, a methanesulfonyloxy group or a p-toluenesulfonyloxy group) such as an alkyl halide, an acid chloride, a sulfonyl chloride, a chloroformate ester, an isocyanate or an isothiocyanate 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 (19b)-2 can also be converted to a compound (19b)-3 by using an equivalent or excessive amount of an aldehyde or a ketone in the presence of a hydride reducing agent such as sodium cyanoborohydride or 2-picoline borane in an appropriate solvent or in the absence of solvent at 0°C to a refluxing temperature. Microwave irradiation or the presence of an acid is sometimes effective for smooth progress of the reaction.

A compound (19b)-3 having a protective group as R^{PR} can be converted to a compound having a hydrogen atom as R^{PR} by deprotection.

Among the compounds represented by the formula (I^b), the compounds (20b)-2

and (20b)-3 can be produced, for example, through the following scheme (20b) (wherein 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 benzyl type protective group such as a benzyl group or a benzyloxycarbonyl group, m is 0, 1, 2 or 3 and the other symbols are the same as defined above).



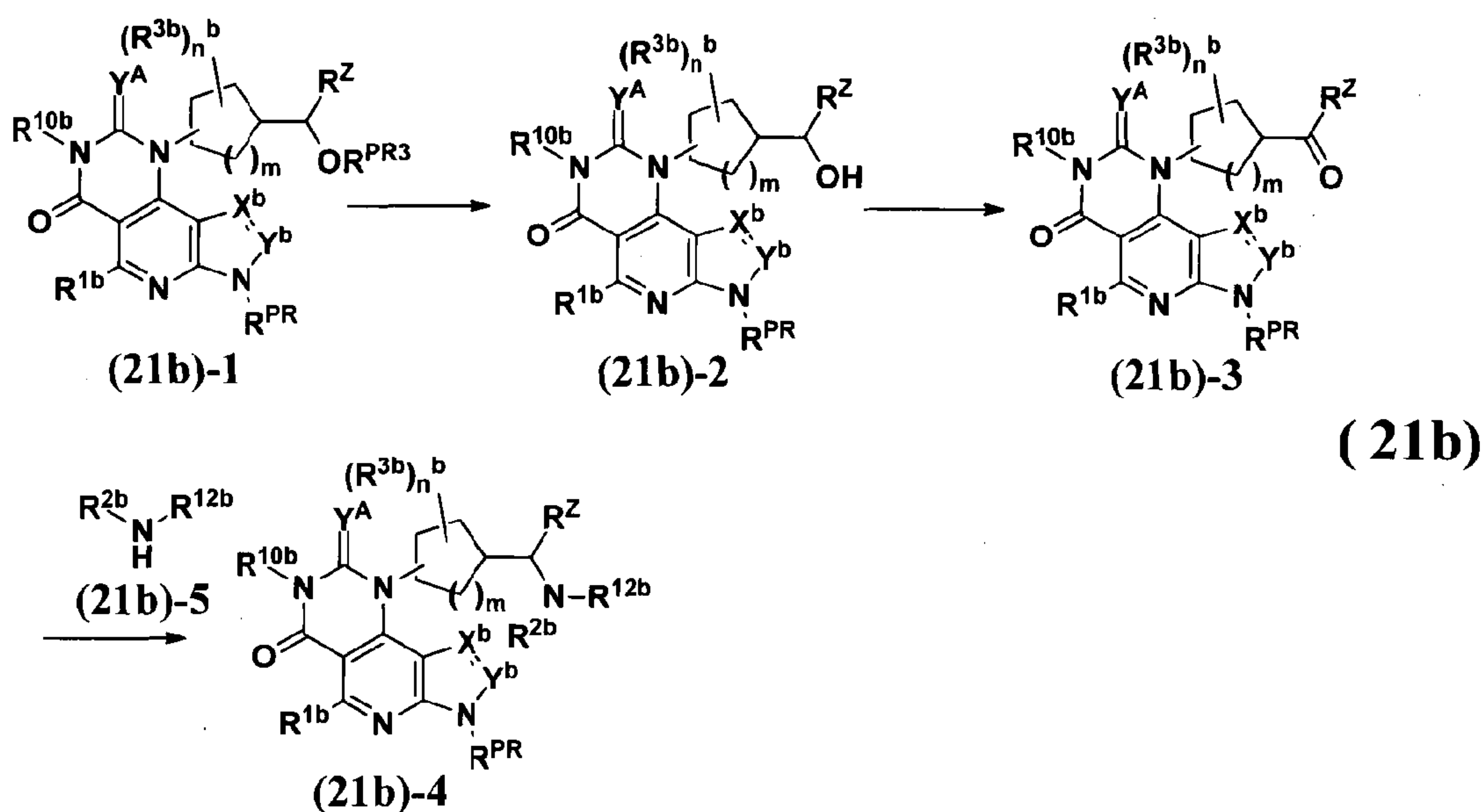
A compound (20b)-3 can be obtained by deprotection of the R^{PR2} in a compound (20b)-1 among the compounds (18b)-3 followed by a substitution reaction of the resulting compound (20b)-2.

A compound (20b)-1 having a benzyl type protective group as R^{PR2} can be converted to a compound (20b)-2 by using a catalytic amount of palladium-carbon under a hydrogen atmosphere in an appropriate solvent at room temperature to a refluxing temperature. The presence of an acid is sometimes effective for smooth progress of the reaction.

A compound (20b)-2 can be converted to a compound (20b)-3 by using equivalent or excessive amounts of an electrophilic reagent represented by $R^{2b}L^{3b}L^{2b}-R^L$ (wherein R^L is a leaving group such as a halogen atom, a methanesulfonyloxy group or a p-toluenesulfonyloxy group) such as an alkyl halide, an acid chloride, sulfonyl chloride, a chloroformate, an isocyanate or an isothiocyanate 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 (20b)-2 can also be converted to a compound (20b)-3 by using an equivalent or excessive amount of an aldehyde or a ketone in the presence of a reducing agent such as sodium cyanoborohydride or 2-picoline borane in an appropriate solvent or in the absence of solvent at 0°C to a refluxing temperature. Microwave irradiation or the presence of an acid is sometimes effective for smooth progress of the reaction.

A compound (20b)-3 having a protective group as R^{PR} can be converted to a compound having a hydrogen atom as R^{PR} by deprotection.

Among the compounds represented by the formula (I^b), the compounds (21b)-2, (21b)-3 and (21b)-4 can be produced, for example, through the following scheme (21b) (wherein R^{PR} is a hydrogen atom or a protective group such as a Ts group, a TIPS group or a SEM group, R^{PR5} is a protective group such as a benzyl group or an acetyl group, R^Z is a hydrogen atom or a C₁₋₆ alkyl group, m is 0, 1, 2 or 3, and the other symbols are the same as defined above).



A compound (21b)-1 among the compounds (18b)-3 is converted to a compound (21b)-2 by deprotection.

A compound (21b)-2 can be converted to a compound (21b)-3 by oxidation with an equivalent or excessive amount of an oxidizing agent such as 2-iodoxybenzoic acid or pyridinium chlorochromate in an appropriate solvent or in the absence of solvent at -78°C to a refluxing temperature.

A compound (21b)-3 can be converted to a compound (21b)-4 by using equivalent or excessive amounts of a compound (21b)-5 and a reducing agent such as 2-picoline borane or sodium triacetoxyborohydride in an appropriate solvent or in the absence of solvent at room temperature to a refluxing temperature.

Compounds (21b)-3 and (21b)-4 having a protective group as R^{PR} can be converted to compounds (21b)-3 and (21b)-4 having a hydrogen atom as R^{PR} by deprotection.

In the present invention, the tricyclic pyrimidine compounds of the present invention represented by the formula (I^a) and the tricyclic pyridine compounds of the present invention represented by the formula (I^b) may be present in the form of tautomers or geometrical isomers which undergo endocyclic or exocyclic isomerization, mixtures of tautomers or geometric isomers or mixtures of thereof. When the compounds of the present invention have an asymmetric center, whether or not resulting from an isomerization, the compounds of the present invention may be in the form of resolved optical isomers or in the form of mixtures containing them in certain ratios. Further, when the compounds of the present invention have two or more asymmetric centers, the compounds of the present invention can be in the form of diastereomers due to optical isomerism about them. The compounds of the present invention may be in the form of a mixture of all these isomers in certain ratios. For example, diastereomer can be separated by techniques well known to those skilled in the art such as fractional crystallization, and optical isomers can be obtained by techniques well known in the field of organic chemistry for this purpose.

The tricyclic pyrimidine compounds of the present invention represented by the formula (I^a) and the tricyclic pyridine compounds of the present invention represented by the formula (I^b) or pharmaceutically acceptable salts thereof may be in the form of arbitrary crystals or arbitrary hydrates, depending on the production conditions. The

present invention covers these crystals, hydrates and mixtures. They may be in the form of solvates with organic solvents such as acetone, ethanol, 1-propanol and 2-propanol, and the present invention covers any of these forms.

5 The present invention covers pharmaceutically acceptable salts of the compounds of the present invention represented by the formulae (I^a) and (I^b).

The compounds of the present invention represented by the formulae (I^a) and (I^b) may be converted to pharmaceutically acceptable salts or may be liberated from the resulting salts, if necessary. The pharmaceutically acceptable salts of the present invention may be, for example, salts with alkali metals (such as lithium, sodium and
10 potassium), alkaline earth metals (such as magnesium and calcium), ammonium, organic bases, amino acids, inorganic acids (such as hydrochloric acid, hydrobromic acid, phosphoric acid and sulfuric acid) and organic acids (such as acetic acid, citric acid, maleic acid, fumaric acid, tartaric acid, benzenesulfonic acid, methanesulfonic acid and p-toluenesulfonic acid).

15 The present invention covers prodrugs of the compounds of the present invention represented by the formulae (I^a) and (I^b).

Prodrugs are derivatives of medicinal compounds having chemically or metabolically degradable groups and give pharmacologically active medicinal compounds upon solvolysis or under physiological conditions in vivo. Methods for
20 selecting or producing appropriate prodrugs are disclosed in, for example, Design of Prodrugs (Elsevier, Amsterdam 1985). In the present invention, in the case of a compound having a hydroxy group, prodrugs like acyloxy derivatives obtained by reacting the compound with appropriate acyl halides, appropriate acid anhydrides or appropriate haloalkoxycarbonyl compounds may, for example, be mentioned.
25 Structures particularly preferred as prodrugs include -OCOC₂H₅, -OCO(t-Bu), -OCOC₁₅H₃₁, -OCO(m-CO₂Na-Ph), -OCOCH₂CH₂CO₂Na, -OCOCH(NH₂)CH₃, -OCOCH₂N(CH₃)₂, -O-CH₂OC(=O)CH₃ or the like. In the case of a compound having an amino group, prodrugs obtained by reacting the compound having an amino group with appropriate acid halides, appropriate mixed acid anhydrides or haloalkoxycarbonyl
30 compounds may, for example, be mentioned. Structures particularly preferred as prodrugs include -NHCO(CH₂)₂₀OCH₃, -NHCOCH(NH₂)CH₃, -NH-CH₂O(C=O)CH₃ or the like.

The JAK inhibitors and the preventive, therapeutic and/or improving agents for diseases against which inhibition of JAK is effective are those mentioned below among
35 the tricyclic pyrimidine compounds and the tricyclic pyridine compounds of the present invention.

1) JAK inhibitors containing the compounds as defined in any one of 1^a) to 62^a) and 1^b) to 44^b), tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof, as an active ingredient.

40 2) Preventive, therapeutic or improving agents for diseases against which inhibition of JAK is effective, which contains the JAK inhibitors as defined in 1) as an active ingredient.

3) Therapeutic agents for rheumatoid arthritis, which contain the JAK inhibitors as defined in 1) as an active ingredient.

45 4) Medicaments containing the compound as defined in any one of 1^a) to 62^a) and 1^b) to 44^b), tautomers or pharmaceutically acceptable salts of the compounds or solvates thereof, as an active ingredient.

The preventive, therapeutic and improving agents for diseases against which

inhibition of JAK is effective which contain the JAK inhibitors of the present invention, as an active ingredient may usually be administered as oral medicines such as tablets, capsules, powder, granules, pills and syrup, as rectal medicines, percutaneous medicines or injections. The agents of the present invention may be administered as a
5 single therapeutic agent or as a mixture with other therapeutic agents. Though they may be administered as they are, they are usually administered in the form of medical compositions. These pharmaceutical preparations can be obtained by adding pharmacologically and pharmaceutically acceptable additives by conventional methods. Namely, for oral medicines, ordinary additives such as excipients, lubricants, binders,
10 disintegrants, humectants, plasticizers and coating agents may be used. Oral liquid preparations may be in the form of aqueous or oily suspensions, solutions, emulsions, syrups or elixirs or may be supplied as dry syrups to be mixed with water or other appropriate solvents before use. Such liquid preparations may contain ordinary additives such as suspending agents, perfumes, diluents and emulsifiers. In the case
15 of rectal administration, they may be administered as suppositories. Suppositories may use an appropriate substance such as cacao butter, laurin tallow, Macrogol, glycerogelatin, Witepsol, sodium stearate and mixtures thereof as the base and may, if necessary, contain an emulsifier, a suspending agent, a preservative and the like. For injections, pharmaceutical ingredients such as distilled water for injection, physiological
20 saline, 5% glucose solution, propylene glycol and other solvents or solubilizing agents, a pH regulator, an isotonicizing agent and a stabilizer may be used to form aqueous dosage forms or dosage forms which need dissolution before use.

The dose of the agents of the present invention for administration to human is usually about from 0.1 to 1000 mg/body/day in the case of oral drugs or rectal
25 administration and about from 0.05 mg to 500 mg/body/day in the case of injections, though it depends on the age and conditions of the patient. The above-mentioned ranges are mere examples, and the dose should be determined from the conditions of the patient.

The present invention is used when it is expected to improve pathology of
30 diseases associated with JAK1, JAK2 and JAK3 separately or in combination. Among these diseases, JAK3-associated diseases are, in addition to rheumatoid arthritis, inflammatory or proliferative dermatoses such as psoriasis, atopic dermatitis, contact dermatitis, eczematoid dermatitis, seborrheic dermatitis, lichen planus, pemphigus, pemphigoid, epidermolysis bullosa, hives, angioedema, angitis, erythema, dermal
35 eosinophilia, lupus erythematosus, acne, alopecia areata, immune dermatoses, reversible airway obstruction, mucitis and angitis. Among these diseases, JAK3- and JAK1-associated diseases are, in addition to rheumatoid arthritis, asthma, atopic dermatitis, Alzheimer disease, atherosclerosis, cancer, leukemia, rejection of organ or tissue grafts (such as heart, kidney, liver, bone marrow, skin, horn, lung, pancreas, islet,
40 small intestine, extremities, muscles, nerves, intervertebral disks, trachea, myoblasts and cartilage), graft-versus-host reaction after bone marrow transplantation and autoimmune diseases such as rheumatic disease, systemic lupus erythematosus (SLE), Hashimoto's disease, multiple sclerosis, myasthenia gravis, type I diabetes and diabetic complications. Among these diseases, JAK2-associated diseases include, for example,
45 myeloproliferative disorders.

As an application of the present invention, treatment and prevention of the above-mentioned diseases may be mentioned, but there is no restriction.

Compounds of the present invention are administered either alone or in

combination with one or more additional agents such as immunomodulators, antiinflammatory agents or antirheumatic drugs. The additional agents may be cyclosporin A, tacrolimus, leflunomide, deoxyspergualin, mycophenolate, azathioprine, etanercept (e.g. Enbrel[®]), infliximab (e.g. Remicade[®]), adalimumab (e.g. Humira[®]),
 5 certolizumab pegol (e.g. Cimzia[®]), Golimumab (e.g. Simponi[®]), Anakinra (e.g. Kineret[®]), rituximab (e.g. Rituxan[®]), Tocilizumab (e.g. Actemra[®]), methotrexate, aspirin, acetaminophen, ibuprofen, naproxen, piroxicam, and antiinflammatory steroids (e.g. prednisolone or dexamethasone), but are not restricted thereto.

Now, the present invention will be described in further detail with reference to
 10 Reference Synthetic Examples, Synthetic Examples, Assay Examples and Formulation Examples. However, it should be understood that the present invention is by no means restricted by these specific Examples. In the Examples, "NMR" denotes nuclear magnetic resonance, "LC/MS" denotes high performance liquid chromatography-mass spectrometry, "v/v" means volume ratio. In the tables, "Rf"
 15 denotes Reference Synthetic Example, "Ex" denotes Synthetic Example, "Structure" denotes chemical structural formula, "diastereomixture" denotes a diastereomeric mixture, "racemate" denotes a racemic mixture, "cis/trans mixture" denotes a cis- and trans-isomeric mixture, and "E/Z mixture" denotes a E- and Z-isomeric mixture, and "Data" denotes physical property data, "condition" denotes measurement condition,
 20 "retention time" denotes retention time in LC/MS, "Compound Name" denotes compound name of the synthesized compound, "Morphology" denotes morphology of a synthesized compound, "Yield" denotes yield of a synthesized compound, "quant" denotes quantitative, "min" denotes minute.

In the Examples herein, "rac-" or "racemate" used in texts or tables for a
 25 compound having more than one asymmetric center means that the compound is in the form of a racemic mixture of the specified absolute configuration and its enantiomer.

The ¹H-NMR data show chemical shifts δ (unit : ppm) (splitting pattern, value of integral) measured at 300 MHz (with JNM-ECP300, manufactured by JEOL Ltd or JNM-ECX300, manufactured by JEOL Ltd) using tetramethylsilane as an internal standard.
 30 "s" denotes "singlet", "d" denotes "doublet", "t" denotes "triplet", "q" denotes "quartet", "quint" denotes quintet, "sextet" denotes sextet, "septet" denotes septet, "dd" denotes doublet of doublets, "dt" denotes doublet of triplets, "td" denotes triplet of doublets, "dq" denotes doublet of quartets, "qd" denotes quartet of doublets, "tt" denotes triplet of triplets, "ddd" denotes doublet of doublet of doublets, "m" denotes multiplet, "br" denotes
 35 broad, "J" denotes coupling constant, "CDCl₃" denotes deuterated chloroform, "CD₃OD" denotes deuterated methanol, and "DMSO-d₆" denotes deuterated dimethyl sulfoxide.

For purification by silica gel column chromatography, Hi Flash column manufactured by Yamazen Corporation, a silica gel 60 manufactured by Merck & Co., Inc. or PSQ60B manufactured by Fuji Silysia Chemical Ltd. was used unless otherwise
 40 noted.

For purification by silica gel thin layer chromatography, PLC plate manufactured by Merck & Co., Inc. was used unless otherwise noted.

As a microwave reactor, Initiator sixty manufactured by Biotage was used.

LC/MS spectra were measured by using ESI (electrospray ionization). "ESI⁺"
 45 denotes ESI-positive mode, and "ESI⁻" denotes ESI-negative mode.

LC/MS condition 1

Instrument: Waters Alliance-ZQ

Column: Waters SunFire C18(3.5 μ m, 2.1 $\times$ 20mm)

Column Temp.: 40°C

Eluents: Liquid A: 0.1% aqueous formic acid

Liquid B: 0.1% formic acid in acetonitrile

Elution: A mixture of Liquids A and B was flown at 0.4 mL/min while the mixing ratio

5 was linearly changed from 90/10 (v/v) to 15/85 (v/v) over the first 3 minutes, and then the flow rate was linearly changed to 0.5 mL/min for 2 minutes at a constant mixing ratio of 15/85 (v/v). Then, the mixing ratio was linearly changed to 90/10 (v/v) over 0.5 minute and maintained at 90/10 (v/v) for 2.5 minutes.

LC/MS condition 2

10 Instrument: Waters Alliance-ZQ

Column: Waters SunFire C18(3.5µm, 2.1×20mm)

Column Temp.: 40°C

Eluents: Liquid A: 0.2% aqueous formic acid

Liquid B: acetonitrile

15 Elution: A mixture of Liquids A and B was flown at 0.4 mL/min while the mixing ratio was linearly changed from 90/10 (v/v) to 15/85 (v/v) over the first 3 minutes, and then the flow rate was linearly changed to 0.5 mL/min over 2 minutes at a constant mixing ratio of 15/85 (v/v). Then, the mixing ratio was linearly changed to 95/5 (v/v) over 0.5 minute and maintained at 95/5 (v/v) for 1.5 minutes.

20 LC/MS condition 3

Instrument: Thermo LTQ XL

Column: Waters AQUITY UPLC BEH C18(1.7µm, 2.1×50mm)

Column Temp.: 40°C

Eluents: Liquid A: 0.1% aqueous formic acid

25 Liquid B: 0.1% formic acid in acetonitrile

Elution: A mixture of Liquids A and B was flown at 0.6 mL/min at a mixing ratio of 90/10 (v/v) for the first 0.5 minutes, and then the mixing ratio was linearly changed to 10/90 (v/v) over 2.5 minutes and then maintained at 10/90 (v/v) for 0.7 minute. The

30 mixing ratio and the flow rate were linearly changed to 90/10 (v/v) and 0.8 mL/min, respectively, over 0.1 minute, maintained constant for 1 minute and linearly changed to 90/10 (v/v) and 0.6 mL/min, respectively, over 0.1 minute.

REFERENCE SYNTHETIC EXAMPLE^a 14-Iodo-7H-pyrrolo[2,3-d]pyrimidine

Hydroiodic acid (55 wt%, 100g) was mixed with 4-chloro-7H-pyrrolo[2,3-d]pyrimidine (manufactured by Tokyo Chemical Industry Co., Ltd., 10.6 g, 69.0 mmol) under cooling with ice and stirred at 0°C for 1 hour and then at room temperature for one day. The precipitated solid was collected by filtration and washed with water. The residue was suspended in water, neutralized with 1 M aqueous sodium hydroxide and filtered. The yellow solid was washed with water and dried under reduced pressure to give the title compound as a yellow solid (16.2 g, yield 96%, including 10% 4-chloro-7H-pyrrolo[2,3-d]pyrimidine as the starting compound).

REFERENCE SYNTHETIC EXAMPLE^a 24-Iodo-7-(triisopropylsilyl)-7H-pyrrolo[2,3-d]pyrimidine

4-Iodo-7H-pyrrolo[2,3-d]pyrimidine (352 mg, 1.44 mmol) in tetrahydrofuran (15 mL) cooled to 0°C was mixed with sodium hydride (55 wt% dispersion in mineral oil, 75.5 mg, 1.73 mmol) and chlorotriisopropylsilane (0.37 mL, 1.7 mmol) and stirred at room temperature for 45 minutes. 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 = 100/1 (v/v)) to give the title compound as a pale yellow oil (431 mg, yield 74%).

REFERENCE SYNTHETIC EXAMPLE^a 3Cyclohexyl[7-(triisopropylsilyl)-7H-pyrrolo[2,3-d]pyrimidin-4-yl]methanol

n-Butyllithium (1.6 M solution in hexane, 0.23 mL, 0.380 mmol) was gradually added dropwise to 4-iodo-7-(triisopropylsilyl)-7H-pyrrolo[2,3-d]pyrimidine (126 mg, 0.310 mmol) in tetrahydrofuran (1.5 mL) cooled to -78°C, and the reaction mixture was stirred at -78°C for 30 minutes. After addition of cyclohexanecarbaldehyde (42 µL, 0.35 mmol) in tetrahydrofuran (1.5 mL), the reaction mixture was gradually warmed from -78°C to room temperature and stirred for one day. 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 (Hi Flash column amino type manufactured by Yamazen Corporation: hexane / ethyl acetate = 10/1 → 7/1 → 4/1 (v/v)) to give the title compound as a colorless oil (65.5 mg, yield 55%).

REFERENCE SYNTHETIC EXAMPLE^a 4Cyclohexyl[7-(triisopropylsilyl)-7H-pyrrolo[2,3-d]pyrimidin-4-yl]methanone

Cyclohexyl[7-(triisopropylsilyl)-7H-pyrrolo[2,3-d]pyrimidin-4-yl]methanol (211 mg, 0.540 mmol) in dichloromethane (7 mL) was stirred with 1,1,1-triacetoxy-1,1-dihydro-1,2-benziodoxol-3(1H)-one (347 mg, 0.820 mmol) at room temperature for 2.5 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 residue was purified by silica gel column chromatography (hexane/ ethyl acetate = 30/1 (v/v)) to give the title compound as a colorless solid (117 mg, yield 55%).

REFERENCE SYNTHETIC EXAMPLE^a 5Cyclohexyl(7H-pyrrolo[2,3-d]pyrimidin-4-yl)methanone

Cyclohexyl[7-(triisopropylsilyl)-7H-pyrrolo[2,3-d]pyrimidin-4-yl]methanone (22.4 mg,

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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 6Cyclohexyl(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 7Cyclohexyl(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 81-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-

ylmethanamine (89 mg, 0.25 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 (4.5 mL) and stirred at 230°C for 1.5 hours under microwave irradiation. The reaction mixture and the previously obtained reaction mixture were combined, diluted with ethyl acetate, acidified with 1 M hydrochloric acid 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 residue was purified by silica gel thin layer chromatography (hexane / ethyl acetate = 2/1 → 1/1 → 1/2 (v/v)) to give the title compound as a pale yellow oil (31.4 mg, yield 30%).

REFERENCE SYNTHETIC EXAMPLE^a 9

N-Methoxy-N,2-dimethylbenzamide

2-Methylbenzoic acid (1.00 g, 7.34 mmol) and 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride (1.69 g, 8.81 mmol) in chloroform (10 mL) stirred with N,N-diisopropylethylamine (1.50 mL, 8.81 mmol) for 10 minutes under cooling with ice and then stirred with N,O-dimethylhydroxylamine hydrochloride (860 mg, 8.81 mmol) and N,N-diisopropylethylamine (1.50 mL, 8.81 mmol) for one day while the temperature was gradually raised to room temperature. After addition of water, 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 = 1/1 (v/v)) to give the title compound as a pale yellow oil (658 mg, yield 50%).

REFERENCE SYNTHETIC EXAMPLE^a 10

(7H-Pyrrolo[2,3-d]pyrimidin-4-yl)(o-tolyl)methanone

Isopropylmagnesium chloride (2.0 M solution in tetrahydrofuran, 1.05 mL, 2.10 mmol) was gradually added dropwise to 4-iodo-7H-pyrrolo[2,3-d]pyrimidine (245 mg, 1.00 mmol) obtained in Reference Synthetic Example^a 1 in tetrahydrofuran (5 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, 1.1 mL, 1.1 mmol) and N-methoxy-N,2-dimethylbenzamide (180 mg, 1.00 mmol) in tetrahydrofuran (4 mL) at room temperature for one day. After addition of saturated aqueous ammonium chloride, 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 = 2/1 → 1/1 (v/v)) to give the title compound as a pale yellow solid (162 mg, yield 68%).

REFERENCE SYNTHETIC EXAMPLE^a 11

N-Methoxy-N-methylcyclohexanecarboxamide

The reactions in Reference Synthetic Example^a 9 were carried out in substantially the same manners except that cyclohexanecarboxylic acid was used instead of 2-methylbenzoic acid to give the title compound as a colorless oil (2.14 g, yield 46%).

REFERENCE SYNTHETIC EXAMPLE^a 12

Cyclohexyl(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 N-methoxy-N-

methylcyclohexanecarboxamide was used instead of N-methoxy-N,2-dimethylbenzamide to give the title compound as a pale yellow solid (1.26 g, yield 67%).

REFERENCE SYNTHETIC EXAMPLE^a 13

N-Methoxy-N,2-dimethylcyclohexanecarboxamide

5 The reactions in Reference Synthetic Example^a 9 were carried out in substantially the same manners except that 2-methylcyclohexanecarboxylic acid was used instead of 2-methylbenzoic acid to give the title compound as a colorless oil (623 mg, yield 48%).

REFERENCE SYNTHETIC EXAMPLE^a 14

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

10 The reactions in Reference Synthetic Example^a 10 were carried out in substantially the same manners except that N-methoxy-N,2-dimethylcyclohexanecarboxamide was used instead of N-methoxy-N,2-dimethylbenzamide to give the title compound as a colorless solid (165 mg, yield 68%).

REFERENCE SYNTHETIC EXAMPLE^a 15

15 4-Iodo-7-[[2-(trimethylsilyl)ethoxy]methyl]-7H-pyrrolo[2,3-d]pyrimidine

4-Iodo-7H-pyrrolo[2,3-d]pyrimidine (90 mg, 0.037 mmol) obtained in Reference Synthetic Example^a 1 in N,N-dimethylformamide (4 mL) was stirred with sodium hydride (55 wt% dispersion in mineral oil, 19.2 mg, 0.0440 mmol) and [2-(chloromethoxy)ethyl]trimethylsilane (77.9 μ L, 0.0440 mmol) at room temperature for one day. After addition of saturated aqueous sodium 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 = 10/1 $\rightarrow$ 4/1 (v/v)) to give the title compound as a colorless oil (115 mg, yield 83%).

25 REFERENCE SYNTHETIC EXAMPLE^a 16

Benzyl 3-(hydroxymethyl)piperidine-1-carboxylate

3-Piperidinemethanol (3.59 g, 31.2 mmol) in 1,4-dioxane (8 mL) was mixed with potassium carbonate (4.55 g, 33.0 mmol), 1 M aqueous sodium hydroxide (2 mL) and benzyl chloroformate (5.20 mL, 36.4 mmol) under cooling with ice and stirred 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 potassium hydrogen sulfate and saturated aqueous 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 (6.41 g, yield 83%).

35 REFERENCE SYNTHETIC EXAMPLE^a 17

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

40 Benzyl 3-(hydroxymethyl)piperidine-1-carboxylate (2.0 g, 8.0 mmol) in dichloromethane (50 mL) was stirred with 1,1,1-triacetoxy-1,1-dihydro-1,2-benziodoxol-3(1H)-one (5.1 g, 12 mmol) at room temperature for 2.5 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 (25 mL), mixed with sodium dihydrogen phosphate (2.89 g, 24.1 mmol), water (25 mL) and 2-methyl-2-butene (25 mL, 241 mmol), then stirred with sodium chlorite (3.62 g, 40.1 mmol) at 0°C for 1 hour and then stirred at room temperature for 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 (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

layer chromatography (hexane / ethyl acetate = 1/1 → 1/2 (v/v)) to give a brown oil containing the title compound (45.2 mg). The resulting mixture was used for the next step.

