OPIC
Office de la propriété intellectuelle du Canada

CIPO
Canadian Intellectual Property Office

(12) (19) (CA) **Demande-Application**

(21) (A1) **2,242,097**
(22) 1998/06/26
(43) 1999/12/26

(72) KUEFNER-MUEHL, Ulrike, DE
(72) KUMMER, Werner, DE
(72) POHL, Gerald, DE
(72) GAIDA, Wolfram, DE
(72) LEHR, Erich, DE
(72) MIERAU, Joachim, DE
(72) WEISER, Thomas, DE
(71) BOEHRINGER INGELHEIM INTERNATIONAL GMBH, DE

(51) Int.Cl.[6] C07D 487/14, A61K 31/54, A61K 31/535, A61K 31/53, A61K 31/505

(54) **IMIDAZOTRIAZOLOPYRIMIDINES, PROCEDES POUR LES PREPARER, ET LEUR UTILISATION EN TANT QUE COMPOSITIONS PHARMACEUTIQUES**

(54) **IMIDAZOTRIAZOLOPYRIMIDINES, PROCESSES FOR PREPARING THEM AND THEIR USE AS PHARMACEUTICAL COMPOSITIONS**

(57) The invention relates to new imidazotriazolopyrimidinone derivatives and fundamental imidazotriazolopyrimidinone substances, processes for preparing them and their use as pharmaceutical compositions.

Abstract

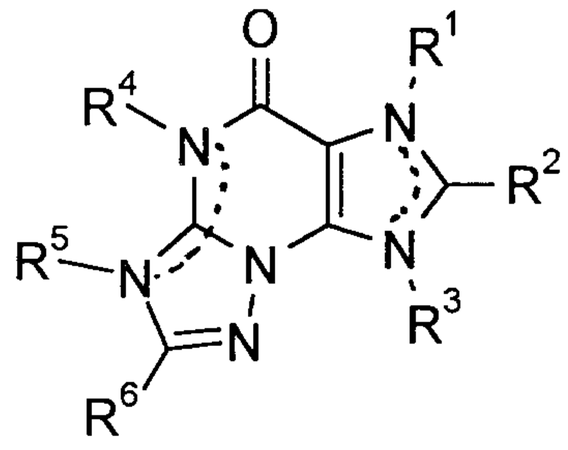
The invention relates to new imidazotriazolopyrimidinone derivatives and fundamental imidazotriazolopyrimidinone substances, processes for preparing them and their use as pharmaceutical compositions.

Case 1/1049-Dr. Wy

New imidazotriazolopyrimidines, processes for preparing them and their use as pharmaceutical compositions

The invention relates to new imidazotriazolopyrimidinone derivatives and fundamental imidazotriazolopyrimidinone substances, processes for preparing them and their use as pharmaceutical compositions.

The new imidazotriazolopyrimidinone derivatives have the structure of general formula (I):



(I)

wherein

R^1 or R^3 denotes hydrogen, an optionally substituted C_{1-10}-alkyl, C_{2-10}-alkenyl or C_{2-10}-alkynyl, an optionally substituted C_{3-8}-cycloalkyl, an optionally substituted C_{4-8}-cycloalkenyl or an optionally substituted C_{6-8}-cycloalkynyl;

R^1 or R^3 denotes an optionally substituted C_{6-10}-aryl-C_{1-6}alkyl, C_{6-10}-aryl-C_{2-6}-alkenyl, C_{6-10}-aryl-C_{2-6}-alkynyl, an optionally substituted C_{6-10}-aryl or an optionally substituted heteroaryl, an optionally substituted 5-, 6- or 7-membered heterocyclic group which contains as heteroatoms one or more atoms from the group comprising nitrogen, oxygen or sulphur, the heterocycle being linked via a carbon atom of the ring;

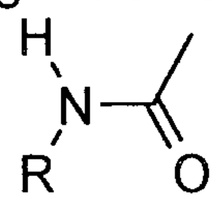
R^1 or R^3 denotes an optionally substituted C_{1-10}-alkyloxycarbonyl, an optionally substituted C_{2-10}-alkenyloxycarbonyl, an optionally substituted C_{2-10}-alkynyloxycarbonyl, an optionally substituted C_{3-8}-cycloalkyloxycarbonyl, an optionally substituted C_{6-10}-aryloxycarbonyl, an optionally substituted

C_{6-10}-aryl-C_{1-6}-alkyloxycarbonyl, A-O-CO- wherein A denotes an optionally substituted 5-, 6- or 7-membered heterocyclic group which contains as heteroatoms one or more atoms selected from the group comprising nitrogen, oxygen or sulphur, wherein A is linked via a carbon atom of the ring,

R^1 or R^3 is an optionally substituted C_{1-10}-alkylsulphonyl, C_{2-10}-alkenylsulphonyl, C_{2-10}-alkynylsulphonyl, an optionally substituted C_{3-8}-cycloalkylsulphonyl, an optionally substituted C_{6-10}-arylsulphonyl, an optionally substituted C_{6-10}-aryl-C_{1-6}-alkylsulphonyl, a group A-SO_2- wherein A is as hereinbefore defined and is linked via a carbon atom of the ring;

R^1 or R^3 denotes an optionally substituted C_{1-10}-alkylcarbonyl, an optionally substituted C_{2-10}-alkenylcarbonyl, an optionally substituted C_{2-10}-alkynylcarbonyl, an optionally substituted C_{3-8}-cycloalkylcarbonyl, an optionally substituted C_{6-10}-arylcarbonyl, an optionally substituted C_{6-10}-aryl-C_{1-6}-alkylcarbonyl, a group A-CO- wherein A is as hereinbefore defined;

R^1 or R^3 denotes a group of general formula



wherein

R denotes hydrogen, phenyl, substituted phenyl, an optionally substituted benzyl, an optionally substituted C_{3-6}-cycloalkyl group, a branched or unbranched C_{1-10}-alkyl, preferably C_{1-4}-alkyl, C_{2-10}-alkenyl or C_{2-10}-alkynyl group which may optionally be substituted by hydroxy, phenyl, substituted phenyl, amino or substituted amino;

R^2 denotes hydrogen, hydroxy, amino, halogen, nitro, CF_3, COOH, mercapto, C_{1-6}-alkylmercapto, an optionally substituted C_{1-10}-alkyl, an optionally substituted C_{2-10}-alkenyl, an optionally substituted C_{2-10}-alkynyl, an optionally substituted C_{3-8}-cycloalkyl, an optionally substituted C_{5-8}-cycloalkanone, an optionally substituted

C_{4-8}-cycloalkenyl, an optionally substituted C_{6-8}-cycloalkynyl, an optionally substituted C_{6-10}-aryl, an optionally substituted C_{6-10}-aryl-C_{1-6}-alkyl, C_{6-10}-aryl-C_{2-6}-alkenyl, C_{6-10}-aryl-C_{2-6}-alkynyl, C_{3-8}-cycloalkyl-C_{1-6}-alkyl, C_{3-8}-cycloalkyl-C_{2-6}-alkenyl, or C_{3-8}-cycloalkyl-C_{2-6}-alkynyl;

R^2 denotes an optionally substituted 5-, 6- or 7-membered heterocyclic group which contains as heteroatom one or more atoms selected from the group comprising nitrogen, oxygen or sulphur, wherein the nitrogen may optionally be substituted and the heterocyclic group may be linked via a carbon or nitrogen atom directly or via a C_{1-6}-alkyl, C_{2-6}-alkenyl or C_{2-6}-alkynyl bridge;

R^2 denotes an optionally substituted C_{1-10}-alkylsulphonyl, C_{2-10}-alkenylsulphonyl or C_{2-10}-alkynylsulphonyl, an optionally substituted C_{3-8}-cycloalkylsulphonyl, an optionally substituted C_{6-10}-arylsulphonyl, an optionally substituted heteroarylsulphonyl or an optionally substituted C_{6-10}-aryl-C_{1-6}-alkylsulphonyl;

R^2 denotes an optionally substituted C_{1-10}-alkylsulphonyloxy, C_{2-10}-alkenylsulphonyloxy or C_{2-10}-alkynylsulphonyloxy, an optionally substituted C_{3-8}-cycloalkylsulphonyloxy, an optionally substituted C_{6-10}-arylsulphonyloxy, an optionally substituted C_{6-10}-aryl-C_{1-6}-alkylsulphonyloxy or an optionally substituted heteroarylsulphonyloxy;

R^2 denotes an optionally substituted C_{1-10}-alkylsulphonylamino, C_{2-10}-alkenylsulphonylamino or C_{2-10}-alkynylsulphonylamino, an optionally substituted C_{3-8}-cycloalkylsulphonylamino, an optionally substituted C_{6-10}-arylsulphonylamino, an optionally substituted C_{6-10}-aryl-C_{1-6}-alkylsulphonylamino, or an optionally substituted heteroarylsulphonylamino;

R^2 denotes an optionally substituted C_{1-10}-alkylaminocarbonyl, C_{1-10}-dialkylaminocarbonyl, C_{1-10}-alkyl-C_{2-10}-alkenylaminocarbonyl, C_{2-10}-alkenylaminocarbonyl, C_{2-10}-dialkenylaminocarbonyl, C_{2-10}-alkynylaminocarbonyl or C_{1-10}-alkyl-C_{2-10}-alkynylaminocarbonyl, an optionally substituted C_{3-8}-cycloalkylaminocarbonyl, an optionally

substituted C_{6-10}-arylaminocarbonyl, an optionally substituted C_{6-10}-aryl-C_{1-6}-alkylaminocarbonyl, or an optionally substituted heteroarylaminocarbonyl;

R^2 denotes an optionally substituted C_{1-10}-alkyloxycarbonylamino, C_{2-10}-alkenyloxycarbonylamino or C_{2-10}-alkynyloxycarbonylamino, an optionally substituted C_{3-8}-cycloalkyloxycarbonylamino, an optionally substituted C_{6-10}-aryloxycarbonylamino, an optionally substituted C_{6-10}-aryl-C_{1-6}-alkyloxycarbonylamino or an optionally substituted heteroaryloxycarbonylamino;

R^2 denotes an optionally substituted C_{1-10}-alkylaminocarbonyloxy, C_{2-10}-alkenylaminocarbonyloxy or C_{2-10}-alkynylaminocarbonyloxy, an optionally substituted C_{3-8}-cycloalkylaminocarbonyloxy, an optionally substituted C_{6-10}-arylaminocarbonyloxy, an optionally substituted C_{6-10}-aryl-C_{1-6}-alkylaminocarbonyloxy or an optionally substituted heteroarylaminocarbonyloxy;

R^2 denotes an optionally substituted C_{1-10}-alkyl-N-amidino, C_{2-10}-alkenyl-N-amidino or C_{2-10}-alkynyl-N-amidino, an optionally substituted C_{3-8}-cycloalkyl-N-amidino, an optionally substituted C_{6-10}-aryl-N-amidino, an optionally substituted C_{6-10}-aryl-C_{1-6}-alkyl-N-amidino or an optionally substituted heteroaryl-N-amidino;

R^2 denotes an optionally substituted C_{1-10}-alkyloxy, C_{2-10}-alkenyloxy or C_{2-10}-alkynyloxy, an optionally substituted C_{3-8}-cycloalkyloxy, an optionally substituted C_{6-10}-aryloxy, an optionally substituted C_{6-10}-aryl-C_{1-6}-alkyloxy or an optionally substituted heteroaryloxy;

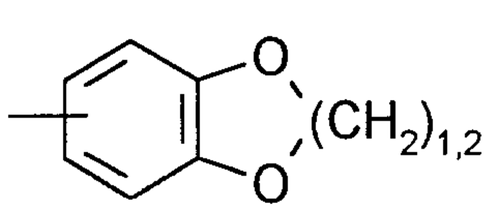
R^2 denotes an optionally substituted C_{1-10}-alkyloxycarbonyl, C_{2-10}-alkenyloxycarbonyl or C_{2-10}-alkynyloxycarbonyl, an optionally substituted C_{3-8}-cycloalkyloxycarbonyl, an optionally substituted C_{6-10}-aryloxycarbonyl, an optionally substituted C_{6-10}-aryl-C_{1-6}-alkyloxycarbonyl or an optionally substituted heteroaryloxycarbonyl;

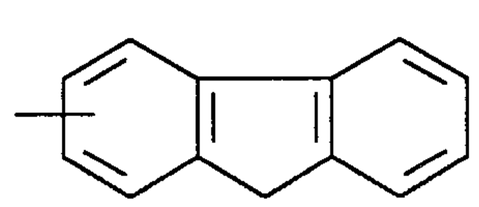
R^2 denotes an optionally substituted C_{1-10}-alkylcarbonyloxy, C_{2-10}-alkenylcarbonyloxy or C_{2-10}-alkynylcarbonyloxy, an optionally substituted C_{3-8}-cycloalkylcarbonyloxy, an optionally substituted C_{6-10}-arylcarbonyloxy, an optionally substituted C_{6-10}-aryl-C_{1-6}-alkylcarbonyloxy or an optionally substituted heteroarylcarbonyloxy;

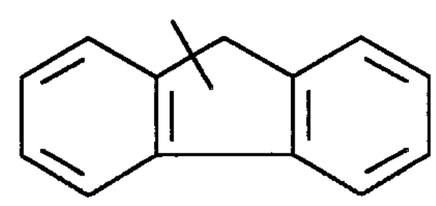
R^2 denotes an optionally substituted C_{1-10}-alkylthio, C_{2-10}-alkenylthio or C_{2-10}-alkynylthio, an optionally substituted C_{3-8}-cycloalkylthio, an optionally substituted C_{6-10}-arylthio, an optionally substituted C_{6-10}-aryl-C_{1-6}-alkylthio or an optionally substituted heteroarylthio;

R^2 denotes an optionally substituted amine, preferably NR^8R^9;

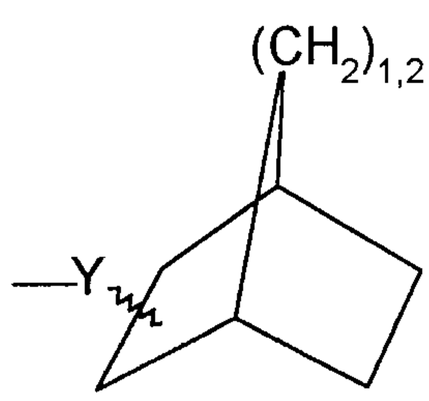
R^2 denotes an optionally substituted group of the formula

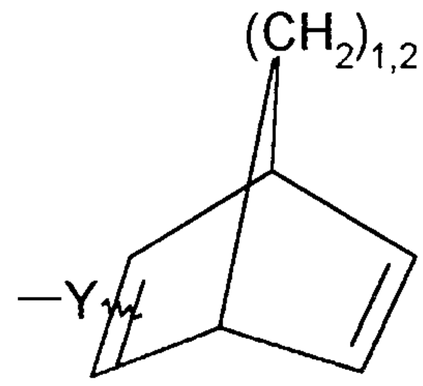


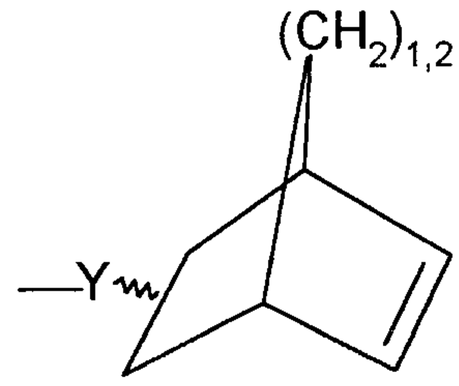




R^2 denotes an optionally substituted group of the formula

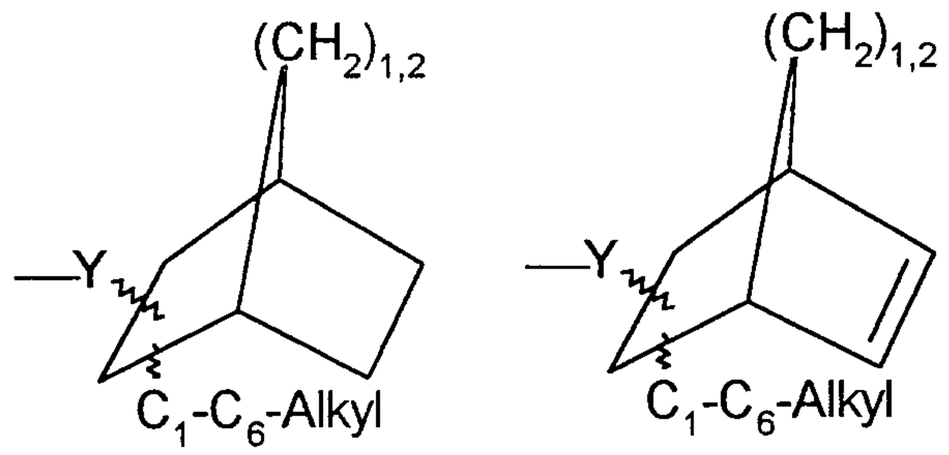






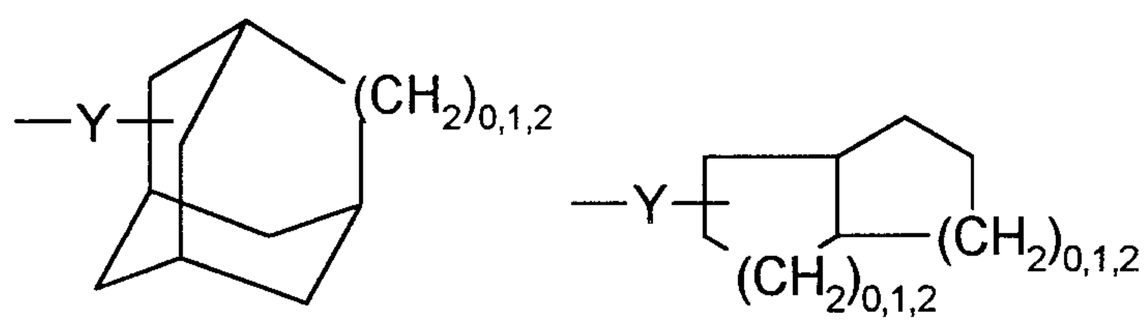
wherein Y is a single bond or an alkylene, an alkenylene or an alkynylene having up to 6, preferably up to 4 carbon atoms in the chain;

R^2 denotes an optionally substituted group of the formula



wherein Y is a single bond or an alkylene, an alkenylene or an alkynylene having up to 6, preferably up to 4 carbon atoms in the chain;

R^2 denotes an optionally substituted group of the formula





wherein Y is a single bond or an alkylene, an alkenylene or an alkynylene having up to 6, preferably up to 4 carbon atoms in the chain;

R^4 or R^5 denotes a hydrogen atom, an optionally substituted C_{1-10}-alkyl, C_{2-10}-alkenyl or C_{2-10}-alkynyl, an optionally substituted C_{3-8}-cycloalkyl, an optionally substituted C_{4-8}-cycloalkenyl or an optionally substituted C_{6-8}-cycloalkynyl, an optionally substituted C_{6-10}-aryl-C_{1-6}-alkyl, C_{6-10}-aryl-C_{2-6}-alkenyl, C_{6-10}-aryl-C_{2-6}-alkynyl, an optionally substituted C_{6-10}-aryl or an optionally substituted heteroaryl;

R^4 or R^5 denotes an optionally substituted 5-, 6- or 7-membered heterocyclic group which contains as heteroatoms one of more atoms selected from the group comprising nitrogen, oxygen or sulphur, the heterocyclic group being bound via a carbon atom of the ring;

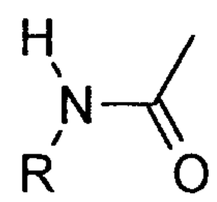
R^4 or R^5 denotes an optionally substituted C_{1-10}-alkyloxycarbonyl, an optionally substituted C_{2-10}-alkenyloxycarbonyl, an optionally substituted C_{2-10}-alkynyloxycarbonyl, an optionally substituted C_{3-8}-cycloalkyloxycarbonyl, an optionally substituted C_{6-10}-aryl-C_{1-6}-alkyloxycarbonyl, an optionally substituted C_{6-10}-aryloxycarbonyl;

R^4 or R^5 denotes A-O-CO- wherein A denotes an optionally substituted 5-, 6- or 7-membered heterocyclic group which contains as heteroatoms one or more atoms selected from the group comprising nitrogen, oxygen or sulphur;

R^4 or R^5 denotes an optionally substituted C_{1-10}-alkylsulphonyl, C_{2-10}-alkenylsulphonyl, C_{2-10}-alkynylsulphonyl, an optionally substituted C_{3-8}-cycloalkylsulphonyl, an optionally substituted C_{6-10}-arylsulphonyl, a group A-SO_2- wherein A is as hereinbefore defined and is linked via a carbon atom of the ring;

R^4 or R^5 denotes an optionally substituted C_{1-10}-alkylcarbonyl, an optionally substituted C_{2-10}-alkenylcarbonyl, an optionally substituted C_{2-10}-alkynylcarbonyl, an optionally substituted C_{3-8}-cycloalkylcarbonyl, an optionally substituted C_{6-10}-arylcarbonyl, an optionally substituted C_{6-10}-aryl-C_{1-6}-alkylcarbonyl, a group A-CO- wherein A is as hereinbefore defined;

R^4 or R^5 denotes a group of general formula



wherein

R denotes hydrogen, phenyl, substituted phenyl, an optionally substituted benzyl, an optionally substituted C_{3-6}-cycloalkyl group, a branched or unbranched C_{1-10}-alkyl, preferably C_{1-4}-alkyl, C_{2-10}-alkenyl or C_{2-10}-alkynyl group, which may optionally be substituted by hydroxy, phenyl, substituted phenyl, amino or substituted amino;

R^6 denotes hydrogen, hydroxy, -CHO, amino, halogen, nitro, CF_3, COOH, mercapto, C_{1-6}-alkylmercapto, an optionally substituted C_{1-10}-alkyl, an optionally substituted C_{2-10}-alkenyl, an optionally substituted C_{2-10}-alkynyl, an optionally substituted C_{3-8}-cycloalkyl, an optionally substituted C_{5-8}-cycloalkanone, an optionally substituted C_{4-8}-cycloalkenyl, an optionally substituted C_{6-8}-cycloalkynyl, an optionally substituted C_{6-10}-aryl, an optionally substituted C_{6-10}-aryl-C_{1-6}-alkyl, C_{6-10}-aryl-C_{2-6}-alkenyl, C_{6-10}-aryl-C_{2-6}-alkynyl, an optionally substituted C_{3-8}-cycloalkyl-C_{1-6}-alkyl, C_{3-8}-cycloalkyl-C_{2-6}-alkenyl or C_{3-8}-cycloalkyl-C_{2-6}-alkynyl;

R^6 denotes an optionally substituted 5-, 6- or 7-membered heterocyclic group which contains as heteroatom one or more atoms selected from the group comprising nitrogen, oxygen or sulphur, wherein the nitrogen may optionally be substituted and the heterocyclic group may be linked via a carbon atom or N-atom directly or via a C_{1-6}-alkyl, C_{2-6}-alkenyl or C_{2-6}-alkynyl bridge;

R^6 denotes an optionally substituted C_{1-10}-alkylsulphonyl, C_{2-10}-alkenylsulphonyl or C_{2-10}-alkynylsulphonyl, an optionally substituted C_{3-8}-cycloalkylsulphonyl, an optionally substituted C_{6-10}-arylsulphonyl, an optionally substituted C_{6-10}-aryl-C_{1-6}-alkylsulphonyl or an optionally substituted heteroarylsulphonyl;

R^6 denotes an optionally substituted C_{1-10}-alkylsulphonyloxy, C_{2-10}-alkenylsulphonyloxy or C_{2-10}-alkynylsulphonyloxy, an optionally substituted C_{3-8}-cycloalkylsulphonyloxy, an optionally substituted C_{6-10}-arylsulphonyloxy, an optionally substituted C_{6-10}-aryl-C_{1-6}-alkylsulphonyloxy or an optionally substituted heteroarylsulphonyloxy;

R^6 denotes an optionally substituted C_{1-10}-alkylsulphonylamino, C_{2-10}-alkenylsulphonylamino or C_{2-10}-alkynylsulphonylamino, an optionally substituted C_{3-8}-cycloalkylsulphonylamino, an optionally substituted C_{6-10}-arylsulphonylamino, an optionally substituted C_{6-10}-aryl-C_{1-6}-alkylsulphonylamino or an optionally substituted heteroarylsulphonylamino;

R^6 denotes an optionally substituted C_{1-10}-alkylaminocarbonyl, C_{1-10}-dialkylaminocarbonyl, C_{1-10}-alkyl-C_{2-10}-alkenylaminocarbonyl, C_{2-10}-alkenylaminocarbonyl, C_{2-10}-dialkenylaminocarbonyl, C_{2-10}-alkynylaminocarbonyl or C_{1-10}-alkyl-C_{2-10}-alkynylaminocarbonyl, an optionally substituted C_{3-8}-cycloalkylaminocarbonyl, an optionally substituted C_{6-10}-arylaminocarbonyl, an optionally substituted C_{6-10}-aryl-C_{1-6}-alkylaminocarbonyl or an optionally substituted heteroarylaminocarbonyl;

R^6 denotes an optionally substituted C_{1-10}-alkylaminocarbonylamino, C_{2-10}-alkenylaminocarbonylamino or C_{2-10}-alkynylaminocarbonylamino, an optionally substituted C_{3-8}-cycloalkylaminocarbonylamino, an optionally substituted C_{6-10}-arylaminocarbonylamino, an optionally substituted C_{6-10}-aryl-C_{1-6}-alkylaminocarbonylamino or an optionally substituted heteroarylaminocarbonylamino;

R^6 denotes an optionally substituted C_{1-10}-alkyloxycarbonylamino, C_{2-10}-alkenyloxycarbonylamino or C_{2-10}-alkynyloxycarbonylamino, an optionally substituted C_{3-8}-cycloalkylcarbonylamino, an optionally substituted C_{6-10}-aryloxycarbonylamino, an optionally substituted C_{6-10}-aryl-C_{1-6}-alkyloxycarbonylamino or an optionally substituted heteroaryloxycarbonylamino;

R^6 denotes an optionally substituted C_{1-10}-alkylaminocarbonyloxy, C_{2-10}-alkenylaminocarbonyloxy or C_{2-10}-alkynylaminocarbonyloxy, an optionally substituted C_{3-8}-cycloalkylaminocarbonyloxy, an optionally substituted C_{6-10}-arylaminocarbonyloxy, an optionally substituted C_{6-10}-aryl-C_{1-6}-alkylaminocarbonyloxy or an optionally substituted heteroarylaminocarbonyloxy;

R^6 denotes an optionally substituted C_{1-10}-alkyl-N-amidino, C_{2-10}-alkenyl-N-amidino or C_{2-10}-alkynyl-N-amidino, an optionally substituted C_{3-8}-cycloalkyl-N-amidino, an optionally substituted C_{6-10}-aryl-N-amidino, an optionally substituted C_{6-10}-aryl-C_{1-6}-alkyl-N-amidino or an optionally substituted heteroaryl-N-amidino;

R^6 denotes an optionally substituted C_{1-10}-alkyloxy, C_{2-10}-alkenyloxy or C_{2-10}-alkynyloxy, an optionally substituted C_{3-8}-cycloalkyloxy, an optionally substituted C_{6-10}-aryloxy, an optionally substituted C_{6-10}-aryl-C_{1-6}-alkyloxy or an optionally substituted heteroaryloxy;

R^6 denotes an optionally substituted C_{1-10}-alkylcarbonyl, an optionally substituted C_{2-10}-alkenylcarbonyl, an optionally substituted C_{2-10}-alkynylcarbonyl, an optionally substituted C_{3-8}-cycloalkylcarbonyl, an optionally substituted C_{6-10}-arylcarbonyl, an optionally substituted C_{6-10}-aryl-C_{1-6}-alkylcarbonyl;

R^6 denotes a group A-CO- wherein A is as hereinbefore defined;

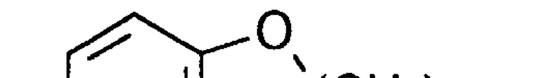
R^6 denotes an optionally substituted C_{1-10}-alkyloxycarbonyl, C_{2-10}-alkenyloxycarbonyl or C_{2-10}-alkynyloxycarbonyl, an optionally substituted C_{3-8}-cycloalkyloxycarbonyl, an optionally substituted C_{6-10}-aryloxycarbonyl, an optionally substituted C_{6-10}-aryl-C_{1-6}alkyloxycarbonyl or an optionally substituted heteroaryloxycarbonyl;

R^6 denotes an optionally substituted C_{1-10}-alkylcarbonyloxy, C_{2-10}-alkenylcarbonyloxy or C_{2-10}-alkynylcarbonyloxy, an optionally substituted C_{3-8}-cycloalkylcarbonyloxy, an optionally substituted C_{6-10}-arylcarbonyloxy, an optionally substituted C_{6-10}-aryl-C_{1-6}alkylcarbonyloxy or an optionally substituted heteroarylcarbonyloxy;

R^6 denotes an optionally substituted C_{1-10}-alkylthio, C_{2-10}-alkenylthio or C_{2-10}-alkynylthio, an optionally substituted C_{3-8}-cycloalkylthio, an optionally substituted C_{6-10}-arylthio, an optionally substituted C_{6-10}-aryl-C_{1-6}-alkylthio or an optionally substituted heteroarylthio;

R^6 denotes an optionally substituted C_{1-10}-alkylcarbonyloxy-C_{1-6}-alkyl, C_{2-10}-alkenylcarbonyloxy-C_{1-6}-alkyl or C_{2-10}-alkynylcarbonyloxy-C_{1-6}-alkyl, an optionally substituted C_{3-8}-cycloalkylcarbonyloxy-C_{1-6}-alkyl, an optionally substituted C_{6-10}-arylcarbonyloxy-C_{1-6}-alkyl, C_{6-10}-aryl-C_{1-6}-alkylcarbonyloxy-C_{1-6}-alkyl or heteroarylcarbonyloxy-C_{1-6}-alkyl;

R^6 denotes an optionally substituted group of the formula

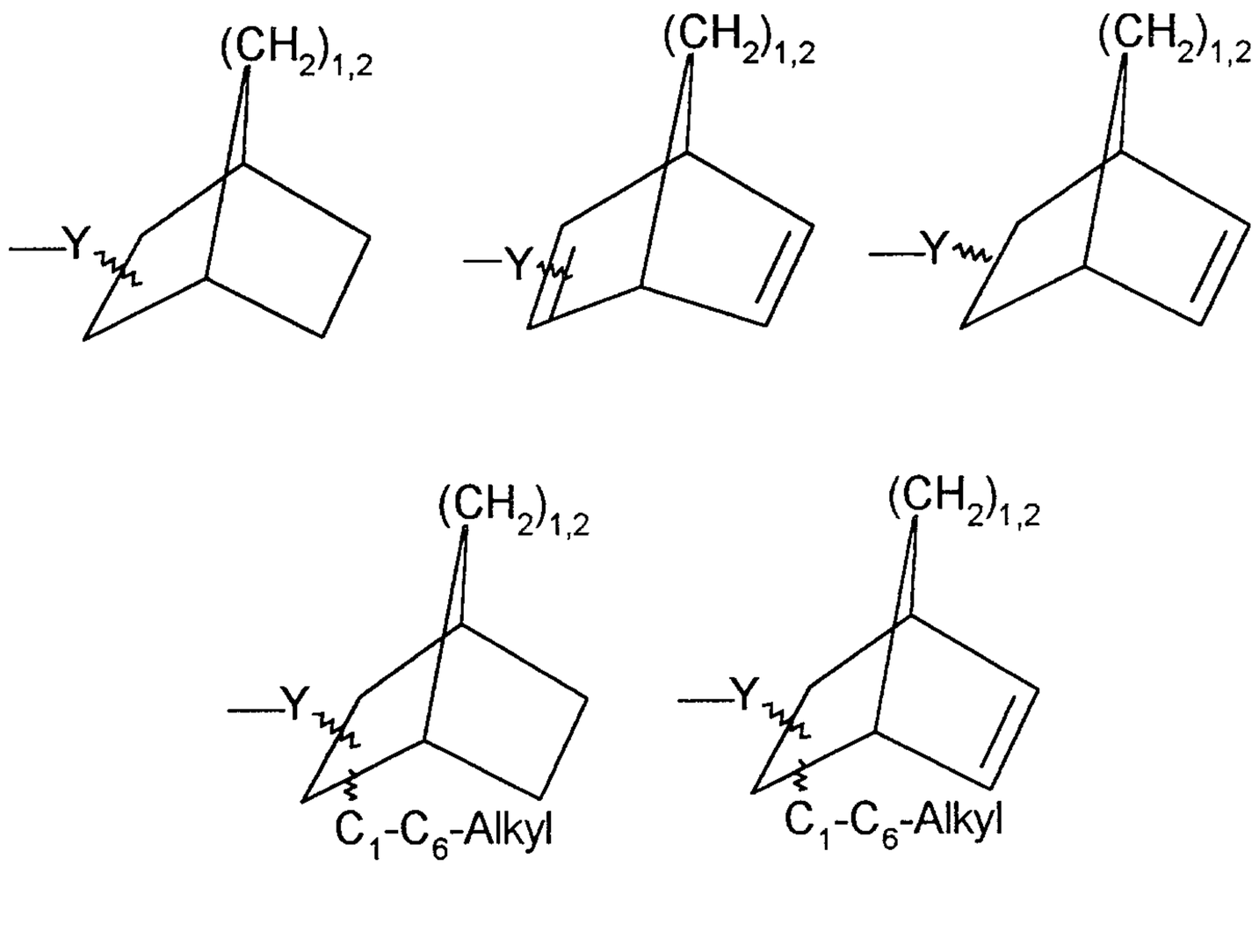


wherein n=1, 2, 3 or 4, where B denotes a C_{6-10}-aryl or a single bond;

R^6 denotes an optionally substituted amine, preferably NR^8R^9;

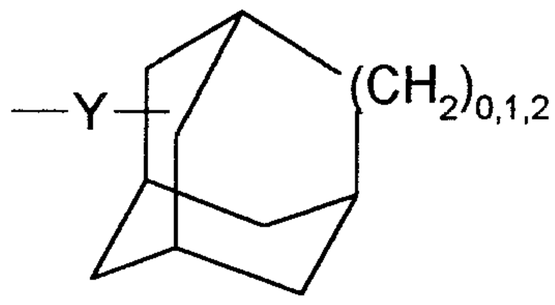
R^6 denotes an optionally substituted group of the formula

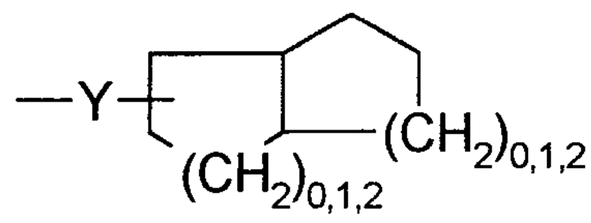
R^6 denotes an optionally substituted group of the formula

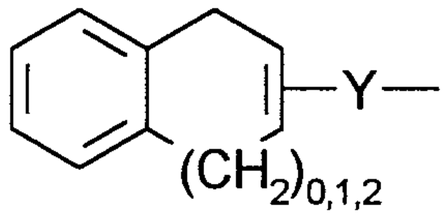


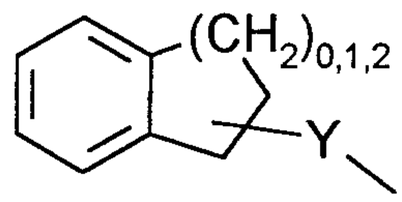
wherein Y is a single bond or an alkylene, an alkenylene or an alkynylene having up to 6, preferably 4 carbon atoms in the chain;

R^6 is an optionally substituted group of the formula









wherein Y is a single bond or an alkylene, an alkenylene or an alkynylene having up to 6, preferably 4 carbon atoms in the chain;

R^8 denotes hydrogen, an optionally substituted C_{3-6}-cycloalkyl group, a branched or unbranched alkyl, alkenyl or alkynyl group having up to 10 carbon atoms, preferably an alkyl group having 1 to 4 carbon atoms which may optionally be substituted by hydroxy, phenyl, substituted phenyl, benzyl, substituted benzyl, amino, substituted amino, C_{1-8}-, preferably C_{1-4}-alkyloxy, or $-(CH_2)_m-NHCOOR^{10}$ wherein m = 1, 2, 3 or 4;

R^8 denotes a 5-, 6- or 7-membered heterocyclic group which is carbon linked directly or via a C_{1-4}-alkyl chain and which contains one or more heteroatoms selected from the group comprising nitrogen, oxygen or sulphur and may optionally be mono- or polysubstituted, preferably monosubstituted, by benzyl, optionally substituted benzyl, C_{1-4}-alkyl, halogen, $-OR^{10}$, -CN, $-NO_2$, NH_2, -OH, =O, -COOH, $-SO_3H$ or $-COOR^{10}$;

R^8 denotes a bicyclic heterocyclic group which is C-linked directly or via a C_{1-4}-alkyl chain and which contains one or more heteroatoms selected from the group comprising nitrogen, oxygen or sulphur and is optionally mono- or polysubstituted, preferably monosubstituted, by benzyl, optionally substituted benzyl, C_{1-4}-alkyl, halogen, $-OR^{10}$, -CN, $-NO_2$, $-NH_2$, -OH, =O, -COOH, $-SO_3H$, $-COOR^{10}$;

R^9 denotes hydrogen, an optionally substituted C_{3-6}-cycloalkyl group, a branched or unbranched alkyl, alkenyl or alkynyl group having up to 10, preferably 1 to 4 carbon atoms, which may optionally be substituted by

hydroxy, phenyl, substituted phenyl, benzyl, substituted benzyl, amino, substituted amino, C_{1-8}-, preferably C_{1-4}-alkoxy, or $-(CH_2)_m-NHCOOR^{10}$ wherein m equals 1, 2, 3 or 4;

R^9 denotes a 5-, 6- or 7-membered heterocyclic group which is carbon linked directly or via a C_{1-4}-alkyl chain and which contains one or more heteroatoms selected from the group comprising nitrogen, oxygen or sulphur and may optionally be mono- or polysubstituted, preferably monosubstituted, by benzyl, optionally substituted benzyl, C_{1-4}-alkyl, halogen, $-OR^{10}$, -CN, $-NO_2$, NH_2, -OH, =O, -COOH, $-SO_3H$ or $-COOR^{10}$;

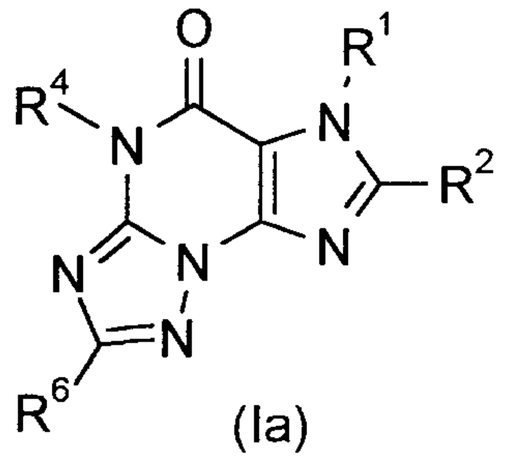
R^9 denotes a bicyclic heterocyclic group which is carbon linked directly or via a C_{1-4}-alkyl chain and which contains one or more heteroatoms selected from the group comprising nitrogen, oxygen or sulphur and may optionally be mono- or polysubstituted, preferably monosubstituted, by benzyl, optionally substituted benzyl, C_{1-4}-alkyl, halogen, $-OR^{10}$, -CN, $-NO_2$, $-NH_2$, -OH, =O, -COOH, $-SO_3H$, $-COOR^{10}$;
or
R^8 and R^9 together with the nitrogen atom form a saturated or unsaturated 5- or 6-membered ring which may contain as further heteroatoms nitrogen, oxygen or sulphur, whilst the heterocyclic group may be substituted by a branched or unbranched alkyl group having 1 to 4 carbon atoms, preferably methyl, or may carry one of the following groups

$-(CH_2)_n$-phenyl,
$-(CH_2)_n-NH_2$, =O, a ketal - preferably $-O-CH_2-CH_2-O-$,
$-(CH_2)_nNH-C_{1-4}$-alkyl,
$-(CH_2)_n-N(C_{1-8}\text{-alkyl})_2$,
$-(CH_2)_n-NHCOOR^{10}$, (n = 2, 3, 4), halogen,
$-OR^{10}$, -CN, $-NO_2$, $-NH_2$, $-CH_2NR^8R^9$,
-OH, -COOH, $-SO_3H$, $-COOR^{10}$, $-CONR^8R^9$, $-SO_2-R^{10}$;

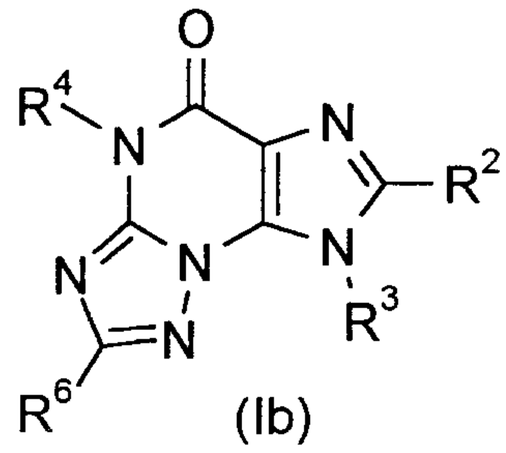
R^{10} denotes hydrogen C_{1-4}-alkyl, C_{2-4}-alkenyl, C_{2-4}-alkynyl, a benzyl or phenyl group which is optionally mono- or polysubstituted by OCH_3, optionally in the form of the racemates, the enantiomers and diastereomers thereof and their mixtures, as well as optionally the pharmacologically acceptable acid addition salts thereof.

It will be appreciated that the dotted lines linking pairs of nitrogen atoms in formula (I) indicate the presence of a double bond in one of two alternative positions, such that both of R^1 and R^3, or of R^4 and R^5 are not simultaneously present.

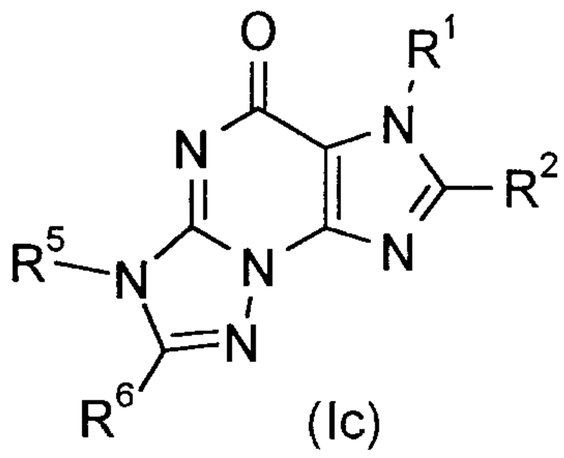
The compounds of general formula (I) form the following isomers:



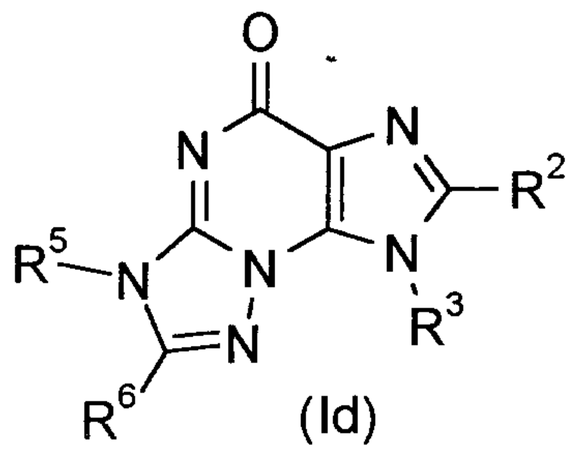
(Ia)



(Ib)



(Ic)



(Id)

the isomers of general formulae (Ia) and (Ib) being preferred, particularly those wherein R^1 or R^3 denotes hydrogen or C_{1-4}-alkyl. Compounds of general formula (Ia) and (Ib) wherein R^1 and R^3 denote hydrogen are particularly preferred; in this case isomers (Ia) and (Ib) are tautomers.

Preferred compounds are the compounds of general formulae (Ia) to (Id) wherein

R^1 or R^3 denotes hydrogen, C_{1-4}-alkyl, benzyl, preferably hydrogen;

R^2 denotes hydrogen, a C_{1-8}-alkyl, C_{2-8}-alkenyl or C_{2-8}-alkynyl group which may optionally be substituted, by -CN, $-CH_2NR^8R^9$, -OH (polysubstitution also possible), $-OR^{10}$, $-NR^8R^9$, $-NHCOR^{10}$, $-NHCONR^8R^9$, $-NHCOOR^{10}$, halogen, $-OCOR^{10}$, -OCO-pyridyl, $-OCH_2COOH$, $-OCH_2COOR^{10}$, $-SO_2R^7$, $-S-R^7$, -NHCONH-phenyl, $-OCH_2-CONR^8R^9$, $-OCH_2CH_2OH$, $-SO_2-CH_2-CH_2-O-COR^{10}$, $-OCH_2-CH_2-NR^8R^9$, $-SO_2-CH_2-CH_2-OH$, $-CONHSO_2R^{10}$, $-CH_2CONHSO_2R^{10}$, $-OCH_2CH_2OR^{10}$, -COOH, $-COOR^{10}$, $-CONR^8R^9$, -CHO, $-SR^{10}$, $-SOR^{10}$, $-SO_2R^{10}$, $-SO_3H$, $-SO_2NR^8R^9$,

-OCH_2-CH_2OCOR^{10}, -CH=NOH, -CH=NOR^{10}, =O, -COR^{11}, -CH(OH)R^{11}, -$CH(OR^{10})_2$, -CH=CH-R^{12}, $OCONR^8R^9$, optionally mono- or poly-substituted, preferably mono-methyl-substituted, 1,3-dioxolane or 1,3-dioxane;

R^2 denotes phenyl-C_{1-6}-alkyl, preferably phenyl-C_{1-4}-alkyl, phenyl-C_{2-6}-alkenyl or phenyl-C_{2-6}-alkynyl, wherein the phenyl ring is optionally substituted either directly or via a C_{1-4}-alkylene bridge by one or more, preferably one, of the groups -C_{1-3}-alkyl, -CN, -NR^8R^9, -NO_2, -OH, -OR^{10}, -CH_2-NH-SO_2-R^{10}, -$NHCOR^{10}$, -$NHCONR^8R^9$, halogen, -$OCOR^{10}$, -OCO-pyridyl, -OCH_2COOH, -OCH_2COOR^{10}, -CH_2OCOR^{10}, -SO_2R^7, -OCH_2-$CONR^8R^9$, -OCH_2CH_2OH, -OCH_2-CH_2-NR^8R^9, -$CONHSO_2R^{10}$, -$OCH_2CH_2OR^{10}$, -COOH, -$COOR^{10}$, -CF_3, cyclopropyl, -$CONR^8R^9$, -CH_2OH, -CH_2OR^{10}, -CHO, -SR^{10}, -SOR^{10}, -SO_2R^{10}, -SO_3H, -$SO_2NR^8R^9$, -OCH_2-CH_2OCOR^{10}, -CH=NOH, -CH=NOR^{10}, -COR^{11}, -CH(OH)R^{11}, -$CH(OR^{10})_2$, -$NHCOOR^{10}$, -$CH_2CONHSO_2R^{10}$, -CH=CH-R^{12}, $OCONR^8R^9$, -CH_2-O-$CONR^8R^9$, -CH_2-CH_2-O-$CONR^8R^9$, optionally mono- or poly- preferably mono-methyl-substituted 1,3-dioxolane or 1,3-dioxane;

R^2 denotes C_{3-7}-cycloalkyl-C_{1-6}-alkyl, C_{3-7}-cycloalkyl-C_{2-6}-alkenyl, C_{3-7}-cycloalkyl-C_{2-6}-alkynyl, wherein the cycloalkyl group is optionally substituted either directly or via a C_{1-4}-alkylene bridge by one or more, preferably one, of the groups -CN, NR^8R^9, =O, -OH, -OR^{10}, -NR^8R^9, -$NHCOR^{10}$, -$NHCONR^8R^9$, halogen, -$OCOR^{10}$, -OCO-pyridyl, -OCH_2COOH, -OCH_2COOR^{10}, -CH_2OCOR^{10}, -SO_2R^7, -OCH_2-$CONR^8R^9$, -OCH_2CH_2OH, -OCH_2-CH_2-NR^8R^9, -$OCH_2CH_2OR^{10}$, -COOH, -$COOR^{10}$, -$CONR^8R^9$, -CH_2OH, -CH_2OR^{10}, -CHO, -SR^{10}, -SOR^{10}, -SO_2R^{10}, -SO_3H, -$SO_2NR^8R^9$, -OCH_2-CH_2OCOR^{10}, -CH=NOH, -CH=NOR^{10}, -COR^{11}, -CH(OH)R^{11}, -$CONHSO_2R^{10}$, -$CH(OR^{10})_2$, -$NHCOOR^{10}$, -CH=CH-R^{12}, -$OCONR^8R^9$, -CH_2-O-$CONR^8R^9$, -CH_2-CH_2-O-$CONR^8R^9$, methyl-substituted 1,3-dioxolane or 1,3-dioxane;

R^2 denotes a group of the formula

A-C_{1-6}-alkyl, A-CONH-C_{1-6}-alkyl, A-CONH-C_{2-6}-alkenyl, A-CONH-C_{2-6}-alkynyl, A-NH-CO-C_{1-6}-alkyl, A-NH-CO-C_{2-6}-alkenyl, A-NH-CO-C_{2-6}-alkynyl, A-C_{2-6}-alkenylene, A-C_{2-6}-alkynylene or A-, wherein A is a C- or N-linked 5-, 6- or 7-membered heterocyclic group which contains one or more heteroatoms from the group comprising nitrogen, oxygen or sulphur and may optionally be mono- or poly-, preferably monosubstituted by benzyl, optionally substituted benzyl, C_{1-4}-alkyl, halogen, -OR^{10}, -CN, -NO_2, -NH_2, -$CH_2NR^8R^9$, -OH, =O, a ketal, ethyleneketal, -COOH, -SO_3H, -$COOR^{10}$, -CON^8R^9, -COR^{11}, -SO_2-R^{10} or -$CONR^8R^9$;

R^2 denotes C_{3-7}-cycloalkyl, preferably cyclopentyl or cyclohexyl, which may optionally be substituted by =O, -OH, -OR^{10}, $OCOR^{10}$ or -OCO-pyridyl;

R^2 denotes phenyl which is optionally substituted by -OH, halogen, -OR^{10}, C_{1-4}-alkyl, preferably -CH_3, -NH_2, -COOH, -SO_3H, -$COOR^{10}$, -OCH_2COOR^{10}, -CN or -$OCH_2CONR^8R^9$;

R^2 denotes a norbornane, norbornene, C_{3-6}-dicycloalkylmethyl, preferably dicyclopropylmethyl, adamantane or noradamatane group optionally substituted by C_{1-4}-alkyl, preferably methyl;

R^2 denotes -CH=CH-phenyl in which the phenyl ring is mono- or polysubstituted by methoxy, hydroxy or halogen;

R^2 is a [3.3.0]-bicyclooctane, preferably a [3.3.0]-bicyclooctan-2-yl;

R^2 is a C-linked piperidine or furan;

R^2 is an amine of general formula NR^8R^9;

R^4 or R^5 denotes hydrogen, an optionally branched C_{1-8}-alkyl, C_{2-8}-alkenyl or C_{2-8}-alkynyl group which may optionally be substituted by -CN, -$CH_2NR^8R^9$, -OH (polysubstitution also possible), -OR^{10}, -NR^8R^9, -$NHCOR^{10}$, -$NHCONR^8R^9$, halogen, -$OCOR^{10}$, -OCO-pyridyl,

-OCH_2COOH, -OCH_2COOR^{10}, -SO_2R^7, -S-R^7, -NHCONH-phenyl, -OCH_2-$CONR^8R^9$, -OCH_2CH_2OH, -SO_2-CH_2-CH_2-O-COR^{10}, -OCH_2-CH_2-NR^8R^9, -SO_2-CH_2-CH_2-OH, -$CONHSO_2R^{10}$, -$CH_2CONHSO_2R^{10}$, -$OCH_2CH_2OR^{10}$, -COOH, -$COOR^{10}$, -$CONR^8R^9$, -CHO, -SR^{10}, -SOR^{10}, -SO_2R^{10}, -SO_3H, -$SO_2NR^8R^9$, -OCH_2-CH_2OCOR^{10}, =O, -CH=NOH, -CH=NOR^{10}, -COR^{11}, -$CH(OH)R^{11}$, -$CH(OR^{10})_2$, -CH=CH-R^{12}, -$OCONR^8R^9$, optionally mono- or poly-, preferably mono-methyl-substituted 1,3-dioxolane or 1,3-dioxane;

R^4 or R^5 denotes phenyl-C_{1-6}-alkyl, preferably phenyl-C_{1-4}-alkyl, phenyl-C_{2-6}-alkenyl or phenyl-C_{2-6}-alkynyl, wherein the phenyl ring is optionally substituted either directly or via a C_{1-4}-alkylene bridge by one or more, preferably one of the groups, C_{1-3}-alkyl, -CN, -NR^8R^9, -NO_2, -OH, -OR^{10}, -CH_2-NH-SO_2-R^{10}, -$NHCOR^{10}$, -$NHCONR^8R^9$, halogen, -$OCOR^{10}$, -OCO-pyridyl, -OCH_2COOH, -OCH_2COOR^{10}, -CH_2OCOR^{10}, -SO_2R^7, -OCH_2-$CONR^8R^9$, -OCH_2CH_2OH, -OCH_2-CH_2-NR^8R^9, -$CONHSO_2R^{10}$, -$OCH_2CH_2OR^{10}$, -COOH, -$COOR^{10}$, -CF_3, cyclopropyl, -$CONR^8R^9$, -CH_2OH, -CH_2OR^{10}, -CHO, -SR^{10}, -SOR^{10}, -SO_2R^{10}, -SO_3H, -$SO_2NR^8R^9$, -OCH_2-CH_2OCOR^{10}, -CH=NOH, -CH=NOR^{10}, -COR^{11}, -$CH(OH)R^{11}$, -$CH(OR^{10})_2$, -$NHCOOR^{10}$, -$CH_2CONHSO_2R^{10}$, -CH=CH-R^{12}, -$OCONR^8R^9$, -CH_2-O-$CONR^8R^9$, -CH_2-CH_2-O-$CONR^8R^9$, optionally mono- or poly-, preferably mono-methyl-substituted 1,3-dioxolane or 1,3-dioxane;

R^4 or R^5 denotes an optionally substituted C_{3-7}-cycloalkyl group;

R^4 or R^5 denotes C_{3-7}-cycloalkyl-C_{1-6}-alkyl, C_{3-7}-cycloalkyl-C_{2-6}-alkenyl, C_{3-7}-cycloalkyl-C_{2-6}-alkynyl, wherein the cycloalkyl group is optionally substituted either directly or via a C_{1-4}-alkylene bridge by -CN, -NR^8R^9, =O, -OH, -OR^{10}, -NR^8R^9, -$NHCOR^{10}$, -$NHCONR^8R^9$, halogen, -$OCOR^{10}$, -OCO-pyridyl, -OCH_2COOH, -OCH_2COOR^{10}, -CH_2OCOR^{10}, -SO_2R^7, -OCH_2-$CONR^8R^9$, -OCH_2CH_2OH, -OCH_2-CH_2-NR^8R^9, -$OCH_2CH_2OR^{10}$, -COOH, -$COOR^{10}$, -$CONR^8R^9$, -CH_2OH, -CH_2OR^{10}, -CHO, -SR^{10}, -SOR^{10}, -SO_2R^{10}, -SO_3H, -$SO_2NR^8R^9$, -OCH_2-CH_2OCOR^{10}, -CH=NOH, -CH=NOR^{10}, -COR^{11}, -$CH(OH)R^{11}$, -$CONHSO_2R^{10}$, -$CH(OR^{10})_2$, -$NHCOOR^{10}$, -CH=CH-R^{12}, -$OCONR^8R^9$, -CH_2-O-$CONR^8R^9$, -CH_2-CH_2-O-$CONR^8R^9$, optionally mono- or poly-, preferably mono-methyl-substituted 1,3-dioxolane or 1,3-dioxane;

