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(54) Title: HETEROCYCLIC COMPOUNDS AND THEIR USE AS GLYCOGEN SYNTHASE KINASE-3 INHIBITORS

(57) Abstract: The present invention relates to novel heterocyclic compounds which are useful for inhibiting glycogen synthase kinase 3 (GSK-3), methods of making the compounds, compositions containing the compounds, and methods of treatment using the compounds.

HETEROCYCLIC COMPOUNDS AND THEIR USE AS GLYCOGEN SYNTHASE KINASE-3 INHIBITORS

Technical Field

The present invention relates to novel heterocyclic compounds which are useful for inhibiting glycogen synthase kinase 3 (GSK-3), methods of making the compounds, compositions containing the compounds, and methods of treatment using the compounds.

Background of the Invention

Glycogen synthase kinase-3 (GSK-3) is a serine/threonine kinase encoded by two isoforms, GSK-3α and GSK-3β, with molecular weights of 51 and 47 kDa, respectively. These share 97% sequence similarity in their kinase catalytic domains. The GSK-3α isoform has an extended glycine-rich N-terminal tail. A minor splice variant of GSK-3β has been identified (expressed at ~15% of total) with a 13 amino acid insert within the kinase domain. This variant had a reduced activity towards tau. GSK-3 is highly conserved throughout evolution, and found in all mammalians thus far with high homology in the kinase domain. Both isoforms are ubiquitously expressed in mammalian tissues, including the brain. Pharmacological GSK-3 inhibitors are not able to selectively inhibit one of the isoforms.

GSK-3β plays an important role in the control of metabolism, differentiation and survival. It was initially identified as an enzyme able to phosphorylate and hence inhibit glycogen synthase. Subsequently, it was recognised that GSK-3β was identical to tau protein kinase 1 (TPK1), an enzyme that phosphorylates tau protein in epitopes that are also found to be hyperphosphorylated in Alzheimer's disease and in several tauopathies.

Interestingly, protein kinase B (AKT) phosphorylation of GSK-3β results in a loss of kinase activity, and it has been proposed that this inhibition may mediate some of the effects of neurotrophic factors. Moreover, phosphorylation of β-catenin (a protein involved in cell survival) by GSK-3β, results in its degradation by an ubiquitinilation dependent proteasome pathway.

Therefore it appears that inhibition of GSK-3β activity may result in neurotrophic activity. There is evidence that lithium, an uncompetitive inhibitor of GSK-3β, enhances neuritogenesis in some models and can also increase neuronal survival, through the induc-

tion of survival factors such as Bcl-2 and the inhibition of the expression of proapoptotic factors such as P53 and Bax.

Further studies have shown that β-amyloid increases GSK-3β activity and tau protein phosphorylation. Moreover, this hyperphosphorylation as well as the neurotoxic effects of β-amyloid are blocked by lithium chloride and by a GSK-3β antisense mRNA. These observations taken together suggest that GSK-3β may be the link between the two major pathological processes in Alzheimer's disease: abnormal APP (Amyloid Precursor Protein) processing and tau protein hyperphosphorylation.

These experimental observations indicate that compounds which modulate the GSK-3β activity may find application in the treatment of the neuropathological consequences and the cognitive and attention deficits associated with Alzheimer's disease, as well as other acute and chronic neurodegenerative diseases. These include, but are not limited to: Parkinson's disease, tauopathies (e.g. frontotemporoparietal dementia, corticobasal degeneration, Pick's disease, progressive supranuclear palsy, argyophilic grain disease) and other dementia including vascular dementia; acute stroke and others traumatic injuries; cerebrovascular accidents (e.g. age related macular degeneration); brain and spinal cord trauma; peripheral neuropathies; bipolar disorders, retinopathies and glaucoma.

GSK-3β may further have utility in the treatment of inflammatory diseases, such as rheumatoid arthritis and osteoarthritis.

GSK-3β may also have utility in the treatment of other diseases such as: Non-insulin dependent diabetes and obesity; osteoporosis; manic depressive illness; schizophrenia; alopecia; cancers such as breast cancer, non-small cell lung carcinoma, thyroid cancer, T or B-cell leukemia and several virus-induced tumors.

A review on GSK-3, its functions, its therapeutic potential and its possible inhibitors is given in "Glycogen Synthase Kinase 3 (GSK-3) and its inhibitors: Drug Discovery and Developments" by A. Martinez et al. (editors), John Wiley and Sons, 2006.