REFERENCE SYNTHETIC EXAMPLE^a 21

5 trans-4-(Hydroxymethyl)-N-methoxy-N-methylcyclohexanecarboxamide

The reactions in Reference Synthetic Example^a 9 were carried out in substantially the same manners except that trans-4-(hydroxymethyl)cyclohexanecarboxylic acid (manufactured by Tokyo Chemical Industry Co., Ltd.) was used instead of 2-methylbenzoic acid to give the title compound as a colorless oil (515 mg, yield 41%).

10 REFERENCE SYNTHETIC EXAMPLE^a 22

trans-4-[(tert-Butyldiphenylsilyloxy)methyl]-N-methoxy-N-methylcyclohexanecarboxamide

trans-4-(Hydroxymethyl)-N-methoxy-N-methylcyclohexanecarboxamide (403 mg, 2.00 mmol) in N,N-dimethylformamide (4 mL) was mixed with tert-butylchlorodiphenylsilane (514 μL, 2.00 mmol) and 1H-imidazole (136 mg, 2.00 mmol) under cooling with ice and stirred for one day while the temperature was gradually raised to room temperature. 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 = 8/1 (v/v)) to give the title compound as a colorless oil (536 mg, yield 61%).

REFERENCE SYNTHETIC EXAMPLE^a 23

25 {trans-4-[(tert-Butyldiphenylsilyloxy)methyl]cyclohexyl}(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 trans-4-[(tert-butyldiphenylsilyloxy)methyl]-N-methoxy-N-methylcyclohexanecarboxamide was used instead of N-methoxy-N,2-dimethylbenzamide to give the title compound as a yellow oil (111 mg, yield 59%).

30 REFERENCE SYNTHETIC EXAMPLE^a 24

1-{trans-4-[(tert-Butyldiphenylsilyloxy)methyl]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 {trans-4-[(tert-butyldiphenylsilyloxy)methyl]cyclohexyl}(7H-pyrrolo[2,3-d]pyrimidin-4-yl)methanone obtained in Reference Synthetic Example^a 23 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 (50.6 mg, yield 46%).

REFERENCE SYNTHETIC EXAMPLE^a 25

3-Methyl 1-tert-butyl 4-methylpiperidine-1,3-dicarboxylate

40 4-Methylpyridine-3-carboxylic acid (1.13 g, 6.48 mmol) in methanol (20 mL) was refluxed with concentrated sulfuric acid (4.0 mL) for 2 days under heating. The reaction mixture was concentrated under reduced pressure, gradually adjusted to pH 8 or above with saturated aqueous sodium hydrogen carbonate and extracted with ethyl acetate twice. The resulting organic layer was washed with water and saturated aqueous sodium chloride, dried over anhydrous magnesium sulfate and concentrated under reduced pressure to give a red oil (0.89 g). The reactions were carried out with 45 4-methylpyridine-3-carboxylic acid (1.77 g, 10.2 mmol) to give a red oil (1.37 g).

The red oil (2.26 g) obtained above was dissolved in ethyl acetate (35 mL) was

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 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 CeliteTM 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 1-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

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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-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

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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 residue 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

tert-Butyl 4-(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 4-[methoxy(methyl)carbamoyl]piperidine-1-carboxylate was used instead of N-methoxy-N,2-dimethylbenzamide to give the title compound as a pale yellow amorphous (486 mg, yield 74%).

REFERENCE SYNTHETIC EXAMPLE^a 40N-Methoxy-N-methylpiperidine-4-carboxamide hydrochloride

tert-Butyl 4-[methoxy(methyl)carbamoyl]piperidine-1-carboxylate (1.00 g, 3.67 mmol) obtained in Reference Synthetic Example^a 38 in 1,4-dioxane (10 mL) was stirred with 4 M hydrogen chloride - 1,4-dioxane solution (8 mL) at room temperature for one day. The solid precipitated in the reaction mixture was collected by filtration to give the title compound as a colorless solid (650 mg, yield 85%).

REFERENCE SYNTHETIC EXAMPLE^a 41N-Methoxy-N-methyl-1-(2,2,2-trifluoroethyl)piperidine-4-carboxamide

N-Methoxy-N-methylpiperidine-4-carboxamide hydrochloride (600 mg, 2.88 mmol) in water (5 mL) was adjusted to pH 10 with 1 M aqueous sodium hydroxide and extracted with 1-butanol. The organic layer was dried over anhydrous sodium sulfate and concentrated under reduced pressure to give a colorless solid. The resulting solid (200 mg, 1.16 mmol) was dissolved in N,N-dimethylformamide (4 mL) and stirred with potassium carbonate (481 mg, 3.48 mmol) and 2,2,2-trifluoroethyl trifluoromethanesulfonate (335 μ L, 2.32 mmol) at room temperature for one day. After addition of water and saturated aqueous sodium chloride, the reaction mixture was extracted with ethyl acetate. The organic layer was dried over anhydrous sodium sulfate and concentrated under reduced pressure. The resulting residue was purified by silica gel column chromatography (methanol / chloroform = 1/19 $\rightarrow$ 1/9 (v/v)) to give the title compound as a colorless oil (190 mg, yield 26%).

REFERENCE SYNTHETIC EXAMPLE^a 42(7H-Pyrrolo[2,3-d]pyrimidin-4-yl)[1-(2,2,2-trifluoroethyl)piperidin-4-yl]methanone

The reactions in Reference Synthetic Example^a 10 were carried out in substantially the same manners except that N-methoxy-N-methyl-1-(2,2,2-trifluoroethyl)piperidine-4-carboxamide was used instead of N-methoxy-N,2-dimethylbenzamide to give the title compound as a colorless solid (100 mg, yield 43%).

REFERENCE SYNTHETIC EXAMPLE^a 43Benzyl 4-[methoxy(methyl)carbamoyl]piperidine-1-carboxylate

Benzyl chloroformate (1.64 mL, 11.6 mmol) was gradually added dropwise to piperidine-4-carboxylic acid (1.00 g, 7.74 mmol) and sodium carbonate (1.64 g, 15.5 mmol) in water (20 mL) under cooling with ice, and the resulting reaction mixture was stirred for 2 hours. After addition of 1 M aqueous sodium hydroxide, the reaction mixture was allowed to separate by adding ethyl acetate. The resulting aqueous layer was adjusted to pH 4 with 1 M hydrochloric acid and extracted with ethyl acetate, and the organic layer was dried over anhydrous sodium sulfate and concentrated under reduced pressure to give a colorless oil. The oil was dissolved in chloroform (30 mL) and stirred with N,O-dimethylhydroxylamine hydrochloride (1.50 g, 15.4 mmol), 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride (3.00 g, 15.4 mmol), 1-hydroxybenzotriazole (2.00 g, 15.4 mmol) and triethylamine (3.2 mL, 23.1 mmol) at room temperature for 3 days. After addition of water and saturated aqueous ammonium chloride, 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 (hexane / ethyl acetate = 1/1 (v/v)) to give the title compound as a colorless oil (1.57 g, yield 66%).

REFERENCE SYNTHETIC EXAMPLE^a 44

5 Benzyl 4-(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 4-[methoxy(methyl)carbamoyl]piperidine-1-carboxylate was used instead of N-methoxy-N,2-dimethylbenzamide to give the title compound as a yellow oil (1.40 g, yield 78%).

10 REFERENCE SYNTHETIC EXAMPLE^a 45

tert-Butyl {trans-4-[methoxy(methyl)carbamoyl]cyclohexyl}carbamate

trans-4-Aminocyclohexanecarboxylic acid (500 mg, 3.49 mmol) in water (10 mL) was stirred with di-tert-butyl bicarbonate (1.50 g, 6.98 mmol) and sodium hydroxide (280 mg, 6.98 mmol) at room temperature for 2 hours. The reaction mixture was washed with ethyl acetate, and the aqueous layer was adjusted to pH 3 with 1 M hydrochloric acid and extracted with ethyl acetate. The organic layer was dried over anhydrous sodium sulfate and concentrated under reduced pressure to give a colorless oil. The oil was dissolved in chloroform (10 mL) and stirred with N,O-dimethylhydroxylamine hydrochloride (683 mg, 7.00 mmol), 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride (1.34 g, 7.00 mmol), 1-hydroxybenzotriazole (946 mg, 7.00 mmol) and triethylamine (1.50 mL, 10.5 mmol) at room temperature for one day. After addition of water and saturated aqueous sodium chloride, 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 (hexane / ethyl acetate = 2/1 → 1/1 (v/v)) to give the title compound as a colorless solid (513 mg, yield 51%).

25 REFERENCE SYNTHETIC EXAMPLE^a 46

tert-Butyl [trans-4-(7H-pyrrolo[2,3-d]pyrimidine-4-carbonyl)cyclohexyl]carbamate

The reactions in Reference Synthetic Example^a 10 were carried out in substantially the same manners except that tert-butyl {trans-4-[methoxy(methyl)carbamoyl]cyclohexyl}carbamate was used instead of N-methoxy-N,2-dimethylbenzamide to give the title compound as a colorless solid (52.0 mg, yield 8.4%).

REFERENCE SYNTHETIC EXAMPLE^a 47

Benzyl {trans-4-[methoxy(methyl)carbamoyl]cyclohexyl}carbamate

35 Benzyl chloroformate (885 μ L, 6.30 mmol) was gradually added dropwise to trans-4-aminocyclohexanecarboxylic acid (600 mg, 4.20 mmol) and sodium carbonate (891 mg, 8.40 mmol) in water (12 mL) under cooling with ice, and the reaction mixture was stirred for one day. After addition of 1 M aqueous sodium hydroxide and ethyl acetate, the insoluble solid was collected by filtration to give a colorless solid. The solid was dissolved in chloroform (10 mL) and stirred with N,O-dimethylhydroxylamine hydrochloride (416 mg, 4.27 mmol), 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride (819 mg, 4.27 mmol), 1-hydroxybenzotriazole (577 mg, 4.27 mmol) and triethylamine (892 μ L, 6.40 mmol) at room temperature for one day. After addition of water and saturated aqueous sodium chloride, the reaction mixture was extracted with chloroform, and the organic layer was dried over anhydrous sodium sulfate and concentrated under reduced pressure. The resulting residue was purified by silica gel column chromatography (hexane / ethyl acetate = 2/1 (v/v)) to give the title compound as a colorless solid (350 mg, yield 26%).

REFERENCE SYNTHETIC EXAMPLE^a 48Benzyl [trans-4-(7H-pyrrolo[2,3-d]pyrimidine-4-carbonyl)cyclohexyl]carbamate

The reactions in Reference Synthetic Example^a 10 were carried out in substantially the same manners except that benzyl {trans-4-
5 [methoxy(methyl)carbamoyl]cyclohexyl}carbamate was used instead of N-methoxy-N,2-dimethylbenzamide to give the title compound as a colorless solid (33.0 mg, yield 9.0%).

REFERENCE SYNTHETIC EXAMPLE^a 49trans-N-Methoxy-4-(methoxymethyl)-N-methylcyclohexanecarboxamide

trans-4-(Hydroxymethyl)-N-methoxy-N-methylcyclohexanecarboxamide (200 mg,
10 0.994 mmol) obtained in Reference Synthetic Example^a 21 in N,N-dimethylformamide (2 mL) was mixed with sodium hydride (55 wt% dispersion in mineral oil, 52.0 mg, 1.19 mmol) and methyl iodide (74.0 μ L, 1.19 mmol) under cooling with ice and stirred for 1 hour while the temperature was gradually raised to room temperature. After addition of water, the reaction mixture was extracted with ethyl acetate, and the organic layer was
15 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 $\rightarrow$ 1/1 (v/v)) to give the title compound as a colorless oil (197 mg, yield 92%).

REFERENCE SYNTHETIC EXAMPLE^a 50[trans-4-(Methoxymethyl)cyclohexyl](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 trans-N-methoxy-4-(methoxymethyl)-N-methylcyclohexanecarboxamide was used instead of N-methoxy-N,2-
25 dimethylbenzamide to give the title compound as an ivory solid (153 mg, yield 70%).

REFERENCE SYNTHETIC EXAMPLE^a 51trans-4-Hydroxy-N-methoxy-N-methylcyclohexanecarboxamide

The reactions in Reference Synthetic Example^a 9 were carried out in substantially the same manners except that trans-4-hydroxycyclohexanecarboxylic acid was used instead of 2-methylbenzoic acid to give the title compound as a colorless oil (1.89 g,
30 yield 48%).

REFERENCE SYNTHETIC EXAMPLE^a 52trans-N,4-Dimethoxy-N-methylcyclohexanecarboxamide

trans-4-Hydroxy-N-methoxy-N-methylcyclohexanecarboxamide (536 mg, 2.86 mmol) in N,N-dimethylformamide (5 mL) was mixed with sodium hydride (55 wt%
35 dispersion in mineral oil, 150 mg, 3.44 mmol) and methyl iodide (214 μ L, 3.44 mmol) under cooling with ice and stirred for 3 hours while the temperature was gradually raised to room temperature. 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
40 pressure. The residue was purified by silica gel column chromatography (ethyl acetate / hexane = 1/2 $\rightarrow$ 1/1 (v/v)) to give the title compound as a colorless oil (556 mg, yield 97%).

REFERENCE SYNTHETIC EXAMPLE^a 53(trans-4-Methoxycyclohexyl)(7H-pyrrolo[2,3-d]pyrimidin-4-yl)methanone

45 The reactions in Reference Synthetic Example^a 10 were carried out in substantially the same manners except that trans-N,4-dimethoxy-N-methylcyclohexanecarboxamide was used instead of N-methoxy-N,2-dimethylbenzamide to give the title compound as an ivory solid (178 mg, yield 69%).

REFERENCE SYNTHETIC EXAMPLES^a 54 To 60

The reactions in Reference Synthetic Example^a 9 were carried out in substantially the same manners except that 4,4-difluorocyclohexanecarboxylic acid, bicycle[2.2.1]heptane-2-carboxylic acid, cycloheptanecarboxylic acid, cyclobutanecarboxylic acid, cyclopentanecarboxylic acid, trans-4-(trifluoromethyl)cyclohexanecarboxylic acid or cis-4-(trifluoromethyl)cyclohexanecarboxylic acid was used instead of 2-methylbenzoic acid to give the compounds of Reference Synthetic Examples^a 54 to 60. The names, morphologies and yields of the compounds synthesized are shown in Table^a 5.

TABLE^a 5

Rf	Compound Name	Morphology	Yield
54	4,4-difluoro-N-methoxy-N-methylcyclohexanecarboxamide	colorless oil	63%
55	N-methoxy-N-methylbicyclo[2.2.1]heptane-2-carboxamide	colorless oil	47%
56	N-methoxy-N-methylcycloheptanecarboxamide	colorless oil	49%
57	N-methoxy-N-methylcyclobutanecarboxamide	colorless oil	57%
58	N-methoxy-N-methylcyclopentanecarboxamide	colorless oil	45%
59	trans-N-methoxy-N-methyl-4-(trifluoromethyl)cyclohexanecarboxamide	colorless solid	82%
60	cis-N-methoxy-N-methyl-4-(trifluoromethyl)cyclohexanecarboxamide	colorless oil	72%

REFERENCE SYNTHETIC EXAMPLES^a 61 TO 67

The reactions in Reference Synthetic Example^a 10 were carried out in substantially the same manners except that the compounds obtained in Reference Synthetic Examples^a 54 to 60 were used instead of N-methoxy-N,2-dimethylbenzamide to give the compounds of Reference Synthetic Examples^a 61 to 67. The names, morphologies and yields of the compounds synthesized are shown in Table^a 6.

TABLE^a 6

Rf	Compound Name	Morphology	Yield
61	(4,4-difluorocyclohexyl)(7H-pyrrolo[2,3-d]pyrimidin-4-yl)methanone	yellow solid	44%
62	bicyclo[2.2.1]heptan-2-yl(7H-pyrrolo[2,3-d]pyrimidin-4-yl)methanone	pale yellow solid	66%
63	cycloheptyl(7H-pyrrolo[2,3-d]pyrimidin-4-yl)methanone	pale yellow solid	76%
64	cyclobutyl(7H-pyrrolo[2,3-d]pyrimidin-4-yl)methanone	pale yellow solid	38%
65	cyclopentyl(7H-pyrrolo[2,3-d]pyrimidin-4-yl)methanone	pale yellow solid	73%
66	(7H-pyrrolo[2,3-d]pyrimidin-4-yl)[trans-4-(trifluoromethyl)cyclohexyl]methanone	milky solid	65%
67	(7H-pyrrolo[2,3-d]pyrimidin-4-yl)[cis-4-(trifluoromethyl)cyclohexyl]methanone	milky solid	53%

REFERENCE SYNTHETIC EXAMPLE^a 68

[trans-4-(tert-Butyldiphenylsilyl)oxy]-N-methoxyl-N-methylcyclohexanecarboxamide

trans-4-Hydroxy-N-methoxy-N-methylcyclohexanecarboxamide (1.35 g, 7.21 mmol) obtained in Reference Synthetic Example^a 51 in N,N-dimethylformamide (48 mL) was stirred with imidazole (598 mg, 8.65 mmol) and tert-butylchlorodiphenylsilane (2.07 mL, 7.93 mmol) for 4 hours under cooling with ice. 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 sodium sulfate and concentrated under reduced pressure. The residue was purified by silica gel column chromatography (hexane / ethyl acetate = 15/1 → 7/1 → 3/1 (v/v)) to give the title compound as a colorless oil (1.52 g, yield 50%).

REFERENCE SYNTHETIC EXAMPLE^a 69

{trans-4-[(tert-Butyldiphenylsilyl)oxy]cyclohexyl}(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 trans-4-[(tert-butylidiphenylsilyl)oxy]-N-methoxy-N-methylcyclohexanecarboxamide was used instead of N-methoxy-N,2-dimethylbenzamide to give the title compound as a yellow amorphous (1.34 g, yield 78%).

REFERENCE SYNTHETIC EXAMPLE^a 70

1-{4-[(tert-Butyldiphenylsilyl)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 {trans-4-[(tert-butylidiphenylsilyl)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 (838 mg, yield 61%).

REFERENCE SYNTHETIC EXAMPLE^a 71

4-Hydroxy-N-methoxy-N-methylcyclohexanecarboxamide

4-Hydroxycyclohexanecarboxylic acid (10.0 g, 69.4 mmol) and N,O-dimethylhydroxylamine hydrochloride (8.80 g, 90.2 mmol) in dichloromethane (500 mL) was stirred with 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride (17.3 g, 90.2 mmol), 1-hydroxybenzotriazole (12.2 g, 90.2 mmol) and N,N-diisopropylethylamine (24.2 mL, 139 mmol) at room temperature for one day. After addition of water, the reaction mixture was extracted with chloroform, and the organic layer was washed with saturated aqueous sodium 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 (v/v) → ethyl acetate) to give the title compound as a yellow oil (9.07 g, yield 70%).

REFERENCE SYNTHETIC EXAMPLE^a 72

4-[(tert-Butyldimethylsilyl)oxy]-N-methoxy-N-methylcyclohexanecarboxamide

4-Hydroxy-N-methoxy-N-methylcyclohexanecarboxamide (7.34 g, 39.2 mmol) in N,N-dimethylformamide (200 mL) was stirred with imidazole (4.80 g, 70.6 mmol) and tert-butylchlorodimethylsilane (7.70 g, 51.0 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 sodium sulfate and concentrated under reduced pressure. The residue was purified by silica gel column chromatography (hexane / ethyl acetate = 25/1 → 4/1 (v/v)) to give the title compound as a colorless oil (8.68 g, yield 73%).

REFERENCE SYNTHETIC EXAMPLE^a 73

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

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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%).

5 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%).

10 REFERENCE SYNTHETIC EXAMPLE^a 75

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

15 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%).

20 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

30 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

40 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

Benzyl 4-(7H-pyrrolo[2,3-d]pyrimidine-4-carbonyl)piperidine-1-carboxylate (50.0 mg, 0.137 mmol) obtained in Reference Synthetic Example^a 44 in methanol (1 mL) was stirred with aqueous hydroxylamine (300 μ L) at 75°C for 4 hours and allowed to cool to room temperature. After addition of water and saturated aqueous ammonium chloride, the reaction mixture was extracted with chloroform. The organic layer was dried over anhydrous sodium sulfate and concentrated under reduced pressure to give a colorless oil. The oil was dissolved in methanol (3 mL), stirred with zinc powder (45.0 mg, 0.685 mmol) and acetic acid (24.0 μ L, 0.411 mmol) at 75°C for 3 hours and allowed to cool to room temperature. After addition of water and saturated aqueous sodium hydrogen carbonate, the reaction mixture was extracted with chloroform. The organic layer was dried over anhydrous sodium sulfate and concentrated under reduced pressure to give the title compound as a yellow oil (50.0 mg, yield 99%).

REFERENCE SYNTHETIC EXAMPLE^a 80Piperidin-4-yl(7H-pyrrolo[2,3-d]pyrimidin-4-yl)methanone hydrochloride

tert-Butyl 4-(7H-pyrrolo[2,3-d]pyrimidine-4-carbonyl)piperidine-1-carboxylate (840 mg, 2.54 mmol) obtained in Reference Synthetic Example^a 39 in 1,4-dioxane (3 mL) was stirred with 4 M hydrogen chloride-1,4-dioxane (3 mL) at room temperature for one day. The resulting solid was collected by filtration to give the title compound as a brown solid (677 mg, yield 99%).

REFERENCE SYNTHETIC EXAMPLE^a 81(7H-Pyrrolo[2,3-d]pyrimidin-4-yl){1-[4-(trifluoromethyl)benzyl]piperidin-4-yl}methanone

Piperidin-4-yl(7H-pyrrolo[2,3-d]pyrimidin-4-yl)methanone hydrochloride (60.0 mg, 0.224 mmol) in acetonitrile (3 mL) was stirred with 4-(trifluoromethyl)benzyl bromide (70.0 mg, 0.292 mmol) and N,N-diisopropylethylamine (144 μ L, 0.784 mmol) at 60°C for 2 hours and allowed to cool to room temperature. After addition of water and saturated aqueous ammonium chloride, the reaction mixture was extracted with ethyl acetate. 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 = 1/1 (v/v)) to give the title compound as a pale yellow solid (65.0 mg, yield 75%).

REFERENCE SYNTHETIC EXAMPLE^a 82(7H-Pyrrolo[2,3-d]pyrimidin-4-yl){1-[4-(trifluoromethyl)benzyl]piperidin-4-yl}methanamine

The reactions in Reference Synthetic Example^a 79 were carried out in substantially the same manners except that (7H-pyrrolo[2,3-d]pyrimidin-4-yl){1-[4-(trifluoromethyl)benzyl]piperidin-4-yl}methanone was used instead of benzyl 4-(7H-pyrrolo[2,3-d]pyrimidine-4-carbonyl)piperidine-1-carboxylate to give the title compound as a colorless solid (65.0 mg, yield 99%).

REFERENCE SYNTHETIC EXAMPLE^a 83Benzyl 3-[methoxy(methyl)carbamoyl]azetidine-1-carboxylate

The reactions in Reference Synthetic Example^a 43 were carried out in substantially the same manners except that azetidine-3-carboxylic acid was used instead of piperidine-4-carboxylic acid to give the title compound as a colorless oil (1.18 g, yield 21%).

REFERENCE SYNTHETIC EXAMPLE^a 84Benzyl 3-(7H-pyrrolo[2,3-d]pyrimidine-4-carbonyl)azetidine-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]azetidine-1-carboxylate was used instead of N-methoxy-

N,2-dimethylbenzamide to give the title compound as a yellow solid (656 mg, yield 46%).

REFERENCE SYNTHETIC EXAMPLE^a 85

4-(Hydroxymethyl)-N-methoxy-N-methylbenzamide

4-(Hydroxymethyl)benzoic acid (3.00 g, 19.7 mmol) and N,O-dimethylhydroxylamine hydrochloride (2.31 g, 23.7 mmol) in chloroform (30 mL) was stirred with 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride (4.54 g, 23.7 mmol), 1-hydroxybenzotriazole (3.20 g, 23.7 mmol) and N,N-diisopropylethylamine (8.04 mL, 47.3 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 sodium sulfate and concentrated under reduced pressure to give a mixture containing the title compound as a colorless oil (4.20 g). The resulting mixture was used for the next step.

REFERENCE SYNTHETIC EXAMPLE^a 86

4-([(tert-Butyldimethylsilyl)oxy]methyl)-N-methoxy-N-methylbenzamide

4-(Hydroxymethyl)-N-methoxy-N-methylbenzamide (4.20 g) obtained in Reference Synthetic Example^a 85 in N,N-dimethylformamide (10 mL) was stirred with imidazole (4.00 g, 59.2 mmol) and tert-butylchlorodimethylsilane (3.60 g, 23.7 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 sodium sulfate and concentrated under reduced pressure. The residue was purified by silica gel column chromatography (hexane / ethyl acetate = 5/1 → 3/1 (v/v)) to give the title compound as a colorless oil (5.45 g, yield 89% (two steps)).

REFERENCE SYNTHETIC EXAMPLE^a 87

(4-([(tert-Butyldimethylsilyl)oxy]methyl)phenyl)(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 4-([(tert-butyldimethylsilyl)oxy]methyl)-N-methoxy-N-methylbenzamide was used instead of N-methoxy-N,2-dimethylbenzamide to give the title compound as a pale yellow solid (4.40 g, yield 68%).

REFERENCE SYNTHETIC EXAMPLE^a 88

1-(4-([(tert-Butyldimethylsilyl)oxy]methyl)phenyl)-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-butyldimethylsilyl)oxy]methyl)phenyl)(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 colorless solid (3.58 g, yield 79%).

REFERENCE SYNTHETIC EXAMPLE^a 89

cis-4-(Hydroxymethyl)-N-methoxy-N-methylcyclohexanecarboxamide

The reactions in Reference Synthetic Example^a 85 were carried out in substantially the same manners except that cis-4-(hydroxymethyl)cyclohexanecarboxylic acid was used instead of 4-(hydroxymethyl)benzoic acid to give a mixture containing the title compound as a colorless oil (3.17 g). The resulting mixture was used for the next step.

REFERENCE SYNTHETIC EXAMPLE^a 90

cis-4-([(tert-Butyldimethylsilyl)oxy]methyl)-N-methoxy-N-methylcyclohexanecarboxamide

The reactions in Reference Synthetic Example^a 86 were carried out in

substantially the same manners except that cis-4-(hydroxymethyl)-N-methoxy-N-methylcyclohexanecarboxamide obtained in Reference Synthetic Example^a 89 was used instead of 4-(hydroxymethyl)-N-methoxy-N-methylbenzamide to give the title compound as a colorless oil (5.3 g, yield 89% (two steps)).

5 REFERENCE SYNTHETIC EXAMPLE^a 91

(cis-4-{[(tert-Butyldimethylsilyl)oxy]methyl}cyclohexyl)(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 cis-4-{[(tert-butyldimethylsilyl)oxy]methyl}-
10 N-methoxy-N-methylcyclohexanecarboxamide was used instead of N-methoxy-N,2-dimethylbenzamide to give the title compound as a pale yellow solid (4.50 g, yield 72%).

REFERENCE SYNTHETIC EXAMPLE^a 92

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

15 The reactions in Synthetic Example^a 5 were carried out in substantially the same manners except that (cis-4-{[(tert-Butyldimethylsilyl)oxy]methyl}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 (3.49 g, yield 75%). (although the cis-isomer was used as the starting material, only the trans-
20 isomer of the title compound was obtained.)

REFERENCE SYNTHETIC EXAMPLE^a 93

5-(Bromomethyl)thiophene-2-carbonitrile

5-Methylthiophene-2-carbonitrile (500 mg, 4.06 mmol) in carbon tetrachloride (10 mL) was stirred with N-bromosuccinimide (867 mg, 4.87 mmol) and 2,2'-
25 azobis(isobutyronitrile) (133 mg, 0.810 mmol) at 60°C for 4.5 hours and allowed to cool to room temperature. After addition of saturated aqueous sodium thiosulfate, 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
30 purified by silica gel column chromatography (hexane / ethyl acetate = 2/1 → 1/1 (v/v)) to give the title compound as a yellow oil (186 mg, yield 23%).

REFERENCE SYNTHETIC EXAMPLE^a 94

4-{[4-(7H-pyrrolo[2,3-d]pyrimidine-4-carbonyl)piperidin-1-yl]methyl}benzonitrile

The reactions in Reference Synthetic Example^a 81 were carried out in substantially the same manners except that 4-(bromomethyl)benzonitrile was used
35 instead of 4-(trifluoromethyl)benzyl bromide to give the title compound as a pale yellow solid (150.9 mg, yield 65%).

REFERENCE SYNTHETIC EXAMPLE^a 95

4-{[4-(7-{[2-(Trimethylsilyl)ethoxy]methyl}-7H-pyrrolo[2,3-d]pyrimidine-4-carbonyl)piperidin-1-yl]methyl}benzonitrile

40 The reactions in Reference Synthetic Example^a 15 were carried out in substantially the same manners except that 4-{[4-(7H-pyrrolo[2,3-d]pyrimidine-4-carbonyl)piperidin-1-yl]methyl}benzonitrile was used instead of 4-iodo-7H-pyrrolo[2,3-d]pyrimidine to give the title compound as a yellow oil (124.1 mg, yield 75%).

REFERENCE SYNTHETIC EXAMPLE^a 96

45 4-{[4-[Amino(7-{[2-(trimethylsilyl)ethoxy]methyl}-7H-pyrrolo[2,3-d]pyrimidin-4-yl)methyl]piperidin-1-yl]methyl}benzonitrile

The reactions in Reference Synthetic Example^a 7 were carried out in substantially the same manners except that 4-({4-[amino(7-{[2-(trimethylsilyl)ethoxy]methyl}-7H-

pyrrolo[2,3-d]pyrimidin-4-yl)methyl]piperidin-1-yl)methyl)benzonitrile 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 yellow oil (42.9 mg, yield 34%).

REFERENCE SYNTHETIC EXAMPLE^a 97

5 4-([4-(7-([2-(trimethylsilyl)ethoxy]methyl)-7H-imidazo[1,5-c]pyrrolo[3,2-e]pyrimidin-1-yl)piperidin-1-yl)methyl]benzonitrile

The reactions in Reference Synthetic Example^a 20 were carried out in substantially the same manners except that 4-([4-[amino(7-([2-(trimethylsilyl)ethoxy]methyl)-7H-pyrrolo[2,3-d]pyrimidin-4-yl)methyl]piperidin-1-yl)methyl)benzonitrile 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 oil containing the title compound (37.4 mg). The resulting mixture was used for the next step.

