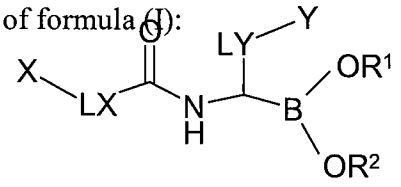
Claims

1. A compound of formula (I):



(I)

or a stereoisomer, tautomer or pharmaceutically acceptable salt thereof,

wherein:

LX denotes $-CH_2-$, $-O(CH_2)_n-$, $-S(CH_2)_p-$ or $-(CH_2)_pS-$, where 1, 2, 3, 4 or 5 H atoms may be independently replaced by Hal, N_3, R^{3a}, OR^{4a}, $(CH_2)_rA2$, $(CH_2)_rAr2$ or $(CH_2)_rHet2$;

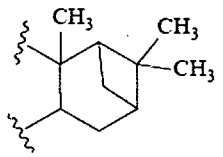
LY denotes $-CH_2-$;

X denotes an aromatic 6-membered carbocyclyl or aromatic 6-membered heterocyclyl, each optionally and independently substituted by 1, 2, 3, 4 or 5 substituents selected from Hal, A1, N_3, CN, OH, $NR^{4a}R^{4b}$, Ar1, Het1, OA1, OAr1, OHet1, C(O)A1, C(O)Ar1, C(O)Het1, $C(O)NR^{4a}R^{4b}$, $NR^{4a}C(O)R^{3a}$, $NR^{4a}S(O)_2R^{3a}$, $S(O)_2R^{3a}$, $S(O)R^{3a}$, $NR^{4a}C(O)_2R^{3a}$, $OC(O)NR^{3a}R^{4a}$, $O(CH_2)_qA1$, $(CH_2)_rSR^{3a}$, $(CH_2)_rN(R^{4a})_2$ or $(CH_2)_rA2$;

Y denotes Cyc;

R^1 and R^2 each independently denote H or C1-C6 alkyl; or

R^1 and R^2, together with the oxygen atoms to which they are attached, form a residue according to formula (CE):



(CE):

R^{3a} and R^{3b} each independently denote linear or branched C1-C6 alkyl, where 1, 2, 3, 4 or 5 H atoms may be independently replaced by Hal, OH or OAlk;

R^{4a} and R^{4b} each independently denote H or R^{3a}; or

R^{4a} and R^{4b}, together with the nitrogen atom to which they are attached, form a nitrogen-containing heterocyclyl comprising 3, 4, 5 or 6 carbon atoms;

A1 denotes linear or branched C1-C6 alkyl or C3-C6 cycloalkyl, each optionally and independently substituted by 1, 2, 3, 4 or 5 substituents selected from Hal, CN, R^{3a}, SR^{3a}, OR^{4a} or $(CH_2)_rA2$, where 1, 2 or 3 CH_2 groups of C3-C6 cycloalkyl may be independently replaced by O, C(O) or N;

A2 denotes OR^{4a};

Alk denotes linear or branched C1-C6 alkyl;

Ar1 denotes phenyl, optionally substituted by 1, 2 or 3 substituents selected from Hal, NO_2, CN, R^{3a}, OR^{4a}, $C(O)NR^{4a}R^{4b}$, $NR^{4a}C(O)R^{3b}$, $S(O)_2R^{3a}$, $S(O)R^{3a}$, $NR^{4a}R^{4b}$, Ar2, Het2 or $(CH_2)_rA2$;

Het1 denotes a saturated, unsaturated or aromatic 5- or 6-membered heterocyclyl comprising 1, 2, 3 or 4 heteroatoms independently selected from the group consisting of N, O and S, where each heterocyclyl may be optionally and independently substituted by 1, 2, 3, 4 or 5 substituents selected from Hal, NO_2, CN, R^{3a}, OR^{4a}, $C(O)NR^{4a}R^{4b}$, $NR^{4a}C(O)R^{3b}$, $S(O)_2R^{3a}$, $S(O)R^{3a}$, $NR^{4a}R^{4b}$, Ar2, Het2 or $(CH_2)_rA2$;

Ar2 denotes phenyl, biphenyl or naphthyl, each optionally and independently substituted by 1, 2 or 3 substituents selected from Hal, CN, R^{3a}, OR^{4a}, $C(O)NR^{4a}R^{4b}$, $NR^{4a}C(O)R^{3b}$, $S(O)_2R^{3a}$, $S(O)R^{3a}$, $NR^{4a}R^{4b}$ or $(CH_2)_qA2$;

Het2 denotes a saturated, unsaturated or aromatic 5- or 6-membered heterocyclyl comprising 1, 2, 3 or 4 heteroatoms independently selected from the group consisting of N, O and S, optionally substituted by 1, 2 or 3 substituents selected from Hal, CN, R^{3a}, OR^{4a}, $C(O)NHR^{3a}$, $NR^{3a}C(O)R^{3b}$, $S(O)_2R^{3a}$, $S(O)R^{3a}$, $NR^{4a}R^{4b}$ or $(CH_2)_qA2$;

Cyc denotes a monocyclic or bicyclic, 4-, 5-, 6-, 7-, 8-, 9- or 10-membered carbocyclyl or heterocyclyl, each optionally and independently substituted by 1, 2, 3, 4 or 5 substituents selected from Hal, CN, R^{3a}, OR^{3a}, $C(O)NR^{4a}R^{4b}$, $NR^{3a}C(O)R^{3b}$, $S(O)_2R^{3a}$, $S(O)R^{3a}$, $NR^{4a}R^{4b}$, Ar2, Het2, $(CH_2)_rSR^{3a}$, $(CH_2)_rN(R^{4a})_2$ or $(CH_2)_rA2$, where the monocyclic carbocyclyl is aromatic and at least one ring of the bicyclic carbocyclyl or heterocyclyl is aromatic, and further where the heterocyclyl comprises 1, 2 or 3 heteroatoms independently selected from the group consisting of N, O and S;

n denotes 1, 2, 3, 4, 5 or 6;

p denotes 1, 2, 3, 4, 5 or 6;

q denotes 0, 1, 2, 3 or 4;

r denotes 0, 1, 2, 3 or 4; and

Hal denotes F, Cl, Br or I;

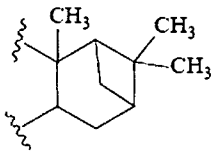
with the proviso that when LX denotes -$O(CH_2)_n$-, the oxygen atom of LX is bonded to X.

2. The compound according to claim 1, or a stereoisomer, tautomer or pharmaceutically acceptable salt thereof, wherein:

X denotes phenyl, pyridinyl, pyridazinyl, pyrimidinyl, pyrazinyl or triazinyl, each optionally and independently substituted by 1, 2, 3, 4 or 5 substituents selected from Hal, A1, N_3, CN, OH, $NR^{4a}R^{4b}$, Ar1, Het1, OA1, OAr1, OHet1, C(O)A1, C(O)Ar1, C(O)Het1, $C(O)NR^{4a}R^{4b}$, $NR^{4a}C(O)R^{3a}$, $NR^{4a}S(O)_2R^{3a}$, $S(O)_2R^{3a}$, $S(O)R^{3a}$, $NR^{4a}C(O)_2R^{3a}$, $OC(O)NR^{3a}R^{4a}$, $O(CH_2)_qA1$, $(CH_2)_rSR^{3a}$, $(CH_2)_rN(R^{4a})_2$ or $(CH_2)_rA2$;

R^1 and R^2 each independently denote H or C1-C4 alkyl; or

R^1 and R^2, together with the oxygen atoms to which they are attached, form a residue according to formula (CE):



(CE);

n denotes 1, 2, 3 or 4;

p denotes 1, 2, 3 or 4;

q denotes 1, 2, 3 or 4; and

r denotes 1, 2, 3 or 4.

3. The compound according to claim 1, or a stereoisomer, tautomer or pharmaceutically acceptable salt thereof, wherein:

LX denotes $-CH_2-$, $-OCH_2-$, $-O(CH_2)_2-$, $-SCH_2-$, $-S(CH_2)_2-$, where 1, 2, 3 or 4 H atoms may be independently replaced by Hal, R^{3a}, OR^{4a}, $(CH_2)_rA2$, Ar2 or Het2; and

Cyc denotes phenyl, optionally substituted by 1, 2 or 3 substituents selected from Hal, CN, R^{3a}, OR^{3a}, $C(O)NR^{4a}R^{4b}$, $NR^{3a}C(O)R^{3b}$, $S(O)_2R^{3a}$, $S(O)R^{3a}$, $NR^{4a}R^{4b}$, Ar2, Het2, $(CH_2)_rSR^{3a}$, $(CH_2)_rN(R^{4a})_2$ or $(CH_2)_rA2$, where disubstitution occurs in 2,4-, 2,5- or 3,4- pattern and trisubstitution occurs in a 2,3,4- pattern; or

Cyc denotes 1-naphthalenyl, 2-naphthalenyl, 4-indanyl, 5-indanyl, 1-indolyl, 2-indolyl, 3-indolyl, 4-indolyl, 5-indolyl, 6-indolyl, 7-indolyl, 1-azulenyl, 2-azulenyl, 3-azulenyl, 4-azulenyl, 5-azulenyl, 6-azulenyl, 1-tetrahydronaphthalen-5-yl, 2-tetrahydronaphthalen-5-yl, 1-tetrahydronaphthalen-6-yl, 2-tetrahydronaphthalen-6-yl, 2-furanyl, 3-furanyl, 2-benzofuranyl, 3-benzofuranyl, 4-benzofuranyl, 5-benzofuranyl, 6-benzofuranyl, 7-benzofuranyl, 2,3-dihydrobenzofuran-2-yl, 2,3-dihydrobenzofuran-3-yl, 2-benzothiophenyl, 3-benzothiophenyl, 4-benzothiophenyl, 5-benzothiophenyl, 6-benzothiophenyl, 7-benzothiophenyl, methylenedioxy-phenyl, 6-benzodioxanyl, 7-benzodioxanyl, 3,4-dihydro-1,5-benzodioxepin-6-yl or 3,4-dihydro-1,5-benzodioxepin-7-yl, each optionally and independently substituted by 1, 2 or 3 substituents selected from Hal, CN, R^{3a}, OR^{3a}, $C(O)NR^{4a}R^{4b}$, $NR^{3a}C(O)R^{3b}$, $S(O)_2R^{3a}$, $S(O)R^{3a}$, $NR^{4a}R^{4b}$, Ar2, Het2, $(CH_2)_rSR^{3a}$, $(CH_2)_rN(R^{4a})_2$ or $(CH_2)_rA2$.