R^4 or R^5 denotes a group of the formula

A-C_{1-6}-alkyl, A-CONH-C_{1-6}-alkyl, A-CONH-C_{2-6}-alkenyl, A-CONH-C_{2-6}-alkynyl, A-NH-CO-C_{1-6}-alkyl, A-NH-CO-C_{2-6}-alkenyl, A-NH-CO-C_{2-6}-alkynyl, A-C_{2-6}-alkenyl or A-C_{2-6}-alkynyl, wherein A is a C- or N-linked heterocyclic group which contains one or more heteroatoms selected from the group comprising nitrogen, oxygen or sulphur and may optionally be mono- or polysubstituted, preferably monosubstituted, by C_{1-4}-alkyl, halogen, -OR^{10}, -CN, -NO_2, -NH_2, -$CH_2NR^8R^9$, -OH, =O, a ketal, ethyleneketal, -COOH, -SO_3H, -$COOR^{10}$, -$CONR^8R^9$, -COR^{11}, -SO_2-R^{10} or -$CONR^8R^9$;

R^6 denotes hydrogen, a C_{1-8}-alkyl, C_{2-8}-alkenyl or C_{2-8}-alkynyl group which may optionally be substituted by -CN, -$CH_2NR^8R^9$, -OH (polysubstitution also possible), -OR^{10}, -NR^8R^9, -$NHCOR^{10}$, -$NHCONR^8R^9$, -$NHCOOR^{10}$, halogen, -$OCOR^{10}$, -OCO-pyridyl, -OCH_2COOH, -OCH_2COOR^{10}, -SO_2R^7, -S-R^7, -NHCONH-phenyl, -OCH_2-$CONR^8R^9$, -OCH_2CH_2OH, -SO_2-CH_2-CH_2-O-COR^{10}, -OCH_2-CH_2-NR^8R^9, -SO_2-CH_2-CH_2-OH, -$CONHSO_2R^{10}$, -$CH_2CONHSO_2R^{10}$, -$OCH_2CH_2OR^{10}$, -COOH, -$COOR^{10}$, -$CONR^8R^9$, -CHO, -SR^{10}, -SOR^{10}, -SO_2R^{10}, -SO_3H, -$SO_2NR^8R^9$, -OCH_2-CH_2OCOR^{10}, -CH=NOH, -$CH=NOR^{10}$, -COR^{11}, -$CH(OH)R^{11}$, -$CH(OR^{10})_2$, -CH=CH-R^{12}, -$OCONR^8R^9$, optionally mono- or poly-, preferably mono-methyl-substituted 1,3-dioxolane or 1,3-dioxane;

R^6 denotes phenyl-C_{1-6}-alkyl, preferably phenyl-C_{1-4}-alkyl, phenyl-C_{2-6}-alkenyl or phenyl-C_{2-6}-alkynyl, wherein the phenyl ring is optionally substituted either directly or via a C_{1-4}-alkylene bridge by one or more of the groups, -C_{1-3}-alkyl, -CN, -NR^8R^9, -NO_2, -OH, -OR^{10}, -CH_2-NH-SO_2-R^{10}, -$NHCOR^{10}$, -$NHCONR^8R^9$, halogen, -$OCOR^{10}$, -OCO-pyridyl, -OCH_2COOH, -OCH_2COOR^{10}, -CH_2OCOR^{10}, -SO_2R^7, -OCH_2-$CONR^8R^9$, -OCH_2CH_2OH, -OCH_2-CH_2-NR^8R^9, -$CONHSO_2R^{10}$, -$OCH_2CH_2OR^{10}$, -COOH, -$COOR^{10}$, -CF_3, cyclopropyl, -$CONR^8R^9$, -CH_2OH, -CH_2OR^{10}, -CHO, -SR^{10}, -SOR^{10}, -SO_2R^{10}, -SO_3H, -$SO_2NR^8R^9$, -OCH_2-CH_2OCOR^{10}, -CH=NOH, -$CH=NOR^{10}$, -COR^{11}, -$CH(OH)R^{11}$, -$CH(OR^{10})_2$, -$NHCOOR^{10}$, -$CH_2CONHSO_2R^{10}$, -CH=CH-R^{12}, -$OCONR^8R^9$, -CH_2-O-$CONR^8R^9$, -CH_2-CH_2-O-$CONR^8R^9$, -CO-R^{10}, -CO-C_{1-4}-alkyl-NR^8R^9, optionally mono- or poly-, preferably mono-methyl-substituted 1,3-dioxolane or 1,3-dioxane;

R^6 denotes C_{3-7}-cycloalkyl-C_{1-6}-alkyl, C_{3-7}-cycloalkyl-C_{2-6}-alkenyl, C_{3-7}-cycloalkyl-C_{2-6}-alkynyl, wherein the cycloalkyl group is optionally substituted either directly or via a C_{1-4}-alkylene bridge by one or more, preferably one, of the groups -CN, NR^8R^9, =O, -OH, $-OR^{10}$, $-NR^8R^9$, $-NHCOR^{10}$, $-NHCONR^8R^9$, halogen, $-OCOR^{10}$, -OCO-pyridyl, $-OCH_2COOH$, $-OCH_2COOR^{10}$, $-CH_2OCOR^{10}$, $-SO_2R^7$, $-OCH_2-CONR^8R^9$, $-OCH_2CH_2OH$, $-OCH_2-CH_2-NR^8R^9$, $-OCH_2CH_2OR^{10}$, -COOH, $-COOR^{10}$, $-CONR^8R^9$, $-CH_2OH$, $-CH_2OR^{10}$, -CHO, $-SR^{10}$, $-SOR^{10}$, $-SO_2R^{10}$, $-SO_3H$, $-SO_2NR^8R^9$, $-OCH_2-CH_2OCOR^{10}$, -CH=NOH, $-CH=NOR^{10}$, $-COR^{11}$, $-CH(OH)R^{11}$, $-CONHSO_2R^{10}$, $-CH(OR^{10})_2$, $-NHCOOR^{10}$, $-CH=CH-R^{12}$, $-OCONR^8R^9$, $-CH_2-O-CONR^8R^9$, $-CH_2-CH_2-O-CONR^8R^9$, methyl-substituted 1,3-dioxolane or 1,3-dioxane;

R^6 denotes a group of the formula

A-C_{1-6}-alkyl, A-CONH-C_{1-6}-alkyl, A-CONH-C_{2-6}-alkenyl, A-CONH-C_{2-6}-alkynyl, A-NH-CO-C_{1-6}-alkyl, A-NH-CO-C_{2-6}-alkenyl, A-NH-CO-C_{2-6}-alkynyl, A-C_{2-6}-alkenyl or A-C_{2-6}-alkynyl or A-, wherein A is a C- or N-linked 5-, 6- or 7-membered heterocyclic group which contains one or more heteroatoms from the group comprising nitrogen, oxygen or sulphur and may optionally be mono- or polysubstituted, preferably monosubstituted, by benzyl optionally substituted benzyl, C_{1-4}-alkyl, halogen, $-OR^{10}$, -CN, $-NO_2$, $-NH_2$, $-CH_2NR^8R^9$, -OH, =O, a ketal, ethyleneketal, -COOH, $-SO_3H$, $-COOR^{10}$, $-CONR^8R^9$, $-COR^{11}$, $-SO_2-R^{10}$ or $-CONR^8R^9$;

R^6 denotes -CHO, $-COOR^{10}$, $-CONR^8R^9$;

R^6 denotes C_{3-7}-cycloalkyl, preferably cyclopentyl or cyclohexyl, optionally substituted by =O, -OH, $-OR^{10}$, $OCOR^{10}$ or -OCO-pyridyl;

R^6 denotes phenyl optionally substituted by -OH, halogen, $-OR^{10}$, C_{1-4}-alkyl, preferably $-CH_3$, $-NH_2$, -COOH, $-SO_3H$, $-COOR^{10}$, $-OCH_2COOR^{10}$, -CN or $-OCH_2CONR^8R^9$;

R^6 denotes a norbonane, norbornene, C_{3-6}-dicycloalkylmethyl, preferably dicyclopropylmethyl, adamantane or noradamantane group optionally substituted by C_{1-4}-alkyl, preferably methyl;

R^6 denotes -CH=CH-phenyl, wherein the phenyl ring may be mono- or polysubstituted by methoxy, hydroxy or halogen;

R^6 denotes a [3.3.0]-bicyclooctane, preferably a [3.3.0]-bicyclooctan-2-yl;

R^6 denotes a C-linked piperidine or furan;

R^7 denotes C_{1-4}-alkyl which is optionally substituted by -OH, $-OCOR^{10}$, -OCO-pyridyl, $-NH_2$, $-NR^8R^9$ or $-NHCOR^{10}$, preferably $-CH_2-CH_2-OH$, $-CH_2-CH_2OCOR^{10}$, $-CH_2-CH_2-CH_2-OH$ or $-CH_2-CH_2CH_2OCOR^{10}$;

R^8 denotes hydrogen, an optionally substituted C_{3-6}-cycloalkyl group, a branched or unbranched alkyl, alkenyl or alkynyl group having up to 10 carbon atoms, preferably a C_{1-4}-alkyl group which may optionally be substituted by hydroxy, phenyl, substituted phenyl, benzyl, substituted benzyl, amino, substituted amino or C_{1-8}-alkoxy, preferably C_{1-4}-alkoxy, or $-(CH_2)_m-NHCOOR^{10}$ where m = 1, 2, 3 or 4;

R^8 denotes a 5-, 6- or 7-membered heterocyclic group which is C-linked directly or via a C_{1-4}-alkyl chain, and which contains one or more heteroatoms selected from the group comprising nitrogen, oxygen or sulphur and may optionally be mono- or polysubstituted, preferably monosubstituted by benzyl, optionally substituted benzyl, C_{1-4}-alkyl, halogen, $-OR^{10}$, -CN, $-NO_2$, $-NH_2$, -OH, =O, -COOH, $-SO_3H$ or $-COOR^{10}$;

R^8 denotes a bicyclic heterocyclic group C-linked directly or via a C_{1-4}-alkyl chain, which contains one or more heteroatoms from the group comprising nitrogen, oxygen or sulphur and may optionally be mono- or polysubstituted, preferably monosubstituted by benzyl, optionally substituted benzyl, C_{1-4}-alkyl, halogen, $-OR^{10}$, -CN, $-NO_2$, $-NH_2$, -OH, =O, -COOH, $-SO_3H$ or $-COOR^{10}$;

R^9 denotes hydrogen, an optionally substituted C_{3-6}-cycloalkyl group, a branched or unbranched alkyl, alkenyl or alkynyl group having up to 10 carbon atoms, preferably a C_{1-4}-alkyl group, which may optionally be substituted by hydroxy, phenyl, substituted phenyl, benzyl, substituted benzyl, amino, substituted amino or C_{1-8}-alkoxy, preferably C_{1-4}-alkoxy, or $-(CH_2)_m-NHCOOR^{10}$ wherein m is 1, 2, 3 or 4, preferably hydrogen;

R^9 denotes a 5-, 6- or 7-membered heterocyclic group which is C-linked directly or via a C_{1-4}-alkyl chain, and which contains one or more heteroatoms selected from the group comprising nitrogen, oxygen or sulphur and may optionally be mono- or polysubstituted, preferably monosubstituted by benzyl, optionally substituted benzyl, C_{1-4}-alkyl, halogen, $-OR^{10}$, -CN, $-NO_2$, $-NH_2$, -OH, =O, -COOH, $-SO_3H$ or $-COOR^{10}$;

R^9 denotes a bicyclic heterocyclic group C-linked directly or via a C_{1-4}-alkyl chain, which contains one or more heteroatoms from the group comprising nitrogen, oxygen or sulphur and may optionally be mono- or polysubstituted, preferably monosubstituted by benzyl, optionally substituted benzyl, C_{1-4}-alkyl, halogen, $-OR^{10}$, -CN, $-NO_2$, $-NH_2$, -OH, =O, -COOH, $-SO_3H$ or $-COOR^{10}$,

or

R^8 and R^9 together with the nitrogen atom form a saturated or unsaturated 5- or 6-membered ring which may contain nitrogen, oxygen or sulphur as additional heteroatoms, whilst the heterocyclic group may be substituted by a branched or unbranched C_{1-4}-alkyl group, preferably methyl, or may carry one of the following groups:

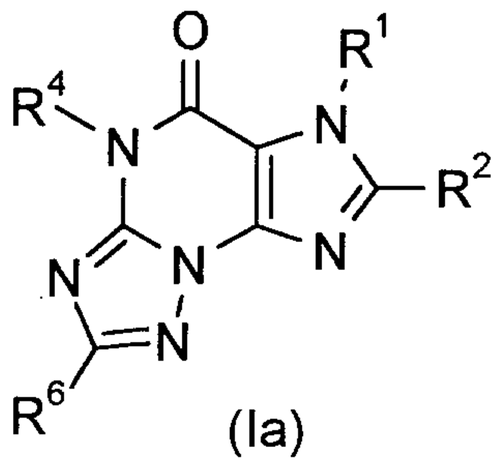
$-(CH_2)_n$-phenyl,
$-(CH_2)_n-NH_2$, =O, a ketal - preferably $-O-CH_2-CH_2-O-$,
$-(CH_2)_nNH-C_{1-4}$-alkyl,
$-(CH_2)_n-N(C_{1-8}\text{-alkyl})_2$,
$-(CH_2)_n-NHCOOR^{10}$, (n = 1, 2, 3, 4), halogen,
$-OR^{10}$, -CN, $-NO_2$, $-NH_2$, $-CH_2NR^8R^9$,
-OH, -COOH, $-SO_3H$, $-COOR^{10}$, $-CONR^8R^9$, $-SO_2-R^{10}$;

R^{10} denotes hydrogen, C_{1-4}-alkyl, C_{2-4}-alkenyl, C_{2-4}-alkynyl, a benzyl or phenyl group which is optionally mono- or polysubstituted by OCH_3;

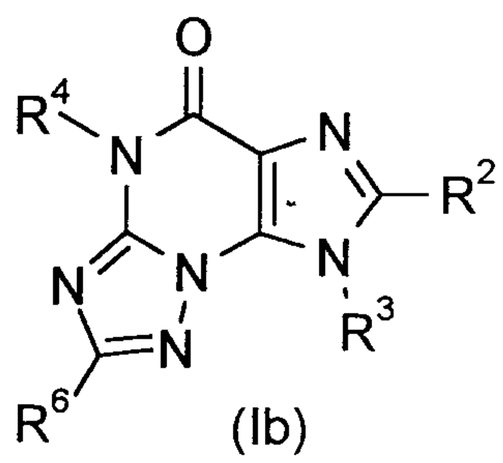
R^{11} denotes C_{1-4}-alkyl, C_{2-4}-alkenyl, C_{2-4}-alkynyl, optionally substituted phenyl, optionally substituted benzyl, C_{3-6}-cycloalkyl;

R^{12} denotes $-COOR^{10}$, $-CH_2OR^{10}$, $-CONR^8R^9$, hydrogen, C_{1-3}-alkyl, optionally substituted phenyl or $-CH_2NR^8R^9$,
optionally in the form of the racemates, enantiomers and diastereomers thereof and mixtures thereof, and optionally the pharmacologically acceptable acid addition salts thereof.

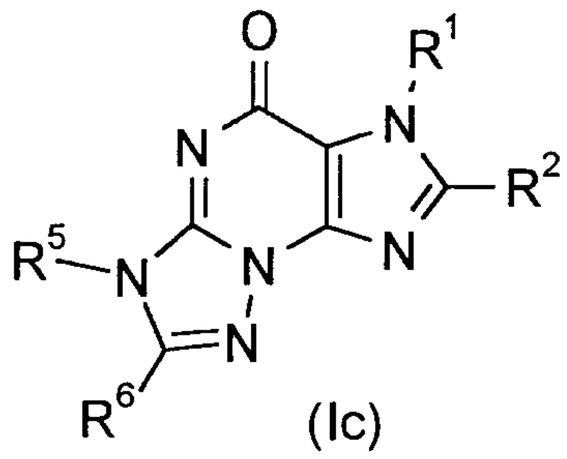
Also preferred are compounds of general formula (Ia) to (Id)



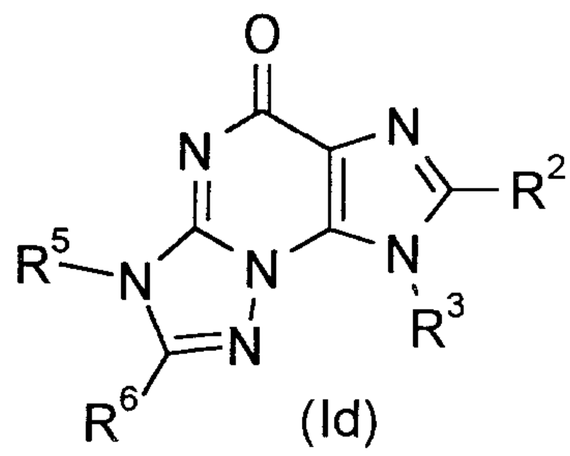
(Ia)



(Ib)



(Ic)



(Id)

wherein

R^1 or R^3 denotes hydrogen, C_{1-4}-alkyl or benzyl;

R^2 denotes hydrogen, C_{1-8}-alkyl, preferably C_{1-6}-alkyl;

R^2 denotes phenyl which is optionally substituted by halogen, preferably fluorine or chlorine, C_{1-4}-alkyl, C_{1-4}-alkyloxy, hydroxy or NR^8R^9;

R^2 denotes phenyl-C_{1-6}-alkyl, preferably benzyl, phenyl-C_{2-6}-alkenyl or phenyl-C_{2-6}-alkynyl, wherein the phenyl ring is optionally substituted by halogen, preferably fluorine or chlorine, C_{1-4}-alkyl, C_{1-4}-alkyloxy, hydroxy or NR^8R^9;

R^2 denotes an optionally substituted amine, preferably NR^8R^9;

R^2 denotes a 5- or 6-membered heterocyclic group which is optionally C- or N-linked either directly or via a C_{1-4}-alkylene bridge, containing one or more heteroatoms selected from the group comprising nitrogen or oxygen and optionally substituted by benzyl or C_{1-4}-alkyl;

R^2 denotes a C_{3-6}-cycloalkyl which may optionally be substituted by =O, hydroxy, C_{1-4}-alkyl or C_{1-4}-alkyloxy;

R^2 denotes norbornane, norbornene, adamantane or noradamantane optionally substituted by C_{1-4}-alkyl, preferably methyl;

R^4 or R^5 denotes hydrogen, C_{1-8}-alkyl, phenyl-C_{1-4}-alkyl, preferably benzyl, phenyl-C_{2-6}-alkenyl or phenyl- C_{2-6}-alkynyl, wherein the phenyl ring is optionally substituted by halogen, preferably chlorine or fluorine, hydroxy, C_{1-4}-alkyl, C_{1-4}-alkyloxy or NR^8R^9;

R^6 denotes hydrogen, C_{1-8}-alkyl, wherein the alkyl chain may be substituted by halogen, hydroxy, =O, C_{1-4}-alkyloxy, NR^8R^9, phenyloxy, -O-phenyl- C_{1-4}-alkyloxy, benzyloxy, -O-benzyl-O-C_{1-4}-alkyloxy, -OCO-C_{1-4}-alkyl, -OCO-phenyl, -OCO-benzyl, -OCO-pyridyl, -O-C_{2-4}-alkylene, -CO- C_{1-4}-alkyl, -CHO, =NOH, -COOH, -COO-C_{1-4}-alkyl, -COO-phenyl, -COO-benzyl, -CONR^{8}R^9, -NHCO-C_{1-4}-alkyl, -NHCO-phenyl, -CO-C_{1-4}-alkyl-NR^8R^9, -SO_2OH, -SO_2-C_{1-4}-alkyl or -SO_2-phenyl;

R^6 denotes phenyl which may optionally be substituted by halogen, preferably chlorine or fluorine, hydroxy, C_{1-4}-alkyl, C_{1-4}-alkyloxy, benzyloxy, phenyloxy, NR^8R^9, -OCO-C_{1-4}-alkyl, -OCO-phenyl, -OCO-benzyl, -OCO-pyridyl, -O-C_{2-4}-alkylene, -CO-C_{1-4}-alkyl, -C_{1-4}-alkyl-NH_2, -C_{1-4}-alkyl-OH, -C_{1-4}-alkyl=NOH, -COOH, -COO-C_{1-4}-alkyl, -COO-phenyl, -COO-benzyl, -CONR^{8}R^9, -CO-C_{1-4}-alkyl-NH_2, -SO_2OH, -SO_2-C_{1-4}-alkyl or -SO_2-phenyl;

R^6 denotes phenyl-C_{1-4}-alkyl, preferably benzyl, phenyl-C_{2-6}-alkenyl or phenyl-C_{2-6}-alkynyl, wherein the phenyl ring may be optionally substituted by halogen, preferably chlorine or fluorine, hydroxy, C_{1-4}-alkyl, C_{1-4}-alkoxy, benzyloxy, phenyloxy, -NR^8R^9, -OCO-C_{1-4}-alkyl,

-OCO-phenyl, -OCO-benzyl, -OCO-pyridyl, -O-C_{2-4}-alkylene, -CO-C_{1-4}-alkyl, -C_{1-4}-alkyl-NR^8R^9, -C_{1-4}-alkyl-OH, -C_{1-4}-alkyl=NOH, -COOH, -COO-C_{1-4}-alkyl, -COO-phenyl, -COO-benzyl, -$CONR^8R^9$, -CO-C_{1-4}-alkyl-NH_2, -SO_2OH, -SO_2-C_{1-4}-alkyl or -SO_2-phenyl;

R^6 denotes a 5- or 6-membered heterocyclic group optionally C- or N-linked either directly or via a C_{1-4}-alkylene bridge, which contains one, two or three heteroatoms selected from nitrogen or oxygen and is optionally mono- or polysubstituted by benzyl or C_{1-4}-alkyl;

R^6 denotes a C_{3-6}-cycloalkyl or C_{3-6}-cycloalkyl-C_{1-4}-alkyl group which may optionally be substituted by =O, hydroxy, C_{1-4}-alkyl or C_{1-4}-alkyloxy;

R^6 denotes norbornyl, norbornenyl, adamantyl or noradamantyl optionally substituted by C_{1-4}-alkyl, preferably methyl;

R^6 denotes -CHO, -COOH, -COO-C_{1-4}-alkyl, -COO-phenyl, -COO-benzyl or -$CONR^8R^9$;

R^6 denotes an amine of general formula NR^8R^9;

R^8 denotes hydrogen, a branched or unbranched C_{1-4}-alkyl group;

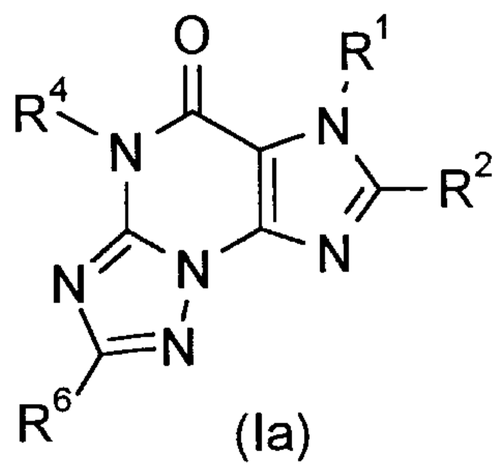
R^8 denotes a C-linked 5- or 6-membered heterocyclic group which contains one, two or three heteroatoms selected from the group comprising nitrogen, oxygen and sulphur and is optionally substituted by benzyl, C_{1-4}-alkyl, C_{1-4}-alkyloxy, halogen, -CN, -NO_2, -NH_2, -OH or =O;

R^9 denotes hydrogen, a branched or unbranched C_{1-4}-alkyl group;

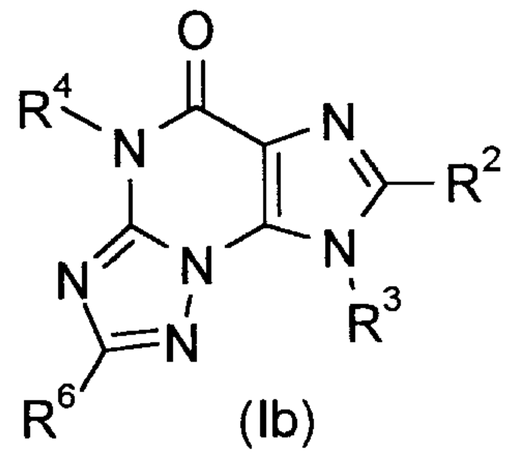
R^9 denotes a C-linked 5- or 6-membered heterocyclic group which contains one, two or three heteroatoms selected from the group comprising nitrogen, oxygen or sulphur and is optionally substituted by benzyl, C_{1-4}-alkyl, C_{1-4}-alkyloxy, halogen, -CN, -NO_2, -NH_2, -OH or =O;
or
R^8 and R^9 together with the nitrogen atom form a saturated or unsaturated 5- or 6-membered ring which may contain nitrogen or oxygen as additional heteroatoms, whilst the heterocyclic group may be

substituted by a branched or unbranched C_{1-4}-alkyl group, preferably methyl, or by a -$(CH_2)_{1-4}$-phenyl group, preferably benzyl, optionally in the form of their racemates, enantiomers, diastereomers and mixtures thereof, and optionally the pharmacologically acceptable acid addition salts thereof.

Also preferred are compounds of general formulae (Ia) and (Ib)



(Ia)



(Ib)

wherein

R^1 or R^3 denotes hydrogen, C_{1-4}-alkyl, preferably C_{1-3}-alkyl and benzyl;

R^2 denotes hydrogen, C_{1-6}-alkyl, preferably C_{1-4}-alkyl;

R^2 denotes cyclopentyl, cyclohexyl, cyclopentanone, cyclohexanone, hydroxycyclopentane or hydrocyclohexane;

R^2 denotes a morpholine group optionally substituted by C_{1-4}-alkyl, preferably methyl, a piperidinyl group, a piperazinyl group optionally substituted by benzyl or C_{1-4}-alkyl, preferably methyl, pyridyl, tetrahydrofuranyl, tetrahydropyranyl or furyl;

R^2 denotes a phenyl group optionally substituted by C_{1-4}-alkyl, halogen or hydroxy;

R^2 denotes a phenyl-C_{1-4}-alkyl, preferably benzyl, wherein the phenyl ring is optionally substituted by halogen, preferably fluorine or chlorine, C_{1-4}-alkyl, C_{1-4}-alkyloxy, hydroxy or NR^8R^9;

R^2 denotes an amine of general formula NR^8R^9;

R^2 denotes a norbornenyl, norbornyl, adamantyl or noradamantyl optionally substituted by C_{1-4}-alkyl, preferably methyl;

R^4 denotes hydrogen, C_{1-7}-alkyl, preferably C_{1-5}-alkyl, phenyl-C_{1-3}-alkyl, preferably benzyl, wherein the phenyl ring may be substituted by halogen, preferably chlorine or fluorine, hydroxy, C_{1-4}-alkyl, C_{1-4}-alkyloxy or NR^8R^9;

R^6 denotes hydrogen, C_{1-6}-alkyl, preferably C_{1-4}-alkyl, wherein the alkyl chain may be substituted by halogen, hydroxy, =O, C_{1-4}-alkyloxy, NR^8R^9, phenyloxy, -O-phenyl-O- C_{1-4}-alkyloxy, benzyloxy, -O-benzyl-O-C_{1-4}-alkyloxy, -OCO-C_{1-4}-alkyl, -OCO-phenyl, -OCO-pyridyl, -OCO-benzyl, -O- C_{2-4}-alkylene, -CO-C_{1-4}-alkyl, -CHO, =NOH, -COOH, -COO-C_{1-4}-alkyl; -COO-phenyl, -COO-benzyl, $-CONR^8R^9$, -NHCO-C_{1-4}-alkyl, -NHCO-phenyl, -CO-C_{1-4}-alkyl-NR^8R^9, $-SO_2OH$, $-SO_2$-C_{1-4}-alkyl or $-SO_2$-phenyl;

R^6 denotes phenyl, wherein the phenyl ring may be substituted by halogen, preferably fluorine or chlorine, hydroxy, C_{1-4}-alkyl, C_{1-4}-alkyloxy or NR^8R^9;

R^6 denotes phenyl-C_{1-3}-alkyl, preferably benzyl, wherein the phenyl ring may be substituted by halogen, preferably fluorine or chlorine, hydroxy, C_{1-4}-alkyl, C_{1-4}-alkyloxy, benzyloxy, phenyloxy, NR^8R^9, -OCO-C_{1-4}-alkyl, -OCO-phenyl, -OCO-benzyl, -OCO-pyridyl, -O-C_{2-4}-alkylene, -CO-C_{1-4}-alkyl, -C_{1-4}-alkyl-NR^8R^9, -C_{1-4}-alkyl-OH, -C_{1-4}-alkyl=NOH, -COOH, -COO-C_{1-4}-alkyl, -COO-phenyl, -COO-benzyl, $-CONR^8R^9$, -CO-C_{1-4}-alkyl-NR^8R^9, $-SO_2OH$, $-SO_2$-C_{1-4}-alkyl or $-SO_2$-phenyl;

R^6 denotes a cyclopentyl, cyclohexyl, cyclohexyl- C_{1-3}-alkyl, preferably cyclohexylmethyl, cyclopentanone, cyclohexanone, hydroxycyclopentane or hydroxycyclohexane linked via a single bond or via a C_{1-4}-alkylene chain;

R^6 denotes a furan, tetrahydrofuran, α-pyran, γ-pyran, dioxolane, tetrahydropyran, dioxane, thiophene, thiolane, dithiolane, pyrrole, pyrroline, pyrrolidine, pyrazole, pyrazoline, imidazole, imidazoline, imidazolidine, triazole, pyridine, piperidine, pyridazine, pyrimidine,

pyrazine, piperazine, triazine, morpholine, thiomorpholine, oxazole, isoxazole, oxazine, thiazole, isothiazole, thiadiazole, oxadiazole or pyrazolidine linked via a single bond or via a C_{1-4}-alkylene chain;

R^6 denotes -CHO, -COOH, -COO-C_{1-4}-alkyl; -COO-phenyl, -COO-benzyl, -CO-NH-C_{1-4}-alkyl, -CO-N(C_{1-4}-alkyl)$_2$ or -CO-NH-phenyl;

R^6 denotes an amine of general formula NR^8R^9;

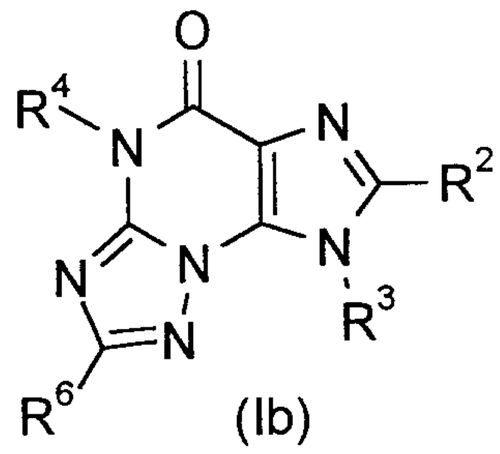
R^8 denotes hydrogen, a branched or unbranched C_{1-4}-alkyl group;

R^8 denotes a C-linked pyrrole, pyrroline, pyrrolidine, pyrazole, pyrazoline, imidazole, imidazoline, imidazolidine, triazole, pyridine, piperidine, pyridazine, pyrimidine, pyrazine, piperazine, morpholine, oxazole, isoxazole, thiazole, isothiazole or thiadiazole optionally substituted by chlorine, bromine, C_{1-4}-alkyl, C_{1-4}-alkyloxy, NO_2, NH_2 or OH;

R^9 denotes hydrogen, a branched or unbranched C_{1-4}-alkyl group;

R^9 denotes a C-linked pyrrole, pyrroline, pyrrolidine, pyrazole, pyrazoline, imidazole, imidazoline, imidazolidine, triazole, pyridine, piperidine, pyridazine, pyrimidine, pyrazine, piperazine, morpholine, oxazole, isoxazole, thiazole, isothiazole or thiadiazole optionally substituted by chlorine, bromine, C_{1-4}-alkyl, C_{1-4}-alkyloxy, NO_2, NH_2, OH,
or
R^8 and R^9 together with the nitrogen atom form a saturated or unsaturated 5- or 6-membered ring which may contain nitrogen or oxygen as additional heteroatoms, wherein the heterocyclic group may be substituted by a branched or unbranched C_{1-4}-alkyl group, preferably methyl, or by a -$(CH_2)_{1-4}$-phenyl group, preferably benzyl, optionally in the form of the racemates, the enantiomers and the diastereomers thereof and mixtures thereof, and optionally the pharmacologically acceptable acid addition salts thereof.

Other preferred compounds are those of general formula (Ib)



(Ib)

wherein

R^2 denotes hydrogen, C_{1-4}-alkyl, phenyl, benzyl, wherein the phenyl ring is optionally substituted by fluorine, pyridyl, piperidinyl, morpholinyl, piperazinyl, 4-benzylpiperazinyl, furyl, tetrahydrofuranyl, tetrahydropyranyl, NR^8R^9, cyclopentyl, cyclohexyl, adamantyl, noradamantyl, norbornyl or norbornenyl;

R^3 denotes hydrogen, C_{1-3}-alkyl or benzyl;

R^4 denotes hydrogen, C_{1-5}-alkyl or benzyl;

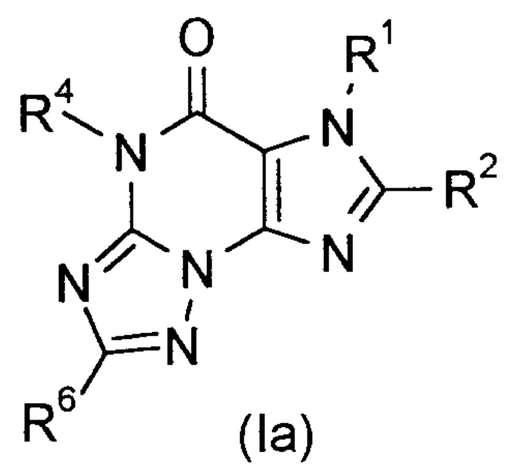
R^6 denotes hydrogen, C_{1-4}-alkyl, preferably methyl, which may optionally be substituted by OH, chlorine, bromine, C_{1-4}-alkyloxy or NR^8R^9, -CHO, -COOH, -COO-C_{1-4}-alkyl, preferably -$COOCH_3$, phenyl, phenyl-C_{1-3}-alkyl optionally substituted by fluorine or benzyloxy, preferably benzyl, phenyloxy-C_{1-3}-alkyl optionally substituted by methoxy, preferably phenyloxymethyl, benzyloxy-C_{1-3}-alkyl optionally substituted by methoxy, preferably benzyloxymethyl, benzyloxybenzyl, benzoyloxymethyl, pyridylcarbonyloxymethyl, cyclopentyl, furyl, cyclohexylmethyl, pyridylmethyl, N-pyrrolylmethyl or N-morpholinomethyl;

R^8 denotes hydrogen, C_{1-4}-alkyl or pyridyl;

R^9 denotes hydrogen, C_{1-4}-alkyl or pyridyl,

optionally in the form of the racemates, the enantiomers and the diastereomers thereof and mixtures thereof, and optionally the pharmacologically acceptable acid addition salts thereof.

Other preferred compounds are those of general formula (Ia)



(Ia)

wherein

R^1 denotes hydrogen, C_{1-3}-alkyl or benzyl;

R^2 denotes hydrogen, C_{1-4}-alkyl, phenyl, benzyl, wherein the phenyl ring is optionally fluorine-substituted, pyridyl, piperidinyl, morpholinyl, piperazinyl, 4-benzylpiperazinyl, furyl, tetrahydrofuranyl, tetrahydropyranyl, NR^8R^9, cyclopentyl, cyclohexyl, adamantyl, noradamantyl, norbornyl or norbornenyl;

R^4 denotes hydrogen, C_{1-5}-alkyl or benzyl;

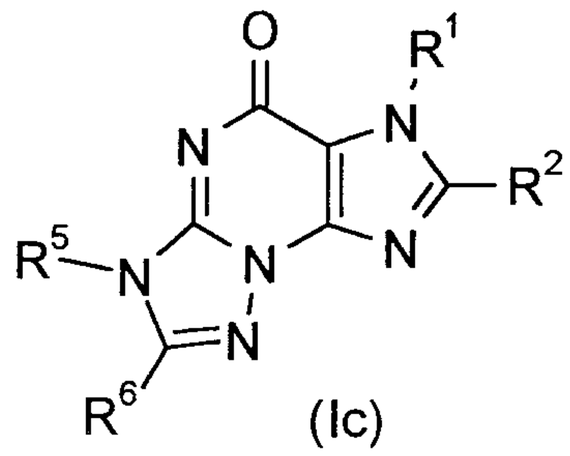
R^6 denotes hydrogen, C_{1-4}-alkyl, preferably methyl, which may optionally be substituted by OH, chlorine, bromine, C_{1-4}-alkyloxy or NR^8R^9, -CHO, -COOH, -COO-C_{1-4}-alkyl, preferably -$COOCH_3$, phenyl, phenyl-C_{1-3}-alkyl optionally substituted by fluorine or benzyloxy, preferably benzyl, phenyloxy-C_{1-3}-alkyl optionally substituted by methoxy, preferably phenyloxymethyl, benzyloxy- C_{1-3}-alkyl, optionally substituted by methoxy, preferably benzyloxymethyl, benzyloxybenzyl, benzoyloxymethyl, pyridylcarbonyloxymethyl, cyclopentyl, furyl, cyclohexylmethyl, pyridylmethyl, N-pyrrolylmethyl or N-morpholinomethyl;

R^8 denotes hydrogen, C_{1-4}-alkyl or pyridyl;

R^9 may denote hydrogen, C_{1-4}-alkyl or pyridyl,

optionally in the form of the racemates, the enantiomers, the diastereomers thereof and mixtures thereof and optionally the pharmacologically acceptable acid addition salts thereof.

Also of interest are compounds of general formula (Ic)



(Ic)

wherein

R^1 denotes hydrogen or C_{1-3}-alkyl;

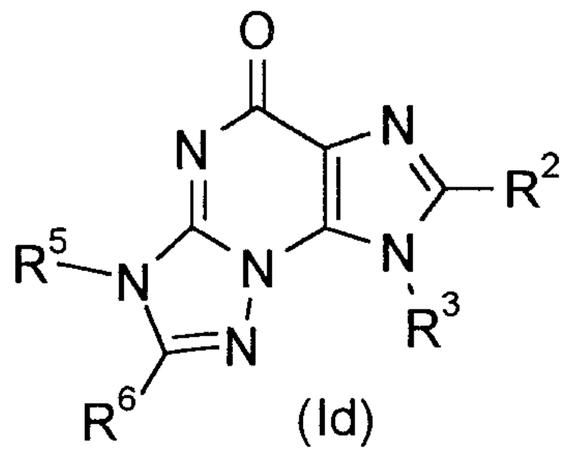
R^2 denotes hydrogen, C_{1-4}-alkyl, cyclopentyl, cyclopentanone, hydroxycyclopentane, furan or benzyl;

R^5 denotes C_{1-6}-alkyl, preferably C_{1-4}-alkyl, most preferably methyl, ethyl or tert.-butyl;

R^6 denotes hydrogen, benzyl or cyclopentyl,

optionally in the form of the racemates, the enantiomers, the diastereomers and mixtures thereof, and optionally the pharmacologically acceptable acid addition salts thereof.

Also of interest are compounds of general formula (Id)



(Id)

wherein

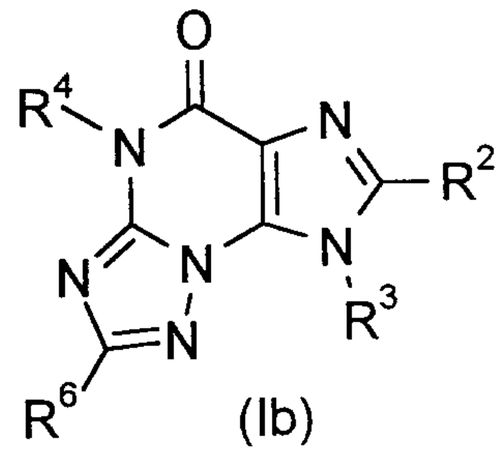
R^1 denotes hydrogen or C_{1-3}-alkyl;

R^2 denotes hydrogen, C_{1-4}-alkyl, cyclopentyl, cyclopentanone, hydroxycyclopentane, furan or benzyl;

R^5 denotes C_{1-6}-alkyl, preferably C_{1-4}-alkyl, most preferably methyl, ethyl or tert.-butyl;

R^6 denotes hydrogen, benzyl or cyclopentyl,
optionally in the form of the racemates, the enantiomers, the diastereomers and mixtures thereof, and optionally the pharmacologically acceptable acid addition salts thereof.

Also preferred are compounds of general formula (Ib)



(Ib)

wherein

R^2 denotes hydrogen, methyl, ethyl, n-propyl, i-propyl, n-butyl, tert.-butyl, phenyl, benzyl, 4-fluorobenzyl, pyridyl, N-piperidinyl, N-morpholinyl, N-piperazinyl, 4-benzylpiperazinyl, 2-furyl, 3-tetrahydrofuranyl, 4-tetrahydropyranyl, -NMe_2, cyclopentyl, cyclohexyl, adamantan-1-yl, noradamantan-3-yl, norbornan-2-yl or 5-norbornen-2-yl;

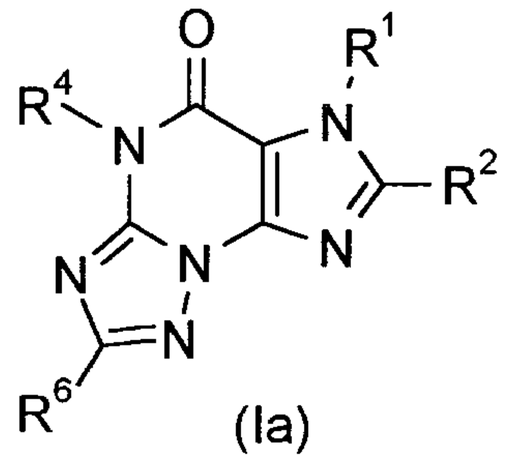
R^3 denotes hydrogen, methyl, ethyl, n-propyl or benzyl;

R^4 denotes hydrogen, methyl, ethyl, n-propyl, i-propyl, n-butyl, tert.-butyl, n-pentyl or benzyl;

R^6 denotes hydrogen, methyl, ethyl, n-propyl, i-propyl, n-butyl, tert.-butyl, phenyl, benzyl, cyclopentyl, 2-furyl, 2-pyridylmethyl, 3-pyridylmethyl, 4-pyridylmethyl, cyclohexylmethyl, phenylethyl, N-morpholinomethyl, N-pyrrolylmethyl, (3-pyridyl)-NH-CH_2-, PhCO-O-CH_2-, pyridyl-CO-O-CH_2-, Ph-O-CH_2-, (4-MeO-Ph)-O-CH_2-, (4-MeO-Ph)-CH_2-O-CH_2-, (4-Ph-CH_2-O-Ph)-CH_2-, 4-F-Ph-CH_2-, 3,4-F-Ph-CH_2-, -COOH, -COOMe, -CH_2-OH, -CH_2-OMe, -CH_2OEt or -CH_2-NMe_2,

optionally in the form of the racemates, the enantiomers, the diastereomers and mixtures thereof and optionally the pharmacologically acceptable acid addition salts thereof.

Of particular interest are compounds of general formula (Ia)



(Ia)

wherein

R^1 denotes hydrogen, methyl, ethyl, n-propyl or benzyl;

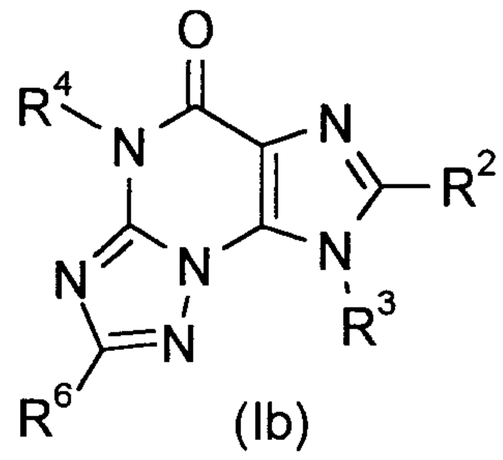
R^2 denotes hydrogen, methyl, ethyl, n-propyl, i-propyl, n-butyl, tert.-butyl, phenyl, benzyl, 4-fluorobenzyl, pyridyl, N-piperidinyl, N-morpholinyl, N-piperazinyl, 4-benzylpiperazinyl, 2-furyl, 3-tetrahydrofuranyl, 4-tetrahydropyranyl, -NMe_2, cyclopentyl, cyclohexyl, adamantan-1-yl, noradamantan-3-yl, norbornan-2-yl or 5-norbornen-2-yl;

R^4 denotes hydrogen, methyl, ethyl, n-propyl, i-propyl, n-butyl, tert.-butyl, n-pentyl or benzyl;

R^6 denotes hydrogen, methyl, ethyl, n-propyl, i-propyl, n-butyl, tert.-butyl, phenyl, benzyl, cyclopentyl, 2-furyl, 2-pyridylmethyl, 3-pyridylmethyl, 4-pyridylmethyl, cyclohexylmethyl, phenylethyl, N-morpholinomethyl, N-pyrrolylmethyl, (3-pyridyl)-NH-CH_2-, PhCO-O-CH_2-, pyridyl-CO-O-CH_2-, Ph-O-CH_2-, (4-MeO-Ph)-O-CH_2-, (4-MeO-Ph)-CH_2-O-CH_2-, (4-Ph-CH_2-O-Ph)-CH_2-, 4-F-Ph-CH_2-, 3,4-F-Ph-CH_2-, -COOH, -COOMe, -CH_2-OH, -CH_2-OMe, -CH_2OEt or -CH_2-NMe_2,

optionally in the form of the racemates, the enantiomers, the diastereomers and mixtures thereof and optionally the pharmacologically acceptable acid addition salts thereof.

Also preferred are compounds of general formula (Ib)



(Ib)

wherein

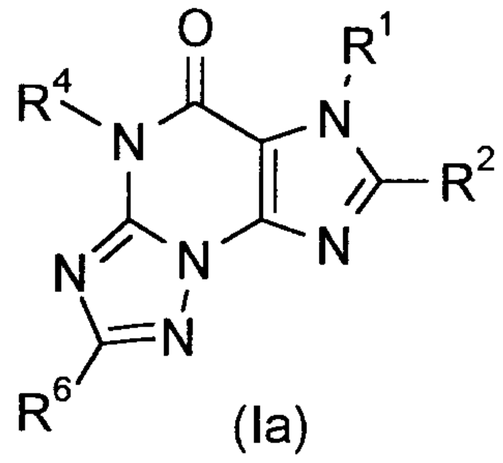
R^2 denotes hydrogen, ethyl, n-propyl, i-propyl, n-butyl, tert.-butyl, phenyl, benzyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, N-piperidinyl, N-morpholinyl, N-piperazinyl, 4-benzylpiperazinyl, 3-tetrahydrofuranyl, 4-tetrahydro-pyranyl, $-NMe_2$, cyclopentyl, cyclohexyl, adamantan-1-yl, noradamatan-3-yl, norbornan-2-yl or 5-norbornen-2-yl;

R^3 denotes hydrogen;

R^4 denotes hydrogen, methyl, ethyl, n-propyl, i-propyl, n-butyl, tert.-butyl, n-pentyl or benzyl;

R^6 denotes hydrogen, methyl, ethyl, n-propyl, i-propyl, n-butyl, tert.-butyl, phenyl, benzyl, cyclopentyl, 2-furyl, 2-pyridylmethyl, 3-pyridylmethyl, 4-pyridylmethyl, cyclohexylmethyl, 2-phenylethyl, N-morpholinomethyl, N-pyrrolylmethyl, (3-pyridyl)-NH-CH_2-, Ph-COO-CH_2-, 3-pyridyl-COO-CH_2-, Ph-O-CH_2-, (4-MeO-Ph)-O-CH_2-, (4-MeO-Ph)-CH_2-O-CH_2-, 4-F-Ph-CH_2-, 3,4F-Ph-CH_2-, -CH_2-OH, -CH_2-OMe, -CH_2-OEt, -CH_2-NMe_2, -COOMe or -COOH,
optionally in the form of the racemates, the enantiomers, the diastereomers and mixtures thereof, and optionally the pharmacologically acceptable acid addition salts thereof.

Also of particular interest are compounds of general formula (Ia)



(Ia)

wherein

R^1 denotes hydrogen;

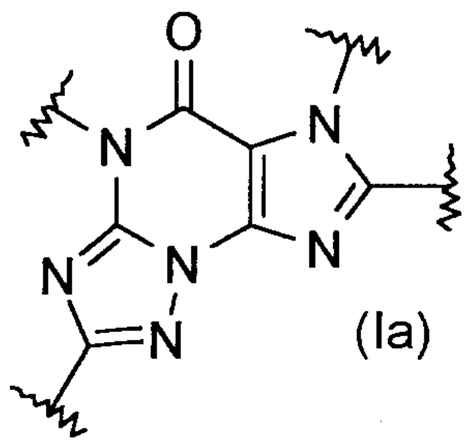
R^2 denotes hydrogen, ethyl, n-propyl, i-propyl, n-butyl, tert.-butyl, phenyl, benzyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, N-piperidinyl, N-morpholinyl, N-piperazinyl, 4-benzylpiperazinyl, 3-tetrahydrofuranyl, 4-tetrahydropyranyl, $-NMe_2$, cyclopentyl, cyclohexyl, adamantan-1-yl, noradamatan-3-yl, norbornan-2-yl or 5-norbornen-2-yl;

R^4 denotes hydrogen, methyl, ethyl, n-propyl, i-propyl, n-butyl, tert.-butyl, n-pentyl or benzyl;

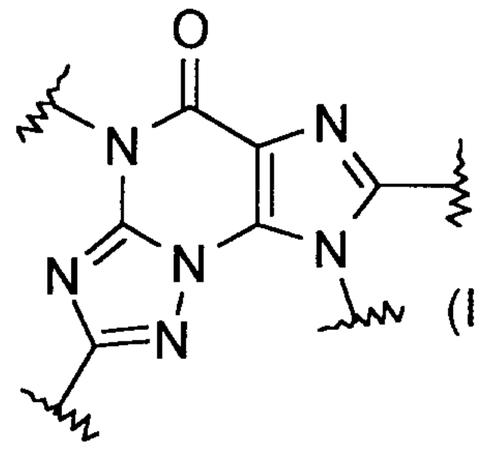
R^6 denotes hydrogen, methyl, ethyl, n-propyl, i-propyl, n-butyl, tert.-butyl, phenyl, benzyl, cyclopentyl, 2-furyl, 2-pyridylmethyl, 3-pyridylmethyl, 4-pyridylmethyl, cyclohexylmethyl, 2-phenylethyl, N-morpholinomethyl, N-pyrrolylmethyl, (3-pyridyl)-NH-CH_2-, Ph-COO-CH_2-, 3-pyridyl-COO-CH_2-, Ph-O-CH_2-, (4-MeO-Ph)-O-CH_2-, (4-MeO-Ph)-CH_2-O-CH_2-, 4-F-Ph-CH_2-, 3,4-F-Ph-CH_2-, -CH_2-OH, -CH_2-OMe, -CH_2-OEt, -CH_2-NMe_2, -COOMe or -COOH,

optionally in the form of the racemates, the enantiomers, the diastereomers and mixtures thereof, and optionally the pharmacologically acceptable acid addition salts thereof.

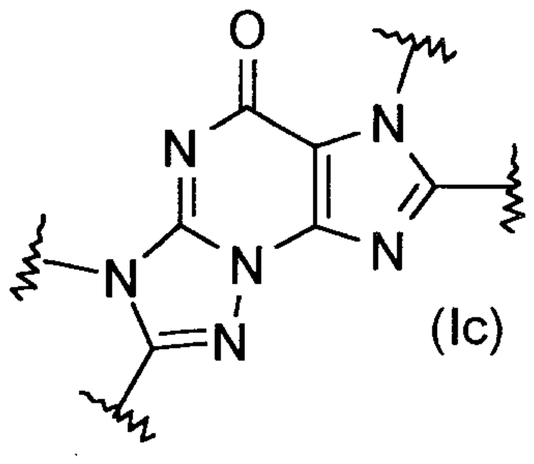
In addition, the invention relates to a new category of compounds which contain basic structures of general formulae (Ia) to (Id).



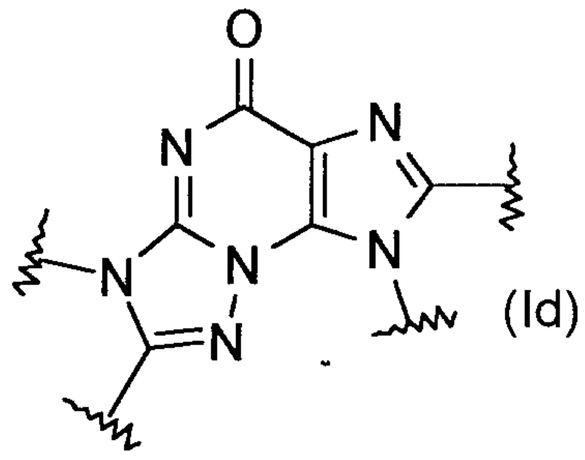
(Ia)



(Ib)

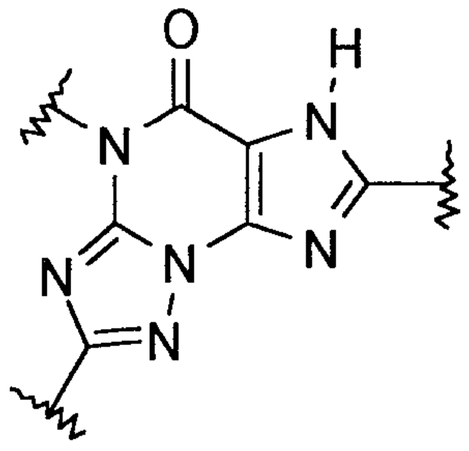


(Ic)



(Id)

Preferred compounds are derivatives of general formula



which have a substituent in positions 2, 4 and 7.

If required, the compounds of general formulae (Ia) to (Id) may be converted into the salts thereof, particularly, for pharmaceutical use, into the physiologically acceptable salts thereof with an inorganic or organic acid. Suitable acids for this purpose include hydrochloric acid, hydrobromic acid, sulphuric acid, phosphoric acid, methanesulphonic acid, acetic acid, fumaric acid, succinic acid, lactic acid, citric acid, tartaric acid or maleic acid. Moreover, mixtures of these acids may be used.

The alkyl groups meant here (including those which are components of other groups) are branched and unbranched alkyl groups having 1 to 10 carbon atoms, preferably 1 to 4 carbon atoms, such as: methyl, ethyl, n-propyl, iso-propyl, butyl, iso-butyl, sec.-butyl, tert.-butyl, pentyl, iso-pentyl, hexyl, heptyl and octyl.

Unless otherwise specified, substituted alkyl groups (including those which are components of other groups) may, for example, carry one or more of the following substituents: halogen, hydroxy, mercapto, C_{1-6}-alkyloxy, amino, alkylamino, dialkylamino, cyano, nitro, =O, -CHO, -COOH, -COO-C_{1-6}-alkyl, -S-C_{1-6}-alkyl.

Alkenyl groups (including those which are components of other groups) are the branched and unbranched alkenyl groups with 2 to 10 carbon atoms, preferably 2 to 3 carbon atoms, provided that they have at least one double bond, e.g. the alkyl groups mentioned above provided that they have at least one double bond, such as for example vinyl (provided that no unstable enamines or enolethers are formed), propenyl, iso-propenyl, butenyl, pentenyl and hexenyl.

Unless otherwise specified, substituted alkenyl groups, (including those which are components of other groups), may for example carry one or more of the following substituents: halogen, hydroxy, mercapto, C_{1-6}-alkyloxy, amino, alkylamino, dialkylamino, cyano, nitro, =O, -CHO, -COOH, -COO-C_{1-6}-alkyl, -S-C_{1-6}-alkyl.

The term alkynyl groups (including those which are components of other groups) refers to alkynyl groups having 2 to 10 carbon atoms provided that they have at least one triple bond, e.g. ethynyl, propargyl, butynyl, pentynyl and hexynyl.