REFERENCE SYNTHETIC EXAMPLE^a 98

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

Triethylamine (1.68 mL, 12.0 mmol) was added dropwise to 1-[(benzyloxy)carbonyl]pyrrolidine-3-carboxylic acid (1.00 g, 4.01 mmol), N,O-dimethylhydroxylamine hydrochloride (782 mg, 8.02 mmol), 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride (1.54 g, 8.02 mmol) and 1-hydroxybenzotriazole (1.08 g, 8.02 mmol) in chloroform (20 mL), and the reaction mixture was stirred at room temperature for 16 hours. After addition of water, 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 (hexane / ethyl acetate = 1/1 → 3/7 (v/v)) to give the title compound as a yellow oil (1.11 g, yield 95%).

REFERENCE SYNTHETIC EXAMPLE^a 99

Benzyl 3-(7H-pyrrolo[2,3-d]pyrimidine-4-carbonyl)pyrrolidine-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]pyrrolidine-1-carboxylate was used instead of N-methoxy-N,2-dimethylbenzamide to give a pale yellow solid containing the title compound (216 mg). The resulting mixture was used for the next step.

REFERENCE SYNTHETIC EXAMPLE^a 100

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

35 1-(4-Chlorophenyl)-2,2,2-trifluoroethanone (2.00 g, 9.59 mmol) in nitromethane (10 mL) was stirred with potassium carbonate (1.32 g, 9.59 mmol) at room temperature for 1 hour. After addition of water, the reaction mixture was extracted with ethyl acetate, and the organic layer was dried over anhydrous magnesium sulfate and concentrated under reduced pressure. The resulting residue (pale yellow amorphous, 3.3 g) was dissolved in ethanol (52 mL), then 6 M hydrochloric acid was added dropwise under cooling with ice, and zinc powder (3.13 g, 48.0 mmol) was gradually added. The reaction mixture was stirred for one day while the temperature was gradually raised to room temperature, and filtered through Celite. The filtrate was concentrated under reduced pressure. The residue was mixed with 28 wt% aqueous ammonia and extracted with chloroform, and the organic layer was 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 (609 mg, yield 26%).

REFERENCE SYNTHETIC EXAMPLE^a 1013-Amino-1,1,1-trifluoro-2-phenylpropan-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-phenylethanone was used instead of 1-(4-chlorophenyl)-2,2,2-trifluoroethanone to give the title compound as a colorless solid (54 mg, yield 46%).

REFERENCE SYNTHETIC EXAMPLE^a 1023-Amino-1,1,1-trifluoro-2-(4-fluorophenyl)propan-2-ol

n-Butyllithium (2.66 M solution in hexane, 12.4 mL, 33.0 mmol) was added dropwise to 1-bromo-4-fluorobenzene (5.25 g, 30.0 mmol) in tetrahydrofuran (50 mL) cooled to -78°C, and the reaction mixture was stirred at -78°C for 30 minutes, mixed with ethyl 2,2,2-trifluoroacetate (4.64 mL, 45 mmol) at -78°C and then stirred for another 30 minutes while the temperature was gradually raised to room temperature. The reaction mixture was stirred with nitromethane (3.25 mL, 60 mmol) at room temperature for 30 minutes. The resulting reaction mixture was added to 1 M hydrochloric acid (50 mL) and extracted with ethyl acetate. 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 = 5/1 (v/v)) to give a colorless oil. The colorless oil was dissolved in ethanol (25 mL) and stirred with 10% palladium-carbon (1 g) at room temperature for one day under a hydrogen atmosphere. The reaction mixture was filtered through Celite, and the filtrate was concentrated under reduced pressure to give the title compound as a colorless solid (4.52 g, yield 68% (three steps)).

REFERENCE SYNTHETIC EXAMPLE^a 1032-[4-(Trifluoromethyl)phenyl]oxirane

Trimethylsulfonium iodide (4.08 g, 20.0 mmol) in dimethyl sulfoxide (15 mL) was stirred with sodium hydride (55 wt% dispersion in mineral oil, 873 mg, 20.0 mmol) at room temperature for 1 hour and then with 4-(trifluoromethyl)styrene (2.96 g, 17.0 mmol) in dimethyl sulfoxide (10 mL) at room temperature for 2 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 = 9/1 (v/v)) to give the title compound as a colorless oil (2.59 g, yield 81%).

REFERENCE SYNTHETIC EXAMPLE^a 1041-(Piperidin-4-yl)-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine acetate

5% Palladium-carbon (0.87 g) was added to benzyl 4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)piperidine-1-carboxylate (4.88 g, 13.0 mmol) obtained in Synthetic Example^a 26 in a mixture of acetic acid (60 mL), water (6 mL) and ethanol (10 mL), and after then the reaction system was flushed with hydrogen, the reaction mixture was stirred at room temperature for one day and then filtered. The filtrate was concentrated, and the resulting yellow solid was washed with ethanol to give the title compound as a colorless solid (3.30 g, yield 84%).

REFERENCE SYNTHETIC EXAMPLE^a 1052-(4-Formylphenoxy)acetonitrile

4-Hydroxybenzaldehyde (244 mg, 2.00 mmol) in N,N-dimethylformamide (5 mL) was mixed with sodium hydride (60 wt% dispersion in liquid paraffin, 120 mg, 3.00 mmol) and chloroacetonitrile (189 µL, 3.00 mmol) under cooling with ice and then stirred at 50°C for 3 hours. The reaction mixture was allowed to cool to room temperature

and mixed with saturated aqueous ammonium chloride and extracted with ethyl acetate. The organic layer was washed with 1M aqueous sodium hydroxide, dried over anhydrous sodium sulfate and concentrated under reduced pressure to give the title compound as a brown oil (128 mg, yield 40%).

5 REFERENCE SYNTHETIC EXAMPLE^a 106

4-(Bromomethyl)benzamide

4-(Bromomethyl)benzoic acid (300 mg, 1.40 mmol) in ethyl acetate (5 mL) was stirred with thionyl chloride (249 μ L, 3.50 mmol) at 75°C for 9 hours. The reaction mixture was allowed to cool to room temperature and concentrated under reduced pressure. The residue was dissolved in dichloromethane (5 mL) and stirred with 28% ammonia aqueous solution (380 μ L, 5.60 mmol) under cooling with ice for 80 minutes. The reaction mixture was mixed with water, and the precipitate was collected by filtration, washed with dichloromethane to give the title compound as a colorless solid (274 mg, yield 91%).

15 REFERENCE SYNTHETIC EXAMPLE^a 107

5-(Bromomethyl)-2-(trifluoromethyl)benzonitrile

5-Methyl-2-(trifluoromethyl)benzonitrile (200 mg, 1.08 mmol) in 1,2-dichloroethane (3 mL) was stirred with N-bromosuccinimide (192 mg, 1.08 mmol) and azobisisobutyronitrile (36.1 mg, 0.22 mmol) at 80°C for 2 hours. The reaction mixture allowed to cool to room temperature and was concentrated under reduced pressure. The residue was purified by silica gel column chromatography (hexane $\rightarrow$ ethyl acetate / hexane = 1/3 (v/v)) to give the title compound as a colorless solid (140 mg, yield 49%).

REFERENCE SYNTHETIC EXAMPLE^a 108

4-(Bromomethyl)phthalonitrile

25 The reactions in Reference Synthetic Example^a 107 were carried out in substantially the same manners except that 4-methylphthalonitrile was used instead of 5-methyl-2-(trifluoromethyl)benzonitrile to give the title compound as a colorless solid (163 mg, yield 52%).

REFERENCE SYNTHETIC EXAMPLE^a 109

30 4-(Bromomethyl)-2-(trifluoromethyl)benzonitrile

The reactions in Reference Synthetic Example^a 107 were carried out in substantially the same manners except that 4-methyl-2-(trifluoromethyl)benzonitrile was used instead of 5-methyl-2-(trifluoromethyl)benzonitrile to give the title compound as a colorless solid (177 mg, yield 62%).

35 REFERENCE SYNTHETIC EXAMPLE^a 110

tert-Butyl 4-cyanophenethylcarbamate

2-(4-Bromophenyl)ethylamine (2.00 g, 10.0 mmol) in tetrahydrofuran (5 mL) was mixed with Di-tert-butyl dicarbonate (2.20 g, 10.0 mmol) under cooling with ice and then stirred at room temperature for one day. After addition of water, the reaction mixture was extracted with ethyl acetate. The organic layer was dried over anhydrous sodium sulfate and concentrated under reduced pressure. The resulting residue (900 mg) was dissolved in N,N-dimethylformamide (30 mL) and mixed with zinc cyanide (705 mg, 60.0 mmol) and tetrakis(triphenylphosphine)palladium(0) (347 mg, 0.300 mmol), and the reaction mixture was stirred at 150°C for 20 minutes under microwave irradiation. The resulting reaction mixture was allowed to cool to room temperature, mixed with saturated aqueous ammonium chloride and extracted with ethyl acetate. The organic layer was dried over anhydrous sodium sulfate and concentrated under reduced pressure. The residue was purified by silica gel column chromatography (hexane /

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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

5 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
10 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

15 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
20 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

25 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
30 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

35 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
40 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

45 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

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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 116tert-Butyl 3-(2,2,2-trifluoroethoxy)azetidine-1-carboxylate

Sodium hydride (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 1173-(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 1183-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

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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-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

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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 1252-([trans-2-(4-Fluorophenyl)cyclopropyl]methyl)isoindoline-1,3-dione

- 5 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
- 10 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
- 15 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

- 20 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 1274-Aminoadamantan-1-ol

- 25 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
- 30 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 128128a: Benzyl [(1R,2s,3S,5s,7s)-5-hydroxyadamantan-2-yl]carbamate128b: Benzyl [(1R,2r,3S,5s,7s)-5-hydroxyadamantan-2-yl]carbamate

- 35 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
- 40 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-
- 45 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

Benzyl [(1R,2s,3S,5s,7s)-5-hydroxyadamantan-2-yl]carbamate (318 mg, 1.05 mmol) obtained in Reference Synthetic Example^a 128a and 5% palladium-carbon (63 mg) in methanol (2 mL) were stirred at room temperature for one day under a hydrogen atmosphere. The reaction mixture was filtered, and the filtrate was concentrated under reduced pressure to give the title compound as a colorless solid (144 mg, yield 82%).

REFERENCE SYNTHETIC EXAMPLE^a 130

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

Benzyl [(1R,2r,3S,5s,7s)-5-hydroxyadamantan-2-yl]carbamate (2.24 g, 7.46 mmol) obtained in Reference Synthetic Example^a 128b and 5% palladium-carbon (700 mg) in methanol (30 mL) were stirred at room temperature for one day under a hydrogen atmosphere. The reaction mixture was filtered, and the filtrate was concentrated under reduced pressure to give the title compound as a colorless solid (1.29 g, quantitative yield).

REFERENCE SYNTHETIC EXAMPLE^a 131

2-Bromo-2,2-difluoroethanamine hydrochloride

Borane tetrahydrofuran complex - tetrahydrofuran solution (1.06 M, 12.0 mL, 12.6 mmol) was added dropwise to 2-bromo-2,2-difluoroacetamide (2.00 g, 11.5 mmol) in tetrahydrofuran (20 mL) under cooling with ice, and the resulting reaction mixture was stirred at room temperature for 5 hours. After addition of ethanol (10 mL) and concentrated hydrochloric acid (7 mL), the reaction mixture was concentrated under reduced pressure. The precipitate was collected by filtration to give the title compound as a colorless solid (1.60 g, yield 71%).

REFERENCE SYNTHETIC EXAMPLE^a 132

4-Cyanophenethyl 4-methylbenzenesulfonate

4-(2-Hydroxyethyl)benzonitrile (200 mg, 1.35 mmol) in tetrahydrofuran (4 mL) was mixed with 4-methylbenzene-1-sulfonyl chloride (389 mg, 2.04 mmol) and triethylamine (569 μ L, 4.08 mmol) and stirred at room temperature for 1 day. After addition of water, the reaction mixture was extracted with ethyl acetate. 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 = 9/1 $\rightarrow$ 3/1 $\rightarrow$ 1/1 (v/v)) to give the title compound as a colorless solid (174 mg, yield 43%).

REFERENCE SYNTHETIC EXAMPLE^a 133

4-[[[(tert-Butyldimethylsilyl)oxy]methyl]-N-methoxy-N-methylcyclohexanecarboxamide

4-(Hydroxymethyl)cyclohexanecarboxylic acid (25.0 g, 158 mmol) and N,O-dimethylhydroxylamine hydrochloride (23.1 g, 237 mmol) in chloroform (100 mL) were mixed with 1-(3-Dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride (36.4 g, 190 mmol), 1-hydroxybenzotriazole (5.00 g, 37.0 mmol) and N,N-diisopropylethylamine (41.3 mL, 237 mmol) and 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 sodium sulfate and concentrated under reduced pressure. The residue was dissolved in N,N-dimethylformamide (100 mL) and mixed with imidazole (21.5 g, 316 mmol) and tert-butyldichlorodimethylsilane (26.2 g, 174 mmol). The reaction mixture was 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 sodium sulfate and concentrated under reduced pressure. The residue was purified by silica gel column chromatography (hexane / ethyl acetate = 5/1 $\rightarrow$ 3/1 (v/v)) to give the title compound as a colorless oil (32.4 g, yield 65%).

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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-butyldimethylsilyl)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-butyldimethylsilyl)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-butyldimethylsilyl)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 (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 1 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

sodium chloride, dried over anhydrous sodium sulfate and concentrated under reduced pressure. The residue was purified by silica gel thin layer chromatography (ethyl acetate) to give the title compound as a pale yellow solid (22.5 mg, yield 33%).

SYNTHETIC EXAMPLE^a 1

5 1-Cyclohexyl-3-methyl-7H-imidazo[1,5-c]pyrrolo[3,2-e]pyrimidine

Cyclohexyl[7-(triisopropylsilyl)-7H-pyrrolo[2,3-d]pyrimidin-4-yl]methanone (48.2 mg, 0.120 mmol) obtained in Reference Synthetic Example^a 4 in acetic acid (1.2 mL) was stirred with ammonium acetate (46.2 mg, 0.600 mmol) and acetaldehyde (purity 90%, 15 μ L, 0.24 mmol) at 110°C for 2.5 hours, and the reaction mixture was allowed to cool to room temperature, basified with saturated aqueous sodium hydrogen carbonate and extracted with ethyl acetate. The organic layer was dried over anhydrous sodium sulfate and concentrated under reduced pressure. The residue was purified by silica gel thin layer chromatography (NH-PLC05 plate manufactured by Fuji Silysia Chemical Ltd.: ethyl acetate) and further purified under the same conditions to give the title compound as a brown solid (12.4 mg, yield 41%).

SYNTHETIC EXAMPLE^a 2

1-Cyclohexyl-7H-imidazo[1,5-c]pyrrolo[3,2-e]pyrimidine

Cyclohexyl[7-(triisopropylsilyl)-7H-pyrrolo[2,3-d]pyrimidin-4-yl]methanone (52.5 mg, 0.136 mmol) obtained in Reference Synthetic Example^a 4 in formamide (2 mL) was stirred with formic acid (0.4 mL) at 170°C for 2 hours. The reaction mixture was allowed to cool to room temperature, and after dropwise addition of water, basified with 10 M aqueous sodium hydroxide and extracted with ethyl acetate. The organic layer was dried over anhydrous sodium sulfate and concentrated under reduced pressure. The residue was stirred with phosphorus oxychloride (2 mL) at 110°C for 4 hours. The reaction mixture was allowed to cool to room temperature, and after dropwise addition of water, basified with 10 M aqueous sodium hydroxide and extracted with ethyl acetate. The organic layer was dried over anhydrous sodium sulfate and concentrated under reduced pressure. The residue was purified by silica gel column chromatography (Hi Flash column amino type manufactured by Yamazen Corporation: chloroform / methanol = 7/1 (v/v)) and further purified by silica gel thin layer chromatography (NH-PLC05 plate manufactured by Fuji Silysia Chemical Ltd.: ethyl acetate) to give the title compound as a brown solid (2.29 mg, yield 7%).

SYNTHETIC EXAMPLE^a 3

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

35 Benzyl 3-(7-([2-(trimethylsilyl)ethoxy]methyl)-7H-imidazo[1,5-c]pyrrolo[3,2-e]pyrimidin-1-yl)piperidine-1-carboxylate obtained in Reference Synthetic Example^a 20 in dichloromethane (1 mL) was stirred with trifluoroacetic acid (0.5 mL) at room temperature for 1.5 hours. The reaction mixture was concentrated under reduced pressure and azeotropically distilled with toluene. The resulting residue was dissolved in a mixture of dichloromethane (1 mL) and methanol (0.5 mL) and stirred with ethylenediamine (50 μ L, 0.75 mmol) and 1 M aqueous sodium hydroxide (0.5 mL, 0.5 mmol) at room temperature for one day. The reaction mixture was 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 residue was purified by silica gel column chromatography (Hi Flash column amino type manufactured by Yamazen Corporation: chloroform / methanol = 10/1 $\rightarrow$ 5/1 (v/v)) to give the title compound as a pale yellow oil (17.3 mg, yield 52%).

SYNTHETIC EXAMPLE^a 43-[3-(7H-Imidazo[1,5-c]pyrrolo[3,2-e]pyrimidin-1-yl)piperidin-1-yl]-3-oxopropanenitrile

Benzyl 3-(7H-imidazo[1,5-c]pyrrolo[3,2-e]pyrimidin-1-yl)piperidine-1-carboxylate (13.3 mg, 0.0354 mmol) and 10% palladium hydroxide-carbon (small amount) in ethanol (1.5 mL) was stirred at room temperature for 2.5 hours under a hydrogen atmosphere. The reaction mixture was filtered, and the filtrate was concentrated under reduced pressure. The resulting residue was dissolved in N,N-dimethylformamide (1 mL) and stirred with 2-cyanoacetic acid (5.0 mg, 0.054 mmol), O-(7-azabenzotriazol-1-yl)-N,N,N',N'-tetramethyluronium hexafluorophosphate (27.5 mg, 0.0722 mmol) and N,N-diisopropylethylamine (19.0 μ L, 0.11 mmol) at room temperature for one day. 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 thin layer chromatography (NH-PLC05 plate manufactured by Fuji Silysia Chemical Ltd.: chloroform / methanol =15/1 (v/v)) to give the title compound as a pale yellow oil (1.02 mg, yield 11%).

SYNTHETIC EXAMPLE^a 51-o-Tolyl-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine

(7H-Pyrrolo[2,3-d]pyrimidin-4-yl)(o-tolyl)methanone (50.0 mg, 0.211 mmol) obtained in Reference Synthetic Example^a 10 in methanol (1 mL) was stirred with hydrazine monohydrate (295 μ L, 9.48 mmol) at 75°C for 7 hours. After addition of water and 1 M aqueous sodium hydroxide, the reaction mixture was extracted with chloroform, and the organic layer was dried over anhydrous magnesium sulfate and concentrated under reduced pressure. The resulting residue (pale yellow amorphous, 60.3 mg) was dissolved in chloroform (4 mL) and stirred with manganese dioxide (91.6 mg, 1.05 mmol) at 75°C for 6 hours. The reaction mixture was filtered, and the filtrate was concentrated under reduced pressure. The residue was purified by silica gel column chromatography (hexane / ethyl acetate = 4/1 $\rightarrow$ 1/1 (v/v)) to give the title compound as a white solid (21.5 mg, yield 41%).

SYNTHETIC EXAMPLE^a 61-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 cyclohexyl(7H-pyrrolo[2,3-d]pyrimidin-4-yl)methanone obtained in Reference Synthetic Example^a 12 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 (76.6 mg, yield 73%).

SYNTHETIC EXAMPLE^a 71-(2-Methylcyclohexyl)-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 (2-methylcyclohexyl)(7H-pyrrolo[2,3-d]pyrimidin-4-yl)methanone obtained in Reference Synthetic Example^a 14 was used instead of (7H-pyrrolo[2,3-d]pyrimidin-4-yl)(o-tolyl)methanone to give the title compound as a pale yellow amorphous (16.9 mg, yield 32%).

SYNTHETIC EXAMPLE^a 81-Cyclohexyl-2H-imidazo[1,5-c]pyrrolo[3,2-e]pyrimidine-3(7H)-thione

Cyclohexyl(7H-pyrrolo[2,3-d]pyrimidin-4-yl)methanone (50 mg, 0.22 mmol) obtained in Reference Synthetic Example^a 12 in methanol (1 mL) was stirred with hydroxylamine (50 wt% aq., 735 μ L, 12.0 mmol) at 75°C for 6 hours. After addition of water and 1 M aqueous sodium hydroxide, the reaction mixture was extracted with

chloroform, and the organic layer was dried over anhydrous magnesium sulfate and concentrated under reduced pressure. The resulting residue (colorless oil, 53.0 mg) was dissolved in methanol (3 mL) and stirred with zinc (128 mg, 1.96 mmol) and acetic acid (37.5 μ L, 0.654 mmol) at 75°C for 7 hours, and the reaction mixture was filtered.

5 Chloroform and saturated aqueous sodium hydrogen carbonate were added to the filtrate, and the precipitate was separated by filtration. The filtrate was extracted with chloroform, and the organic layer was dried over anhydrous magnesium sulfate and concentrated under reduced pressure. The resulting residue (pale yellow oil, 23.7 mg) was dissolved in methanol (1 mL) and stirred with carbon disulfide (62.0 μ L, 1.03 mmol) and triethylamine (43.0 μ L, 0.309 mmol) at 75°C for 2 hours. 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 yellow solid (22.6 mg, yield 38%).

15 **SYNTHETIC EXAMPLE^a 9**

1-Cyclohexyl-2H-imidazo[1,5-c]pyrrolo[3,2-e]pyrimidin-3(7H)-one

Cyclohexyl(7H-pyrrolo[2,3-d]pyrimidin-4-yl)methanone (100 mg, 0.436 mmol) obtained in Reference Synthetic Example^a 12 in methanol (2 mL) was stirred with hydroxylamine (50 wt% aq., 1.34 mL, 21.8 mmol) at 75°C for 5 hours. After addition of water and 1 M aqueous sodium hydroxide, the reaction mixture was extracted with chloroform. The organic layer was washed with saturated aqueous sodium chloride, dried over anhydrous magnesium sulfate and concentrated under reduced pressure. The resulting residue (colorless oil, 110 mg) was dissolved in methanol (3 mL) and stirred with zinc (258 mg, 3.93 mmol) and acetic acid (75.0 μ L, 1.31 mmol) at 70°C for 7.5 hours, and the reaction mixture was filtered. Chloroform and saturated aqueous sodium hydrogen carbonate were added to the filtrate, and the precipitate was separated by filtration. The filtrate was extracted with dichloromethane, and the organic layer was dried over anhydrous magnesium sulfate and concentrated under reduced pressure. The resulting residue (pale yellow amorphous, 57.5 mg) was dissolved in chloroform (1 mL) and stirred with triphosgene (29.6 mg, 0.0999 mmol) at room temperature for 3 hours. After addition of methanol, the reaction mixture 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 yellow solid (6.0 mg, yield 5.4%).

35 **SYNTHETIC EXAMPLE^a 10**

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

1-{trans-4-[(tert-Butyldiphenylsilyloxy)methyl]cyclohexyl}-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine (48.0 mg, 0.0942 mmol) obtained in Reference Synthetic Example^a 24 in tetrahydrofuran (3 mL) was cooled with ice and stirred with tetrabutylammonium fluoride (1.0 M solution in tetrahydrofuran, 104 μ L, 0.104 mmol) for 4 hours while the temperature was gradually raised to room temperature. 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 (chloroform / methanol = 10/1 (v/v)) to give the title compound as a pale yellow solid (25.3 mg, yield 99%).

45 **SYNTHETIC EXAMPLE^a 11**

tert-Butyl 4-methyl-3-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)piperidine-1-

carboxylate

The reactions in Synthetic Example^a 5 were carried out in substantially the same manners except that tert-butyl 4-methyl-3-(7H-pyrrolo[2,3-d]pyrimidine-4-carbonyl)piperidine-1-carboxylate obtained in Reference Synthetic Example^a 27 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 (1.0 mg, yield 1.3%).

SYNTHETIC EXAMPLE^a 123-[4-Methyl-3-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)piperidin-1-yl]-3-oxopropanenitrile

tert-Butyl 4-methyl-3-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)piperidine-1-carboxylate (5.6 mg, 0.016 mmol) in 4 M hydrogen chloride - 1,4-dioxane solution (1.0 mL) was stirred under cooling with ice for 1 hour and concentrated under reduced pressure. The residue was dissolved in N,N-dimethylformamide (1 mL) and mixed with 2-cyanoacetic acid (2.7 mg, 0.0314 mmol) and O-(7-azabenzotriazol-1-yl)-N,N,N',N'-tetramethyluronium hexafluorophosphate (11.9 mg, 0.0314 mmol) and then with N,N-diisopylethylamine (0.0082 mL, 0.0471 mmol) and stirred at room temperature for 2 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 thin layer chromatography (NH-PLC05 plate manufactured by Fuji Silysia Chemical Ltd.: chloroform / methanol = 15/1 (v/v)) and further purified by silica gel thin layer chromatography (ethyl acetate) to give the title compound as a pale yellow solid (0.62 mg, yield 12%).

SYNTHETIC EXAMPLE^a 13tert-Butyl 3-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)piperidine-1-carboxylate

The reactions in Synthetic Example^a 5 were carried out in substantially the same manners except that tert-butyl 3-(7H-pyrrolo[2,3-d]pyrimidine-4-carbonyl)piperidine-1-carboxylate obtained in Reference Synthetic Example^a 29 was used instead of (7H-pyrrolo[2,3-d]pyrimidin-4-yl)(o-tolyl)methanone to give the title compound as a pale yellow oil (48.2 mg, yield 47%).

SYNTHETIC EXAMPLE^a 14Benzyl 3-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)piperidine-1-carboxylate

The reactions in Synthetic Example^a 5 were carried out in substantially the same manners except that benzyl 3-(7H-pyrrolo[2,3-d]pyrimidine-4-carbonyl)piperidine-1-carboxylate obtained in Reference Synthetic Example^a 32 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 (185 mg, yield 85%).

SYNTHETIC EXAMPLE^a 151-(Piperidin-3-yl)-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine

Benzyl 3-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)piperidine-1-carboxylate (25.0 mg, 0.0664 mmol) in ethanol was stirred with 5% palladium-carbon (10 mg) under a hydrogen atmosphere at 50°C for 2.5 hours. The reaction mixture was filtered, and the filtrate was concentrated under reduced pressure to give the title compound as a light brown solid (16.1 mg, yield quantitative).

SYNTHETIC EXAMPLE^a 161-(1-Benzylpiperidin-3-yl)-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 (1-benzylpiperidin-3-yl)(7H-pyrrolo[2,3-d]pyrimidin-4-yl)methanone

obtained in Reference Synthetic Example^a 35 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 (2.6 mg, yield 2.5%).

SYNTHETIC EXAMPLE^a 17

5 1-[3-(7H-Pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)piperidin-1-yl]-3,3,3-trifluoropropan-1-one

1-(Piperidin-3-yl)-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine (20.0 mg., 0.0825 mmol) obtained in Synthetic Example^a 15 in N,N-dimethylformamide (1.5 mL) was mixed with 3,3,3-trifluoropropanoic acid (8.6 μ L, 0.099 mmol) and O-(7-azabenzotriazol-1-yl)-N,N,N',N'-tetramethyluronium hexafluorophosphate (62.7 mg, 0.165 mmol) and then with N,N-diisopropylethylamine (0.0431 mL, 0.248 mmol) and stirred at room temperature for one day. 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 = 1/1 $\rightarrow$ 1/2 (v/v) $\rightarrow$ ethyl acetate) to give the title compound as a colorless solid (7.3 mg, yield 25%).

SYNTHETIC EXAMPLE^a 18

1-[1-(Pyridin-3-ylmethyl)piperidin-3-yl]-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine

1-(Piperidin-3-yl)-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine (21.9 mg, 0.0903 mmol) obtained in Synthetic Example^a 15 in methanol (1.5 mL) was stirred with 3-pyridinecarboxyaldehyde (12.7 μ L, 0.135 mmol) at 50°C for 1.5 hours, then with a small amount of acetic acid at room temperature for 2 hours and with sodium triacetoxyborohydride (28.6 mg, 0.135 mmol) at room temperature for one day. After addition of water, 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 (Hi Flash column amino type manufactured by Yamazen Corporation: chloroform / methanol = 15/1 (v/v)) and then by silica gel thin layer chromatography (NH-PLC05 plate manufactured by Fuji Silysia Chemical Ltd.: ethyl acetate) to give the title compound as a colorless solid (5.8 mg, yield 19%).

SYNTHETIC EXAMPLE^a 19

5-{[3-(7H-Pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)-piperidin-1-yl]methyl}thiazole

The reactions in Synthetic Example^a 18 were carried out in substantially the same manners except that thiazole-5-carbaldehyde was used instead of 3-pyridinecarboxyaldehyde to give the title compound as a colorless solid (3.4 mg, yield 12%).

SYNTHETIC EXAMPLE^a 20

3-(7H-Pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)-N-(1,3,4-thiadiazol-2-yl)piperidine-1-carboxamide

1-(Piperidin-3-yl)-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine (22.1 mg, 0.0912 mmol) obtained in Synthetic Example^a 15 in tetrahydrofuran (1.5 mL) was stirred with phenyl 1,3,4-thiadiazol-2-ylcarbamate (24.1 mg, 0.109 mmol) obtained in Reference Synthetic Example^a 36 and triethylamine (0.0191 mg, 0.137 mmol) at 60°C for 1.5 hours and then stirred at room temperature for one day. The precipitate in the reaction mixture was washed with ethyl acetate, methanol and tetrahydrofuran, and the solid was dried under reduced pressure to give the title compound as a light brown solid (2.4 mg, yield 7%).

SYNTHETIC EXAMPLE^a 21

N-(3-Methylisothiazol-5-yl)-3-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)piperidine-1-carboxamide

1-(Piperidin-3-yl)-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine (23.2 mg., 0.0957 mmol) obtained in Synthetic Example^a 15 in tetrahydrofuran (1.5 mL) was stirred with phenyl (3-methylisothiazol-5-yl)carbamate (26.9 mg, 0.115 mmol) obtained in Reference Synthetic Example^a 37 and triethylamine (0.0201 mL, 0.144 mmol) at 60°C for 1.5 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 (Hi Flash column amino type manufactured by Yamazen Corporation: chloroform / methanol = 7/1 (v/v)), and the resulting solid was washed with ethyl acetate, methanol and tetrahydrofuran to give the title compound as a light brown solid (3.0 mg, yield 8.3%).