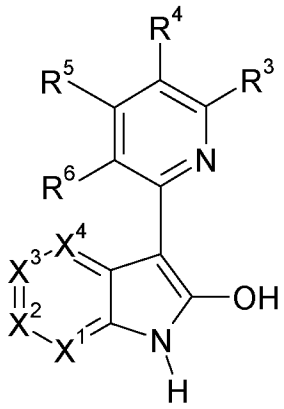
WO 03/053330 describes 2-oxindoles substituted in the 3-position with a bicyclic hetaryl group and their use for treating conditions related to glycogen synthase kinase-3. WO 03/082853 describes substituted 2-oxindoles substituted in the 3-position with a monocyclic hetaryl group and their use for treating conditions related to glycogen synthase kinase-3. WO 2005/123672 relates to 2-hydroxyindoles carrying in the 3-position an optionally fused pyrid-2-yl ring and their use for inhibiting kinases. WO 2005/061519

relates to 2-hydroxyindoles carrying in the 3-position a pyrid-2-yl ring fused to an aromatic or heteroaromatic ring and their use for inhibiting kinases.

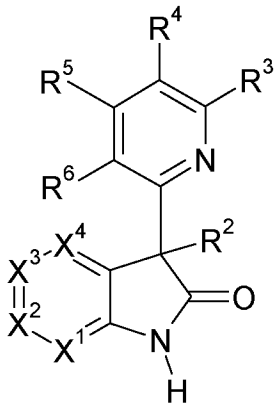
Summary of the Invention

The object of the present invention is to provide compounds which modulate the GSK-3β activity, in particular compounds which have an inhibitory activity on GSK-3β and which thus are useful as an active ingredient of a composition for preventive and/or therapeutic treatment of a disease caused by abnormal GSK-3β activity, especially of neurodegenerative and/or inflammatory diseases. More specifically, the goal is to provide novel compounds useful as an active ingredient of a composition that enables prevention and/or treatment of neurodegenerative diseases such as Alzheimer's disease.

It was surprisingly found that the problem is solved by providing a heterocyclic compound of the general formulae IA and IB



(IA)



(IB)

the stereoisomers, N-oxides, prodrugs, tautomers and/or physiologically tolerated acid addition salts thereof; and the compounds of the general formulae IA and IB, wherein at least one of the atoms has been replaced by its stable, non-radioactive isotope, wherein

X^1, X^2, X^3 and X^4 are independently of each other selected from the group consisting of CR^1 and N;

each R^1 is independently selected from the group consisting of hydrogen, cyano, NR^aR^b, OH, halogen, C_1-C_6-alkyl, C_1-C_6-haloalkyl, C_3-C_7-cycloalkyl, C_3-C_7-halocycloalkyl, C_2-C_4-alkenyl, C_2-C_4-haloalkenyl, C_1-C_6-alkoxy, C_1-C_6-haloalkoxy, formyl, C_1-C_6-alkylcarbonyl, C_1-C_6-haloalkylcarbonyl, COOH, C_1-C_6-

alkoxycarbonyl, C_1-C_6-haloalkoxycarbonyl, C_1-C_6-alkyl-NR^aR^b, CO-NR^aR^b, an aromatic radical Ar, which is selected from the group consisting of phenyl and a 5- or 6-membered N- or C-bound heteroaromatic radical comprising 1, 2 or 3 heteroatoms independently selected from O, S and N as ring members, wherein Ar is unsubstituted or carries one or two radicals R^7 and wherein Ar may also be bonded via a CH_2 group, and saturated or partially unsaturated 3-, 4-, 5-, 6- or 7-membered heterocyclic radical comprising 1, 2 or 3 heteroatoms selected from O, S and N as ring members, wherein the heterocyclic radical is unsubstituted or substituted by 1, 2, 3 or 4 radicals independently selected from halogen, cyano, C_1-C_4-alkyl, C_1-C_4-haloalkyl, C_1-C_4-alkoxy and C_1-C_4-haloalkoxy;

R^2 is hydrogen, C_1-C_4-alkyl, C_1-C_4-haloalkyl, C_2-C_4-alkenyl, C_2-C_4-haloalkenyl, OH or F;