4. The compound according to claim 1, or a stereoisomer, tautomer or pharmaceutically acceptable salt thereof, wherein:

LX denotes $-CH_2-$, $-OCH_2-$, $-O(CH_2)_2-$, $-SCH_2-$ or $-S(CH_2)_2-$, where 1, 2, 3 or 4 H atoms may be independently replaced by Hal, R^{3a}, OR^{4a}, $(CH_2)_rA2$, phenyl, methylphenyl, ethylphenyl, fluorophenyl, chlorophenyl, bromophenyl, aminophenyl, furanyl, thiophenyl, pyrrolyl, imidazolyl, pyrazolyl, pyrmidinyl, morpholinyl or piperidinyl;

Cyc denotes 1-naphthalenyl, 2-naphthalenyl, 1-indolyl, 2-indolyl, 3-indolyl, 4-indolyl, 5-indolyl, 6-indolyl, 7-indolyl, 2-benzofuranyl, 3-benzofuranyl, 2,3-dihydrobenzofuran-2-yl, 2,3-dihydrobenzofuran-3-yl, 2-benzothiophenyl or 3-benzothiophenyl, each optionally and independently substituted by 1, 2 or 3 substituents selected from Hal, CN, R^{3a}, OR^{3a},

$C(O)NR^{4a}R^{4b}$, $NR^{3a}C(O)R^{3b}$, $S(O)_2R^{3a}$, $S(O)R^{3a}$, $NR^{4a}R^{4b}$, Ar2, Het2, $(CH_2)_rSR^{3a}$, $(CH_2)_rN(R^{4a})_2$ or $(CH_2)_rA2$;

q denotes 1, 2 or 3; and

r denotes 1, 2 or 3.

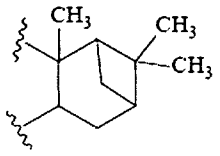
5. The compound according to claim 1, or a stereoisomer, tautomer or pharmaceutically acceptable salt thereof, wherein:

LX denotes $-CH_2-$, $-OCH_2-$, $-O(CH_2)_2-$, $-SCH_2-$ or $-S(CH_2)_2-$, where 1, 2, 3 or 4 H atoms may be independently replaced by Hal, R^{3a}, OR^{4a} or $(CH_2)_rA2$;

X denotes phenyl, pyridinyl, pyridazinyl, pyrimidinyl, pyrazinyl or triazinyl, each optionally and independently substituted by 1, 2, 3, 4 or 5 substituents selected from Hal, A1, N_3, CN, OH, $NR^{4a}R^{4b}$, Ar1, Het1, OA1, OAr1, OHet1, C(O)A1, C(O)Ar1, C(O)Het1, $C(O)NR^{4a}R^{4b}$, $NR^{4a}C(O)R^{3a}$, $NR^{4a}S(O)_2R^{3a}$, $S(O)_2R^{3a}$, $S(O)R^{3a}$, $NR^{4a}C(O)_2R^{3a}$, $OC(O)NR^{3a}R^{4a}$, $O(CH_2)_qA1$ or $(CH_2)_rA2$;

R^1 and R^2 each independently denote H or C1-C4 alkyl; or

R^1 and R^2, together with the oxygen atoms to which they are attached, form a residue according to formula (CE):



(CE):

R^{3a} and R^{3b} each independently denote linear or branched C1-C4 alkyl, wherein 1, 2, 3 4 or 5 H atoms may be independently replaced by Hal, OH or OAlk;

A1 denotes linear or branched C1-C6 alkyl or C3-C6 cylcoalkyl, each optionally and independently substituted by 1 or 2 substituents selected from Hal, CN, R^{3a}, SR^{3a}, OR^{4a} or

$(CH_2)_rA2$, where 1 or 2 CH_2 groups of C3-C6 cycloalkyl may be independently replaced by O, C(O) or N;

Alk denotes methyl, ethyl, *n*-propyl or isopropyl; and

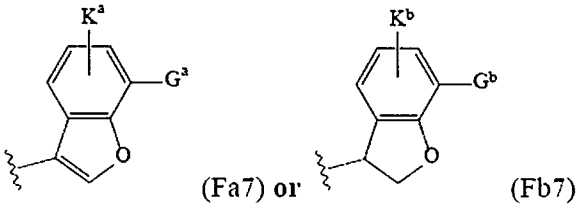
Cyc denotes 1-naphthalenyl, 2-naphthalenyl, 1-indolyl, 2-indolyl, 3-indolyl, 4-indolyl, 5-indolyl, 6-indolyl, 7-indolyl, 2-benzofuranyl, 3-benzofuranyl, 2,3-dihydrobenzofuran-2-yl, 2,3-dihydrobenzofuran-3-yl, 2-benzothiophenyl or 3-benzothiophenyl, each, optionally and independently substituted by 1, 2 or 3 substituents selected from Hal, CN, R^{3a}, OR^{3a}, $C(O)NR^{4a}R^{4b}$, $NR^{3a}C(O)R^{3b}$, $S(O)_2R^{3a}$, $S(O)R^{3a}$, $NR^{4a}R^{4b}$, Ar2, Het2, $(CH_2)_rSR^{3a}$, $(CH_2)_rN(R^{4a})_2$ or $(CH_2)_rA2$.

6. The compound according to claim 5, or a stereoisomer, tautomer or pharmaceutically acceptable salt thereof, wherein:

R^{3a} and R^{3b} each independently denote linear or branched C1-C3 alkyl, where 1, 2, 3, 4 or 5 H atoms may be independently replaced by F, Cl, OH or OAlk;

Cyc denotes 1-naphthalenyl, 2-naphthalenyl, 2-benzothiophenyl or 3-benzothiophenyl, each optionally and independently substituted by 1 or 2 substituents selected from Hal, CN, R^{3a}, OR^{3a}, $C(O)NR^{4a}R^{4b}$, $NR^{3a}C(O)R^{3b}$, $S(O)_2R^{3a}$, $S(O)R^{3a}$, $NR^{4a}R^{4b}$, Ar2, Het2, $(CH_2)_rSR^{3a}$, $(CH_2)_rN(R^{4a})_2$ or $(CH_2)_rA2$; or

Cyc denotes formula (Fa7) or formula (Fb7):



(Fb7)

wherein:

G^a denotes F, Cl, Br, CN, R^{3a}, OR^{3a}, $C(O)NR^{3a}R^{3b}$, $C(O)NHR^{3a}$, $C(O)NH_2$, $NR^{3a}C(O)R^{3b}$, $S(O)_2R^{3a}$, $S(O)R^{3a}$, NHR^{3a}, $N(R^{3a})_2$, $(CH_2)_rSR^{3a}$, $(CH_2)_rN(R^{4a})_2$ or $(CH_2)_rA2$;

G^b denotes H, F, Cl, Br, CN, R^{3a}, OR^{3a}, $C(O)NR^{3a}R^{3b}$, $C(O)NHR^{3a}$,$C(O)NH_2$, $NR^{3a}C(O)R^{3b}$, $S(O)_2R^{3a}$, $S(O)R^{3a}$, NHR^{3a}, $N(R^{3a})_2$, $(CH_2)_rSR^{3a}$, $(CH_2)_rN(R^{4a})_2$ or $(CH_2)_rA2$;

or

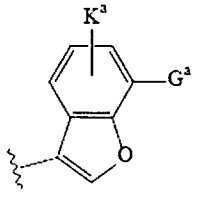
K^a and K^b each independently denote H, F, Cl, Br, CN, R^{3a}, OR^{3a}, $C(O)NR^{3a}R^{3b}$, $C(O)NHR3^a$, $C(O)NH_2$, $NR^{3a}C(O)R^{3b}$, $S(O)_2R^{3a}$, $S(O)R^{3a}$, NHR^{3a}, $N(R^{3a})_2$, $(CH_2)_rSR^{3a}$, $(CH_2)_rN(R^{4a})_2$ or $(CH_2)_rA2$;

Alk denotes methyl or ethyl; and

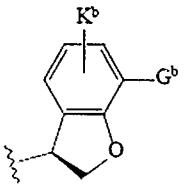
r denotes 1 or 2.

7. The compound according to claim 6, or a stereoisomer, tautomer or pharmaceutically acceptable salt thereof, wherein:

Cyc denotes formula (Fa7) or (*S*)-formula (Fb7):



(Fa7) **or**

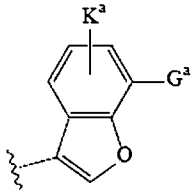


(*S*)-(Fb7).

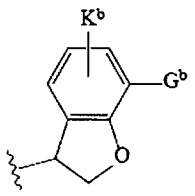
8. The compound according to claim 6, or a stereoisomer, tautomer or pharmaceutically acceptable salt thereof, wherein:

Cyc denotes 1-naphthalenyl or 2-naphthalenyl, each optionally and independently substituted by 1 or 2 substituents selected from F, Cl, CH_3, CH_2CH_3, CF_3, OCH_3, OCH_2CH_3, SCH_3, SCH_2CH_3, CH_2OCH_3, $N(CH_3)_2$, $CH_2N(CH_3)_2$ or $N(CH_2CH_3)_2$; or

Cyc denotes formula (Fa7) or formula (Fb7):



(Fa7) **or**



(Fb7)

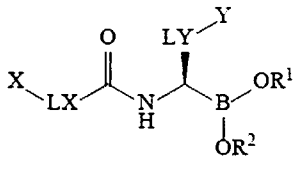
wherein:

G^a denotes F, Cl, CH_3, CH_2CH_3, CF_3, OCH_3, OCH_2CH_3, SCH_3, SCH_2CH_3, CH_2OCH_3, $N(CH_3)_2$, $CH_2N(CH_3)_2$ or $N(CH_2CH_3)_2$;

G^b denotes H, F, Cl, CH_3, CH_2CH_3, CF_3, OCH_3, OCH_2CH_3, SCH_3, SCH_2CH_3, CH_2OCH_3, $N(CH_3)_2$, $CH_2N(CH_3)_2$ or $N(CH_2CH_3)_2$; and

K^a and K^b each independently denote H, F, Cl, CH_3, CH_2CH_3, CF_3, OCH_3, OCH_2CH_3, SCH_3, SCH_2CH_3, CH_2OCH_3, $N(CH_3)_2$, $CH_2N(CH_3)_2$ or $N(CH_2CH_3)_2$.

9. The compound according to claim 1, wherein the compound is represented by formula (*R*)-(Ia):



(*R*)-(Ia)

or a stereoisomer, tautomer or pharmaceutically acceptable salt thereof,

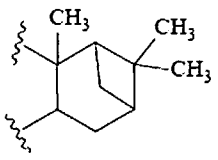
wherein:

LX denotes $-CH_2-$ or $-OCH_2-$, where 1, 2, 3 or 4 H atoms may be independently replaced by F or Cl or where 1 or 2 H atoms may be independently replaced by CH_3, CH_2CH_3, $CH(CH_3)_2$, CF_3, CF_2CF_3, OCH_3, OCH_2CH_3, $O(CH_2)_2OH$ or $O(CH_2)_2OCH_3$;

X denotes phenyl, pyridinyl, pyridazinyl, pyrazinyl or triazinyl, each optionally and independently substituted by 1, 2, 3, 4 or 5 substituents selected from Hal, A1, N_3, CN, OH, $NR^{4a}R^{4b}$, Ar1, Het1, OA1, OAr1, OHet1, C(O)A1, C(O)Ar1, C(O)Het1, $C(O)NR^{4a}R^{4b}$, $NR^{4a}C(O)R^{3a}$, $NR^{4a}S(O)_2R^{3a}$, $S(O)_2R^{3a}$, $S(O)R^{3a}$, $NR^{4a}C(O)_2R^{3a}$, $OC(O)NR^{3a}R^{4a}$, $O(CH_2)_qA1$ or $(CH_2)_rA2$;

R^1 and R^2 each independently denote H or C1-C4 alkyl; or

R^1 and R^2, together with the oxygen atoms to which they are attached, form a residue according to formula (CE):



(CE):

R^{3a} and R^{3b} each independently denote linear or branched C1-C3 alkyl, where 1, 2, 3, 4 or 5 H atoms may be independently replaced by F, Cl, OH and OAlk;