Unless otherwise specified, substituted alkynyl groups, (including those which are components of other groups), may for example carry one or more of the following substituents: halogen, hydroxy, mercapto, C_{1-6}-alkyloxy, amino, alkylamino, dialkylamino, cyano, nitro, =O, -CHO, -COOH, -COO-C_{1-6}-alkyl, -S-C_{1-6}-alkyl.

Examples of cycloalkyl groups having 3 to 6 carbon atoms include cyclopropyl, cyclobutyl, cyclopentyl and cyclohexyl, which may also be substituted by branched or unbranched C_{1-4}-alkyl, hydroxy and/or halogen or as hereinbefore defined. The term halogen generally refers to fluorine, chlorine, bromine or iodine.

The word aryl denotes an aromatic ring system having 6 to 10 carbon atoms which, unless otherwise specified, may carry one or more of the following substituents, for example: C_{1-6}-alkyl, C_{1-6}-alkyloxy, halogen, hydroxy, mercapto, amino, alkylamino, dialkylamino, CF_3, cyano, nitro, -CHO, -COOH, -COO-C_{1-6}-alkyl, -S-C_{1-6}-alkyl. The preferred aryl group is phenyl.

Examples of N-linked cyclic groups of general formula NR^8R^9 are as follows: pyrrole, pyrroline, pyrrolidine, 2-methylpyrrolidine, 3-methylpyrrolidine, piperidine, piperazine, N-methylpiperazine, N-ethylpiperazine, N-(n-propyl)-piperazine, N-benzylpiperazine, morpholine, thiomorpholine, imidazole, imidazoline, imidazolidine, pyrazole, pyrazoline, pyrazolidine, preferably morpholine, N-benzylpiperazine, piperazine and piperidine, wherein the above-mentioned heterocycles may also be substituted by C_{1-4}-alkyl, preferably methyl, or may be substituted as in the definitions.

Examples of C-linked 5- or 6-membered heterocyclic rings which may contain nitrogen, oxygen or sulphur as heteroatoms include, for example, furan, tetrahydrofuran, 2-methyltetrahydrofuran, 2-hydroxymethylfuran, tetrahydrofuranone, γ-butyrolactone, α-pyran, γ-pyran, dioxolane, tetrahydropyran, dioxane, thiophene, dihydrothiophene, thiolane, dithiolane, pyrrole, pyrroline, pyrrolidine, pyrazole, pyrazoline, imidazole, imidazoline, imidazolidine, triazole, tetrazole, pyridine, piperidine, pyridazine, pyrimidine, pyrazine, piperazine, triazine, tetrazine, morpholine, thiomorpholine, oxazole, isoxazole, oxazine, thiazole, isothiazole, thiadiazole, oxadiazole and pyrazolidine, whilst the heterocycle may be substituted as in the definitions.

"=O" means an oxygen atom linked by a double bond.

The compounds according to the invention have an affinity for adenosine receptors and thus constitute a new category of adenosine antagonists. In general, adenosine antagonists may exhibit a therapeutically useful activity in cases where diseases or pathological situations are connected with the activation of adenosine receptors.

Adenosine is an endogenous neuromodulator with predominantly inhibitory effects on the CNS, heart, kidneys and other organs. The effects of adenosine are mediated through at least three receptor subtypes: adenosine A_1, A_2 and A_3 receptors.

In the CNS, adenosine develops inhibitory effects predominantly by activating A_1 receptors: presynaptically by inhibiting synaptic transmission (inhibiting the release of neurotransmitters such as acetylcholine, dopamine, noradrenalin, serotonin, glutamate, etc.), and postsynaptically by inhibiting neuronal activity.

A_1 antagonists cancel out the inhibitory effects of adenosine and promote neuronal transmission and neuronal activity.

A_1 antagonists are therefore of great interest in the treatment of degenerative diseases of the central nervous system such as senile dementia of the Alzheimer's type and age-associated disorders of memory and learning performance.

The disease includes, in addition to forgetfulness in its mild form and total helplessness and absolute dependence on care in the most severe form, a range of other accompanying systems such as sleep disorders, motor-coordination disorders up to the clinical picture of Parkinson's disease as well as increased lability affect and depressive symptoms. The disease is progressive and can result in death. Therapy up till now has been unsatisfactory. Hitherto, there has been a complete absence of specific therapeutic agents. Attempts at therapy with acetylcholinesterase inhibitors exhibit some effect in a small proportion of patients but are connected with a high level of side effects.

The pathophysiology of Alzheimer's disease and SDAT is characterised by a severe impairment of the cholinergic system, but other transmitter systems are also affected. As a result of the loss of presynaptic cholinergic and other neurons and the resulting lack of provision of neurotransmitters, neuronal transmission and neuronal activity is significantly reduced in the areas of the brain essential for learning and memory.

Selective adenosine A_1 receptor antagonists promote neuronal transmission by increased provision of neurotransmitters, they increase the excitability of postsynaptic neurons and can thus counteract the symptoms of the disease.

The high receptor affinity and selectivity of some of the compounds claimed should make it possible to treat Alzheimer's disease and SDAT with low doses, so that hardly any side effects can be expected which cannot be attributed to the blockade of A_1 receptors.

Another indication for centrally acting adenosine A_1 antagonists is depression. The therapeutic success of antidepressant substances appears to be connected to the regulation of A_1 receptors. A_1 antagonists may lead to the regulation of adenosine A_1 receptors and thus present a new therapeutic approach to the treatment of depressive patients.

Other fields of use particularly for A_2-selective adenosine antagonists are neurodegenerative diseases such as Parkinson's disease and also migraine. Adenosine inhibits the release of dopamine from central synaptic nerve endings by

interaction with dopamine-D_2 receptors. A_2 antagonists increase the release and availability of dopamine and thus offer a new therapeutic principle for treating Parkinson's disease.

In migraine, vasodilation of cerebral blood vessels mediated by A_2 receptors appears to be involved. Selective A_2 antagonists inhibit vasodilation and may thus be useful in treating migraine.

Adenosine antagonists may also be used in the treatment of peripheral indications.

For example, the activation of A_1 receptors in the lungs may lead to bronchoconstriction. Selective adenosine A_1 antagonists relax the smooth muscle of the trachea, cause bronchodilation and may thus be useful as antiasthmatic agents.

By activating A_2 receptors, adenosine may also lead, under certain circumstances, to respiratory depression and stoppage of breathing. A_2 antagonists cause respiratory stimulation. For example, adenosine antagonists (theophyllin) are used for treating respiratory distress and for preventing "sudden infant death" in premature babies.

Important fields of therapy for adenosine antagonists are also cardiovascular diseases and kidney diseases.

In the heart, adenosine causes inhibition of electrical and contractile activity by activating A_1 receptors. In conjunction with coronary vasodilation mediated by A_2 receptors, adenosine has a negative chronotropic, ionotropic, dromotropic, bathmotropic and bradycardiac effect and lowers the minute output.

Adenosine A_1 receptor antagonists are able to prevent damage to the heart and lungs caused by ischaemia and subsequent reperfusion. Consequently, adenosine antagonists may be used for the prevention and early treatment of damage to the heart caused by ischaemic reperfusion, e.g. after coronary bypass surgery, heart transplants, angioplasty or thrombolytic treatment of the heart and similar interventions. The same is true of the lungs.

In the kidneys, the activation of A_1 receptors causes vasoconstriction of afferent arterioles and, consequently, a fall in renal blood flow and glomerular filtration. A_1 antagonists act as powerful potassium-saving diuretics on the kidneys and can thus

be used for kidney protection and for the treatment of oedema, renal insufficiency and acute renal failure.

Because of the adenosine antagonism on the heart and the diuretic activity, A_1 antagonists may be used to therapeutic effect for various cardiovascular diseases, such as cardiac insufficiency, arrhythmias (bradyarrhythmias) associated with hypoxia or ischaemia, conduction disorders, hypertension, ascites in liver failure (hepato-renal syndrome) and as an analgesic in circulatory disorders.

Surprisingly, some of the compounds according to the invention display an affinity for the A_3-adenosine receptor. A_3 antagonists inhibit the degranulation of mast cells caused by activation of the A_3 receptor and are therefore therapeutically useful in all diseases and pathological situations connected with mast cell degranulation; e.g. as anti-inflammatory substances in hypersensitivity reactions such as asthma, allergic rhinitis, urticaria, in myocardial reperfusion injury, scleroderma, arthritis, autoimmune diseases, inflammatory bowel diseases, and the like. Cystic fibrosis - also known as mucoviscidosis - is a congenital metabolic disorder caused by a genetic defect on a certain chromosome. As a result of increased production and increased viscosity of the secretions of the mucous glands in the bronchi, there may be severe complications in the airways. Early investigations have shown that A_1 antagonists increase the efflux of chloride ions, e.g. in CF PAC cells. On the basis of these findings it is to be expected that the compounds according to the invention will regulate the disrupted electrolyte bands of the cells and alleviate the symptoms of the disease in patients suffering from cystic fibrosis (mucovicidosis).

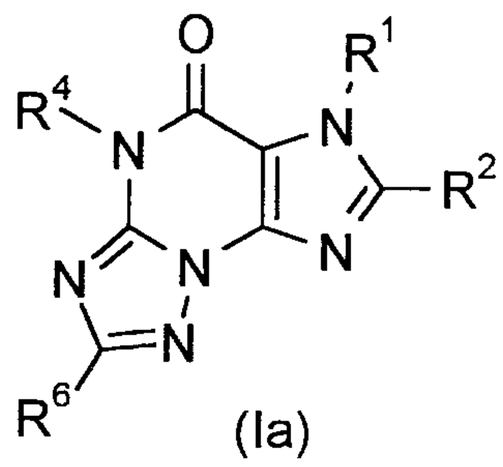
The A_1 receptor binding values obtained have been determined analogously with Ensinger et al. in "Cloning and functional characterisation of human A_1 adenosine receptor - Biochemical and Biophysical Communications, Vo.187, No. 2, 919-926, 1992" and are assembled in Table 20.

The A_3 receptor binding values assembled in Table 21 were determined analogously to Salvatore et al. "Molecular cloning and characterization of the human A_3-adenosine receptor" (Proc. Natl. Acad. Sci. USA 90, 10365-10369, 1993).

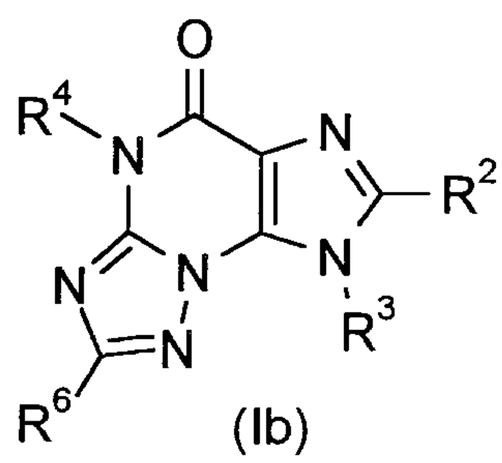
The new compounds of general formula (Ia) to (Id) may be administered orally, transdermally, by inhalation or parenterally. The compounds according to the invention are present as active ingredients in conventional preparations, e.g. in compositions consisting essentially of an inert pharmaceutical carrier and an effective

dose of the active substance, such as for example plain or coated tablets, capsules, lozenges, powders, solutions, suspensions, emulsions, syrups, suppositories, transdermal systems, etc. An effective dose of the compounds according to the invention is between 1 and 100, preferably between 1 and 50, most preferably between 5 and 30 mg/dose for oral use, between 0.001 and 50, preferably between 0.1 and 10 mg/dose for intravenous or intramuscular use. According to the invention, solutions containing 0.01 to 1.0, preferably 0.1 to 0.5% active substance are suitable for inhalation. It is preferable to use powders for administration by inhalation. It is also possible to use the compounds according to the invention as a solution for infusion, preferably in physiological saline or nutrient saline solution.

The compounds according to the invention may be prepared by the following methods. In order to synthesise the two isomers



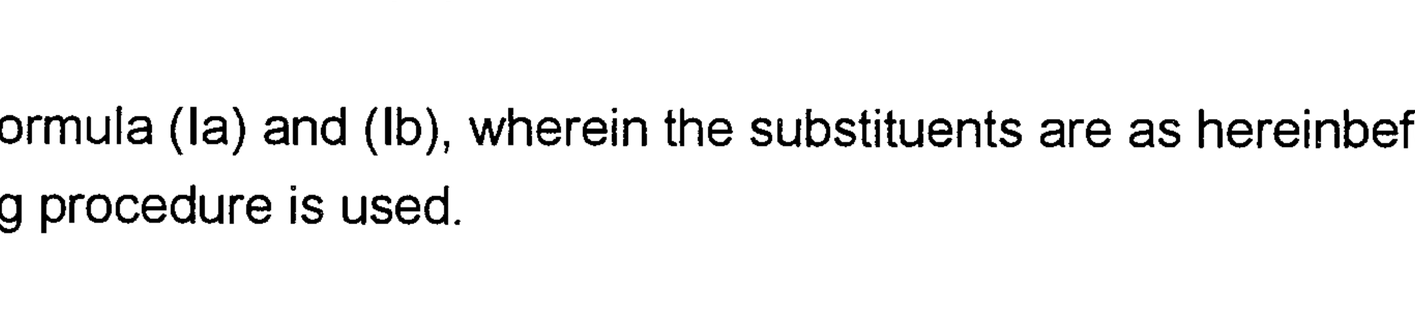
(Ia)



(Ib)

of general formula (Ia) and (Ib), wherein the substituents are as hereinbefore defined, the following procedure is used.

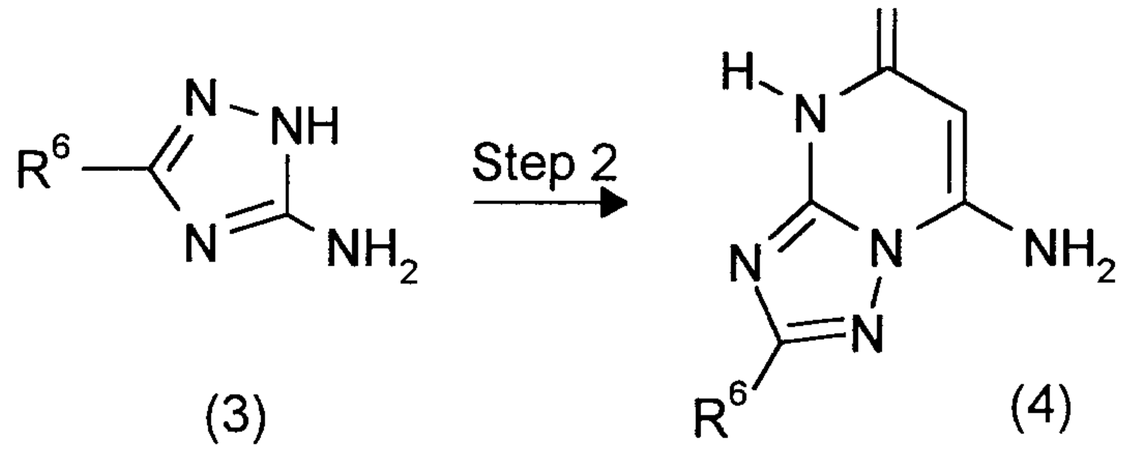
In a first step, aminoguanidine (1) is reacted with a carboxylic acid derivative of general formula (2) to obtain a triazole of general formula (3) (diagram 1). This reaction may be carried out in accordance with the procedures published in J. Chem. Soc. 1929, 816; J. Org. Chem 1926, 1729 or Org. Synthesis 26, 11.



(1) (2) (3)

Diagram 1:

Then, in a second step, the triazole of general formula (3) is reacted with alkylcyanoacetate in a cyclising reaction under alkaline conditions to obtain a triazolopyrimidine of general formula (4) (Diagram 2).



(3) (4)

Diagram 2:

The base may be an alkali or alkaline earth metal alkoxide, e.g. of methanol, ethanol, isopropanol, n-, sec- or tert.-butyl alcohol. Suitable alkali and alkaline earth metals include for example lithium, sodium, potassium, magnesium and calcium. Sodium methoxide, sodium ethoxide, sodium isopropoxide and potassium tert.-butoxide are particularly preferred as the base. Furthermore, alkali or alkaline earth metal hydroxides may be used as bases. The hydrides of sodium, lithium, potassium, magnesium and calcium are preferred. Suitable inert solvents are dimethylformamide, dimethylacetamide, methylene chloride and tetrahydrofuran. Additionally, alkali or alkaline earth metal hydroxides of lithium, sodium, potassium, magnesium and calcium may also be used, but preferably sodium hydroxide, potassium hydroxide, lithium hydroxide and calcium hydroxide in alcoholic or aqueous solution.

In addition to the alkylcyanoacetates, cyanoacetic acid may also be used. The mixture thus obtained is stirred at ambient temperature for 0.5 to 4 hours, preferably 1 to 2 hours and then mixed with a compound of general formula (3) and stirred for 2 to 12, preferably 4 to 6 hours, preferably at reflux temperature. The reaction mixture is then mixed with water at ambient temperature and acidified, after which the solid is filtered off, washed and dried. Examples of suitable acids are formic acid, acetic acid or inorganic acids such as hydrochloric or sulphuric acid.

In a third step, the groups R^4 and R^5 are introduced into the triazolopyrimidine of general formula (4) and the compounds of general formulae (5) and (12) are obtained (Diagram 3).

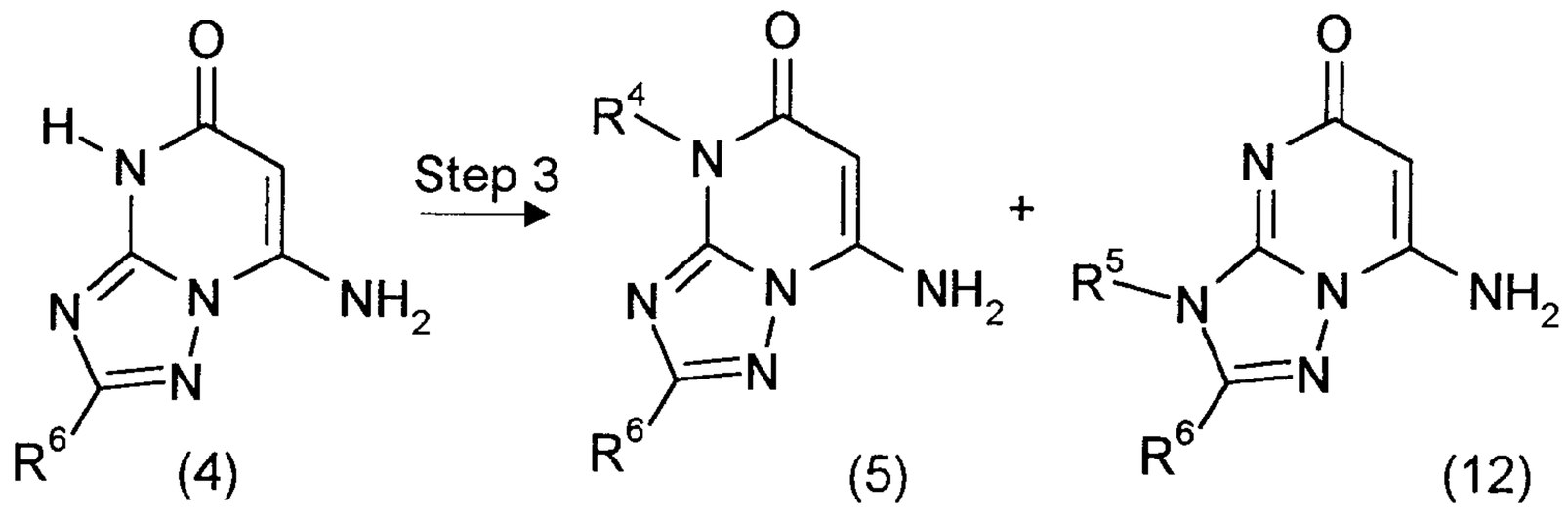


Diagram 3:

For this, a compound of general formula (4) is dissolved in 5 to 40 times, preferably 10 to 20 times the amount of a polar solvent, such as dimethylformamide, dimethylacetamide, methylene chloride or tetrahydrofuran, preferably dimethylformamide, and most preferably anhydrous, possibly absolute dimethylformamide. The resulting solution is combined with a base and a corresponding alkylating agent. Suitable bases include the alkali or alkaline earth metal carbonates of lithium, sodium, potassium and calcium such as sodium carbonate, lithium carbonate, potassium carbonate, calcium carbonate and preferably potassium carbonate. Moreover, the hydrogen carbonates of lithium, sodium and potassium may be used. It is also possible to use the alkali or alkaline earth metal hydroxides of lithium, sodium, potassium, magnesium or calcium, preferably sodium hydroxide, potassium hydroxide, lithium hydroxide and calcium hydroxide in alcohols or water. Other bases which may be considered are the alkoxides of the alkali and alkaline earth metals already mentioned in step (2). Furthermore, the above-mentioned alkali and alkaline earth metal hydrides may be used, preferably in inert solvents such as dimethylformamide, dimethylacetamide, methylene chloride, ethers, tetrahydrofuran and toluene. Suitable alkylating agents include alkyl halides, such as alkyl chloride, alkyl bromide, especially alkyl iodide and alkyl tosylates, mesylates, triflates and dialkylsulphates. The alkyl groups of the alkylating agents correspond to the definitions for R^4 and R^5 given hereinbefore. The reaction mixture is stirred at ambient temperature for 0.5 to 4 days, preferably 1 to 2 days and evaporated to dryness. The product can be worked up, on the one hand, by stirring the residue with water and a solvent, e.g. a halogenated solvent such as carbon tetrachloride, methylene chloride, preferably methylene chloride, whereupon the compound of general formula (5) can be isolated as a solid by filtering. The compound of general

formula (12) can be obtained from the filtrate by removing the solvent. Moreover, in the event that no solid is obtained, in order to isolate the compound of general formula (12) from the filtrate, the phases of the filtrate may be separated, the aqueous solution may be extracted with an halogenated solvent, preferably methylene chloride, and the combined organic phases may be dried and worked up. The compounds of general formulae (5) and (12) are obtained by chromatographic purification of the residue (Diagram 3).

By nitrosing a compound of general formula (5), a compound of general formula (6) is obtained in the fourth step (Diagram 4).

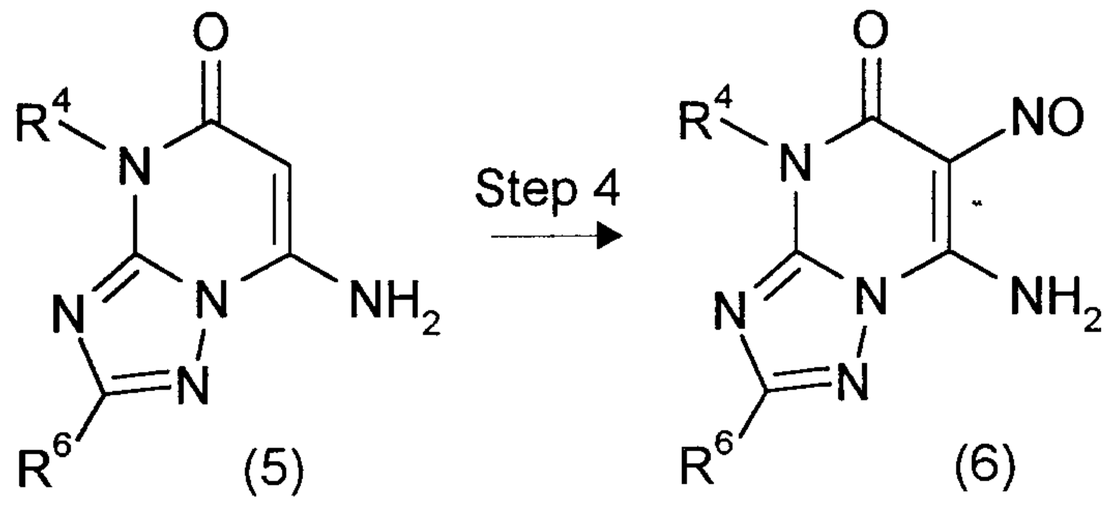


Diagram 4:
According to the invention, there are two alternative processes which may be used.

Step 4, alternative A:
A compound of general formula (5) is dissolved or suspended in a polar solvent, the polar solvent possibly consisting of any of the above-mentioned solvents and dimethylacetamide or an alcohol, e.g. methanol, ethanol, propanol, isopropanol and butanol. Dimethylformamide is particularly preferred. It is advisable to use the chosen solvent as an anhydrous, optionally absolute solvent. The mixture thus obtained is cooled to below 0°C, preferably -5°C. A nitrosing agent, e.g. an alkyl nitrite such as methyl, ethyl, n-propyl, isopropyl, n-butyl, sec.-butyl, tert.-butyl and pentyl nitrite, but preferably isoamyl nitrite, is added to this mixture, whilst the temperature should not rise above 0°C. The mixture is stirred at this temperature for 2 to 48, preferably 4 to 24, most preferably 8 to 12 hours. Then stirring is continued at ambient temperature if required to finish off the reaction. The solvent is eliminated *in vacuo*, the residue is filtered with a solvent, e.g. diethylether, washed with water and the residue thus obtained is dried (*in vacuo*).

Step 4, alternative B:

A compound of general formula (5) is mixed with an aqueous acid, the acid being an organic acid, formic acid, acetic acid, propionic acid, preferably acetic acid or an inorganic acid, e.g. hydrochloric acid or dilute sulphuric acid, preferably hydrochloric acid and mixtures thereof. The mixture is heated to 30 to 100, preferably 50 to 80, most preferably 70°C and mixed with a nitrosing agent, preferably sodium nitrite, most preferably sodium nitrite in water and kept at the above temperature for 0.5 to 2 hours, preferably 1 hour, and then kept for 0.5 to 2 hours, preferably 1 hour, at 0 to 20, preferably 5 to 15, most preferably 10°C. The product is filtered, the residue is washed and dried *in vacuo*.

In the fifth step the nitroso compound (6) is reduced to form a diamine derivative of general formula (7) (Diagram 5)

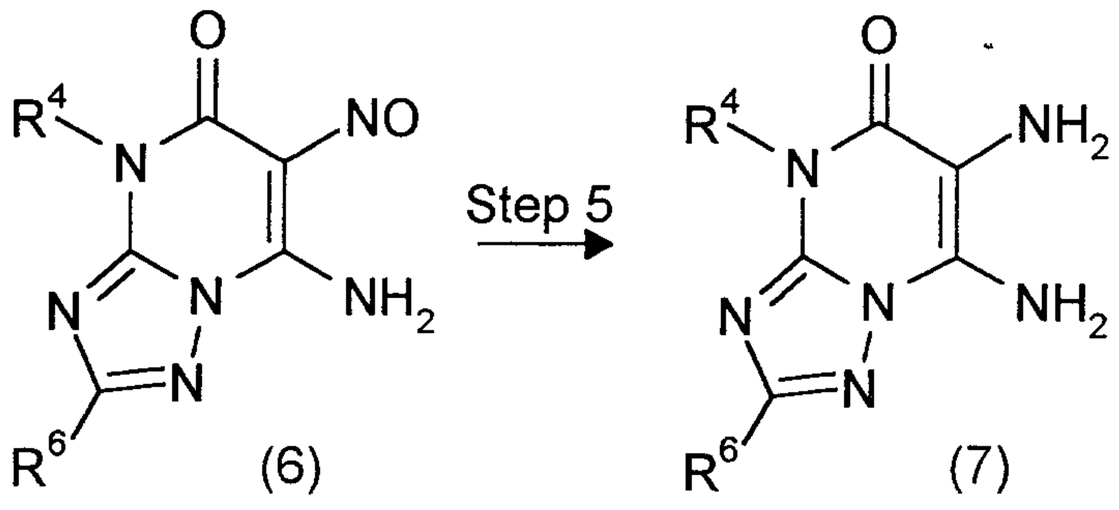


Diagram 5:

The following alternative methods may be used for this purpose.

Step 5, alternative A:

The nitroso compound (6) is suspended in water with stirring and combined with a suitable reducing agent. Suitable reducing agents are dithionite in acid or alkaline solution. Also ammonium sulphite, lithium, sodium or potassium hydrogen sulphite, triethylphosphite, triphenylphosphine or lithium aluminium hydride can be used. Moreover, the nitroso group may be catalytically hydrogenated using transition metal catalysts based on palladium, platinum, nickel or rhodium or by transfer hydrogenation, e.g. with cyclohexane or ammonium formate as the hydrogen source. However, it is preferable to use sodium dithionite. Apart from the aqueous ammonia solution which is preferably used, alkali or alkaline earth metal hydroxide solutions, e.g. lithium, sodium, potassium hydroxide or magnesium, calcium and barium hydroxide solutions, but preferably the dilute solutions, may be used as bases. Furthermore, the methyl, ethyl, isopropyl, n-propyl, isobutyl, tert.-butyl and n-butyl

alkoxides of the above-mentioned alkali and alkaline earth metals may be used. This suspension is heated to 20 to 100°C, preferably 50 to 90°C, most preferably 60 to 80°C and mixed with an acid, preferably at the beginning, but most preferably within the first 30 minutes. Possible acids are inorganic acids, hydrochloric and sulphuric acid and organic acids such as formic acid and acetic acid and mixtures thereof, sulphuric acid being preferred whilst 50% sulphuric acid is particularly preferred. The resulting mixture is refluxed until the reaction is complete. On cooling, the product is precipitated as a hemisulphate. Moreover, the product can be precipitated by neutralising with an aqueous base, e.g. lithium hydroxide, sodium hydroxide, potassium hydroxide, preferably sodium hydroxide solution, most preferably 30% by weight sodium hydroxide solution by neutralising and then filtered off as a free base.

Step 5, alternative B:

The nitroso compound (6) is added to a mixture of aqueous base and an alcohol, with stirring. Then, after the nitroso compound has been dissolved or suspended, the reducing agent is added, preferably in solution. Possible reducing agents are those mentioned in Method A, an aqueous solution of sodium dithionite being particularly preferred. Then the mixture is stirred at ambient temperature for 0.5 to 6, preferably 1 to 4, most preferably 1.5 to 3 hours. The product precipitated is isolated by filtering.

In the sixth step, the isomeric compounds (8) are prepared from the diamines of general formula (7) (Diagram 6).

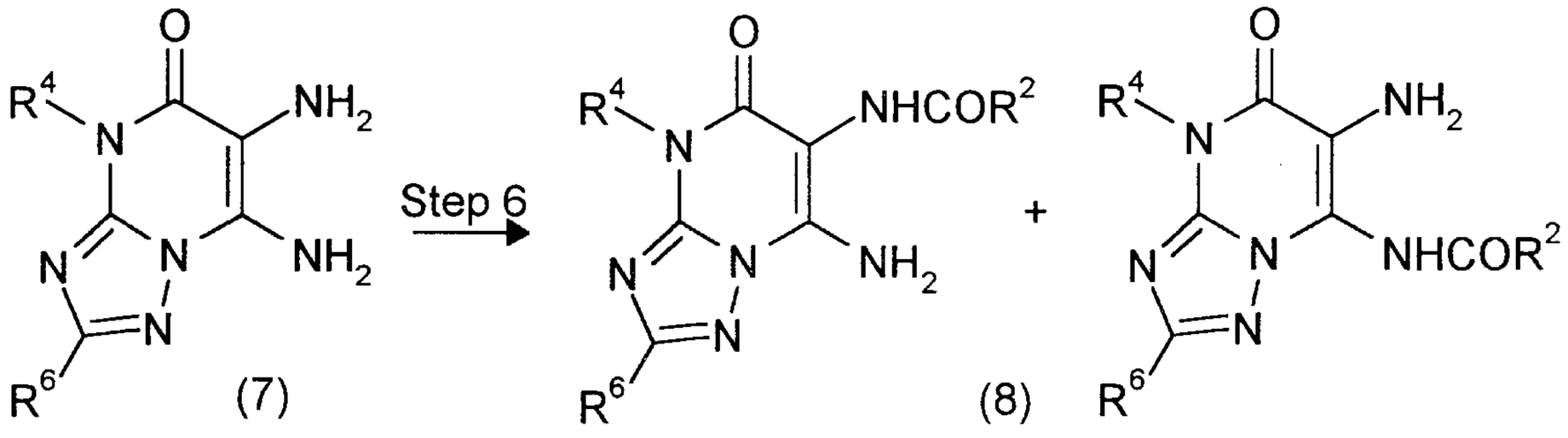


Diagram 6:

For this, the amino compound of general formula (7) is suspended in an organic solvent and mixed with an organic base. After the mixture has been kept at ambient temperature for 40 to 60 minutes, preferably 20 to 50 minutes, most preferably 25 to 45 minutes, the mixture is cooled to 0 to 15°C, preferably 5 to 10°C and combined with a carboxylic acid derivative wherein the group R^2 is as hereinbefore defined. Suitable organic solvents are dimethylformamide, dimethylacetamide, methylene chloride, toluene and tetrahydrofuran, of which dimethylformamide is preferred and

anhydrous, optionally absolute dimethylformamide is particularly preferred. Suitable organic bases are dimethylaminopyridine, pyridine, tert.-amines, such as trimethylamine, triethylamine, diisopropylethylamine, DBU (diazabicycloundecene) or one of the inorganic bases mentioned earlier, particularly alkali or alkaline earth metal carbonates or hydrogen carbonates. However, dimethylaminopyridine is particularly suitable as the base. Carboxylic acid derivatives which may be used according to the invention are the carboxylic acid halides, preferably carboxylic acid chlorides or carboxylic acids if they are suitably activated. This may be done, for example, by reacting with chloroformic acid esters, carbonyldiimidazole, carbodiimides, such as dicyclohexylcarbodiimide, EDAC, or benzotriazoles, such as HOBt (1-hydroxy-1-H-benzotriazole) and TBTU. Similarly, the corresponding aldehyde may also be used instead of the carboxylic acid derivative and the intermediate product may be oxidised with iron(II)chloride, azodicarboxylic acid esters and other oxidising agents. The mixture is then stirred at ambient temperature for 0.5 to 4 hours, preferably 1 to 3 hours, most preferably 2 hours, at 5 to 20°C, preferably 7 to 15°C, most preferably 10°C and overnight at ambient temperature and the solvent is then eliminated *in vacuo*. The residue is taken up in water, the solid is filtered off, washed and optionally purified by recrystallisation or chromatography, preferably column chromatography.

In the seventh step, a compound of general formula (6), (7) or (8) is cyclised to form the compound of general formula (9) in accordance with methods A to C (Diagram 7).

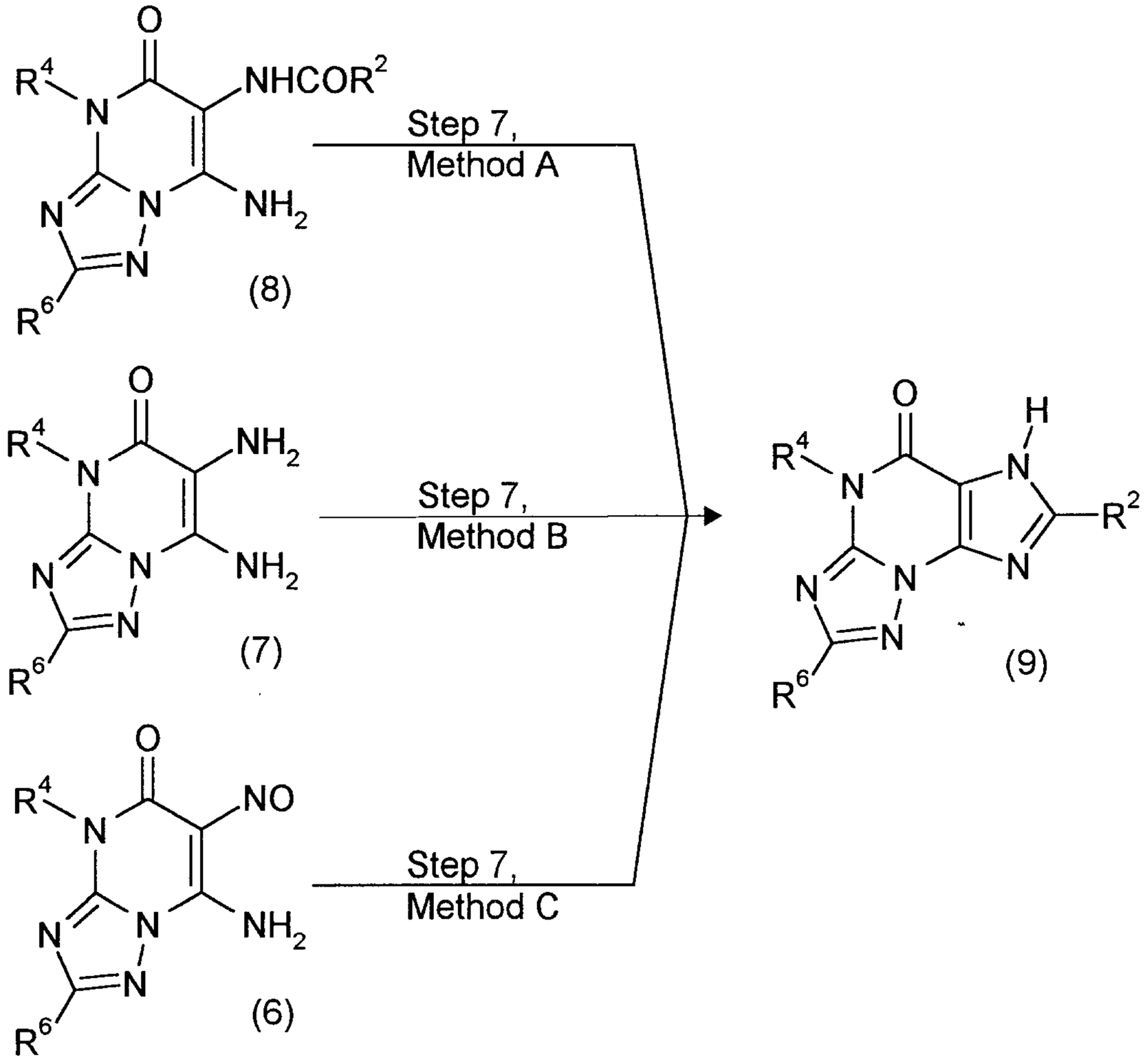


Diagram 7:

Step 7, Method A:

A compound of general formula (8) is suspended in an alcohol and refluxed with a base for 24 hours. After cooling, the mixture is made alkaline, the solid is filtered off and dried. If desired, the product is purified by recrystallisation or chromatography, preferably column chromatography. Bases which may be used here include the alkali metal and alkaline earth metal hydroxides, e.g. the hydroxides of lithium, sodium, potassium, calcium and barium and mixtures thereof in the form of aqueous solutions or optionally in admixture with an alcohol and/or with a water-miscible ether. Suitable alcohols are methanol, ethanol, n-propanol, isopropanol, n-butanol and tert.-butanol. Suitable ethers include, in particular, cyclic ethers, e.g. tetrahydrofuran and dioxane. By analogous methods, cyclisation may also be carried out with inorganic acid chlorides such as thionyl chloride, phosphorusoxychloride or phosphorus pentachloride and with polyphosphoric acid.

Another analogous method consists of reacting the carboxylic acid amide under acid conditions with inorganic acid/methanol, preferably hydrochloric acid/methanol or under basic conditions with alkali metal/methanol, preferably sodium/methanol and then carrying out thermal cyclisation.

Step 7, Method B:

In another process it is possible to prepare the compound (9) wherein R^2 is hydrogen starting from the diamine (7). To do this, (7) is stirred with an equimolar amount, preferably with an excess of formamide for 0.5 to 3 hours, preferably 1 to 2 hours, most preferably 1.5 hours at 50 to 250°C, preferably 100 to 225°C, most preferably 180 - 200°C. The formamide remaining is distilled off *in vacuo* and the residue is taken up in water. The solid thus obtained is filtered off, washed with water and the resulting product is purified optionally by recrystallisation or chromatography, preferably column chromatography.

Step 7, Method C:

Moreover, the compound of general formula (9) may be obtained directly from the nitroso compound of general formula (6) if R^2 denotes a group NR^8R^9, wherein R^8 and R^9 are as hereinbefore defined. To a solution of the desired N-formylamine in diglyme, phosphorusoxychloride is added at -10 to +20°C, preferably -5 to +5°C, most preferably 0°C. Then the mixture is stirred in an ice bath and the nitroso compound (6) is added. The mixture is stirred for 15 to 120 minutes, preferably 30 to 80 minutes, most preferably 40 to 60 minutes, at 30 to 120°C, preferably 50 to 100°C, most preferably 70 to 80°C and then added to ice water. The solid product is filtered off, washed and dried and optionally purified by recrystallisation or purified by chromatography, preferably column chromatography.

The compounds of general formula (Ia) and (Ib) may be obtained in an eighth step from the compound of general formula (9) (Diagram 8).

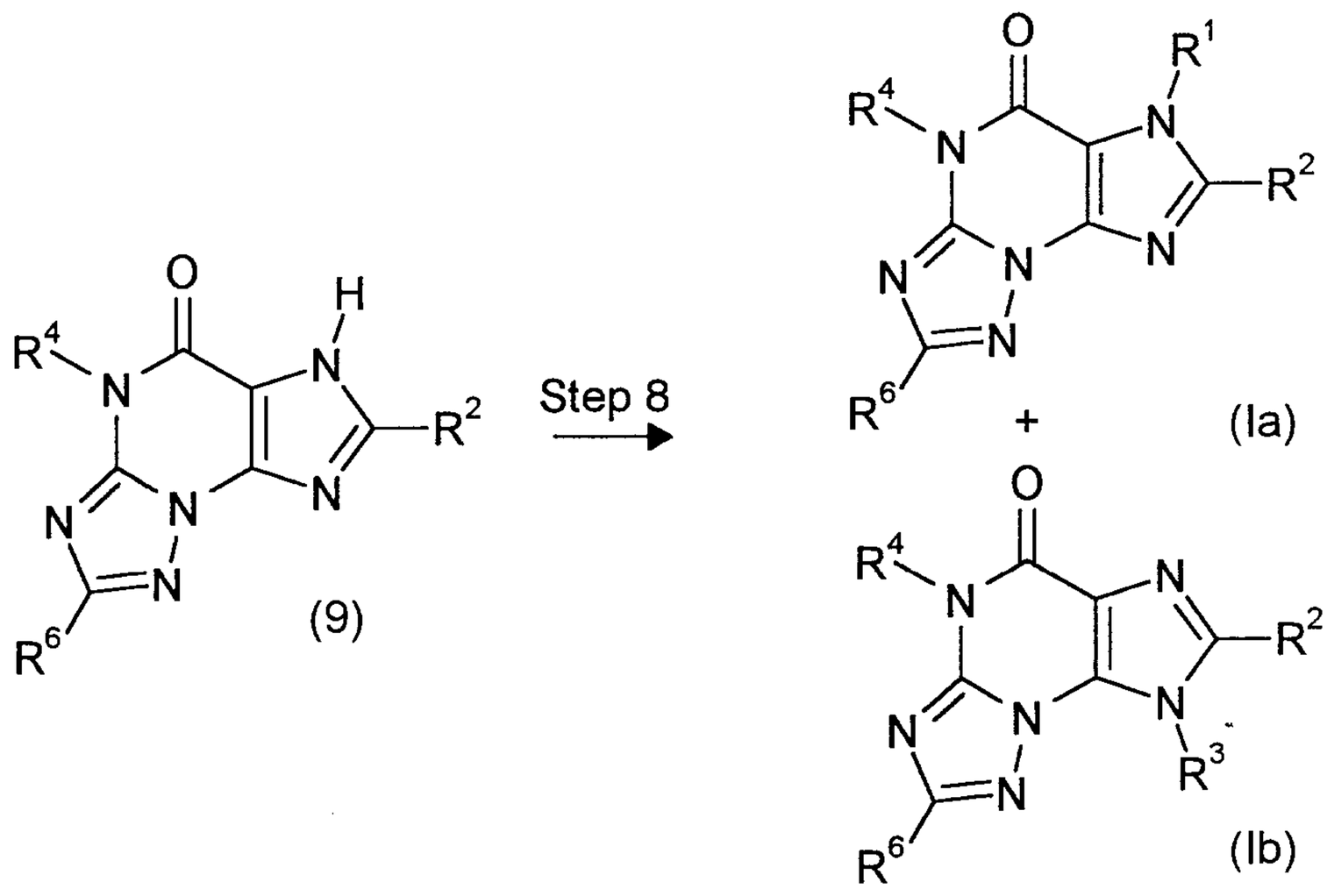


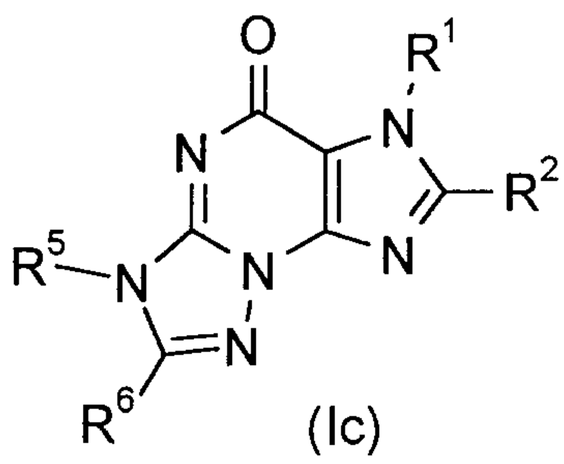
Diagram 8:

For this, the starting compound is taken up in an organic solvent and reacted with a base and a suitable alkylating agent. The reaction mixture is stirred overnight at ambient temperature. If required, the reaction may also be carried out at elevated temperature. The duration of the reaction may be up to one week under certain circumstances. When the reaction has ended the mixture is evaporated to dryness. The residue is taken up in an aqueous alkaline solution, whilst the base may be lithium hydroxide, sodium hydroxide, potassium hydroxide, preferably sodium hydroxide and most preferably 2N sodium hydroxide solution. The solution is then washed with a non-polar organic solvent such as benzene, toluene or xylene, preferably toluene and adjusted to a pH of 6. The solid precipitated is filtered off and optionally purified by chromatography, preferably column chromatography. In this way, both the dialkylation products and the monoalkylation products of the compounds of general formula (Ia) and (Ib) may be prepared and isolated.

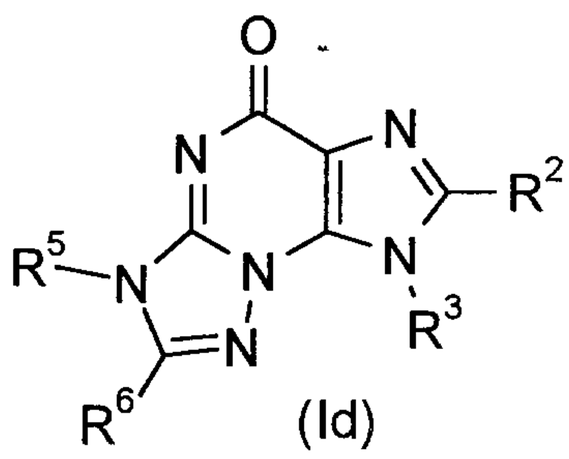
Suitable organic solvents for the alkylation include inert solvents such as dimethylformamide, dimethylacetamide, methylene chloride, alkylether, preferably diethylether, tetrahydrofuran, benzene, toluene, xylene and particularly dimethylformamide. Suitable bases include carbonates such as lithium carbonate, sodium carbonate, potassium carbonate, calcium carbonate and hydrogen carbonates of the above-mentioned alkali metals and alkaline earth metals as well as the hydroxides and alkoxides thereof. The alkoxides of the above-mentioned alkali

and alkaline earth metals with methanol, isopropanol and tert.-butanol are preferred, sodium ethoxide being particularly preferred. In the case of the carbonates, hydrogen carbonates, alkoxides and hydroxides, it may be advantageous to use alcohol or water as solvent. Other bases which may be used for alkylation are sodium hydride, potassium hydride, lithium hydride and calcium hydride in the above-mentioned inert solvents. Moreover, suitable alkylating agents are hydrocarbon halides such as alkyl chlorides, alkyl bromides and alkyl iodides as well as hydrocarbon or alkyl tosylates, -mesylates and -triflates and the alkyl sulphates, alkyl iodides being preferred.

The invention further relates to a process for preparing compounds of general formula (Id) and (Ic)

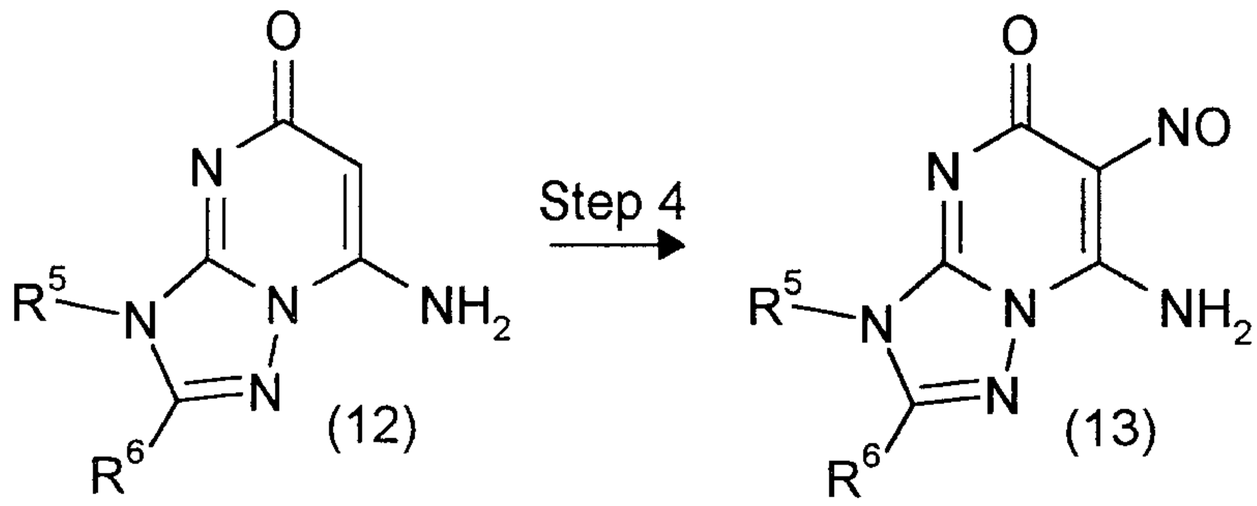


(Ic)



(Id)

Starting from a compound of general formula (12) a compound of general formula (13) may be obtained (Diagram 9) in accordance with the reaction of (5) to obtain (6) described hereinbefore (cf. Diagram 4, Step 4).



(12) (13)

Diagram 9:

This may be converted in accordance with the method of Step 5 (cf. Diagram 5) into a compound of general formula (14) (Diagram 10).

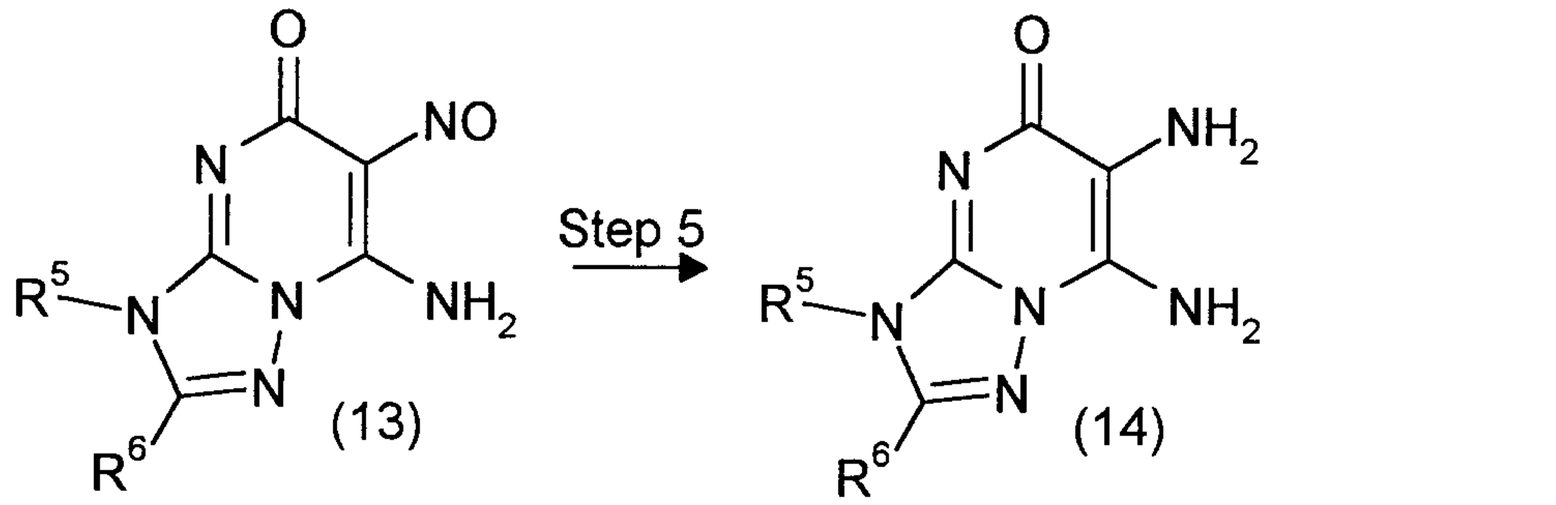


Diagram 10:

In accordance with the alternative methods described hereinbefore for reacting compound (7) to obtain compound (8) (cf. Diagram 6, Step 6) the compounds of general formula (14) may be converted into the compounds of general formula (15) (Diagram 11).

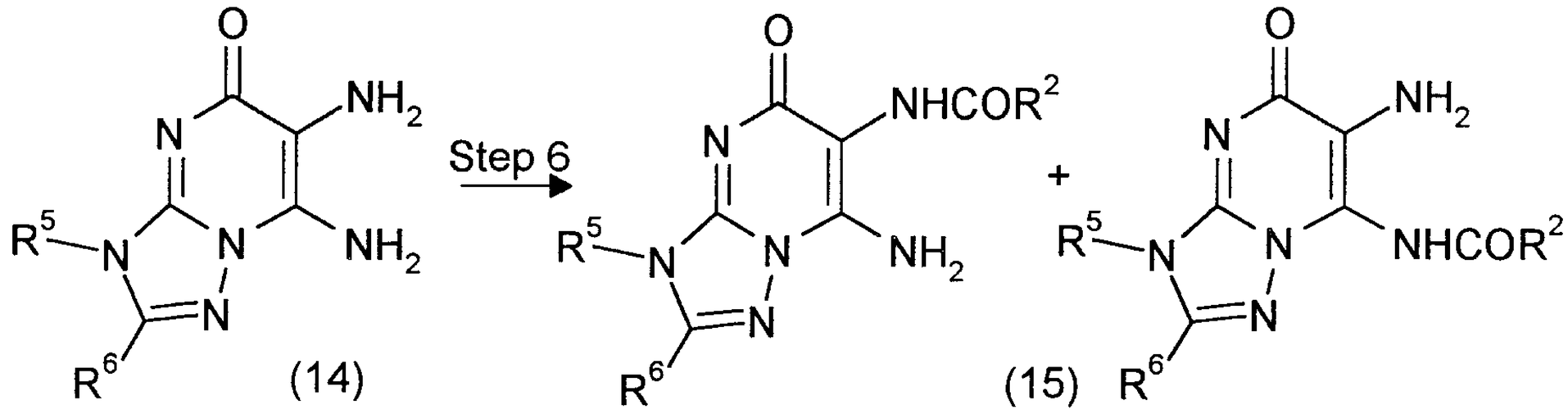


Diagram 11:

From this, the compounds of general formula (16) may be obtained (Diagram 12) in Step 7 in accordance with the alternative reactions of cyclisation described above (cf. Diagram 7).