R^3 and R^4; or R^4 and R^5; or R^5 and R^6 form together a bridging group -$(CH_2)_m$-, wherein m is 3, 4 or 5, where 1, 2 or 3 of the CH_2 groups may be replaced by a group or a heteroatom selected from CO, O, S, SO, SO_2, NR^c and NO, and where 1, 2 or 3 hydrogen atoms of the bridging group may be replaced by a radical R^8;

where the radicals R^3, R^4, R^5 and R^6, which are not part of the bridging group, are independently selected from the group consisting of hydrogen, halogen, cyano, C_1-C_4-alkyl, C_1-C_4-haloalkyl, C_1-C_4-alkoxy, C_1-C_4-haloalkoxy and NR^aR^b;

each R^7 is independently selected from the group consisting of halogen, OH, CN, C_1-C_6-alkyl, C_1-C_6-haloalkyl, C_3-C_6-cycloalkyl, C_3-C_6-halocycloalkyl, C_1-C_6-alkoxy, C_1-C_6-haloalkoxy, NR^aR^b, C_1-C_6-alkylcarbonyl, C_1-C_6-haloalkylcarbonyl, C_1-C_6-alkoxycarbonyl, C_1-C_6-haloalkoxycarbonyl, CO-NR^aR^b, a phenyl group and a saturated, partially unsaturated or aromatic 5- or 6-membered heterocyclic radical comprising 1, 2 or 3 heteroatoms selected from O, S and N as ring members, wherein phenyl and the heterocyclic radical are, independently of each other, unsubstituted or substituted by 1, 2, 3 or 4 radicals independently selected from halogen, cyano, C_1-C_4-alkyl, C_1-C_4-haloalkyl, C_1-C_4-alkoxy and C_1-C_4-haloalkoxy, or in the heterocyclic ring two geminally bound radicals may together form a group =O;

each R^8 is independently selected from the group consisting of halogen, OH, CN, C_1-C_6-alkyl, C_1-C_6-haloalkyl, C_3-C_6-cycloalkyl, C_3-C_6-halocycloalkyl, C_1-C_6-alkoxy, C_1-C_6-haloalkoxy, NR^aR^b, C_1-C_6-alkylcarbonyl, C_1-C_6-haloalkylcarbonyl, C_1-C_6-alkoxycarbonyl, C_1-C_6-haloalkoxycarbonyl, CO-NR^aR^b, a phenyl group and a saturated, partially unsaturated or aromatic 3-, 4-, 5-, 6- or 7-membered heterocyclic radical comprising 1, 2 or 3 heteroatoms selected from O, S and N as ring members, wherein phenyl and the heterocyclic radical are, independently of each

other, unsubstituted or substituted by 1, 2, 3 or 4 radicals independently selected from halogen, cyano, C_1-C_4-alkyl, C_1-C_4-haloalkyl, C_1-C_4-alkoxy and C_1-C_4-haloalkoxy;

R^a and R^b are independently of each other selected from the group consisting of hydrogen, C_1-C_6-alkyl, C_1-C_4-haloalkyl, C_1-C_4-alkoxy, C_1-C_4-haloalkoxy, C_1-C_4-alkylcarbonyl, C_1-C_4-haloalkylcarbonyl, C_1-C_6-alkoxycarbonyl and C_1-C_6-haloalkoxycarbonyl; or

R^a and R^b form, together with the nitrogen atom to which they are bonded, a 3-, 4-, 5-, 6- or 7-membered saturated or unsaturated aromatic or non-aromatic N-heterocyclic ring, which may contain 1 further heteroatom or heteroatom-containing group selected from N, O, S, SO and SO_2 as a ring member, where the N-heterocyclic ring may carry 1 or 2 radicals selected from halogen, cyano, C_1-C_4-alkyl, C_1-C_4-haloalkyl, C_1-C_4-alkoxy and C_1-C_4-haloalkoxy; and

each R^c is independently selected from the group consisting of hydrogen, C_1-C_6-alkyl, C_1-C_4-haloalkyl, C_1-C_4-alkoxy, C_1-C_4-haloalkoxy, C_1-C_4-alkoxy-C_1-C_4-alkyl, C_1-C_4-alkylcarbonyl, C_1-C_4-haloalkylcarbonyl, C_1-C_6-alkoxycarbonyl and C_1-C_6-haloalkoxycarbonyl.

Thus, the present invention relates to compounds of the formulae IA and IB as defined herein and in the claims, to the stereoisomers, tautomers, prodrugs and/or physiologically tolerated acid addition salts thereof.