A1 denotes ethyl, *n*-propyl, isopropyl, *n*-butyl, isobutyl, *sec*-butyl, *tert*-butyl, *n*-pentyl, 1-methylbutyl, 2-methylbutyl, isopentyl, 1,1-dimethylpropyl, 1,2-dimethylpropyl, neopentyl, 1-ethylpropyl, *n*-hexyl, 1-methylpentyl, 2-methylpentyl, 3-methylpentyl, isohexyl, 1,1-dimethylbutyl, 1,2-dimethylbutyl, 1,3-dimethylbutyl, 2,2-dimethylbutyl, 2,3-dimethylbutyl, neohexyl, 1-ethylbutyl, 2-ethylbutyl, 1-ethyl-1-methylpropyl or 1-ethyl-2-methylpropyl, each optionally and independently substituted by 1, 2, 3 or 4 substituents selected from Hal, CN, R^{3a}, SR^{3a}, OR^{3a}, or $(CH_2)_rA2$;

A2 denotes OH, OCH_3, OCH_2CH_3, OCF_3, $O(CH_2)_2OH$ or $O(CH_2)_2OCH_3$;

Alk denotes methyl or ethyl;

Ar1 denotes phenyl, 2-methylphenyl, 3-methylphenyl, 4-methylphenyl, 2-ethylphenyl, 3-ethylphenyl, 4-ethylphenyl, 2-*n*-propylphenyl, 3-*n*-propylphenyl, 4-*n*-propylphenyl, 2-isopropylphenyl, 3-isopropylphenyl, 4-isopropylphenyl, 2-*tert*-butylphenyl, 3-*tert*-butylphenyl, 4-*tert*-butylphenyl, 2-hydroxyphenyl, 3-hydroxyphenyl, 4-hydroxyphenyl, 2-nitrophenyl, 3-nitrophenyl, 4-nitrophenyl, 2-aminophenyl, 3-aminophenyl, 4-aminophenyl, 2-(*N*-methylamino)phenyl, 3-(*N*-methylamino)phenyl, 4-(*N*-methylamino)phenyl, 2-(*N*,*N*-dimethylamino)phenyl, 3-(*N*,*N*-dimethylamino)phenyl, 4-(*N*,*N*-dimethylamino)phenyl, 2-(*N*-methylaminocarbonyl)phenyl, 3-(*N*-methylaminocarbonyl)phenyl, 4-(*N*-methylaminocarbonyl)phenyl, 2-(acetamido)phenyl, 3-(acetamido)phenyl, 4-(acetamido)phenyl, 2-(*N*-ethylamino)phenyl, 3-(*N*-ethylamino)phenyl, 4-(*N*-ethylamino)phenyl, 2-(*N*,*N*-diethylamino)phenyl, 3-(*N*,*N*-diethylamino)phenyl, 4-(*N*,*N*-diethylamino)phenyl, 2-methoxyphenyl, 3-methoxyphenyl, 4-methoxyphenyl, 2-ethoxyphenyl, 3-ethoxyphenyl, 4-ethoxyphenyl, 2-fluorophenyl, 3-fluorophenyl, 4-fluorophenyl, 2-chlorophenyl, 3-chlorophenyl, 4-chlorophenyl, 2-bromophenyl, 3-bromophenyl, 4-bromophenyl, 4-iodophenyl, 2-(methylsulfonyl)phenyl, 3-(methylsulfonyl)phenyl, 4-(methylsulfonyl)phenyl, 2-cyanophenyl, 3-cyanophenyl, 4-cyanophenyl, 2-piperidinylphenyl, 3-piperidinylphenyl, 4-piperidinylphenyl, 2-(morpholin-4-yl)phenyl, 3-(morpholin-4-yl)phenyl, 4-(morpholin-4-yl)phenyl, 2,5-dimethylphenyl, 2,6-dimethylphenyl, 3,4-dimethylphenyl, 3,5-dimethylphenyl, 2,3-difluorophenyl, 2,4-difluorophenyl, 2,5-difluorophenyl, 2,6-difluorophenyl, 3,4-difluorophenyl, 3,5-difluorophenyl, 2,3-dichlorophenyl, 2,4-dichlorophenyl, 2,5-dichlorophenyl, 2,6-dichlorophenyl, 3,4-dichlorophenyl, 3,5-dichlorophenyl, 2,3-dibromophenyl, 2,4-dibromophenyl,

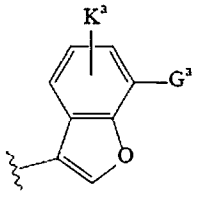
2,5-dibromophenyl, 2,6-dibromophenyl, 3,4-dibromophenyl, 3,5-dibromophenyl, 2,4-dinitrophenyl, 2,5-dinitrophenyl, 2,5-dimethoxyphenyl, 3,4-dimethoxyphenyl, 4-chloro-3-nitrophenyl, 3-amino-4-chlorophenyl, 2-amino-3-chlorophenyl, 2-amino-4-chlorophenyl, 2-amino-5-chlorophenyl, 2-amino-6-chlorophenyl, 4-(*N*,*N*-dimethylamino)-2-nitrophenyl, 4-(*N*,*N*-dimethylamino)-3-nitrophenyl, 2,3-diaminophenyl, 2,3,4-trichlorophenyl, 2,3,5-trichlorophenyl, 2,3,6-trichlorophenyl, 2,4,6-trichlorophenyl, 3,4,5-trichlorophenyl, 2,4,6-trimethoxyphenyl, 3,5-dichloro-2-hydroxyphenyl, 4-amino-3,6-dichlorophenyl, 3-chloro-4-fluorophenyl, 4-bromo-2-fluorophenyl, 4-bromo-2,5-difluorophenyl, 3-bromo-6-methoxyphenyl, 3-chloro-6-methoxyphenyl, 4-(acetamido)-3-chlorophenyl, 3-fluoro-4-methoxyphenyl, 3-amino-6-methylphenyl or 4-chloro-2,5-dimethylphenyl;

Het1 denotes 2-furanyl, 3-furanyl, 2-thiophenyl, 3-thiophenyl, 1-pyrrolyl, 2-pyrrolyl, 3-pyrrolyl, 1-imidazolyl, 2-imidazolyl, 4-imidazolyl, 5-imidazolyl, 1-pyrazolyl, 3-pyrazolyl, 4-pyrazolyl, 5-pyrazolyl, 2-oxazolyl, 4-oxazolyl, 5-oxazolyl, 3-isoxazolyl, 4-isoxazolyl, 5-isoxazolyl, 2-thiazolyl, 4-thiazolyl, 5-thiazolyl, 3-isothiazolyl, 4-isothiazolyl, 5-isothiazolyl, 1,2,3-triazol-1-yl, 1,2,3-triazol-4-yl, 1,2,3-triazol-5-yl, 1,2,4-triazol-1-yl, 1,2,4-triazol-3-yl, 1,2,4-triazol-5-yl, 1-tetrazolyl, 5-tetrazolyl, 1,2,3-oxadiazol-4-yl, 1,2,3-oxadiazol-5-yl, 1,2,4-oxadiazol-3-yl, 1,2,4-oxadiazol-5-yl, 1,3,4-thiadiazol-2-yl, 1,3,4-thiadiazol-5-yl, 1,2,4-thiadiazol-3-yl, 1,2,4-thiadiazol-5-yl, 1,2,3-thiadiazol-4-yl, 1,2,3-thiadiazol-5-yl, 2,3-dihydro-2-furanyl, 2,3-dihydro-3-furanyl, 2,3-dihydro-4-furanyl, 2,3-dihydro-5-furanyl, 2,5-dihydro-2-furanyl, 2,5-dihydro-3-furanyl, 2,5-dihydro-4-furanyl, 2,5-dihydro-5-furanyl, 2-tetrahydrofuranyl, 3-tetrahydrofuranyl, 2-tetrahydrothiophenyl, 3-tetrahydrothiophenyl, 1,3-dioxolan-4-yl, 2,3-dihydro-1-pyrrolyl, 2,3-dihydro-2-pyrrolyl, 2,3-dihydro-3-pyrrolyl, 2,3-dihydro-4-pyrrolyl, 2,3-dihydro-5-pyrrolyl, 2,5-dihydro-1-pyrrolyl, 2,5-dihydro-2-pyrrolyl, 2,5-dihydro-3-pyrrolyl, 2,5-dihydro-4-pyrrolyl, 2,5-dihydro-5-pyrrolyl, 1-pyrrolidinyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 1-tetrahydroimidazolyl, 2-tetrahydroimidazolyl, 4-tetrahydroimidazolyl, 1-tetrahydropyrazolyl, 3-tetrahydropyrazolyl, 4-tetrahydropyrazolyl, 2,3-dihydro-1-pyrazolyl, 2,3-dihydro-2-pyrazolyl, 2,3-dihydro-3-pyrazolyl, 2,3-dihydro-4-pyrazolyl, 2,3-dihydro-5-pyrazolyl, 2-pyridinyl, 3-pyridinyl, 4-pyridinyl, 2-pyrimidinyl, 4-pyrimidinyl, 5-pyrimidinyl, 6-pyrimidinyl, 3-pyridazinyl, 4-pyridazinyl, pyrazinyl, 1,4-dihydro-1-pyridinyl, 1,4-dihydro-2-pyridinyl, 1,4-dihydro-3-pyridinyl, 1,4-dihydro-4-pyridinyl, 1,2,3,4-tetrahydro-1-

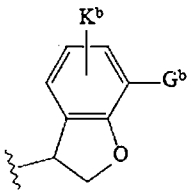
pyridinyl, 1,2,3,4-tetrahydro-2-pyridinyl, 1,2,3,4-tetrahydro-3-pyridinyl, 1,2,3,4-tetrahydro-4-pyridinyl, 1,2,3,4-tetrahydro-5-pyridinyl, 1,2,3,4-tetrahydro-6-pyridinyl, 1-piperidinyl, 2-piperidinyl, 3-piperidinyl, 4-piperidinyl, 2-tetrahydropyranyl, 3-tetrahydropyranyl, 4-tetrahydropyranyl, 2-morpholinyl, 3-morpholinyl, 4-morpholinyl, 1,4-dioxanyl, 1,3-dioxan-2-yl, 1,3-dioxan-4-yl, 1,3-dioxan-5-yl, 1-hexahydropyridazinyl, 3-hexahydropyridazinyl, 4-hexahydropyridazinyl, 1-hexahydropyrimidinyl, 2-hexahydropyrimidinyl, 4-hexahydropyrimidinyl, 5-hexahydropyrimidinyl, 1-piperazinyl, 2-piperazinyl or 3-piperazinyl, each optionally and independently substituted by 1 or 2 substituents selected from F, Cl, CH_3, CH_2CH_3, CF_3, OCH_3, OCH_2CH_3, SCH_3, SCH_2CH_3, $N(CH_3)_2$, $NHCH_3$, $CH_2N(CH_3)_2$ or $N(CH_2CH_3)_2$;

Cyc denotes 1-naphthalenyl or 2-naphthalenyl, each optionally and independently substituted 1 or 2 substituents selected from Hal, CN, R^{3a}, OR^{3a}, $C(O)NHR^{4b}$, $NR^{3a}C(O)R^{3b}$, $S(O)_2R^{3a}$, $S(O)R^{3a}$, $NR^{4a}R^{4b}$, $(CH_2)_rSR^{3a}$, $(CH_2)_rN(R^{4a})_2$ or $(CH_2)_rA2$;

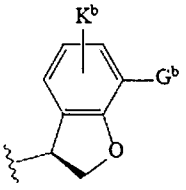
Cyc denotes formula (Fa7), formula (Fb7) or (*S*)-formula (Fb7):



(Fa7).