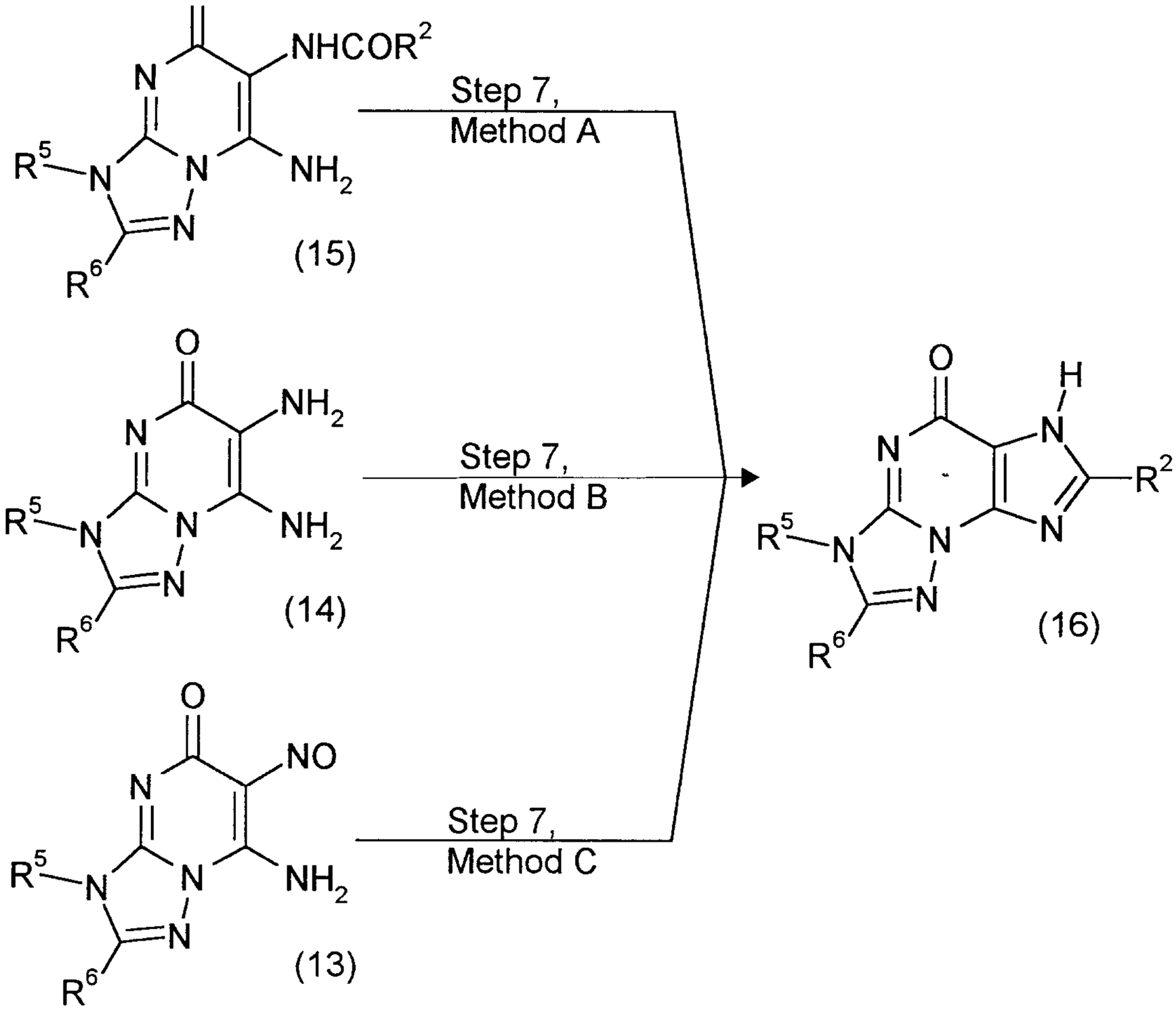


Diagram 12:

From these, the compounds of general formulae (Ic) and (Id) may be obtained by the alkylation reactions described in Steps 3 and 8 and may then be isolated if required by suitable methods of purification or separation, e.g. crystallisation or column chromatography (Diagram 13).

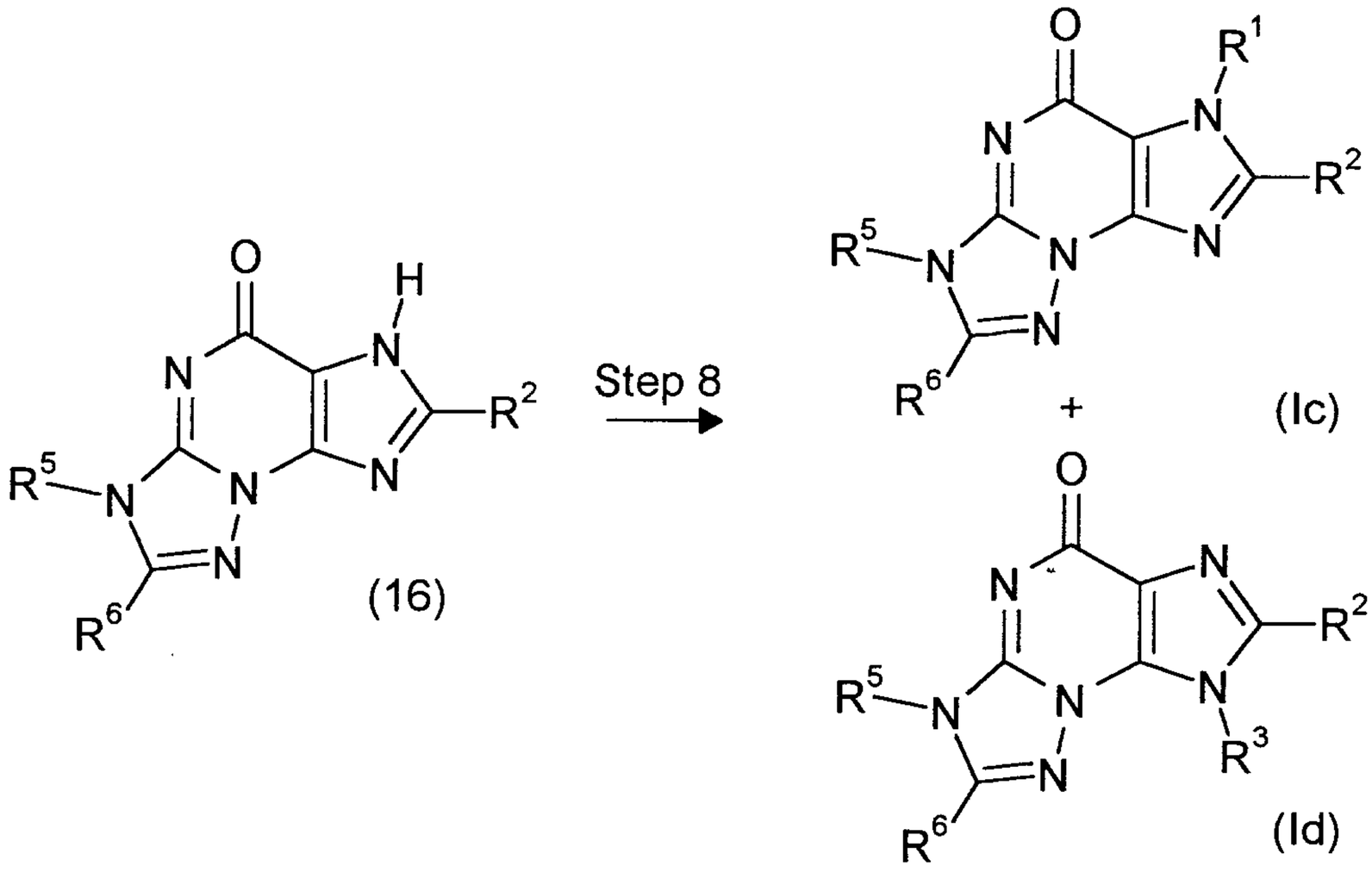


Diagram 13:

By introducing suitably substituted groups R^1, R^2, R^3, R^4, R^5 or R^6, the imidazotriazolopyrimidines (Ia), (Ib), (Ic) and (Id) which may be prepared by the methods described above can be further functionalised using generally known methods. If desired, suitable protecting groups may be used. Diagram 14 which follows illustrates, by way of example, possible modifications to the group R^6 of the compounds (Ib) which may arise according to the invention.

The reactions outlined by way of example in Diagram 14 lead to reactive imidazotriazolopyrimidines which may be used as starting compounds for further structural variations (esterifications, alkylations, substitutions etc.). According to the invention, all the groups R^1, R^2, R^3, R^4, R^5 or R^6 may be functionalised. These modifications are not restricted to compounds of general formula (Ib) but may also be transferred to the imidazotriazolopyrimidines of general formulae (Ia), (Ic) and (Id). Diagram 14 serves as an explanation by way of example of possible structural variations of the imidazotriazolopyrimidines (Ia), (Ib), (Ic) and (Id), without restricting the invention to the reaction sequences shown.

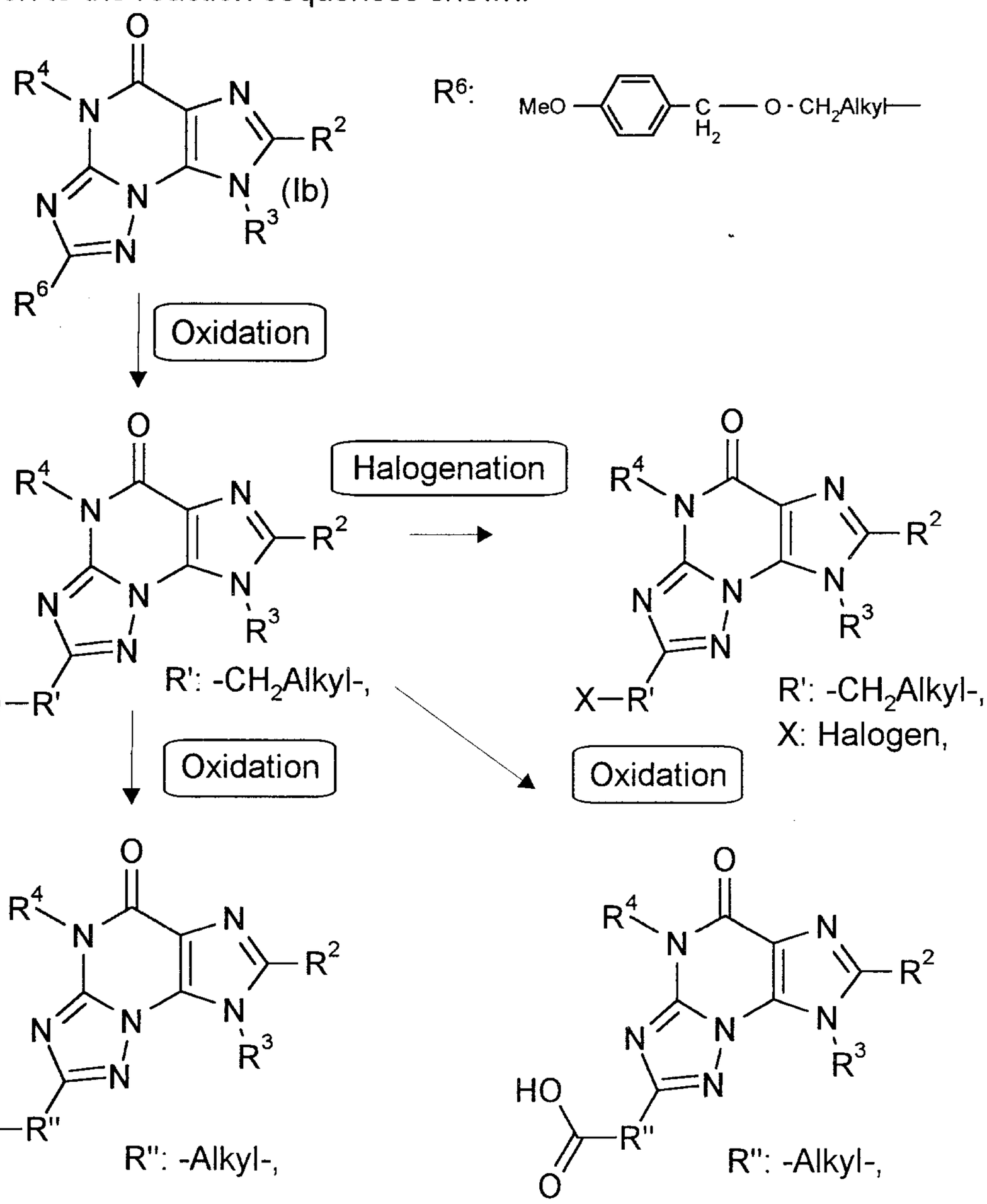
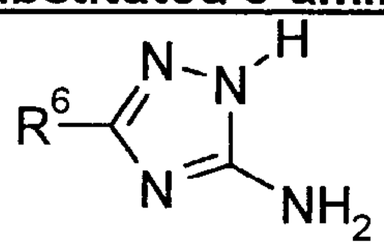


Diagram 14:

The present invention is explained more fully by means of descriptions of synthesis of imidazotriazolopyrimidines given by way of example. These Examples serve as an illustration without restricting the invention to their scope.

Step 1: Synthesis of 5-substituted 3-amino-1,2,4-triazoles (3):



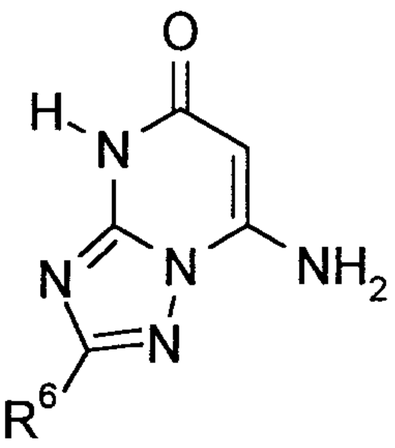
(3)

The unsubstituted 3-amino-1,2,4-triazole is commercially obtainable, the 5-substituted 3-amino-1,2,4-triazoles required may be prepared in accordance with methods known from the literature as published, for example, in J. Chem. Soc. 1929, 816, J. Org. Chem. 1926, 1729 or Org. Synthesis 26, 11. The carboxylic acids R^6-COOH and nitriles R^6-CN are commercially obtainable or may be prepared by methods known from the literature.

Table 1:

No.	R^6	Yield (%)	M (°C)
1.1.	-H	commercially obtainable	-
1.2.	-Methyl	76	145-148
1.3.	-Ethyl	75	152
1.4.	-n-Propyl	62	140-143
1.5.	-i-Propyl	67	103-104
1.6.	-n-Butyl	62	118
1.7.	-t-Butyl	39	130-131
1.8.	Benzyl-	70	167-169
1.9.	Cyclopentyl-	64	168-172
1.10.	2-Furyl- (Hemisulfate)	76	207-208
1.11.	Phenyl-	68	185-186
1.12.	Cyclohexylmethyl-	51	186-188
1.13.	2-Phenylethyl-	53	139-140
1.14.	(4-MeO-Ph)-O-CH_2-	41	-
1.15.	(4-MeO-Ph)-CH_2-O-CH_2-	54	153
1.16.	(4-Ph-CH_2O-Ph)-CH_2-	57	196-200
1.17.	4-Fluorobenzyl-	100	163-164
1.18.	3,4-Difluorobenzyl-	81	135-137
1.19.	3-Pyridylmethyl-	58	192-196

Step 2: Synthesis of 2-substituted 4*H*-7-amino-1,2,4-triazolo[1,5-a]pyrimidin-5-one derivatives (4)



(4)

General method:

2.3g (0.1 mol) of sodium are dissolved in 10 ml of abs. ethanol, then 14.7 g (0.13 mol) of ethyl cyanoacetate are added. The mixture is stirred for 1 - 2 hours at ambient temperature, then mixed with 0.1 mol of the desired 5-substituted 3-aminotriazole and refluxed for 4 - 6 hours. The cooled reaction mixture is mixed with water and made acidic with stirring, then the solid is filtered off, washed and dried.

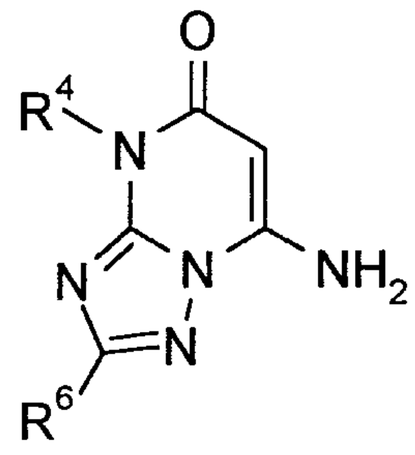
Using this process the following compounds were prepared:

Table 2:

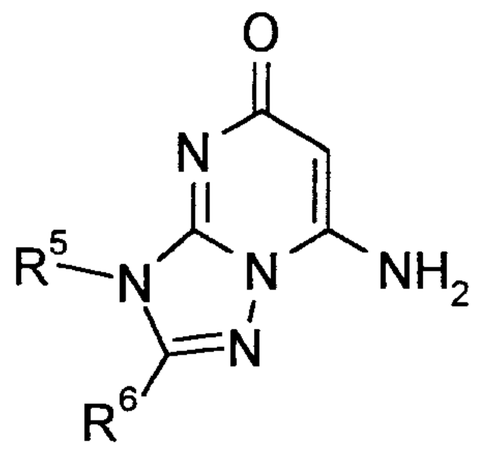
No	R^6	Yield (%)	Mp (°C)
2.1.	-H	73	>370
2.2.	-Methyl	76	>300
2.3.	-Ethyl	81	>300
2.4.	-n-Propyl	80	290 (Decomp.)
2.5.	-i-Propyl	81	294 (Decomp.)
2.6.	-n-Butyl	77	256
2.7.	-t-Butyl	78	>300
2.8.	Benzyl-	82	300 (Decomp.)
2.9.	Cyclopentyl-	83	310 (Decomp.)
2.10.	2-Furyl-	61	-
2.11.	Phenyl-	75	-
2.12.	Cyclohexylmethyl-	81	> 300
2.13.	2-Phenylethyl-	83	295-296
2.14.	(4-MeO-Ph)-O-CH_2-	68	302
2.15.	(4-MeO-Ph)-CH_2-O-CH_2-	89	245
2.16.	(4-$PhCH_2O$-Ph)-CH_2-	96	296-298

No	R^6	Yield (%)	Mp (°C)
2.17.	4-Fluorbenzyl-	79	300-302
2.18.	3,4-Difluorbenzyl-	62	290-292
2.19.	3-Pyridylmethyl-	82	>300

Step 3: Synthesis of the alkylated triazolopyrimidine derivatives (5) and (12):



(5)



(12)

General method:

66 mMol of the relevant 7-amino-1,2,4-triazolo[1,5-a]pyrimidin-5-one (4) are dissolved in 10 - 20 times the quantity of anhydrous dimethylformamide and mixed with 76 mMol of potassium carbonate and 76 mMol of the desired alkyl iodide. The reaction mixture is stirred at ambient temperature for one 1 - 2 days and evaporated to dryness. The residue is stirred with water and methylene chloride. In many cases, after this treatment, compound (5) can be filtered off as a solid and isolated in this way. The isomer (12) can then be obtained from the filtrate as described below. If no solid is obtained during stirring or in order to isolate compound (12) from the filtrate, the phases of the filtrate are separated, the aqueous phase is extracted with methylene chloride and the combined organic phases are dried over magnesium sulphate and evaporated to dryness. Compound (5) and optionally (12) are isolated by chromatographic purification of the residue. The alkyl halides required R'-X (wherein R' = R^4, R^5) are commercially obtainable or may be prepared by methods known from the literature.

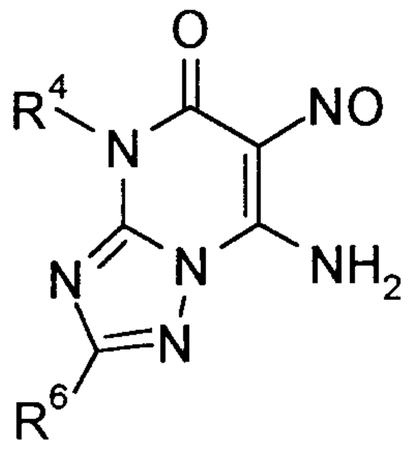
Using this method the following substances were prepared:

Table 3:

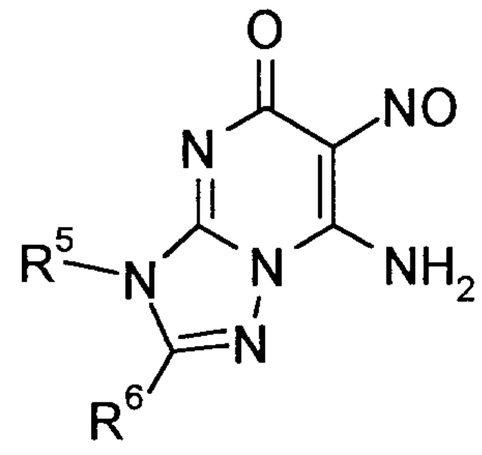
No.	R^6	R^4	R^5	Yield (%)	Mp (°C)
3.1	-H	-Methyl	-	80	310(Decomp.)
3.2	-H	-n-Propyl	-	58	184 - 186
3.3	-H	-	-n-Propyl	18	183
3.4	-Methyl	-n-Propyl	-	61	228
3.5	-Ethyl	-n-Propyl	-	65	194
3.6	-n-Propyl	-n-Propyl	-	69	170
3.7	-i-Propyl	-n-Propyl	-	50	138
3.8	-Butyl	-n-Propyl	-	62	167
3.9	-t-Butyl	-n-Propyl	-	64	164 - 165
3.10	2-Furyl-	-n-Propyl	-	45	260 - 262
3.11	Cyclopentyl-	-n-Propyl	-	64	148 - 150
3.12	Cyclopentyl-	-	-n-Propyl	22	173
3.13	Benzyl-	-n-Propyl	-	60	208
3.14	Benzyl-	-Methyl	-	52	296
3.15	Benzyl-	-Ethyl	-	58	213
3.16	Benzyl	-i-Propyl	-	29	232
3.17	Benzyl-	-n-Butyl	-	55	175
3.18	Benzyl-	-Pentyl	-	63	188
3.19	Benzyl-	Benzyl-	-	51	180 - 181
3.20	Phenyl-	-n-Propyl	-	30	270 - 271
3.21	Cyclohexylmethyl-	-Ethyl	-	67	210-212
3.22	2-Phenylethyl-	-Ethyl	-	29	173-174
3.23	(4-MeO-Ph)-O-CH_2-	-Ethyl	-	58	177-180
3.24	(4-MeO-Ph)-CH_2-O-CH_2-	-Ethyl	-	100	-*
3.25	(4-PhCH_2-O-Ph)-CH_2-	-Ethyl	-	63	240-242
3.26	4-Fluorobenzyl-	-Ethyl	-	53	-
3.27	3,4-Difluorobenzyl-	-Ethyl	-	61	228
3.28	3-Pyridylmethyl-	-Ethyl	-	94	186-188

*Isomer separation in following step

Step 4: Synthesis of the nitroso compounds (6) and (13)



(6)



(13)

General methods (alternative A):

10 mMol of 7-amino-1,2,4-triazolo[1,5-a]pyrimidin-5-one (4), (5) or (12) are dissolved or suspended in 10-30 ml of anhydrous dimethylformamide and the mixture is cooled to -5°C. 20 mMol of isoamyl nitrite are added in such a way that the temperature does not rise above 0°C and the mixture is stirred at this temperature for 4 - 24 hours, then as necessary at ambient temperature until the reaction is complete. The solvent is eliminated *in vacuo*, the residue is stirred with ether, filtered off, washed with water and dried *in vacuo*.

The following substances were prepared by this method:

Table 4a:

No.	R^6	R^4	R^5	Yield (%)	Mp (°C)
4.1	-H	-H	-	85	>350
4.2	-H	-Methyl	-	79	248
4.3	-H	-n-Propyl	-	81	211 (Decomp.)
4.4	-Methyl	-n-Propyl	-	88	226 (Decomp.)
4.5	-Ethyl	-n-Propyl	-	94	190
4.6	-n-Propyl	-n-Propyl	-	96	206 (Decomp.)
4.7	-i-Propyl	-n-Propyl	-	72	210 (Decomp.)

No.	R^6	R^4	R^5	Yield (%)	Mp (°C)
4.8	-n-Butyl	-n-Propyl	-	95	196 (Decomp.)
4.9	-t-Butyl	-n-Propyl	-	93	200 (Decomp.)
4.10	2-Furyl-	-n-Propyl	-	85	261
4.11	Cyclopentyl-	-n-Propyl	-	97	224 (Decomp.)
4.12	Cyclopentyl-	-H	-	89	225 (Decomp.)
4.13	Benzyl-	-H	-	97	238 (Decomp.)
4.14	Benzyl-	-n-Propyl	-	95	208 (Decomp.)
4.15	Benzyl-	-Methyl	-	96	233 (Decomp.)
4.16	Benzyl-	-Ethyl	-	97	226 (Decomp.)
4.17	Benzyl	-i-Propyl	-	88	190 (Decomp.)
4.18	Benzyl-	-n-Butyl	-	93	196
4.19	Benzyl-	-n-Pentyl	-	74	190 (Decomp.)
4.20	Benzyl-	Benzyl-	-	96	236
4.21	Phenyl-	-n-Propyl	-	92	257 - 258 (Decomp.)
4.22	-H	-	-n-Propyl	63	206 (Decomp.)
4.23	Cyclopentyl-	-	-n-Propyl	74	146
4.24	Cyclohexylmethyl-	-Ethyl	-	83	208-209
4.25	2-Phenylethyl-	-Ethyl	-	90	200-201
4.26	(4-MeO-Ph)-O-CH_2-	-Ethyl	-	93	214-216
4.27	(4-MeO-Ph)-CH_2-O-CH_2-	-Ethyl	-	56	156-158
4.28	(4-PhCH_2-O-Ph)-CH_2-	-Ethyl	-	97	201 (Decomp.)
4.29	4-Fluorobenzyl-	-Ethyl	-	89	241-242
4.30	3,4-Difluorobenzyl-	-Ethyl	-	97	234-236
4.31	3-Pyridylmethyl-	-Ethyl	-	44	229

General method (alternative B):

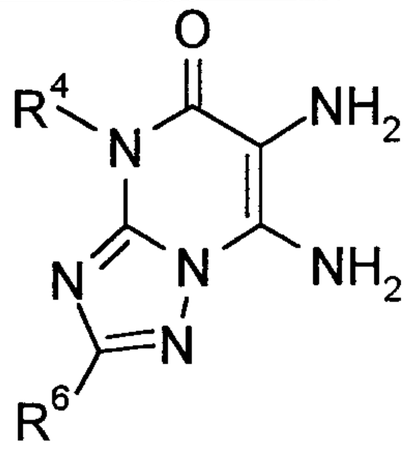
20 mMol of 7-amino-1,2,4-triazolo[1,5-a]pyrimidin-5-one (4), (5) or (12) are suspended in 80 to 100 ml of glacial acetic acid. The mixture is heated to 70°C,

mixed with a solution of 20 mMol of sodium nitrite in 2-4 ml of H_2O and stirred for 0.5-2 hours at 70°C, then for a further 0.5-2 hours at 10°C. The product is filtered off, washed and dried *in vacuo*. Using this process the following compounds were prepared:

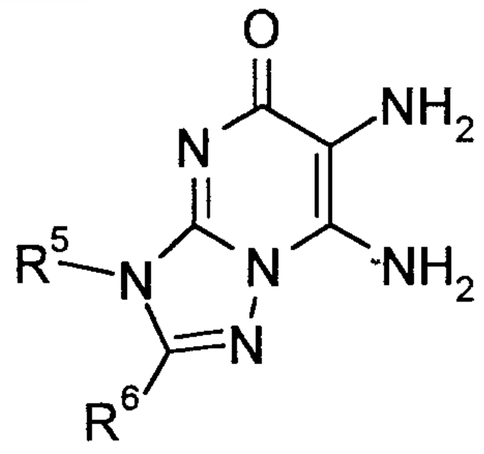
Table 4b:

No.	R^6	R^4	R^5	Yield (%)	Mp (°C)
4.32	Benzyl-	-H	-	65	236 (Decomp.)

Step 5: Synthesis of the diamines (7) and (14):



(7)



(14)

General method (alternative A):

12.7 mMol of the nitroso compound (6) or (13) are suspended in 86 ml of H_2O with stirring and 4.9 g (2.9 mMol) of $Na_2S_2O_4$ are added. The suspension is heated to 80°C and within 30 minutes 15 ml of 50% H_2SO_4 are added. The mixture is refluxed until the reaction is complete. After cooling, the product is usually obtained as a hemisulphate. If no solid is precipitated, the mixture is neutralised with 30% sodium hydroxide solution and the free base precipitated is filtered off.

General method (alternative B):

11.5 mMol of nitroso compound (6) or (13) are added to a mixture of 175 ml of 25% aqueous ammonia and 36 ml of ethanol, with stirring. Then the mixture is stirred at 30°C until the nitroso compound has substantially dissolved, a solution of 6.1 g (34.7 mMol) of $Na_2S_2O_4$ in 57 ml of H_2O is added dropwise and stirring is continued for a further 1.5 to 3 hours at ambient temperature. If some starting compound is still present, 10% of the above quantity of $Na_2S_2O_4$ are added and stirring is continued until the reaction is complete. The product is isolated by filtering.

The compounds described in Table 5, *inter alia*, were prepared by one of these methods (A or B, see Table 5):

Table 5:

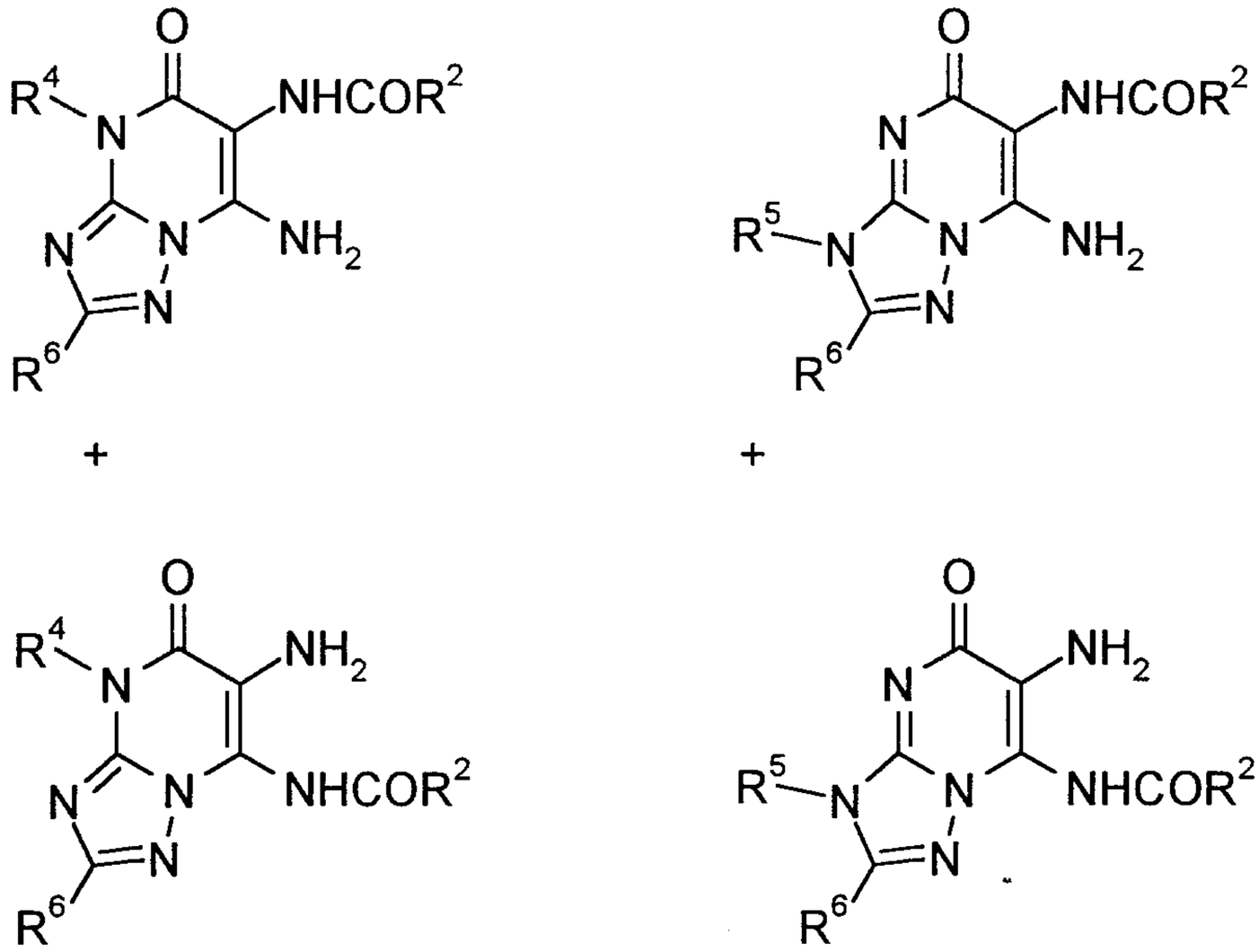
No.	R^6	R^4	R^5	Alter-native	Yield in %	Salt form	Melting point in °C
5.1	-H	-H	-	A	73	Hemisulfate	>300
5.2	-H	-Methyl	-	A	65	-	267 (Decomp.)
5.3	-H	-n-Propyl	-	A	65	-	185-188
5.4	-Methyl	-n-Propyl	-	A	70	-	174
5.5	-Ethyl	-n-Propyl	-	A	78	-	170-172
5.6	-n-Propyl	-n-Propyl	-	A	82	-	148-150
5.7	-i-Propyl	-n-Propyl	-	A	52	-	193
5.8	-n-Butyl	-n-Propyl	-	A	71	-	140-142
5.9	-t-Butyl	-n-Propyl	-	B	90	-	168
5.10	Cyclopentyl-	-H	-	A	74	Hemisulfate	224
5.11	Cyclopentyl-	-n-Propyl	-	A	48	Hemisulfate	195-197
5.12	2-Furyl-	-n-Propyl	-	B	75	-	204-205
5.13	Benzyl	-i-Propyl	-	A	8	Hemisulfate	223
5.14	Benzyl-	-n-Propyl	-	A	62	Hemisulfate	217
5.15	Benzyl-	-Methyl	-	B	91	-	248

CA 02242097 1998-06-26

No.	R^6	R^4	R^5	Alter-native	Yield in %	Salt form	Melting point in °C
5.16	Benzyl-	-Ethyl	-	B	95	-	175
5.17	Benzyl-	-n-Butyl	-	A	48	Hemisulfate	203-205
5.18	Benzyl-	-n-Pentyl	-	B	92	-	146
5.19	Benzyl-	-H	-	A	47	Hemisulfate	218-220
5.20	Benzyl-	Benzyl-	-	B	80	-	273
5.21	Phenyl-	-n-Propyl	-	A	79	Hemisulfate	260
5.22	-H	-	-n-Propyl	A	81	-	222-224
5.23	Cyclopentyl-	-	-n-Propyl	A	86	-	175
5.24	Cyclohexylmethyl-	-Ethyl	-	B	94	-	158-160
5.25	2-Phenylethyl-	-Ethyl	-	B	94	-	204-205
5.26	(4-MeO-Ph)-O-CH_2-	-Ethyl	-	B	86	-	154-156
5.27	(4-MeO-Ph)-CH_2-O-CH_2-	-Ethyl	-	B	88	-	133-139
5.28	(4-PhCH_2-O-Ph)-CH_2-	-Ethyl	-	B	84	-	155
5.29	4-Fluorobenzyl-	-Ethyl	-	B	88	-	202-205
5.30	3,4-Difluorobenzyl-	-Ethyl	-	B	95	-	209-212
5.30	3-Pyridylmethyl-	-Ethyl	-	B	82	-	179-180

CA 02242097 1998-06-26

Step 6: Synthesis of amides (8) and (15):



(8) + (15)

General method (alternative A):

30 mMol of diamino compound (7) or (14) are suspended in 165 ml of anhydrous dimethylformamide and mixed with 45 mMol (or in the case of hemisulphates 78 mMol) of 4-dimethylaminopyridine. The mixture is stirred for 30 minutes at ambient temperature, cooled to 5 - 10°C and a solution of 39 mMol of the desired carboxylic acid chloride in 16 ml of anhydrous dimethylformamide is added. Then the mixture is stirred for 2 hours at 10°C and overnight at ambient temperature, after which the solvent is eliminated *in vacuo*. The residue is added to water, the solid is filtered off and washed and optionally purified by recrystallisation or chromatography.

The carboxylic acid chlorides required are commercially available or may be prepared by methods known from the literature.

Using this method the compounds of formulae (8) and (15) described in Table 6a were prepared, *inter alia*:

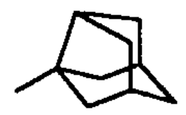
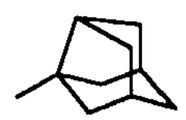
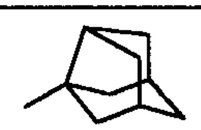
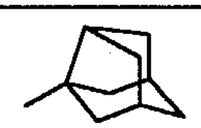
Table 6a:

No.	R^6	R^4	R^5	R^2	Yield [%]	Mp [°C]
6.1	-H	-H	-	Cyclopentyl-	86	284 (Decomp.)
6.2	-H	-Methyl	-	Benzyl-	35	306
6.3	-H	-n-Propyl	-	Benzyl-	65	178
6.4	-H	-n-Propyl	-	Cyclopentyl-	95	192
6.5	-Methyl	-n-Propyl	-	Cyclopentyl-	71	208
6.6	-Ethyl	-n-Propyl	-	Cyclopentyl-	72	209
6.7	-Ethyl	-n-Propyl	-	-Ethyl	77	-
6.8	-n-Propyl	-n-Propyl	-	Cyclopentyl-	90	210
6.9	-n-Propyl	-n-Propyl	-	Phenyl-	68	205
6.10	-n-Propyl	-n-Propyl	-	4-Fluorobenzyl-	84	200
6.11	-i-Propyl	-n-Propyl	-	Cyclopentyl-	71	148
6.12	-n-Butyl	-n-Propyl	-	Cyclopentyl-	66	173
6.13	-t-Butyl	-n-Propyl	-	Cyclopentyl-	60	100
6.14	Cyclopentyl-	-n-Propyl	-	-Ethyl	76	205
6.15	Cyclopentyl-	-n-Propyl	-	-Methyl	70	243
6.16	Cyclopentyl-	-n-Propyl	-	Benzyl-	76	160
6.17	2-Furyl-	-n-Propyl	-	Cyclopentyl-	74	-
6.18	2-Furyl-	-n-Propyl	-	-Ethyl	73	-
6.19	Benzyl-	-H	-	Cyclopentyl-	51	254-257
6.20	Benzyl-	Benzyl-	-	Cyclopentyl-	90	-
6.21	Benzyl-	-Ethyl	-	3-Tetrahydrofuranyl-	60	227
6.22	Benzyl-	-Ethyl	-	4-Tetrahydropyranyl-	65	237

CA 02242097 1998-06-26

No.	R^6	R^4	R^5	R^2	Yield [%]	Mp [°C]
6.23	Benzyl-	-Ethyl	-	2-Pyridyl-	63	223
6.24	Benzyl-	-Ethyl	-	4-Pyridyl-	55	
6.25	Benzyl-	-n-Propyl	-	-Ethyl	61	183
6.26	Benzyl-	-n-Propyl	-	-n-Propyl	62	166
6.27	Benzyl-	-Methyl	-	Cyclopentyl-	88	205-208
6.28	Benzyl-	-Ethyl	-	Cyclopentyl-	90	188-189
6.29	Benzyl-	-n-Propyl	-	Cyclopentyl-	77	170
6.30	Benzyl-	-n-Butyl	-	Cyclopentyl-	60	163
6.31	Benzyl-	-n-Pentyl	-	Cyclopentyl-	67	162
6.32	Phenyl-	-n-Propyl	-	-Ethyl	47	165-166
6.33	Phenyl-	-n-Propyl	-	Cyclopentyl-	68	>300
6.34	-H	-	-n-Propyl	Cyclopentyl-	69	248
6.35	Benzyl-	-Ethyl	-	2-Pyridyl-	40	223
6.36	Benzyl-	-Ethyl	-	4-Pyridyl-	55	-
6.37	Benzyl-	-Ethyl	-	3-Pyridyl-	88	206
6.38	Benzyl-	-Ethyl	-	Cyclohexyl-	86	186-187
6.39	Benzyl-	n-Butyl-	-	-H	84	231-232
6.40	Benzyl-	-H	-	Phenyl-	72	276-279
6.41	Benzyl-	-H	-	2-Furyl-	69	305-307
6.42	3-Pyridylmethyl-	-Ethyl	-	Cyclopentyl-	73	163-165
6.43	3-Pyridylmethyl-	-Ethyl	-	-Ethyl	71	186-187
6.44	2-Furyl-	-n-Propyl	-	2-Furyl-	81	228

CA 02242097 1998-06-26

No.	R^6	R^4	R^5	R^2	Yield [%]	Mp [°C]
6.45	Cyclohexylmethyl-	-Ethyl	-	-Ethyl	81	204-207
6.46	Cyclohexylmethyl-	-Ethyl	-	Cyclopentyl-	70	196-198
6.47	2-Phenylethyl-	-Ethyl	-	-Ethyl	95	182-183
6.48	2-Phenylethyl-	-Ethyl	-	Cyclopentyl-	81	155-156
6.49	Cyclopentyl-	-n-Propyl	-	3-Tetrahydrofuranyl-	65	179-180
6.50	Cyclopentyl-	-n-Propyl	-	Cyclopentyl-	41	306-308
6.51	(4-MeO-Ph)- OCH_2-	-Ethyl	-	-Ethyl	93	160-164
6.52	(4-MeO-Ph)- OCH_2-	-Ethyl	-	Cyclopentyl-	76	176-178
6.53	(4-MeO-Ph)-CH_2-O-CH_2-	-Ethyl	-	Cyclopentyl-	91	133-136
6.54	(4-$PhCH_2O$-Ph)-CH_2-	-Ethyl	-	Cyclopentyl-	86	190
6.55	Cyclohexylmethyl-	-Ethyl	-		98	-
6.56	4-Fluorobenzyl-	-Ethyl	-	Cyclopentyl-	62	206-208
6.57	4-Fluorobenzyl-	-Ethyl	-	tert.-Butyl-	53	-
6.58	4-Fluorobenzyl-	-Ethyl	-		75	-
6.59	4-Fluorobenzyl-	-Ethyl	-		91	-
6.60	3,4-Difluorobenzyl-	-Ethyl	-	Cyclopentyl-	100	222-224
6.61	3,4-Difluorobenzyl-	-Ethyl	-		100	-
6.62	Benzyl-	-Ethyl	-		87	-
6.63	3-Pyridylmethyl-	-Ethyl	-		79	134-137

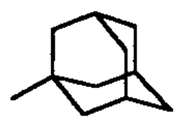
CA 02242097 1998-06-26

General procedure (alternative B):

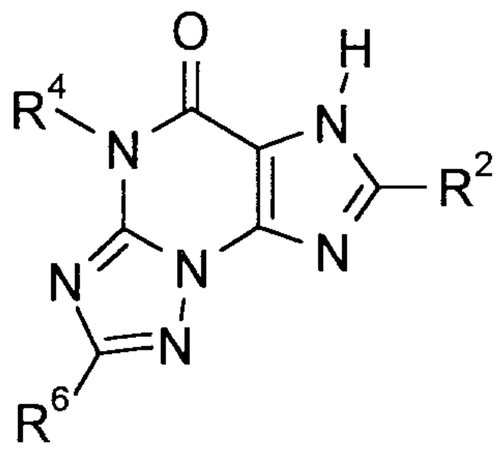
6.6 mMol of a diamine (7) or (14) are suspended with 7.3 mol of the corresponding carboxylic acid in 15-25 ml of acetonitrile. 7.3 mMol of N-methylmorpholine are slowly added with stirring. Then a solution of 7.3 mMol of isobutyl chloroformate in 6-7 ml of acetonitrile is added dropwise at 20-25°C within 10-20 minutes. The suspension obtained is stirred for 4-6 hours at ambient temperature. For processing, the suspension is filtered and washed with acetonitrile. The resulting filtrate is concentrated and chromatographed over silica gel.

Using this process, the compounds of formula (8) and (15) described in Table 6b were prepared:

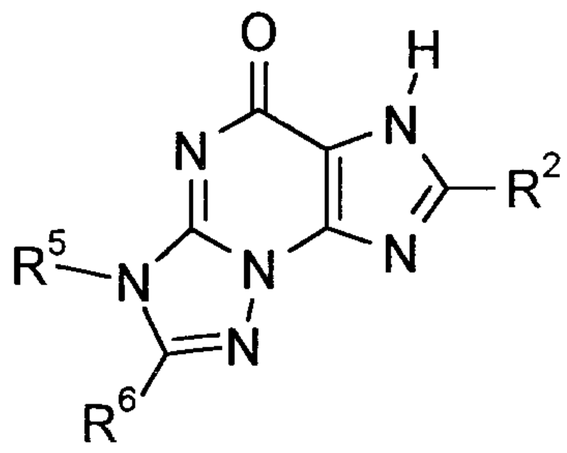
Table 6b:

No.	R^6	R^4	R^5	R^2	Yield in %
6.64	4-fluorobenzyl-	Ethyl-	-		26

Step 7: Reactions of cyclisation to obtain the imidazotriazolopyrimidines (9) and (16)



(9)



(16)

General method (Method A, alternative A):

14.4 mMol of (8) or (15) are suspended in 75.5 ml of H_2O and 37.8 ml of ethanol and refluxed with 17.4 ml of 50% NaOH and 4.82 g (65 mMol) of $Ca(OH)_2$ for 24 hours. The mixture is allowed to cool, made acidic, the solid is filtered off and dried. The product is optionally purified by recrystallisation or chromatography.

Using this process the compounds of general formulae (9) and (16) described in Table 7a were prepared, *inter alia.*

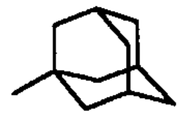
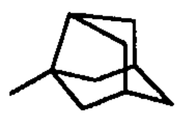
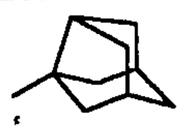
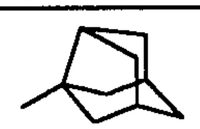
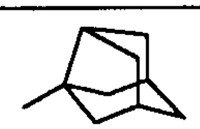
Table 7a:

No.	R^6	R^4	R^5	R^2	Yield [%]	Mp [°C]
7.1	-H	-H	-	Cyclopentyl-	80	>360
7.2	-H	-Methyl	-	Benzyl-	35	306
7.3	-H	-n-Propyl	-	Benzyl-	68	222-223
7.4	-H	-n-Propyl	-	Cyclopentyl-	59	250
7.5	-Methyl	-n-Propyl	-	Cyclopentyl-	62	262-264
7.6	-Ethyl	-n-Propyl	-	Cyclopentyl-	58	253
7.7	-Ethyl	-n-Propyl	-	-Ethyl	68	229
7.8	-n-Propyl	-n-Propyl	-	Cyclopentyl-	75	256
7.9	-n-Propyl	-n-Propyl	-	Phenyl-	49	300 (Decomp.)
7.10	-n-Propyl	-n-Propyl	-	4-Fluorbenzyl-	65	221
7.11	-i-Propyl	-n-Propyl	-	Cyclopentyl-	62	260-261
7.12	-n-Butyl	-n-Propyl	-	Cyclopentyl-	72	246
7.13	-t-Butyl	-n-Propyl	-	Cyclopentyl-	64	310
7.14	Cyclopentyl-	-n-Propyl	-	-Ethyl	85	245-248
7.15	Cyclopentyl-	-n-Propyl	-	-Methyl	56	248-250
7.16	Cyclopentyl-	-n-Propyl	-	Benzyl-	70	233
7.17	2-Furyl-	-n-Propyl	-	Cyclopentyl-	61	>300(Decomp.)
7.18	2-Furyl-	-n-Propyl	-	-Ethyl	44	>300(Decomp.)
7.19	Benzyl-	-H	-	Cyclopentyl-	40	270 (Decomp.)
7.20	Benzyl-	Benzyl-	-	Cyclopentyl-	13	270
7.21	Benzyl-	-Ethyl	-	3-Tetrahydrofuranyl-	60	227

CA 02242097 1998-06-26

No.	R^6	R^4	R^5	R^2	Yield [%]	Mp [°C]
7.22	Benzyl-	-Ethyl	-	4-Tetrahydropyranyl-	64	237
7.23	Benzyl-	-Ethyl	-	2-Pyridyl-	21	287
7.24	Benzyl-	-Ethyl	-	4-Pyridyl-	8	346
7.25	Benzyl-	-n-Propyl	-	-Ethyl	57	223
7.26	Benzyl-	-n-Propyl	-	-n-Propyl	53	202
7.27	Benzyl-	-Methyl	-	Cyclopentyl-	13	265-267
7.28	Benzyl-	-Ethyl	-	Cyclopentyl-	56	242
7.29	Benzyl-	-n-Propyl	-	Cyclopentyl-	67	234-235
7.30	Benzyl-	-n-Butyl	-	Cyclopentyl-	53	238-240
7.31	Benzyl-	-n-Pentyl	-	Cyclopentyl-	56	231-236
7.32	Phenyl-	-n-Propyl	-	-Ethyl	97	>300 (Decomp.)
7.33	Phenyl-	-n-Propyl	-	Cyclopentyl-	78	>300 (Decomp.)
7.34	-H	-	-n-Propyl	Cyclopentyl-	36	217-220
7.35	-H	-	-Ethyl	Cyclopentyl-	2,4	260
7.36	Benzyl-	-Ethyl	-	3-Pyridyl-	11	331-332
7.37	Benzyl-	-Ethyl	-	Cyclohexyl-	74	260-262
7.38	3-Pyridylmethyl-	-Ethyl	-	Cyclopentyl-	60	261-263
7.39	3-Pyridylmethyl-	-Ethyl	-	-Ethyl	62	225-227
7.40	2-Furyl-	-n-Propyl	-	2-Furyl-	9	385-387
7.41	Benzyl-	-H	-	2-Furyl-	14	352-354
7.42	Cyclohexylmethyl-	-Ethyl	-	-Ethyl	73	230-232
7.43	Cyclohexylmethyl-	-Ethyl	-	Cyclopentyl-	62	273-274

CA 02242097 1998-06-26

No.	R^6	R^4	R^5	R^2	Yield [%]	Mp [°C]
7.44	2-Phenylethyl-	-Ethyl	-	-Ethyl	31	282-283
7.45	2-Phenylethyl-	-Ethyl	-	Cyclopentyl-	53	292-294
7.46	Cyclopentyl-	n-Propyl	-	3-Tetrahydrofuranyl-	56	289-290
7.47	Cyclopentyl-	n-Propyl	-	Cyclopentyl-	41	306-308
7.48	(4-MeO-Ph)-OCH_2-	-Ethyl	-	-Ethyl	47	225
7.49	(4-MeO-Ph)-OCH_2-	-Ethyl	-	Cyclopentyl-	20	234-236
7.50	(4-MeO-Ph)-CH_2-O-CH_2-	-Ethyl	-	Cyclopentyl-	70	182
7.51	(4-PhCH_2O-Ph)-CH_2-	-Ethyl	-	Cyclopentyl-	70	251
7.52	4-Fluorobenzyl-	-Ethyl	-	Cyclopentyl-	71	252-254
7.53	4-Fluorobenzyl-	-Ethyl	-	-tert.-Butyl	73	262-264
7.54	4-Fluorobenzyl-	-Ethyl	-		53	337-339
7.55	4-Fluorobenzyl-	-Ethyl	-		45	325-327
7.56	3,4-Difluorobenzyl-	-Ethyl	-	Cyclopentyl-	60	264-266
7.57	3,4-Difluorobenzyl-	-Ethyl	-		58	315-317
7.58	Benzyl-	-Ethyl	-		67	320-322
7.59	3-Pyridylmethyl-	-Ethyl	-		57	330-332

CA 02242097 1998-06-26

General method (Method A, alternative B):

4 mMol of an amide (8) or (15) are stirred in 10-15 ml of tetrahydrofuran and 25-35 ml of H_2O with 46 mMol of lithium hydroxide monohydrate at 45-65° for 14 days. Then the mixture is acidified with 6 N hydrochloric acid and suction filtered. The crude product is recrystallised from methanol. The resulting product is separated by chromatography on a silica gel column.

The compounds of general formula (9) described in Table 7b were prepared by this method.

Table 7b:

No.	R^6	R^4	R^2	Yield (%)	Mp (°C)
7.62	Cyclohexylmethyl-	Ethyl-		10	246-248
7.63	Cyclohexylmethyl-	Ethyl-		3	258-260(Decomp.)

General method (Method B):

10.1 mMol of (7) or (14) are stirred into 34 ml of formamide for 1.5 hours at 200°C. The remaining formamide is distilled off *in vacuo* and the residue is stirred with water. The solid is filtered off, washed with water and the product is purified by recrystallisation or chromatography.

Using this process, the compounds (9) and (16) listed in Table 7c were prepared:

Table 7c:

No.	R^6	R^4	R^5	R^2	Yield (%)	Mp (°C)
7.64	-Ethyl	-n-Propyl	-	-H	84	>300
7.65	Cyclopentyl-	-H	-	-H	53	215
7.66	Cyclopentyl-	-Methyl	-	-H	7	>300
7.67	3-Pyridylmethyl-	-Ethyl	-	-H	58	328-330
7.68	Cyclopentyl-	-n-Propyl	-	-H	58	287 (Decomp.)
7.69	2-Furyl-	-n-Propyl	-	-H	74	>300 (Decomp.)
7.70	Benzyl-	-Methyl	-	-H	9	>300
7.71	Benzyl-	-n-Propyl	-	-H	75	>300
7.72	Benzyl-	-i-Propyl	-	-H	90	>300

No.	R^6	R^4	R^5	R^2	Yield (%)	Mp (°C)
7.73	Benzyl-	-n-Butyl	-	-H	75	>300
7.74	Benzyl-	-H	-	-H	63	>300
7.75	Phenyl-	-n-Propyl	-	-H	75	295-296 (Decomp.)
7.76	Cyclopentyl-	-	-n-Propyl	-H	35	202-203
7.77	3-Pyridylmethyl-	-Ethyl	-	-H	58	328-330
7.78	Cyclohexylmethyl-	-Ethyl	-	-H	87	328-330
7.79	2-Phenylethyl-	-Ethyl	-	-H	84	273

General method (Method C):

At 0°C, 0.66 ml (7.2 mMol) of phosphorusoxychloride are added to a solution of 6.8 mMol of the desired N-formylamine in 6 ml of diglyme. The mixture is stirred for 30 minutes in an ice bath and then 4.8 mMol of nitroso compound (6) or (13) are added. The mixture is stirred at 80°C for 30 - 60 minutes and added to ice water, the solid product is filtered off, washed and dried. The product is purified by crystallisation or by chromatography.

Using this process, compounds (9) described in Table 7d were prepared:

Table 7d:

No.	R^6	R^4	R^2	Yield (%)	Mp (°C)
7.80	Benzyl-	-n-Propyl	N-Morpholinyl-	21	298
7.81	Benzyl-	-n-Propyl	4-Benzyl-1-piperazinyl-	21	266-268
7.82	Benzyl-	-n-Propyl	Dimethylamino-	9	272-274
7.83	Benzyl-	-n-Propyl	N-Piperidinyl-	22	294-297

The formylamines required are prepared by methods known from the literature by reacting the amines with 88% formic acid and acetic anhydride.

Step 8: Reactions of alkylation

General method (alternative A):

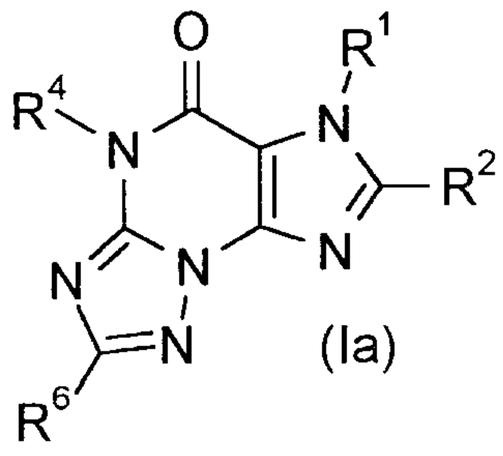
4 mMol of imidazo[4.5-e]-s-triazolo[1,5-a]pyrimidin-5-one unsubstituted in the 3- and 4-position [(9) with R^4 = H; (16) with R^5 = H] are taken up in 26 ml of anhydrous dimethylformamide and mixed first with a solution of 0.1 g (4.2 mMol) of sodium in 4 ml of anhydrous ethanol, then with 4.2 mMol of the desired alkyliodide. The mixture is stirred overnight at ambient temperature and then evaporated to dryness. The residue is dissolved in 2 N of NaOH, the solution is washed with toluene and then adjusted to pH 6. The solid precipitated is filtered off and purified by chromatography. If required, the dialkylation products (Ia) and (Ib) may be isolated.