According to a further aspect, the present invention relates to a pharmaceutical composition comprising at least one compound of the formula IA and/or IB as defined herein, a stereoisomer, a tautomer, a prodrug and/or a physiologically tolerated acid addition salt thereof or comprising at least one heterocyclic compound as defined above, wherein at least one of the atoms has been replaced by its stable, non-radioactive isotope, optionally together with at least one physiologically acceptable carrier and/or auxiliary substance.

According to a further aspect, the present invention relates to the use of at least one compound of the formula IA and/ or IB as defined herein, the stereoisomers, tautomers, prodrugs and/or physiologically tolerated acid addition salts thereof, for the preparation of a medicament for the treatment of a medical disorder susceptible to treatment with a compound that modulates glycogen synthase kinase 3ß activity.

According to a further aspect, the present invention relates to a method for treating a medical disorder susceptible to treatment with a compound that modulates glycogen

synthase kinase 3ß activity, said method comprising administering an effective amount of at least one compound of the formula IA and/or IB as defined herein, a stereoisomer, a tautomer, a prodrug and/or a physiologically tolerated acid addition salt thereof, to a subject in need thereof.

Detailed description of the invention

Provided the compounds of the formulae IA and IB of a given constitution may exist in different spatial arrangements, for example if they possess one or more centers of asymmetry, polysubstituted rings or double bonds, or as different tautomers, it is also possible to use enantiomeric mixtures, in particular racemates, diastereomeric mixtures and tautomeric mixtures, preferably, however, the respective essentially pure enantiomers, diastereomers and tautomers of the compounds of formulae IA and IB and/or of their salts.

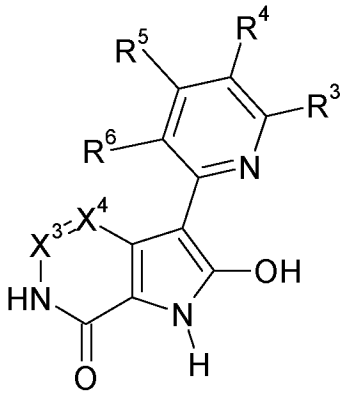
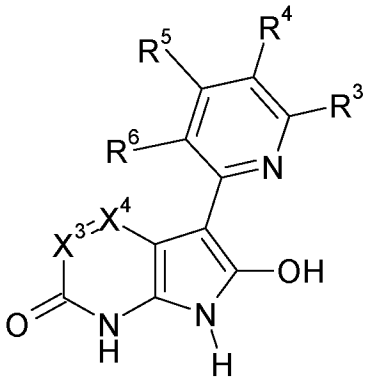
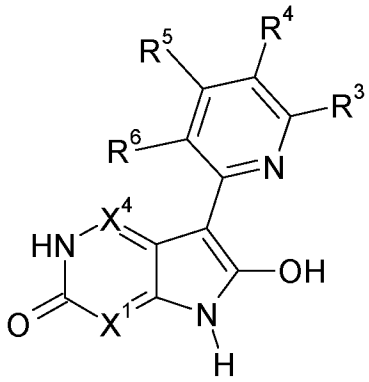
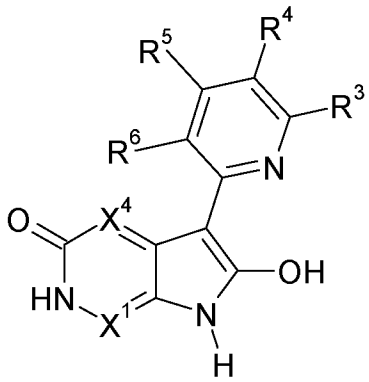
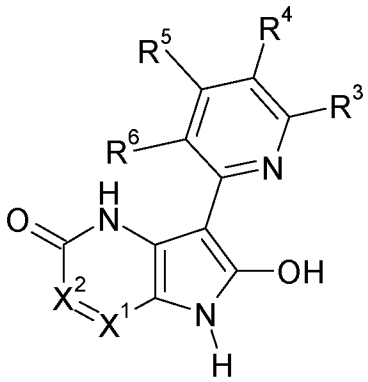
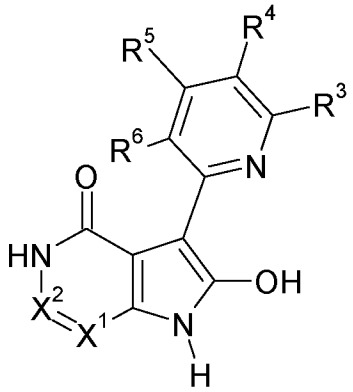
In case R^2 in compound IB is hydrogen, this compound IB is a tautomer of the respective compound IA wherein the remaining variables have the same meaning.