(Fb7) **or**



(*S*)-(Fb7)

wherein:

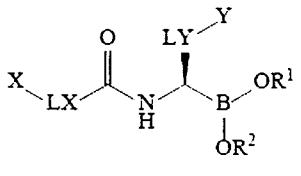
G^a denotes F, Cl, Br, CN, R^{3a}, OR^{3a}, $C(O)NR^{3a}R^{3b}$, $C(O)NHR^{3a}$, $C(O)NH_2$, $NR^{3a}C(O)R^{3b}$, $S(O)_2R^{3a}$, $S(O)R^{3a}$, NHR^{3a}, $N(R^{3a})_2$, $(CH_2)_rSR^{3a}$, $(CH_2)_rN(R^{4a})_2$ or $(CH_2)_rA2$;

G^b denotes H, F, Cl, Br, CN, R^{3a}, OR^{3a}, $C(O)NR^{3a}R^{3b}$, $C(O)NHR^{3a}$, $C(O)NH_2$, $NR^{3a}C(O)R^{3b}$, $S(O)_2R^{3a}$, $S(O)R^{3a}$, NHR^{3a}, $N(R^{3a})_2$, $(CH_2)_rSR^{3a}$, $(CH_2)_rN(R^{4a})_2$ or $(CH_2)_rA2$;

K^a and K^b each independently denote H, F, Cl, Br, CN, R^{3a}, OR^{3a}, $C(O)NR^{3a}R^{3b}$, $C(O)NHR^{3a}$, $C(O)NH_2$, $NR^{3a}C(O)R^{3b}$, $S(O)_2R^{3a}$, $S(O)R^{3a}$, NHR^{3a}, $N(R^{3a})_2$, $(CH_2)_rSR^{3a}$, $(CH_2)_rN(R^{4a})_2$ or $(CH_2)_rA2$; and

r denotes 1, 2, 3 or 4.

10. The compound according to claim 1, wherein the compound is represented by formula (*R*)-(Ia):



(*R*)-(**Ia**)

or a stereoisomer, tautomer or pharmaceutically acceptable salt thereof,

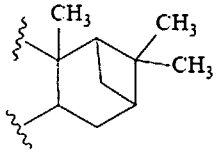
wherein:

LX denotes $-CH_2-$ or $-OCH_2-$, where 1, 2, 3 or 4 H atoms may be independently replaced by F or Cl or where 1 or 2 H atoms may be independently replaced by OH, CH_3, CH_2CH_3, $CH(CH_3)_2$, CF_3, CF_2CF_3, OCH_3, OCH_2CH_3, $O(CH_2)_2OH$ or $O(CH_2)_2OCH_3$;

X denotes phenyl, pyridinyl, pyridazinyl, pyrazinyl or triazinyl, each optionally and independently substituted by 1, 2 or 3 substituents selected from CH_3, CH_2CH_3, $CH(CH_3)_2$, CF_3, CF_2CF_3, CN, C(O)morpholinyl, C(O)piperazinyl, $C(O)N(CH_3)_2$, $C(O)N(CH_2CH_3)_2$, OH, OCH_3, OCH_2CH_3, $O(CH_2)_2OH$, $O(CH_2)_2OCH_3$ or CH_2OCH_3;

R^1 and R^2 each independently denote H or C1-C4 alkyl; or

R^1 and R^2, together with the oxygen atoms to which they are attached, form a residue according to formula (CE):



(CE):

R^{3a} and R^{3b} each independently denote linear or branched C1-C3 alkyl, where 1, 2, 3, 4 or 5 H atoms may be independently replaced by F, Cl, OH or OAlk;

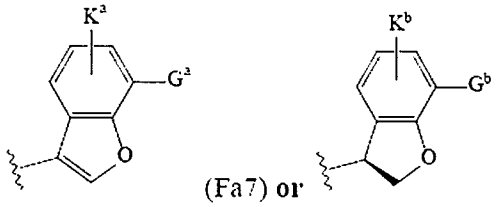
A1 denotes ethyl, *n*-propyl, isopropyl, *n*-butyl, isobutyl, *sec*-butyl, *tert*-butyl, *n*-pentyl, 1-methylbutyl, 2-methylbutyl isopentyl, 1,1-dimethylpropyl, 1,2-dimethylpropyl, neopentyl, 1-ethylpropyl, *n*-hexyl, 1-methylpentyl, 2-methylpentyl, 3-methylpentyl, isohexyl, 1,1-dimethylbutyl, 1,2-dimethylbutyl, 1,3-dimethylbutyl, 2,2-dimethylbutyl, 2,3-dimethylbutyl, neohexyl, 1-ethylbutyl, 2-ethylbutyl, 1-ethyl-1-methylpropyl or 1-ethyl-2-methylpropyl;

A2 denotes OH, OCH_3, OCH_2CH_3, OCF_3, $O(CH_2)_2OH$ or $O(CH_2)_2OCH_3$;

Alk denotes methyl or ethyl;

Cyc denotes 1-naphthalenyl or 2-naphthalenyl, each optionally and independently substituted by 1 or 2 substituents selected from Hal, CN, R^{3a}, OR^{3a}, $C(O)NHR^{4b}$, $NR^{3a}C(O)R^{3b}$, $S(O)_2R^{3a}$, $S(O)R^{3a}$, $NR^{4a}R^{4b}$, $(CH_2)_rSR^{3a}$ or $(CH_2)_rN(R^{4a})_2$; or

Cyc denotes formula (Fa7) or (*S*)-formula (Fb7):



wherein:

G^a denotes F, Cl, CH_3, CH_2CH_3, CF_3, OCH_3, OCH_2CH_3,SCH_3, SCH_2CH_3, CH_2OCH_3, $N(CH_3)_2$, $CH_2N(CH_3)_2$ or $N(CH_2CH_3)_2$;

G^b denotes H, F, Cl, CH_3, CH_2CH_3, CF_3, OCH_3, OCH_2CH_3, SCH_3, SCH_2CH_3, CH_2OCH_3, $N(CH_3)_2$, $CH_2N(CH_3)_2$ or $N(CH_2CH_3)_2$; and

K^a and K^b each independently denote H, F, Cl, CH_3, CH_2CH_3, CF_3, OCH_3, OCH_2CH_3, SCH_3, SCH_2CH_3, CH_2OCH_3, $N(CH_3)_2$, $CH_2N(CH_3)_2$ or $N(CH_2CH_3)_2$; and

r denotes 1, 2, 3 or 4.

11. The compound according to claim 1, or a stereoisomer, tautomer or pharmaceutically acceptable salt thereof, wherein Cyc is optionally substituted by 1 or 2 substituents selected from Hal, R^{3a} or OR^{3a}.

12. The compound according to claim 1, or a stereoisomer, tautomer or pharmaceutically acceptable salt thereof, wherein Cyc is optionally substituted by 1 or 2 substituents selected from F, Cl, CH_3, CF_3, CH_2CH_3, CF_2CF_3, OCH_3, OCF_3, OCH_2CH_3 or OCF_2CF_3.

13. A compound selected from the group consisting of:

[(1R)-1-[[2-[(3,5-dichloro-2-pyridyl)oxy]acetyl]amino]-2-phenyl-ethyl]boronic acid;

[(1R)-2-phenyl-1-[[2-(2-pyridyloxy)acetyl]amino]ethyl]boronic acid;

[(1R)-1-[(2-phenoxyacetyl)amino]-2-phenyl-ethyl]boronic acid;

[(1R)-1-(3-phenoxypropanoylamino)-2-(p-tolyl)ethyl]boronic acid;

[(1R)-1-[3-(4-methoxyphenoxy)propanoylamino]-2-(p-tolyl)ethyl]-boronic acid;

[(1R)-2-(benzofuran-3-yl)-1-[(2-phenylacetyl)amino]-ethyl]boronic acid;

[(1R)-2-(benzofuran-3-yl)-1-[3-(4-methylphenoxy)propanoylamino]-ethyl]boronic acid;

[(1R)-2-(benzofuran-3-yl)-1-[[2-(3-pyridyl)acetyl]amino]ethyl]-boronic acid;

[(1R)-2-(benzofuran-3-yl)-1-[[2-(4-cyanophenyl)acetyl]amino]ethyl]-boronic acid;

[(1R)-2-(benzofuran-3-yl)-1-[[2-(4-methoxyphenyl)acetyl]amino]-ethyl]boronic acid;

[(1R)-2-(benzofuran-3-yl)-1-[[2-(3-pyridyloxy)acetyl]amino]ethyl]-boronic acid;

[(1R)-2-(benzofuran-3-yl)-1-[[2-(6-methoxy-2-pyridyl)acetyl]amino]-ethyl]boronic acid;

[(1R)-2-(benzofuran-3-yl)-1-[[2-(5-ethoxy-2-pyridyl)acetyl]amino]-ethyl]boronic acid;

[(1R)-1-[(2-phenylacetyl)amino]-2-(p-tolyl)ethyl]boronic acid;

[(1R)-2-(benzofuran-3-yl)-1-[[2-(3-methoxyphenyl)acetyl]amino]-ethyl]boronic acid;

[(1R)-1-[[(2R)-2-hydroxy-2-phenyl-acetyl]amino]-2-(p-tolyl)ethyl]-boronic acid;

[(1R)-1-[[(2S)-2-hydroxy-2-phenyl-acetyl]amino]-2-(p-tolyl)ethyl]-boronic acid;

[(1R)-2-(benzofuran-3-yl)-1-[(2-pyrazin-2-ylacetyl)amino]ethyl]-boronic acid;

[(1R)-2-(benzofuran-3-yl)-1-[[2-(4-pyridyl)acetyl]amino]ethyl]-boronic acid;

[(1R)-2-(benzofuran-3-yl)-1-[(2-pyrimidin-2-ylacetyl)amino]ethyl]-boronic acid;

[(1R)-1-[[2-(4-acetamidophenyl)acetyl]amino]-2-(p-tolyl)ethyl]-boronic acid;

[(1R)-2-(benzofuran-3-yl)-1-[[2-(3,4,5-trifluorophenyl)acetyl]amino]-ethyl]boronic acid;

[(1R)-2-(benzofuran-3-yl)-1-[(2,2-difluoro-2-phenyl-acetyl)amino]-ethyl]boronic acid;

[(1R)-2-(benzofuran-3-yl)-1-[[2-[2-(trifluoromethyl)phenyl]acetyl]amino]ethyl]-boronic acid;

[(1R)-2-(benzofuran-3-yl)-1-[[2-(2,6-dichlorophenyl)acetyl]-amino]-ethyl]boronic acid;

[(1R)-1-[[2-(4-acetamidophenyl)acetyl]amino]-2-(benzofuran-3-yl)ethyl]boronic acid;

[(1R)-2-(benzofuran-3-yl)-1-[[2-(2-methoxyphenyl)acetyl]amino]-ethyl]boronic acid;

[(1R)-2-(benzofuran-3-yl)-1-[[2-[2-(trifluoromethoxy)phenyl]acetyl]amino]-ethyl]boronic acid;