SYNTHETIC EXAMPLE^a 22

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

1-(Piperidin-3-yl)-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine (29.4 mg., 0.121 mmol) obtained in Synthetic Example^a 15 in acetonitrile (1.5 mL) was stirred with 4-(bromomethyl)benzonitrile (31.0 mg, 0.168 mmol) and N,N-diisopropylethylamine (0.0317 mL, 0.182 mmol) at 60°C for 2 hours. The reaction mixture was purified by silica gel column chromatography (Hi Flash column amino type manufactured by Yamazen Corporation: hexane / ethyl acetate = 1/1 (v/v) → ethyl acetate) to give the title compound as a colorless solid (24.9 mg, yield 58%).

SYNTHETIC EXAMPLE^a 23

1-{1-[4-(Trifluoromethyl)benzyl]piperidin-3-yl}-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine

The reactions in Synthetic Example^a 22 were carried out in substantially the same manners except that 1-(bromomethyl)-4-(trifluoromethyl)benzene was used instead of 4-(bromomethyl)benzonitrile to give the title compound as a light brown solid (30.9 mg, yield 68%).

SYNTHETIC EXAMPLE^a 24

tert-Butyl 4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)piperidine-1-carboxylate

The reactions in Synthetic Example^a 5 were carried out in substantially the same manners except that tert-butyl 4-(7H-pyrrolo[2,3-d]pyrimidin-4-carbonyl)piperidine-1-carboxylate obtained in Reference Synthetic Example^a 39 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 (157 mg, yield 69%).

SYNTHETIC EXAMPLE^a 25

1-[1-(2,2,2-Trifluoroethyl)piperidin-4-yl]-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 (7H-pyrrolo[2,3-d]pyrimidin-4-yl)[1-(2,2,2-trifluoroethyl)piperidin-4-yl]methanone obtained in Reference Synthetic Example^a 42 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 (6.6 mg, yield 12%).

SYNTHETIC EXAMPLE^a 26

Benzyl 4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)piperidine-1-carboxylate

The reactions in Synthetic Example^a 5 were carried out in substantially the same manners except that benzyl 4-(7H-pyrrolo[2,3-d]pyrimidine-4-carbonyl)piperidine-1-

carboxylate obtained in Reference Synthetic Example^a 44 was used instead of (7H-pyrrolo[2,3-d]pyrimidin-4-yl)(o-tolyl)methanone to give the title compound as a colorless solid (49.6 mg, yield 34%).

SYNTHETIC EXAMPLE^a 27

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

5% Palladium-carbon (10.0 mg) was added to benzyl 4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)piperidine-1-carboxylate (30.0 mg, 0.0800 mmol) in methanol (2 mL) under an argon atmosphere, and after the reaction system was flushed with hydrogen, the reaction mixture was stirred at room temperature for 6 hours and then filtered. The filtrate was concentrated under reduced pressure. The resulting yellow solid was washed with methanol and collected by filtration to give the title compound as a pale yellow solid (5.0 mg, yield 26%).

SYNTHETIC EXAMPLE^a 28

1-[1-(Pyridin-3-ylmethyl)piperidin-4-yl]-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine

1-(Piperidin-4-yl)-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine (11.0 mg, 0.0450 mmol) in a mixture of methanol (1 mL) and tetrahydrofuran (1 mL) was stirred with 3-pyridinecarboxaldehyde (5.0 μ L, 0.054 mmol), acetic acid (33 μ L) and sodium cyanoborohydride (4.3 mg, 0.068 mmol) at room temperature for one day. The reaction mixture was stirred with sodium triacetoxyborohydride (10.0 mg, 0.047 mmol) for another 2 hours. The resulting reaction mixture was purified by silica gel thin layer chromatography (methanol / chloroform = 1/9 (v/v)) twice to give the title compound as a colorless solid (1.4 mg, yield 9.3%).

SYNTHETIC EXAMPLE^a 29

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

1-(Piperidin-4-yl)-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine acetate (30.0 mg, 0.0992 mmol) obtained in Reference Synthetic Example^a 104 in N,N-dimethylformamide (1 mL) was stirred with 3,3,3-trifluoropropionic acid (14.0 μ L, 0.161 mmol), 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride (48.0 mg, 0.248 mmol), 1-hydroxybenzotriazole (34.0 mg, 0.248 mmol) and triethylamine (43.0 μ L, 0.310 mmol) at room temperature for 3 hours and then with water (1 mL) for another 1 day. 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 = 2/1 (v/v)) to give the title compound as a colorless solid (11.7 mg, yield 34%).

SYNTHETIC EXAMPLE^a 30

4-(7H-Pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)-N-(1,3,4-thiadiazol-2-yl)piperidine-1-carboxamide

1-(Piperidin-4-yl)-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine acetate (25.0 mg, 0.0827 mmol) obtained in Reference Synthetic Example^a 104 in tetrahydrofuran (1 mL) was stirred with phenyl 1,3,4-thiadiazol-2-ylcarbamate (27.0 mg, 0.124 mmol) obtained in Reference Synthetic Example^a 36 and triethylamine (22.0 μ L, 0.155 mmol) at room temperature for 2 hours. Water and ethyl acetate were added to the reaction mixture, and the insolubles were collected by filtration. The resulting solid was washed with methanol, chloroform, acetonitrile and ethanol to give the title compound as a colorless solid (19.3 mg, yield 63%).

SYNTHETIC EXAMPLE^a 31

N-(3-Methylisothiazol-5-yl)-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)piperidine-1-carboxamide

The reactions Synthetic Example^a 30 were carried out in substantially the same manners except that phenyl (3-methylisothiazol-5-yl)carbamate obtained in Reference Synthetic Example^a 37 was used instead of phenyl 1,3,4-thiadiazol-2-ylcarbamate to give the title compound as a pale yellow solid (17.6 mg, yield 56%).

SYNTHETIC EXAMPLE^a 32

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

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 acetonitrile (1 mL) was stirred with benzyl bromide (15.0 μ L, 0.124 mmol) and N,N-diisopropylethylamine (28.0 μ L, 0.166 mmol) at 60°C for 2 hours. The reaction mixture was purified by silica gel column chromatography (methanol / chloroform = 1/30 $\rightarrow$ 1/25 (v/v)), and the resulting solid was washed with isopropyl ether to give the title compound as a colorless solid (2.92 mg, yield 13%).

SYNTHETIC EXAMPLES^a 33 TO 43

The reactions in Synthetic Example^a 32 were carried out in substantially the same manners except that 4-(trifluoromethyl)benzyl bromide, 4-cyanobenzyl bromide, 3-cyanobenzyl bromide, 4-(chloromethyl)-3,5-dimethylisoxazole, 4-(trifluoromethoxy)benzyl bromide, 4-(trifluoromethylthio)benzyl bromide, 3-(trifluoromethyl)benzyl bromide, 4-(bromomethyl)-3-fluorobenzonitrile, 1-bromo-4-(bromomethyl)benzene, 1-(2-bromoethyl)-4-(trifluoromethyl)benzene or 4-fluorobenzyl bromide was used instead of benzyl bromide to give the compounds of Synthetic Examples^a 33 to 43. The names, morphologies and yields of the synthesized compounds are shown in Table^a 7.

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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 44

5-{[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

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-
15 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

compounds of Synthetic Examples^a 45 to 55. The names, morphologies and yields of the compounds synthesized are shown in Table^a 8.

TABLE^a 8

Ex	Compound Name	Morphology	Yield
45	1-[1-(3-phenylpropyl)piperidin-4-yl]-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine	colorless solid	35%
46	1-[1-(3-fluoro-4-methoxybenzyl)piperidin-4-yl]-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine	colorless solid	62%
47	1-{1-[3,5-bis(trifluoromethyl)benzyl]piperidin-4-yl}-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine	colorless solid	31%
48	2-{[4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)piperidin-1-yl]methyl}thiazole	colorless solid	61%
49	1-{1-[(5-chlorothiophen-2-yl)methyl]piperidin-4-yl}-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine	colorless solid	27%
50	1-[1-(cyclohexylmethyl)piperidin-4-yl]-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine	colorless solid	41%
51	1-(1-cyclopentylpiperidin-4-yl)-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine	colorless solid	63%
52	1-(1-{[6-(trifluoromethyl)pyridin-3-yl]methyl}piperidin-4-yl)-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine	colorless solid	55%
53	4-{[4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)piperidin-1-yl]methyl}-3,5-difluorobenzonitrile	colorless solid	5.0%
54	1-[1-(4-chlorobenzyl)piperidin-4-yl]-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine	colorless solid	24%
55	1-[1-(3-fluorobenzyl)piperidin-4-yl]-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine	colorless solid	33%

5 SYNTHETIC EXAMPLE^a 56

1-{1-[4-(Trifluoromethyl)cyclohexyl]piperidin-4-yl}-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine

The reactions in Synthetic Example^a 44 were carried out in substantially the same manners except that 4-(trifluoromethyl)cyclohexanone was used instead of thiazole-5-carbaldehyde to give an isomer mixture as a pale yellow solid. The isomer mixture was purified by silica gel thin layer chromatography (methanol / chloroform = 1/9 (v/v)) to give the two isomers of the title compound in a less polar fraction (Synthetic Example^a 56a; pale yellow solid, 5.6 mg, yield 22%) and in a more polar fraction (Synthetic Example^a 56b; pale yellow solid, 4.9 mg, yield 19%).

15 SYNTHETIC EXAMPLE^a 57

4-(7H-Pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)-N-[3-(trifluoromethyl)phenyl]piperidine-1-carboxamide

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 tetrahydrofuran (1 mL) was stirred with 3-(trifluoromethyl)phenyl isocyanate (14.0 μ L, 0.0990 mmol) and triethylamine (14.0 μ L, 0.0990 mmol) at room temperature for 3 days. The reaction mixture was purified by silica gel thin layer chromatography (methanol / chloroform = 1/9 (v/v)) to give the title compound as a light gray solid (7.5 mg, yield 27%).

SYNTHETIC EXAMPLE^a 58

4-(7H-Pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)piperidin-1-yl[4-(trifluoromethyl)phenyl]methanone

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 N,N-dimethylformamide (1 mL) was stirred with 4-(trifluoromethyl)benzoyl chloride (14.8 μ L, 0.100 mmol) and triethylamine (13.9 μ L, 0.100 mmol) under cooling with ice for 80 minutes. After addition of water, 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 thin layer chromatography (methanol / chloroform = 1/19 (v/v)) to give the title compound as a colorless oil (16.3 mg, yield 59%).

SYNTHETIC EXAMPLE^a 59

tert-Butyl [trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl]carbamate

The reactions in Synthetic Example^a 5 were carried out in substantially the same manners except that tert-butyl [trans-4-(7H-pyrrolo[2,3-d]pyrimidine-4-carbonyl)cyclohexyl]carbamate obtained in Reference Synthetic Example^a 46 was used instead of (7H-pyrrolo[2,3-d]pyrimidin-4-yl)(o-tolyl)methanone to give the title compound as a colorless solid (4.7 mg, yield 15%).

SYNTHETIC EXAMPLE^a 60

Benzyl [trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl]carbamate

The reactions in Synthetic Example^a 5 were carried out in substantially the same manners except that benzyl [trans-4-(7H-pyrrolo[2,3-d]pyrimidine-4-carbonyl)cyclohexyl]carbamate obtained in Reference Synthetic Example^a 48 was used instead of (7H-pyrrolo[2,3-d]pyrimidin-4-yl)(o-tolyl)methanone to give the title compound as a colorless solid (10.0 mg, yield 29%).

SYNTHETIC EXAMPLE^a 61

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

5% Palladium-carbon (5.00 mg) was added to benzyl [trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl]carbamate (7.00 mg, 0.0180 mmol) in a mixture of ethanol (1 mL) and chloroform (1 mL) under an argon atmosphere, and after the reaction system was flushed with hydrogen, the reaction mixture was stirred at room temperature for one day and then filtered. The filtrate was concentrated under reduced pressure. The resulting residue was purified by silica gel thin layer chromatography (NH-PLC05 plate manufactured by Fuji Silysia Chemical Ltd.:methanol /chloroform = 1/19 (v/v)) to give the title compound as a colorless solid (0.35 mg, yield 8.0%).

SYNTHETIC EXAMPLE^a 62

1-[trans-4-(Methoxymethyl)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 [trans-4-(methoxymethyl)cyclohexyl](7H-pyrrolo[2,3-d]pyrimidin-4-

yl)methanone obtained in Reference Synthetic Example^a 50 was used instead of (7H-pyrrolo[2,3-d]pyrimidin-4-yl)(o-tolyl)methanone to give the title compound as a colorless solid (52.4 mg, yield 63%).

SYNTHETIC EXAMPLE^a 63

5 1-[trans-4-Methoxycyclohexyl]-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 (trans-4-methoxycyclohexyl)(7H-pyrrolo[2,3-d]pyrimidin-4-yl)methanone obtained in Reference Synthetic Example^a 53 was used instead of (7H-pyrrolo[2,3-d]pyrimidin-4-yl)(o-tolyl)methanone to give the title compound as a pale
10 yellow solid (7.80 mg, yield 7.6%).

SYNTHETIC EXAMPLES^a 64 TO 69

The reactions in Synthetic Example^a 5 were carried out in substantially the same manners except that the compounds obtained in Reference Synthetic Examples^a 61 to 66 were used instead of (7H-pyrrolo[2,3-d]pyrimidin-4-yl)(o-tolyl)methanone to give the
15 title compounds of Synthetic Examples^a 64 to 69. The names, morphologies and yields of the compounds synthesized are shown in Table^a 9.

TABLE^a 9

Ex	Compound Name	Morphology	Yield
64	1-(4,4-difluorocyclohexyl)-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine	pale cream solid	51%
65	1-(bicyclo[2.2.1]heptan-2-yl)-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine	colorless solid	47%
66	1-cycloheptyl-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine	colorless solid	49%
67	1-cyclobutyl-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine	colorless solid	56%
68	1-cyclopentyl-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine	yellow amorphous	10%
69	1-[trans-4-(trifluoromethyl)cyclohexyl]-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine	colorless solid	12%

SYNTHETIC EXAMPLE^a 70

20 1-[trans-4-(Trifluoromethyl)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 (7H-pyrrolo[2,3-d]pyrimidin-4-yl)[cis-4-(trifluoromethyl)cyclohexyl]methanone obtained in Reference Synthetic Example^a 67 was used instead of (7H-pyrrolo[2,3-d]pyrimidin-4-yl)(o-tolyl)methanone to give the title
25 compound as a colorless solid (12.0 mg, yield 23%). (although the cis-isomer was used as the starting material, only the trans-isomer of the title compound was obtained.)

SYNTHETIC EXAMPLE^a 71

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

30 Triphenylphosphine (58.0 mg, 0.221 mmol) in tetrahydrofuran (1 mL) was mixed with diisopropyl azodicarboxylate (116 μ L, 0.428 mmol) and [trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl]methanol (30.0 mg, 0.111 mmol) obtained in Synthetic Example^a 10 and thioacetic acid (16.0 μ L, 0.225 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 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 (ethyl acetate / hexane = 1/10 → 1/3 (v/v)) to give the title compound as a colorless solid (22.4 mg, yield 62%).

SYNTHETIC EXAMPLE^a 72

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

The reactions in Synthetic Example^a 71 were carried out in substantially the same manners except that acetic acid was used instead of thioacetic acid to give the title compound as a colorless solid (18.3 mg, yield 53%).

SYNTHETIC EXAMPLE^a 73

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

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

(30.0 mg, 0.111 mmol) obtained in Synthetic Example^a 10 suspended in dichloromethane (3 mL) was mixed with N,N-diethylaminosulfur trifluoride (16.1 μL, 0.122 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 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 (ethyl acetate / hexane = 1/5 → 1/3 (v/v)) to give the title compound as a colorless solid (6.7 mg, yield 22%).

SYNTHETIC EXAMPLE^a 74

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

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

(50.0 mg, 0.184 mmol) obtained in Synthetic Example^a 10 in dichloromethane (3 mL) was mixed with triphenylphosphine (58.0 mg, 0.221 mmol) and N-bromosuccinimide (39.0 mg, 0.221 mmol) under cooling with ice and stirred for 19 hours while the temperature was gradually raised to room temperature. The reaction mixture was purified by silica gel column chromatography (ethyl acetate / hexane = 1/1 (v/v)) to give the title compound as a colorless solid (27.4 mg, yield 44%).

SYNTHETIC EXAMPLE^a 75

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

The reactions in Synthetic Example^a 74 were carried out in substantially the same manners except that N-chlorosuccinimide was used instead of N-bromosuccinimide to give the title compound as a colorless solid (1.25 mg, yield 2%).

SYNTHETIC EXAMPLE^a 76

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

S-[trans-4-(7H-Pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl]methyl ethanethioate (30.0 mg, 0.0911 mmol) obtained in Synthetic Example^a 71 in methanol (2 mL) was stirred with sodium methoxide (28 wt% solution in methanol, 10 μL) at room temperature for 30 minutes. The solid precipitated in the reaction solution was removed by filtration and washed with methanol. The filtrate and the washings were mixed with water, and the precipitated solid was collected by filtration and dried under reduced pressure to give the title compound as a colorless solid (12.9 mg, yield 49%).

SYNTHETIC EXAMPLE^a 77

1-[trans-4-[(Methylsulfonyl)methyl]cyclohexyl]-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-

c]pyrimidine

1-[trans-4-(Bromomethyl)cyclohexyl]-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine (27.3 mg, 0.0817 mmol) obtained in Synthetic Example^a 74 in N,N-dimethylformamide (2 mL) was stirred with sodium methanesulfinate (10.8 mg, 0.106 mmol) at room temperature for 30 minutes and then at 65°C for 1.5 hours. The reaction mixture was allowed to cool to room temperature and stirred with sodium methanesulfinate (21.7 mg, 0.212 mmol) at 65°C for 7.5 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 residues was purified by silica gel column chromatography (ethyl acetate / hexane = 1/1 (v/v)) to give the title compound as a colorless solid (5.3 mg, yield 25%).

SYNTHETIC EXAMPLE^a 78trans-4-(7H-Pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexanecarbaldehyde

[trans-4-(7H-Pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl]methanol (50.0 mg, 0.184 mmol) obtained in Synthetic Example^a 10 in a mixture of toluene (1 mL) and dimethyl sulfoxide (200 µL) was stirred with 2-iodoxybenzoic acid (62.0 mg, 0.221 mmol) at room temperature for 30 minutes and at 50°C for 3 hours. After addition of saturated aqueous sodium hydrogen carbonate, 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 residues was purified by silica gel column chromatography (ethyl acetate / hexane = 1/1 (v/v)) to give the title compound as a colorless solid (38.0 mg, yield 77%).

SYNTHETIC EXAMPLE^a 791-[trans-4-(Difluoromethyl)cyclohexyl]-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine

The reactions in Synthetic Example^a 73 were carried out in substantially the same manners except that trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexanecarbaldehyde was used instead of [trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl]methanol to give the title compound as a colorless solid (21.1 mg, yield 65%).

SYNTHETIC EXAMPLE^a 80trans-4-(7H-Pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexanecarboxylic acid

trans-4-(7H-Pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexanecarbaldehyde (25.8 mg, 0.0958 mmol) obtained in Synthetic Example^a 78 in t-butanol (0.31 mL) was mixed with sodium dihydrogen phosphate (34.4 mg, 0.287 mmol), water (0.31 mL) and 2-methyl-2-butene (0.31 mL, 2.87 mmol) and then with sodium chlorite (43.3 mg, 0.479 mmol) and stirred at room temperature for 2 hours. 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 residue was purified by silica gel column chromatography (chloroform / methanol = 10/1 → 4/1 → 2/1 (v/v)) to give the title compound as a colorless solid (14.7 mg, yield 54%).

SYNTHETIC EXAMPLE^a 81trans-4-(7H-Pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexanol

1-{4-[(tert-Butyldiphenylsilyl)oxy]cyclohexyl}-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine (400 mg, 0.807 mmol) obtained in Reference Synthetic Example^a 70 in tetrahydrofuran (8 mL) was mixed with tetrabutylammonium fluoride (1 M solution in tetrahydrofuran, 0.97 mL, 0.986 mmol) under cooling with ice and stirred at room

temperature for 2 hours and then at 40°C for 1.5 hours. The reaction solution was stirred with tetrabutylammonium fluoride (1 M solution in tetrahydrofuran, 0.458 mL, 0.484 mmol) at 40°C for 1 hour. After addition of water, the reaction solution was extracted with chloroform, and the organic layer was washed with saturated aqueous sodium chloride, dried over anhydrous sodium sulfate and concentrated under reduced pressure. The residue was purified by silica gel column chromatography (ethyl acetate → chloroform / methanol = 10/1 (v/v)) to give the title compound as a colorless solid (78.1 mg, yield 37%).

SYNTHETIC EXAMPLE^a 82

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

The reactions in Synthetic Example^a 78 were carried out in substantially the same manners except that trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexanol was used instead of [trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl]methanol to give the title compound as a pale yellow solid (27.1 mg, yield 35%).

SYNTHETIC EXAMPLE^a 83

cis-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 (1.18 g, 3.16 mmol) obtained in Reference Synthetic Example^a 74 in tetrahydrofuran (10 mL) was stirred with tetrabutylammonium fluoride (1 M solution in tetrahydrofuran, 3.8 mL, 3.79 mmol) at room temperature for 15 hours and then with tetrabutylammonium fluoride (1 M solution in tetrahydrofuran, 7.6 mL, 7.58 mmol) at 60°C for 8 hours and then allowed to cool to room temperature. 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 (ethyl acetate / hexane = 1/1 (v/v) → ethyl acetate) to give a less polar fraction (colorless solid, 237 mg) and a more polar fraction (colorless solid, 438 mg). The less polar fraction was stirred with tetrabutylammonium fluoride (1 M solution in tetrahydrofuran, 440 µL) at room temperature for 4 days. 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 concentrate was purified by silica gel column chromatography (hexane/ ethyl acetate = 1/1 (v/v) → ethyl acetate) to give the title compound as a colorless solid (66.4 mg, yield 14%).

SYNTHETIC EXAMPLE^a 84

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

The reactions in Synthetic Example^a 3 were carried out in substantially the same manners except that benzyl 4-(7-{[2-(trimethylsilyl)ethoxy]methyl}-7H-imidazo[1,5-c]pyrrolo[3,2-e]pyrimidin-1-yl)piperidine-1-carboxylate obtained in Reference Synthetic Example^a 78 was used instead of benzyl 3-(7-{[2-(trimethylsilyl)ethoxy]methyl}-7H-imidazo[1,5-c]pyrrolo[3,2-e]pyrimidin-1-yl)piperidine-1-carboxylate to give the title compound as a yellow solid (4.6 mg, yield 2%).

SYNTHETIC EXAMPLE^a 85

Benzyl 4-(3-thioxo-3,7-dihydro-2H-imidazo[1,5-c]pyrrolo[3,2-e]pyrimidin-1-yl)piperidine-1-carboxylate

Benzyl 4-[amino(7H-pyrrolo[2,3-d]pyrimidin-4-yl)methyl]piperidine-1-carboxylate (50.0 mg, 0.137 mmol) obtained in Reference Synthetic Example^a 79 in methanol (1

mL) was stirred with carbon disulfide (81.0 μ L, 1.35 mmol) and triethylamine (56.0 μ L, 0.405 mmol) at 75°C for 1.5 hours. The reaction mixture was allowed to cool to room temperature, and the precipitated solid was collected by filtration and washed with methanol to give the title compound as a yellow solid (28.0 mg, yield 51%).

5 SYNTHETIC EXAMPLE^a 86

1-{1-[4-(Trifluoromethyl)benzyl]piperidin-4-yl}-2H-imidazo[1,5-c]pyrrolo[3,2-e]pyrimidine-3-(7H)-thione

The reactions in Synthetic Example^a 85 were carried out in substantially the same manners except that (7H-pyrrolo[2,3-d]pyrimidin-4-yl){1-[4-

10 (trifluoromethyl)benzyl]piperidin-4-yl}methanamine obtained in Reference Synthetic Example^a 82 was used instead of benzyl 4-[amino(7H-pyrrolo[2,3-d]pyrimidin-4-yl)methyl]piperidine-1-carboxylate to give the title compound as a yellow solid (2.6 mg, yield 4%).

SYNTHETIC EXAMPLE^a 87

15 Benzyl 3-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)azetidine-1-carboxylate

The reactions in Synthetic Example^a 5 were carried out in substantially the same manners except that benzyl 3-(7H-pyrrolo[2,3-d]pyrimidine-4-carbonyl)azetidine-1-carboxylate obtained in Reference Synthetic Example^a 84 was used instead of (7H-pyrrolo[2,3-d]pyrimidin-4-yl)(o-tolyl)methanone to give the title compound as a yellow

20 solid (186 mg, yield 60%).

SYNTHETIC EXAMPLE^a 88

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

trans-4-(7H-Pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexanecarbaldehyde (30.0 mg, 0.111 mmol) obtained in Synthetic Example^a 78 in a mixture of methanol (2 mL) and acetic acid (200 μ L) was stirred with thiomorpholine 1,1-dioxide (22.6 mg, 0.167 mmol) at room temperature for 1 hour, and then with 2-picoline borane (17.9 mg, 0.167 mmol) at room temperature for another 3 hours. After addition of water, the reaction mixture was extracted with ethyl acetate, and the organic

30 layer was washed with saturated aqueous sodium chloride, dried over anhydrous magnesium sulfate and concentrated under reduced pressure. A mixture of ethyl acetate (1 mL), hexane (1 mL) and chloroform (100 μ L) was added to the residue, and the precipitated solid was collected by filtration to give the title compound as a colorless solid (28.3 mg, yield 65%).

35 SYNTHETIC EXAMPLES^a 89 TO 120

The reactions in Synthetic Example^a 88 were carried out in substantially the same manners except that piperidin-4-carbonitrile, 3-aminopropanenitrile, morpholine, 4-aminobenzonitrile, 4-(aminomethyl)benzonitrile hydrochloride, (S)-3-fluoropyrrolidine, (R)-3-fluoropyrrolidine, 3,3-dimethylazetidine hydrochloride, 4,4-difluoropiperidine hydrochloride, [4-(trifluoromethyl)phenyl]methanamine, 4-(trifluoromethyl)aniline, 4-fluoroaniline, (4-fluorophenyl)methanamine, 4-fluoro-N-methylaniline, 4-amino-3-methylbenzonitrile, 2-methyl-4-(trifluoromethoxy)aniline, 4-amino-2-(trifluoromethyl)benzonitrile, (5-methylthiophen-2-yl)methanamine hydrochloride, 2-fluoroethanamine hydrochloride, 4-(methylamino)benzonitrile, 1-(3,4-

45 difluorophenyl)ethanamine, [4-(trifluoromethoxy)phenyl]methanamine, 2-(4-fluorophenyl)ethanamine, [4-fluoro-3-(trifluoromethyl)phenyl]methanamine, [4-(methylsulfonyl)phenyl]methanamine, 4-(trifluoromethoxy)aniline, 2-chloro-4-(trifluoromethoxy)aniline, 2-amino-5-fluorobenzonitrile, 4-fluoro-2-(trifluoromethyl)aniline,

4-morpholinoaniline, (S)-pyrrolidin-3-ol hydrochloride or (S)-(tetrahydrofuran-2-yl)methanamine was used instead of thiomorpholine 1,1-dioxide to give the compounds of Synthetic Examples^a 89 to 120. The names, morphologies and yields of the compounds synthesized are shown in Tables^a 10 to 12.

5 TABLE^a 10

Ex	Compound Name	Morphology	Yield
89	1-{{[trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl}piperidine-4-carbonitrile	colorless solid	83%
90	3-({[trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl}amino)propanenitrile	colorless solid	74%
91	4-{{[trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl}morpholine	colorless solid	73%
92	4-({[trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl}amino)benzonitrile	colorless solid	57%
93	4-([([trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl}amino)methyl]benzonitrile	colorless solid	64%
94	1-(trans-4-{{[(S)-3-fluoropyrrolidin-1-yl)methyl}cyclohexyl]-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine	colorless solid	80%
95	1-(trans-4-{{[(R)-3-fluoropyrrolidin-1-yl)methyl}cyclohexyl]-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine	colorless solid	63%
96	1-{trans-4-[(3,3-dimethylazetidin-1-yl)methyl]cyclohexyl}-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine	colorless solid	37%
97	1-{trans-4-[(4,4-difluoropiperidin-1-yl)methyl]cyclohexyl}-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine	colorless solid	64%
98	1-[trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl]-N-[4-(trifluoromethyl)benzyl]methanamine	colorless solid	59%
99	N-{{[trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl}-4-(trifluoromethyl)aniline	colorless solid	63%
100	N-{{[trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl}-4-fluoroaniline	colorless solid	31%
101	1-[trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl]-N-(4-fluorobenzyl)methanamine	colorless solid	67%
102	N-{{[trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl}-4-fluoro-N-methylaniline	colorless solid	78%
103	4-({[trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl}amino)-3-methylbenzonitrile	colorless solid	82%

TABLE^a 11

Ex	Compound Name	Morphology	Yield
104	N-{{trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl}-2-methyl-4-(trifluoromethoxy)aniline	colorless solid	66%
105	4-({[trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl}amino)-2-(trifluoromethyl)benzonitrile	colorless solid	61%
106	1-[trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl]-N-[(5-methylthiophen-2-yl)methyl]methanamine	colorless solid	49%
107	N-{{trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl}-2-fluoroethanamine	colorless solid	19%
108	4-({[trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl}(methyl)amino)benzonitrile	colorless solid	36%
109	N-{{trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl}-1-(3,4-difluorophenyl)ethanamine	colorless solid	8.1%
110	1-[trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl]-N-[4-(trifluoromethoxy)benzyl]methanamine	colorless solid	16%
111	N-{{trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl}-2-(4-fluorophenyl)ethanamine	pale purple solid	12%
112	1-[trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl]-N-[4-fluoro-3-(trifluoromethyl)benzyl]methanamine	colorless solid	5.1%
113	1-[trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl]-N-[4-(methylsulfonyl)benzyl]methanamine	colorless solid	5.0%
114	N-{{trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl}-4-(trifluoromethoxy)aniline	colorless solid	69%
115	N-{{trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl}-2-chloro-4-(trifluoromethoxy)aniline	colorless solid	77%
116	2-({[trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl}amino)-5-fluorobenzonitrile	colorless solid	59%

TABLE^a 12

Ex	Compound Name	Morphology	Yield
117	N-{{trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl}-4-fluoro-2-(trifluoromethyl)aniline	colorless solid	63%
118	N-{{trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl}-4-morpholinoaniline	colorless solid	58%
119	(S)-1-{{trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl}pyrrolidin-3-ol	pale yellow solid	45%
120	1-[trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl]-N-{{[(S)-tetrahydrofuran-2-yl)methyl}methanamine	colorless solid	33%

SYNTHETIC EXAMPLE^a 121

4-{{[4-(7H-Pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl]amino}benzonitrile

5 4-(7H-Pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexanone (21.5 mg, 0.0842 mmol) obtained in Synthetic Example^a 82 in a mixture of methanol (1 mL) and acetic acid (0.1 mL) was stirred with 4-aminobenzonitrile (15.0 mg, 0.126 mmol) and 2-picoline borane (13.5 mg, 0.126 mmol) at room temperature for one day. The reaction mixture was concentrated under reduced pressure, and the residue was purified by
10 silica gel thin layer chromatography (NH-PLC05 plate manufactured by Fuji Silysia Chemical Ltd.: ethyl acetate) to give cis/trans mixture of the title compound as a pale yellow solid (17.1 mg, yield 57%).