It is likewise possible to use physiologically tolerated salts of the compounds of the formulae IA and/or IB, especially acid addition salts with physiologically tolerated acids. Examples of suitable physiologically tolerated organic and inorganic acids are hydrochloric acid, hydrobromic acid, phosphoric acid, sulfuric acid, C_1-C_4-alkylsulfonic acids, such as methanesulfonic acid, aromatic sulfonic acids, such as benzenesulfonic acid and toluenesulfonic acid, oxalic acid, maleic acid, fumaric acid, lactic acid, tartaric acid, adipic acid and benzoic acid. Other utilizable acids are described in Fortschritte der Arzneimittelforschung [Advances in drug research], Volume 10, pages 224 et seq., Birkhäuser Verlag, Basel and Stuttgart, 1966.

In the terms of the present invention, "prodrugs" are compounds which are metabolized in vivo to give the compounds of the invention of formulae IA or IB. Typical examples for prodrugs are for example decribed in C.G. Wermeth (editor): The Practice of Medicinal Chemistry, Academic Press, San Diego, 1996, pages 671-715. Examples are phosphates, carbamates, aminoacids, esters, amides, peptides, urea and the like. In the present case, suitable prodrugs can be compounds of formula IA or IB wherein an external nitrogen atom, for example a secondary nitrogen ring atom of the ring fused to the pyridyl ring (i.e. in the group $-(CH_2)_m-$ formed by R^3 together with R^4 or R^4 together with R^5 or R^5 together with R^6, at least one CH_2 group is replaced by a group NR^c and at least one R^c is hydrogen) or a nitrogen atom of a primary or secondary amino group being a substituent R^1, R^3, R^4, R^5, R^6, R^7 and/or R^8 (= at least one of R^1, R^3, R^4, R^5, R^6, R^7 and R^8 is NR^aR^b, wherein at least one of R^a and R^b is H), forms an amide/peptide

bond in that this nitrogen atom is substituted by a C_1-C_4-alkylcarbonyl group, e.g. by acetyl, propionyl, n-propylcarbonyl, isopropylcarbonyl, n-butylcarbonyl or tert-butylcarbonyl (pivaloyl), by benzoyl, or by an aminoacid group bonded via CO, e.g. glycine, alanine, serine, phenylalanine and the like bonded via CO. Suitable prodrugs are furthermore alkylcarbonyloxyalkylcarbamates, wherein said nitrogen atom carries a group -C(=O)-O-CHR^x-O-C(=O)-R^y, wherein R^x und R^y independently of each other are C_1-C_4-alkyl. These carbamate compounds are for example described in J. Alexander, R. Cargill, S. R. Michelson, H. Schwam, J. Medicinal Chem. 1988, 31(2), 318-322. These groups can be removed under metabolic conditions and result in compounds IA/IB wherein said nitrogen atom carries a hydrogen atom instead. Also, R^1 may be chosen so as to be hydrolysable under metabolic conditions and thus to be one of the above-listed groups (i.a. a C_1-C_4-alkylcarbonyl group, an aminoacid group bonded via CO or a group -C(=O)-O-CHR^x-O-C(=O)-R^y). Another prodrug is e.g. a compound IB, wherein R^2 is F.

The compounds of formulae IA or IB may also be present in the form of the respective tautomers. Apart the tautomery already mentioned above of formulae IA and IB, where in formula IB R^2 is H, tautomery may also be present in compounds IA and IB wherein R^1 is OH and this substituent is bonded to a carbon atom which is in α-position to a nitrogen ring atom. This results for example in following tautomeric formulae (the examples are only given for formula IA, but are analogous for formula IB):

The organic moieties mentioned in the above definitions of the variables are - like the term halogen - collective terms for individual listings of the individual group members. The prefix C_n-C_m indicates in each case the possible number of carbon atoms in the group.

The term halogen denotes in each case fluorine, bromine, chlorine or iodine, in particular fluorine, chlorine or bromine.