[(1R)-2-(2,4-dimethylphenyl)-1-[(2-phenylacetyl)amino]ethyl]-boronic acid;

[(1R)-2-(benzofuran-3-yl)-1-[[2-[4-(methoxymethyl)phenyl]acetyl]amino]ethyl]-boronic acid;

[(1R)-2-(benzofuran-3-yl)-1-[[2-[3-(3-hydroxypropoxy)phenyl]acetyl]amino]ethyl]-boronic acid;

[(1R)-1-[[2-(3-acetamidophenyl)acetyl]amino]-2-(benzofuran-3-yl)ethyl]boronic acid;

[(1R)-2-(benzofuran-3-yl)-1-[[(2S)-2-methoxy-2-phenyl-acetyl]amino]ethyl]boronic acid;

[(1R)-2-(benzofuran-3-yl)-1-[[(2R)-2-methoxy-2-phenyl-acetyl]amino]ethyl]boronic acid;

[(1R)-2-(2,4-dimethylphenyl)-1-[[2-(2,6-dimethylphenyl)acetyl]-amino]ethyl]boronic acid;

[(1R)-2-(2,4-dimethylphenyl)-1-[(1-phenylcyclopropanecarbonyl)amino]ethyl]-boronic acid;

[(1R)-2-(benzofuran-3-yl)-1-[[(2S)-2-phenylpropanoyl]amino]ethyl]-boronic acid;

[(1R)-1-[[2-(4-acetamidophenyl)acetyl]amino]-2-(2,4-dimethylphenyl)ethyl]boronic acid;

[(1R)-2-(benzofuran-3-yl)-1-[[2-[4-(2-hydroxyethoxy)phenyl]acetyl]amino]ethyl]-boronic acid;

[(1R)-2-(benzofuran-3-yl)-1-[[2-[4-(3-hydroxypropoxy)-phenyl]acetyl]amino]ethyl]-boronic acid;

[(1R)-2-(benzofuran-3-yl)-1-[[2-[3-(2-hydroxyethoxy)phenyl]acetyl]amino]ethyl]-boronic acid;

[(1R)-1-[[2-(2,6-dimethoxyphenyl)acetyl]amino]-2-(2,4-dimethylphenyl)ethyl]-boronic acid;

[(1R)-2-(2,4-dimethylphenyl)-1-[[2-[4-(2-oxopyrrolidin-1-yl)phenyl]acetyl]-amino]ethyl]boronic acid;

[(1R)-1-[[2-(4-dimethylaminophenyl)acetyl]amino]-2-(2,4-dimethylphenyl)ethyl]-boronic acid;

[(1R)-2-(benzofuran-3-yl)-1-[[(2R)-2-phenylpropanoyl]amino]ethyl]-boronic acid;

[(1R)-2-(2,4-dimethylphenyl)-1-[[2-[4-(methanesulfonamido)phenyl]acetyl]-

amino]ethyl]boronic acid;

[(1R)-2-(2,4-dimethylphenyl)-1-[[2-[4-[(2-methoxyacetyl)amino]phenyl]acetyl]-amino]ethyl]-boronic acid;

[(1R)-2-(benzofuran-3-yl)-1-[[2-[2-(methoxymethyl)phenyl]acetyl]-amino]ethyl]-boronic acid;

[(1R)-2-(benzofuran-3-yl)-1-[[2-[3-(methoxymethyl)phenyl]acetyl]-amino]ethyl]-boronic acid;

[(1R)-2-(benzofuran-3-yl)-1-[[2-[2-(2-hydroxyethoxy)phenyl]acetyl]-amino]ethyl]-boronic acid;

[(1R)-2-(benzofuran-3-yl)-1-[[2,2-difluoro-2-(4-methoxyphenyl)acetyl]amino]ethyl]-boronic acid;

[(1R)-2-(benzofuran-3-yl)-1-[[2-(3,4,5-trimethoxyphenyl)acetyl]amino]ethyl]-boronic acid;

[(1R)-2-(2,4-dimethylphenyl)-1-[[2-[4-[(2,2,2-trifluoroacetyl)amino]phenyl]-acetyl]-amino]ethyl]boronic acid;

[(1R)-2-(benzofuran-3-yl)-1-[[2-(2-tetrahydropyran-4-yloxyphenyl)acetyl]amino]-ethyl]-boronic acid;

[(1R)-2-(benzofuran-3-yl)-1-[[2-[2-(3-hydroxypropoxy)phenyl]-acetyl]amino]-ethyl]boronic acid;

[(1S)-2-(benzofuran-3-yl)-1-[[(2S)-3-hydroxy-2-phenyl-propanoyl]-amino]ethyl]-boronic acid;

[(1R)-2-(benzofuran-3-yl)-1-[[(2S)-3-hydroxy-2-phenyl-propanoyl]-amino]ethyl]-boronic acid;

[(1R)-2-(benzofuran-3-yl)-1-[[2-(2,5-dimethoxyphenyl)acetyl]-amino]ethyl]boronic acid;

[(1R)-2-(benzofuran-3-yl)-1-[[(2R)-3-hydroxy-2-phenyl-propanoyl]-amino]ethyl]-boronic acid;

[(1R)-2-(benzofuran-3-yl)-1-[[2-(2,3,4-trimethoxyphenyl)acetyl]amino]ethyl]-boronic acid;

[(1S)-2-(benzofuran-3-yl)-1-[[2-[2-(3-hydroxypropoxy)phenyl]acetyl]amino]ethyl]-boronic acid;

[(1S)-2-(benzofuran-3-yl)-1-[[(2R)-3-hydroxy-2-phenyl-propanoyl]amino]ethyl]-boronic acid;

[(1R)-2-(benzofuran-3-yl)-1-[[2-[2-(morpholine-4-carbonyl)phenyl]acetyl]amino]-ethyl]boronic acid;

[(1R)-2-(benzofuran-3-yl)-1-[[2-[4-(2-oxopyrrolidin-1-yl)phenyl]-acetyl]amino]-ethyl]boronic acid;

[(1R)-2-(benzofuran-3-yl)-1-[[2-[2-(ethylcarbamoyl)phenyl]acetyl]-amino]ethyl]-boronic acid;

[(1R)-2-(benzofuran-3-yl)-1-[[2-[2-(dimethylcarbamoyl)phenyl]acetyl]amino]-ethyl]boronic acid;

[(1R)-2-(benzofuran-3-yl)-1-[[2-(4-phenylphenyl)acetyl]amino]ethyl]boronic acid;

[(1R)-2-(benzofuran-3-yl)-1-[(2,2-diphenylacetyl)amino]ethyl]boronic acid;

[(1S)-2-(benzofuran-3-yl)-1-[[2-(4-phenylphenyl)acetyl]amino]ethyl]boronic acid;

[(1R)-2-(benzofuran-3-yl)-1-[[(2R)-2-ethoxy-2-phenyl-acetyl]amino]ethyl]boronic acid;

[(1R)-2-(benzofuran-3-yl)-1-[[3-(4-methoxyphenyl)-2-phenyl-propanoyl]amino]-ethyl]boronic acid;

[(1R)-2-(benzofuran-3-yl)-1-[[2-[3-(ethoxycarbonylamino)phenyl]-acetyl]amino]-ethyl]boronic acid;

[(1R)-2-(2-chlorobenzofuran-3-yl)-1-[(2-phenylacetyl)amino]ethyl]-boronic acid;

[(1R)-2-(2,3-dihydrobenzofuran-3-yl)-1-[(2-phenylacetyl)amino]-ethyl]boronic acid;

[(1R)-2-(7-methylbenzofuran-3-yl)-1-[(2-phenylacetyl)amino]-ethyl]boronic acid;

[(1R)-1-[[(2R)-2-methoxy-2-phenyl-acetyl]amino]-2-(7-methylbenzofuran-3-yl)ethyl]boronic acid;

[(1R)-2-(7-methyl-2,3-dihydrobenzofuran-3-yl)-1-[(2-phenylacetyl)amino]ethyl]boronic acid;

[(1R)-1-[[2-(2,5-dimethoxyphenyl)acetyl]amino]-2-(7-methylbenzofuran-3-yl)ethyl]boronic acid;

[(1R)-1-[[(2S)-3-hydroxy-2-phenyl-propanoyl]amino]-2-(7-methylbenzofuran-3-yl)ethyl]boronic acid;

[(1S)-1-[[(2S)-3-hydroxy-2-phenyl-propanoyl]amino]-2-(7-methylbenzofuran-3-

yl)ethyl]boronic acid;

[(1S)-1-[[2-(4-methoxyphenyl)acetyl]amino]-2-(7-methylbenzofuran-3-yl)ethyl]boronic acid;

[(1R)-1-[[2-(4-methoxyphenyl)acetyl]amino]-2-(7-methylbenzofuran-3-yl)ethyl]boronic acid;

[(1R)-1-[[(2R)-3-hydroxy-2-phenyl-propanoyl]amino]-2-(7-methylbenzofuran-3-yl)ethyl]boronic acid;

[(1S)-1-[[(2R)-3-hydroxy-2-phenyl-propanoyl]amino]-2-(7-methylbenzofuran-3-yl)ethyl]boronic acid;

[(1R)-2-(7-chlorobenzofuran-3-yl)-1-[(2-phenylacetyl)amino]ethyl]boronic acid;

[(1R)-1-[3-(5-methoxy-2-pyridyl)propanoylamino]-2-(7-methyl-2,3-dihydrobenzofuran-3-yl)ethyl]boronic acid;

[(1S)-1-[3-(5-methoxy-2-pyridyl)propanoylamino]-2-(7-methyl-2,3-dihydrobenzofuran-3-yl)ethyl]boronic acid;

[(1R)-1-[[2-(4-methoxyphenyl)acetyl]amino]-2-[(3R)-7-methyl-2,3-dihydrobenzofuran-3-yl]ethyl]boronic acid;

[(1R)-1-[[2-(4-methoxyphenyl)acetyl]amino]-2-[(3S)-7-methyl-2,3-dihydrobenzofuran-3-yl]ethyl]boronic acid;

[(1R)-2-(benzofuran-3-yl)-1-[[2-(2-cyanophenyl)acetyl]amino]ethyl]boronic acid;

[(1R)-2-(benzofuran-3-yl)-1-[[2-[4-(1-hydroxy-1-methyl-ethyl)phenyl]acetyl]amino]-ethyl]boronic acid;

[(1R)-2-(7-methoxybenzofuran-3-yl)-1-[(2-phenylacetyl)amino]ethyl]boronic acid;

[(1R)-1-[[(2R)-2-methoxy-2-phenyl-acetyl]amino]-2-(7-methyl-2,3-dihydrobenzofuran-3-yl)ethyl]boronic acid;

[(1R)-2-(6,7-dimethylbenzofuran-3-yl)-1-[(2-phenylacetyl)amino]ethyl]boronic acid;

[(1R)-2-(6,7-dimethylbenzofuran-3-yl)-1-[3-(5-methoxy-2-pyridyl)propanoylamino]-ethyl]boronic acid;

[(1R)-2-(benzofuran-3-yl)-1-[[(2S)-2-[2-(dimethylcarbamoyl)phenyl]propanoyl]-amino]ethyl]boronic acid;

[(1R)-2-(benzofuran-3-yl)-1-[[(2R)-2-[2-(dimethylcarbamoyl)phenyl]propanoyl]amino]-

ethyl]boronic acid;