The following compounds (9) were prepared using this method:

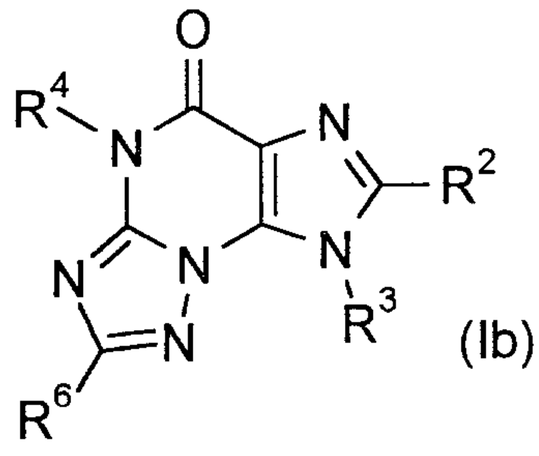
Table 8a:

No.	R^6	R^4	R^5	R^2	Yield (%)	Mp (°C)
8.1	Benzyl-	-Methyl	-	-H	9	>300
8.2	Cyclopentyl-	-Methyl	-	-H	7	>300
8.3	-H	-Methyl	-	Cyclopentyl-	44	285
8.4	-H	-Ethyl	-	Cyclopentyl-	57	249-253
8.5	-H	-n-Propyl	-	Cyclopentyl-	52	255
8.6	-H	-n-Butyl	-	Cyclopentyl-	45	243
8.7	-H	-t-Butyl	-	Cyclopentyl-	10	190-191
8.8	Benzyl-	-Ethyl	-	-t-Butyl	24	243-244
8.9	Benzyl-	-Ethyl	-	Phenyl-	24	343-345
8.10	-H	-n-Butyl	-	Cyclopentyl-	45	243
8.11	-H	-	-t-Butyl	Cyclopentyl-	4	149-150

Moreover, the following compounds (Ia) and (Ib) could be obtained by this method:



(Ia)



(Ib)

Table 8b:

No	R^6	R^4	R^1 or R^3	R^2	Yield (%)	Mp (°C)
8.12	Benzyl-	-Ethyl	-Ethyl	-H	4	>300
8.13	-H	-Methyl	-Methyl	Cyclopentyl-	4	206
8.14	Cyclopentyl-	-n-Propyl	-n-Propyl	-H	10	146
8.15	Cyclopentyl-	-n-Propyl	-n-Propyl	-H	5	151
8.16	Benzyl-	-Ethyl	-Ethyl	2-Furyl-	16	187-189

General method (alternative B):

20.4 mMol of imidazo[4.5-e]-s-triazolo[1,5-a]pyrimidin-5-one unsubstituted in the 3- and 4-position [(9) with R^4 = H; (16) with R^5 = H] are stirred together with 40.8 mMol of potassium carbonate and 40.8 mMol of tert.-butylbromide in 100 ml of anhydrous dimethylformamide at 70°C for 7 days. The mixture is evaporated to dryness, the residue is combined with 100 ml of 2N NaOH, the aqueous phase is washed thoroughly with toluene and neutralised. The precipitate is filtered off, extracted with CH_2Cl_2/CH_3OH 9:1 and the extract is evaporated down. The isomeric alkylation products (9) and (16) are isolated by chromatography on silica gel with CH_2Cl_2/CH_3OH 95:5 (Table 8c). The following compounds were prepared using this method.

Table 8c:

No.	R^6	R^4	R^5	R^2	Yield (%)	Mp (°C)
8.17	-H	-t-Butyl	-	Cyclopentyl-	10	190-191
8.18	-H	-	-t-Butyl	Cyclopentyl-	5	149-150

General method (alternative C):

0.05 Mol of starting compound (9) are dissolved in 500 ml of absolute dimethylformamide and stirred with 0.055 Mol of potassium carbonate and 0.055 Mol of benzyl bromide overnight at ambient temperature. For processing, the solvent is distilled off *in vacuo* and the residue is taken up in methylene chloride/water. The organic phase is separated off, washed once with water, dried over magnesium sulphate and evaporated down. The residue is crystallised with ether. The compounds (Ia/Ib) thus obtained are listed in Table 8d.

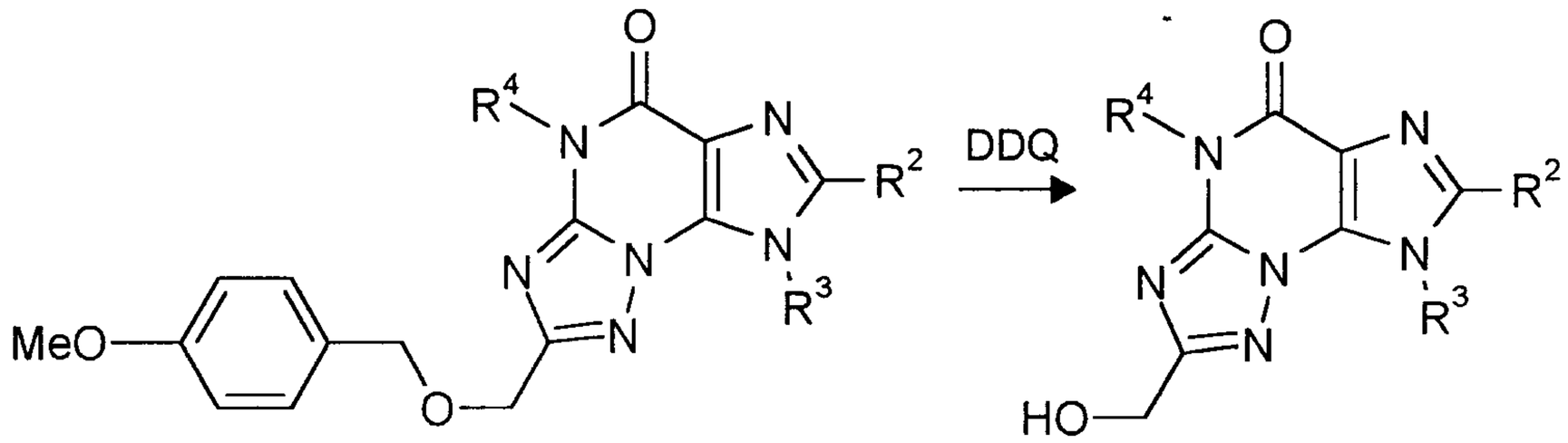
Table 8d:

No.	R^6	R^4	R^1 or R^3	R^2	Yield (%)	Mp (°C)
8.19	(4-MeO-Ph)-CH_2-O-CH_2-	Ethyl-	Benzyl-	Cyclopentyl-	85	127-128
8.20	(4-PhCH_2O-Ph)-CH_2-	Ethyl-	Benzyl-	Cyclopentyl-	66	138-140

IX. Functionalisation of the imidazotriazolopyrimidines of general formula (I):

IX.a. Deblocking of imidazotriazolopyrimidines (Ia/Ib) with protected hydroxyl functions:

General diagram of synthesis taking as example the compounds of general (formula Ib):



DDQ: 2,3-Dichloro-5,6-dicyano-benzoquinone

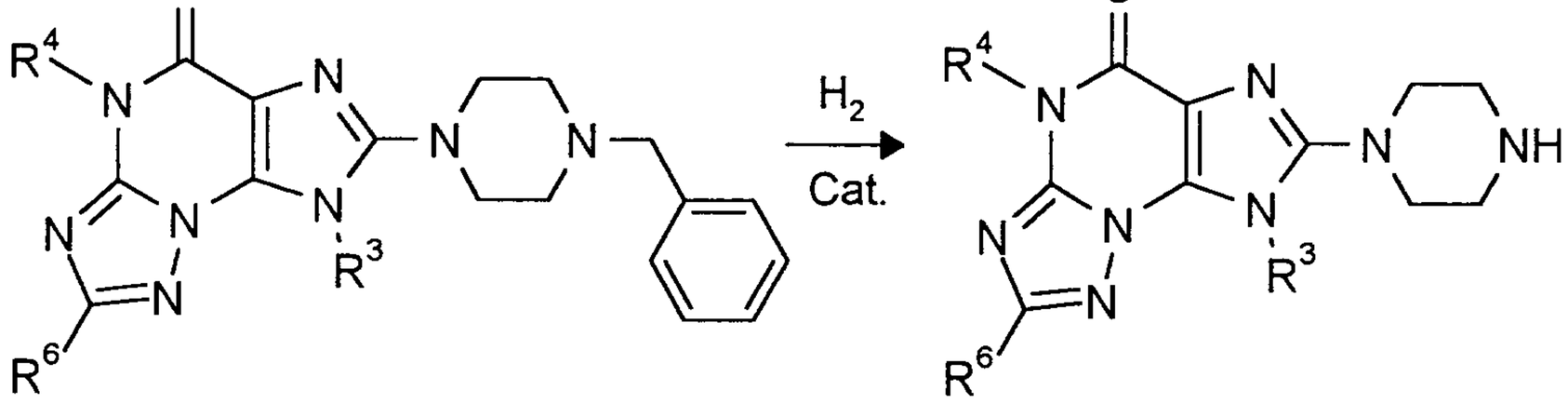
The above reaction was carried out using a method known from the literature (Tetrahedron 1986, *42*, 3021). The following compounds were obtained, *inter alia*:

Table 9:

No.	R^6	R^4	R^1 or R^3	R^2	Yield (%)	Mp (°C)
9.1	HO-CH_2-	Ethyl-	Benzyl-	Cyclopentyl-	97	204-207

IX.b. Deblocking of imidazotriazolopyrimidines (Ia)/(Ib) with protected amine functions in the side chain:

General diagram of synthesis taking as example the compounds of general formula (Ib):



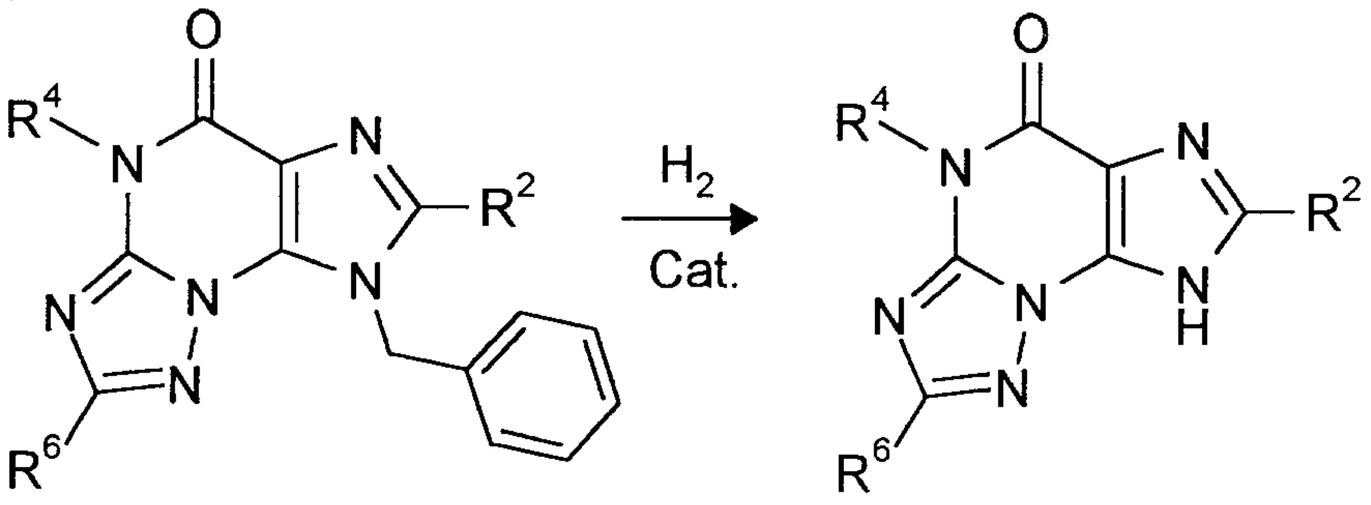
0.83 mMol of a 7-(4-N-benzyl-1-piperazinyl)-imidazo[4.5-e]-s-triazolo[1,5-a]pyrimidin-5-one are taken up in 30 ml of glacial acetic acid and hydrogenated at 60°C and at 5 bar for 6 hours in the presence of 0.1 g of 10% Pd on charcoal. The catalyst is filtered off, the residue is evaporated to dryness and stirred with CH_3OH, the solid product is filtered off, washed and dried. Using this method the following was obtained:

Table 10:

No.	R^6	R^4	R^1 or R^3	R^2	Yield (%)	Salt form	Mp (°C)
10.1	Benzyl-	n-Propyl-	H-	1-Piperazinyl-	53	Acetate	260

IX.c. Cleaving of protective amine groups from the imidazotriazolopyrimidinone core structure:

General diagram of synthesis taking as example the compounds of general formula (Ib):



7-N-benzylated imidazotriazolopyrimidines of general formula (I) are dissolved in methanol or absolute glacial acetic acid and hydrogenated under a hydrogen atmosphere (1-5 bar) at 25-80°C with the help of a palladium catalyst (e.g. Pd/C) over a period of 2.5-40 hours. The catalyst is filtered off, the filtrate is evaporated down and the

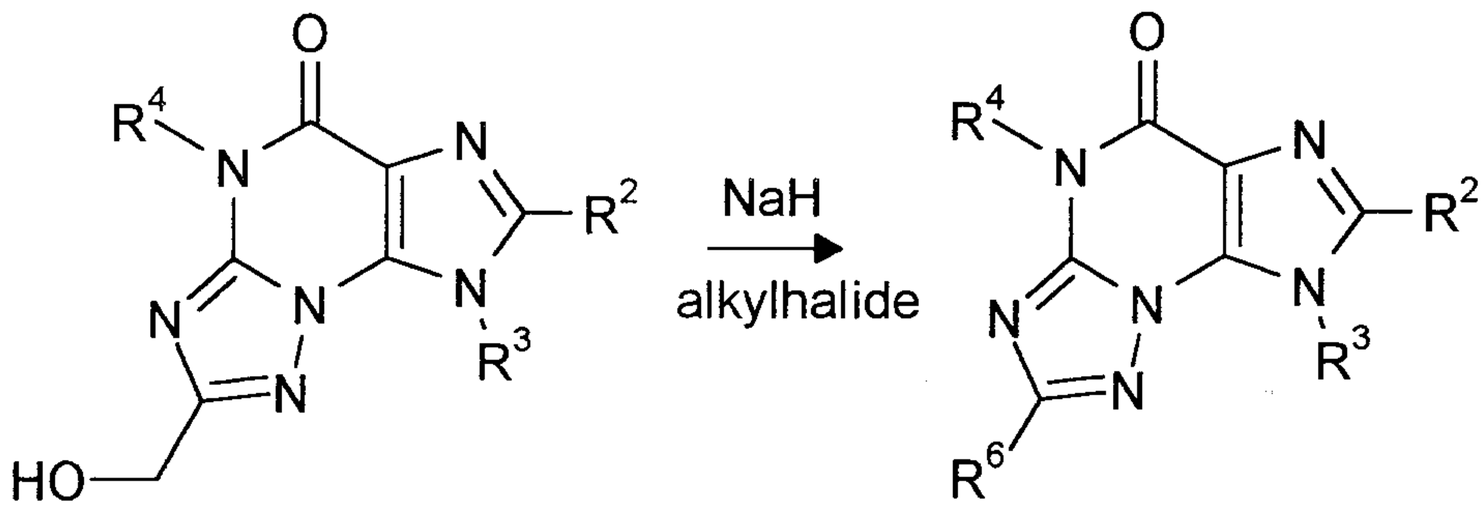
residue is purified over silica gel. The purified product is crystallised from the eluate, preferably with ether and then, if possible, crystallised as a salt (preferably methanesulphonate). In this way the following compounds were obtained.

Table 11:

No.	R_6	R_4	R_2	Salt form	Yield %	Mp (°C)
11.1	Methoxymethyl-	Ethyl-	Cyclopentyl-	-	27	246-248
11.2	Ethoxymethyl-	Ethyl-	Cyclopentyl-	-	45	224-225
11.3	Hydroxymethyl-	Ethyl-	Cyclopentyl-	-	37	305-307
11.4	Benzoyloxymethyl-	Ethyl-	Cyclopentyl-	-	54	238-239
11.5	Dimethylaminomethyl-	Ethyl-	Cyclopentyl-	Methanesulfonate	29	224-225
11.6	N-Morpholinyl-methyl-	Ethyl-	Cyclopentyl-	Methanesulfonate	47	184
11.7	Phenoxymethyl-	Ethyl-	Cyclopentyl-	-	40	229

IX.d. Alkylation of hydroxy-substituted imidazotriazolopyrimidinone:

General diagram of synthesis taking as example the compounds of general formula (Ib):



3 mMol of hydroxyalkyl- or hydroxyaryl-substituted imidazotriazolopyrimidines of general formula (Ia), (Ib), (Ic) or (Id) are dissolved in 30 ml of anhydrous dimethylformamide, mixed with 3.6 mMol of sodium hydride (60% suspension in mineral oil), stirred for 1 hour at ambient temperature, mixed with 3.6 mMol of alkyliodide and stirred for 1-2 days at 25-60°. To complete the reaction, 50% sodium hydride and alkylhalide are added. For processing, the reaction mixture is evaporated down to leave the residue which is taken up in ethylene chloride and water, acidified with 2N hydrochloric acid, the aqueous phase is extracted once more with methylene chloride, the combined organic phases are washed with saline

solution and dried over magnesium sulphate and then evaporated down. The residue is purified over silica gel and the eluate is crystallised from ether.

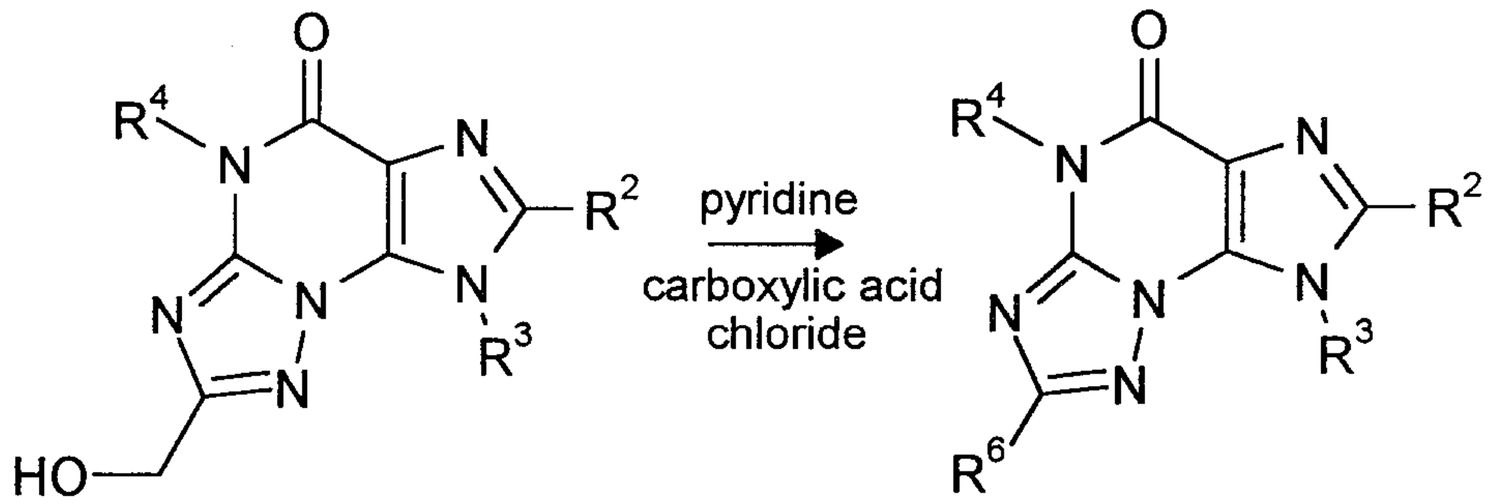
The following compounds were prepared using this method:

Table 12:

No.	R^6	R^4	R^1 or R^3	R^2	Yield (%)	Mp (°C)
12.1	Methoxymethyl-	Ethyl-	Benzyl-	Cyclopentyl-	37	116-118
12.2	Ethoxymethyl-	Ethyl-	Benzyl-	Cyclopentyl-	36	120-123

IX.e. Acylation of hydroxy-substituted imidazotriazolopyrimidines:

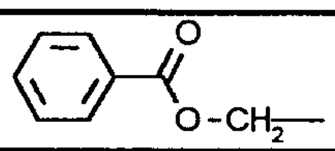
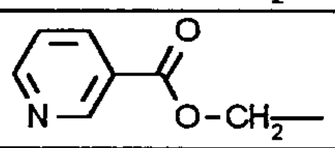
General diagram of synthesis taking as example the compounds of general formula (Ib):



The hydroxyl compound is taken up in pyridine and, whilst it is being cooled with ice, stoichiometric quantities of acid chloride are added. When conversion is complete the mixture is added to ice water and acidified with 2N hydrochloric acid. The aqueous phase is extracted several times with methylene chloride. The combined organic phases are washed with saline solution, dried over magnesium sulphate and evaporated down. The residue is purified over silica gel and the eluate is crystallised from ether.

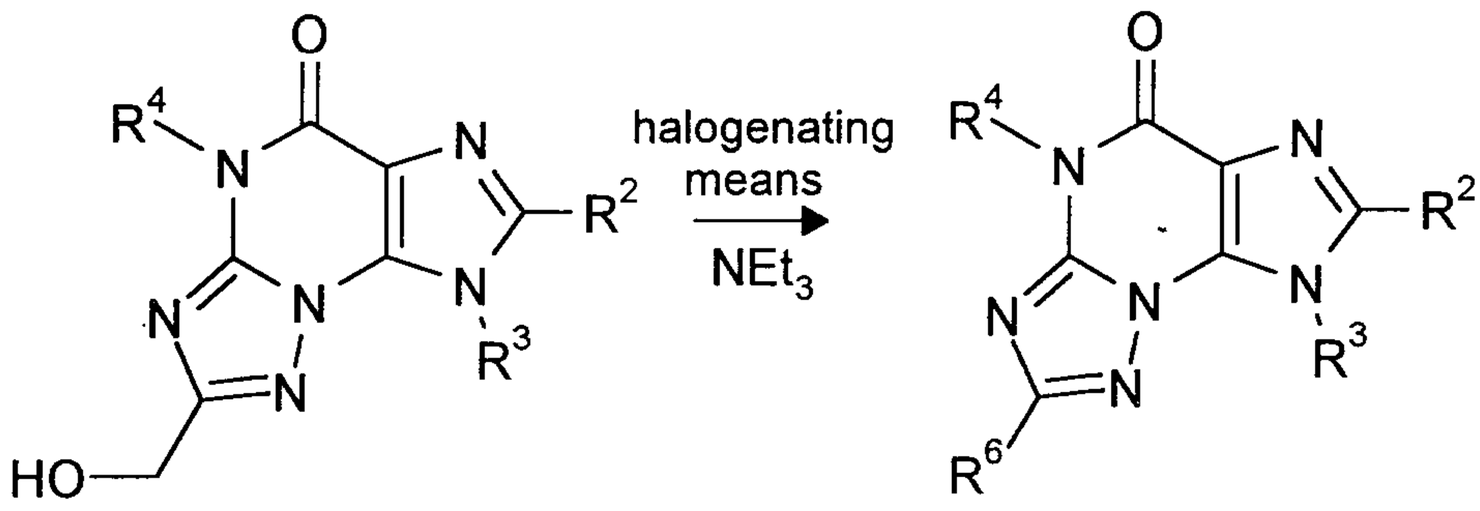
The following compounds were prepared by this method:

Table 13:

No.	R^6	R^4	R^1 or R^3	R^2	Yield (%)
12.1		Ethyl-	Benzyl-	Cyclopentyl-	73
12.2		Ethyl-	Benzyl-	Cyclopentyl-	93

IX.f. Halogenation of hydroxy-substituted imidazotriazolopyrimidines:

General diagram of synthesis taking as example the compounds of general formula (Ib):



The hydroxylated imidazotriazolopyrimidines are converted into the corresponding halides in the usual way with halogenating reagents such as $SOCl_2$, $SOBr_2$, $POCl_3$ in inert solvents in the presence of bases (e.g. NEt_3).

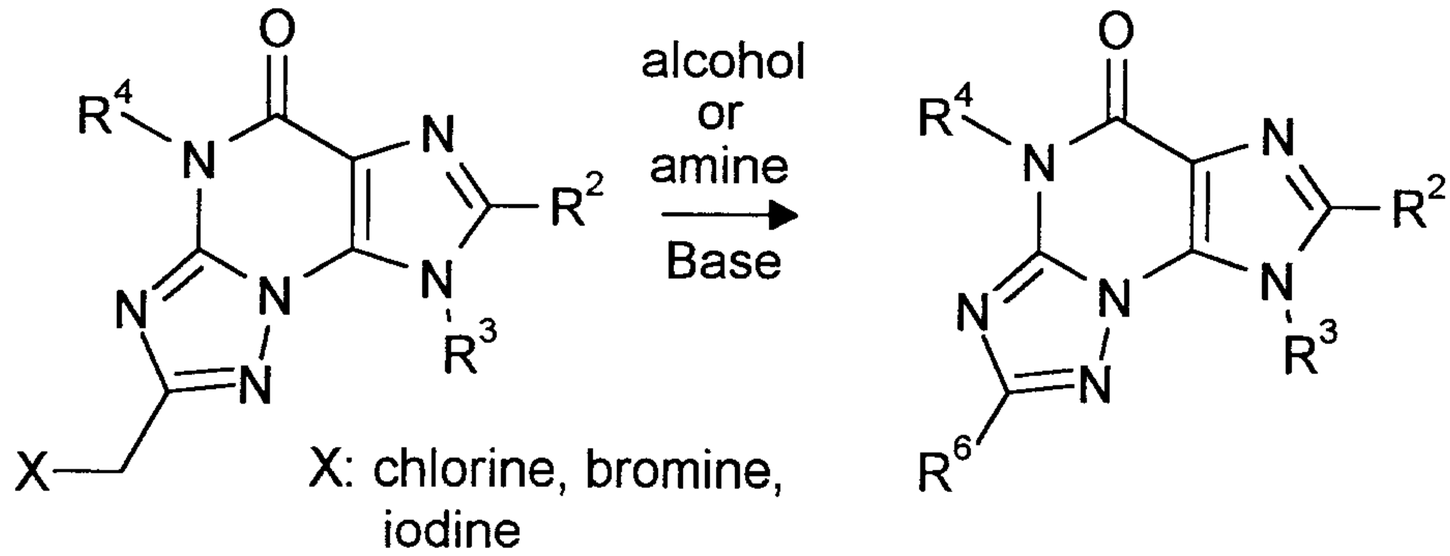
The following compounds were prepared analogously:

Table 14:

No.	R^6	R^4	R^1 or R^3	R^2	Yield (%)	Mp (^oC)
14.1	$Br\text{-}CH_2\text{-}$	Ethyl-	Benzyl-	Cyclopentyl-	66	188-189

IX.g. Nucleophilic substitution in halogen-substituted imidazotriazolopyrimidines:

General diagram of synthesis taking as example the compounds of general formula (Ib):



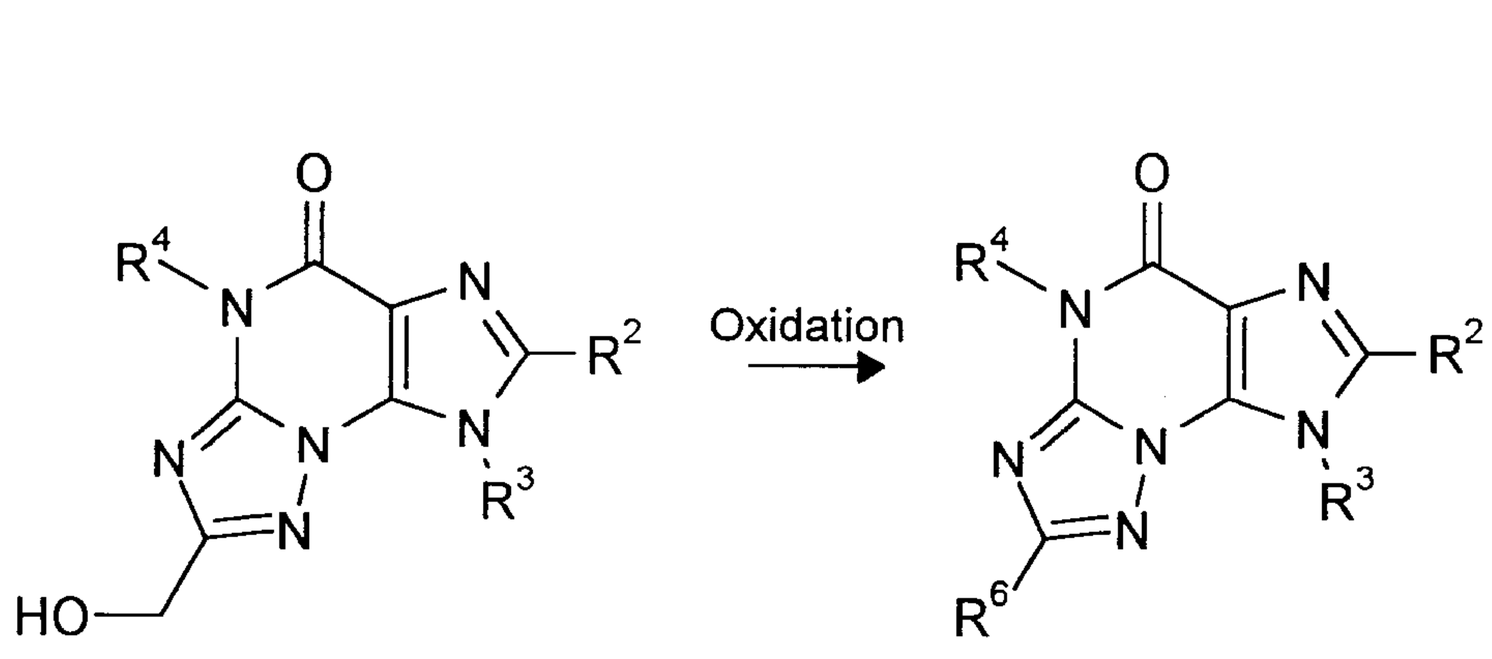
Using generally known standard procedures, halogen-substituted imidazotriazolopyrimidinone derivatives may be converted into the corresponding amines and alkyloxy/aryloxy compounds by reacting with nucleophiles (e.g. alcohols, amines) in the presence of a base. For preparation of the amines, please see the methods of synthesis described for Step 8 hereinbefore. The alkyloxy/aryloxy compound may be synthesised in accordance with the general method under point IX.d. The following compounds were prepared analogously:

Table 15:

No.	R^6	R^4	R^1 or R^3	R^2	Yield (%)	Mp (°C)
15.1	$(CH_3)_2N$-CH_2-	Ethyl-	Benzyl-	Cyclopentyl-	100	-
15.2	N-Morpholinomethyl-	Ethyl-	Benzyl-	Cyclopentyl-	96	-
15.3	Phenyloxymethyl-	Ethyl-	Benzyl-	Cyclopentyl-	86	155

IX.h. Oxidation of hydroxy-substituted imidazotriazolopyrimidines:

General diagram of synthesis taking as example the compounds of general formula (Ib):



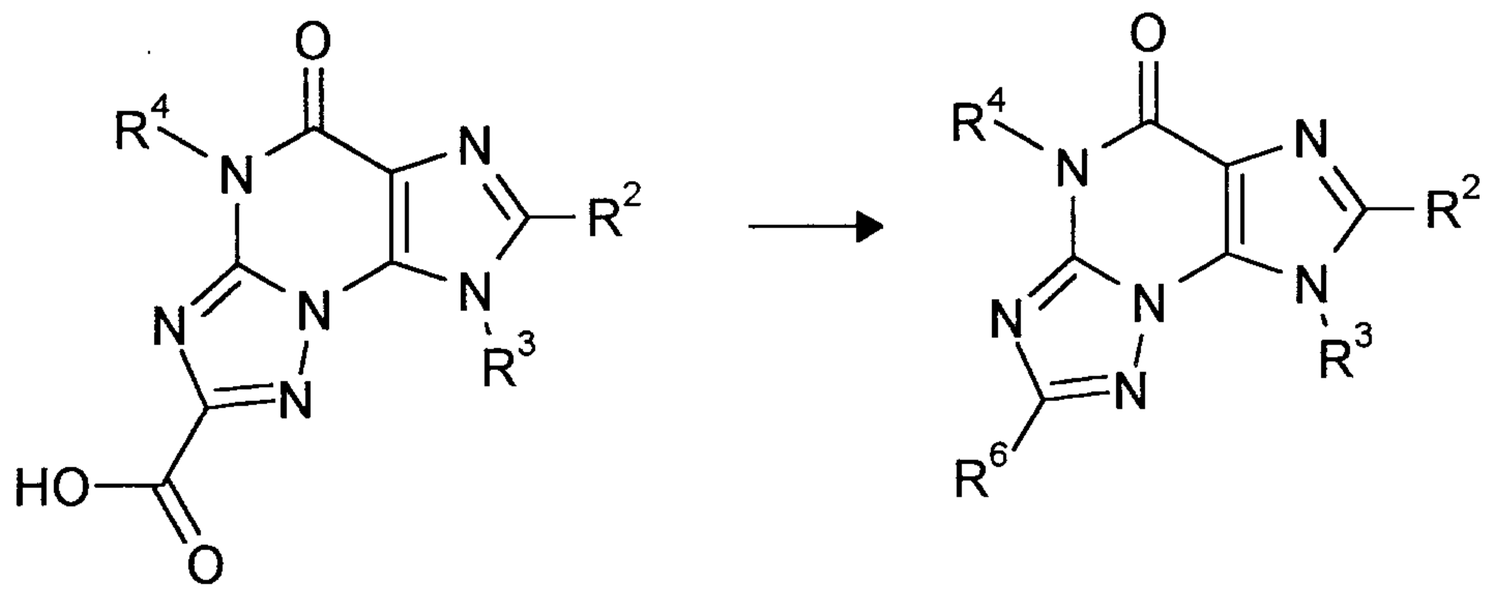
Using generally known standard procedures (e.g. Tetrahedron Letters 1979, 5, 399-402) hydroxy-substituted imidazotriazolopyrimidinone derivatives may be converted into imidazotriazolopyrimidines with functionalities of a higher oxidation state (e.g. aldehydes, carboxylic acids). The following compounds were prepared analogously:

Table 16:

No.	R^6	R^4	R^1 or R^3	R^2	Yield (%)	Mp (^oC)
16.1	-CHO	Ethyl-	Benzyl-	Cyclopentyl-	48	153-154
16.2	-COOH	Ethyl-	Benzyl-	Cyclopentyl-	38	157-158

IX.i. Derivatisation of imidazotriazolopyrimidinone carboxylic acids:

General diagram of synthesis taking as example the compounds of general formula (Ib):



Using methods known from the literature, imidazotriazolopyrimidinone carboxylic acids may be converted into a plurality of carboxylic acid derivatives (e.g. halides, anhydrides, amides, ester, etc.). The following general method may be used to prepare the corresponding imidazotriazolopyrimidinone-methyl carboxylates:

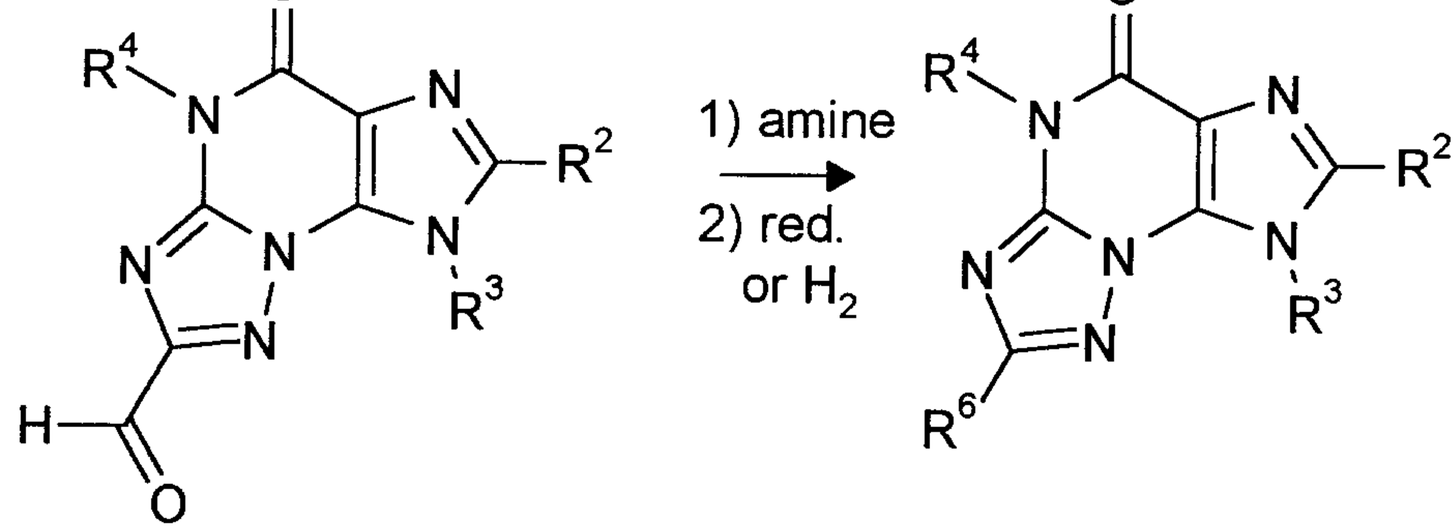
0.003 mol of imidazotriazolopyrimidinone carboxylic acid are suspended in a 1 molar solution of $SOCl_2$ (1.5 eq) in MeOH. The resulting clear solution is stirred at ambient temperature. After the reaction is complete the solvent is distilled off *in vacuo* and the residue remaining is purified by chromatography on silica gel. Using this process the following were prepared:

Table 17:

No.	R^6	R^4	R^1 or R^3	R^2	Yield (%)	Mp (^oC)
17.1	-$COOCH_3$	Ethyl-	Benzyl-	Cyclopentyl-	71	155-157

IX.k. Reductive amination of imidazotriazolopyrimidinone aldehydes:

General diagram of synthesis taking as example the compounds of general formula (Ib):



Using generally known standard procedures, imidazotriazolopyrimidinone aldehydes may be converted into the corresponding amines by reaction with primary or secondary amines and subsequent reduction or hydrogenation of the Schiff's base formed as an intermediate. The following compounds were prepared accordingly:

Table 18:

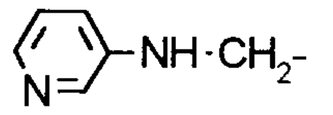
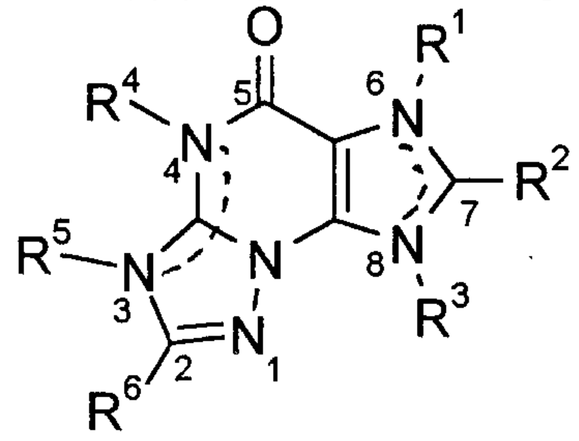
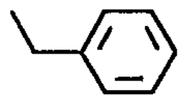
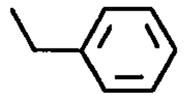
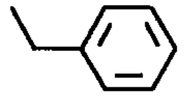
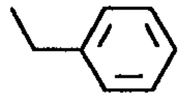
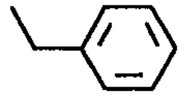
No.	R^6	R^4	R^1 or R^3	R^2	Yield (%)	Mp (°C)
18.1		Ethyl-	Benzyl-	Cyclopentyl-	-	-

Table 19 summarises the compounds of general formula (I) prepared analogously to the processes described hereinbefore:

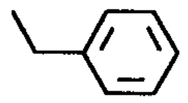
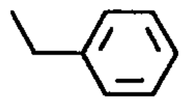
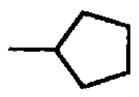
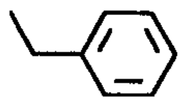
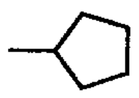
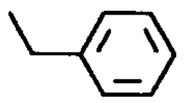
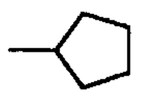
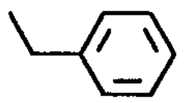
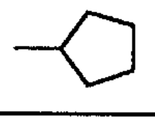
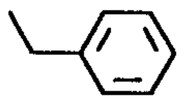
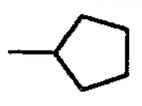
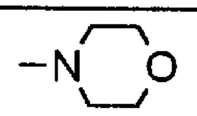
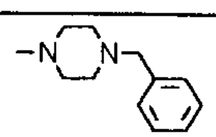
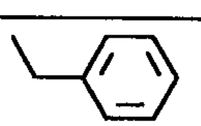
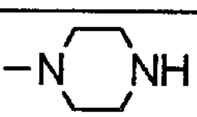
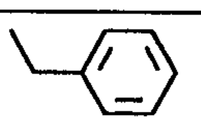


(I)

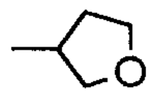
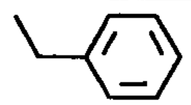
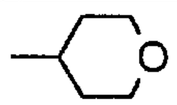
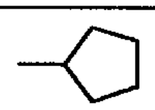
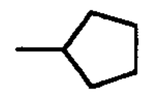
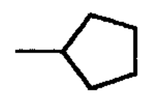
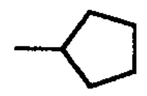
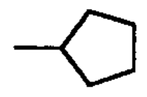
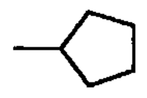
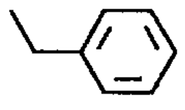
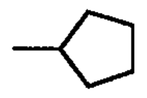
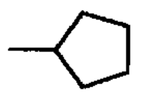
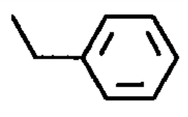
Table 19:

Example No.	-R^1 or -R^3	-R^2	-R^4	-R^5	-R^6	Melting point in °C	Chemical Name
1	-H	-H	-R^4 or -R^5 = -H			> 300	2-Benzyl-imidazo[4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one
2	-H	-H	-Methyl	-		> 300	2-Benzyl-4-methyl-imidazo[4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one
3	-H	-H	-n-Propyl	-		> 300	2-Benzyl-4-n-propyl-imidazo[4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one
4	-H	-H	-n-Butyl	-		> 300	2-Benzyl-4-n-butyl-imidazo[4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one
5	-H	-H	-i-Propyl	-		> 300	2-Benzyl-4-i-propyl-imidazo[4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one

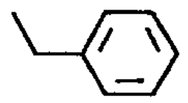
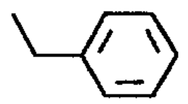
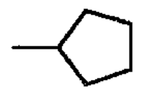
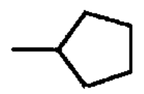
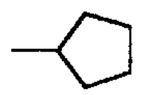
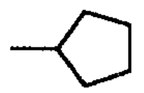
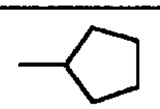
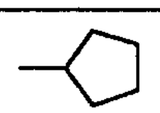
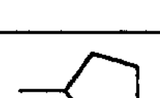
CA 02242097 1998-06-26

Example No	-R^1 or -R^3	-R^2	-R^4	-R^5	-R^6	Melting point in °C	Chemical Name
6	-H	-Ethyl	-n-Propyl	-		223	2-Benzyl-7-ethyl-4-n-propyl-imidazo[4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one
7	-H	-n-Propyl	-n-Propyl	-		202	2-Benzyl-4,7-di-n-propyl-imidazo[4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one
8	-H		-Methyl	-		265-267	2-Benzyl-7-cyclopentyl-4-methyl-imidazo [4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one
9	-H		-Ethyl	-		242	2-Benzyl-7-cyclopentyl-4-ethyl-imidazo [4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one
10	-H		-n-Propyl	-		234-235	2-Benzyl-7-cyclopentyl-4-n-propyl-imidazo [4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one
11	-H		-n-Butyl	-		238-240	2-Benzyl-4-n-butyl-7-cyclopentyl-imidazo [4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one
12	-H			-		270	2,4-Dibenzyl-7-cyclopentyl-imidazo[4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one
13	-H		-n-Propyl	-		298	2-Benzyl-7-(N-morpholino)-4-n-propyl-imidazo[4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one
14	-H		-n-Propyl	-		266-268	2-Benzyl-7-(4-benzylpiperazin-1-yl)-4-n-propyl-imidazo[4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one
15	-H		-n-Propyl	-		260	2-Benzyl-7-(piperazin-1-yl)-4-n-propyl-imidazo[4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one

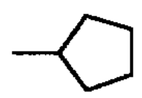
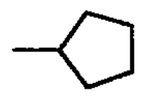
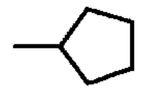
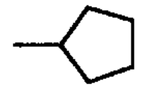
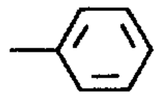
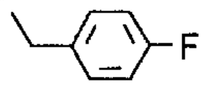
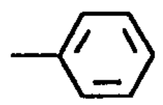
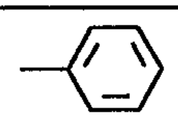
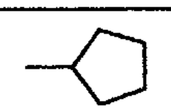
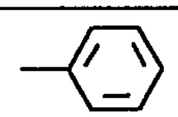
CA 02242097 1998-06-26

Example No.	-R^1 or -R^3	-R^2	-R^4	-R^5	-R^6	Melting point in °C	Chemical Name
16	-H		-Ethyl	-		237	2-Benzyl-4-ethyl-7-(3-tetrahydrofuranyl)-imidazo[4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one
17	-H		-Ethyl	-		227	2-Benzyl-4-ethyl-7-(3-tetrahydropyranyl)-imidazo[4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one
18	-H		-R^4 or -R^5 = -H			270 Decomp.	2-Benzyl-7-cyclopentyl-imidazo[4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one
19	-H	-H	-R^4 or -R^5 = -H			215	2-Cyclopentyl-imidazo[4.5-e]-s-triazolo [1.5-a]pyrimidin-5-one
20	-H	-H	-Methyl	-		> 300	2-Cyclopentyl-4-methyl-imidazo[4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one
21	-H	-H	-n-Propyl	-		287 Decomp.	2-Cyclopentyl-4-n-propyl-imidazo[4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one
22	-H	-CH_3	-n-Propyl	-		248-250	2-Cyclopentyl-7-methyl-4-n-propyl-imidazo [4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one
23	-H	-Ethyl	-n-Propyl	-		245-248	2-Cyclopentyl-7-ethyl-4-n-propyl-imidazo [4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one
24	-H		-n-Propyl	-		233	7-Benzyl-2-cyclopentyl-4-n-propyl-imidazo [4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one
25	-H		-n-Pentyl	-		231-236	2-Benzyl-7-cyclopentyl-4-n-pentyl-imidazo [4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one

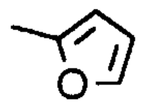
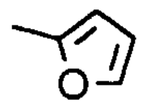
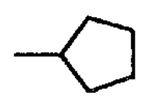
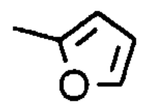
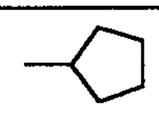
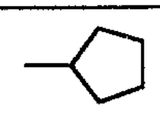
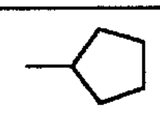
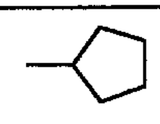
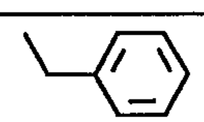
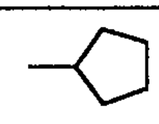
CA 02242097 1998-06-26

Example No.	-R^1 or -R^3	-R^2	-R^4	-R^5	-R^6	Melting point in °C	Chemical Name
26	-H		-CH_3	-	-H	306	7-Benzyl-4-methyl-imidazo[4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one
27	-H		-n-Propyl	-	-H	222-223	7-Benzyl-4-n-propyl-imidazo[4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one
28	-H		-R^4 or -R^5 = -H		-H	> 360	7-Cyclopentyl-imidazo[4.5-e]-s-triazolo [1.5-a]pyrimidin-5-one
29	-H		-Methyl	-	-H	285	7-Cyclopentyl-4-methyl-imidazo[4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one
30	-H		-Ethyl	-	-H	249-253	7-Cyclopentyl-4-ethyl-imidazo[4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one
31	-H		-n-Propyl	-	-H	250	7-Cyclopentyl-4-n-propyl-imidazo[4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one
32	-H		-Ethyl	-	-$COOCH_3$	270-271	2-Carboxymethyl-7-cyclopentyl-4-ethyl-imidazo[4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one
33	-H		-n-Propyl	-	-CH_3	262-264	7-Cyclopentyl-2-methyl-4-n-propyl-imidazo[4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one
34	-H	-H	-n-Propyl	-	-Ethyl	> 300	2-Ethyl-4-n-propyl-imidazo[4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one
35	-H		-n-Propyl	-	-Ethyl	253	7-Cyclopentyl-2-ethyl-4-n-propyl-imidazo [4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one

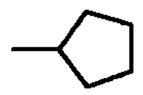
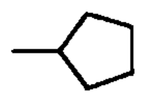
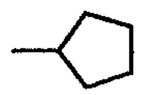
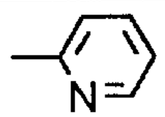
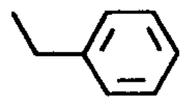
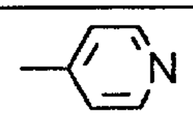
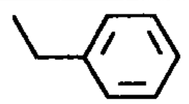
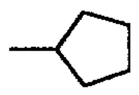
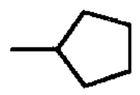
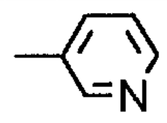
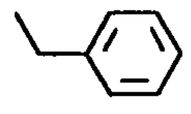
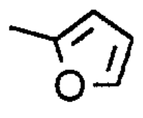
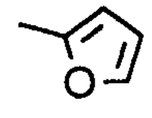
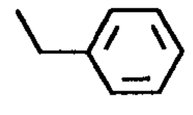
CA 02242097 1998-06-26

Example No.	-R^1 or -R^3	-R^2	-R^4	-R^5	-R^6	Melting point in °C	Chemical Name
36	-H		-n-Propyl	-	-n-Propyl	256	7-Cyclopentyl-2,4-di-n-propyl-imidazo[4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one
37	-H		-n-Propyl	-	-i-Propyl	260-261	7-Cyclopentyl-2-i-propyl-4-n-propyl-imidazo[4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one
38	-H		-n-Propyl	-	-n-Butyl	246	2-n-Butyl-7-cyclopentyl-4-n-propyl-imidazo[4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one
39	-H		-n-Propyl	-		310	2-t-Butyl-7-cyclopentyl-4-n-propyl-imidazo[4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one
40	-H		-n-Propyl	-	-n-Propyl	300 Decomp.	7-Phenyl-2,4-di-n-propyl-imidazo[4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one
41	-H		-n-Propyl	-	-n-Propyl	221	7-Benzyl-2,4-di-n-propyl-imidazo[4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one
42	-H	-Ethyl	-n-Propyl	-	-Ethyl	229	2,7-Diethyl-4-n-propyl-imidazo[4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one
43	-H	-H	-n-Propyl	-		295-296 Decomp.	2-Phenyl-4-n-propyl-imidazo[4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one
44	-H	-Ethyl	-n-Propyl	-		> 300 Decomp.	7-Ethyl-2-phenyl-4-n-propyl-imidazo[4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one
45	-H		-n-Propyl	-		> 300 Decomp.	7-Cyclopentyl-2-phenyl-4-n-propyl-imidazo[4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one

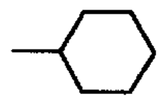
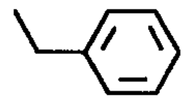
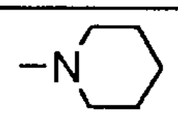
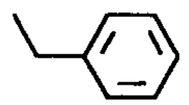
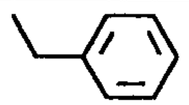
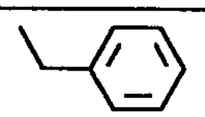
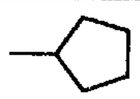
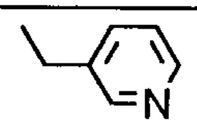
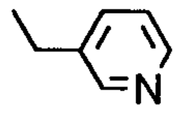
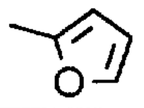
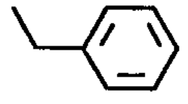
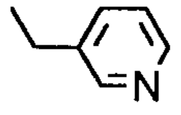
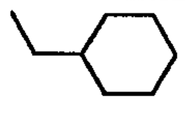
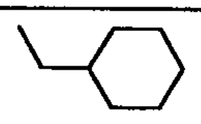
CA 02242097 1998-06-26

Example No.	-R^1 or -R^3	-R^2	-R^4	-R^5	-R^6	Melting point in °C	Chemical Name
46	-H	-H	-n-Propyl	-		> 300 Decomp.	2-(2-Furanyl)-4-n-propyl-imidazo[4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one
47	-H	-Ethyl	-n-Propyl	-		> 300 Decomp.	7-Ethyl-2-(2-furanyl)-4-n-propyl-imidazo [4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one
48	-H		-n-Propyl	-		> 300 Decomp.	7-Cyclopentyl-2-(2-furanyl)-4-n-propyl-imidazo[4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one
49	-n-Propyl	-H	-R^4 or -R^5 = -H			271-273	2-Cyclopentyl-6-n-propyl-imidazo[4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one
50	-H	-H	-R^4 or -R^5 = -H		-H	> 300	Imidazo[4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one
51	-H		-	-Ethyl	-H	260	7-Cyclopentyl-3-ethyl-imidazo[4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one
52	-H		-	-n-Propyl	-H	217-220	7-Cyclopentyl-3-n-propyl-imidazo[4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one
53	$-CH_3$		$-CH_3$	-	-H	206	7-Cyclopentyl-4,6-dimethyl-imidazo[4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one
54	-Ethyl	-H	-Ethyl	-		> 300	2-Benzyl-4,6-diethyl-imidazo[4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one
55	-H	-H	-	-n-Propyl		202-243	2-Cyclopentyl-3-n-propyl-imidazo[4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one

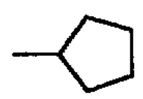
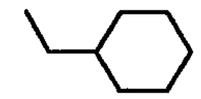
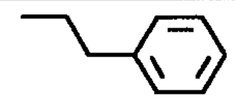
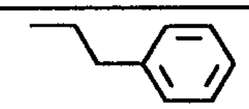
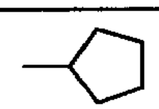
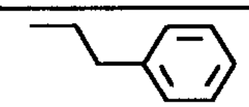
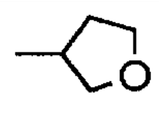
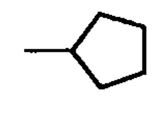
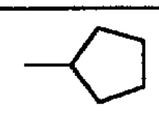
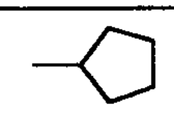
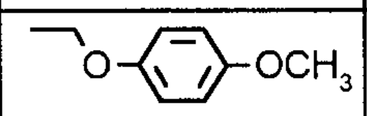
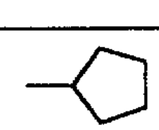
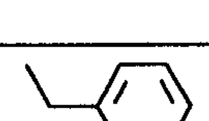
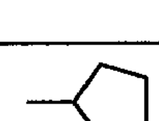
CA 02242097 1998-06-26