C_1-C_2-Alkyl is methyl or ethyl; C_1-C_3-alkyl is additionally n-propyl or isopropyl.

C_1-C_4-Alkyl is a straight-chain or branched alkyl group having from 1 to 4 carbon atoms. Examples are methyl, ethyl, n-propyl, isopropyl, n-butyl, 2-butyl (sec-butyl), isobutyl and tert-butyl.

C_1-C_6-Alkyl is a straight-chain or branched alkyl group having from 1 to 6 carbon atoms. Examples include the residues mentioned above for C_1-C_4-alkyl and also pentyl, 1-methylbutyl, 2-methylbutyl, 3-methylbutyl, 2,2-dimethylpropyl, 1-ethylpropyl, hexyl, 1,1-dimethylpropyl, 1,2-dimethylpropyl, 1-methylpentyl, 2-methylpentyl, 3-methylpentyl, 4-methylpentyl, 1,1-dimethylbutyl, 1,2-dimethylbutyl, 1,3-dimethylbutyl, 2,2-dimethylbutyl, 2,3-dimethylbutyl, 3,3-dimethylbutyl, 1-ethylbutyl, 2-ethylbutyl, 1,1,2-trimethylpropyl, 1,2,2-trimethylpropyl, 1-ethyl-1-methylpropyl and 1-ethyl-2-methylpropyl.

C_1-C_2-Haloalkyl is an alkyl group having 1 or 2 carbon atoms (as mentioned above), where at least one of the hydrogen atoms, e.g. 1, 2, 3, 4 or 5 hydrogen atoms in these groups are replaced by halogen atoms as mentioned above, such as chloromethyl, dichloromethyl, trichloromethyl, fluoromethyl, difluoromethyl, trifluoromethyl, bromomethyl, chlorofluoromethyl, dichlorofluoromethyl, chlorodifluoromethyl, 1-chloroethyl, 1-bromoethyl, 1-fluoroethyl, 2-chloroethyl, 2-bromoethyl, 2-fluoroethyl, 2,2-difluoroethyl, 2,2,2-trifluoroethyl, 2-chloro-2-fluoroethyl, 2-chloro-2,2-difluoroethyl, 2,2-dichloro-2-fluoroethyl, 2,2,2-trichloroethyl or pentafluoroethyl.

C_1-C_4-Haloalkyl is a straight-chain or branched alkyl group having 1 to 4 carbon atoms (as mentioned above), where at least one of the hydrogen atoms, e.g. 1, 2, 3, 4 or 5 hydrogen atoms in these groups are replaced by halogen atoms as mentioned above. Examples are, apart those listed above for C_1-C_2-haloalkyl, 1-chloropropyl, 1-bromopropyl, 1-fluoropropyl, 2-chloropropyl, 2-bromopropyl, 2-fluoropropyl, 3-chloropropyl, 3-bromopropyl, 3-fluoropropyl, 1,1-dichloropropyl, 1,1-difluoropropyl, 2,2-

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C_1-C_6-Haloalkyl is a straight-chain or branched alkyl group having 1 to 6 carbon atoms (as mentioned above), where at least one of the hydrogen atoms in these groups is replaced by halogen atoms as mentioned above. Examples are, apart those listed above for C_1-C_4-haloalkyl, chloropentyl, bromopentyl, fluoropentyl, chlorohexyl, bromohexyl, fluorohexyl, and the like.

C_1-C_2-Fluoroalkyl (= fluorinated C_1-C_2-alkyl) is an alkyl group having 1 or 2 carbon atoms (as mentioned above), where at least one of the hydrogen atoms, e.g. 1, 2, 3, 4 or 5 hydrogen atoms in these groups are replaced by fluorine atoms, such as difluoromethyl, trifluoromethyl, 1-fluoroethyl, (R)-1-fluoroethyl, (S)-1-fluoroethyl, 2-fluoroethyl, 2,2-difluoroethyl, 2,2,2-trifluoroethyl, or pentafluoroethyl.