SYNTHETIC EXAMPLES^a 122 TO 133

15 The reactions in Synthetic Example^a 121 were carried out in substantially the same manners except that 2-(pyridin-4-yl)ethanamine, 2-phenylethanamine, morpholine, 2-[3-(trifluoromethyl)phenyl]ethanamine, 2-morpholinoethanamine, piperidine-4-carbonitrile, 4-(trifluoromethyl)aniline, 4-amino-3-fluorobenzonitrile, 4-fluoro-N-methylaniline, 4-fluoroaniline, 4-amino-3-methylbenzonitrile or 2-methyl-4-(trifluoromethoxy)aniline was used instead of 4-aminobenzonitrile to give the
20 compounds of Synthetic Examples^a 122 to 133. The names, morphologies and yields of the compounds synthesized are shown in Table^a 13.

TABLE^a 13

Ex	Compound Name	Morphology	Yield
122	N-[2-(pyridin-4-yl)ethyl]-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexanamine	colorless solid	49%
123	N-phenethyl-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexanamine	colorless solid	33%
124	4-[4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl]morpholine	pale brown solid	28%
125	4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)-N-[3-(trifluoromethyl)phenethyl]cyclohexanamine	colorless oil	2.2%
126	N-(2-morpholinoethyl)-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexanamine	gray amorphous	59%
127	1-[4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl]piperidine-4-carbonitrile	colorless solid	67%
128	N-[4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl]-4-(trifluoromethyl)aniline	pale yellow solid	71%
129	4-{{4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl}amino}-3-fluorobenzonitrile	colorless solid	8.8%
130	N-[4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl]-4-fluoro-N-methylaniline	colorless solid	63%
131	N-[4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl]-4-fluoroaniline	colorless solid	59%
132	4-{{4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl}amino}-3-methylbenzonitrile	colorless solid	23%
133	N-[4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl]-2-methyl-4-(trifluoromethoxy)aniline	colorless solid	22%

SYNTHETIC EXAMPLE^a 134

134a: 4-{{cis-4-(7H-Pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl}amino}benzonitrile

134b: 4-{{trans-4-(7H-Pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl}amino}benzonitrile

4-{{4-(7H-Pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl}amino}benzonitrile (16.5 mg, 0.462 mmol) obtained in Synthetic Example^a 121 was resolved by silica gel thin layer chromatography (hexane / ethyl acetate = 1/2 (v/v)) into 4-{{cis-4-(7H-Pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl}amino}benzonitrile (Synthetic Example^a 134a; pale yellow solid, 7.3 mg, yield 44%) in a less polar fraction and into 4-{{trans-4-(7H-Pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl}amino}benzonitrile (Synthetic Example^a 134b; pale yellow solid, 3.0 mg, yield 18%) in a more polar fraction.

SYNTHETIC EXAMPLE^a 135

135a: cis-N-Phenethyl-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexanamine

135b: trans-N-Phenethyl-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexanamine

The reactions in Synthetic Example^a 134 were carried out in substantially the same manners except that N-phenethyl-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexanamine obtained in Synthetic Example^a 123 was used instead of 4-[[4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl]amino}benzonitrile to give cis-N-phenethyl-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexanamine (Synthetic Example^a 135a; colorless solid, 3.22 mg, yield 16%) in a less polar fraction and trans-N-phenethyl-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexanamine (Synthetic Example^a 135b; colorless solid, 2.52 mg, yield 11%) in a more polar fraction.

SYNTHETIC EXAMPLE^a 136

136a: cis-N-(3-Phenylpropyl)-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexanamine

136b: trans-N-(3-Phenylpropyl)-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexanamine

4-(7H-Pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexanone (30.0 mg, 0.118 mmol) obtained in Synthetic Example^a 82 in a mixture of methanol (1.5 ml) and acetic acid (0.15 mL) was mixed with 3-phenylpropan-1-amine (25.0 μ L, 0.176 mmol) at room temperature and stirred at 40°C for 30 minutes. The reaction mixture was allowed to cool to room temperature and stirred with 2-picoline borane (19.0 mg, 0.176 mmol) at room temperature for one day. After addition of 1 M hydrochloric acid and ethyl acetate, the aqueous layer was separated, and after addition of 1 M aqueous sodium hydroxide, extracted with ethyl acetate. The organic layer was dried over anhydrous sodium sulfate and concentrated under reduced pressure, and the residue was purified by silica gel chromatography (Hi Flash amino silica gel column manufactured by Yamazen Corporation: ethyl acetate / hexane = 1/1 (v/v) $\rightarrow$ ethyl acetate) to give cis-N-(3-phenylpropyl)-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexanamine (Synthetic Example^a 136a; colorless oil, 6.00 mg, yield 13%) in a less polar fraction and trans-N-(3-phenylpropyl)-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexanamine (Synthetic Example^a 136b; colorless solid, 2.52 mg, yield 5.7%) in a more polar fraction.

SYNTHETIC EXAMPLES^a 137 TO 139

The reactions in Synthetic Example^a 136 were carried out in substantially the same manners except that 4-(aminomethyl)benzonitrile, [4-(trifluoromethyl)phenyl]methanamine or morpholin-4-amine was used instead of 3-phenylpropan-1-amine to give the compounds of Synthetic Examples^a 137a to 139a in less polar fractions and the compounds of Synthetic Examples^a 137b to 139b in more polar fractions. The names, morphologies and yields of the compounds synthesized are shown in Table^a 14.

TABLE^a 14

Ex	Compound Name	Morphology	Yield
137a	4-([cis-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl]amino)methyl)benzonitrile	colorless solid	39%
137b	4-([trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl]amino)methyl)benzonitrile	colorless solid	40%
138a	cis-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)-N-[4-(trifluoromethyl)benzyl]cyclohexanamine	colorless solid	51%
138b	trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)-N-[4-(trifluoromethyl)benzyl]cyclohexanamine	colorless solid	30%
139a	N-[cis-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl]morpholin-4-amine	Pale yellow solid	21%
139b	N-[trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl]morpholin-4-amine	Pale yellow solid	17%

SYNTHETIC EXAMPLE^a 1404-(7H-Pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)phenyl]methanol

5 1-(4-([(tert-Butyldimethylsilyl)oxy]methyl)phenyl)-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine (3.58 g, 9.43 mmol) obtained in Reference Synthetic Example^a 88 in a mixture of dichloromethane (20 mL) and methanol (50 mL) was stirred with pyridinium p-toluenesulfonate (1.18 g, 4.72 mmol) at 60°C for 8 hours. The reaction mixture was concentrated under reduced pressure, and the residue was
10 purified by silica gel column chromatography (hexane / ethyl acetate = 1/1 (v/v) → ethyl acetate → ethyl acetate / methanol = 1/1 (v/v)) to give the title compound as an ivory solid (831 mg, yield 33%).

SYNTHETIC EXAMPLE^a 141[trans-4-(7H-Pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl]methanol

15 The reactions in Synthetic Example^a 140 were carried out in substantially the same manners except that 1-(trans-4-([(tert-butyldimethylsilyl)oxy]methyl)cyclohexyl)-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine obtained in Reference Synthetic Example^a 92 was used instead of 1-(4-([(tert-butyldimethylsilyl)oxy]methyl)phenyl)-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine to give the title compound as a pale yellow
20 solid (2.05 g, yield 78%). (alternative to Synthetic Example^a 10).

SYNTHETIC EXAMPLES^a 142 TO 144

The reactions in Synthetic Example^a 32 were carried out in substantially the same manners except that 1-(bromomethyl)-2-fluorobenzene, 2-(bromomethyl)-5-(trifluoromethyl)furan or 5-(bromomethyl)thiophene-2-carbonitrile (Reference Synthetic
25 Example^a 93) was used instead of benzyl bromide to give the compounds of Synthetic Examples^a 142 to 144. The names, morphologies and yields of the compounds synthesized are shown in Table^a 15.

TABLE^a 15

Ex	Compound Name	Morphology	Yield
142	1-[1-(2-fluorobenzyl)piperidin-4-yl]-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine	colorless solid	11%
143	1-(1-{[5-(trifluoromethyl)furan-2-yl]methyl}piperidin-4-yl)-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine	colorless solid	4.0%
144	5-{[4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)piperidin-1-yl]methyl}thiophene-2-carbonitrile	colorless solid	15%

SYNTHETIC EXAMPLES^a 145 TO 171

The reactions in Synthetic Example^a 44 were carried out in substantially the same manners except that 6-fluoronicotinaldehyde, furan-2-carbaldehyde, 5-iodofuran-2-carbaldehyde, thiophene-2-carbaldehyde, 5-bromofuran-2-carbaldehyde, 2-chlorothiazole-5-carbaldehyde, 1H-pyrazole-5-carbaldehyde, 1,2,3-thiadiazole-4-carbaldehyde, 2-bromothiazole-5-carbaldehyde, 4-fluoro-3-(trifluoromethyl)benzaldehyde, 4-chloro-3-(trifluoromethyl)benzaldehyde, 4-(methylsulfonyl)benzaldehyde, 2-fluoro-4-(trifluoromethyl)benzaldehyde, 4-chloro-2-fluorobenzaldehyde, 4-chloro-3-fluorobenzaldehyde, 2-chloroisonicotinaldehyde, 3-fluoroisonicotinaldehyde, 5-fluoropyridine-2-carbaldehyde, 3-chloroisonicotinaldehyde, 2,4-difluorobenzaldehyde, 2-chloro-4-fluorobenzaldehyde, 3,4-difluorobenzaldehyde, 3-fluoro-4-(trifluoromethyl)benzaldehyde, 4-(2-hydroxyethoxy)benzaldehyde, 4-(1,1,2,2-tetrafluoroethoxy)benzaldehyde, 6-methoxynicotinaldehyde or tert-butyl (2-oxoethyl)carbamate was used instead of thiazole-5-carbaldehyde to give the compounds of Synthetic Examples^a 145 to 171. The names, morphologies and yields of the compounds synthesized are shown in Tables^a 16 and 17.

TABLE^a 16

Ex	Compound Name	Morphology	Yield
145	1-{1-[(6-fluoropyridin-3-yl)methyl]piperidin-4-yl}-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine	colorless solid	66%
146	1-[1-(furan-2-ylmethyl)piperidin-4-yl]-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine	colorless solid	7.0%
147	1-{1-[(5-iodofuran-2-yl)methyl]piperidin-4-yl}-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine	colorless solid	66%
148	1-[1-(thiophen-2-ylmethyl)piperidin-4-yl]-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine	colorless solid	49%
149	1-{1-[(5-bromofuran-2-yl)methyl]piperidin-4-yl}-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine	colorless solid	56%
150	5-{[4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)piperidin-1-yl]methyl}-2-chlorothiazole	colorless solid	62%
151	1-{1-[(1H-pyrazol-5-yl)methyl]piperidin-4-yl}-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine	colorless solid	17%
152	4-{[4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)piperidin-1-yl]methyl}-1,2,3-thiadiazole	colorless solid	45%
153	5-{[4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)piperidin-1-yl]methyl}-2-bromothiazole	colorless solid	58%
154	1-{1-[4-fluoro-3-(trifluoromethyl)benzyl]piperidin-4-yl}-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine	colorless solid	27%
155	1-{1-[4-chloro-3-(trifluoromethyl)benzyl]piperidin-4-yl}-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine	colorless solid	9.0%
156	1-{1-[4-(methylsulfonyl)benzyl]piperidin-4-yl}-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine	colorless solid	21%
157	1-{1-[2-fluoro-4-(trifluoromethyl)benzyl]piperidin-4-yl}-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine	colorless solid	8.0%
158	1-[1-(4-chloro-2-fluorobenzyl)piperidin-4-yl]-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine	colorless solid	50%
159	1-[1-(4-chloro-3-fluorobenzyl)piperidin-4-yl]-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine	colorless solid	44%
160	1-{1-[(2-chloropyridin-4-yl)methyl]piperidin-4-yl}-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine	colorless solid	39%

TABLE^a 17

Ex	Compound Name	Morphology	Yield
161	1-{1-[(3-fluoropyridin-4-yl)methyl]piperidin-4-yl}-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine	colorless solid	22%
162	1-{1-[(5-fluoropyridin-2-yl)methyl]piperidin-4-yl}-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine	colorless solid	39%
163	1-{1-[(3-chloropyridin-4-yl)methyl]piperidin-4-yl}-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine	colorless solid	33%
164	1-[1-(2,4-difluorobenzyl)piperidin-4-yl]-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine	pink solid	17%
165	1-[1-(2-chloro-4-fluorobenzyl)piperidin-4-yl]-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine	colorless solid	18%
166	1-[1-(3,4-difluorobenzyl)piperidin-4-yl]-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine	colorless solid	30%
167	1-{1-[3-fluoro-4-(trifluoromethyl)benzyl]piperidin-4-yl}-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine	colorless solid	15%
168	2-(4-{[4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)piperidin-1-yl]methyl}phenoxy)ethanol	colorless solid	7.0%
169	1-{1-[4-(1,1,2,2-tetrafluoroethoxy)benzyl]piperidin-4-yl}-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine	colorless solid	11%
170	1-{1-[(6-methoxypyridin-3-yl)methyl]piperidin-4-yl}-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine	colorless solid	15%
171	tert-butyl {2-[4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)piperidin-1-yl]ethyl}carbamate	pale yellow amorphous	75%

SYNTHETIC EXAMPLES^a 172 TO 193

The reactions in Synthetic Example^a 88 were carried out in substantially the same manners except that 3-amino-1,1,1-trifluoro-2-phenylpropan-2-ol (Reference Synthetic Example^a 101), 4-[(trifluoromethyl)sulfonyl]aniline, 2-phenylethanamine, 2-
5 (trifluoromethyl)-1H-benzo[d]imidazol-6-amine, 4-chloroaniline, (4-chlorophenyl)methanamine, 2-(4-chlorophenyl)ethanamine, 5-fluoroindoline, 3,3'-azanediylidipropanenitrile, (S)-N,N-dimethylpyrrolidin-3-amine, (5-methylfuran-2-yl)methanamine, (5-methylpyrazin-2-yl)methanamine, (S)-1-aminopropan-2-ol, (R)-1-aminopropan-2-ol, 2-amino-1-phenylethanol, (S)-pyrrolidine-3-carbonitrile hydrochloride,
10 2,2,2-trifluoroethanamine, 5-(methylsulfonyl)indoline, N,N-dimethylindoline-5-sulfonamide, 1-(2-aminoethyl)imidazolidin-2-one, 2-(1H-imidazol-4-yl)ethanamine dihydrochloride or phenylmethanamine was used instead of thiomorpholine 1,1-dioxide to give the compounds of Synthetic Examples^a 172 to 193. The names, morphologies and yields of the compounds synthesized are shown in Tables^a 18 and 19.

TABLE^a 18

Ex	Compound Name	Morphology	Yield
172	3-([trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl}amino)-1,1,1-trifluoro-2-phenylpropan-2-ol	colorless solid	31%
173	N-([trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl)-4-[(trifluoromethyl)sulfonyl]aniline	colorless solid	10%
174	N-([trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl)-2-phenylethanamine	colorless solid	97%
175	N-([trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl)-2-(trifluoromethyl)-1H-benzodimidazol-5-amine	colorless solid	15%
176	N-([trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl)-4-chloroaniline	colorless solid	52%
177	1-[trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl]-N-(4-chlorobenzyl)methanamine	colorless solid	37%
178	N-([trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl)-2-(4-chlorophenyl)ethanamine	pale purple solid	86%
179	1-[trans-4-((5-fluoroindolin-1-yl)methyl)cyclohexyl]-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine	colorless solid	83%
180	3,3'-([trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl}azanediyl)dipropanenitrile	colorless solid	74%
181	(S)-1-([trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl)-N,N-dimethylpyrrolidin-3-amine	colorless solid	71%
182	1-[trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl]-N-[(5-methylfuran-2-yl)methyl]methanamine	pale yellow solid	44%
183	1-[trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl]-N-[(5-methylpyrazin-2-yl)methyl]methanamine	colorless solid	55%
184	(S)-1-([trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl}amino)propan-2-ol	colorless solid	21%
185	(R)-1-([trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl}amino)propan-2-ol	colorless solid	20%

TABLE^a 19

Ex	Compound Name	Morphology	Yield
186	2-([trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl)amino)-1-phenylethanol	colorless solid	24%
187	(S)-1-([trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl)pyrrolidine-3-carbonitrile	colorless solid	71%
188	N-([trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl)-2,2,2-trifluoroethanamine	colorless solid	48%
189	1-(trans-4-([5-(methylsulfonyl)indolin-1-yl)methyl]cyclohexyl)-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine	colorless solid	57%
190	1-([trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl)-N,N-dimethylindoline-5-sulfonamide	colorless solid	72%
191	1-[2-([trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl]amino)ethyl]imidazolidin-2-one	colorless solid	33%
192	N-([trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl)-2-(1H-imidazol-4-yl)ethanamine hydrochloride	colorless solid	56%
193	1-[trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl]-N-benzylmethanamine	colorless solid	84%

SYNTHETIC EXAMPLES^a 194 TO 197

The reactions in Synthetic Example^a 136 were carried out in substantially the same manners except that phenylmethanamine, (4-fluorophenyl)methanamine, 3-amino-1,1,1-trifluoro-2-phenylpropan-2-ol (Reference Synthetic Example^a 101) or (4-chlorophenyl)methanamine was used instead of 3-phenylpropan-1-amine to give the compounds of Synthetic Examples^a 194a to 197a in less polar fractions and the compounds of Synthetic Examples^a 194b to 197b in more polar fractions. The names, morphologies and yields of the compounds synthesized are shown in Table^a 20.

TABLE^a 20

Ex	Compound Name	Morphology	Yield
194a	cis-N-benzyl-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexanamine	colorless solid	44%
194b	trans-N-benzyl-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexanamine	colorless solid	37%
195a	cis-N-(4-fluorobenzyl)-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexanamine	colorless solid	30%
195b	trans-N-(4-fluorobenzyl)-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexanamine	colorless solid	24%
196a	3-{[cis-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl]amino}-1,1,1-trifluoro-2-phenylpropan-2-ol	colorless solid	34%
196b	3-{[trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl]amino}-1,1,1-trifluoro-2-phenylpropan-2-ol	colorless solid	39%
197a	cis-N-(4-chlorobenzyl)-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexanamine	colorless solid	15%
197b	trans-N-(4-chlorobenzyl)-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexanamine	colorless solid	24%

SYNTHETIC EXAMPLES^a 198 TO 204

The reactions in Synthetic Example^a 136 were carried out in substantially the same manners except that 2-(4-chlorophenyl)ethanamine, 3-amino-2-(4-chlorophenyl)-1,1,1-trifluoropropan-2-ol (Reference Synthetic Example^a 100), 3-amino-1,1,1-trifluoro-2-(4-fluorophenyl)propan-2-ol (Reference Synthetic Example^a 102), 2-(4-fluorophenyl)ethanamine, 2-amino-1-phenylethanol, (S)-2-amino-1-phenylethanol or (R)-2-amino-1-phenylethanol was used instead of 3-phenylpropan-1-amine to give the compounds of Synthetic Examples^a 198b to 204b in more polar fractions. The names, morphologies and yields of the compounds synthesized are shown in Table^a 21.

TABLE^a 21

Ex	Compound Name	Morphology	Yield
198b	trans-N-(4-chlorophenethyl)-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexanamine	colorless solid	17%
199b	3-((trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)amino)-2-(4-chlorophenyl)-1,1,1-trifluoropropan-2-ol	pale green solid	37%
200b	3-{[trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl]amino}-1,1,1-trifluoro-2-(4-fluorophenyl)propan-2-ol	pale green solid	42%
201b	trans-N-(4-fluorophenethyl)-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexanamine	colorless solid	24%
202b	2-{[trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl]amino}-1-phenylethanol	colorless solid	8.0%
203b	(S)-2-{[trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl]amino}-1-phenylethanol	pale yellow solid	26%
204b	(R)-2-{[trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl]amino}-1-phenylethanol	colorless solid	9.0%

SYNTHETIC EXAMPLE^a 205N-[4-(7H-Pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl]-4-chloroaniline

5 The reactions in Synthetic Example^a 121 were carried out in substantially the same manners except that 4-chloroaniline was used instead of 4-aminobenzonitrile to give the title compound as a colorless solid (10.2 mg, yield 28%).

SYNTHETIC EXAMPLE^a 206trans-N-(4-Fluorophenyl)-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexanecarboxamide

10 trans-4-(7H-Pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexanecarboxylic acid (19.5 mg, 0.0683 mmol) obtained in Synthetic Example^a 80 in N,N-dimethylformamide (1.5 mL) was mixed with 4-fluoroaniline (0.0977 mL, 0.102 mmol) and O-(7-azabenzotriazol-1-yl)-N,N,N',N'-tetramethyluronium hexafluorophosphate (38.8 mg, 0.102 mmol) and then with N,N-diisopropylethylamine (0.0238 mL, 0.137 mmol) and stirred at room temperature for 3 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 sodium sulfate and concentrated under reduced pressure. The residue was purified by silica gel thin layer chromatography (NH-PLC05 plate manufactured by Fuji Silysia Chemical Ltd.: ethyl acetate). The resulting solid was washed with methanol to give the title compound as a colorless solid (6.45 mg, yield 25%).

SYNTHETIC EXAMPLES^a 207 TO 209

25 The reactions in Synthetic Example^a 206 were carried out in substantially the same manners except that (4-fluorophenyl)methanamine, 2-(4-fluorophenyl)ethanamine or (S)-3-fluoropyrrolidine was used instead of 4-fluoroaniline to give the compounds of Synthetic Examples^a 207 to 209. The names, morphologies and yields of the

compounds synthesized are shown in Table^a 22.

TABLE^a 22

Ex	Compound Name	Morphology	Yield
207	trans-N-(4-fluorobenzyl)-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexanecarboxamide	colorless solid	56%
208	trans-N-(4-fluorophenethyl)-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexanecarboxamide	colorless solid	48%
209	[trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl][(S)-3-fluoropyrrolidin-1-yl]methanone	colorless solid	31%

SYNTHETIC EXAMPLE^a 210

5 4-{[4-(7H-imidazo[1,5-c]pyrrolo[3,2-e]pyrimidin-1-yl)piperidin-1-yl]methyl}benzonitrile

The reactions in Synthetic Example^a 3 were carried out in substantially the same manners except that 4-{[4-(7-{[2-(trimethylsilyl)ethoxy]methyl}-7H-imidazo[1,5-c]pyrrolo[3,2-e]pyrimidin-1-yl)piperidin-1-yl]methyl}benzonitrile obtained in Reference Synthetic Example^a 97 was used instead of benzyl 3-(7-{[2-(trimethylsilyl)ethoxy]methyl}-7H-imidazo[1,5-c]pyrrolo[3,2-e]pyrimidin-1-yl)piperidine-1-carboxylate to give the title compound as a brown solid (1.3 mg, yield 4%).

SYNTHETIC EXAMPLE^a 211

Benzyl 3-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)pyrrolidine-1-carboxylate

15 The reactions in Synthetic Example^a 5 were carried out in substantially the same manners except that benzyl 3-(7H-pyrrolo[2,3-d]pyrimidine-4-carbonyl)pyrrolidine-1-carboxylate obtained in Reference Synthetic Example^a 99 was used instead of (7H-pyrrolo[2,3-d]pyrimidin-4-yl)(o-tolyl)methanone to give the title compound as a colorless solid (27.4 mg, yield 2%).

SYNTHETIC EXAMPLE^a 212

20 2-[4-(7H-Pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)piperidin-1-yl]-1-[4-(trifluoromethyl)phenyl]ethanol

1-(Piperidin-4-yl)-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine acetate (33.1 mg, 0.110 mmol) obtained in Reference Synthetic Example^a 104 in ethanol (3 mL) was stirred with water (0.5 mL), triethylamine (0.1 mL), ytterbium (III) trifluoromethanesulfonate (12.7 mg, 0.0237 mmol) and 2-[4-(trifluoromethyl)phenyl]oxirane (47.0 mg, 0.250 mmol) obtained in Reference Synthetic Example^a 103 at 80°C for 3 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 (methanol / chloroform, = 1/20 (v/v)). The resulting solid was washed with hexane / ethyl acetate to give the title compound as a red solid (19.7 mg, yield 42%).

SYNTHETIC EXAMPLES^a 213 TO 226

35 The reactions in Synthetic Example^a 44 were carried out in substantially the same manners except that 2-(4-formylphenoxy)acetonitrile (Reference Synthetic Example^a 105), 6-chloronicotinaldehyde, (E)-3-(furan-2-yl)acrylaldehyde, 1-methyl-1H-pyrrole-2-carbaldehyde, 3-chloro-1H-indazole-5-carbaldehyde, quinoxaline-6-carbaldehyde, oxazole-4-carbaldehyde, 4-(difluoromethoxy) benzaldehyde, 4-(1H-imidazole-1-yl)

benzaldehyde, 2-fluoro-4-formylbenzonitrile, 2-fluoro-5-formylbenzonitrile, 2,6-difluoro-4-(trifluoromethyl)benzaldehyde, 3-oxo-3,4-dihydro-2H-benzo[b][1,4]oxazine-6-carbaldehyde or 4-[(2-cyanoethyl)methylamino]benzaldehyde was used instead of thiazole-5-carbaldehyde to give the compounds of Synthetic Examples^a 213 to 226.

- 5 The names, morphologies and yields of the synthesized compounds are shown in Table^a 23.

TABLE^a 23

Ex	Compound Name	Morphology	Yield
213	2-(4-{[4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)piperidin-1-yl]methyl}phenoxy)acetonitrile	colorless solid	15%
214	1-{1-[(6-chloropyridin-3-yl)methyl]piperidin-4-yl}-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine	colorless solid	8%
215	(E)-1-{1-[3-(furan-2-yl)allyl]piperidin-4-yl}-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine	colorless solid	33%
216	1-(1-methylpiperidin-4-yl)-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine	yellow solid	27%
217	1-{1-[(3-chloro-1H-indazol-5-yl)methyl]piperidin-4-yl}-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine	colorless solid	4.0%
218	1-[1-(quinoxalin-6-ylmethyl)piperidin-4-yl]-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine	colorless solid	42%
219	4-{[4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)piperidin-1-yl]methyl}oxazole	colorless solid	23%
220	1-{1-[4-(difluoromethoxy)benzyl]piperidin-4-yl}-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine	colorless solid	21%
221	1-{1-[4-(1H-imidazol-1-yl)benzyl]piperidin-4-yl}-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine	yellow solid	64%
222	4-{[4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)piperidin-1-yl]methyl}-2-fluorobenzonitrile	colorless solid	44%
223	5-{[4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)piperidin-1-yl]methyl}-2-fluorobenzonitrile	colorless solid	61%
224	1-{1-[2,6-difluoro-4-(trifluoromethyl)benzyl]piperidin-4-yl}-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine	colorless solid	26%
225	6-{[4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)piperidin-1-yl]methyl}-2H-benzo[b][1,4]oxazin-3(4H)-one	colorless solid	12%
226	3-[(4-{[4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)piperidin-1-yl]methyl}phenyl)(methyl)amino]propanenitrile	colorless solid	5.0%

SYNTHETIC EXAMPLE^a 227

1-{1-[(2,2-Difluorobenzo[d][1,3]dioxol-5-yl)methyl]piperidin-4-yl}-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine

5

1-(Piperidin-4-yl)-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine acetate (20.0 mg,

0.0660 mmol) obtained in Reference Synthetic Example^a 104 in methanol (1 mL) was mixed with 2,2-difluorobenzo[d][1,3]dioxole-5-carbaldehyde (20.0 μ L, 0.0990 mmol), nicotinic acid (12.3 mg, 0.0990 mmol), and 2-picoline borane (10.7 mg, 0.0990 mmol) and stirred at room temperature for 1 day. After addition of 1M aqueous sodium

5 hydroxide, the reaction mixture was extracted with chloroform. The organic layer was dried over anhydrous sodium sulfate and concentrated under reduced pressure. The residue was purified by silica gel thin layer chromatography (methanol / chloroform = 1/10 (v/v)) to give the title compound as a colorless solid (13.1 mg, yield 48%).

SYNTHETIC EXAMPLES^a 228 TO 239

10 The reactions in Synthetic Example^a 227 were carried out in substantially the same manners except that 5-chlorofuran-2-carbaldehyde, 2,2-difluorobenzo[d][1,3]dioxol-4-carbaldehyde, 3-oxo-2-phenylpropanenitrile, 2,6-dichloronicotinaldehyde, benzo[d]thiazole-2-carbaldehyde, 4,5-dibromothiophene-2-carbaldehyde, 2-morpholinothiazole-5-carbaldehyde, 2-(4-chlorophenyl)-3-

15 oxopropanenitrile, 5-methylthiophene-2-carbaldehyde, 4-bromothiophene-2-carbaldehyde, 5-bromothiophene-2-carbaldehyde or isonicotinaldehyde was used instead of 2,2-difluorobenzo[d][1,3]dioxole-5-carbaldehyde to give the compounds of Synthetic Examples^a 228 to 239. The names, morphologies and yields of the synthesized compounds are shown in Table^a 24.