Example No.	-R^1 or -R^3	-R^2	-R^4	-R^5	-R^6	Melting point in °C	Chemical Name
56	-n-Propyl	-H	-n-Propyl	-		146	2-Cyclopentyl-4,6-di-n-propyl-imidazo[4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one
57	-n-Propyl	-H	-n-Propyl	-		151	2-Cyclopentyl-4,8-di-n-propyl-imidazo[4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one
58	-H		-n-Butyl	-	-H	243	4-n-Butyl-7-cyclopentyl-imidazo[4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one
59	-H		-Ethyl	-		287	2-Benzyl-4-ethyl-7-(2-pyridyl)-imidazo[4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one
60	-H		-Ethyl	-		346	2-Benzyl-4-ethyl-7-(4-pyridyl)-imidazo[4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one
61	-H		-		-H	149-150	3-t-Butyl-7-cyclopentyl-imidazo[4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one
62	-H			-	-H	190-191	4-t-Butyl-7-cyclopentyl-imidazo[4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one
63	-H		-Ethyl	-		331-332	2-Benzyl-4-ethyl-7-(3-pyridyl)-imidazo[4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one
64	-H		-n-Propyl	-		385-387	2,7-Di-(2-furanyl)-4-n-propyl-imidazo[4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one
65	-H	-$N(CH_3)_2$	-n-Propyl	-		272-274	2-Benzyl-7-dimethylamino-4-n-propyl-imidazo[4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one

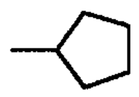
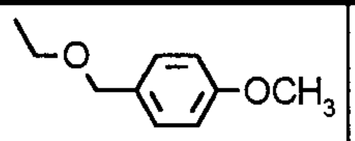
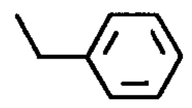
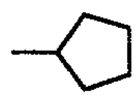
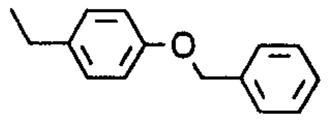
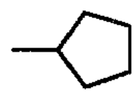
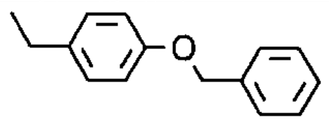
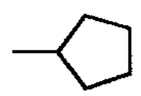
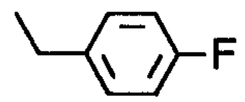
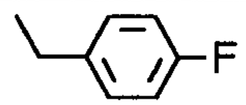
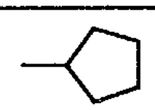
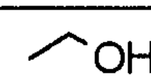
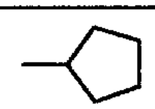
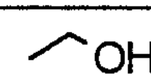
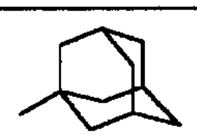
CA 02242097 1998-06-26

Example No.	-R^1 or -R^3	-R^2	-R^4	-R^5	-R^6	Melting point in °C	Chemical Name
66	-H		-Ethyl	-		260-262	2-Benzyl-7-cyclohexyl-4-ethyl-imidazo[4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one
67	-H		-n-Propyl	-		294-297	2-Benzyl-7-(N-piperidinyl)-4-n-propyl-imidazo[4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one
68	-H		-Ethyl	-		243-244	2-Benzyl-7-t-butyl-4-ethyl-imidazo[4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one
69	-H		-Ethyl	-		343-345	2-Benzyl-4-ethyl-7-phenyl-imidazo[4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one
70	-H		-Ethyl	-		261-263	7-Cyclopentyl-4-ethyl-2-(3-pyridylmethyl)-imidazo[4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one
71	-H	-Ethyl	-Ethyl	-		225-227	4,7-Diethyl-2-(3-pyridylmethyl)-imidazo [4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one
72	-Ethyl		-Ethyl	-		187-189	2-Benzyl-4,6-diethyl-7-(2-furanyl)-imidazo [4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one
73	-H	-H	-Ethyl	-		328-330	4-Ethyl-2-(3-pyridylmethyl)-imidazo[4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one
74	-H	-H	-Ethyl	-		328-330	2-Cyclohexylmethyl-4-ethyl-imidazo[4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one
75	-H	-Ethyl	-Ethyl	-		230-232	2-Cyclohexylmethyl-4,7-diethyl-imidazo[4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one

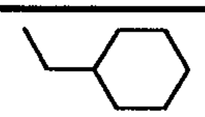
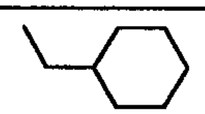
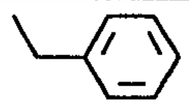
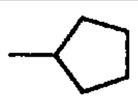
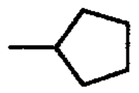
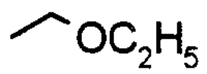
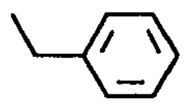
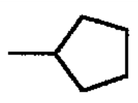
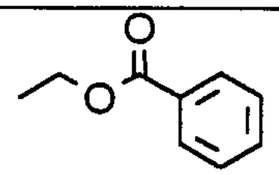
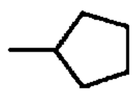
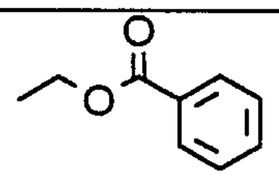
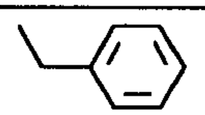
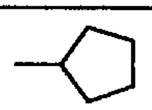
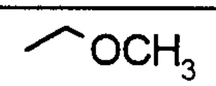
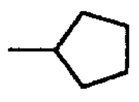
CA 02242097 1998-06-26

Example No.	-R^1 or -R^3	-R^2	-R^4	-R^5	-R^6	Melting point in °C	Chemical Name
76	-H		-Ethyl	-		273-274	2-Cyclohexylmethyl-7-cyclopentyl-4-ethyl-imidazo[4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one
77	-H	-H	-Ethyl	-		273	4-Ethyl-2-(2-phenylethyl)-imidazo[4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one
78	-H	-Ethyl	-Ethyl	-		282-283	4,7-Diethyl-2-(2-phenylethyl)-imidazo[4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one
79	-H		-Ethyl	-		292-294	7-Cyclopentyl-4-ethyl-2-(2-phenylethyl)-imidazo[4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one
80	-H		-n-Propyl	-		289-290	2-Cyclopentyl-4-n-propyl-7-(3-tetrahydro-furanyl)-imidazo[4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one
81	-H		-n-Propyl	-		306-308	2,7-Dicyclopentyl-4-n-propyl-imidazo[4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one
82	-H	-Ethyl	-Ethyl	-		225	4,7-Diethyl-2-(4-methoxy-phenyloxymethyl)-imidazo[4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one
83	-H		-Ethyl	-		234-236	7-Cyclopentyl-4-ethyl-2-(4-methoxy-phenyloxymethyl)-imidazo[4.5-e]-s-triazolo [1.5-a]pyrimidin-5-one
84			-Ethyl	-		127-128	8-Benzyl-7-cyclopentyl-4-ethyl-2-(4-methoxy-benzyloxymethyl)-imidazo[4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one

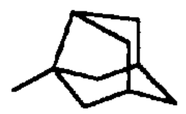
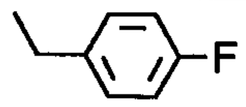
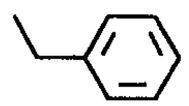
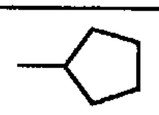
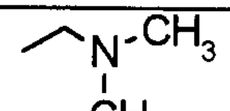
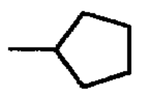
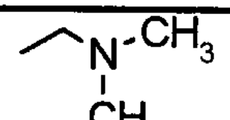
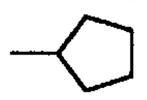
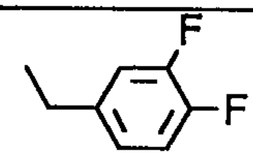
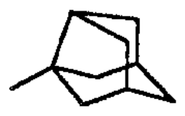
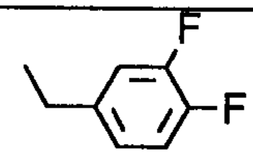
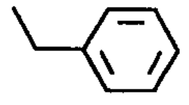
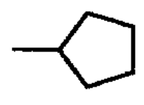
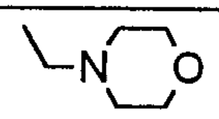
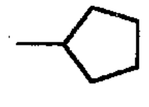
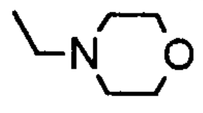
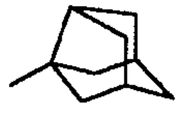
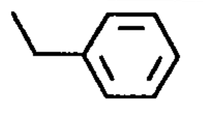
CA 022420 97 1998-06-26

Example No.	-R^1 or -R^3	-R^2	-R^4	-R^5	-R^6	Melting point in °C	Chemical Name
85	-H		-Ethyl	-		182	7-Cyclopentyl-4-ethyl-2-(4-methoxy-benzyloxymethyl)-imidazo[4.5-e]-s-triazolo [1.5-a]pyrimidin-5-one
86			-Ethyl	-		138-140	8-Benzyl-2-(4-benzyloxybenzyl)-7-cyclo-pentyl-4-ethyl-imidazo[4.5-e]-s-triazolo [1.5-a]pyrimidin-5-one
87	-H		-Ethyl	-		251	2-(4-Benzyloxybenzyl)-7-cyclopentyl-4-ethyl-imidazo[4.5-e]-s-triazolo[1.5-a]pyri-midin-5-one
88	-H		-Ethyl	-		252-254	7-Cyclopentyl-4-ethyl-2-(4-fluorbenzyl)-imidazo[4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one
89	-H		-Ethyl	-		262-264	7-t-Butyl-4-ethyl-2-(4-fluorbenzyl)-imida-zo[4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one
90			-Ethyl	-		204-207	8-Benzyl-7-cyclopentyl-4-ethyl-2-hydroxy-methyl-imidazo[4.5-e]-s-triazolo[1.5-a]pyri-midin-5-one
91	-H		-Ethyl	-		305-307	7-Cyclopentyl-4-ethyl-2-hydroxymethyl-imidazo[4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one
92	-H		-Ethyl	-		337-339	7-(Adamantan-1-yl)-4-ethyl-2-(4-fluorben-zyl)-imidazo[4.5-e]-s-triazolo[1.5-a]pyrimi-din-5-one

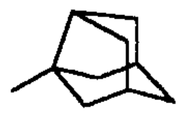
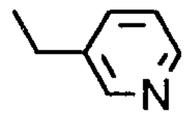
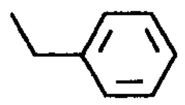
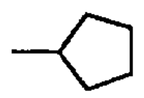
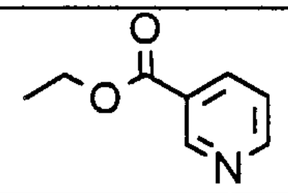
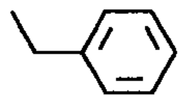
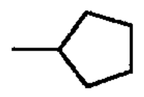
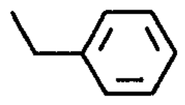
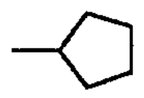
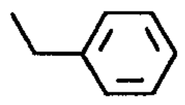
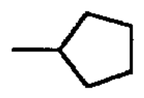
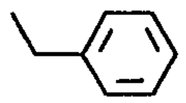
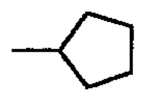
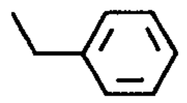
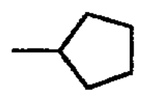
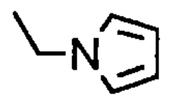
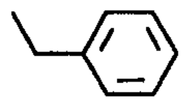
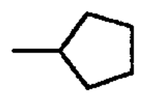
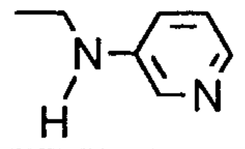
CA 02242097 1998-06-26

Example No.	-R^1 or -R^3	-R^2	-R^4	-R^5	-R^6	Melting point in °C	Chemical Name
93	-H		-Ethyl	-		246-248	2-Cyclohexylmethyl-4-ethyl-7-(5-norbornen-2(*S*)-yl)-imidazo[4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one
94	-H		-Ethyl	-		258-260 (Zers.)	2-Cyclohexylmethyl-4-ethyl-7-(5-norbornen-2(*R*)-yl)-imidazo[4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one
95			-Ethyl	-		120-123	8-Benzyl-7-cyclopentyl-2-ethoxymethyl-4-ethyl-imidazo[4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one
96	-H		-Ethyl	-		224-225	7-Cyclopentyl-2-ethoxymethyl-4-ethyl-imidazo[4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one
97			-Ethyl	-		-	2-Benzoyloxymethyl-8-benzyl-7-cyclopentyl-4-ethyl-imidazo[4.5-e]-s-triazolo [1.5-a]pyrimidin-5-one
98	-H		-Ethyl	-		238-239	2-Benzoyloxymethyl-7-cyclopentyl-4-ethyl-imidazo[4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one
99			-Ethyl	-		116-118	8-Benzyl-7-cyclopentyl-4-ethyl-2-methoxymethyl-imidazo[4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one
100	-H		-Ethyl	-		246-248	7-Cyclopentyl-4-ethyl-2-methoxymethyl-imidazo[4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one

CA 022420 97 1998-06-26

Example No.	-R^1 or -R^3	-R^2	-R^4	-R^5	-R^6	Melting point in °C	Chemical Name
101	-H		-Ethyl	-		325-327	4-Ethyl-2-(4-fluorbenzyl)-7-(noradamantan-3-yl)-imidazo[4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one
102			-Ethyl	-		-	8-Benzyl-7-cyclopentyl-4-ethyl-2-(dimethylaminomethyl)-imidazo[4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one
103	-H		-Ethyl	-		224-225[a]	7-Cyclopentyl-4-ethyl-2-(dimethylaminomethyl)-imidazo[4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one
104	-H		-Ethyl	-		264-266	7-Cyclopentyl-4-ethyl-2-(3,4-difluorbenzyl)-imidazo[4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one
105	-H		-Ethyl	-		315-317	4-Ethyl-2-(3,4-difluorbenzyl)-7-(noradamantan-3-yl)-imidazo[4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one
106			-Ethyl	-		-	8-Benzyl-7-cyclopentyl-4-ethyl-2-(N-morpholinomethyl)-imidazo[4.5-e]-s-triazolo [1.5-a]pyrimidin-5-one
107	-H		-Ethyl	-		184[a]	7-Cyclopentyl-4-ethyl-2-(N-morpholinomethyl)-imidazo[4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one
108	-H		-Ethyl	-		320-322	2-Benzyl-4-ethyl-7-(noradamantan-3-yl)-imidazo[4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one

CA 02242097 1998-06-26

Example No.	-R^1 or -R^3	-R^2	-R^4	-R^5	-R^6	Melting point in °C	Chemical Name
109	-H		-Ethyl	-		330-332	4-Ethyl-7-(noradamantan-3-yl)-2-(3-pyridylmethyl)-imidazo[4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one
110			-Ethyl	-		-	8-Benzyl-7-cyclopentyl-4-ethyl-2-(3-pyridylcarbonyloxy-methyl)-imidazo[4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one
111			-Ethyl	-	-COOH	157-158	8-Benzyl-2-carboxy-7-cyclopentyl-4-ethyl-imidazo[4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one
112			-Ethyl	-	$-COOCH_3$	155-157	8-Benzyl-2-carboxymethyl-7-cyclopentyl-4-ethyl-imidazo[4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one
113			-Ethyl	-	-CHO	153-154	8-Benzyl-7-cyclopentyl-4-ethyl-2-formyl-imidazo[4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one
114			-Ethyl	-	$-CH_2-Br$	153-154	8-Benzyl-2-brommethyl-7-cyclopentyl-4-ethyl-imidazo[4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one
115			-Ethyl	-		137-138	8-Benzyl-7-cyclopentyl-4-ethyl-2-(N-pyrrolyl-methyl)-imidazo[4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one
116			-Ethyl	-		-	8-Benzyl-7-cyclopentyl-4-ethyl-2-[N-(3-pyridyl)amino-methyl]-imidazo[4.5-e]-s-triazolo[1.5-a]pyrimidin-5-one

a): Methanesulphonate

CA 02242097 1998-06-26

The structures of the examples of compounds (Ia) to (Id) synthesised hereinbefore were confirmed by NMR-spectroscopy.

NMR-spectroscopic data of selected compounds:

Example (3)

^{1}H-NMR (DMSO-d6): δ = 14.10 (1H, s, broad, NH); 8.24 (1H, s, H2); 7.35-7.14 (5H, m, Aryl-H); 4.12 (2H, t, J = 7.5 Hz; N-$\underline{CH_2}CH_2CH_3$); 4.09 (2H, s, -$\underline{CH_2}$-Phenyl); 1.73 (2H, m, N-$CH_2\underline{CH_2}CH_3$); 0.89 (3H, t, J = 7.5 Hz, N-$CH_2CH_2\underline{CH_3}$).

Example (4)

^{1}H-NMR (DMSO-d6): δ = 13.28 (1H, s, broad, NH); 8.24 (1H, s, H2); 7.35-7.14 (5H, m, Aryl-H); 4.15 (2H, t, J = 7.5 Hz, N-$\underline{CH_2}CH_2CH_2CH_3$); 1.68 (2H, m, N-$CH_2\underline{CH_2}CH_2CH_3$); 1.32 (2H, m, N-$CH_2CH_2\underline{CH_2}CH_3$); 0.90 (3H, t, J = 7.5 Hz, N-$CH_2CH_2CH_2\underline{CH_3}$).

Example (5)

^{1}H-NMR (DMSO-d6): δ = 13.22 (1H, s, broad, NH); 8.25 (1H, s, H2); 7.35-7.14 (5H, m, Aryl-H);5.33 (1H, m, CH-Isopropyl); 4.09 (2H, s, -$\underline{CH_2}$-Phenyl); 1.55 (6H, d, J = 7.0 Hz, $(CH_3)_2$-Isopropyl).

Example (6)

^{1}H-NMR (DMSO-d6): δ = 13.66 (1H, s, broad, NH); 7.34-7.14 (5H, m, Aryl-H); 4.11 (2H, t, J = 7.5 Hz, N-$\underline{CH_2}CH_2CH_3$); 4.07 (2H, s, -$\underline{CH_2}$-Phenyl); 2.79 (2H, qu, J = 7.5 Hz, -$\underline{CH_2}CH_3$); 1.71 (2H, m, N-$CH_2\underline{CH_2}CH_3$); 1.29 (3H, t, J = 7.5 Hz, -CH_2-$\underline{CH_3}$); 0.90 (3H, t, J = 7.5 Hz, N-$CH_2CH_2\underline{CH_3}$).

Example (7)

^{1}H-NMR (DMSO-d6): δ = 13.70 (1H, s, broad, NH); 7.43-7.14 (5H, m, Aryl-H); 4.09 (2H, t, J = 7.5 Hz, N-$\underline{CH_2}CH_2CH_3$); 4.07 (2H, s, -$\underline{CH_2}$-Phenyl); 2.75 (2H, t, J = 7.5 Hz, -$\underline{CH_2}CH_2CH_3$); 1.74 (4H, m, N-$CH_2\underline{CH_2}CH_3$; -$CH_2\underline{CH_2}CH_3$); 0.92 (6H, m, N-$CH_2CH_2\underline{CH_3}$; -$CH_2CH_2\underline{CH_3}$).

Example (8)

^{1}H-NMR (DMSO-d6): δ = 7.34-7.12 (5H, m, Aryl-H); 4.07 (2H, s, CH_2-Phenyl); 3.55 (3H, s, N-CH_3); 3.23 (1H, m, CH-Cyclopentyl); 2.14-1.52 (8H, m, CH_2-Cyclopentyl).

Example (9)

^{1}H-NMR (DMSO-d6): δ = 13.68 (1H, s, broad, NH); 7.40-7.14 (5H, m, Aryl-H); 4.19 (2H, qu, J = 7.5 Hz, N-$\underline{CH_2}CH_3$); 4.09 (2H, s, CH_2-Aryl); 3.24 (1H, m, CH-Cyclopentyl); 2.26-1.50 (8H, m, CH_2-Cyclopentyl); 1.26 (3H, t, J = 7.5 Hz, N-$CH_2\underline{CH_3}$).

Example (10)

^{1}H-NMR (DMSO-d6): δ = 13.65 (1H, s, broad, NH); 7.38-7.14 (5H, m, Aryl-H); 4.11 (2H, t, J = 7.5 Hz, N-$\underline{CH_2}CH_2CH_3$); 4.08 (2H, s, Phenyl-$\underline{CH_2}$-); 3.23 (1H, m, CH-Cyclopentyl); 2.14-1.49 (10 H, m, CH_2-Cyclopentyl; N-$CH_2\underline{CH_2}CH_3$); 0.89 (3H, t, J = 7.5 Hz, N-$CH_2CH_2\underline{CH_3}$).

Example (11)

^{1}H-NMR (DMSO-d6): δ = 13.85 (1H, s, broad, NH); 7.62-7.33 (5H, m, Aryl-H); 4.34 (2H, t, J = 7.5 Hz, N-$\underline{CH_2}$); 4.28 (2H, s, CH_2-Phenyl); 3.43 (1H, m, CH-Cyclopentyl); 2.33-1.38 (12H, m, CH_2-Cyclopentyl; N-CH_2-$\underline{CH_2}\underline{CH_2}$-$CH_3$); 1.10 (3H, t, J = 7.5 Hz, N-$(CH_2)_3$-$\underline{CH_3}$).

Example (12)

^{1}H-NMR (DMSO-d6): δ = 12.58 (1H, s, broad, NH); 7.52-7.14 (10 H, m, Aryl-H); 5.52 (2H, s, N-CH_2-Aryl); 4.18 (2H, s, -CH_2-Aryl); 3.31 (1H, m, CH-Cyclopentyl); 2.21-1.50 (8H, m, CH_2-Cyclopentyl).

Example (13)

^{1}H-NMR (DMSO-d6): δ = 12.38 (1H, s, broad, NH); 7.34-7.14 (5H, m, Aryl-H); 4.08 (2H, t, J = 7.5 Hz, N-$\underline{CH_2}CH_2CH_3$); 4.6 (2H, s, -$\underline{CH_2}$-Phenyl); 3.69; 3.59 (8H, m, morpholin-H); 1.70 (2H, m, N-$CH_2\underline{CH_2}CH_3$); 0.88 (3H, t, J = 7.5 Hz, N-$CH_2CH_2\underline{CH_3}$).

Example (14)

^{1}H-NMR (DMSO-d6): δ = 12.26 (1H, s, broad, NH); 7.38-7.14 (10 H, m, Aryl-H); 4.08 (2H, t, J = 7.5 Hz, N-$\underline{CH_2}CH_2CH_3$); 4.06 (2H, s, CH_2-Phenyl); 3.57; 2.46 (8H, m, -CH_2-Piperaz.); 3.52 (2H, s, N-CH_2-Phenyl); 1.70 (2H, m, N-$CH_2\underline{CH_2}CH_3$); 0.88 (3H, t, J = 7.5 Hz, N-$CH_2CH_2\underline{CH_3}$).

Example (15)

^{1}H-NMR (DMSO-d6): δ = 7.40-7.14 (5H, m, Aryl-H); 4.76 (3H, s, broad, NH, NH_2); 4.08 (2H, t, J = 7.5 Hz; N-$\underline{CH_2}CH_2$-CH_3); 4.06 (2H, s, -$\underline{CH_2}$-Phenyl); 3.48; 2.79 (8H, 2m, CH_2-Piper.); 1.90 (3H, s, $\underline{CH_3}COOH$); 1.70 (2H, m, N-$CH_2\underline{CH_2}$-CH_3); 0.88 (3H, t, J = 7.5 Hz, N-CH_2CH_2-$\underline{CH_3}$).

Example (16)

^{1}H-NMR (DMSO-d6): δ = 13.82 (1H, s, broad, NH); 7.34-7.14 (5H, m, Aryl-H); 4.19 (2H, qu, J = 7.0 Hz, N-$\underline{CH_2}CH_3$); 4.08 (2H, s, -$\underline{CH_2}$-Phenyl); 3.93; 3.43 (4H, 2m, 2 CH_2-0); 3.09 (1H, m, -CH-THP); 2.00-1.68 (4H, m, 2-CH_2-THP); 1.25 (3H, t, J = 7.0 Hz, N-$CH_2\underline{CH_3}$).

Example (17)

^{1}H-NMR (DMSO-d6): δ = 13.84 (1H, s, broad, NH); 7.34-7.14 (5H, m, Aryl-H); 4.18 (2H, qu, J = 7.0 Hz, N-$\underline{CH_2}CH_3$); 4.08 (2H, s, -$\underline{CH_2}$-Phenyl); 4.08-3.74 (4H, m, 2 CH_2-O-3THF); 3.62 (1H, m, CH- 3 THF); 2.29 (2H, m, CH_2- 3 THF); 1.25 (3H, t, J = 7.0 Hz, N $CH_2\underline{CH_3}$).

Example (18)

^{1}H-NMR (DMSO-d6): δ = 13.64; 12.76 (2H, 2s, broad, 2NH); 7.35-7.14 (5H, m, Aryl-H); 4.02 (2H, s, -$\underline{CH_2}$-Phenyl); 3.23 (1H, m, CH-Cyclopentyl); 2.14-1.50 (8H, m, CH_2-Cyclopentyl).

Example (19)

^{1}H-NMR (DMSO-d6): δ = 13.42; 13.20 (2H, 2s, broad, 2 NH); 8.24 (1H, s, H2); 3.20 (1H, m, CH-Cyclopentyl); 2.17-1.50 (1H, m, CH_2-Cyclopentyl).

Example (20)

^{1}H-NMR (DMSO-d6): δ = 13.86 (1H, s, broad, NH); 8.22 (1H, s, H2); 3.58 (3H, s, N-CH_3); 3.23 (1H, m, CH-Cyclopentyl); 2.14-1.52 (8H, m, CH_2-cylcopentyl).

Example (21)

^{1}H-NMR (DMSO-d6): δ = 14.04 (1H, s, broad, NH); 8.24 (1H, s, H2); 4.13 (2H, t, J = 7.5 Hz, N-$\underline{CH_2}CH_2CH_3$); 3.21 (1H, m, CH-Cyclopentyl); 2.14-1.52 (10 H, m, CH_2-Cyclopentyl; N-$CH_2\underline{CH_2}CH_3$); 0.90 (3H, t, J = 7.5 Hz, N-$CH_2CH_2\underline{CH_3}$).

Example (22)

^{1}H-NMR (DMSO-d6): δ = 13.68 (1H, s, broad, NH); 4.12 (2H, t, J = 7.5 Hz, N-$\underline{CH_2}$-CH_2CH_3);3.20 (1H, m, CH-Cyclopropyl); 2.45 (3H, s, -CH_3); 2.12-1.52 (10 H, m, CH_2-Cyclopentyl); 0.89 (3H, t, J = 7.5 Hz, N-CH_2-$CH_2\underline{CH_3}$).

Example (23)

^{1}H-NMR (DMSO-d6): δ = 13.64 (1H, s, broad, NH); 4.12 (2H, t, J = 7.5 Hz, N-$\underline{CH_2}$-CH_2CH_3);3.20 (1H, m, CH-Cyclopentyl); 2.80 (2H, qu, J = 7.5 Hz, -$\underline{CH_2}$-CH_3); 2.13-1.52 (10 H, m, CH_2-Cyclopentyl; N-CH_2-$\underline{CH_2}CH_3$); 1.29 (3H, t, J = 7.5 Hz, -CH_2-$\underline{CH_3}$); 0.90 (3H, t, J = 7.5 Hz, -N-CH_2-$CH_2\underline{CH_3}$).

Example (24)

^{1}H-NMR (DMSO-d6): δ = 13.88 (1H, s, broad, NH); 7.35-7.14 (5H, m, Aryl-H); 4.13 (2H, s, -$\underline{CH_2}$-Phenyl); 4.11 (2H, t, J = 7.5 Hz, N-$\underline{CH_2}CH_2CH_3$); 3.19 (1H, m, CH-Cyclopentyl); 2.09-1.52 (1=H, m, CH_2-Cyclopentyl; N-$CH_2\underline{CH_2}CH_3$); 0.89 (3H, t, J = 7.5 Hz, N-$CH_2CH_2\underline{CH_3}$).

Example (25)

^{1}H-NMR (DMSO-d6): δ = 13.60 (1H, s, broad, NH); 7.43-7.14 (5H, m, Aryl-H); 4.36 (2H, t, J = 7.5 Hz, N-$\underline{CH_2}(CH_2)_3$-CH_3); 4.19 (2H, s, -$\underline{CH_2}$-Phenyl); 3.40 (1H, m, CH-Cyclopentyl); 2.31-1.24 (14H, m, CH_2-Cyclopentyl; N-$CH_2(\underline{CH_2})_3$-CH_3); 0.89 (3H, m, N-$(CH_2)_4$-$\underline{CH_3}$).

Example (26)

^{1}H-NMR (DMSO-d6): δ = 13.85 (1H, s, broad, NH); 8.16 (1H, s, H6); 7.35-7.14 (5H, m, Aryl-H); 4.15 (2H, s, -$\underline{CH_2}$-Phenyl); 3.59 (3H, s, N-CH_3).

Example (27)

^{1}H-NMR (DMSO-d6): δ = 14.02 (1H, s, broad, NH); 8.19 (1H, s, H6); 7.35-7.14 (5H, m, Aryl-H); 4.18 (2H, s, -$\underline{CH_2}$-Phenyl); 4.15 (2H, t, J = 7.5 Hz, N-$\underline{CH_2}CH_2CH_3$); 1.75 (2H, m, N-$CH_2\underline{CH_2}CH_3$); 0.93 (3H, t, J = 7.5 Hz, N-$CH_2CH_2\underline{CH_3}$).

Example (28)

^{1}H-NMR (DMSO-d6): δ = 13.50, 12.92 (2H, 2s, broad, 2NH); 8.10 (1H, s, H6); 3.24 (1H, m, CH-Cyclopentyl); 2.17-1.52 (8H, m, CH_2-Cyclopentyl).

Example (29)

^{1}H-NMR (DMSO-d6): δ = 13.70 (1H, s, broad, NH); 8.18 (1H, s, H6); 3.59 (3H, s, C-CH_3); 3.25 (1H, m, CH-Cyclopentyl); 2.15-1.52 (8H, m, CH_2-Cyclopentyl).

Example (30)

^{1}H-NMR (DMSO-d6): δ = 13.70 (1H, s, broad, NH); 8.20 (1H, s, H6); 4.25 (2H, qu, J = 7.0 Hz, N-$\underline{CH_2}$-CH_3); 3.27 (1H, m, CH-Cyclopentyl); 2.21-1.52 (8H, m, CH_2-Cyclopentyl); 1.29 (3H, t, J = 7.0 Hz, N-CH_2-$\underline{CH_3}$).

Example (31)

^{1}H-NMR (DMSO-d6): δ = 13.74 (1H, s, broad, NH); 8.18 (1H, s, H6); 4.14 (2H, t, J = 7.5 Hz, N-$\underline{CH_2}$-CH_2CH_3); 3.25 (1H, m, CH-Cyclopentyl); 2.15-1.54 (10 H, m, CH_2-Cyclopentyl; N-CH_2-$\underline{CH_2}CH_3$); 0.90 (3H, t, J = 7.5 Hz, N-CH_2-$CH_2\underline{CH_3}$).

Example (33)

^{1}H-NMR (DMSO-d6): δ = 13.62 (1H, s, broad, NH); 4.11 (2H, t, J = 7.5 Hz, N-$\underline{CH_2}CH_2CH_3$); 3.23 (1H, m, CH-Cyclopentyl); 2.37 (3H, s, -CH_3); 2.14-1.50 (10 H, m, CH_2-Cyclopentyl, N-$CH_2\underline{CH_2}CH_3$); 0.90 (3H, t, J = 7.5 Hz, N-$CH_2CH_2\underline{CH_3}$).

Example (34)

^{1}H-NMR (DMSO-d6): δ = 8.22 (1H, s, Hz); 4.13 (2H, t, J = 7.5 Hz, N-$\underline{CH_2}CH_2CH_3$); 2.75 (2H, qu, J = 7.5 Hz, -$\underline{CH_2}CH_3$); 1.74 (2H, m, N-$CH_2\underline{CH_2}CH_3$); 1.29 (3H, t, J = 7.5 Hz, CH_2-$\underline{CH_3}$); 0.92 (3H, t, J = 7.5 Hz, N-$CH_2CH_2\underline{CH_3}$).

Example (35)

^{1}H-NMR (DMSO-d6): δ = 13.62 (1H, s, broad, NH); 4.12 (2H, t, J = 7.5 Hz, N-$\underline{CH_2}CH_2CH_3$); 3.25 (1H, m, CH-Cyclopentyl); 2.74 (2H, qu, J = 7.5 Hz, -$\underline{CH_2}CH_3$); 2.17-1.51 (10 H, m, CH_2-Cyclopentyl; N-$CH_2\underline{CH_2}CH_3$); 1.29 (3H, t, J = 7.5 Hz, -$CH_2\underline{CH_3}$); 0.90 (3H, t, J = 7.5 Hz, N-$CH_2CH_2\underline{CH_3}$).

Example (36)

^{1}H-NMR (DMSO-d6): δ = 13.58 (1H, s, broad, NH); 4.12 (2H, t, J = 7.5 Hz, N-$\underline{CH_2}CH_2CH_3$); 3.24 (1H, m, CH-Cyclopentyl);2.69 (2H, t, J = 7.5 Hz, -$\underline{CH_2}CH_2CH_3$); 2.15-1.50 (12H, m, CH_2-Cyclopentyl; $(CH_2\underline{CH_2}CH_3)_2$); 0.95; 0.90 (6H, 2t, J = 7.5 Hz, $(CH_2CH_2\underline{CH_3})_2$).

Example (37)

^{1}H-NMR (DMSO-d6): δ = 13.62 (1H, s, broad, NH); 4.13 (2H, t, J = 7.5 Hz, N-$\underline{CH_2}CH_2CH_3$); 3.24 (1H, m, CH-Cyclopentyl); 3.05 (1H, m, CH-Isoprop.); 2.14-1.50 (10 H, m, CH_2-Cyclopentyl; N-$CH_2\underline{CH_2}CH_3$); 1.32 (6H, d, J = 7.5 Hz, CH_3-Isoprop.); 0.90 (3H, t, J = 7.5 Hz, $CH_2CH_2\underline{CH_3}$).

Example (38)

^{1}H-NMR (DMSO-d6): δ = 13.62 (1H, s, broad, NH); 4.12 (2H, t, J = 7.5 Hz, N-$\underline{CH_2}CH_2CH_3$); 3.24 (1H, m, Cyclopentyl-H); 2.70 (2H, t, J = 7.5 Hz, $\underline{CH_2}$-$CH_2CH_2CH_3$); 2.15-1.26 (14H, m, CH_2-Cyclopentyl; N-$CH_2\underline{CH_2}$-CH_3, CH_2-$\underline{CH_2}\underline{CH_2}CH_3$); 0.90 (6H, m, N-$CH_2CH_2CH_3$); (-$CH_2$)$_3$-$\underline{CH_3}$).

Example (39)

^{1}H-NMR ($CDCl_3$): δ = 4.36 (2H, t, J = 7.5 Hz, N-$\underline{CH_2}CH_2CH_3$); 3.40 (1H, m, CH -Cyclopentyl); 2.32-1.63 (10 H, m, CH_2-Cyclopentyl; N-$CH_2\underline{CH_2}CH_3$); 1.46 (9H, s, $C(CH_3)_3$; 1.02 (3H, t, J = 7.5 Hz, N-$CH_2CH_2CH_3$).

Example (40)

^{1}H-NMR (DMSO-d6): δ = 14.28 (1H, s, broad, NH); 8.26-7.45 (5H, m, Aryl-H); 4.14 (2H, t, J = 7.5 Hz, N-$\underline{CH_2}CH_2CH_3$); 2.71 (2H, t, J = 7.5 Hz, -$\underline{CH_2}CH_2CH_3$); 1.76 (4H, m, (-$CH_2\underline{CH_2}CH_3$)$_2$); 0.98; 0.93 (6H, 2t, (-$CH_2CH_2\underline{CH_3}$)$_2$).

Example (41)

^{1}H-NMR (DMSO-d6): δ = 7.44-7.05 (4H, m, Aryl-H); 4.13 (2H, s, CH_2-Phenyl); 4.11 (2H, t, J = 7.5 Hz, N-$\underline{CH_2}CH_2$-CH_3); 2.68 (2H, t, J = 7.5 Hz, -$\underline{CH_2}CH_2$-CH_3); 1.74 (4H, m, (-$CH_2\underline{CH_2}$-CH_3)$_2$); 0.95; 0.89 (6H, 2t, J = 7.5 Hz, (CH_2CH_2-$\underline{CH_3}$)$_2$).

Example (42)

^{1}H-NMR (DMSO-d6): δ = 13.64 (1H, s, broad, NH); 4.12 (2H, t, J = 7.5 Hz; N-$\underline{CH_2}CH_2CH_3$); 2.76 (4H, m, ($\underline{CH_2}CH_3$)$_2$); 1.73 (2H, m, N-$CH_2\underline{CH_2}CH_3$)); 2.29 (6H, m, (CH_2-$\underline{CH_3}$)$_2$); 0.90 (3H, t, J = 7.5 Hz, N-$CH_2CH_2\underline{CH_3}$).

Example (43)

^{1}H-NMR (DMSO-d6): δ = 14.19 (1H, s, broad, NH); 8.31 (1H, s, H2); 8.16-7.38 (5H, m, Aryl-H); 4.21 (2H, t, J = 7.5 Hz, N-$\underline{CH_2}CH_2CH_3$); 1.83 (2H, m, N-$CH_2\underline{CH_2}CH_3$); 0.98 (3H, t, J = 7.5 Hz, N-$CH_2CH_2\underline{CH_3}$).

Example (44)

^{1}H-NMR (DMSO-d6): δ = 13.78 (1H, s, broad, NH); 8.19-7.43 (5H, m, Aryl-H); 4.21 (2H, t, J = 7.5 Hz, N-$\underline{CH_2}CH_2CH_3$); 2.83 (2H, qu, J = 7.5 Hz, -$\underline{CH_2}CH_3$); 1.81 (2H, m, N-$CH_2\underline{CH_2}CH_3$); 1.33 (3H, t, j = 7.5 Hz, -$CH_2\underline{CH_3}$); 0.95 (3H, t, J = 7.5 Hz, -N-$CH_2CH_2\underline{CH_3}$).

Example (45)

^{1}H-NMR (DMSO-d6): δ = 13.70 (1H, s, broad, NH); 8.19-7.38 (5H, m, Aryl-H); 4.21 (2H, t, J = 7.5 Hz, N-$\underline{CH_2}CH_2CH_3$); 3.28 (1H, m, CH-Cyclopentyl); 2.19-1.50 (10 H, m, CH_2-Cyclopentyl; N-$CH_2\underline{CH_2}CH_3$); 0.94 (3H, t, J = 7.5 Hz, N-$CH_2CH_2\underline{CH_3}$).

Example (46)

^{1}H-NMR (DMSO-d6): δ = 8.26 (1H, s, Hz); 7.84; 7.10; 6.69 (3H, 3m, Furyl-H); 4.10 (2H, t, J = 7.5 Hz, N-$\underline{CH_2}CH_2CH_3$); 1.81 (2H, m, N-$CH_2\underline{CH_2}CH_3$); 0.98 (3H, t, J = 7.5 Hz, N-$CH_2CH_2\underline{CH_3}$).

Example (47)

^{1}H-NMR (DMSO-d6): δ = 13.56 (1H, s, broad, NH); 7.70; 6.91; 6.50 (3H, 3m, Furyl-H); 4.00 (2H, t, J = 7.5 Hz, N-$\underline{CH_2}CH_2CH_3$); 2.66 (2H, qu, J = 7.5 Hz, -$\underline{CH_2}CH_3$); 1.61 (2H, m, N-CH_2-$\underline{CH_2}$-CH_3); 1.14 (3H, t, J = 7.5 Hz, N-$CH_2CH_2\underline{CH_3}$); 0.77 (3H, t, J = 7.5 Hz, -$CH_2\underline{CH_3}$).

Example (48)

^{1}H-NMR (DMSO-d6): δ = 13.84 (1H, s, broad, NH); 8.00; 7.18; 6.78 (3H, 3m, Furan-H); 4.26 (2H, t, J = 7.5 Hz, N-$\underline{CH_2}CH_2CH_3$); 3.37 (1H, m, CH-Cyclopentyl); 2.28-1.62 (10 H, m, CH_2-Cyclopentyl; N-$CH_2\underline{CH_2}CH_3$); 1.04 (3H, t, J = 7.5 Hz; N-$CH_2CH_2\underline{CH_3}$).

Example (49)

^{1}H-NMR (DMSO-d6): δ = 12.84 (1H, s, broad, NH); 8.26 (1H, s, H2); 4.32 (2H, t, J = 7.5 Hz, N-$\underline{CH_2}CH_2CH_3$); 3.18 (1H, m, CH-Cyclopentyl); 2.09-1.52 (10 H,m, CH_2-Cyclopentyl; N-$CH_2\underline{CH_2}CH_3$); 0.83 (3H, t, J = 7.5 Hz, N-$CH_2CH_2\underline{CH_3}$).

Example (50)

^{1}H-NMR (DMSO-d6): δ = 8.28 (1H, s, H6); 8.15 (1H, s, H2); 13.35 (2H, s, broad).

Example (51)

^{1}H-NMR (DMSO-d6): δ = 13.74 (1H, s, broad, NH); 8.34 (1H, s, H6); 4.63 (2H, qu, J = 7.5 Hz, N-$\underline{CH_2}CH_3$); 3.33 (1H, m, CH-Cyclopentyl); 2.24-1.57 (8H, m, CH_2-Cyclopentyl); 1.47 (3H, t, J = 7.5 Hz, N-$CH_2\underline{CH_3}$).

Example (52)

^{1}H-NMR (DMSO-d6): δ = 13.72 (1H, s, broad, NH); 8.34 (1H, s, H6); 4.53 (2H, t, J = 7.5 Hz, N-$\underline{CH_2}CH_2CH_3$); 3.34 (1H, m, CH-Cyclopentyl); 2.20-1.57 (8H, m, CH_2-Cyclopentyl); 1.87 (2H, m, N-$CH_2\underline{CH_2}CH_3$); 1.06 (3H, t, J = 7.5 Hz, N-$CH_2CH_2\underline{CH_3}$).

Example (53)

^{1}H-NMR (DMSO-d6): δ = 8.19 (1H, s, H6); 4.00 (3H, s, N-CH_3); 3.58 (3H, s, N-CH_3); 3.42 (1H, m, CH-Cyclopentyl); 2.18-1.57 (8H, m, CH_2-Cyclopentyl).

Example (55)

^{1}H-NMR (DMSO-d6): δ = 14.12 (1H, s, broad, NH); 8.44 (1H, s, Hz); 4.53 (2H, t, J = 7.5 Hz, N-$\underline{CH_2}CH_2CH_3$); 3.28 (1H, m, CH-Cyclopentyl); 2.17-1.55 (8H, m, CH_2-Cyclopentyl); 1.87 (2H, m, N-$CH_2\underline{CH_2}CH_3$); 1.05 (3H, t, J = 7.5 Hz, N-$CH_2CH_2\underline{CH_3}$).

Example (56)

^{1}H-NMR (DMSO-d6): δ = 8.28 (1H, s, H2); 4.36 (2H, t, J = 7.5 Hz, N-$\underline{CH_2}CH_2CH_3$); 4.12 (2H, t, J = 7.5 Hz, N-$\underline{CH_2}CH_2CH_3$); 3.21 (1H, m, CH-Cyclopentyl). 2.12-1.57 (12H, m, CH_2-Cyclopentyl; (N-$CH_2\underline{CH_2}CH_3)_2$); 0.92; 0.87 (6H, 2t, J = 7.5 Hz, (N-$CH_2CH_2\underline{CH_3})_2$).

Example (57)

^{1}H-NMR (DMSO-d6): δ = 8.02 (1H, s, H2); 4.45 (2H, t, J = 7.5 Hz, N-$\underline{CH_2}CH_2CH_3$); 4.14 (2H, t, J = 7.5 Hz, N-$\underline{CH_2}CH_2CH_3$); 3.24 (1H, m, CH-Cyclopentyl); 2.14-1.57 (12H, m, CH_2-Cyclopentyl; (N-$CH_2\underline{CH_2}CH_3)_2$; 0.93; 0.89 (6H, 2t, J = 7.5 Hz, (N-$CH_2CH_2\underline{CH_3})_2$;

The following Table contains K_iA_1 (human) and K_iA_2 (rat) receptor binding values.

Table 20:

Example No.:	K_iA_1 [nM]	K_iA_2 [nM]
7	8,1	158
8	1,9	363
9	1,4	422
10	1,7	730
11	8,3	345
13	5,2	82
25	10,3	1231
30	81,5	3292
36	5,8	731
37	6,4	307
38	6,4	532
39	6,0	539
48	11,4	4455

The following Table contains K_iA_1 (human) receptor binding values.

Table 21:

Example No.	K_iA_3 [nM]
3	4,7
4	2,3
6	2
12	20
19	3,8
23	2
25	26
38	22
65	3
68	30
78	5,3
82	25

The compounds of general formula (I) may be used on their own or combined with other active substances according to the invention, possibly also together with other pharmacologically active substances. Suitable preparations include, for example, tablets, capsules, suppositories, solutions, syrups, emulsions or dispersible powders. Corresponding tablets may be obtained, for example, by mixing the active substance or substances with known excipients such as inert diluents, e.g. calcium carbonate, calcium phosphate or lactose, disintegrants such as corn starch or alginic acid, binders such as starch or gelatine, lubricants such as magnesium stearate or talc, and/or agents for achieving delayed release such as carboxymethyl cellulose, cellulose acetate phthalate or polyvinyl acetate. The tablets may also be made up of several layers.

Coated tablets may be prepared analogously by coating cores produced in the same way as the tablets with agents conventionally used in tablet coatings, e.g. collidone or shellack, gum arabic, talc, titanium dioxide or sugar. To achieve delayed release or prevent incompatibilities, the core may also be made up of several layers. Similarly, the tablet coating may be made up of several layers to achieve delayed release, in which case the excipients used for the tablets may be used.

Syrups of the active substances according to the invention or combinations of active substances may additionally contain a sweetener such as saccharin, cyclamate, glycerol or sugar and a flavouring improving agent, e.g. a flavouring such as vanillin or orange extract. They may also contain suspension adjuvants or thickeners such as sodium carboxymethylcellulose, wetting agents, e.g. condensation products of fatty alcohols with ethylene oxide, or preservatives such as p-hydroxybenzoates.

Injectable solutions are produced in the usual way, e.g. by adding preservatives such as p-hydroxybenzoates or stabilisers such as alkali metal salts of ethylenediamine tetraacetic acid and are transferred into injection vials or ampoules.

The capsules containing one or more active substances or combinations of active substances may be produced by mixing the active substances with inert carriers such as lactose or sorbitol and packing them into gelatine capsules.

Suitable suppositories may be prepared, for example, by mixing with carriers intended for this purpose such as neutral fats or polyethyleneglycol or derivatives thereof.

A therapeutically active daily dose is between 1 and 800 mg, preferably 10 to 300 mg per adult.

The Examples which follow illustrate the invention without restricting its scope:

Examples of pharmaceutical formulations

A) Tablets

	per Tablet
Active substance	100 mg
Lactose	140 mg
Corn starch	240 mg
Polyvinylpyrrolidone	15 mg
Magnesium stearate	5 mg
	500 mg

The finely ground active substance, lactose and some of the corn starch are mixed together. The mixture is screened, and then moistened with a solution of polyvinylpyrrolidone in water, kneaded, moist-granulated and dried. The granules, the remaining corn starch and the magnesium stearate are screened and mixed together. The mixture is compressed into tablets of suitable shape and size.

B) Tablets

	per Tablet
Active substance	80 mg
Corn starch	190 mg
Lactose	55 mg
Microcrystalline cellulose	35 mg
Polyvinylpyrrolidone	15 mg
Sodium carboxymethyl starch	23 mg
Magnesium stearate	2 mg
	400 mg

The finely ground active substance, some of the corn starch, lactose, microcrystalline cellulose and polyvinylpyrrolidone are mixed together, the mixture is screened and processed with the remaining corn starch and water to form a granulated material which is dried and screened. The sodium carboxymethyl starch and the magnesium

stearate are added to this, then mixed together and the mixture is compressed to form tablets of suitable size.

C)	Coated tablets	per coated tablet
	Active substance	5 mg
	Corn starch	41.5 mg
	Lactose	30 mg
	Polyvinylpyrrolidone	3 mg
	Magnesium stearate	0.5 mg
		80 mg

The active substance, corn starch, lactose and polyvinylpyrrolidone are thoroughly mixed and moistened with water. The moist mass is pressed through a 1 mm mesh screen, dried at about 45°C and the granules are then passed through the same screen again. After the addition of magnesium stearate, curved tablet cores measuring 6 mm in diameter are pressed out in a tablet making machine. The tablet cores thus produced are coated in known manner with a covering consisting essentially of sugar and talc. The finished coated tablets are polished with wax.

D)	Capsules	per capsule
	Active substance	50 mg
	Corn starch	268.5 mg
	Magnesium stearate	1.5 mg
		320 mg

The substance and corn starch are mixed together and moistened with water. The moist mass is screened and dried. The dry granules are screened and mixed with magnesium stearate. The finished mixture is packed into size 1 hard gelatine capsules.

E) Ampoule solution

Active substance	50	mg
Sodium chloride	50	mg
Water for injections	5	ml

The active substance is dissolved at its own pH or optionally at pH 5.5 to 6.5 in water and sodium chloride is added to render the solution isotonic. The resulting solution is filtered free from pyrogens and the filtrate is transferred under aseptic conditions into ampoules which are subsequently sterilised and sealed by fusion. The ampoules contain 5 mg, 25 mg and 50 mg of active substance.

F) Suppositories

Active substance	50	mg
Solid fat	1650	mg
	1700	mg

The hard fat is melted. At 40°C the ground active substance is homogeneously dispersed therein. It is cooled to 38°C and poured into slightly chilled suppository moulds.

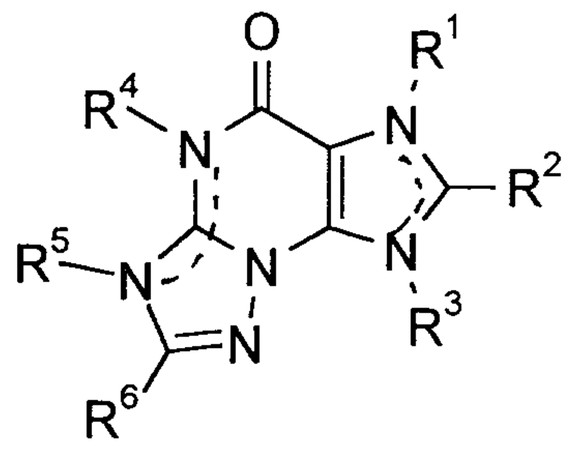
G) Oral Suspension

Active substance	50	mg
Hydroxyethylcellulose	50	mg
Sorbic acid	5	mg
(70%) Sorbitol	600	mg
Glycerol	200	mg
Flavouring	15	mg
Water ad	5	ml

Distilled water is heated to 70°C. Hydroxyethylcellulose is dissolved therein with stirring. After the addition of sorbitol solution and glycerol the mixture is cooled to ambient temperature. At ambient temperature the sorbic acid, flavouring and substance are added. To eliminate air from the suspension it is evacuated with stirring.