C_1-C_4-Fluoroalkyl (= fluorinated C_1-C_4-alkyl) is a straight-chain or branched alkyl group having 1 to 4 carbon atoms (as mentioned above), where at least one of the hydrogen atoms, e.g. 1, 2, 3, 4 or 5 hydrogen atoms in these groups are replaced by fluorine atoms. Examples are, apart those listed above for C_1-C_2-fluoroalkyl, 1-fluoropropyl, (R)-1-fluoropropyl, (S)-1-fluoropropyl, 2-fluoropropyl, (R)-2-fluoropropyl, (S)-2-fluoropropyl, 3-fluoropropyl, 1,1-difluoropropyl, 2,2-difluoropropyl, 1,2-difluoropropyl, 2,3-difluoropropyl, 1,3-difluoropropyl, 3,3-difluoropropyl, 1,1,2-trifluoropropyl, 1,2,2-trifluoropropyl, 1,2,3-trifluoropropyl, 2,2,3-trifluoropropyl, 3,3,3-trifluoropropyl, 1,1,1-trifluoroprop-2-yl, 2-fluoro-1-methylethyl, (R)-2-fluoro-1-methylethyl, (S)-2-fluoro-1-methylethyl, 2,2-difluoro-1-methylethyl, (R)-2,2-difluoro-1-methylethyl, (S)-2,2-difluoro-1-methylethyl, 1,2-difluoro-1-methylethyl, (R)-1,2-difluoro-1-methylethyl, (S)-1,2-difluoro-1-methylethyl, 2,2,2-trifluoro-1-methylethyl, (R)-2,2,2-trifluoro-1-methylethyl, (S)-2,2,2-trifluoro-1-methylethyl, 2-fluoro-1-(fluoromethyl)ethyl, 1-(difluoromethyl)-2,2-difluoroethyl, 1-(trifluoromethyl)-2,2,2-trifluoroethyl, 1-(trifluoromethyl)-1,2,2,2-tetrafluoroethyl, 1-fluorobutyl, (R)-1-fluorobutyl, (S)-1-fluorobutyl, 2-fluorobutyl, (R)-2-fluorobutyl, (S)-2-fluorobutyl, 3-fluorobutyl, (R)-3-fluorobutyl, (S)-3-fluorobutyl, 4-fluorobutyl, 1,1-difluorobutyl, 2,2-difluorobutyl, 3,3-difluorobutyl, 4,4-difluorobutyl, 4,4,4-trifluorobutyl and the like.

C_1-C_6-Fluoroalkyl (= fluorinated C_1-C_6-alkyl) is a straight-chain or branched alkyl group having 1 to 6 carbon atoms (as mentioned above), where at least one of the hydrogen atoms, e.g. 1, 2, 3, 4 or 5 hydrogen atoms in these groups are replaced by fluorine atoms. Examples are, apart those listed above for C_1-C_4-fluoroalkyl, 1-fluoropentyl, (R)-1-fluoropentyl, (S)-1-fluoropentyl, 2-fluoropentyl, (R)-2-fluoropentyl, (S)-2-fluoropentyl, 3-fluoropentyl, (R)-3-fluoropentyl, (S)-3-fluoropentyl, 4-fluoropentyl, (R)-4-fluoropentyl, (S)-4-fluoropentyl, 5-fluoropentyl, (R)-5-fluoropentyl, (S)-5-fluoropentyl, 1-fluorohexyl, (R)-1-fluorohexyl, (S)-1-fluorohexyl, 2-fluorohexyl, (R)-2-fluorohexyl, (S)-2-fluorohexyl, 3-fluorohexyl, (R)-3-fluorohexyl, (S)-3-fluorohexyl, 4-fluorohexyl, (R)-4-fluorohexyl, (S)-4-fluorohexyl, 5-fluorohexyl, (R)-5-fluorohexyl, (S)-5-fluorohexyl, 65-fluorohexyl, (R)-6-fluorohexyl, (S)-6-fluorohexyl, and the like.

C_1-C_4-Alkoxy is a straight-chain or branched alkyl group having from 1 to 4 carbon atoms, which is bound to the remainder of the molecule via an oxygen atom. Examples include methoxy, ethoxy, n-propoxy, isopropoxy, n-butoxy, 2-butoxy, isobutoxy and tert-butoxy.