[(1R)-2-(benzofuran-3-yl)-1-[[2-(2-phenylphenyl)acetyl]amino]ethyl]boronic acid;

[(1R)-2-[(3S)-2,3-dihydrobenzofuran-3-yl]-1-[[(2R)-2-[2-(dimethylcarbamoyl)phenyl]-propanoyl]amino]ethyl]boronic acid;

[(1R)-1-[[2-[2-(dimethylcarbamoyl)phenyl]acetyl]amino]-2-(7-methyl-2,3-dihydrobenzofuran-3-yl)ethyl]boronic acid;

[(1R)-2-(6,7-dimethyl-2,3-dihydrobenzofuran-3-yl)-1-[(2-phenylacetyl)amino]ethyl]-boronic acid;

[(1R)-2-(6,7-dimethyl-2,3-dihydrobenzofuran-3-yl)-1-[3-(5-methoxy-2-pyridyl)-propanoylamino]ethyl]boronic acid;

[(1R)-1-[[2-(2-acetamidophenyl)acetyl]amino]-2-(benzofuran-3-yl)ethyl]boronic acid;

[(1R)-1-[[2-(2-cyanophenyl)acetyl]amino]-2-(7-methylbenzofuran-3-yl)ethyl]boronic acid;

[(1R)-1-[[2-(2-cyanophenyl)acetyl]amino]-2-[(3S)-2,3-dihydrobenzofuran-3-yl]ethyl]-boronic acid;

[(1R)-2-[(3R)-2,3-dihydrobenzofuran-3-yl]-1-[[(2S)-2-[2-(dimethylcarbamoyl)phenyl]-propanoyl]amino]ethyl]boronic acid;

[(1R)-2-[(3S)-2,3-dihydrobenzofuran-3-yl]-1-[[(2S)-2-[2-(dimethylcarbamoyl)phenyl]-propanoyl]amino]ethyl]boronic acid;

[(1R)-2-(benzofuran-2-yl)-1-[[2-(4-methoxyphenyl)acetyl]amino]ethyl]boronic acid;

[(1R)-1-[[(2R)-2-ethoxy-2-phenyl-acetyl]amino]-2-(7-methyl-2,3-dihydrobenzofuran-3-yl)ethyl]boronic acid;

[(1R)-2-(benzofuran-2-yl)-1-[(2-phenylacetyl)amino]ethyl]boronic acid;

(1R)-2-(2,3-dihydrobenzofuran-3-yl)-1-[[(2R)-2-ethoxy-2-phenyl-acetyl]amino]ethyl]-boronic acid;

[2-(benzofuran-3-yl)-1-[[2-(2-pyridyl)acetyl]amino]ethyl]boronic acid;

[(1R)-2-(7-chlorobenzofuran-3-yl)-1-[[2-(5-ethoxy-2-pyridyl)acetyl]amino]ethyl]boronic acid;

[(1R)-2-[(3S)-2,3-dihydrobenzofuran-3-yl]-1-[[2-(4-methoxyphenyl)acetyl]amino]ethyl]-boronic acid;

[(1R)-2-[(3R)-2,3-dihydrobenzofuran-3-yl]-1-[[2-(4-methoxyphenyl)acetyl]amino]ethyl]-boronic acid;

[(1R)-2-(7-chlorobenzofuran-3-yl)-1-[[2-(2-cyanophenyl)acetyl]amino]ethyl]boronic acid;

[(1S)-2-[(3S)-2,3-dihydrobenzofuran-3-yl]-1-[[2-(4-methoxyphenyl)acetyl]amino]ethyl]-boronic acid;

[(1S)-2-[(3R)-2,3-dihydrobenzofuran-3-yl]-1-[[2-(4-methoxyphenyl)acetyl]amino]ethyl]-boronic acid;

[(1R)-2-(benzofuran-3-yl)-1-[[2-[3-(morpholine-4-carbonyl)-2-pyridyl]acetyl]amino]-ethyl]boronic acid;

[(1R)-2-[(3S)-7-methoxy-2,3-dihydrobenzofuran-3-yl]-1-[[2-(4-methoxyphenyl)acetyl]-amino]ethyl]boronic acid;

[2-(7-fluorobenzofuran-3-yl)-1-[(2-phenylacetyl)amino]ethyl]boronic acid;

[(1R)-2-[(3R)-2,3-dihydrobenzofuran-3-yl]-1-[[2-(4-methoxyphenyl)acetyl]amino]ethyl]-boronic acid;

[(1R)-2-(benzofuran-3-yl)-1-[[2-(3-cyanophenyl)acetyl]amino]ethyl]boronic acid;

[(1R)-2-[(3S)-7-methyl-2,3-dihydrobenzofuran-3-yl]-1-[(2-phenylacetyl)amino]ethyl]-boronic acid;

[(1R)-2-(7-fluorobenzofuran-3-yl)-1-[(2-phenylacetyl)amino]ethyl]boronic acid;

[(1R)-2-(6-chloro-7-methyl-benzofuran-3-yl)-1-[(2-phenylacetyl)amino]ethyl]boronic acid;

[(1R)-2-[(3R)-7-methyl-2,3-dihydrobenzofuran-3-yl]-1-[(2-phenylacetyl)amino]ethyl]-boronic acid;

[(1R)-2-(4,7-dimethylbenzofuran-3-yl)-1-[(2-phenylacetyl)amino]ethyl]boronic acid;

[(1R)-2-(4,7-dimethylbenzofuran-3-yl)-1-[[2-(4-methoxyphenyl)acetyl]amino]ethyl]-boronic acid;

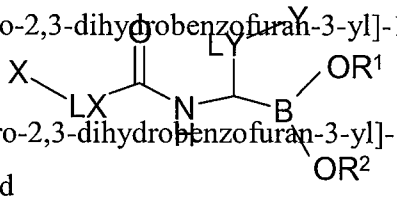
[(1R)-1-[[2-(2-cyanophenyl)acetyl]amino]-2-[(3S)-7-methyl-2,3-dihydrobenzofuran-3-yl]ethyl]boronic acid;

[(1R)-2-[(3S)-2,3-dihydrobenzofuran-3-yl]-1-[[2-(5-ethoxy-2-pyridyl)acetyl]amino]-ethyl]boronic acid;

[(1R)-2-[(3S)-7-chloro-2,3-dihydrobenzofuran-3-yl]-1-[(2-phenylacetyl)amino]ethyl]-boronic acid;

[(1R)-2-[(3R)-7-chloro-2,3-dihydrobenzofuran-3-yl]-1-[(2-phenylacetyl)amino]ethyl]-boronic acid;

[(1R)-2-[(3S)-7-chloro-2,3-dihydrobenzofuran-3-yl]-1-[[2-(2-cyanophenyl)acetyl]-amino]ethyl]boronic acid;



[(1R)-2-[(3R)-7-chloro-2,3-dihydrobenzofuran-3-yl]-1-[[2-(2-cyanophenyl)acetyl]-amino]ethyl]boronic acid; and

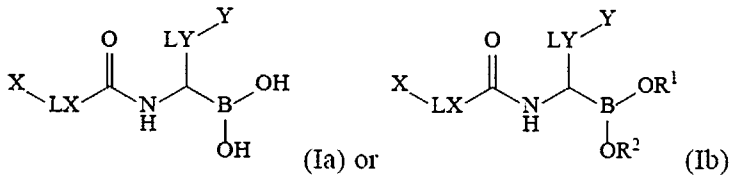
[(1R)-2-[(3S)-2,3-dihydrobenzofuran-3-yl]-1-(3-phenoxypropanoylamino)ethyl]boronic acid

or a stereoisomer, tautomer or pharmaceutically acceptable salt thereof.

14. A process for the preparation of a compound of formula (I):

(I)

wherein the compound of formula (I) is represented by formula (Ia) and/or formula (Ib):



(Ia) or (Ib)

wherein:

LX denotes $-CH_2-$, $-O(CH_2)_n-$, $-S(CH_2)_p-$ or $-(CH_2)_pS-$, where 1, 2, 3, 4 or 5 H atoms may be independently replaced by Hal, N_3, R^{3a}, OR^{4a}, $(CH_2)_rA2$, $(CH_2)_rAr2$ or $(CH_2)_rHet2$;

LY denotes $-CH_2-$;

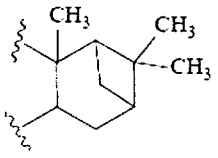
X denotes an aromatic 6-membered carbocyclyl or aromatic 6-membered heterocyclyl, each optionally and independently substituted by 1, 2, 3, 4 or 5 substituents selected from Hal,

A1, N_3, CN, OH, $NR^{4a}R^{4b}$, Ar1, Het1, OA1, OAr1, OHet1, C(O)A1, C(O)Ar1, C(O)Het1, $C(O)NR^{4a}R^{4b}$, $NR^{4a}C(O)R^{3a}$, $NR^{4a}S(O)_2R^{3a}$, $S(O)_2R^{3a}$, $S(O)R^{3a}$, $NR^{4a}C(O)_2R^{3a}$, $OC(O)NR^{3a}R^{4a}$, $O(CH_2)_qA1$, $(CH_2)_rSR^{3a}$, $(CH_2)_rN(R^{4a})_2$ or $(CH_2)_rA2$;

Y denotes Cyc;

R^1 and R^2 each independently denote C1-C6 alkyl; or

R^1 and R^2, together with the oxygen atoms to which they are attached, form a residue according to formula (CE):



(CE):

R^{3a} and R^{3b} each independently denote linear or branched C1-C6 alkyl, where 1, 2, 3, 4 or 5 H atoms may be independently replaced by Hal, OH or OAlk;

R^{4a} and R^{4b} each independently denote H or R^{3a}; or

R^{4a} and R^{4b}, together with the nitrogen atom to which they are attached, form a nitrogen-containing heterocyclyl comprising 3, 4, 5 or 6 carbon atoms;

A1 denotes linear or branched C1-C6 alkyl or C3-C6 cycloalkyl, each optionally and independently substituted by 1, 2, 3, 4 or 5 substituents selected from Hal, CN, R^{3a}, SR^{3a}, OR^{4a} or $(CH_2)_rA2$, where 1, 2 or 3 CH_2 groups of C3-C6 cycloalkyl may be independently replaced by O, C(O) or N;

A2 denotes OR^{4a};

Alk denotes linear or branched C1-C6 alkyl;

Ar1 denotes phenyl, optionally substituted by 1, 2 or 3 substituents selected from Hal, NO_2, CN, R^{3a}, OR^{4a}, $C(O)NR^{4a}R^{4b}$, $NR^{4a}C(O)R^{3b}$, $S(O)_2R^{3a}$, $S(O)R^{3a}$, $NR^{4a}R^{4b}$, Ar2, Het2 or $(CH_2)_rA2$;

Het1 denotes a saturated, unsaturated or aromatic 5- or 6-membered heterocyclyl comprising 1, 2, 3 or 4 heteroatoms independently selected from the group consisting of N, O and S, where each heterocyclyl may be optionally and independently substituted by 1, 2, 3, 4 or 5 substituents selected from Hal, NO_2, CN, R^{3a}, OR^{4a}, $C(O)NR^{4a}R^{4b}$, $NR^{4a}C(O)R^{3b}$, $S(O)_2R^{3a}$, $S(O)R^{3a}$, $NR^{4a}R^{4b}$, Ar2, Het2 or $(CH_2)_rA2$;