TABLE^a 24

Ex	Compound Name	Morphology	Yield
228	1-{1-[(5-chlorofuran-2-yl)methyl]piperidin-4-yl}-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine	colorless solid	41%
229	1-{1-[(2,2-difluorobenzo[d][1,3]dioxol-4-yl)methyl]piperidin-4-yl}-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine	colorless solid	26%
230	(Z)-3-[4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)piperidin-1-yl]-2-phenylacrylonitrile	colorless solid	22%
231	1-{1-[(2,6-dichloropyridin-3-yl)methyl]piperidin-4-yl}-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine	colorless solid	29%
232	2-{[4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)piperidin-1-yl]methyl}benzo[d]thiazole	colorless solid	13%
233	1-{1-[(4,5-dibromothiophen-2-yl)methyl]piperidin-4-yl}-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine	colorless solid	40%
234	4-(5-{[4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)piperidin-1-yl]methyl}thiazol-2-yl)morpholine	colorless solid	13%
235	(Z)-3-[4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)piperidin-1-yl]-2-(4-chlorophenyl)acrylonitrile	pale purple solid	5.0%
236	1-{1-[(5-methylthiophen-2-yl)methyl]piperidin-4-yl}-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine	pale orange solid	27%
237	1-{1-[(4-bromothiophen-2-yl)methyl]piperidin-4-yl}-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine	colorless solid	8.0%
238	1-{1-[(5-bromothiophen-2-yl)methyl]piperidin-4-yl}-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine	colorless solid	41%
239	1-[1-(pyridin-4-ylmethyl)piperidin-4-yl]-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine	colorless solid	39%

SYNTHETIC EXAMPLES^a 240 TO 246

The reactions in Synthetic Example^a 32 were carried out in substantially the same
5 manners except that 4-(chloromethyl)thiazole hydrochloride, 4-(bromomethyl)benzamide (Reference Synthetic Example^a 106), 4-(bromomethyl)phthalonitrile (Reference Synthetic Example^a 108), 5-(bromomethyl)-2-(trifluoromethyl)benzonitrile (Reference Synthetic Example^a 107), 4-(bromomethyl)-2-(trifluoromethyl)benzonitrile (Reference Synthetic Example^a 109), (1-
10 bromoethyl)benzene or 2-chloroacetonitrile was used instead of benzylbromide to give the compounds of Synthetic Examples^a 240 to 246. The names, morphologies and yields of the synthesized compounds are shown in Table^a 25.

TABLE^a 25

Ex	Compound Name	Morphology	Yield
240	4-{{[4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)piperidin-1-yl]methyl}thiazole	colorless solid	21%
241	4-{{[4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)piperidin-1-yl]methyl}benzamide	colorless solid	24%
242	4-{{[4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)piperidin-1-yl]methyl}phthalonitrile	colorless solid	71%
243	5-{{[4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)piperidin-1-yl]methyl}-2-(trifluoromethyl)benzonitrile	colorless solid	77%
244	4-{{[4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)piperidin-1-yl]methyl}-2-(trifluoromethyl)benzonitrile	colorless solid	68%
245	1-[1-(1-phenylethyl)piperidin-4-yl]-7H-pyrrolo[3,2-c][1,2,3]triazolo[1,5-c]pyrimidine	pale purple solid	6.0%
246	2-[4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)piperidin-1-yl]acetonitrile	pale purple solid	35%

SYNTHETIC EXAMPLES^a 247 TO 345

The reactions in Synthetic Example^a 88 were carried out in substantially the same manners except that 4-amino-2-chlorobenzonitrile, 4-amino-1-naphthonitrile, 3,4-difluoroaniline, 3,4,5-trifluoroaniline, 4-fluoro-3-(trifluoromethyl)aniline, 5-amino-2-fluorobenzonitrile, 3-aminodihydrothiophen-2(3H)-one hydrochloride, thiazolidine, 2,2-difluoroethaneamine, 3,3,3-trifluoropropane-1-amine, 3-hydroxyazetidine hydrochloride, 4-(trifluoromethyl)piperidine hydrochloride, 2-aminoacetonitrile hydrochloride, piperazin-2-one, piperidine-4-carboxamide, 4-aminophthalonitrile, 5-amino-2-chlorobenzonitrile, 2-(4-aminophenyl)acetonitrile, (R)-pyrrolidine-2-yl methanol, (S)-pyrrolidine-2-yl methanol, (R)-pyrrolidin-3-ol, 2-(benzylamino)ethanol, 2-bromo-2,2-difluoroethaneamine hydrochloride (Reference Synthetic Example^a 131), (4-methoxyphenyl)methanamine, piperidin-4-ol, 2-aminoethanol, 7-amino-2H-benzo[b][1,4]oxazine-3(4H)-one, 6-amino-2H-benzo[b][1,4]oxazine-3(4H)-one, 2,2-difluorobenzo[d][1,3]dioxol-5-amine, (R)-2-amino-1-phenylethanol, (S)-2-amino-1-phenylethanol, azetidine-3-carboxylic acid, 3-aminodihydrofuran-2(3H)-one hydrobromide, cyclopropylamine, azetidine-3-carbonitrile hydrochloride, 4-(2-aminoethyl)benzonitrile (Reference Synthetic Example^a 111), cyclobutanamine, cyclopentanamine, cyclopropylmethanamine, azetidine hydrochloride, pyrrolidine, (R)-4-aminoisoxazolidin-3-one, (R)-(tetrahydrofuran-2-yl)methanamine, 2,2-dimethylcyclopropanamine hydrochloride, 2-methylcyclopropanamine, 1-(trifluoromethyl)cyclopropanamine, 1-(methoxymethyl)cyclopropanamine hydrochloride, oxetan-3-amine, 1-methylcyclopropanamine hydrochloride, dimethylamine hydrochloride, 2-(methylamino)ethanol, 2,2'-azanediyl diethanol, (R)-tert-butyl pyrrolidin-3-ylcarbamate, 3-(phenylamino)propanenitrile, (R)-pyrrolidine-3-carbonitrile

hydrochloride, 3-(methylamino)propanenitrile, (1s,3R,4r,5S,7s)-4-aminoadamantan-1-ol
(Reference Synthetic Example^a 129), (1s,3R,4s,5S,7s)-4-aminoadamantan-1-ol
(Reference Synthetic Example^a 130), trans-4-aminocyclohexanol, 2-
(cyclohexylamino)ethanol, tert-butyl (S)-pyrrolidin-3-ylcarbamate, 3-(4-
5 chlorophenyl)oxetan-3-amine hydrochloride, 4-[4-chloro-3-
(trifluoromethyl)phenyl]piperidin-4-ol, 4-phenylpiperidine-4-carbonitrile hydrochloride, 2-
(piperidin-4-yl)propan-2-ol, cis-2-(aminomethyl)cyclohexanol hydrochloride, 1-
(aminomethyl)cyclohexanol hydrochloride, 3-(piperazin-1-yl)propanenitrile, 2-(piperazin-
1-yl)ethanol, bicyclo[1.1.1]pentan-1-amine hydrochloride, 1,1,1,3,3,3-hexafluoropropan-
10 2-amine, (R)-N-(pyrrolidin-3-yl)acetamide, (S)-N-(pyrrolidin-3-yl)acetamide, (R)-2,2,2-
trifluoro-N-(pyrrolidin-3-yl)acetamide hydrochloride, (S)-2,2,2-trifluoro-N-(pyrrolidin-3-
yl)acetamide hydrochloride, 3-(4-fluorophenyl)oxetan-3-amine hydrochloride, 1-(4-
fluorophenyl)cyclopropanamine hydrochloride, 1-(4-fluorophenyl)cyclobutanamine
hydrochloride, 2-methoxy-N-methylethanamine, bis(2-methoxyethyl)amine, (1-
15 aminocyclopropyl)methanol hydrochloride, 3,3-difluoropyrrolidine hydrochloride,
methanamine hydrochloride, ethanamine hydrochloride, propan-2-amine, 2-
methylpropan-2-amine, prop-2-yn-1-amine, 4-(piperidin-4-yl)morpholine, tert-butyl 4-
(aminomethyl)piperidine-1-carboxylate, tert-butyl (piperidin-4-ylmethyl)carbamate, tert-
butyl (S)-3-aminopyrrolidine-1-carboxylate, 3-fluoroazetidine hydrochloride, 3,3-
20 difluoroazetidine hydrochloride, (R)-N,N-dimethylpyrrolidin-3-amine, 2-amino-N-(2,2,2-
trifluoroethyl)acetamide hydrochloride, 2,2,3,3,3-pentafluoropropan-1-amine, 3-amino-
1,1,1-trifluoropropan-2-ol, thietan-3-amine hydrobromide or 1-(ethylsulfonyl)piperazine
was used instead of thiomorpholine 1,1-dioxide to give the compounds of Synthetic
Examples^a 247 to 345. The names, morphologies and yields of the synthesized
25 compounds are shown in Tables^a 26 to 33.

TABLE^a 26

Ex	Compound Name	Morphology	Yield
247	4-([trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl}amino)-2-chlorobenzonitrile	colorless solid	79%
248	4-([trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl}amino)-1-naphthonitrile	pale pink solid	56%
249	N-{[trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl}-3,4-difluoroaniline	colorless solid	47%
250	N-{[trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl}-3,4,5-trifluoroaniline	colorless solid	65%
251	N-{[trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl}-4-fluoro-3-(trifluoromethyl)aniline	colorless solid	47%
252	5-([trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl}amino)-2-fluorobenzonitrile	colorless solid	69%
253	3-([trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl}amino) dihydrothiophen-2(3H)-one	colorless solid	73%
254	3-{[trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl}thiazolidine	pale pink solid	21%
255	N-{[trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl}-2,2-difluoroethanamine	pale purple solid	62%
256	N-{[trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl}-3,3,3-trifluoropropan-1-amine	colorless solid	66%
257	1-{[trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl}azetidin-3-ol	colorless solid	37%
258	1-(trans-4-{[4-(trifluoromethyl)piperidin-1-yl)methyl}cyclohexyl)-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine	colorless solid	94%
259	2-([trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl}amino)acetonitrile	colorless solid	27%
260	4-{[trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl}piperazin-2-one	colorless solid	52%

TABLE^a 27

Ex	Compound Name	Morphology	Yield
261	1-([trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl]piperidine-4-carboxamide	colorless solid	8.0%
262	4-([trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl]amino)phthalonitrile	colorless solid	54%
263	5-([trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl]amino)-2-chlorobenzonitrile	colorless solid	75%
264	2-[4-([trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl]amino)phenyl]acetonitrile	colorless solid	54%
265	((R)-1-([trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl]pyrrolidin-2-yl)methanol	colorless solid	71%
266	((S)-1-([trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl]pyrrolidin-2-yl)methanol	colorless solid	87%
267	(R)-1-([trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl]pyrrolidin-3-ol	colorless solid	68%
268	2-([trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl](benzyl)amino)ethanol	colorless solid	62%
269	N-([trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl]-2-bromo-2,2-difluoroethanamine	colorless solid	42%
270	1-[trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl]-N-(4-methoxybenzyl)methanamine	colorless solid	30%
271	1-([trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl]piperidin-4-ol	colorless solid	54%
272	2-([trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl]amino)ethanol	colorless solid	34%
273	7-([trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl]amino)-2H-benzo[b][1,4]oxazin-3(4H)-one	colorless solid	80%

TABLE^a 28

Ex	Compound Name	Morphology	Yield
274	6-([trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl}amino)-2H-benzo[b][1,4]oxazin-3(4H)-one	pale pink solid	98%
275	N-([trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl}-2,2-difluorobenzo[d][1,3]dioxol-5-amine	colorless solid	63%
276	(R)-2-([trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl}amino)-1-phenylethanol	colorless solid	50%
277	(S)-2-([trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl}amino)-1-phenylethanol	colorless solid	73%
278	1-([trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl}azetidine-3-carboxylic acid	colorless solid	90%
279	3-([trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl}amino)dihydrofuran-2(3H)-one	colorless solid	quant.
280	N-([trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl}cyclopropanamine	colorless solid	34%
281	1-([trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl}azetidine-3-carbonitrile	colorless solid	46%
282	4-[2-([trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl}amino)ethyl]benzonitrile	colorless solid	54%
283	N-([trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl}cyclobutanamine	colorless solid	70%
284	N-([trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl}cyclopentanamine	colorless solid	63%
285	1-[trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl]-N-(cyclopropylmethyl)methanamine	colorless solid	53%
286	1-[trans-4-(azetidin-1-yl)methyl]cyclohexyl]-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine	colorless solid	60%

TABLE^a 29

Ex	Compound Name	Morphology	Yield
287	1-[trans-4-(pyrrolidin-1-ylmethyl)cyclohexyl]-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine	colorless solid	64%
288	(R)-4-([trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl]methyl)amino)isoxazolidin-3-one	colorless solid	78%
289	1-[trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl]-N-([R]-tetrahydrofuran-2-yl)methylmethanamine	colorless solid	46%
290	N-([trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl]methyl)-2,2-dimethylcyclopropanamine	colorless solid	44%
291	N-([trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl]methyl)-2-methylcyclopropanamine	colorless solid	53%
292	N-([trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl]methyl)-1-(trifluoromethyl)cyclopropanamine	colorless solid	60%
293	N-([trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl]methyl)-1-(methoxymethyl)cyclopropanamine	colorless solid	52%
294	N-([trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl]methyl)oxetan-3-amine	colorless solid	40%
295	N-([trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl]methyl)-1-methylcyclopropanamine	colorless solid	25%
296	1-[trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl]-N,N-dimethylmethanamine	colorless solid	43%
297	2-([trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl]methyl)(methyl)amino)ethanol	colorless solid	57%
298	2,2'-([trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl]methyl)azanediyl)diethanol	colorless solid	43%
299	tert-butyl ((R)-1-([trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl]methyl)pyrrolidin-3-yl)carbamate	colorless solid	64%

TABLE^a 30

Ex	Compound Name	Morphology	Yield
300	3-([trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl}(phenyl)amino)propanenitrile	colorless solid	72%
301	(R)-1-([trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl}pyrrolidine-3-carbonitrile	colorless solid	58%
302	3-([trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl}(methyl)amino)propanenitrile	colorless solid	42%
303	(1S,3R,4r,5S,7S)-4-([trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl}amino)adamantan-1-ol	colorless solid	61%
304	(1S,3R,4s,5S,7S)-4-([trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl}amino)adamantan-1-ol	colorless solid	53%
305	trans-4-([trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl}amino)cyclohexanol	colorless solid	35%
306	2-([trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl}(cyclohexyl)amino)ethanol	colorless solid	40%
307	tert-butyl ((S)-1-([trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl}pyrrolidin-3-yl)carbamate	colorless solid	69%
308	N-([trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl}-3-(4-chlorophenyl)oxetan-3-amine	colorless solid	72%
309	1-([trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl}-4-[4-chloro-3-(trifluoromethyl)phenyl]piperidin-4-ol	colorless solid	54%
310	1-([trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl}-4-phenylpiperidine-4-carbonitrile	colorless solid	56%
311	2-(1-([trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl}piperidin-4-yl)propan-2-ol	colorless solid	59%

TABLE^a 31.

Ex	Compound Name	Morphology	Yield
312	cis-2-[({[trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl}amino)methyl]cyclohexanol	colorless solid	14%
313	1-[({[trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl}amino)methyl]cyclohexanol	colorless solid	47%
314	3-(4-{[trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl}piperazin-1-yl)propanenitrile	colorless solid	35%
315	2-(4-{[trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl}piperazin-1-yl)ethanol	colorless solid	35%
316	N-{[trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl}bicyclo[1.1.1]pentan-1-amine	colorless solid	44%
317	N-{[trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl}-1,1,1,3,3,3-hexafluoropropan-2-amine	colorless solid	77%
318	N-((R)-1-{[trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl}pyrrolidin-3-yl)acetamide	colorless solid	48%
319	N-((S)-1-{[trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl}pyrrolidin-3-yl)acetamide	colorless solid	29%
320	N-((R)-1-{[trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl}pyrrolidin-3-yl)-2,2,2-trifluoroacetamide	colorless solid	49%
321	N-((S)-1-{[trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl}pyrrolidin-3-yl)-2,2,2-trifluoroacetamide	colorless solid	48%
322	N-{[trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl}-3-(4-fluorophenyl)oxetan-3-amine	colorless solid	52%
323	N-{[trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl}-1-(4-fluorophenyl)cyclopropanamine	colorless solid	39%

TABLE^a 32

Ex	Compound Name	Morphology	Yield
324	N-{{trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl}-1-(4-fluorophenyl)cyclobutanamine	colorless solid	39%
325	N-{{trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl}-2-methoxy-N-methylethanamine	colorless solid	71%
326	N-{{trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl}-2-methoxy-N-(2-methoxyethyl)ethanamine	colorless solid	76%
327	[1-({[trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl}amino)cyclopropyl]methanol	colorless solid	58%
328	1-{trans-4-[(3,3-difluoropyrrolidin-1-yl)methyl]cyclohexyl}-7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidine	colorless solid	26%
329	1-[trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl]-N-methylmethanamine	colorless solid	26%
330	N-{{trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl}ethanamine	colorless solid	58%
331	N-{{trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl}propan-2-amine	colorless solid	55%
332	N-{{trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl}-2-methylpropan-2-amine	colorless solid	34%
333	N-{{trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl}prop-2-yn-1-amine	colorless solid	62%
334	4-(1-({[trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl}piperidin-4-yl)morpholine	colorless solid	44%
335	tert-butyl 4-[(1-({[trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl}amino)methyl]piperidine-1-carboxylate	colorless solid	17%
336	tert-butyl [(1-({[trans-4-(7H-pyrrolo[3,2-e][1,2,3]triazolo[1,5-c]pyrimidin-1-yl)cyclohexyl)methyl}piperidin-4-yl)methyl]carbamate	colorless solid	3.0%

DEMANDES OU BREVETS VOLUMINEUX

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

CECI EST LE TOME __1__ DE __2__

NOTE: Pour les tomes additionels, veuillez contacter le Bureau Canadien des Brevets.

JUMBO APPLICATIONS / PATENTS

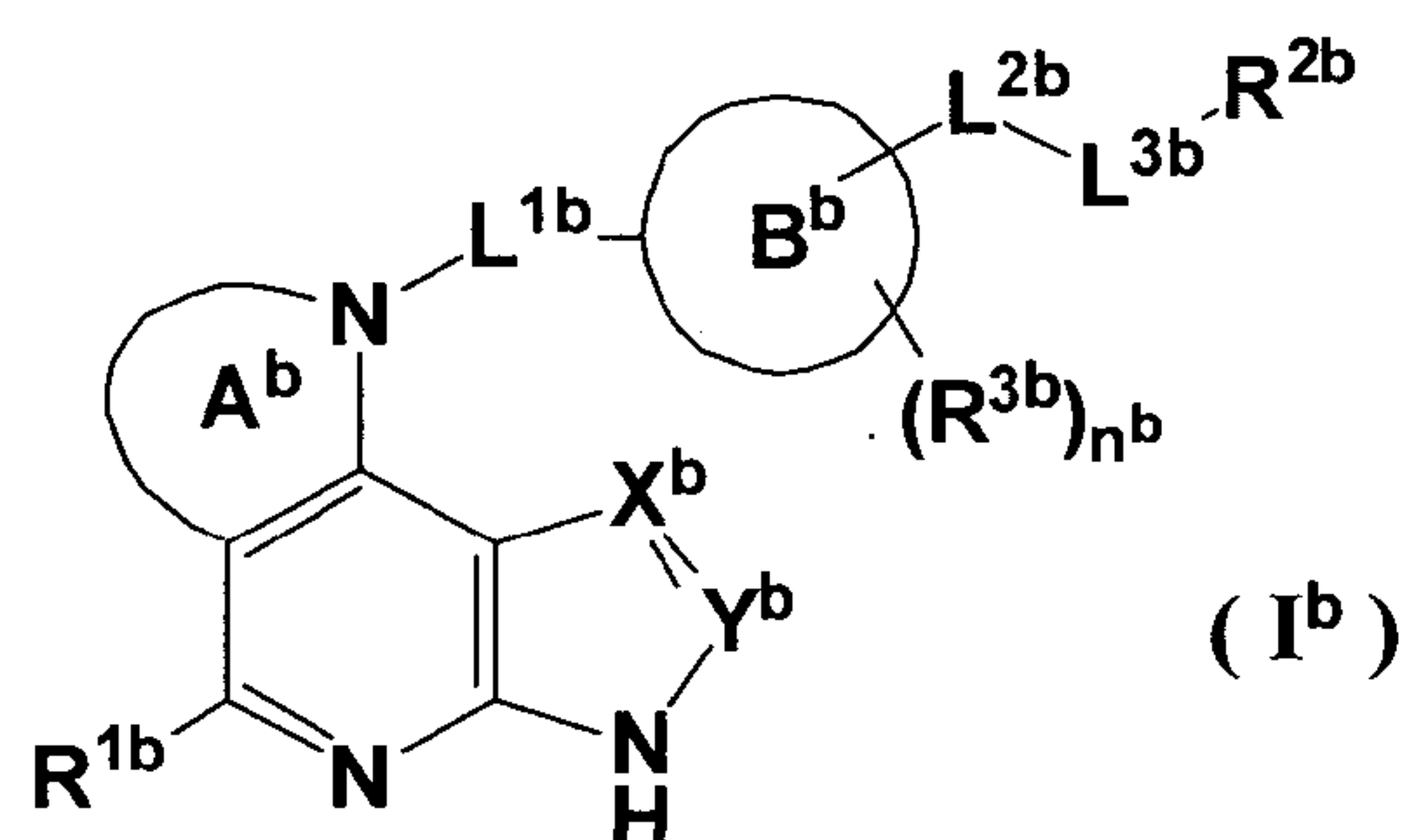
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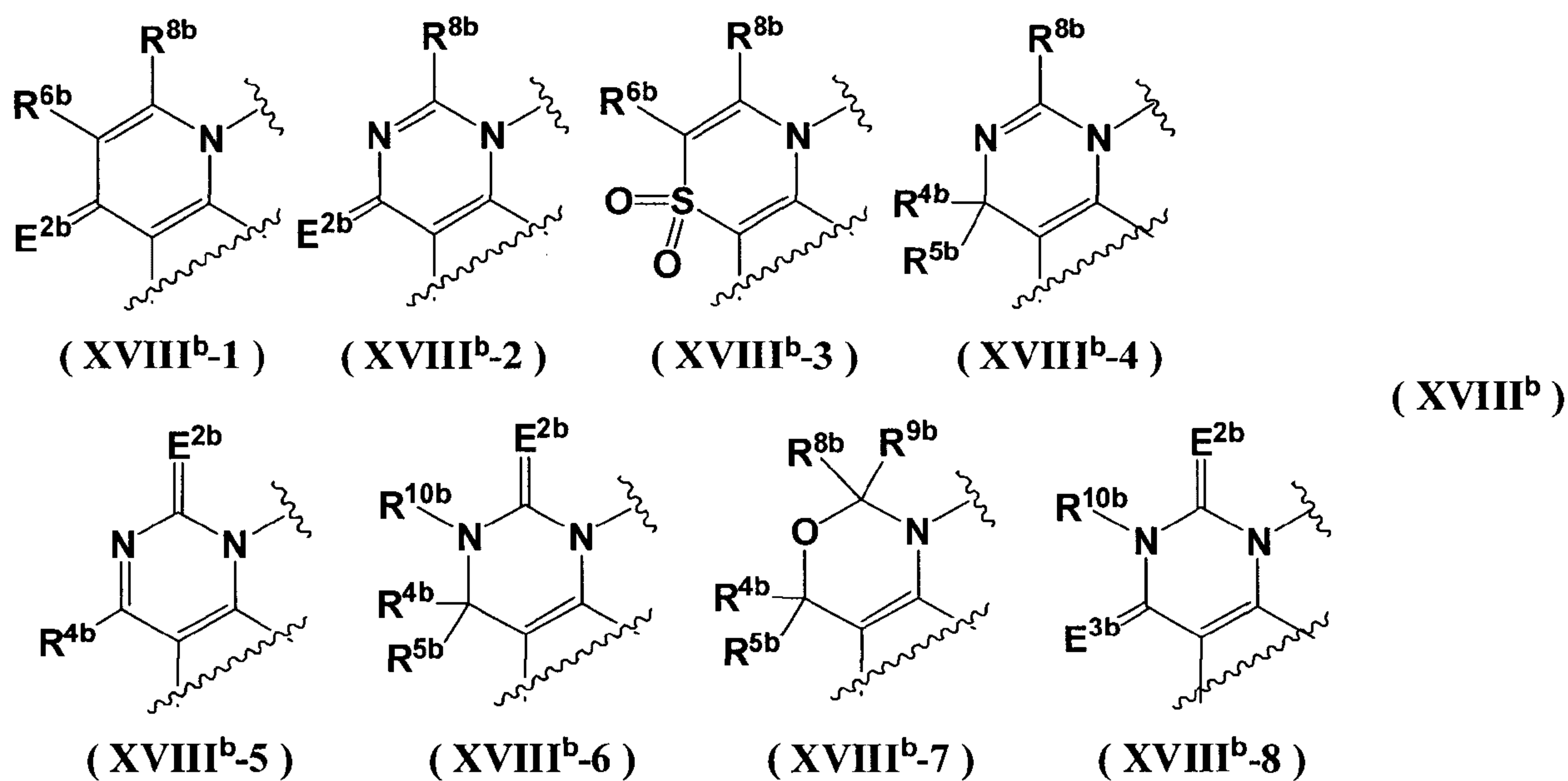
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CLAIMS:

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



- 5 wherein the ring A^b is represented by any of the following formulae (XVIII^b-1) to (XVIII^b-8):



- 10 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 or a C₁₋₃ alkyl group,

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

Y^b is CR^{16b} ,

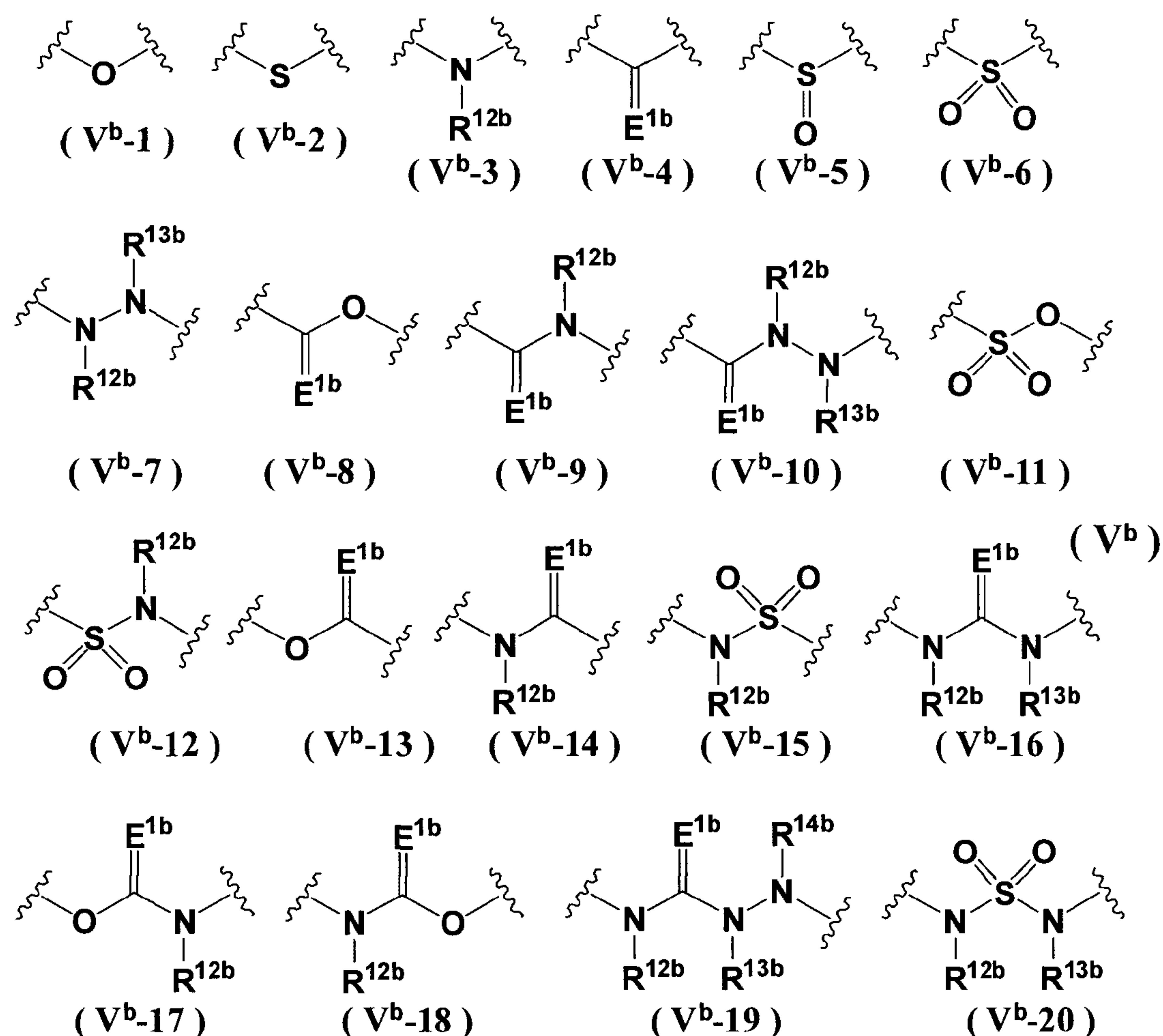
R^{1b} is a hydrogen atom, a halogen atom, a C_{1-6} alkyl group or a C_{1-6} haloalkyl group,

the ring B^b is a C_{3-11} cycloalkane, a C_{3-11} cycloalkene, a 3 to 11-membered
5 non-aromatic heterocycle, a C_{6-14} 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, wherein 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
10 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, wherein 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
15 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 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, wherein 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 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, 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, wherein 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} , and 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 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} alkylcarbonylamino group, and 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, wherein 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} , 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,

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,

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} 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,

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, wherein 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, wherein 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, 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, wherein 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} , and 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^{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, 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, wherein 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, 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,