Claims

1. Imidazotriazolopyrimidines of general formula (I)



(I)

wherein

R^1 or R^3 denotes hydrogen, an optionally substituted C_{1-10}-alkyl, C_{2-10}-alkenyl or C_{2-10}-alkynyl, an optionally substituted C_{3-8}-cycloalkyl, an optionally substituted C_{4-8}-cycloalkenyl or an optionally substituted C_{6-8}-cycloalkynyl;

R^1 or R^3 denotes an optionally substituted C_{6-10}-aryl-C_{1-6}alkyl, C_{6-10}-aryl-C_{2-6}-alkenyl, C_{6-10}-aryl-C_{2-6}-alkynyl, an optionally substituted C_{6-10}-aryl or an optionally substituted heteroaryl, an optionally substituted 5-, 6- or 7-membered heterocyclic group which contains as heteroatoms one or more atoms from the group comprising nitrogen, oxygen or sulphur, the heterocycle being linked via a carbon atom of the ring;

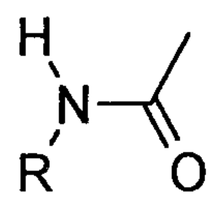
R^1 or R^3 denotes an optionally substituted C_{1-10}-alkyloxycarbonyl, an optionally substituted C_{2-10}-alkenyloxycarbonyl, an optionally substituted C_{2-10}-alkynyloxycarbonyl, an optionally substituted C_{3-8}-cycloalkyloxy-carbonyl, an optionally substituted C_{6-10}-aryloxycarbonyl, an optionally substituted C_{6-10}-aryl-C_{1-6}-alkyloxycarbonyl, A-O-CO- wherein A denotes an optionally substituted 5-, 6- or 7-membered heterocyclic group which contains as heteroatoms one or more atoms selected from the group comprising nitrogen, oxygen or sulphur, wherein A is linked via a carbon atom of the ring,

R^1 or R^3 is an optionally substituted C_{1-10}-alkylsulphonyl, C_{2-10}-alkenylsulphonyl, C_{2-10}-alkynylsulphonyl, an optionally substituted C_{3-8}-cycloalkylsulphonyl, an optionally substituted C_{6-10}-arylsulphonyl, an optionally substituted C_{6-10}-aryl-C_{1-6}-alkylsulphonyl, a group A-SO_2-

wherein A is as hereinbefore defined and is linked via a carbon atom of the ring;

R^1 or R^3 denotes an optionally substituted C_{1-10}-alkylcarbonyl, an optionally substituted C_{2-10}-alkenylcarbonyl, an optionally substituted C_{2-10}-alkynylcarbonyl, an optionally substituted C_{3-8}-cycloalkylcarbonyl, an optionally substituted C_{6-10}-arylcarbonyl, an optionally substituted C_{6-10}-aryl-C_{1-6}-alkylcarbonyl, a group A-CO- wherein A is as hereinbefore defined;

R^1 or R^3 denotes a group of general formula



wherein

R denotes hydrogen, phenyl, substituted phenyl, an optionally substituted benzyl, an optionally substituted C_{3-6}-cycloalkyl group, a branched or unbranched C_{1-10}-alkyl, preferably C_{1-4}-alkyl, C_{2-10}-alkenyl or C_{2-10}-alkynyl group which may optionally be substituted by hydroxy, phenyl, substituted phenyl, amino or substituted amino;

R^2 denotes hydrogen, hydroxy, amino, halogen, nitro, CF_3, COOH, mercapto, C_{1-6}-alkylmercapto, an optionally substituted C_{1-10}-alkyl, an optionally substituted C_{2-10}-alkenyl, an optionally substituted C_{2-10}-alkynyl, an optionally substituted C_{3-8}-cycloalkyl, an optionally substituted C_{5-8}-cycloalkanone, an optionally substituted C_{4-8}-cycloalkenyl, an optionally substituted C_{6-8}-cycloalkynyl, an optionally substituted C_{6-10}-aryl, an optionally substituted C_{6-10}-aryl-C_{1-6}-alkyl, C_{6-10}-aryl-C_{2-6}-alkenyl, C_{6-10}-aryl-C_{2-6}-alkynyl, C_{3-8}-cycloalkyl-C_{1-6}-alkyl, C_{3-8}-cycloalkyl-C_{2-6}-alkenyl, or C_{3-8}-cycloalkyl-C_{2-6}-alkynyl;

R^2 denotes an optionally substituted 5-, 6- or 7-membered heterocyclic group which contains as heteroatom one or more atoms selected from the group comprising nitrogen, oxygen or sulphur, wherein the nitrogen may optionally be substituted and the heterocyclic group may be linked

via a carbon or nitrogen atom directly or via a C_{1-6}-alkyl, C_{2-6}-alkenyl or C_{2-6}-alkynyl bridge;

R^2 denotes an optionally substituted C_{1-10}-alkylsulphonyl, C_{2-10}-alkenylsulphonyl or C_{2-10}-alkynylsulphonyl, an optionally substituted C_{3-8}-cycloalkylsulphonyl, an optionally substituted C_{6-10}-arylsulphonyl, an optionally substituted heteroarylsulphonyl or an optionally substituted C_{6-10}-aryl-C_{1-6}-alkylsulphonyl;

R^2 denotes an optionally substituted C_{1-10}-alkylsulphonyloxy, C_{2-10}-alkenylsulphonyloxy or C_{2-10}-alkynylsulphonyloxy, an optionally substituted C_{3-8}-cycloalkylsulphonyloxy, an optionally substituted C_{6-10}-arylsulphonyloxy, an optionally substituted C_{6-10}-aryl-C_{1-6}-alkylsulphonyloxy or an optionally substituted heteroarylsulphonyloxy;

R^2 denotes an optionally substituted C_{1-10}-alkylsulphonylamino, C_{2-10}-alkenylsulphonylamino or C_{2-10}-alkynylsulphonylamino, an optionally substituted C_{3-8}-cycloalkylsulphonylamino, an optionally substituted C_{6-10}-arylsulphonylamino, an optionally substituted C_{6-10}-aryl-C_{1-6}-alkylsulphonylamino, or an optionally substituted heteroarylsulphonylamino;

R^2 denotes an optionally substituted C_{1-10}-alkylaminocarbonyl, C_{1-10}-dialkylaminocarbonyl, C_{1-10}-alkyl-C_{2-10}-alkenylaminocarbonyl, C_{2-10}-alkenylaminocarbonyl, C_{2-10}-dialkenylaminocarbonyl, C_{2-10}-alkynylaminocarbonyl or C_{1-10}-alkyl-C_{2-10}-alkynylaminocarbonyl, an optionally substituted C_{3-8}-cycloalkylaminocarbonyl, an optionally substituted C_{6-10}-arylaminocarbonyl, an optionally substituted C_{6-10}-aryl-C_{1-6}-alkylaminocarbonyl, or an optionally substituted heteroarylaminocarbonyl;

R^2 denotes an optionally substituted C_{1-10}-alkyloxycarbonylamino, C_{2-10}-alkenyloxycarbonylamino or C_{2-10}-alkynyloxycarbonylamino, an optionally substituted C_{3-8}-cycloalkyloxycarbonylamino, an optionally substituted C_{6-10}-aryloxycarbonylamino, an optionally substituted C_{6-10}-aryl-C_{1-6}-alkyloxycarbonylamino or an optionally substituted heteroaryloxycarbonylamino;

R^2 denotes an optionally substituted C_{1-10}-alkylaminocarbonyloxy, C_{2-10}-alkenylaminocarbonyloxy or C_{2-10}-alkynylaminocarbonyloxy, an optionally substituted C_{3-8}-cycloalkylaminocarbonyloxy, an optionally substituted C_{6-10}-arylaminocarbonyloxy, an optionally substituted C_{6-10}-aryl-C_{1-6}-alkylaminocarbonyloxy or an optionally substituted heteroarylaminocarbonyloxy;

R^2 denotes an optionally substituted C_{1-10}-alkyl-N-amidino, C_{2-10}-alkenyl-N-amidino or C_{2-10}-alkynyl-N-amidino, an optionally substituted C_{3-8}-cycloalkyl-N-amidino, an optionally substituted C_{6-10}-aryl-N-amidino, an optionally substituted C_{6-10}-aryl-C_{1-6}-alkyl-N-amidino or an optionally substituted heteroaryl-N-amidino;

R^2 denotes an optionally substituted C_{1-10}-alkyloxy, C_{2-10}-alkenyloxy or C_{2-10}-alkynyloxy, an optionally substituted C_{3-8}-cycloalkyloxy, an optionally substituted C_{6-10}-aryloxy, an optionally substituted C_{6-10}-aryl-C_{1-6}-alkyloxy or an optionally substituted heteroaryloxy;

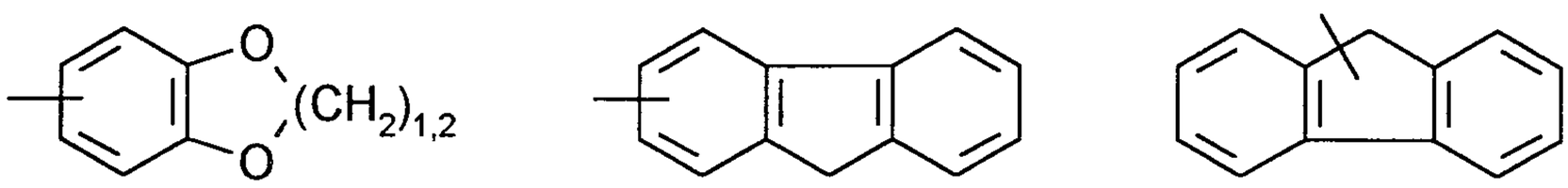
R^2 denotes an optionally substituted C_{1-10}-alkyloxycarbonyl, C_{2-10}-alkenyloxycarbonyl or C_{2-10}-alkynyloxycarbonyl, an optionally substituted C_{3-8}-cycloalkyloxycarbonyl, an optionally substituted C_{6-10}-aryloxycarbonyl, an optionally substituted C_{6-10}-aryl-C_{1-6}-alkyloxycarbonyl or an optionally substituted heteroaryloxycarbonyl;

R^2 denotes an optionally substituted C_{1-10}-alkylcarbonyloxy, C_{2-10}-alkenylcarbonyloxy or C_{2-10}-alkynylcarbonyloxy, an optionally substituted C_{3-8}-cycloalkylcarbonyloxy, an optionally substituted C_{6-10}-arylcarbonyloxy, an optionally substituted C_{6-10}-aryl-C_{1-6}-alkylcarbonyloxy or an optionally substituted heteroarylcarbonyloxy;

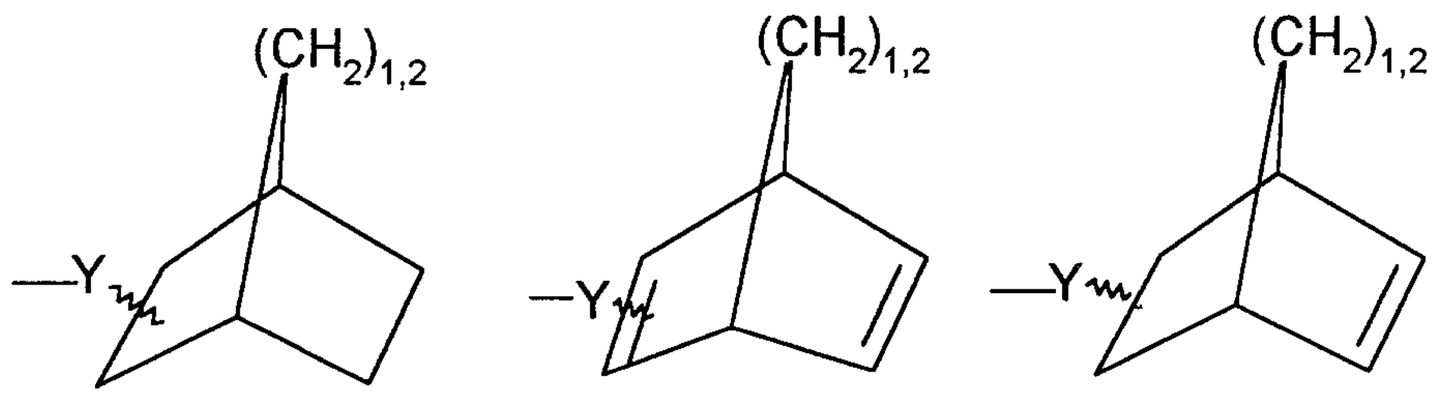
R^2 denotes an optionally substituted C_{1-10}-alkylthio, C_{2-10}-alkenylthio or C_{2-10}-alkynylthio, an optionally substituted C_{3-8}-cycloalkylthio, an optionally substituted C_{6-10}-arylthio, an optionally substituted C_{6-10}-aryl-C_{1-6}-alkylthio or an optionally substituted heteroarylthio;

R^2 denotes an optionally substituted amine, preferably NR^8R^9;

R^2 denotes an optionally substituted group of the formula

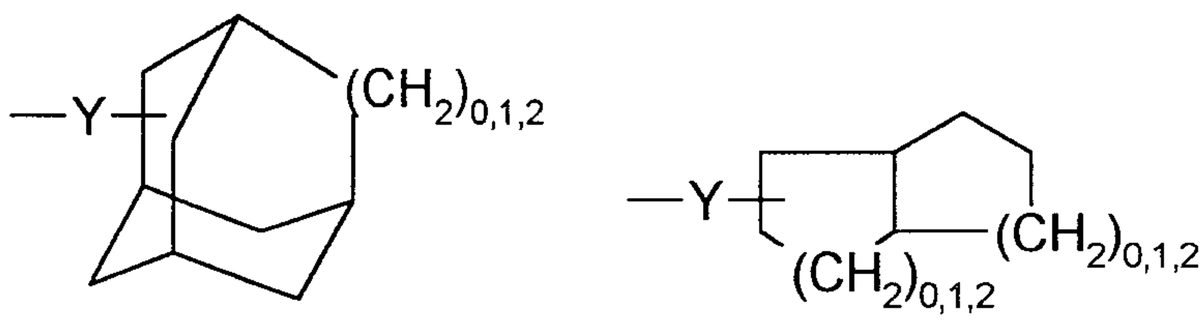


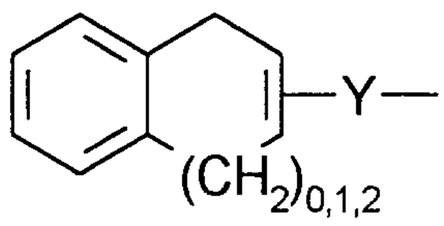
R^2 denotes an optionally substituted group of the formula

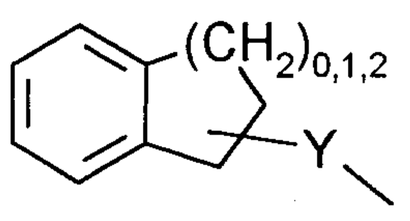


wherein Y is a single bond or an alkylene, an alkenylene or an alkynylene having up to 6, preferably up to 4 carbon atoms in the chain;

R^2 denotes an optionally substituted group of the formula







wherein Y is a single bond or an alkylene, an alkenylene or an alkynylene having up to 6, preferably up to 4 carbon atoms in the chain;

R^4 or R^5 denotes a hydrogen atom, an optionally substituted C_{1-10}-alkyl, C_{2-10}-alkenyl or C_{2-10}-alkynyl, an optionally substituted C_{3-8}-cycloalkyl, an optionally substituted C_{4-8}-cycloalkenyl or an optionally substituted C_{6-8}-cycloalkynyl, an optionally substituted C_{6-10}-aryl-C_{1-6}-alkyl, C_{6-10}-aryl-C_{2-6}-alkenyl, C_{6-10}-aryl-C_{2-6}-alkynyl, an optionally substituted C_{6-10}-aryl or an optionally substituted heteroaryl;

R^4 or R^5 denotes an optionally substituted 5-, 6- or 7-membered heterocyclic group which contains as heteroatoms one of more atoms selected from the group comprising nitrogen, oxygen or sulphur, the heterocyclic group being bound via a carbon atom of the ring;

R^4 or R^5 denotes an optionally substituted C_{1-10}-alkyloxycarbonyl, an optionally substituted C_{2-10}-alkenyloxycarbonyl, an optionally substituted C_{2-10}-alkynyloxycarbonyl, an optionally substituted C_{3-8}-cycloalkyloxycarbonyl, an optionally substituted C_{6-10}-aryl-C_{1-6}-alkyloxycarbonyl, an optionally substituted C_{6-10}-aryloxycarbonyl;

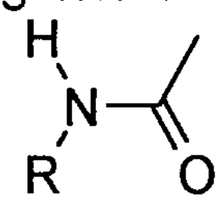
R^4 or R^5 denotes A-O-CO- wherein A denotes an optionally substituted 5-, 6- or 7-membered heterocyclic group which contains as heteroatoms one or more atoms selected from the group comprising nitrogen, oxygen or sulphur;

R^4 or R^5 denotes an optionally substituted C_{1-10}-alkylsulphonyl, C_{2-10}-alkenylsulphonyl, C_{2-10}-alkynylsulphonyl, an optionally substituted C_{3-8}-cycloalkylsulphonyl, an optionally substituted C_{6-10}-arylsulphonyl, a group A-SO_2- wherein A is as hereinbefore defined and is linked via a carbon atom of the ring;

R^4 or R^5 denotes an optionally substituted C_{1-10}-alkylcarbonyl, an optionally substituted C_{2-10}-alkenylcarbonyl, an optionally substituted C_{2-10}-alkynylcarbonyl, an optionally substituted C_{3-8}-cycloalkylcarbonyl, an optionally substituted C_{6-10}-arylcarbonyl, an optionally substituted

C_{6-10}-aryl-C_{1-6}-alkylcarbonyl, a group A-CO- wherein A is as hereinbefore defined;

R^4 or R^5 denotes a group of general formula



wherein

R denotes hydrogen, phenyl, substituted phenyl, an optionally substituted benzyl, an optionally substituted C_{3-6}-cycloalkyl group, a branched or unbranched C_{1-10}-alkyl, preferably C_{1-4}-alkyl, C_{2-10}-alkenyl or C_{2-10}-alkynyl group, which may optionally be substituted by hydroxy, phenyl, substituted phenyl, amino or substituted amino;

R^6 denotes hydrogen, hydroxy, -CHO, amino, halogen, nitro, CF_3, COOH, mercapto, C_{1-6}-alkylmercapto, an optionally substituted C_{1-10}-alkyl, an optionally substituted C_{2-10}-alkenyl, an optionally substituted C_{2-10}-alkynyl, an optionally substituted C_{3-8}-cycloalkyl, an optionally substituted C_{5-8}-cycloalkanone, an optionally substituted C_{4-8}-cycloalkenyl, an optionally substituted C_{6-8}-cycloalkynyl, an optionally substituted C_{6-10}-aryl, an optionally substituted C_{6-10}-aryl-C_{1-6}-alkyl, C_{6-10}-aryl-C_{2-6}-alkenyl, C_{6-10}-aryl-C_{2-6}-alkynyl, an optionally substituted C_{3-8}-cycloalkyl-C_{1-6}-alkyl, C_{3-8}-cycloalkyl-C_{2-6}-alkenyl or C_{3-8}-cycloalkyl-C_{2-6}-alkynyl;

R^6 denotes an optionally substituted 5-, 6- or 7-membered heterocyclic group which contains as heteroatom one or more atoms selected from the group comprising nitrogen, oxygen or sulphur, wherein the nitrogen may optionally be substituted and the heterocyclic group may be linked via a carbon atom or nitrogen atom directly or via a C_{1-6}-alkyl, C_{2-6}-alkenyl or C_{2-6}-alkynyl bridge;

R^6 denotes an optionally substituted C_{1-10}-alkylsulphonyl, C_{2-10}-alkenylsulphonyl or C_{2-10}-alkynylsulphonyl, an optionally substituted C_{3-8}-cycloalkylsulphonyl, an optionally substituted C_{6-10}-arylsulphonyl, an optionally substituted

C_{6-10}-aryl-C_{1-6}-alkylsulphonyl or an optionally substituted heteroarylsulphonyl;

R^6 denotes an optionally substituted C_{1-10}-alkylsulphonyloxy, C_{2-10}-alkenylsulphonyloxy or C_{2-10}-alkynylsulphonyloxy, an optionally substituted C_{3-8}-cycloalkylsulphonyloxy, an optionally substituted C_{6-10}-arylsulphonyloxy, an optionally substituted C_{6-10}-aryl-C_{1-6}-alkylsulphonyloxy or an optionally substituted heteroarylsulphonyloxy;

R^6 denotes an optionally substituted C_{1-10}-alkylsulphonylamino, C_{2-10}-alkenylsulphonylamino or C_{2-10}-alkynylsulphonylamino, an optionally substituted C_{3-8}-cycloalkylsulphonylamino, an optionally substituted C_{6-10}-arylsulphonylamino, an optionally substituted C_{6-10}-aryl-C_{1-6}-alkylsulphonylamino or an optionally substituted heteroarylsulphonylamino;

R^6 denotes an optionally substituted C_{1-10}-alkylaminocarbonyl, C_{1-10}-dialkylaminocarbonyl, C_{1-10}-alkyl-C_{2-10}-alkenylaminocarbonyl, C_{2-10}-alkenylaminocarbonyl, C_{2-10}-dialkenylaminocarbonyl, C_{2-10}-alkynylaminocarbonyl or C_{1-10}-alkyl-C_{2-10}-alkynylaminocarbonyl, an optionally substituted C_{3-8}-cycloalkylaminocarbonyl, an optionally substituted C_{6-10}-arylaminocarbonyl, an optionally substituted C_{6-10}-aryl-C_{1-6}-alkylaminocarbonyl or an optionally substituted heteroarylaminocarbonyl;

R^6 denotes an optionally substituted C_{1-10}-alkylaminocarbonylamino, C_{2-10}-alkenylaminocarbonylamino or C_{2-10}-alkynylaminocarbonylamino, an optionally substituted C_{3-8}-cycloalkylaminocarbonylamino, an optionally substituted C_{6-10}-arylaminocarbonylamino, an optionally substituted C_{6-10}-aryl-C_{1-6}-alkylaminocarbonylamino or an optionally substituted heteroarylaminocarbonylamino;

R^6 denotes an optionally substituted C_{1-10}-alkyloxycarbonylamino, C_{2-10}-alkenyloxycarbonylamino or C_{2-10}-alkynyloxycarbonylamino, an optionally substituted C_{3-8}-cycloalkyloxycarbonylamino, an optionally substituted C_{6-10}-aryloxycarbonylamino, an optionally substituted

C_{6-10}-aryl-C_{1-6}-alkyloxycarbonylamino or an optionally substituted heteroaryloxycarbonylamino;

R^6 denotes an optionally substituted C_{1-10}-alkylaminocarbonyloxy, C_{2-10}-alkenylaminocarbonyloxy or C_{2-10}-alkynylaminocarbonyloxy, an optionally substituted C_{3-8}-cycloalkylaminocarbonyloxy, an optionally substituted C_{6-10}-arylaminocarbonyloxy, an optionally substituted C_{6-10}-aryl-C_{1-6}-alkylaminocarbonyloxy or an optionally substituted heteroarylaminocarbonyloxy;

R^6 denotes an optionally substituted C_{1-10}-alkyl-N-amidino, C_{2-10}-alkenyl-N-amidino or C_{2-10}-alkynyl-N-amidino, an optionally substituted C_{3-8}-cycloalkyl-N-amidino, an optionally substituted C_{6-10}-aryl-N-amidino, an optionally substituted C_{6-10}-aryl-C_{1-6}-alkyl-N-amidino or an optionally substituted heteroaryl-N-amidino;

R^6 denotes an optionally substituted C_{1-10}-alkyloxy, C_{2-10}-alkenyloxy or C_{2-10}-alkynyloxy, an optionally substituted C_{3-8}-cycloalkyloxy, an optionally substituted C_{6-10}-aryloxy, an optionally substituted C_{6-10}-aryl-C_{1-6}-alkyloxy or an optionally substituted heteroaryloxy;

R^6 denotes an optionally substituted C_{1-10}-alkylcarbonyl, an optionally substituted C_{2-10}-alkenylcarbonyl, an optionally substituted C_{2-10}-alkynylcarbonyl, an optionally substituted C_{3-8}-cycloalkylcarbonyl, an optionally substituted C_{6-10}-arylcarbonyl, an optionally substituted C_{6-10}-aryl-C_{1-6}-alkylcarbonyl;

R^6 denotes a group A-CO- wherein A is as hereinbefore defined;

R^6 denotes an optionally substituted C_{1-10}-alkyloxycarbonyl, C_{2-10}-alkenyloxycarbonyl or C_{2-10}-alkynyloxycarbonyl, an optionally substituted C_{3-8}-cycloalkyloxycarbonyl, an optionally substituted C_{6-10}-aryloxycarbonyl, an optionally substituted C_{6-10}-aryl-C_{1-6}alkyloxycarbonyl or an optionally substituted heteroaryloxycarbonyl;

R^6 denotes an optionally substituted C_{1-10}-alkylcarbonyloxy, C_{2-10}-alkenylcarbonyloxy or C_{2-10}-alkynylcarbonyloxy, an optionally substituted C_{3-8}-cycloalkylcarbonyloxy, an optionally substituted C_{6-10}-arylcarbonyloxy, an optionally substituted C_{6-10}-aryl-C_{1-6}alkylcarbonyloxy or an optionally substituted heteroarylcarbonyloxy;

R^6 denotes an optionally substituted C_{1-10}-alkylthio, C_{2-10}-alkenylthio or C_{2-10}-alkynylthio, an optionally substituted C_{3-8}-cycloalkylthio, an optionally substituted C_{6-10}-arylthio, an optionally substituted C_{6-10}-aryl-C_{1-6}-alkylthio or an optionally substituted heteroarylthio;

R^6 denotes an optionally substituted C_{1-10}-alkylcarbonyloxy-C_{1-6}-alkyl, C_{2-10}-alkenylcarbonyloxy-C_{1-6}-alkyl or C_{2-10}-alkynylcarbonyloxy-C_{1-6}-alkyl, an optionally substituted C_{3-8}-cycloalkylcarbonyloxy-C_{1-6}-alkyl, an optionally substituted C_{6-10}-arylcarbonyloxy-C_{1-6}-alkyl, C_{6-10}-aryl-C_{1-6}-alkylcarbonyloxy-C_{1-6}-alkyl or heteroarylcarbonyloxy-C_{1-6}-alkyl;

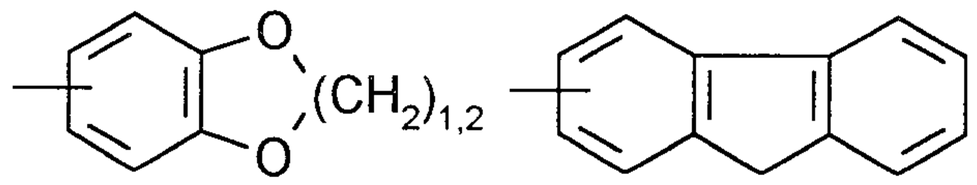
R^6 denotes an optionally substituted group of the formula

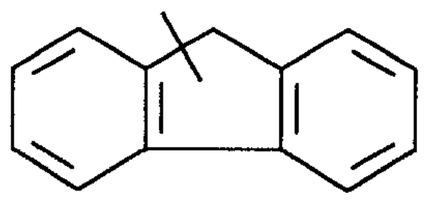
$$R^{10}O-B-(CH_2)_n-$$

wherein n=1, 2, 3 or 4, where B denotes a C_{6-10}-aryl or a single bond;

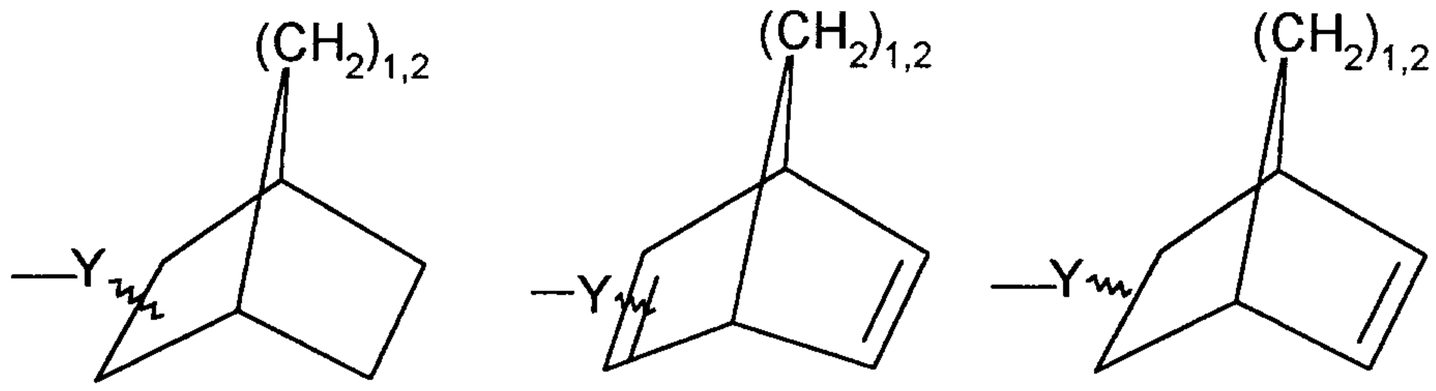
R^6 denotes an optionally substituted amine, preferably NR^8R^9;

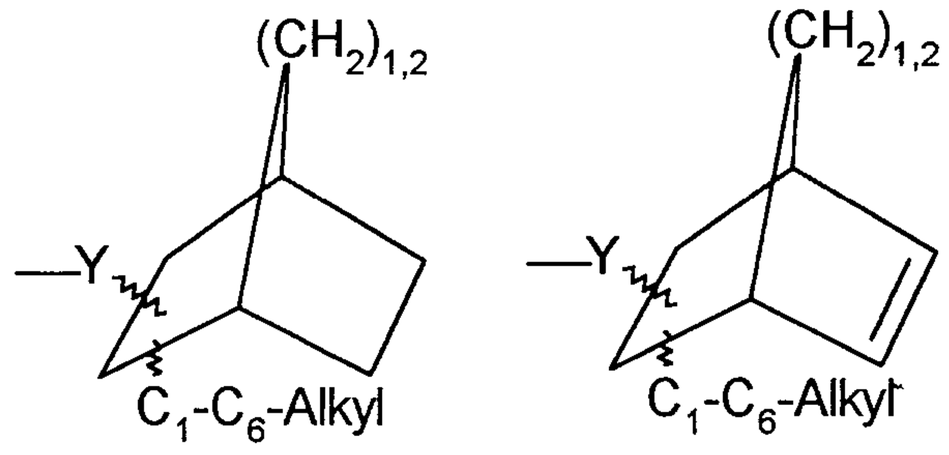
R^6 denotes an optionally substituted group of the formula





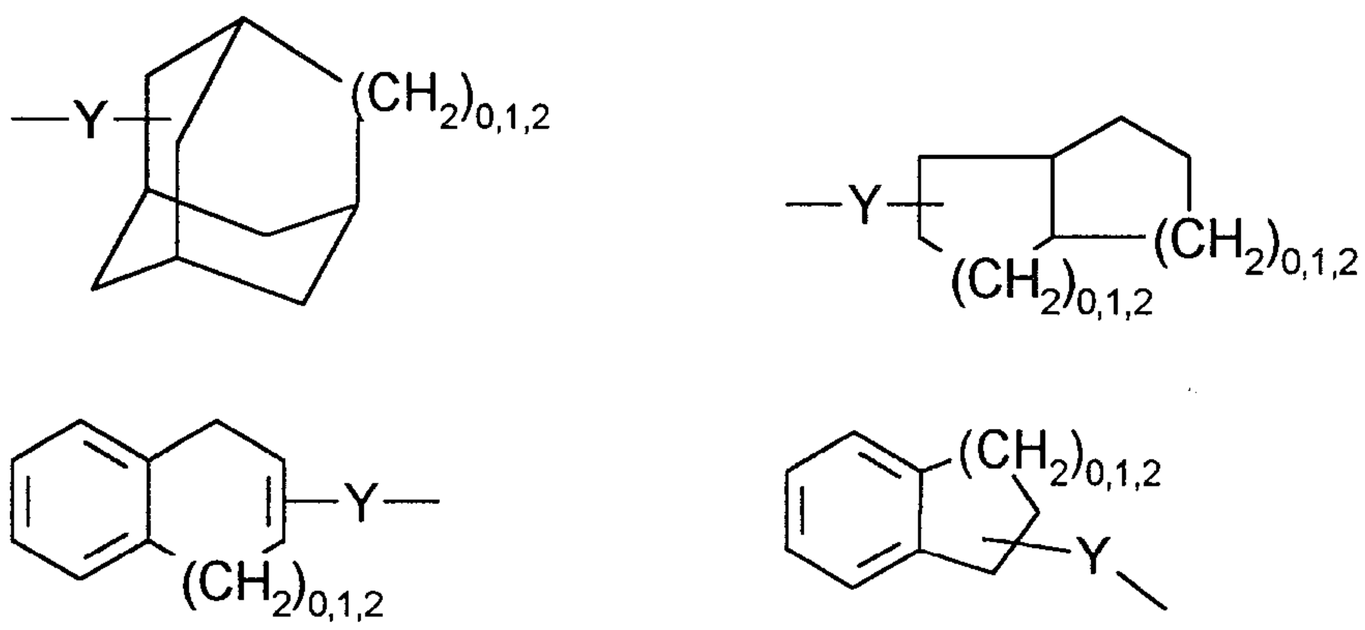
R^6 denotes an optionally substituted group of the formula





wherein Y is a single bond or an alkylene, an alkenylene or an alkynylene having up to 6, preferably 4 carbon atoms in the chain;

R^6 is an optionally substituted group of the formula



wherein Y is a single bond or an alkylene, an alkenylene or an alkynylene having up to 6, preferably 4 carbon atoms in the chain;

R^8 denotes hydrogen, an optionally substituted C_{3-6}-cycloalkyl group, a branched or unbranched alkyl, alkenyl or alkynyl group having up to 10 carbon atoms, preferably an alkyl group having 1 to 4 carbon atoms which may optionally be substituted by hydroxy, phenyl, substituted phenyl, benzyl, substituted benzyl, amino, substituted amino or

C_{1-8}-alkyloxy, preferably C_{1-4}-alkyloxy, or $-(CH_2)_m-NHCOOR^{10}$ wherein m = 1, 2, 3 or 4;

R^8 denotes a 5-, 6- or 7-membered heterocyclic group which is carbon linked directly or via a C_{1-4}-alkyl chain and which contains one or more heteroatoms selected from the group comprising nitrogen, oxygen or sulphur and may optionally be mono- or polysubstituted, preferably monosubstituted, by benzyl, optionally substituted benzyl, C_{1-4}-alkyl, halogen, $-OR^{10}$, -CN, $-NO_2$, $-NH_2$, -OH, =O, -COOH, $-SO_3H$ or $-COOR^{10}$;

R^8 denotes a bicyclic heterocyclic group which is C-linked directly or via a C_{1-4}-alkyl chain and which contains one or more heteroatoms selected from the group comprising nitrogen, oxygen or sulphur and is optionally mono- or polysubstituted, preferably monosubstituted, by benzyl, optionally substituted benzyl, C_{1-4}-alkyl, halogen, $-OR^{10}$, -CN, $-NO_2$, $-NH_2$, -OH, =O, -COOH, $-SO_3H$, $-COOR^{10}$;

R^9 denotes hydrogen, an optionally substituted C_{3-6}-cycloalkyl group, a branched or unbranched alkyl, alkenyl or alkynyl group having up to 10, preferably 1 to 4 carbon atoms, which may optionally be substituted by hydroxy, phenyl, substituted phenyl, benzyl, substituted benzyl, amino, substituted amino, C_{1-8}-, preferably C_{1-4}-alkoxy, or $-(CH_2)_m-NHCOOR^{10}$ wherein m equals 1, 2, 3 or 4;

R^9 denotes a 5-, 6- or 7-membered heterocyclic group which is carbon linked directly or via a C_{1-4}-alkyl chain and which contains one or more heteroatoms selected from the group comprising nitrogen, oxygen or sulphur and may optionally be mono- or polysubstituted, preferably monosubstituted, by benzyl, optionally substituted benzyl, C_{1-4}-alkyl, halogen, $-OR^{10}$, -CN, $-NO_2$, NH_2, -OH, =O, -COOH, $-SO_3H$ or $-COOR^{10}$;

R^9 denotes a bicyclic heterocyclic group which is C-linked directly or via a C_{1-4}-alkyl chain and which contains one or more heteroatoms selected from the group comprising nitrogen, oxygen or sulphur and is optionally mono- or polysubstituted, preferably monosubstituted, by benzyl, optionally substituted benzyl, C_{1-4}-alkyl, halogen, $-OR^{10}$, -CN, $-NO_2$, $-NH_2$, -OH, =O, -COOH, $-SO_3H$, $-COOR^{10}$;

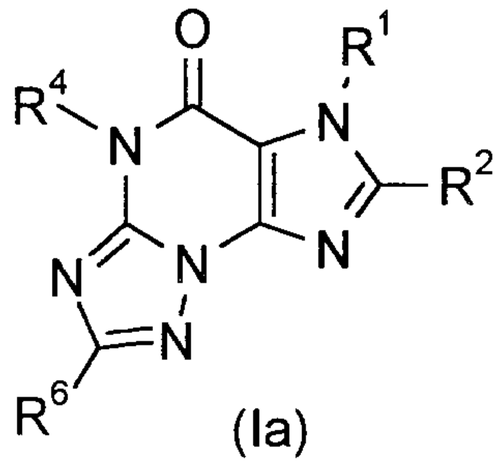
or

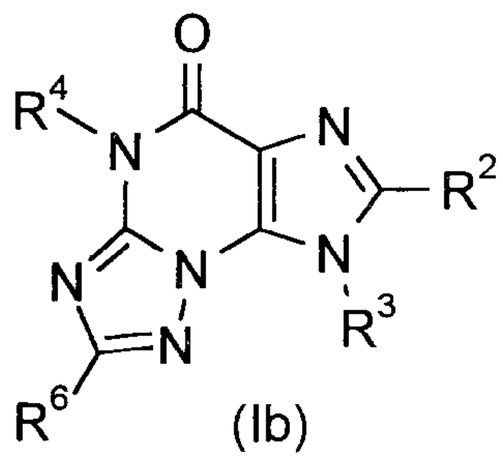
R^8 and R^9 together with the nitrogen atom form a saturated or unsaturated 5- or 6-membered ring which may contain as further heteroatoms nitrogen, oxygen or sulphur, whilst the heterocyclic group may be substituted by a branched or unbranched alkyl group having 1 to 4 carbon atoms, preferably methyl, or may carry one of the following groups

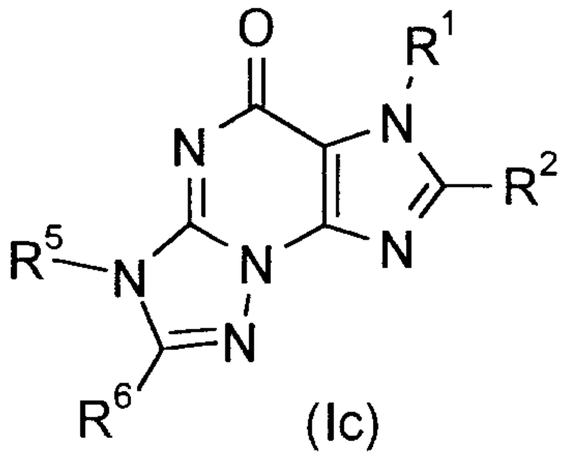
$-(CH_2)_n$-phenyl,
$-(CH_2)_n-NH_2$, =O, a ketal - preferably $-O-CH_2-CH_2-O-$,
$-(CH_2)_nNH-C_{1-4}$-alkyl,
$-(CH_2)_n-N(C_{1-8}\text{-alkyl})_2$,
$-(CH_2)_n-NHCOOR^{10}$, (n = 2, 3, 4), halogen,
$-OR^{10}$, -CN, $-NO_2$, $-NH_2$, $-CH_2NR^8R^9$,
-OH, -COOH, $-SO_3H$, $-COOR^{10}$, $-CONR^8R^9$, $-SO_2-R^{10}$;

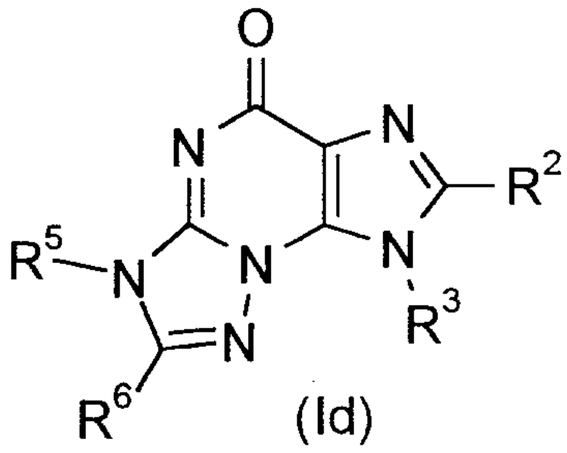
R^{10} denotes hydrogen, C_{1-4}-alkyl, C_{2-4}-alkenyl, C_{2-4}-alkynyl, a benzyl or phenyl group which is optionally mono- or polysubstituted by OCH_3, optionally in the form of the racemates, the enantiomers and diastereomers thereof and their mixtures, as well as optionally the pharmacologically acceptable acid addition salts thereof.

2. Compounds of general formulae (Ia) to (Id)


(Ia)


(Ib)


(Ic)


(Id)

wherein

R^1 or R^3 denotes hydrogen, C_{1-4}-alkyl or benzyl;

R^2 denotes hydrogen, a C_{1-8}-alkyl, C_{2-8}-alkenyl or C_{2-8}-alkynyl group which may optionally be substituted, by -CN, $-CH_2NR^8R^9$, -OH (polysubstitution also possible), $-OR^{10}$, $-NR^8R^9$, $-NHCOR^{10}$, $-NHCONR^8R^9$, $-NHCOOR^{10}$, halogen, $-OCOR^{10}$, -OCO-pyridyl, $-OCH_2COOH$, $-OCH_2COOR^{10}$, $-SO_2R^7$, $-S-R^7$, -NHCONH-phenyl, $-OCH_2-CONR^8R^9$, $-OCH_2CH_2OH$, $-SO_2-CH_2-CH_2-O-COR^{10}$, $-OCH_2-CH_2-NR^8R^9$, $-SO_2-CH_2-CH_2-OH$, $-CONHSO_2R^{10}$, $-CH_2CONHSO_2R^{10}$, $-OCH_2CH_2OR^{10}$, -COOH, $-COOR^{10}$, $-CONR^8R^9$, -CHO, $-SR^{10}$, $-SOR^{10}$, $-SO_2R^{10}$, $-SO_3H$, $-SO_2NR^8R^9$, $-OCH_2-CH_2OCOR^{10}$, -CH=NOH, $-CH=NOR^{10}$, =O, $-COR^{11}$, $-CH(OH)R^{11}$, $-CH(OR^{10})_2$, $-CH=CH-R^{12}$, $OCONR^8R^9$, optionally mono- or poly-substituted, preferably mono-methyl-substituted 1,3-dioxolane or 1,3-dioxane;

R^2 denotes phenyl-C_{1-6}-alkyl, phenyl-C_{2-6}-alkenyl or phenyl-C_{2-6}-alkynyl, wherein the phenyl ring is optionally substituted either directly or via a C_{1-4}-alkylene bridge by one or more, of the group C_{1-3}-alkyl, -CN, $-NR^8R^9$, $-NO_2$, -OH, $-OR^{10}$, $-CH_2-NH-SO_2-R^{10}$, $-NHCOR^{10}$, $-NHCONR^8R^9$, halogen, $-OCOR^{10}$, -OCO-pyridyl, $-OCH_2COOH$, $-OCH_2COOR^{10}$, $-CH_2OCOR^{10}$, $-SO_2R^7$, $-OCH_2-CONR^8R^9$, $-OCH_2CH_2OH$, $-OCH_2-CH_2-NR^8R^9$, $-CONHSO_2R^{10}$, $-OCH_2CH_2OR^{10}$, -COOH, $-COOR^{10}$, $-CF_3$, cyclopropyl, $-CONR^8R^9$, $-CH_2OH$, $-CH_2OR^{10}$, -CHO, $-SR^{10}$, $-SOR^{10}$, $-SO_2R^{10}$, $-SO_3H$, $-SO_2NR^8R^9$, $-OCH_2-CH_2OCOR^{10}$, -CH=NOH, $-CH=NOR^{10}$, $-COR^{11}$, $-CH(OH)R^{11}$, $-CH(OR^{10})_2$, $-NHCOOR^{10}$, $-CH_2CONHSO_2R^{10}$, $-CH=CH-R^{12}$, $-OCONR^8R^9$, $-CH_2-O-CONR^8R^9$, $-CH_2-CH_2-O-CONR^8R^9$, optionally mono- or poly-methyl-substituted 1,3-dioxolane or 1,3-dioxane;

R^2 denotes C_{3-7}-cycloalkyl-C_{1-6}-alkyl, C_{3-7}-cycloalkyl-C_{2-6}-alkenyl, C_{3-7}-cycloalkyl-C_{2-6}-alkynyl, wherein the cycloalkyl group is optionally substituted either directly or via a C_{1-4}-alkylene bridge by one or more, of the groups -CN, $-NR^8R^9$, =O, -OH, $-OR^{10}$, $-NR^8R^9$, $-NHCOR^{10}$, $-NHCONR^8R^9$, halogen, $-OCOR^{10}$, -OCO-pyridyl, $-OCH_2COOH$, $-OCH_2COOR^{10}$, $-CH_2OCOR^{10}$, $-SO_2R^7$, $-OCH_2-CONR^8R^9$, $-OCH_2CH_2OH$, $-OCH_2-CH_2-NR^8R^9$, $-OCH_2CH_2OR^{10}$, -COOH, $-COOR^{10}$,

-$CONR^8R^9$, -CH_2OH, -CH_2OR^{10}, -CHO, -SR^{10}, -SOR^{10}, -SO_2R^{10}, -SO_3H, -$SO_2NR^8R^9$, -OCH_2-CH_2OCOR^{10}, -CH=NOH, -$CH=NOR^{10}$, -COR^{11}, -$CH(OH)R^{11}$, -$CONHSO_2R^{10}$, -$CH(OR^{10})_2$, -$NHCOOR^{10}$, -CH=CH-R^{12}, -$OCONR^8R^9$, -CH_2-O-$CONR^8R^9$, -CH_2-CH_2-O-$CONR^8R^9$, methyl-substituted 1,3-dioxolane or 1,3-dioxane;

R^2 denotes a group of the formula

A-C_{1-6}-alkyl, A-CONH-C_{1-6}-alkyl, A-CONH-C_{2-6}-alkenyl, A-CONH-C_{2-6}-alkynyl, A-NH-CO-C_{1-6}-alkyl, A-NH-CO-C_{2-6}-alkenyl, A-NH-CO-C_{2-6}-alkynyl, A-C_{2-6}-alkenylene, A-C_{2-6}-alkynylene or A-, wherein A is a C- or N-linked 5-, 6- or 7-membered heterocyclic group which contains one or more heteroatoms from the group comprising nitrogen, oxygen or sulphur and may optionally be mono- or poly-substituted by benzyl, optionally substituted benzyl, C_{1-4}-alkyl, halogen, -OR^{10}, -CN, -NO_2, -NH_2, -$CH_2NR^8R^9$, -OH, =O, a ketal, ethyleneketal, -COOH, -SO_3H, -$COOR^{10}$, -CON^8R^9, -COR^{11}, -SO_2-R^{10} or -$CONR^8R^9$;

R^2 denotes C_{3-7}-cycloalkyl, which may optionally be substituted by =O, -OH, -OR^{10}, -$OCOR^{10}$ or -OCO-pyridyl;

R^2 denotes phenyl which is optionally substituted by -OH, halogen, -OR^{10}, C_{1-4}-alkyl, -NH_2, -COOH, -SO_3H, -$COOR^{10}$, -OCH_2COOR^{10}, -CN or -$OCH_2CONR^8R^9$;

R^2 denotes a norbornane, norbornene, C_{3-6}-dicycloalkylmethyl, preferably dicyclopropylmethyl, adamantane or noradamatane group optionally substituted by C_{1-4}-alkyl;

R^2 denotes -CH=CH-phenyl in which the phenyl ring is mono- or polysubstituted by methoxy, hydroxy or halogen;

R^2 is a [3.3.0]-bicyclooctane;

R^2 is a C-linked piperidine or furan;

R^2 is an amine of general formula NR^8R^9;

R^4 or R^5 denotes hydrogen, an optionally branched C_{1-8}-alkyl, C_{2-8}-alkenyl or C_{2-8}-alkynyl group which may optionally be substituted by -CN, $-CH_2NR^8R^9$, -OH (polysubstitution also possible), $-OR^{10}$, $-NR^8R^9$, $-NHCOR^{10}$, $-NHCONR^8R^9$, halogen, $-OCOR^{10}$, -OCO-pyridyl, $-OCH_2COOH$, $-OCH_2COOR^{10}$, $-SO_2R^7$, -S-R^7, -NHCONH-phenyl, $-OCH_2-CONR^8R^9$, $-OCH_2CH_2OH$, $-SO_2-CH_2-CH_2-O-COR^{10}$, $-OCH_2-CH_2-NR^8R^9$, $-SO_2-CH_2-CH_2-OH$, $-CONHSO_2R^{10}$, $-CH_2CONHSO_2R^{10}$, $-OCH_2CH_2OR^{10}$, -COOH, $-COOR^{10}$, $-CONR^8R^9$, -CHO, $-SR^{10}$, $-SOR^{10}$, $-SO_2R^{10}$, $-SO_3H$, $-SO_2NR^8R^9$, $-OCH_2-CH_2OCOR^{10}$, =O, -CH=NOH, $-CH=NOR^{10}$, $-COR^{11}$, $-CH(OH)R^{11}$, $-CH(OR^{10})_2$, -CH=CH-R^{12}, $-OCONR^8R^9$, optionally mono- or poly-, methyl-substituted 1,3-dioxolane or 1,3-dioxane;

R^4 or R^5 denotes phenyl-C_{1-6}-alkyl, phenyl-C_{2-6}-alkenyl or phenyl-C_{2-6}-alkynyl, wherein the phenyl ring is optionally substituted either directly or via a C_{1-4}-alkylene bridge by one or more, preferably one of the groups, -C_{1-3}-alkyl, -CN, $-NR^8R^9$, $-NO_2$, -OH, $-OR^{10}$, $-CH_2-NH-SO_2-R^{10}$, $-NHCOR^{10}$, $-NHCONR^8R^9$, halogen, $-OCOR^{10}$, -OCO-pyridyl, $-OCH_2COOH$, $-OCH_2COOR^{10}$, $-CH_2OCOR^{10}$, $-SO_2R^7$, $-OCH_2-CONR^8R^9$, $-OCH_2CH_2OH$, $-OCH_2-CH_2-NR^8R^9$, $-CONHSO_2R^{10}$, $-OCH_2CH_2OR^{10}$, -COOH, $-COOR^{10}$, $-CF_3$, cyclopropyl, $-CONR^8R^9$, $-CH_2OH$, $-CH_2OR^{10}$, -CHO, $-SR^{10}$, $-SOR^{10}$, $-SO_2R^{10}$, $-SO_3H$, $-SO_2NR^8R^9$, $-OCH_2-CH_2OCOR^{10}$, -CH=NOH, $-CH=NOR^{10}$, $-COR^{11}$, $-CH(OH)R^{11}$, $-CH(OR^{10})_2$, $-NHCOOR^{10}$, $-CH_2CONHSO_2R^{10}$, -CH=CH-R^{12}, $-OCONR^8R^9$, $-CH_2-O-CONR^8R^9$, $-CH_2-CH_2-O-CONR^8R^9$, optionally mono- or poly-, methyl-substituted 1,3-dioxolane or 1,3-dioxane;

R^4 or R^5 denotes an optionally substituted C_{3-7}-cycloalkyl group;

R^4 or R^5 denotes C_{3-7}-cycloalkyl-C_{1-6}-alkyl, C_{3-7}-cycloalkyl-C_{2-6}-alkenyl, C_{3-7}-cycloalkyl-C_{2-6}-alkynyl, wherein the cycloalkyl group is optionally substituted either directly or via a C_{1-4}-alkylene bridge by -CN, $-NR^8R^9$, =O, -OH, $-OR^{10}$, $-NR^8R^9$, $-NHCOR^{10}$, $-NHCONR^8R^9$, halogen, $-OCOR^{10}$, -OCO-pyridyl, $-OCH_2COOH$, $-OCH_2COOR^{10}$, $-CH_2OCOR^{10}$, $-SO_2R^7$, $-OCH_2-CONR^8R^9$, $-OCH_2CH_2OH$, $-OCH_2-CH_2-NR^8R^9$, $-OCH_2CH_2OR^{10}$, -COOH, $-COOR^{10}$, $-CONR^8R^9$, $-CH_2OH$, $-CH_2OR^{10}$, -CHO, $-SR^{10}$, $-SOR^{10}$, $-SO_2R^{10}$, $-SO_3H$, $-SO_2NR^8R^9$, $-OCH_2-CH_2OCOR^{10}$, -CH=NOH,

-CH=NOR^{10}, -COR^{11}, -CH(OH)R^{11}, -CONHSO$_2$R^{10}, -CH(OR^{10})$_2$, -NHCOOR^{10}, -CH=CH-R^{12}, -OCONR^{8}R^9, -CH$_2$-O-CONR^{8}R^9, -CH$_2$-CH$_2$-O-CONR^{8}R^9, optionally mono- or poly-, methyl-substituted 1,3-dioxolane or 1,3-dioxane;

R^4 or R^5 denotes a group of the formula

A-C$_{1-6}$-alkyl, A-CONH-C$_{1-6}$-alkyl, A-CONH-C$_{2-6}$-alkenyl, A-CONH-C$_{2-6}$-alkynyl, A-NH-CO-C$_{1-6}$-alkyl, A-NH-CO-C$_{2-6}$-alkenyl, A-NH-CO-C$_{2-6}$-alkynyl, A-C$_{2-6}$-alkenyl or A-C$_{2-6}$-alkynyl, wherein A is a C- or N-linked heterocyclic group which contains one or more heteroatoms selected from the group comprising nitrogen, oxygen or sulphur and may optionally be mono- or polysubstituted by C$_{1-4}$-alkyl, halogen, -OR^{10}, -CN, -NO$_2$, -NH$_2$, -CH$_2$NR^{8}R^9, -OH, =O, a ketal, ethyleneketal, -COOH, -SO$_3$H, -COOR^{10}, -CONR^{8}R^9, -COR^{11}, -SO$_2$-R^{10} or -CONR^{8}R^9;

R^6 denotes hydrogen, a C$_{1-8}$-alkyl, C$_{2-8}$-alkenyl or C$_{2-8}$-alkynyl group which may optionally be substituted by -CN, -CH$_2$NR^{8}R^9, -OH (polysubstitution also possible), -OR^{10}, -NR^{8}R^9, -NHCOR^{10}, -NHCONR^{8}R^9, -NHCOOR^{10}, halogen, -OCOR^{10}, -OCO-pyridyl, -OCH$_2$COOH, -OCH$_2$COOR^{10}, -SO$_2$R^7, -S-R^7, -NHCONH-phenyl, -OCH$_2$-CONR^{8}R^9, -OCH$_2$CH$_2$OH, -SO$_2$-CH$_2$-CH$_2$-O-COR^{10}, -OCH$_2$-CH$_2$-NR^{8}R^9, -SO$_2$-CH$_2$-CH$_2$-OH, -CONHSO$_2$R^{10}, -CH$_2$CONHSO$_2$R^{10}, -OCH$_2$CH$_2$OR^{10}, -COOH, -COOR^{10}, -CONR^{8}R^9, -CHO, -SR^{10}, -SOR^{10}, -SO$_2$R^{10}, -SO$_3$H, -SO$_2$NR^{8}R^9, -OCH$_2$-CH$_2$OCOR^{10}, -CH=NOH, -CH=NOR^{10}, -COR^{11}, -CH(OH)R^{11}, -CH(OR^{10})$_2$, -CH=CH-R^{12}, -OCONR^{8}R^9, optionally mono- or poly-, methyl-substituted 1,3-dioxolane or 1,3-dioxane;

R^6 denotes phenyl-C$_{1-6}$-alkyl, phenyl-C$_{2-6}$-alkenyl or phenyl-C$_{2-6}$-alkynyl, wherein the phenyl ring is optionally substituted either directly or via a C$_{1-4}$-alkylene bridge by one or more of the groups, C$_{1-3}$-alkyl, -CN, NR^{8}R^9, -NO$_2$, -OH, -OR^{10}, -CH$_2$-NH-SO$_2$-R^{10}, -NHCOR^{10}, -NHCONR^{8}R^9, halogen, -OCOR^{10}, -OCOpyridyl, -OCH$_2$COOH, -OCH$_2$COOR^{10}, -CH$_2$OCOR^{10}, -SO$_2$R^7, -OCH$_2$-CONR^{8}R^9, -OCH$_2$CH$_2$OH, -OCH$_2$-CH$_2$-NR^{8}R^9, -CONHSO$_2$R^{10}, -OCH$_2$CH$_2$OR^{10}, -COOH, -COOR^{10}, -CF$_3$, cyclopropyl, -CONR^{8}R^9, -CH$_2$OH, -CH$_2$OR^{10}, -CHO, -SR^{10}, -SOR^{10}, -SO$_2$R^{10}, -SO$_3$H, -SO$_2$NR^{8}R^9,

$-OCH_2-CH_2OCOR^{10}$, -CH=NOH, $-CH=NOR^{10}$, $-COR^{11}$, $-CH(OH)R^{11}$, $-CH(OR^{10})_2$, $-NHCOOR^{10}$, $-CH_2CONHSO_2R^{10}$, $-CH=CH-R^{12}$, $-OCONR^8R^9$, $-CH_2-O-CONR^8R^9$, $-CH_2-CH_2-O-CONR^8R^9$, $-CO-R^{10}$, $-CO-C_{1-4}$-alkyl-NR^8R^9, optionally mono- or poly-methyl-substituted 1,3-dioxolane or 1,3-dioxane;

R^6 denotes C_{3-7}-cycloalkyl-C_{1-6}-alkyl, C_{3-7}-cycloalkyl-C_{2-6}-alkenyl or C_{3-7}-cycloalkyl-C_{2-6}-alkynyl, wherein the cycloalkyl group is optionally substituted either directly or via a C_{1-4}-alkylene bridge by one or more of the groups -CN, $-NR^8R^9$, =O, -OH, $-OR^{10}$, $-NR^8R^9$, $-NHCOR^{10}$, $-NHCONR^8R^9$, halogen, $-OCOR^{10}$, -OCO-pyridyl, $-OCH_2COOH$, $-OCH_2COOR^{10}$, $-CH_2OCOR^{10}$, $-SO_2R^7$, $-OCH_2-CONR^8R^9$, $-OCH_2CH_2OH$, $-OCH_2-CH_2-NR^8R^9$, $-OCH_2CH_2OR^{10}$, -COOH, $-COOR^{10}$, $-CONR^8R^9$, $-CH_2OH$, $-CH_2OR^{10}$, -CHO, $-SR^{10}$, $-SOR^{10}$, $-SO_2R^{10}$, $-SO_3H$, $-SO_2NR^8R^9$, $-OCH_2-CH_2OCOR^{10}$, -CH=NOH, $-CH=NOR^{10}$, $-COR^{11}$, $-CH(OH)R^{11}$, $-CONHSO_2R^{10}$, $-CH(OR^{10})_2$, $-NHCOOR^{10}$, $-CH=CH-R^{12}$, $-OCONR^8R^9$, $-CH_2-O-CONR^8R^9$, $-CH_2-CH_2-O-CONR^8R^9$ or methyl-substituted 1,3-dioxolane or 1,3-dioxane;

R^6 denotes a group of the formula

A-C_{1-6}-alkyl, A-CONH-C_{1-6}-alkyl, A-CONH-C_{2-6}-alkenyl, A-CONH-C_{2-6}-alkynyl, A-NH-CO-C_{1-6}-alkyl, A-NH-CO-C_{2-6}-alkenyl, A-NH-CO-C_{2-6}-alkynyl, A-C_{2-6}-alkenyl or A-C_{2-6}-alkynyl or A-, wherein A is a C- or N-linked 5-, 6- or 7-membered heterocyclic group which contains one or more heteroatoms from the group comprising nitrogen, oxygen or sulphur and may optionally be mono- or polysubstituted by benzyl, optionally substituted benzyl, C_{1-4}-alkyl, halogen, $-OR^{10}$, -CN, $-NO_2$, $-NH_2$, $-CH_2NR^8R^9$, -OH, =O, a ketal, ethyleneketal, -COOH, $-SO_3H$, $-COOR^{10}$, $-CONR^8R^9$, $-COR^{11}$, $-SO_2-R^{10}$ or $-CONR^8R^9$;

R^6 denotes -CHO, $-COOR^{10}$, $-CONR^8R^9$;

R^6 denotes C_{3-7}-cycloalkyl optionally substituted by =O, -OH, $-OR^{10}$, $-OCOR^{10}$ or -OCO-pyridyl;

R^6 denotes phenyl optionally substituted by -OH, halogen, $-OR^{10}$, C_{1-4}-alkyl, preferably $-CH_3$, $-NH_2$, -COOH, $-SO_3H$, $-COOR^{10}$, $-OCH_2COOR^{10}$, -CN or $-OCH_2CONR^8R^9$;

R^6 denotes a norbonane, norbornene, C_{3-6}-dicycloalkylmethyl, preferably dicyclopropylmethyl, adamantane or noradamantane group optionally substituted by C_{1-4}-alkyl;

R^6 denotes -CH=CH-phenyl, wherein the phenyl ring may be mono- or polysubstituted by methoxy, hydroxy or halogen;

R^6 denotes a [3.3.0]-bicyclooctane;

R^6 denotes a C-linked piperidine or furan;

R^7 denotes C_{1-4}-alkyl which is optionally substituted by -OH, $-OCOR^{10}$, -OCO-pyridyl, $-NH_2$, $-NR^8R^9$ or $-NHCOR^{10}$, preferably $-CH_2-CH_2-OH$, $-CH_2CH_2OCOR^{10}$, $-CH_2-CH_2-CH_2-OH$ or $-CH_2-CH_2CH_2OCOR^{10}$;

R^8 denotes hydrogen, an optionally substituted C_{3-6}-cycloalkyl group, a branched or unbranched alkyl, alkenyl or alkynyl group having up to 10 carbon atoms, which may optionally be substituted by hydroxy, phenyl, substituted phenyl, benzyl, substituted benzyl, amino, substituted amino or C_{1-8}-alkoxy, preferably C_{1-4}-alkoxy, or $-(CH_2)_m-NHCOOR^{10}$ where m = 1, 2, 3 or 4;

R^8 denotes a 5-, 6- or 7-membered heterocyclic group which is C-linked directly or via a C_{1-4}-alkyl chain, and which contains one or more heteroatoms selected from the group comprising nitrogen, oxygen or sulphur and may optionally be mono- or polysubstituted by benzyl, optionally substituted benzyl, C_{1-4}-alkyl, halogen, $-OR^{10}$, -CN, $-NO_2$, $-NH_2$, -OH, =O, -COOH, $-SO_3H$ or $-COOR^{10}$;

R^8 denotes a bicyclic heterocyclic group C-linked directly or via a C_{1-4}-alkyl chain, which contains one or more heteroatoms from the group comprising nitrogen, oxygen or sulphur and may optionally be mono- or polysubstituted, by benzyl, optionally substituted benzyl, C_{1-4}-alkyl,

halogen, -OR^{10}, -CN, -NO_2, -NH_2, -OH, =O, -COOH, -SO_3H or -$COOR^{10}$;

R^9 denotes hydrogen, an optionally substituted C_{3-6}-cycloalkyl group, a branched or unbranched alkyl, alkenyl or alkynyl group having up to 10 carbon atoms, which may optionally be substituted by hydroxy, phenyl, substituted phenyl, benzyl, substituted benzyl, amino, substituted amino or C_{1-8}-alkoxy, preferably C_{1-4}-alkoxy, or -$(CH_2)_m$-$NHCOOR^{10}$ wherein m is 1, 2, 3 or 4;

R^9 denotes a 5-, 6- or 7-membered heterocyclic group which is C-linked directly or via a C_{1-4}-alkyl chain, and which contains one or more heteroatoms selected from the group comprising nitrogen, oxygen or sulphur and may optionally be mono- or polysubstituted, by benzyl, optionally substituted benzyl, C_{1-4}-alkyl, halogen, -OR^{10}, -CN, -NO_2, -NH_2, -OH, =O, -COOH, -SO_3H or -$COOR^{10}$;

R^9 denotes a bicyclic heterocyclic group C-linked directly or via a C_{1-4}-alkyl chain, which contains one or more heteroatoms from the group comprising nitrogen, oxygen or sulphur and may optionally be mono- or polysubstituted, by benzyl, optionally substituted benzyl, C_{1-4}-alkyl, halogen, -OR^{10}, -CN, -NO_2, -NH_2, -OH, =O, -COOH, -SO_3H or -$COOR^{10}$,

or

R^8 and R^9 together with the nitrogen atom form a saturated or unsaturated 5- or 6-membered ring which may contain nitrogen, oxygen or sulphur as additional heteroatoms, whilst the heterocyclic group may be substituted by a branched or unbranched C_{1-4}-alkyl group, or may carry one of the following groups:

-$(CH_2)_n$-phenyl,
-$(CH_2)_n$-NH_2, =O, a ketal - preferably -O-CH_2-CH_2-O-,
-$(CH_2)_n$NH-C_{1-4}-alkyl,
-$(CH_2)_n$-N(C_{1-8}-alkyl)$_2$,
-$(CH_2)_n$-$NHCOOR^{10}$, (n = 1, 2, 3, 4), halogen,
-OR^{10}, -CN, -NO_2, -NH_2, -$CH_2NR^8R^9$,
-OH, -COOH, -SO_3H, -$COOR^{10}$, -$CONR^8R^9$, -SO_2-R^{10};

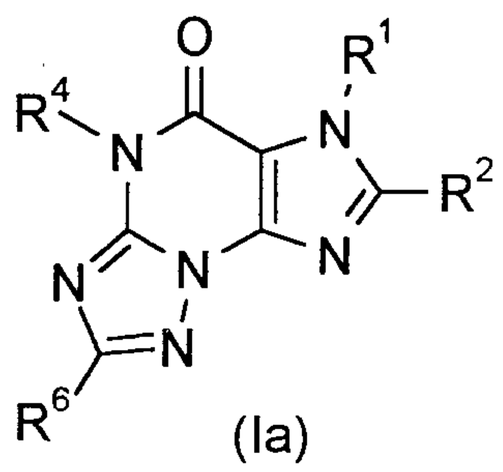
R^{10} denotes hydrogen, C_{1-4}-alkyl, C_{2-4}-alkenyl, C_{2-4}-alkynyl, a benzyl or phenyl group which is optionally mono- or polysubstituted by OCH_3;

R^{11} denotes C_{1-4}-alkyl, C_{2-4}-alkenyl, C_{2-4}-alkynyl, optionally substituted phenyl, optionally substituted benzyl or C_{3-6}-cycloalkyl;

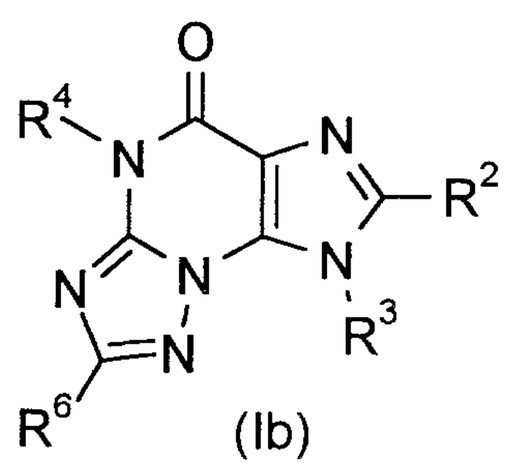
R^{12} denotes $-COOR^{10}$, $-CH_2OR^{10}$, $-CONR^8R^9$, hydrogen, C_{1-3}-alkyl, optionally substituted phenyl or $-CH_2NR^8R^9$,

optionally in the form of the racemates, enantiomers and diastereomers thereof and mixtures thereof, and optionally the pharmacologically acceptable acid addition salts thereof.