Ar2 denotes phenyl, biphenyl or naphthyl, each optionally and independently substituted by 1, 2 or 3 substituents selected from Hal, CN, R^{3a}, OR^{4a}, $C(O)NR^{4a}R^{4b}$, $NR^{4a}C(O)R^{3b}$, $S(O)_2R^{3a}$, $S(O)R^{3a}$, $NR^{4a}R^{4b}$ or $(CH_2)_qA2$;

Het2 denotes a saturated, unsaturated or aromatic 5- or 6-membered heterocyclyl comprising 1, 2, 3 or 4 heteroatoms independently selected from the group consisting of N, O and S, optionally substituted by 1, 2 or 3 substituents selected from Hal, CN, R^{3a}, OR^{4a}, $C(O)NHR^{3a}$, $NR^{3a}C(O)R^{3b}$, $S(O)_2R^{3a}$, $S(O)R^{3a}$, $NR^{4a}R^{4b}$ or $(CH_2)_qA2$;

Cyc denotes a monocyclic or bicyclic, 4-, 5-, 6-, 7-, 8-, 9- or 10-membered carbocyclyl or heterocyclyl, each optionally and independently substituted by 1, 2, 3, 4 or 5 substituents selected from Hal, CN, R^{3a}, OR^{3a}, $C(O)NR^{4a}R^{4b}$, $NR^{3a}C(O)R^{3b}$, $S(O)_2R^{3a}$, $S(O)R^{3a}$, $NR^{4a}R^{4b}$, Ar2, Het2, $(CH_2)_rSR^{3a}$, $(CH_2)_rN(R^{4a})_2$ or $(CH_2)_rA2$, where the monocyclic carbocyclyl is aromatic and at least one ring of the bicyclic carbocyclyl or heterocyclyl is aromatic, and further where the heterocyclyl comprises 1, 2 or 3 heteroatoms independently selected from the group consisting of N, O and S;

n denotes 1, 2, 3, 4, 5 or 6;

p denotes 1, 2, 3, 4, 5 or 6;

q denotes 0, 1, 2, 3 or 4;

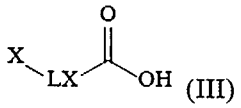
r denotes 0, 1, 2, 3 or 4; and

Hal denotes F, Cl, Br or I;

with the proviso that when LX denotes $-O(CH_2)_n-$, the oxygen atom of LX is bonded to X;

wherein the process comprises the following steps:

(i) reacting a compound of formula (IIII):



(III)

wherein:

LX denotes $-CH_2-$, $-O(CH_2)_n-$, $-S(CH_2)_p-$ or $-(CH_2)_pS-$, where 1, 2, 3, 4 or 5 H atoms may be independently replaced by Hal, N_3, R^{3a}, OR^{4a}, $(CH_2)_rA2$, $(CH_2)_rAr2$ or $(CH_2)_rHet2$;

X denotes an aromatic 6-membered carbocyclyl or aromatic 6-membered heterocyclyl, each optionally and independently substituted by 1, 2, 3, 4 or 5 substituents selected from Hal,

A1, N_3, CN, OH, $NR^{4a}R^{4b}$, Ar1, Het1, OA1, OAr1, OHet1, C(O)A1, C(O)Ar1, C(O)Het1, $C(O)NR^{4a}R^{4b}$, $NR^{4a}C(O)R^{3a}$, $NR^{4a}S(O)_2R^{3a}$, $S(O)_2R^{3a}$, $S(O)R^{3a}$, $NR^{4a}C(O)_2R^{3a}$, $OC(O)NR^{3a}R^{4a}$, $O(CH_2)_qA1$, $(CH_2)_rSR^{3a}$, $(CH_2)_rN(R^{4a})_2$ or $(CH_2)_rA2$;

R^{3a} and R^{3b} each independently denote linear or branched C1-C6 alkyl, where 1, 2, 3, 4 or 5 H atoms may be independently replaced by Hal, OH or OAlk;

R^{4a} and R^{4b} each independently denote H or R^{3a}; or

R^{4a} and R^{4b}, together with the nitrogen atom to which they are attached, form a nitrogen-containing heterocyclyl comprising 3, 4, 5 or 6 carbon atoms;

A2 denotes OR^{4a};

Alk denotes linear or branched C1-C6 alkyl;

Ar2 denotes phenyl, biphenyl or naphthyl, each optionally and independently substituted by 1, 2 or 3 substituents selected from Hal, CN, R^{3a}, OR^{4a}, $C(O)NR^{4a}R^{4b}$, $NR^{4a}C(O)R^{3b}$, $S(O)_2R^{3a}$, $S(O)R^{3a}$, $NR^{4a}R^{4b}$ or $(CH_2)_qA2$;

Het2 denotes a saturated, unsaturated or aromatic 5- or 6-membered heterocyclyl comprising 1, 2, 3 or 4 heteroatoms independently selected from the group consisting of N, O and S, optionally substituted by 1, 2 or 3 substituents selected from Hal, CN, R^{3a}, OR^{4a}, $C(O)NHR^{3a}$, $NR^{3a}C(O)R^{3b}$, $S(O)_2R^{3a}$, $S(O)R^{3a}$, $NR^{4a}R^{4b}$ or $(CH_2)_qA2$;

n denotes 1, 2, 3, 4, 5 or 6;

p denotes 1, 2, 3, 4, 5 or 6;

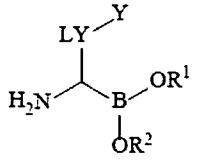
q denotes 0, 1, 2, 3 or 4;

r denotes 0, 1, 2, 3 or 4; and

Hal denotes F, Cl, Br or I;

with the proviso that when LX denotes $-O(CH_2)_n-$, the oxygen atom of LX is bonded to X;

with a compound of formula (IV):



(IV)

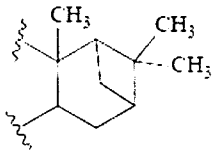
wherein:

LY denotes -CH_2-;

Y denotes Cyc;

R^1 and R^2 each independently denote C1-C6 alkyl; or

R^1 and R^2, together with the oxygen atoms to which they are attached, form a residue according to formula (CE):



(CE):

R^{3a} and R^{3b} each independently denote linear or branched C1-C6 alkyl, where 1, 2, 3, 4 or 5 H atoms may be independently replaced by Hal, OH or OAlk;

R^{4a} and R^{4b} each independently denote H or R^{3a}; or

R^{4a} and R^{4b}, together with the nitrogen atom to which they are attached, form a nitrogen-containing heterocyclyl comprising 3, 4, 5 or 6 carbon atoms;

A2 denotes OR^{4a};

Ar2 denotes phenyl, biphenyl or naphthyl, each optionally and independently substituted by 1, 2 or 3 substituents selected from Hal, CN, R^{3a}, OR^{4a}, $C(O)NR^{4a}R^{4b}$, $NR^{4a}C(O)R^{3b}$, $S(O)_2R^{3a}$, $S(O)R^{3a}$, $NR^{4a}R^{4b}$ or $(CH_2)_qA2$;

Het2 denotes a saturated, unsaturated or aromatic 5- or 6-membered heterocyclyl comprising 1, 2, 3 or 4 heteroatoms independently selected from the group consisting of N, O and S, optionally substituted by 1, 2 or 3 substituents selected from Hal, CN, R^{3a}, OR^{4a}, $C(O)NHR^{3a}$, $NR^{3a}C(O)R^{3b}$, $S(O)_2R^{3a}$, $S(O)R^{3a}$, $NR^{4a}R^{4b}$ or $(CH_2)_qA2$;

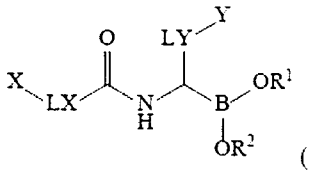
Cyc denotes a monocyclic or bicyclic, 4-, 5-, 6-, 7-, 8-, 9- or 10-membered carbocyclyl or heterocyclyl, each optionally and independently substituted by 1, 2, 3, 4 or 5 substituents selected from Hal, CN, R^{3a}, OR^{3a}, $C(O)NR^{4a}R^{4b}$, $NR^{3a}C(O)R^{3b}$, $S(O)_2R^{3a}$, $S(O)R^{3a}$, $NR^{4a}R^{4b}$, Ar2, Het2, $(CH_2)_rSR^{3a}$, $(CH_2)_rN(R^{4a})_2$ or $(CH_2)_rA2$, where the monocyclic carbocyclyl is aromatic and at least one ring of the bicyclic carbocyclyl or heterocyclyl is aromatic, and further where the heterocyclyl comprises 1, 2 or 3 heteroatoms independently selected from the group consisting of N, O and S;

q denotes 0, 1, 2, 3 or 4;

r denotes 0, 1, 2, 3 or 4; and

Hal denotes F, Cl, Br or I;

to provide a compound of formula (Ib):



(Ib)

wherein:

LX denotes $-CH_2-$, $-O(CH_2)_n-$, $-S(CH_2)_p-$ or $-(CH_2)_pS-$, where 1, 2, 3, 4 or 5 H atoms may be independently replaced by Hal, N_3, R^{3a}, OR^{4a}, $(CH_2)_rA2$, $(CH_2)_rAr2$ or $(CH_2)_rHet2$;

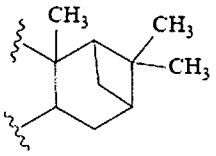
LY denotes $-CH_2-$;

X denotes an aromatic 6-membered carbocyclyl or aromatic 6-membered heterocyclyl, each optionally and independently substituted by 1, 2, 3, 4 or 5 substituents selected from Hal, A1, N_3, CN, OH, $NR^{4a}R^{4b}$, Ar1, Het1, OA1, OAr1, OHet1, C(O)A1, C(O)Ar1, C(O)Het1, $C(O)NR^{4a}R^{4b}$, $NR^{4a}C(O)R^{3a}$, $NR^{4a}S(O)_2R^{3a}$, $S(O)_2R^{3a}$, $S(O)R^{3a}$, $NR^{4a}C(O)_2R^{3a}$, $OC(O)NR^{3a}R^{4a}$, $O(CH_2)_qA1$, $(CH_2)_rSR^{3a}$, $(CH_2)_rN(R^{4a})_2$ or $(CH_2)_rA2$;

Y denotes Cyc;

R^1 and R^2 each independently denote C1-C6 alkyl; or

R^1 and R^2, together with the oxygen atoms to which they are attached, form a residue according to formula (CE):



(CE):

R^{3a} and R^{3b} each independently denote linear or branched C1-C6 alkyl, where 1, 2, 3, 4 or 5 H atoms may be independently replaced by Hal, OH or OAlk;

R^{4a} and R^{4b} each independently denote H or R^{3a}; or

R^{4a} and R^{4b}, together with the nitrogen atom to which they are attached, form a nitrogen-containing heterocyclyl comprising 3, 4, 5 or 6 carbon atoms;

A1 denotes linear or branched C1-C6 alkyl or C3-C6 cycloalkyl, each optionally and independently substituted by 1, 2, 3, 4 or 5 substituents selected from Hal, CN, R^{3a}, SR^{3a}, OR^{4a} or $(CH_2)_rA2$, where 1, 2 or 3 CH_2 groups of C3-C6 cycloalkyl may be independently replaced by O, C(O) or N;