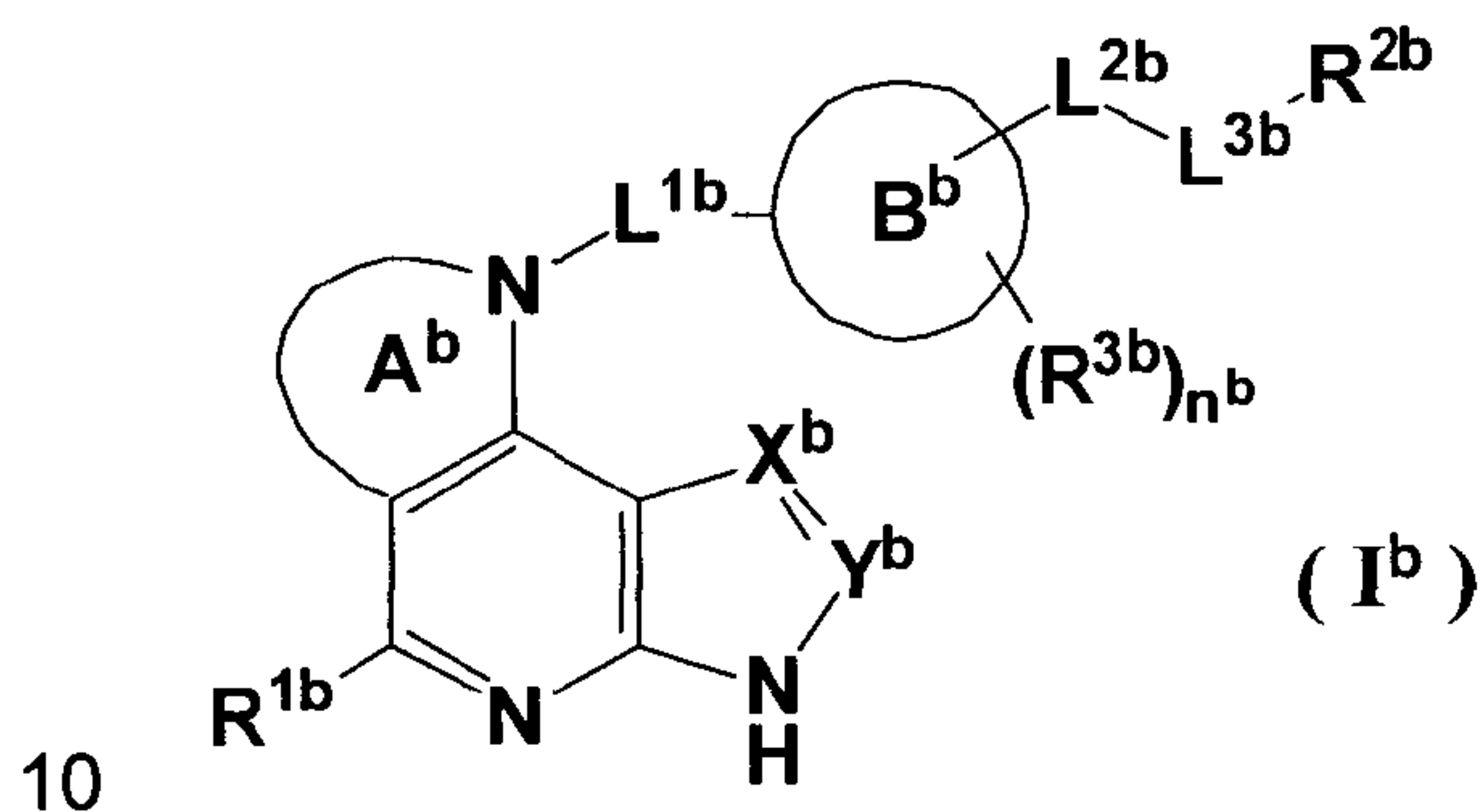
di-C₁₋₆ alkylamino groups, mono-C₁₋₆ alkylaminocarbonyl groups, di-C₁₋₆ alkylaminocarbonyl groups, C₁₋₆ alkylcarbonylamino groups, C₃₋₁₁ cycloalkyl groups, 3 to 11-membered non-aromatic heterocyclyl groups, C₆₋₁₄ aryl groups, 5 to 10-membered aromatic heterocyclyl groups, 8 to 14-membered partially saturated aromatic cyclic groups and 8 to 14-membered aromatic ring-condensed alicyclic hydrocarbon groups, wherein 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}, and 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, wherein 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}, the substituent set V^{9b} consists of, mono-C₁₋₆ alkylaminosulfonyl groups, di-C₁₋₆ alkylaminosulfonyl groups, C₁₋₆ alkylsulfonylamino groups, C₃₋₆ cycloalkoxy groups, C₃₋₆ cycloalkylamino groups, C₃₋₆ cycloalkylthio groups, C₃₋₆ cycloalkylcarbonyl groups and C₃₋₆ cycloalkylsulfonyl groups, wherein the mono-C₁₋₆ alkylaminosulfonyl groups, di-C₁₋₆ alkylaminosulfonyl groups and C₁₋₆ alkylsulfonylamino groups are unsubstituted or substituted with one or more identical or different substituents

independently selected from the substituent set V^{3b} , and 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

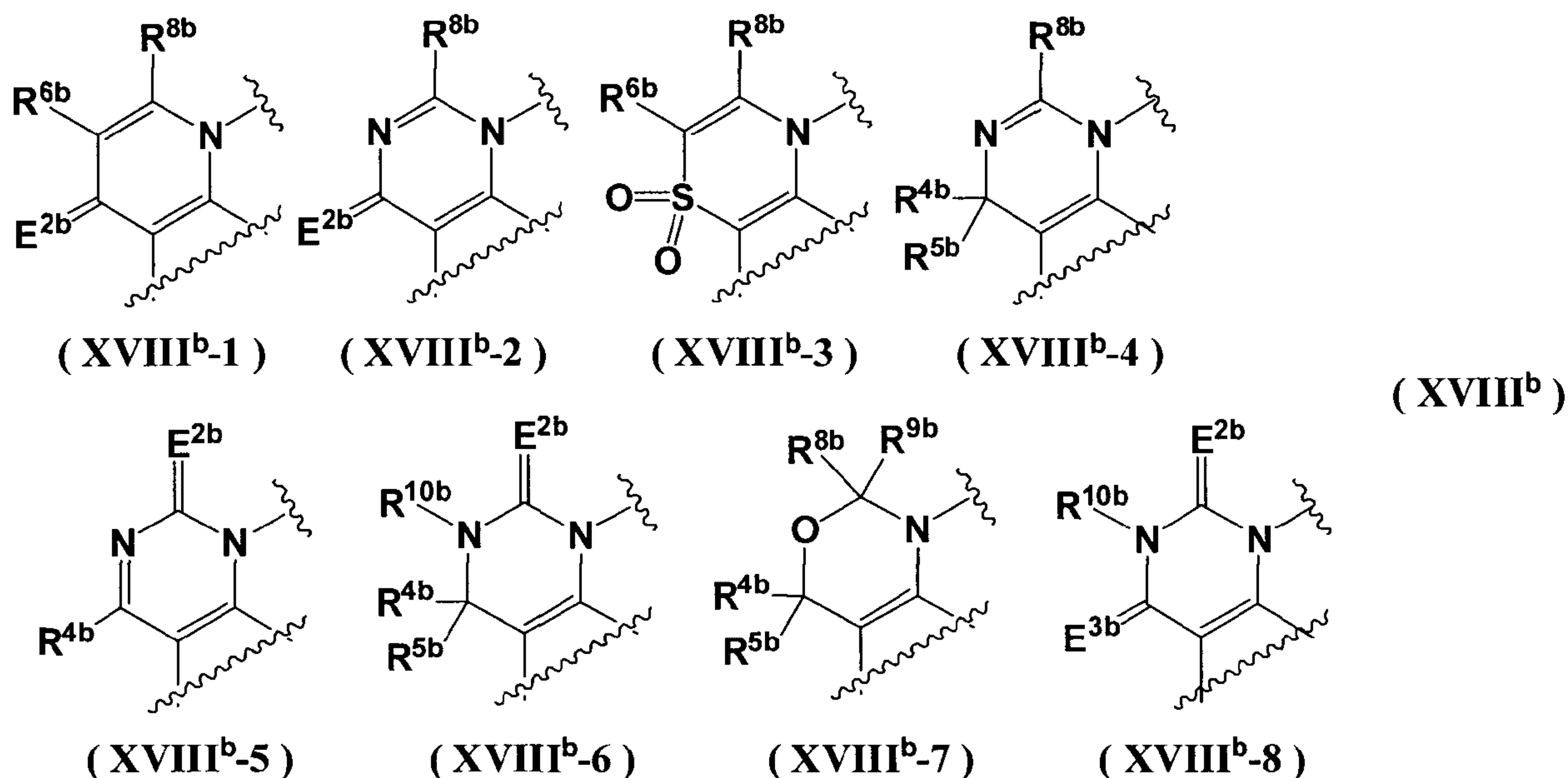
5 from the substituent set V^{2b} ,

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^b):



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 C₁₋₃ alkyl group, and R^{10b} is a hydrogen atom or a C₁₋₃ alkyl group,

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

Y^b is CR^{16b},

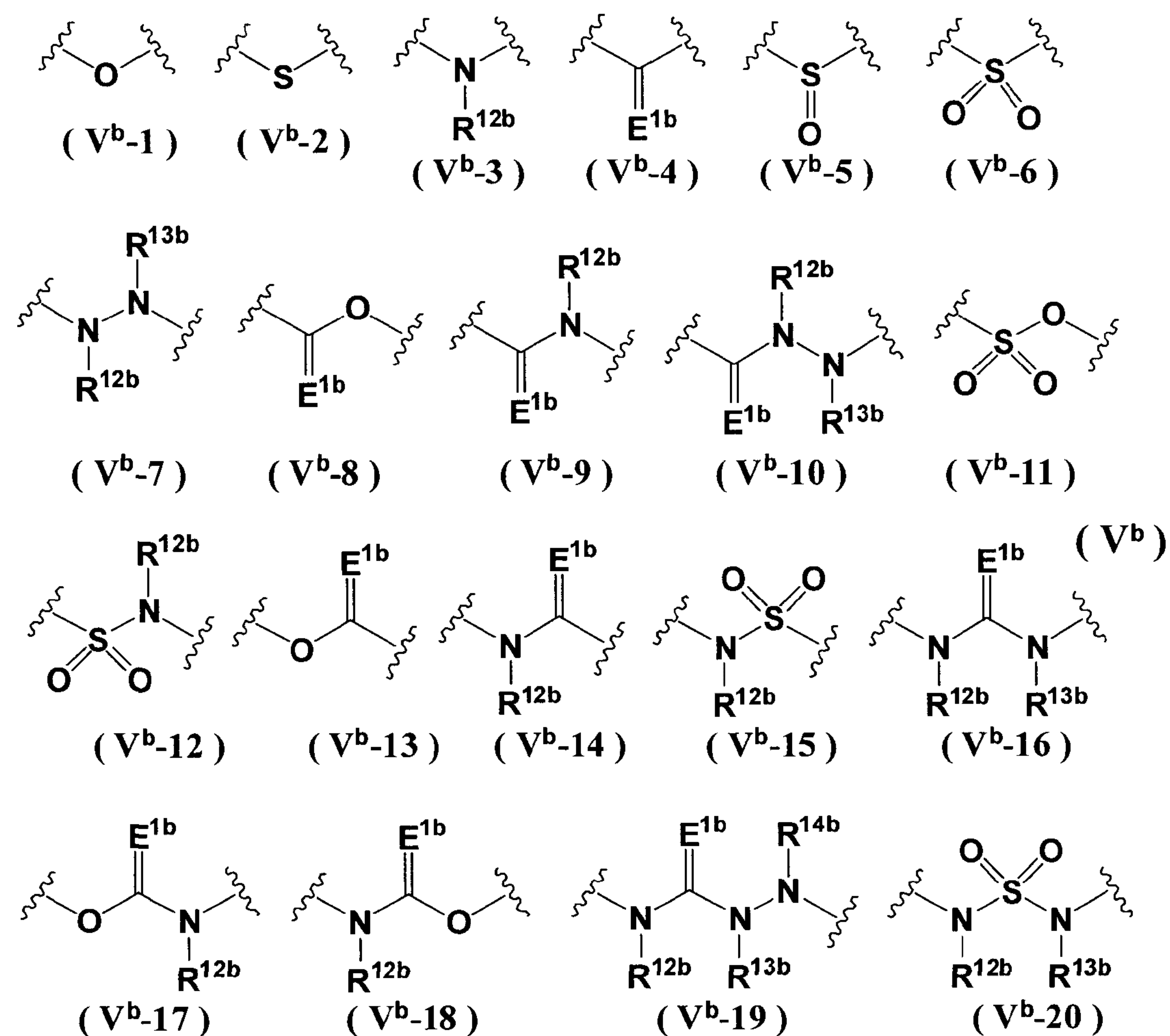
R^{1b} is a hydrogen atom, a halogen atom, a C₁₋₆ alkyl group or a C₁₋₆ haloalkyl group,

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, wherein 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 C_{1-6} alkylene group, a C_{2-6} alkenylene group or a C_{2-6} alkynylene group, wherein 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} ,

10 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, wherein 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

5 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, 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, wherein the C₁₋₆ alkyl group and the C₂₋₆ alkenyl group are unsubstituted or

10 substituted with one or more identical or different substituents independently selected from the substituent set V^{5b}, and 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},

15 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

20 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

25 alkylcarbonylamino group, and 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 C₁₋₆ alkyl group or a C₁₋₆ haloalkyl group, wherein 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 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^{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,

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, wherein 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^{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,
- 5 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
- 10 heterocyclyl groups, C_{6-14} aryl groups and 5 to 10-membered aromatic heterocyclyl groups, wherein 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} ,
- 15 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
- 20 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, wherein the C_{1-6} alkyl groups, the C_{2-6} alkenyl groups, the C_{1-6} alkoxy groups,
- 25 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} , and 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} , 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, 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, wherein 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} ,

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

3. The compound according to claim 2, wherein R^{1b} 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 X^b is a nitrogen atom or CR^{15b} , wherein R^{15b} is a hydrogen atom, a halogen atom, a cyano group, a C_{1-3} alkyl group, a C_{1-3} haloalkyl group or a C_{3-6} cycloalkyl group, and

Y^b is CR^{16b} , wherein R^{16b} is a hydrogen atom,

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

5. The compound according to claim 4, 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.

10 6. The compound according to any one of claims 2 to 5, 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, wherein 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,

15 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,

20 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, wherein 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.

- 10 7. The compound according to any one of claims 2 to 5, 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, wherein the C_{1-3} alkylene group is unsubstituted or substituted with a cyano group or a C_{1-3} haloalkyl group,

- 15 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,

- 20 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

- 25 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, wherein 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 or a solvate thereof.

- 5 8. The compound according to claim 6, 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,

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

9. The compound according to claim 6 or 8, 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, wherein 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
15 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.

10. The compound according to any one of claims 6, 8 and 9, wherein R^{2b}
20 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, wherein 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
25 from the group consisting of hydroxy groups, amino groups, carbamoyl groups,

sulfamoyl groups, halogen atoms, 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

- 5 alkylcarbonylamino groups, C₃₋₆ cycloalkyl groups, 4 to 7-membered non-aromatic heterocyclyl groups, phenyl groups and 5 to 6-membered aromatic heterocyclyl groups, and wherein 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 cyano group,
10 a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

- 15 11. The compound according to claim 10, 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, wherein 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
20 or different substituents independently selected from the group consisting of hydroxy groups, halogen atoms, cyano groups, nitro groups, C₁₋₃ alkyl groups, C₁₋₃ haloalkyl groups and C₁₋₆ alkoxycarbonyl groups, wherein the C₁₋₃ alkyl groups are unsubstituted or substituted with a cyano group,

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

- 25 12. The compound according to any one of claims 6 and 8 to 11, wherein L^{2b} is a C₁₋₆ alkylene group, a C₂₋₃ alkenylene group or C₁₋₆ haloalkylene group, wherein the C₁₋₆ alkylene group and the C₂₋₃ alkenylene group are unsubstituted or substituted with a cyano group, and R^{2b} is, a hydrogen atom,

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

13. The compound according to any one of claims 2 to 5, wherein L^{1b} is a single bond,

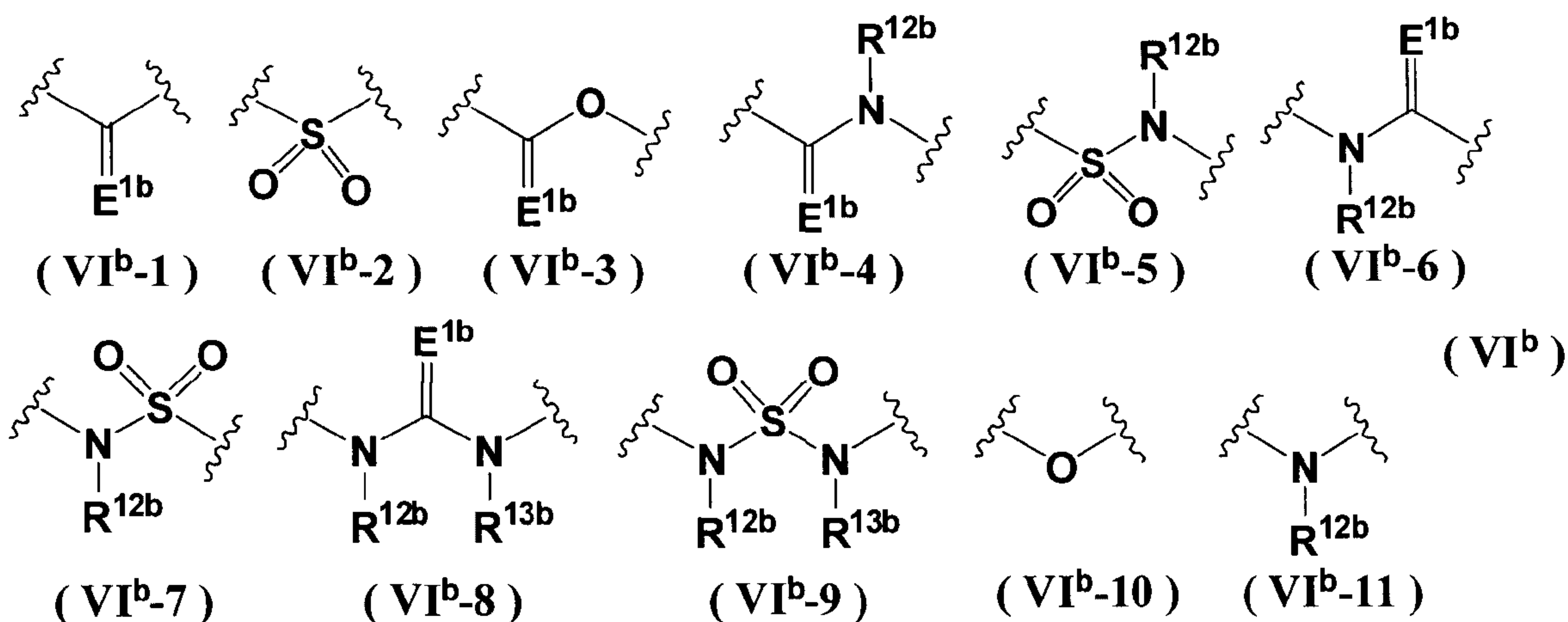
5 L^{2b} is a single bond, a C_{1-6} alkylene group or a C_{2-6} alkenylene group, wherein the C_{1-6} alkylene group and the C_{2-6} alkenylene group are unsubstituted or substituted with one or more identical or different substituents independently selected from the group consisting of halogen atoms,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

10 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,

15 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):



wherein 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, wherein 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, wherein 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, 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, wherein 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} , and 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.

14. The compound according to any one of claims 2 to 5, wherein L^{1b} is a single bond or a C_{1-3} alkylene group,

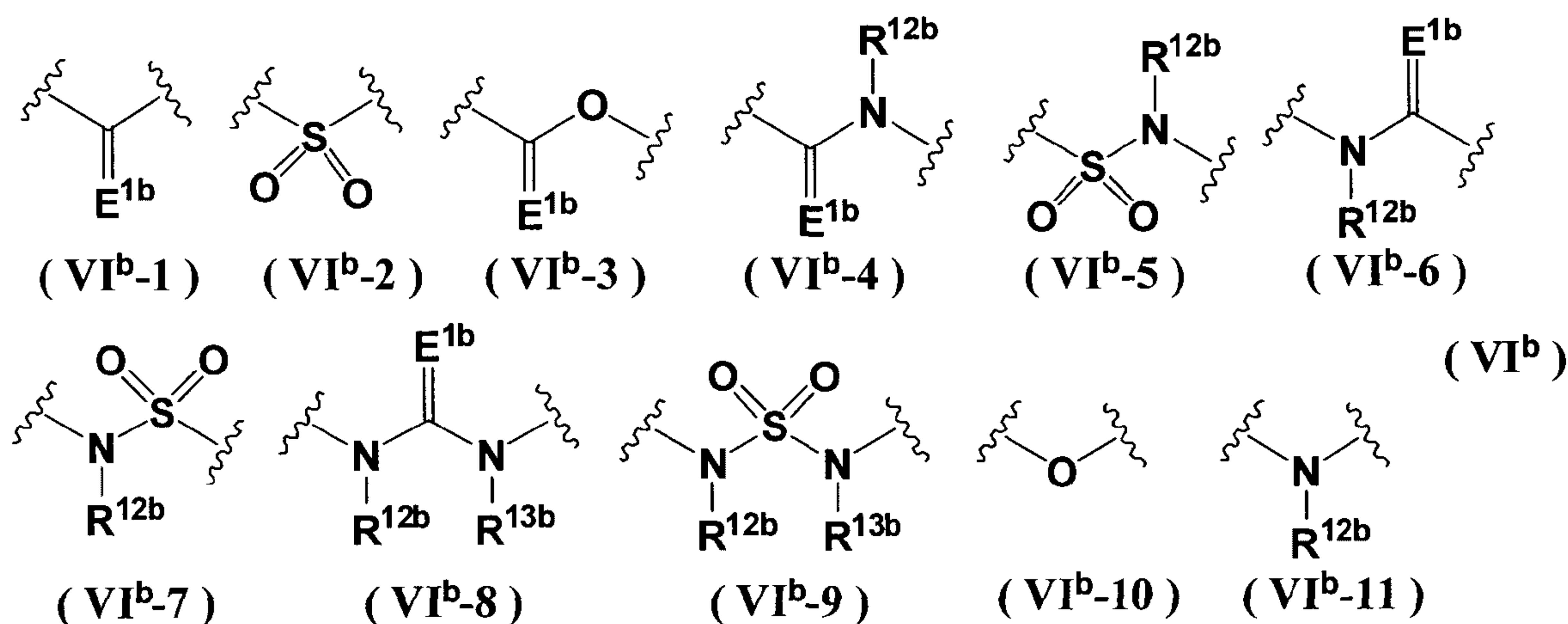
L^{2b} is a single bond or a C_{1-3} alkylene group, wherein the C_{1-3} alkylene group is unsubstituted or substituted with a cyano group or a C_{1-3} haloalkyl group,

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,

5 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, a C_{3-6} cycloalkyl group, a 4 to

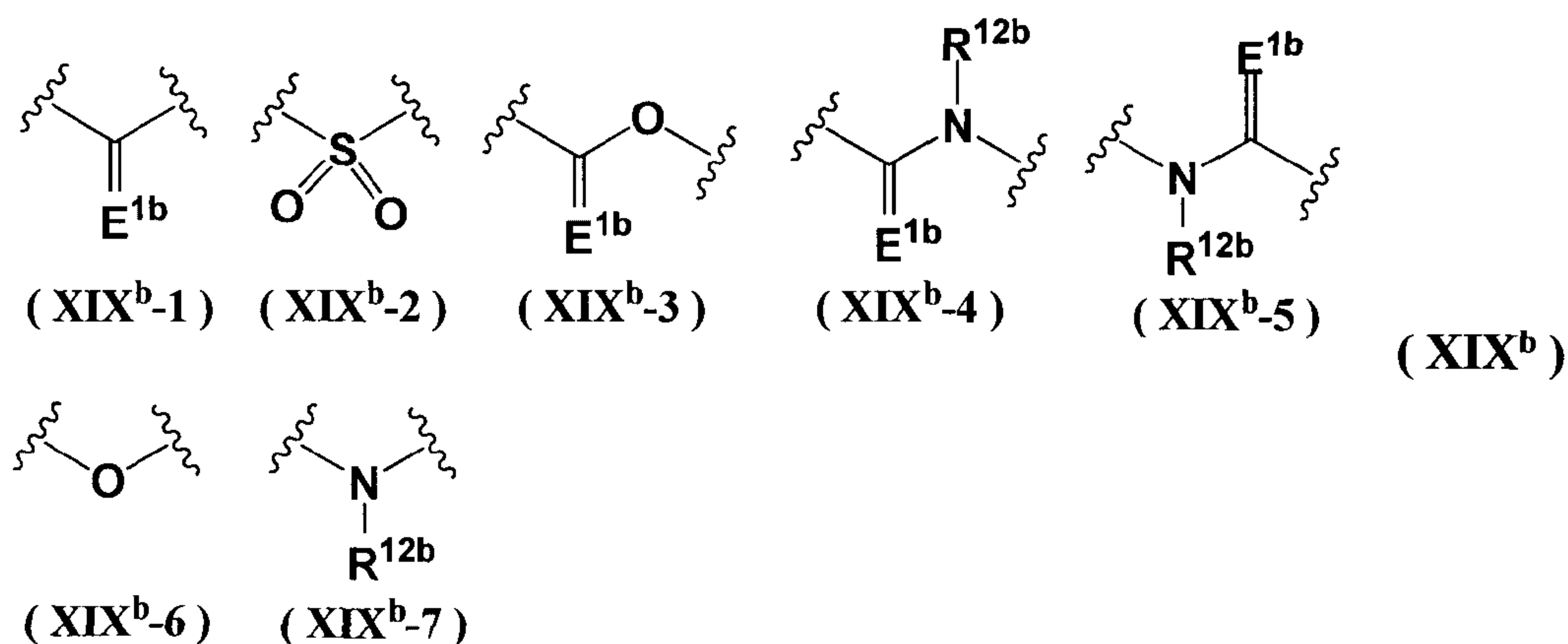
10 7-membered non-aromatic heterocyclyl group, a phenyl group or a 5 to 6-membered aromatic heterocyclyl group, wherein the C_{1-6} alkyl group is unsubstituted or substituted with one or more identical or different substituents independently selected from the substituent set V^{5b} , and the C_{3-6} cycloalkyl group, the 4 to 7-membered non-aromatic heterocyclyl group, the phenyl group and the 5 to 6-membered aromatic

15 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.

15. 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,

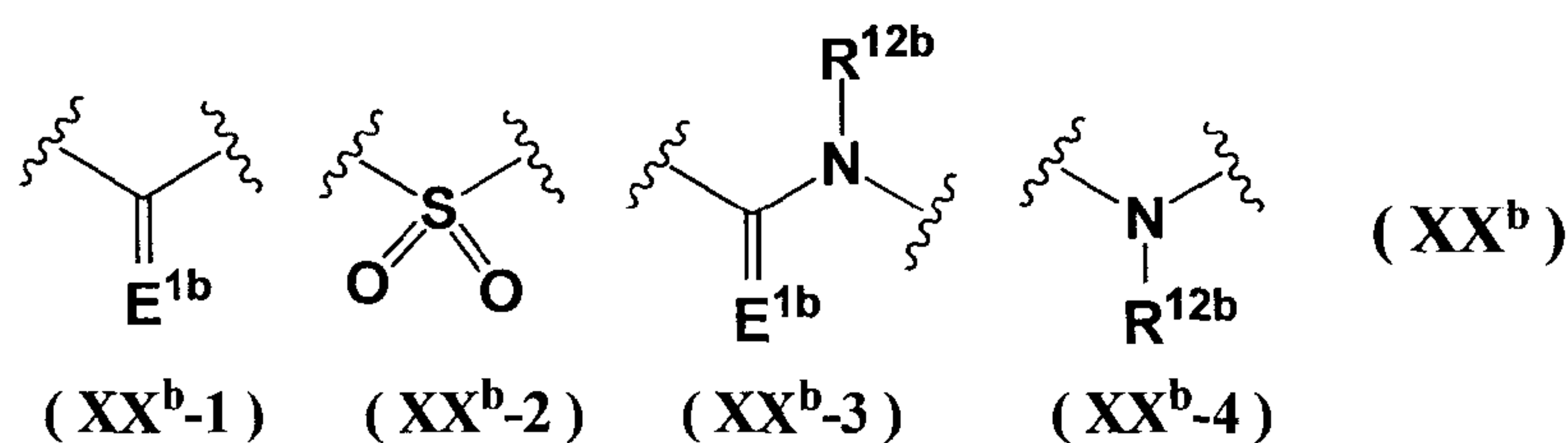
L^{3b} is represented by any of the following formulae (XIX^b-1) to (XIX^b-7):



- 5 wherein E^{1b} is an oxygen atom, and R^{12b} is a hydrogen atom, a C₁₋₆ alkyl group, or a C₁₋₆ haloalkyl group, wherein 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, and
- 10 R^{2b} is a hydrogen atom, a C₁₋₆ alkyl group, or a C₁₋₆ haloalkyl group, wherein 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
- 15 heterocyclyl groups, phenyl groups and 5 to 6-membered aromatic heterocyclyl groups, and wherein 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
- 20 atoms, hydroxy groups, cyano groups, C₁₋₆ alkoxy groups, C₁₋₆ haloalkoxy groups and C₁₋₆ alkoxycarbonyl groups, or R^{2b} is 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, wherein 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.

16. The compound according to claim 13 or 15, 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 or C₁₋₃ haloalkyl group, wherein 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, and

R^{2b} is a hydrogen atom, a C₁₋₃ alkyl group or a C₁₋₃ haloalkyl group, wherein 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, and wherein 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, or R^{2b} is 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, wherein 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.