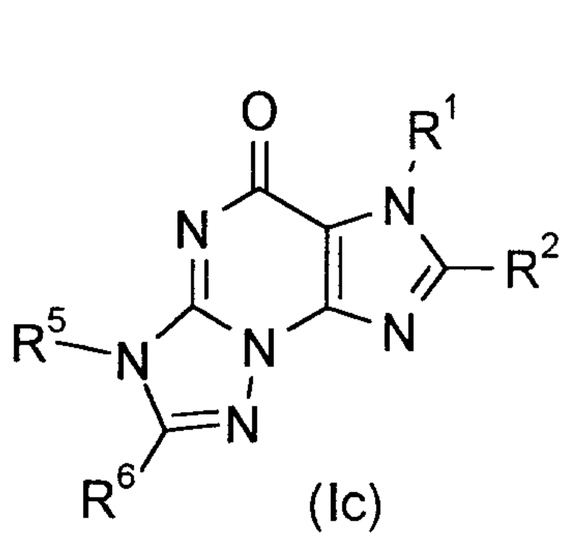
3. Compounds of general formulae (Ia) to (Id)



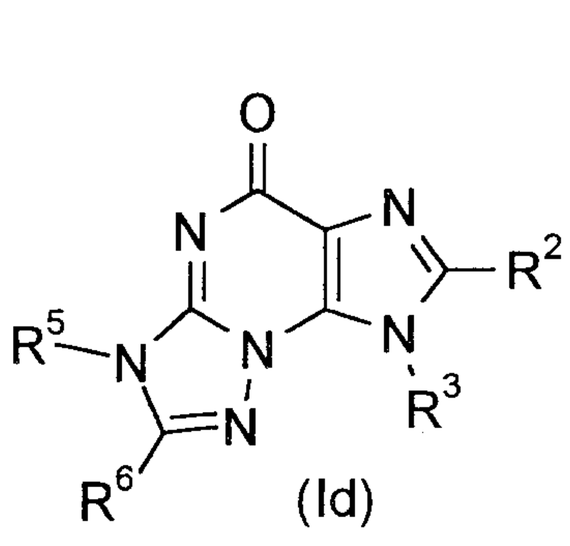
(Ia)



(Ib)



(Ic)



(Id)

wherein

R^1 or R^3 denotes hydrogen, C_{1-4}-alkyl or benzyl;

R^2 denotes hydrogen, C_{1-8}-alkyl, preferably C_{1-6}-alkyl;

R^2 denotes phenyl which is optionally substituted by halogen, C_{1-4}-alkyl, C_{1-4}-alkyloxy, hydroxy or NR^8R^9;

R^2 denotes phenyl-C_{1-6}-alkyl, phenyl-C_{2-6}-alkenyl or phenyl-C_{2-6}-alkynyl, wherein the phenyl ring is optionally substituted by halogen, preferably fluorine or chlorine, C_{1-4}-alkyl, C_{1-4}-alkyloxy, hydroxy or NR^8R^9;

R^2 denotes an amine of formula NR^8R^9;

R^2 denotes a 5- or 6-membered heterocyclic group which is optionally C- or N-linked either directly or via a C_{1-4}-alkylene bridge, containing one or more heteroatoms selected from the group comprising nitrogen or oxygen and optionally substituted by benzyl or C_{1-4}-alkyl;

R^2 denotes a C_{3-6}-cycloalkyl which may optionally be substituted by =O, hydroxy, C_{1-4}-alkyl or C_{1-4}-alkyloxy;

R^2 denotes norbornane, norbornene, adamantane or noradamantane optionally substituted by C_{1-4}-alkyl;

R^4 or R^5 denotes hydrogen, C_{1-8}-alkyl, phenyl-C_{1-4}-alkyl, phenyl-C_{2-6}-alkenyl or phenyl- C_{2-6}-alkynyl, wherein the phenyl ring is optionally substituted by halogen, hydroxy, C_{1-4}-alkyl, C_{1-4}-alkyloxy or NR^8R^9;

R^6 denotes hydrogen, C_{1-8}-alkyl, wherein the alkyl chain may be substituted by halogen, hydroxy, =O, C_{1-4}-alkyloxy, NR^8R^9, phenyloxy, -O-phenyl- C_{1-4}-alkyloxy, benzyloxy, -O-benzyl-O-C_{1-4}-alkyloxy, -OCO-C_{1-4}-alkyl, -OCO-phenyl, -OCO-benzyl, -OCO-pyridyl, -O-C_{2-4}-alkylene, -CO- C_{1-4}-alkyl, -CHO, =NOH, -COOH, -COO-C_{1-4}-alkyl, -COO-phenyl, -COO-benzyl, -$CONR^8R^9$, -NHCO-C_{1-4}-alkyl, -NHCO-phenyl, -CO-C_{1-4}-alkyl-NR^8R^9, -SO_2OH, -SO_2-C_{1-4}-alkyl or -SO_2-phenyl;

R^6 denotes phenyl which may optionally be substituted by halogen, hydroxy, C_{1-4}-alkyl, C_{1-4}-alkyloxy, benzyloxy, phenyloxy, -NR^8R^9, -OCO-C_{1-4}-alkyl, -OCO-phenyl, -OCO-benzyl, -OCO-pyridyl, -O-C_{2-4}-alkylene, -CO-C_{1-4}-alkyl, -C_{1-4}-alkyl-NH_2, -C_{1-4}-alkyl-OH, -C_{1-4}-alkyl=NOH, -COOH, -COO-C_{1-4}-alkyl, -COO-phenyl, -COO-benzyl, -$CONR^8R^9$, -CO-C_{1-4}-alkyl-NH_2, -SO_2OH, -SO_2-C_{1-4}-alkyl or -SO_2-phenyl;

R^6 denotes phenyl-C_{1-4}-alkyl, phenyl-C_{2-6}-alkenyl or phenyl-C_{2-6}-alkynyl, wherein the phenyl ring may optionally substituted by halogen, hydroxy, C_{1-4}-alkyl, C_{1-4}-alkoxy, benzyloxy, phenyloxy, -NR^8R^9, -OCO-C_{1-4}-alkyl, -OCO-phenyl, -OCO-benzyl, -OCO-pyridyl, -O-C_{2-4}-alkylene, -CO-C_{1-4}-alkyl, -C_{1-4}-alkyl-NR^8R^9, -C_{1-4}-alkyl-OH, -C_{1-4}-alkyl=NOH, -COOH, -COO-C_{1-4}-alkyl, -COO-phenyl, -COO-benzyl, -$CONR^8R^9$, -CO-C_{1-4}-alkyl-NH_2, -SO_2OH, -SO_2-C_{1-4}-alkyl or -SO_2-phenyl;

R^6 denotes a 5- or 6-membered heterocyclic group optionally C- or N-linked either directly or via a C_{1-4}-alkylene bridge, which contains one, two or three heteroatoms selected from nitrogen or oxygen and is optionally mono- or polysubstituted by benzyl or C_{1-4}-alkyl;

R^6 denotes a C_{3-6}-cycloalkyl or C_{3-6}-cycloalkyl-C_{1-4}-alkyl group which may optionally be substituted by =O, hydroxy, C_{1-4}-alkyl or C_{1-4}-alkyloxy;

R^6 denotes norbornyl, norbornenyl, adamantyl or noradamantyl optionally substituted by C_{1-4}-alkyl;

R^6 denotes -CHO, -COOH, -COO-C_{1-4}-alkyl, -COO-phenyl, -COO-benzyl or -$CONR^8R^9$;

R^6 denotes an amine of general formula NR^8R^9;

R^8 denotes hydrogen, a branched or unbranched C_{1-4}-alkyl group;

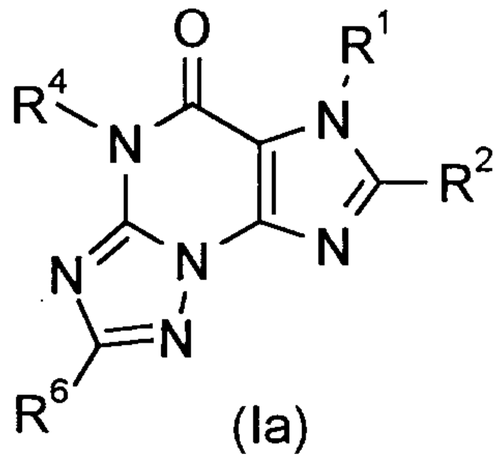
R^8 denotes a C-linked 5- or 6-membered heterocyclic group which contains one, two or three heteroatoms selected from the group comprising nitrogen, oxygen and sulphur and is optionally substituted by benzyl, C_{1-4}-alkyl, C_{1-4}-alkyloxy, halogen, -CN, -NO_2, -NH_2, -OH or =O;

R^9 denotes hydrogen, a branched or unbranched C_{1-4}-alkyl group;

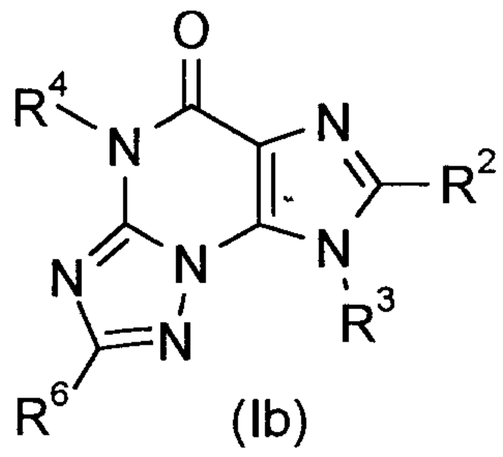
R^9 denotes a C-linked 5- or 6-membered heterocyclic group which contains one, two or three heteroatoms selected from the group comprising nitrogen, oxygen or sulphur and is optionally substituted by benzyl, C_{1-4}-alkyl, C_{1-4}-alkyloxy, halogen, -CN, -NO_2, -NH_2, -OH or =O;

or
R^8 and R^9 together with the nitrogen atom form a saturated or unsaturated 5- or 6-membered ring which may contain nitrogen or oxygen as additional heteroatoms, whilst the heterocyclic group may be substituted by a branched or unbranched C_{1-4}-alkyl group, preferably methyl, or by a -$(CH_2)_{1-4}$-phenyl group, preferably benzyl,
optionally in the form of their racemates, enantiomers, diastereomers and mixtures thereof, and optionally the pharmacologically acceptable acid addition salts thereof.

4. Compounds of general formulae (Ia) and (Ib)



(Ia)



(Ib)

wherein

R^1 or R^3		denotes hydrogen, C_{1-4}-alkyl or benzyl;
R^2		denotes hydrogen or C_{1-6}-alkyl,
R^2		denotes cyclopentyl, cyclohexyl, cyclopentanone, cyclohexanone, hydroxycyclopentane or hydrocyclohexane;
R^2		denotes a morpholine group optionally substituted by C_{1-4}-alkyl, a piperidinyl group, a piperazinyl group optionally substituted by benzyl or C_{1-4}-alkyl, pyridyl, tetrahydrofuranyl, tetrahydropyranyl or furyl;
R^2		denotes a phenyl group optionally substituted by C_{1-4}-alkyl, halogen or hydroxy;
R^2		denotes a phenyl-C_{1-4}-alkyl, wherein the phenyl ring is optionally substituted by halogen, preferably fluorine or chlorine, C_{1-4}-alkyl, C_{1-4}-alkyloxy, hydroxy or NR^8R^9;
R^2		denotes an amine of general formula NR^8R^9;

R^2 denotes a norbornenyl, norbornyl, adamantyl or noradamantyl optionally substituted by C_{1-4}-alkyl;

R^4 denotes hydrogen, C_{1-7}-alkyl, phenyl-C_{1-3}-alkyl, preferably benzyl, wherein the phenyl ring may be substituted by halogen, hydroxy, C_{1-4}-alkyl, C_{1-4}-alkyloxy or NR^8R^9;

R^6 denotes hydrogen, C_{1-6}-alkyl wherein the alkyl chain may be substituted by halogen, hydroxy, =O, C_{1-4}-alkyloxy, NR^8R^9, phenyloxy, -O-phenyl-O- C_{1-4}-alkyloxy, benzyloxy, -O-benzyl-O-C_{1-4}-alkyloxy, -OCO-C_{1-4}-alkyl, -OCO-phenyl, -OCO-pyridyl, -OCO-benzyl, -O- C_{2-4}-alkylene, -CO-C_{1-4}-alkyl, -CHO, =NOH, -COOH, -COO-C_{1-4}-alkyl; -COO-phenyl, -COO-benzyl, -$CONR^8R^9$, -NHCO-C_{1-4}-alkyl, -NHCO-phenyl, -CO-C_{1-4}-alkyl-NR^8R^9, -SO_2OH, -SO_2-C_{1-4}-alkyl or -SO_2-phenyl;

R^6 denotes phenyl, wherein the phenyl ring may be substituted by halogen, hydroxy, C_{1-4}-alkyl, C_{1-4}-alkyloxy or NR^8R^9;

R^6 denotes phenyl-C_{1-3}-alkyl, wherein the phenyl ring may be substituted by halogen, preferably fluorine or chlorine, hydroxy, C_{1-4}-alkyl, C_{1-4}-alkyloxy, benzyloxy, phenyloxy, -NR^8R^9, -OCO-C_{1-4}-alkyl, -OCO-phenyl, -OCO-benzyl, -OCO-pyridyl, -O-C_{2-4}-alkylene, -CO-C_{1-4}-alkyl, -C_{1-4}-alkyl-NR^8R^9, -C_{1-4}-alkyl-OH, -C_{1-4}-alkyl=NOH, -COOH, -COO-C_{1-4}-alkyl, -COO-phenyl, -COO-benzyl, -$CONR^8R^9$, -CO-C_{1-4}-alkyl-NR^8R^9, -SO_2OH, -SO_2-C_{1-4}-alkyl or -SO_2-phenyl;

R^6 denotes a cyclopentyl, cyclohexyl, cyclohexyl- C_{1-3}-alkyl, preferably cyclohexylmethyl, cyclopentanone, cyclohexanone, hydroxycyclopentane or hydroxycyclohexane linked via a single bond or via a C_{1-4}-alkylene chain;

R^6 denotes a furan, tetrahydrofuran, α-pyran, γ-pyran, dioxolane, tetrahydropyran, dioxane, thiophene, thiolane, dithiolane, pyrrole, pyrroline, pyrrolidine, pyrazole, pyrazoline, imidazole, imidazoline, imidazolidine, triazole, pyridine, piperidine, pyridazine, pyrimidine, pyrazine, piperazine, triazine, morpholine, thiomorpholine, oxazole,

isoxazole, oxazine, thiazole, isothiazole, thiadiazole, oxadiazole or pyrazolidine linked via a single bond or via a C_{1-4}-alkylene chain;

R^6 denotes -CHO, -COOH, -COO-C_{1-4}-alkyl; -COO-phenyl, -COO-benzyl, -CO-NH-C_{1-4}-alkyl, -CO-N(C_{1-4}-alkyl)$_2$ or -CO-NH-phenyl;

R^6 denotes an amine of general formula NR^8R^9;

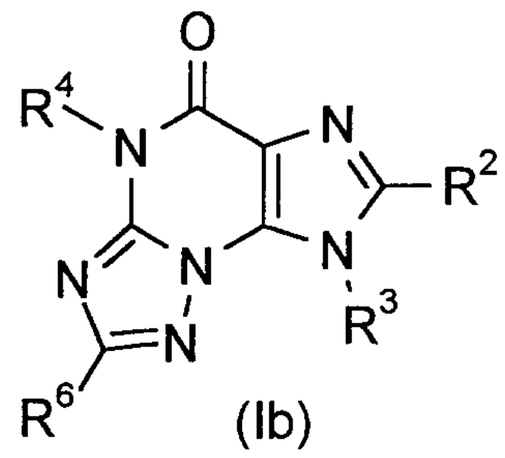
R^8 denotes hydrogen, a branched or unbranched C_{1-4}-alkyl group;

R^8 denotes a C-linked pyrrole, pyrroline, pyrrolidine, pyrazole, pyrazoline, imidazole, imidazoline, imidazolidine, triazole, pyridine, piperidine, pyridazine, pyrimidine, pyrazine, piperazine, morpholine, oxazole, isoxazole, thiazole, isothiazole or thiadiazole optionally substituted by chlorine, bromine, C_{1-4}-alkyl, C_{1-4}-alkyloxy, -NO_2, -NH_2 or -OH;

R^9 denotes hydrogen, a branched or unbranched C_{1-4}-alkyl group;

R^9 denotes a C-linked pyrrole, pyrroline, pyrrolidine, pyrazole, pyrazoline, imidazole, imidazoline, imidazolidine, triazole, pyridine, piperidine, pyridazine, pyrimidine, pyrazine, piperazine, morpholine, oxazole, isoxazole, thiazole, isothiazole or thiadiazole optionally substituted by chlorine, bromine, C_{1-4}-alkyl, C_{1-4}-alkyloxy, -NO_2, -NH_2, -OH,
or
R^8 and R^9 together with the nitrogen atom form a saturated or unsaturated 5- or 6-membered ring which may contain nitrogen or oxygen as additional heteroatoms, wherein the heterocyclic group may be substituted by a branched or unbranched C_{1-4}-alkyl group, or by a -$(CH_2)_{1-4}$-phenyl group,
optionally in the form of the racemates, the enantiomers and the diastereomers thereof and mixtures thereof, and optionally the pharmacologically acceptable acid addition salts thereof.

5. Compounds of general formula (Ib)



(Ib)

wherein

R^2 denotes hydrogen, C_{1-4}-alkyl, phenyl, benzyl, wherein the phenyl ring is optionally substituted by fluorine, pyridyl, piperidinyl, morpholinyl, piperazinyl, 4-benzylpiperazinyl, furyl, tetrahydrofuranyl, tetrahydropyranyl, NR^8R^9, cyclopentyl, cyclohexyl, adamantyl, noradamantyl, norbornyl or norbornenyl;

R^3 denotes hydrogen, C_{1-3}-alkyl or benzyl;

R^4 denotes hydrogen, C_{1-5}-alkyl or benzyl;

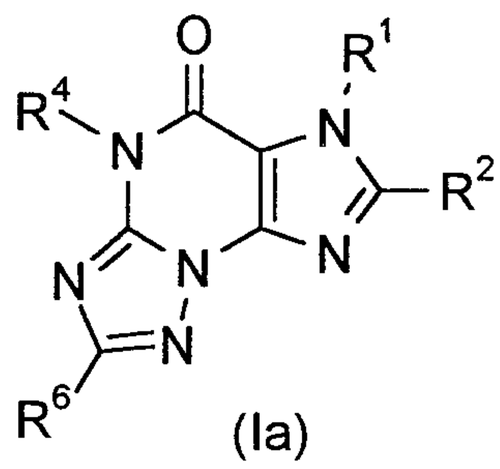
R^6 denotes hydrogen, C_{1-4}-alkyl, which may optionally be substituted by OH, chlorine, bromine, C_{1-4}-alkyloxy or NR^8R^9, -CHO, -COOH, -COO-C_{1-4}-alkyl, phenyl, phenyl-C_{1-3}-alkyl optionally substituted by fluorine or benzyloxy, optionally methoxy-substituted phenyloxy-C_{1-3}-alkyl, optionally methoxy-substituted benzyloxy-C_{1-3}-alkyl, benzyloxybenzyl, benzoyloxymethyl, pyridylcarbonyloxymethyl, cyclopentyl, furyl, cyclohexylmethyl, pyridylmethyl, N-pyrrolylmethyl or N-morpholinomethyl;

R^8 denotes hydrogen, C_{1-4}-alkyl or pyridyl;

R^9 denotes hydrogen, C_{1-4}-alkyl or pyridyl,

optionally in the form of the racemates, the enantiomers and the diastereomers thereof and mixtures thereof, and optionally the pharmacologically acceptable acid addition salts thereof.

6. Compounds of general formula (Ia)



(Ia)

wherein

R^1 denotes hydrogen, C_{1-3}-alkyl or benzyl;

R^2 denotes hydrogen, C_{1-4}-alkyl, phenyl, benzyl, wherein the phenyl ring is optionally fluorine-substituted, pyridyl, piperidinyl, morpholinyl, piperazinyl, 4-benzylpiperazinyl, furyl, tetrahydrofuranyl, tetrahydropyranyl, NR^8R^9, cyclopentyl, cyclohexyl, adamantyl, noradamantyl, norbornyl or norbornenyl;

R^4 denotes hydrogen, C_{1-5}-alkyl or benzyl;

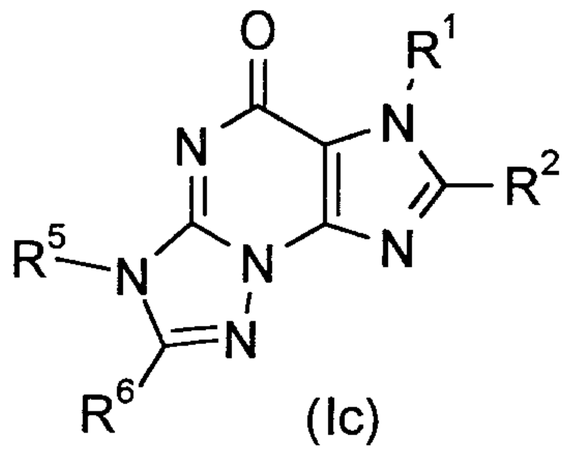
R^6 denotes hydrogen, C_{1-4}-alkyl, which may optionally be substituted by OH, chlorine, bromine, C_{1-4}-alkyloxy or NR^8R^9, -CHO, -COOH, -COO-C_{1-4}-alkyl, phenyl, phenyl-C_{1-3}-alkyl optionally substituted by fluorine or benzyloxy, optionally methoxy-substituted phenyloxy-C_{1-3}-alkyl, optionally methoxy-substituted benzyloxy-C_{1-3}-alkyl, benzyloxybenzyl, benzoyloxymethyl, pyridylcarbonyloxymethyl, cyclopentyl, furyl, cyclohexylmethyl, pyridylmethyl, N-pyrrolylmethyl or N-morpholinomethyl;

R^8 denotes hydrogen, C_{1-4}-alkyl or pyridyl;

R^9 may denote hydrogen, C_{1-4}-alkyl or pyridyl,

optionally in the form of the racemates, the enantiomers, the diastereomers thereof and mixtures thereof and optionally the pharmacologically acceptable acid addition salts thereof.

7. Compounds of general formula (Ic)



(Ic)

wherein

R^1 denotes hydrogen or C_{1-3}-alkyl;

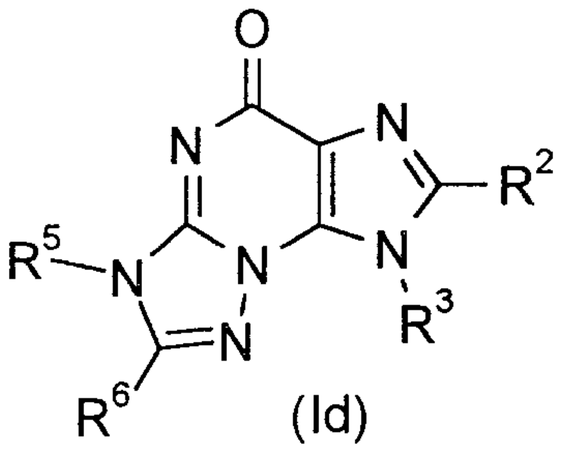
R^2 denotes hydrogen, C_{1-4}-alkyl, cyclopentyl, cyclopentanone, hydroxycyclopentane, furan or benzyl;

R^5 denotes C_{1-6}-alkyl;

R^6 denotes hydrogen, benzyl or cyclopentyl,

optionally in the form of the racemates, the enantiomers, the diastereomers and mixtures thereof, and optionally the pharmacologically acceptable acid addition salts thereof.

8. Compounds of general formula (Id)



(Id)

wherein

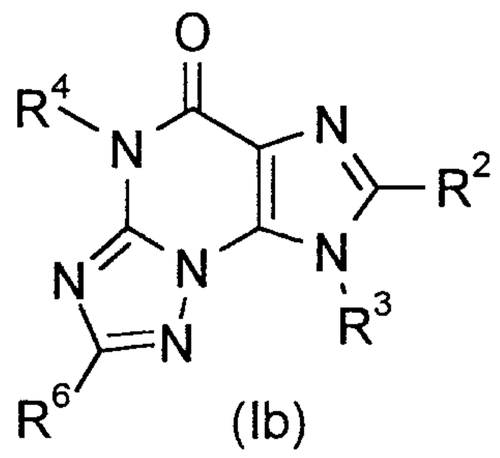
R^1 denotes hydrogen or C_{1-3}-alkyl;

R^2 denotes hydrogen, C_{1-4}-alkyl, cyclopentyl, cyclopentanone, hydroxycyclopentane, furan or benzyl;

R^5 denotes C_{1-6}-alkyl;

R^6 denotes hydrogen, benzyl or cyclopentyl,
optionally in the form of the racemates, the enantiomers, the diastereomers and mixtures thereof, and optionally the pharmacologically acceptable acid addition salts thereof.

9. Compounds of general formula (Ib)



(Ib)

wherein

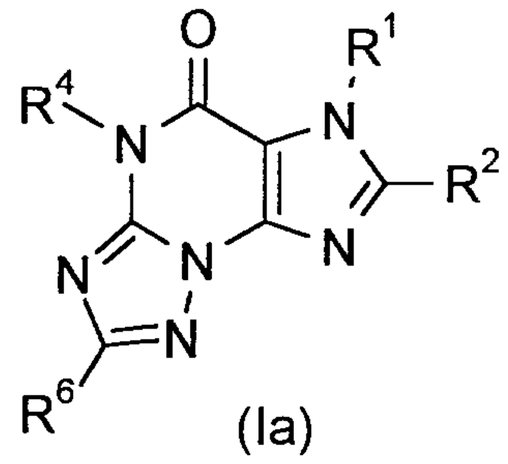
R^2 denotes hydrogen, methyl, ethyl, n-propyl, i-propyl, n-butyl, tert.-butyl, phenyl, benzyl, 4-fluorobenzyl, pyridyl, N-piperidinyl, N-morpholinyl, N-piperazinyl, 4-benzylpiperazinyl, 2-furyl, 3-tetrahydrofuranyl, 4-tetrahydropyranyl, -NMe_2, cyclopentyl, cyclohexyl, adamantan-1-yl, noradamantan-3-yl, norbornan-2-yl or 5-norbornen-2-yl;

R^3 denotes hydrogen, methyl, ethyl, n-propyl or benzyl;

R^4 denotes hydrogen, methyl, ethyl, n-propyl, i-propyl, n-butyl, tert.-butyl, n-pentyl or benzyl;

R^6 denotes hydrogen, methyl, ethyl, n-propyl, i-propyl, n-butyl, tert.-butyl, phenyl, benzyl, cyclopentyl, 2-furyl, 2-pyridylmethyl, 3-pyridylmethyl, 4-pyridylmethyl, cyclohexylmethyl, phenylethyl, N-morpholinomethyl, N-pyrrolylmethyl, (3-pyridyl)-NH-CH_2-, Ph-CO-O-CH_2-, pyridyl-CO-O-CH_2-, Ph-O-CH_2-, (4-MeO-Ph)-O-CH_2-, (4-MeO-Ph)-CH_2-O-CH_2-, (4-Ph-CH_2-O-Ph)-CH_2-, 4-F-Ph-CH_2-, 3,4-F-Ph-CH_2-, -COOH, -COOMe, -CH_2-OH, -CH_2-OMe, -CH_2OEt or -CH_2-NMe_2,
optionally in the form of the racemates, the enantiomers, the diastereomers and mixtures thereof and optionally the pharmacologically acceptable acid addition salts thereof.

10. Compounds of general formula (Ia)



(Ia)

wherein

R^1 denotes hydrogen, methyl, ethyl, n-propyl or benzyl;

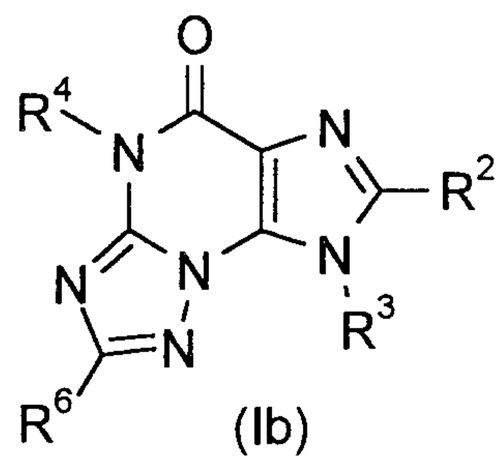
R^2 denotes hydrogen, methyl, ethyl, n-propyl, i-propyl, n-butyl, tert.-butyl, phenyl, benzyl, 4-fluorobenzyl, pyridyl, N-piperidinyl, N-morpholinyl, N-piperazinyl, 4-benzylpiperazinyl, 2-furyl, 3-tetrahydrofuranyl, 4-tetrahydropyranyl, -NMe_2, cyclopentyl, cyclohexyl, adamantan-1-yl, noradamantan-3-yl, norbornan-2-yl or 5-norbornen-2-yl;

R^4 denotes hydrogen, methyl, ethyl, n-propyl, i-propyl, n-butyl, tert.-butyl, n-pentyl or benzyl;

R^6 denotes hydrogen, methyl, ethyl, n-propyl, i-propyl, n-butyl, tert.-butyl, phenyl, benzyl, cyclopentyl, 2-furyl, 2-pyridylmethyl, 3-pyridylmethyl, 4-pyridylmethyl, cyclohexylmethyl, phenylethyl, N-morpholinomethyl, N-pyrrolylmethyl, (3-pyridyl)-NH-CH_2-, Ph-CO-O-CH_2-, pyridyl-CO-O-CH_2-, Ph-O-CH_2-, (4-MeO-Ph)-O-CH_2-, (4-MeO-Ph)-CH_2-O-CH_2-, (4-Ph-CH_2-O-Ph)-CH_2-, 4-F-Ph-CH_2-, 3,4-F-Ph-CH_2-, -COOH, -COOMe, -CH_2-OH, -CH_2-OMe, -CH_2OEt or -CH_2-NMe_2,

optionally in the form of the racemates, the enantiomers, the diastereomers and mixtures thereof and optionally the pharmacologically acceptable acid addition salts thereof.

11. Compounds of general formula (Ib)



(Ib)

wherein

R^2 denotes hydrogen, ethyl, n-propyl, i-propyl, n-butyl, tert.-butyl, phenyl, benzyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, N-piperidinyl, N-morpholinyl, N-piperazinyl, 4-benzylpiperazinyl, 3-tetrahydrofuranyl, 4-tetrahydropyranyl, -NMe_2, cyclopentyl, cyclohexyl, adamantan-1-yl, noradamatan-3-yl, norbornan-2-yl or 5-norbornen-2-yl;

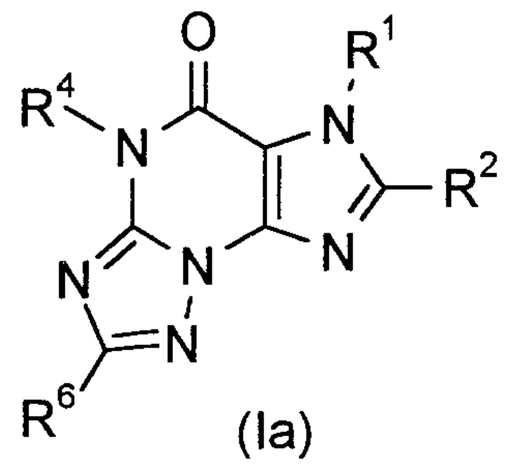
R^3 denotes hydrogen;

R^4 denotes hydrogen, methyl, ethyl, n-propyl, i-propyl, n-butyl, tert.-butyl, n-pentyl or benzyl;

R^6 denotes hydrogen, methyl, ethyl, n-propyl, i-propyl, n-butyl, tert.-butyl, phenyl, benzyl, cyclopentyl, 2-furyl, 2-pyridylmethyl, 3-pyridylmethyl, 4-pyridylmethyl, cyclohexylmethyl, 2-phenylethyl, N-morpholinomethyl, N-pyrrolylmethyl, (3-pyridyl)-NH-CH_2-, Ph-COO-CH_2-, 3-pyridyl-COO-CH_2-, Ph-O-CH_2-, (4-MeO-Ph)-O-CH_2-, (4-MeO-Ph)-CH_2-O-CH_2-, 4-F-Ph-CH_2-, 3,4-F-Ph-CH_2-, -CH_2-OH, -CH_2-OMe, -CH_2-OEt, -CH_2-NMe_2, -COOMe or -COOH,

optionally in the form of the racemates, the enantiomers, the diastereomers and mixtures thereof, and optionally the pharmacologically acceptable acid addition salts thereof.

12. Compounds of general formula (Ia)



(Ia)

wherein

R^1 denotes hydrogen;

R^2 denotes hydrogen, ethyl, n-propyl, i-propyl, n-butyl, tert.-butyl, phenyl, benzyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, N-piperidinyl, N-morpholinyl, N-piperazinyl, 4-benzylpiperazinyl, 3-tetrahydrofuranyl, 4-tetrahydropyranyl, $-NMe_2$, cyclopentyl, cyclohexyl, adamantan-1-yl, noradamatan-3-yl, norbornan-2-yl or 5-norbornen-2-yl;

R^4 denotes hydrogen, methyl, ethyl, n-propyl, i-propyl, n-butyl, tert.-butyl, n-pentyl or benzyl;

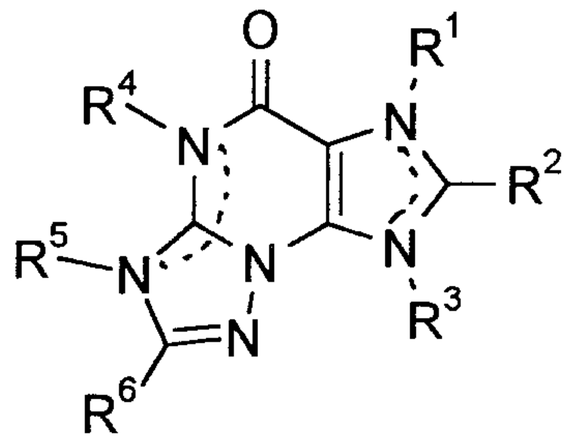
R^6 denotes hydrogen, methyl, ethyl, n-propyl, i-propyl, n-butyl, tert.-butyl, phenyl, benzyl, cyclopentyl, 2-furyl, 2-pyridylmethyl, 3-pyridylmethyl, 4-pyridylmethyl, cyclohexylmethyl, 2-phenylethyl, N-morpholinomethyl, N-pyrrolylmethyl, (3-pyridyl)-NH-CH_2-, Ph-COO-CH_2-, 3-pyridyl-COO-CH_2-, Ph-O-CH_2-, (4-MeO-Ph)-O-CH_2-, (4-MeO-Ph)-CH_2-O-CH_2-, 4-F-Ph-CH_2-, 3,4-F-Ph-CH_2-, -CH_2-OH, -CH_2-OMe, -CH_2-OEt, -CH_2-NMe_2, -COOMe or -COOH,
optionally in the form of the racemates, the enantiomers, the diastereomers and mixtures thereof, and optionally the pharmacologically acceptable acid addition salts thereof.

13. Use of a compound according to one of claims 1 to 12 as a pharmaceutical composition.

14. Use of a compound according to one of claims 1 to 12 as a pharmaceutical composition having an adenosine-antagonistic activity.

15. Pharmaceutical preparations containing as active substance one or more compounds according to one of claims 1 to 12 or the physiologically acceptable acid addition salts thereof combined with conventional excipients and/or carriers.

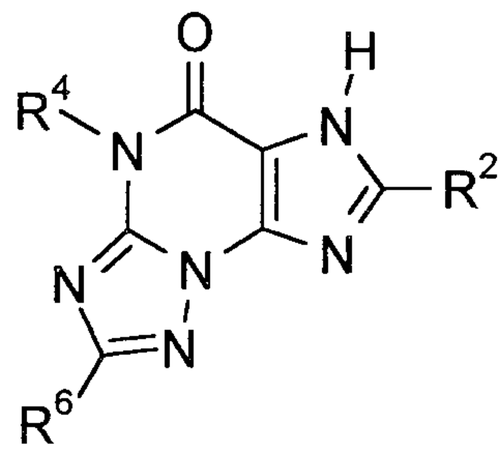
16. Process for preparing imidazotriazolopyrimidinone derivatives of general formula (I)



(I)

wherein R^1, R^2, R^3, R^4, R^5 and R^6 are defined as in claims 1 to 12, characterised in that

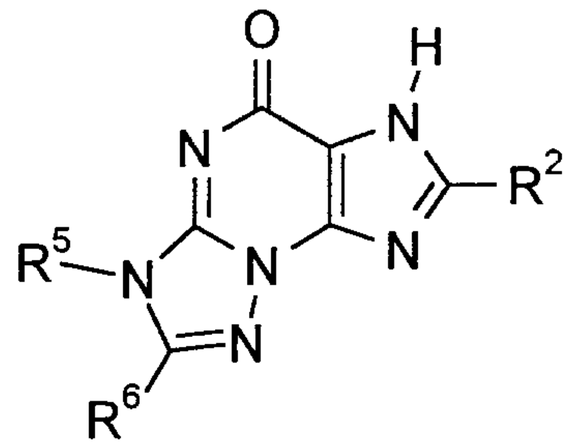
a) a compound of general formula (9)



(9)

or a tautomer thereof, wherein R^2, R^4 and R^6 are as hereinbefore defined, is reacted in a suitable organic solvent or mixture of solvents under basic conditions with a suitable alkylating agent of general formula R^1-X or R^3-X, wherein R^1 and R^3 are as hereinbefore defined and X denotes a leaving group, or

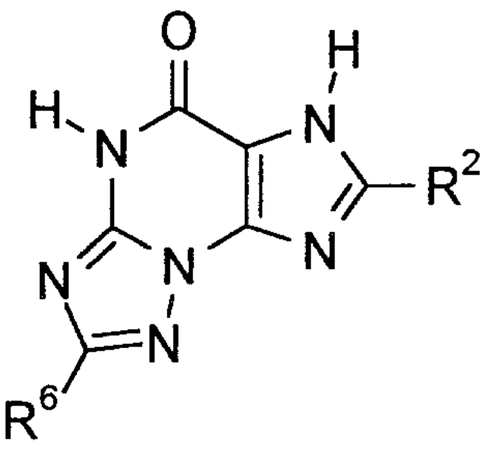
b) a compound of general formula (16)



(16)

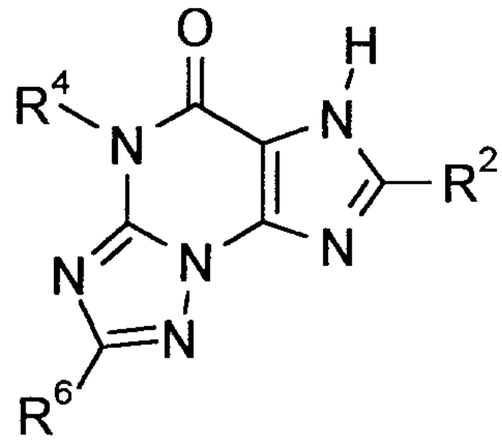
or a tautomer thereof, wherein R^2, R^5 and R^6 are as hereinbefore defined, is reacted in a suitable organic solvent or mixture of solvents under basic conditions with a suitable alkylating agent of general formula R^1-X or R^3-X, wherein R^1 and R^3 are as hereinbefore defined and X denotes a leaving group, or

c) for R^4=R^1 a compound of general formula



or a tautomer thereof, wherein R^2 and R^6 are as hereinbefore defined, is reacted in a suitable organic solvent or mixture of solvents under basic conditions with a suitable alkylating agent of general formula R^1-X or R^3-X to form the monoalkylated compounds (9) or directly to form the dialkylated compounds (I), wherein R^1 and R^3 are as hereinbefore defined and X denotes a leaving group.

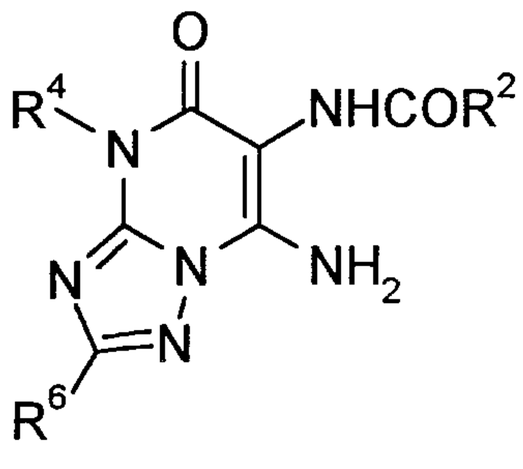
17. Process for preparing imidazotriazolopyrimidinone derivatives of general formula (9)



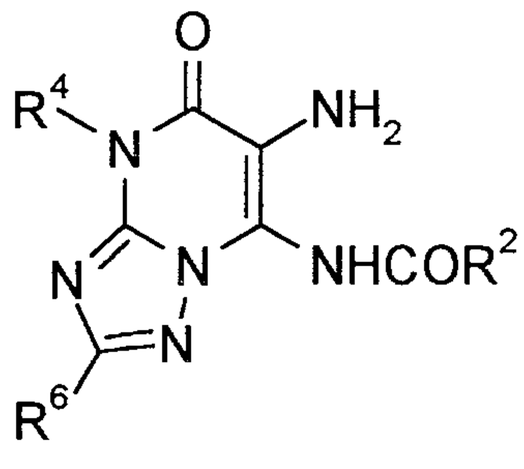
(9)

or the tautomers thereof, wherein R^2, R^4 and R^6 are defined as in claims 1 to 12, characterised in that

a) a compound of general formulae

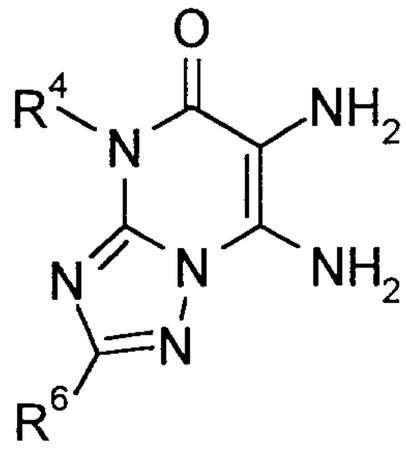


or



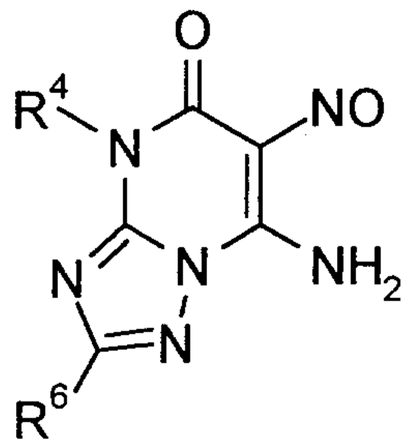
wherein R^2, R^4 and R^6 are as hereinbefore defined, is cyclised under basic, acidic or dehydrating conditions to obtain the tricyclic imidazotriazolopyrimidinone derivatives of general formula (9), or

b) for R^2=H, a diamine of general formula



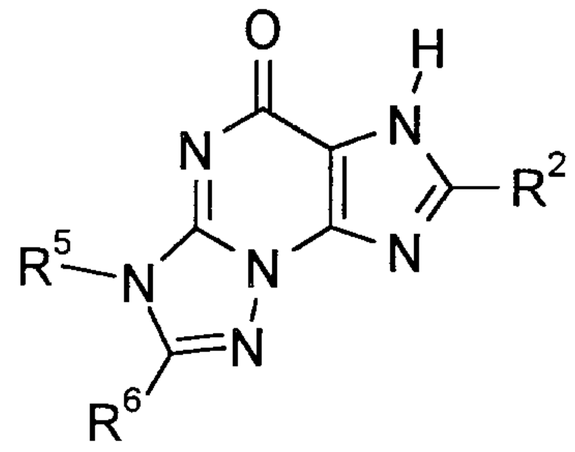
wherein R^4 and R^6 are as hereinbefore defined, is converted by thermal reaction with formamide into imidazotriazolopyrimidinone derivatives of general formula (9), or

c) for R^2=NR^8R^9, wherein R^8 and R^9 are as hereinbefore defined, a nitroso compound of general formula



wherein R^4 and R^6 are as hereinbefore defined, is reacted under dehydrating conditions with N-formylamines to obtain imidazotriazolopyrimidinone derivatives of general formula (9).

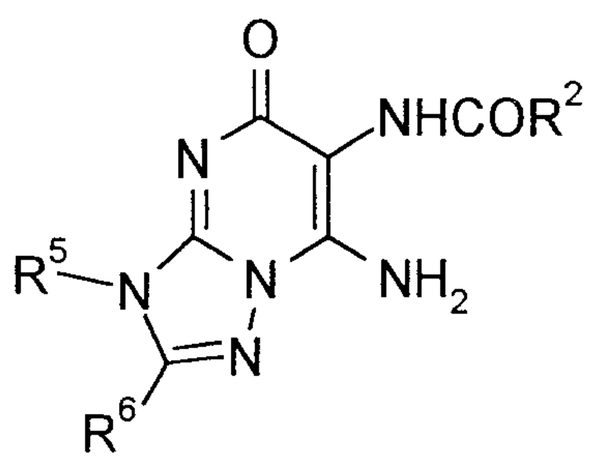
18. Process for preparing imidazotriazolopyrimidinone derivatives of general formula (16)



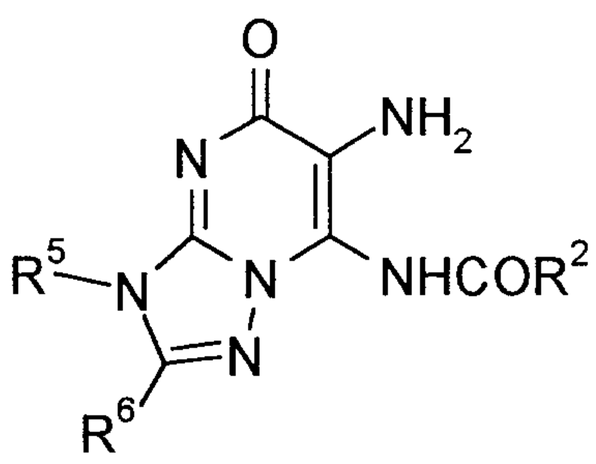
(16)

or the tautomers thereof, wherein R^2, R^5 and R^6 are defined as in claims 1 to 12, characterised in that

a) a compound of general formula

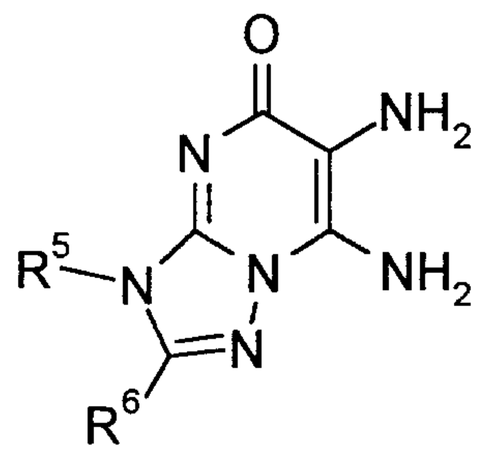


or



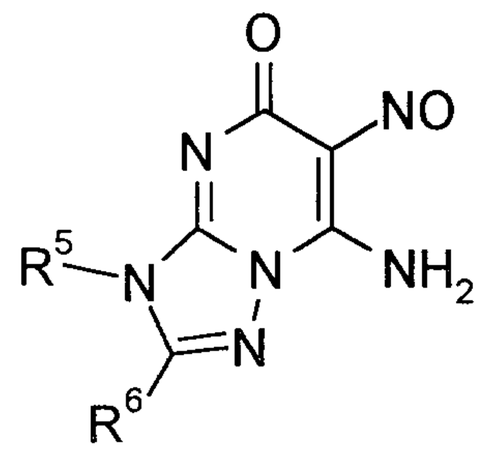
wherein R^2, R^5 and R^6 are as hereinbefore defined, is cyclised under basic, acidic or dehydrating conditions to obtain the tricyclic imidazotriazolopyrimidinone derivatives of general formula (16), or

b) for R^2=H, a diamine of general formula



wherein R^5 and R^6 are as hereinbefore defined, is converted by thermal reaction with formamide into imidazotriazolopyrimidinone derivatives of general formula (16), or

c) for R^2=NR^8R^9 wherein R^8 and R^9 as hereinbefore defined, a nitroso compound of general formula



wherein R^5 and R^6 are as hereinbefore defined, is reacted with N-formylamines under dehydrating conditions to obtain imidazotriazolopyrimidinone derivatives of general formula (16).