A2 denotes OR^{4a};

Alk denotes linear or branched C1-C6 alkyl;

Ar1 denotes phenyl, optionally substituted by 1, 2 or 3 substituents selected from Hal, NO_2, CN, R^{3a}, OR^{4a}, $C(O)NR^{4a}R^{4b}$, $NR^{4a}C(O)R^{3b}$, $S(O)_2R^{3a}$, $S(O)R^{3a}$, $NR^{4a}R^{4b}$, Ar2, Het2 or $(CH_2)_rA2$;

Het1 denotes a saturated, unsaturated or aromatic 5- or 6-membered heterocyclyl comprising 1, 2, 3 or 4 heteroatoms independently selected from the group consisting of N, O and S, where each heterocyclyl may be optionally and independently substituted by 1, 2, 3, 4 or 5 substituents selected from Hal, NO_2, CN, R^{3a}, OR^{4a}, $C(O)NR^{4a}R^{4b}$, $NR^{4a}C(O)R^{3b}$, $S(O)_2R^{3a}$, $S(O)R^{3a}$, $NR^{4a}R^{4b}$, Ar2, Het2 or $(CH_2)_rA2$;

Ar2 denotes phenyl, biphenyl or naphthyl, each optionally and independently substituted by 1, 2 or 3 substituents selected from Hal, CN, R^{3a}, OR^{4a}, $C(O)NR^{4a}R^{4b}$, $NR^{4a}C(O)R^{3b}$, $S(O)_2R^{3a}$, $S(O)R^{3a}$, $NR^{4a}R^{4b}$ or $(CH_2)_qA2$;

Het2 denotes a saturated, unsaturated or aromatic 5- or 6-membered heterocyclyl comprising 1, 2, 3 or 4 heteroatoms independently selected from the group consisting of N, O and S, optionally substituted by 1, 2 or 3 substituents selected from Hal, CN, R^{3a}, OR^{4a}, $C(O)NHR^{3a}$, $NR^{3a}C(O)R^{3b}$, $S(O)_2R^{3a}$, $S(O)R^{3a}$, $NR^{4a}R^{4b}$ or $(CH_2)_qA2$;

Cyc denotes a monocyclic or bicyclic, 4-, 5-, 6-, 7-, 8-, 9- or 10-membered carbocyclyl or heterocyclyl, each optionally and independently substituted by 1, 2, 3, 4 or 5 substituents selected from Hal, CN, R^{3a}, OR^{3a}, $C(O)NR^{4a}R^{4b}$, $NR^{3a}C(O)R^{3b}$, $S(O)_2R^{3a}$, $S(O)R^{3a}$, $NR^{4a}R^{4b}$, Ar2, Het2, $(CH_2)_rSR^{3a}$, $(CH_2)_rN(R^{4a})_2$ or $(CH_2)_rA2$, where the monocyclic carbocyclyl is aromatic and at least one ring of the bicyclic carbocyclyl or heterocyclyl is aromatic, and further where the heterocyclyl comprises 1, 2 or 3 heteroatoms independently selected from the group consisting of N, O and S;

n denotes 1, 2, 3, 4, 5 or 6;

p denotes 1, 2, 3, 4, 5 or 6;

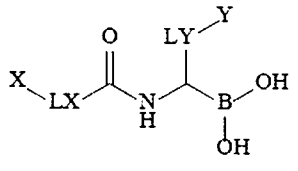
q denotes 0, 1, 2, 3 or 4;

r denotes 0, 1, 2, 3 or 4; and

Hal denotes F, Cl, Br or I;

with the proviso that when LX denotes -$O(CH_2)_n$-, the oxygen atom of LX is bonded to X; and

(ii) reacting the compound of formula (Ib) above with an acid selected from the group consisting of hydrochloric acid, hydrobromic acid, hydroiodic acid and trifluoroacetic acid, or a combination thereof, optionally in the presence of an excess of a small molecular weight boronic acid, to provide a compound of formula (Ia):



(Ia)

wherein:

LX denotes -CH_2-, -$O(CH_2)_n$-, -$S(CH_2)_p$- or -$(CH_2)_pS$-, where 1, 2, 3, 4 or 5 H atoms may be independently replaced by Hal, N_3, R^{3a}, OR^{4a}, $(CH_2)_rA2$, $(CH_2)_rAr2$ or $(CH_2)_rHet2$;

LY denotes –CH_2–;

X denotes an aromatic 6-membered carbocyclyl or aromatic 6-membered heterocyclyl, each optionally and independently substituted by 1, 2, 3, 4 or 5 substituents selected from Hal, A1, N_3, CN, OH, $NR^{4a}R^{4b}$, Ar1, Het1, OA1, OAr1, OHet1, C(O)A1, C(O)Ar1, C(O)Het1, $C(O)NR^{4a}R^{4b}$, $NR^{4a}C(O)R^{3a}$, $NR^{4a}S(O)_2R^{3a}$, $S(O)_2R^{3a}$, $S(O)R^{3a}$, $NR^{4a}C(O)_2R^{3a}$, $OC(O)NR^{3a}R^{4a}$, $O(CH_2)_qA1$, $(CH_2)_rSR^{3a}$, $(CH_2)_rN(R^{4a})_2$ or $(CH_2)_rA2$;

Y denotes Cyc;

R^{3a} and R^{3b} each independently denote linear or branched C1-C6 alkyl, where 1, 2, 3, 4 or 5 H atoms may be independently replaced by Hal, OH or OAlk;

R^{4a} and R^{4b} each independently denote H or R^{3a}; or

R^{4a} and R^{4b}, together with the nitrogen atom to which they are attached, form a nitrogen-containing heterocyclyl comprising 3, 4, 5 or 6 carbon atoms;

A1 denotes linear or branched C1-C6 alkyl or C3-C6 cycloalkyl, each optionally and independently substituted by 1, 2, 3, 4 or 5 substituents selected from Hal, CN, R^{3a}, SR^{3a}, OR^{4a}

or $(CH_2)_rA2$, where 1, 2 or 3 CH_2 groups of C3-C6 cycloalkyl may be independently replaced by O, C(O) or N;

A2 denotes OR^{4a};

Alk denotes linear or branched C1-C6 alkyl;

Ar1 denotes phenyl, optionally substituted by 1, 2 or 3 substituents selected from Hal, NO_2, CN, R^{3a}, OR^{4a}, $C(O)NR^{4a}R^{4b}$, $NR^{4a}C(O)R^{3b}$, $S(O)_2R^{3a}$, $S(O)R^{3a}$, $NR^{4a}R^{4b}$, Ar2, Het2 or $(CH_2)_rA2$;

Het1 denotes a saturated, unsaturated or aromatic 5- or 6-membered heterocyclyl comprising 1, 2, 3 or 4 heteroatoms independently selected from the group consisting of N, O and S, where each heterocyclyl may be optionally and independently substituted by 1, 2, 3, 4 or 5 substituents selected from Hal, NO_2, CN, R^{3a}, OR^{4a}, $C(O)NR^{4a}R^{4b}$, $NR^{4a}C(O)R^{3b}$, $S(O)_2R^{3a}$, $S(O)R^{3a}$, $NR^{4a}R^{4b}$, Ar2, Het2 or $(CH_2)_rA2$;

Ar2 denotes phenyl, biphenyl or naphthyl, each optionally and independently substituted by 1, 2 or 3 substituents selected from Hal, CN, R^{3a}, OR^{4a}, $C(O)NR^{4a}R^{4b}$, $NR^{4a}C(O)R^{3b}$, $S(O)_2R^{3a}$, $S(O)R^{3a}$, $NR^{4a}R^{4b}$ or $(CH_2)_qA2$;

Het2 denotes a saturated, unsaturated or aromatic 5- or 6-membered heterocyclyl comprising 1, 2, 3 or 4 heteroatoms independently selected from the group consisting of N, O and S, optionally substituted by 1, 2 or 3 substituents selected from Hal, CN, R^{3a}, OR^{4a}, $C(O)NHR^{3a}$, $NR^{3a}C(O)R^{3b}$, $S(O)_2R^{3a}$, $S(O)R^{3a}$, $NR^{4a}R^{4b}$ or $(CH_2)_qA2$;

Cyc denotes a monocyclic or bicyclic, 4-, 5-, 6-, 7-, 8-, 9- or 10-membered carbocyclyl or heterocyclyl, each optionally and independently substituted by 1, 2, 3, 4 or 5 substituents selected from Hal, CN, R^{3a}, OR^{3a}, $C(O)NR^{4a}R^{4b}$, $NR^{3a}C(O)R^{3b}$, $S(O)_2R^{3a}$, $S(O)R^{3a}$, $NR^{4a}R^{4b}$, Ar2, Het2, $(CH_2)_rSR^{3a}$, $(CH_2)_rN(R^{4a})_2$ or $(CH_2)_rA2$, where the monocyclic carbocyclyl is aromatic and at least one ring of the bicyclic carbocyclyl or heterocyclyl is aromatic, and further where the heterocyclyl comprises 1, 2 or 3 heteroatoms independently selected from the group consisting of N, O and S;

n denotes 1, 2, 3, 4, 5 or 6;

p denotes 1, 2, 3, 4, 5 or 6;

q denotes 0, 1, 2, 3 or 4;

r denotes 0, 1, 2, 3 or 4; and

Hal denotes F, Cl, Br or I;

with the proviso that when LX denotes -$O(CH_2)_n$-, the oxygen atom of LX is bonded to X.

15. A pharmaceutical composition comprising a pharmaceutically acceptable carrier and at least one compound according to claim 1, or a stereoisomer, tautomer or pharmaceutically acceptable salt thereof, as active ingredient.

16. The pharmaceutical composition according to claim 15, wherein the pharmaceutical composition further comprises a second active ingredient.

17. Use of a compound according to claim 1, or a stereoisomer, tautomer or pharmaceutically acceptable salt thereof, in the manufacture of a medicament for inhibiting large multifunctional protease 7 activity.

18. The use according to claim 17, wherein the subject has a medical condition that is affected by inhibiting large multifunctional protease 7 activity.

19. The use according to claim 18, wherein the medical condition that is affected by inhibiting large multifunctional protease 7 activity is selected from the group consisting of an immunoregulatory abnormality and a hematological malignancy.

20. A kit consisting of separate packs of an effective amount of at least one compound according to claim 1, or a stereoisomer, tautomer or pharmaceutically acceptable salt thereof,and an effective amount of a second active ingredient.

21. The use according to claim 19, wherein the immunoregulatory abnormality is an autoimmune disease or chronic inflammatory disease selected from the group consisting of systemic lupus erythematosis, rheumatoid arthritis, inflammatory bowel disease, multiple sclerosis, amyotrophic lateral sclerosis, atherosclerosis, scleroderma, autoimmune hepatitis, Sjögren's syndrome, lupus nephritis, glomerulonephritis, psoriasis, myasthenia gravis,

immunoglobulin A nephropathy, vasculitis, transplant rejection, myositis, Henoch-Schönlein purpura and asthma.

22. The use according to claim 19, wherein the hematological malignancy is a disease selected from the group consisting of multiple myeloma, chronic lymphoid leukemia, acute myeloid leukemia and mantle cell lymphoma.

23. A compound according to claim 1, or a stereoisomer, tautomer or pharmaceutically acceptable salt thereof, for use in inhibiting large multifunctional protease 7 activity.