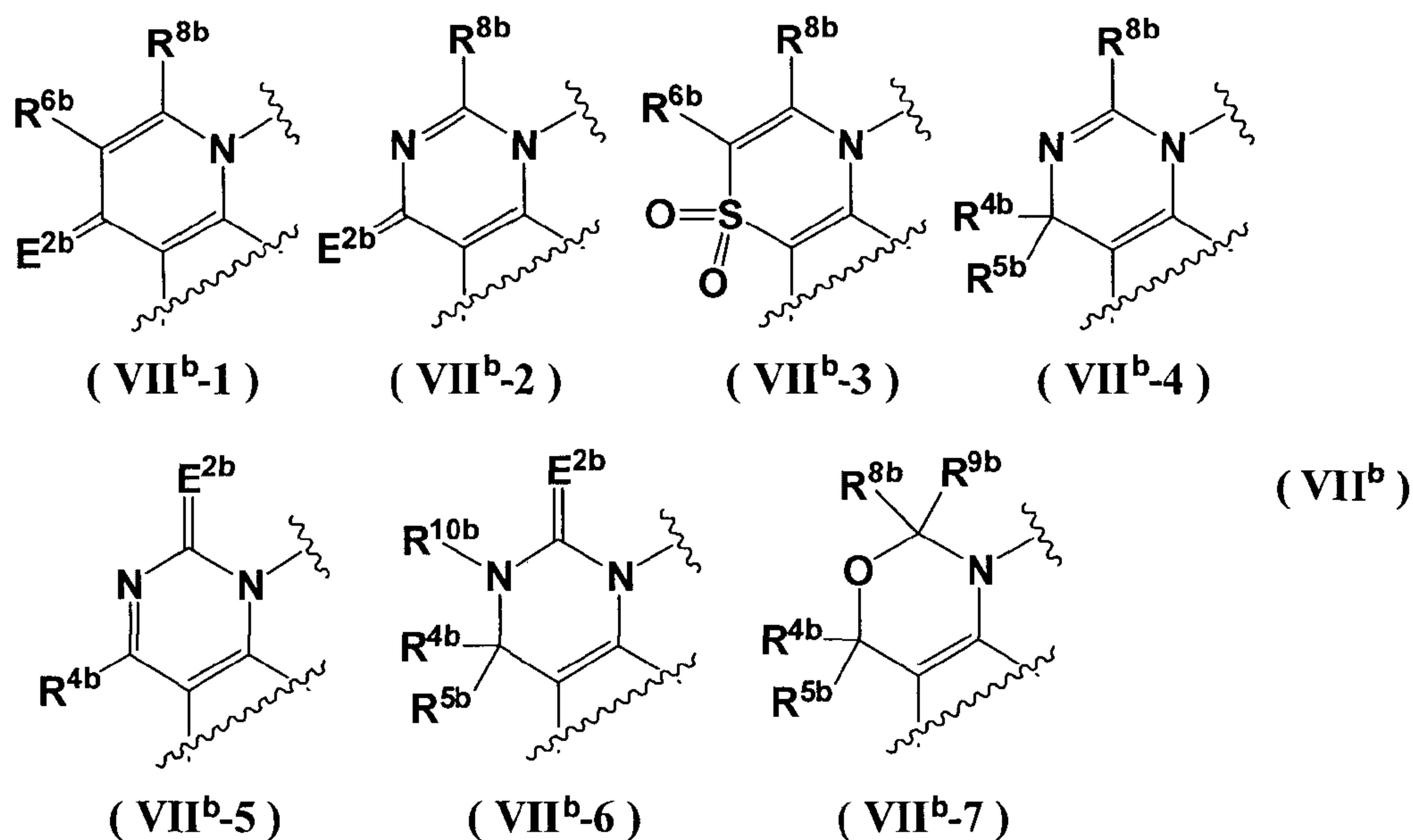
10 17. The compound according to any one of claims 6 to 11 or 13 to 16, wherein L^{2b} is a single bond or a C₁₋₃ alkylene group, a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

18. The compound according to any one of claims 4 to 17, wherein X^b is a nitrogen atom or CR^{15b}, wherein R^{15b} is a hydrogen atom, and

15 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.

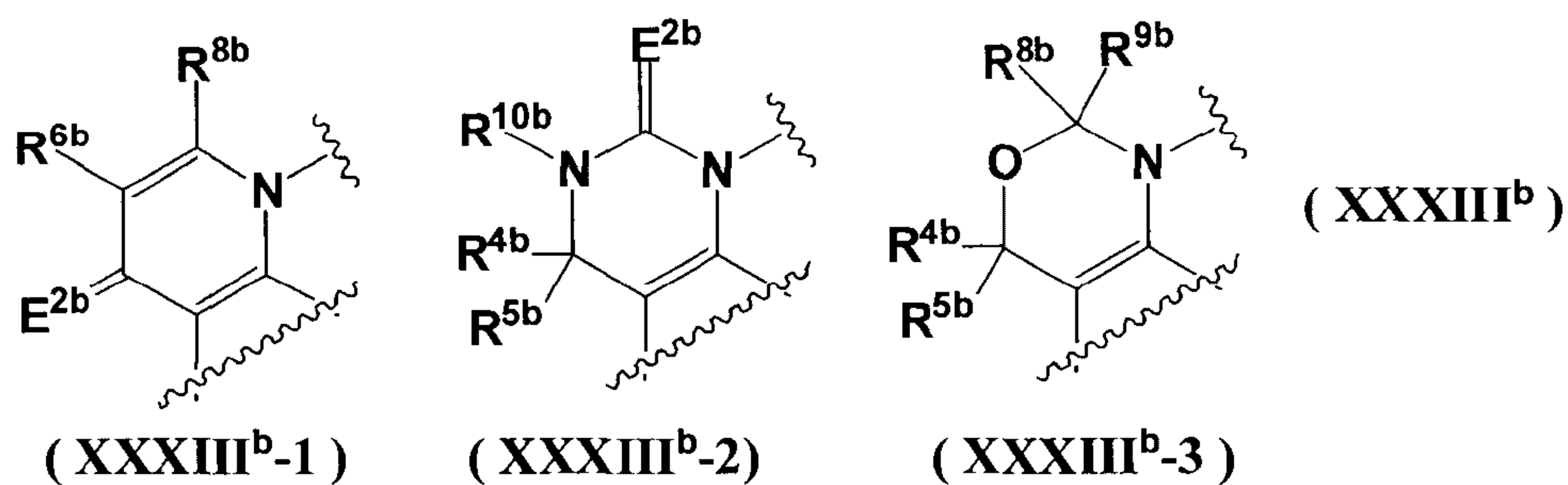
19. The compound according to any one of claims 6 to 18, wherein the ring A^b is represented by any of the following formulae (VII^b-1) to (VII^b-7):



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.

20. The compound according to any one of claims 6 to 18, wherein the ring A^b is represented by any of the following formulae (XXXIII^b-1) to (XXXIII^b-3):



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.

21. The compound according to any one of claims 7, 18 and 19, wherein L^{1b} is a single bond,

5 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 group,

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

10 R^{2b} is a hydrogen atom or a phenyl group, wherein 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

15 thereof.

22. The compound according to any one of claims 7, 18 and 19, 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,

20 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.

23. The compound according to any one of claims 14, 18 and 19, wherein L^{1b} is a single bond,

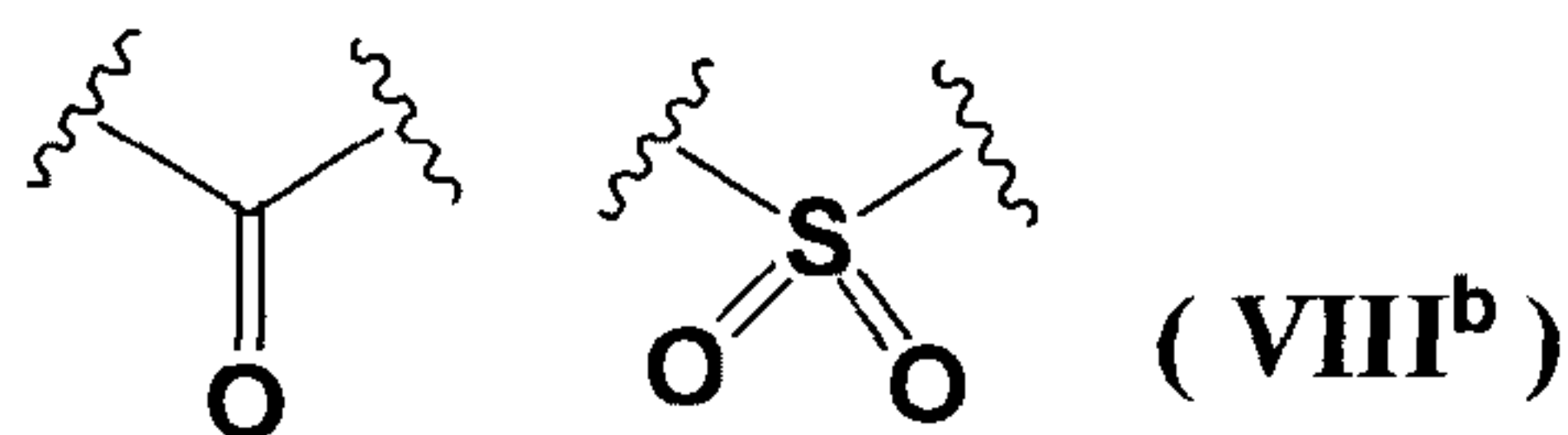
5 L^{2b} is a single bond,

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 ($VIII^b-2$):



10 ($VIII^b-1$) ($VIII^b-2$), and

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

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

15 24. The compound according to any one of claims 2 to 23, wherein the ring B^b is cyclohexane or piperidine, a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof.

25. The compound according to any one of claims 2 to 20, wherein the ring B^b is a 4 to 7-membered non-aromatic heterocycle, a tautomer or a pharmaceutically

20 acceptable salt of the compound or a solvate thereof.

26. The compound according to claim 1, 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,

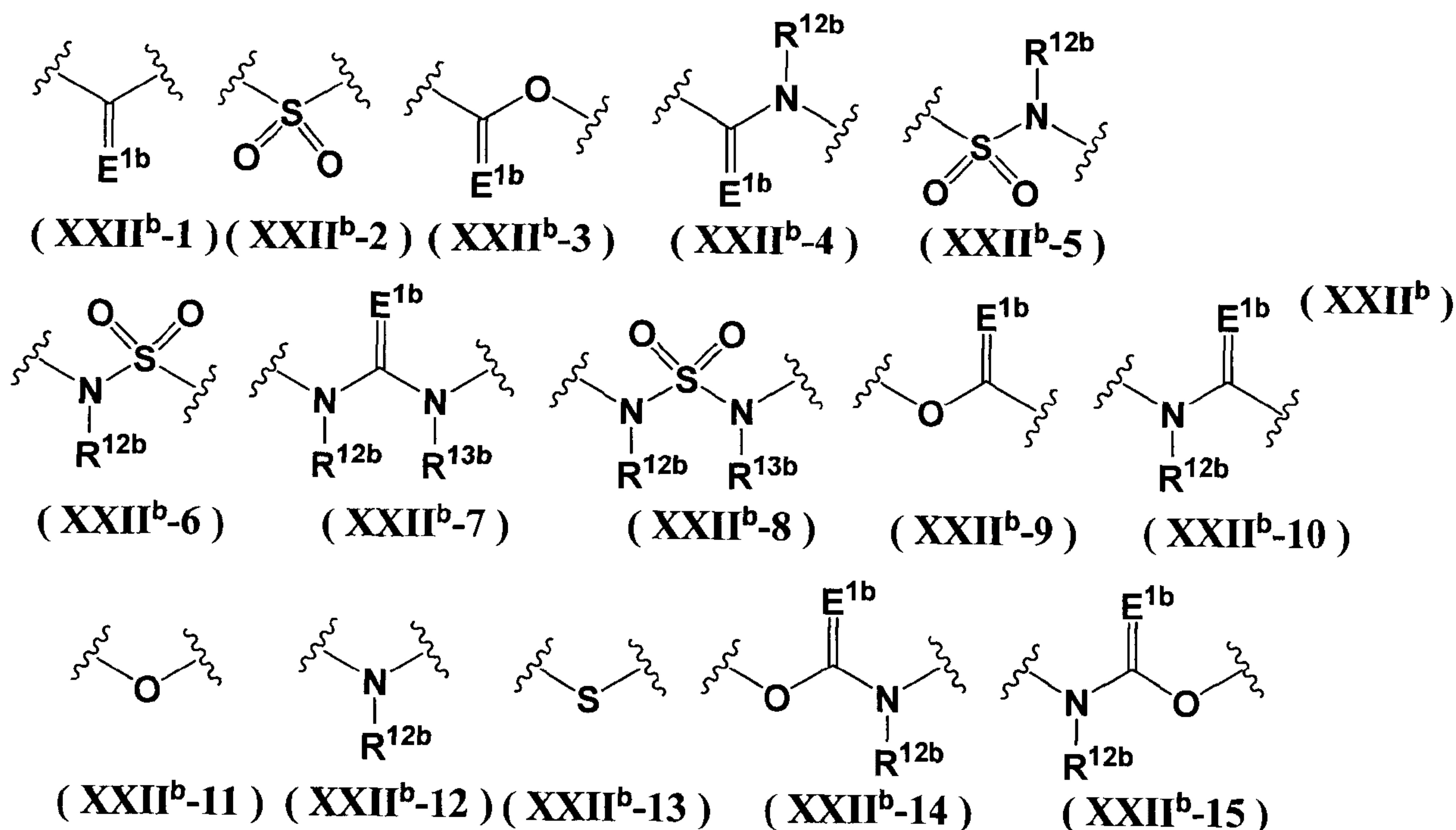
5 L^{1b} is single bond or a C_{1-3} alkylene group,

L^{2b} is a single bond, a C_{1-6} alkylene group or a C_{2-6} alkenylene group, wherein 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
10 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
15 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):



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, wherein 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, and wherein 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,

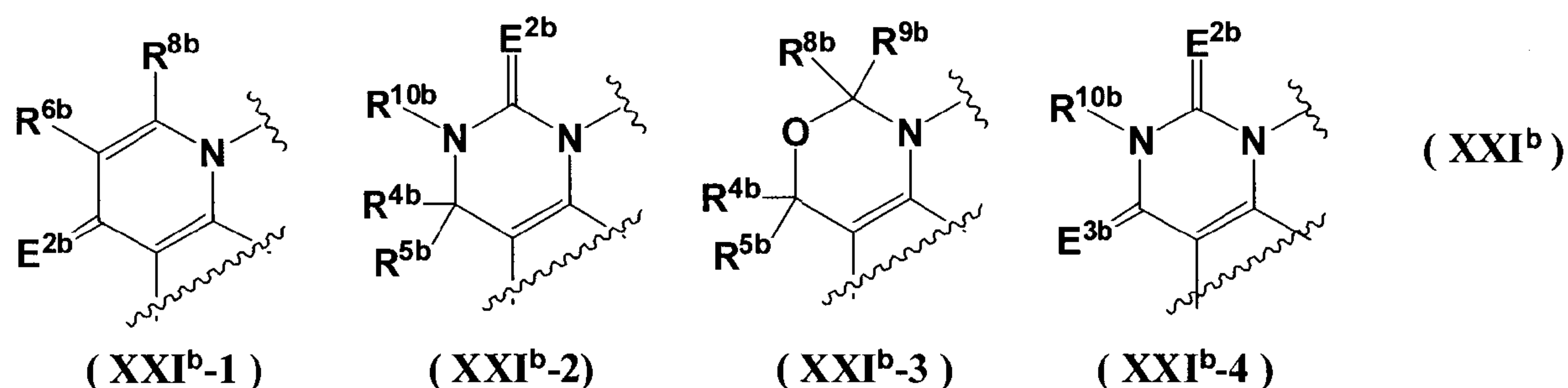
when L^{3b} is a single bond, R^{2b} is a hydrogen atom, a halogen atom, a C₃₋₁₁ cycloalkyl group, a 3 to 11-membered non-aromatic heterocyclyl group, a phenyl group, a naphthyl group, a 5 to 10-membered aromatic heterocyclyl group, a 8 to 11-membered partially saturated aromatic cyclic group or a 8 to 11-membered

aromatic ring-condensed alicyclic hydrocarbon group, wherein 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, 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, wherein 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}, and 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.

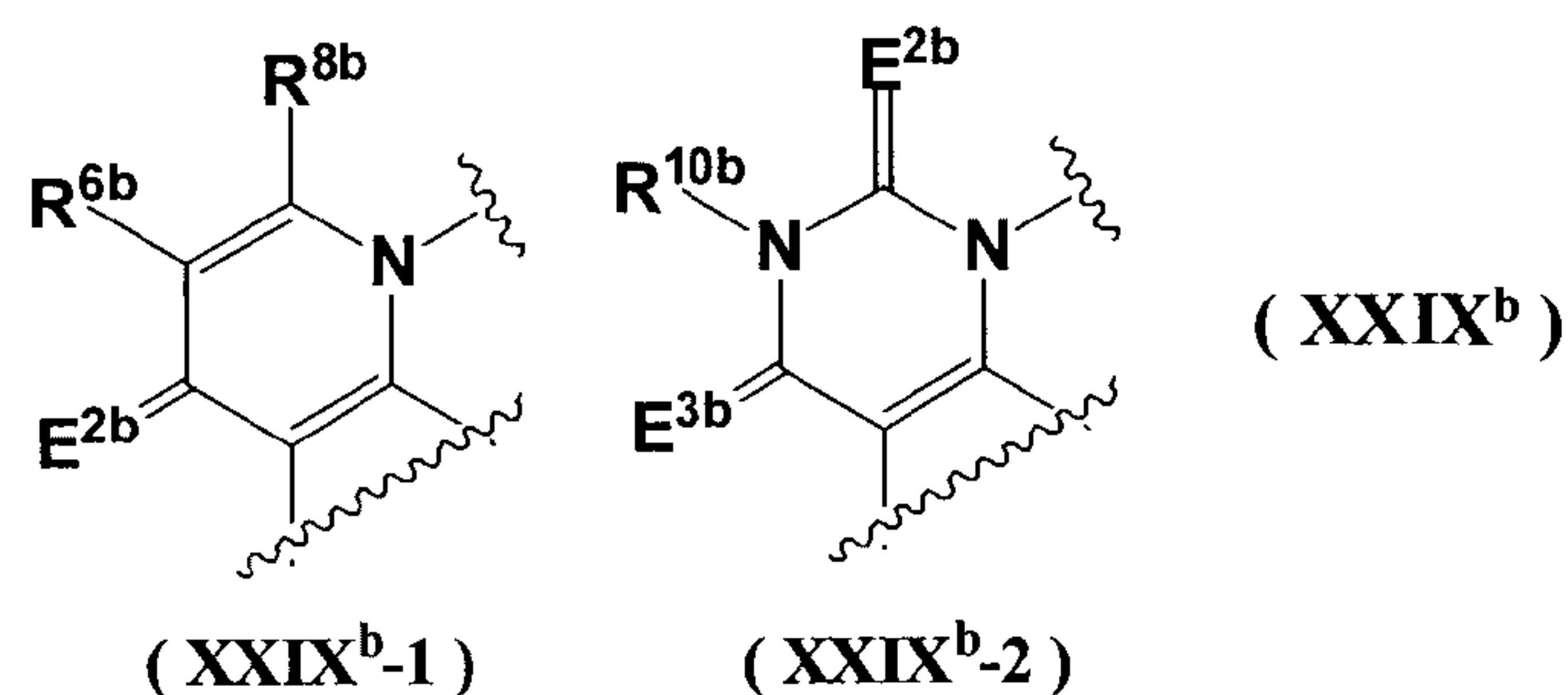
27. The compound according to claim 1 or 26, wherein the ring A^b is represented by any of the following formulae (XXI^b-1) to (XXI^b-4):



wherein each of E^{2b} and E^{3b} is independently an oxygen atom or a sulfur atom, R^{4b}, R^{5b}, R^{8b} and R^{9b} are hydrogen atoms, R^{6b} is a hydrogen atom, a halogen atom or a C₁₋₃ alkyl group, and R^{10b} is a hydrogen atom or a C₁₋₃ alkyl group,

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

28. The compound according to any one of claims 1, 26 and 27, wherein the ring A^b is represented by the following formulae (XXIX^b-1) or (XXIX^b-2):



- 10 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 or a C₁₋₃ alkyl group,

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

29. The compound according to any one of claims 1 and 26 to 28, wherein

- 15 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, wherein 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,

5 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.

30. The compound according to any one of claims 1 and 26 to 29, wherein

10 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, wherein the 4 to 7-membered non-aromatic heterocyclyl group, the phenyl group, the 5 to 10-membered aromatic

15 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 unsubstituted or substituted with a cyano group,

20 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}

25 alkylaminosulfonyl groups and di- C_{1-6} alkylaminosulfonyl groups,

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

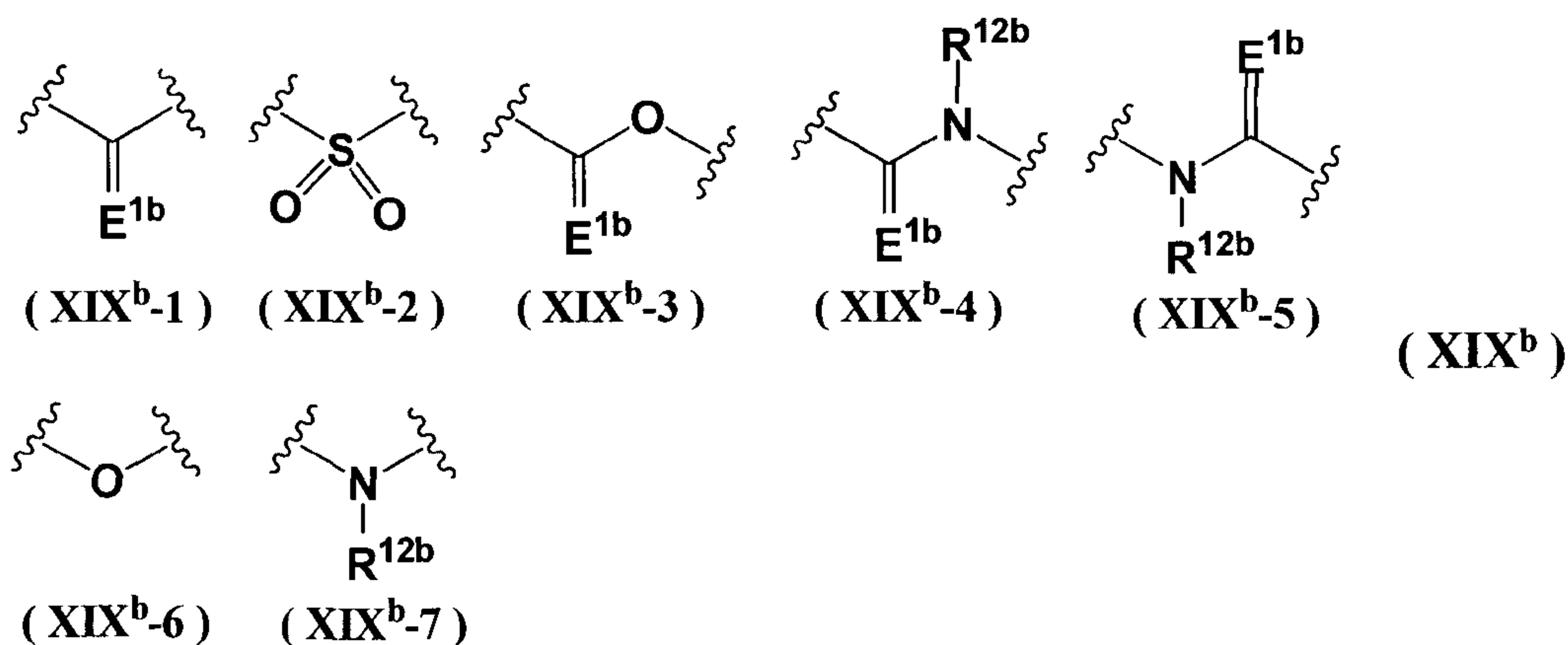
31. The compound according to claim 30, wherein R^{2b} is a hydrogen atom, a phenyl group, a 5 to 10-membered aromatic heterocyclyl group or a 8 to 11-membered partially saturated aromatic cyclic group, wherein the phenyl group, the 5 to 10-membered aromatic heterocyclyl group and the 8 to 11-membered 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} alkoxy carbonyl groups,

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

32. The compound according to claim 30, wherein R^{2b} is a 4 to 7-membered non-aromatic heterocyclyl group, wherein 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 and C_{1-3} haloalkyl groups, wherein the C_{1-3} alkyl groups are unsubstituted or substituted with a cyano group,

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

33. The compound according to any one of claims 1 and 26 to 29, 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, wherein 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

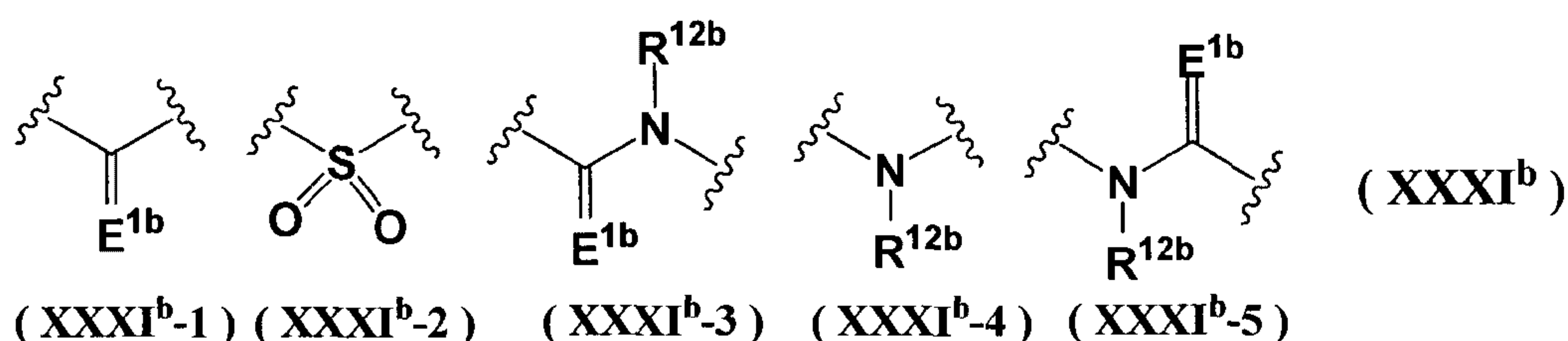
R^{2b} is a hydrogen atom, a C₁₋₆ alkyl group or a C₁₋₆ haloalkyl group, wherein the C₁₋₆ alkyl group and the C₁₋₆ haloalkyl group are unsubstituted or substituted with one or two identical or different substituents independently selected from the group consisting of cyano groups, hydroxy groups, C₁₋₆ 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, and wherein 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, or R^{2b} is 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, wherein 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- C_{1-6}

5 alkylaminosulfonyl groups and di- C_{1-6} alkylaminosulfonyl groups,

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

34. The compound according to claim 33, wherein L^{3b} is represented by any of the following formulae (XXXI^b-1) to (XXXI^b-5):



10 wherein E^{1b} is an oxygen atom, and R^{12b} is a hydrogen atom, a C_{1-3} alkyl group or a C_{1-3} haloalkyl group, wherein 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, and

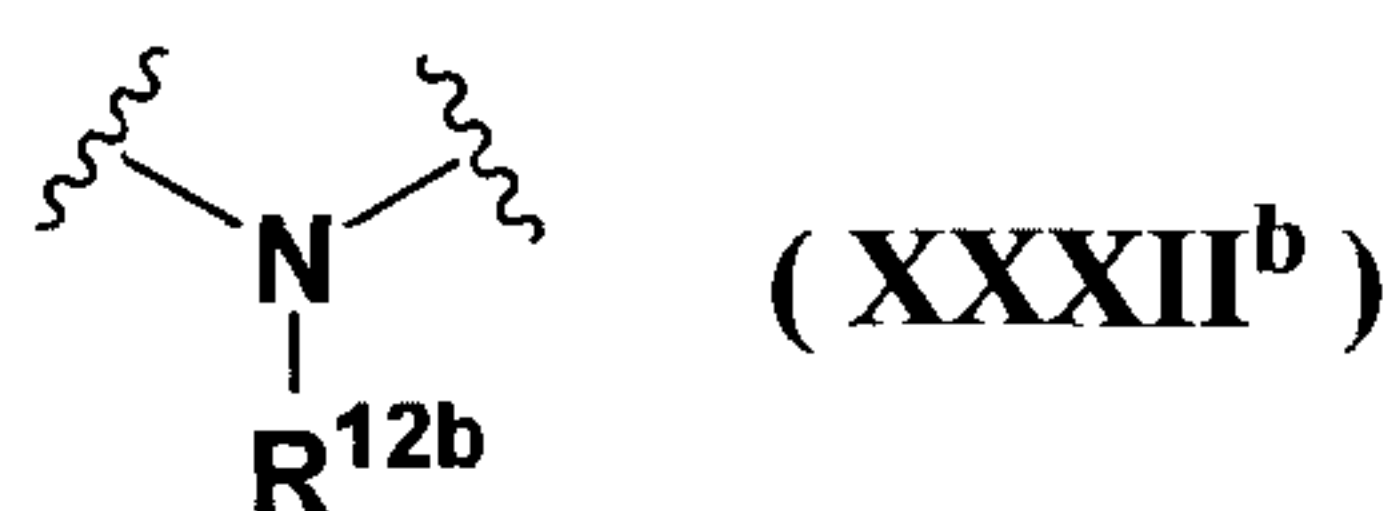
R^{2b} is a hydrogen atom, a C_{1-6} alkyl group or a C_{1-6} haloalkyl group, wherein the
 15 C_{1-6} alkyl group and the C_{1-6} haloalkyl group are unsubstituted or substituted with one or two identical or different substituent selected from the group consisting of hydroxy groups, cyano groups, C_{1-3} 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 wherein the C_{3-6} cycloalkyl groups, the 4 to 7-membered
 20 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, or R^{2b} is 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, wherein 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, C₁₋₃ alkyl groups, C₁₋₃ haloalkyl groups and

5 C₁₋₆ alkoxy carbonyl groups,

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

35. The compound according to claim 33, wherein L^{3b} is represented by the formula (XXXII^b):



10 wherein R^{12b} is a hydrogen atom, a C₁₋₃ alkyl group or a C₁₋₃ haloalkyl, wherein 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, and

R^{2b} is a hydrogen atom, a C₁₋₃ alkyl group or a C₁₋₃ haloalkyl group, wherein the

15 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 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, or R^{2b} is a

20 C₃₋₆ cycloalkyl group or a 4 to 7-membered non-aromatic heterocyclyl group, wherein 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 groups and C₁₋₆ alkoxy carbonyl groups,

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

36. The compound according to any one of claims 1 or 26 to 35, 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 or a solvate thereof.

37. The compound according to any one of claims 1 to 36, 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 or a solvate thereof.

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

39. Use of the compound as defined in any one of claims 1 to 38, a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof, as a JAK inhibitor.

40. Use of the compound as defined in any one of claims 1 to 38, a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof as a preventive, therapeutic or improving agent for a disease against which inhibition of JAK is effective.

41. Use of the compound as defined in any one of claims 1 to 38, a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof for treatment of articular rheumatism.

42. Medicament containing the compound as defined in any one of claims 1 to 38, a tautomer or a pharmaceutically acceptable salt of the compound or a solvate thereof, and a pharmaceutically acceptable additive.