C_1-C_6-Alkoxy is a straight-chain or branched alkyl group having from 1 to 6 carbon atoms, which is bound to the remainder of the molecule via an oxygen atom. Examples include, apart those listed above for C_1-C_4-alkoxy, pentyloxy, 1-methylbutoxy, 2-methylbutoxy, 3-methylbutoxy, 2,2-dimethylpropoxy, 1-ethylpropoxy, hexyloxy, 1,1-dimethylpropoxy, 1,2-dimethylpropoxy, 1-methylpentyloxy, 2-methylpentyloxy, 3-methylpentyloxy, 4-methylpentyloxy, 1,1-dimethylbutyloxy, 1,2-dimethylbutyloxy, 1,3-dimethylbutyloxy, 2,2-dimethylbutyloxy, 2,3-dimethylbutyloxy, 3,3-dimethylbutyloxy, 1-ethylbutyloxy, 2-ethylbutyloxy, 1,1,2-trimethylpropoxy, 1,2,2-trimethylpropoxy, 1-ethyl-1-methylpropoxy and 1-ethyl-2-methylpropoxy.

Halogenated C_1-C_6-alkoxy (which is also termed C_1-C_6-haloalkoxy), in particular fluorinated C_1-C_6-alkoxy (also termed C_1-C_6-fluoroalkoxy) is a straight-chain or branched alkoxy group having from 1 to 6, in particular 1 to 4 carbon atoms (= fluorinated C_1-C_4-alkoxy), wherein at least one, e.g. 1, 2, 3, 4 or all of the hydrogen atoms are replaced by a halogen atoms, in particular fluorine atoms such as in fluoromethoxy, difluoromethoxy, trifluoromethoxy, (R)-1-fluoroethoxy, (S)-1-fluoroethoxy, 2-fluoroethoxy, 1,1-difluoroethoxy, 2,2-difluoroethoxy, 2,2,2-trifluoroethoxy, 1,1,2,2-tetrafluoroethoxy, (R)-1-fluoropropoxy, (S)-1-fluoropropoxy, (R)-2-fluoropropoxy, (S)-2-fluoropropoxy, 3-fluoropropoxy, 1,1-difluoropropoxy, 2,2-difluoropropoxy, 3,3-difluoropropoxy, 3,3,3-trifluoropropoxy, (R)-2-fluoro-1-methylethoxy, (S)-2-fluoro-1-methylethoxy, (R)-2,2-difluoro-1-methylethoxy, (S)-2,2-difluoro-1-methylethoxy, (R)-1,2-difluoro-1-methylethoxy, (S)-1,2-difluoro-1-methylethoxy, (R)-2,2,2-trifluoro-1-methylethoxy, (S)-

2,2,2-trifluoro-1-methylethoxy, 2-fluoro-1-(fluoromethyl)ethoxy, 1-(difluoromethyl)-2,2-difluoroethoxy, (R)-1-fluorobutoxy, (S)-1-fluorobutoxy, 2-fluorobutoxy, 3-fluorobutoxy, 4-fluorobutoxy, 1,1-difluorobutoxy, 2,2-difluorobutoxy, 3,3-difluorobutoxy, 4,4-difluorobutoxy, 4,4,4-trifluorobutoxy, and the like.

C_1-C_4-Alkylcarbonyl is a straight-chain or branched alkyl group having from 1 to 4 carbon atoms), which is bound to the remainder of the molecule via a carbonyl group (CO), such as in acetyl, propionyl, isopropylcarbonyl, butylcarbonyl, sec-butylcarbonyl, isobutylcarbonyl, and tert-butylcarbonyl.

C_1-C_6-Alkylcarbonyl is a straight-chain or branched alkyl group having from 1 to 6 carbon atoms, which is bound to the remainder of the molecule via a carbonyl group (CO). Examples include, apart those listed above for C_1-C_4-alkylcarbonyl, pentylcarbonyl, hexylcarbonyl and the constitutional isomers thereof.

C_1-C_4-Haloalkylcarbonyl is a straight-chain or branched haloalkyl group having from 1 to 4 carbon atoms as defined above, which is bound to the remainder of the molecule via a carbonyl group (CO)

C_1-C_6-Haloalkylcarbonyl is a straight-chain or branched haloalkyl group having from 1 to 6 carbon atoms as defined above, which is bound to the remainder of the molecule via a carbonyl group (CO)

C_1-C_4-Fluoroalkylcarbonyl is a straight-chain or branched fluoroalkyl group having from 1 to 4 carbon atoms as defined above, which is bound to the remainder of the molecule via a carbonyl group (CO)

C_1-C_6-fluoroalkylcarbonyl is a straight-chain or branched fluoroalkyl group having from 1 to 6 carbon atoms as defined above, which is bound to the remainder of the molecule via a carbonyl group (CO)

C_1-C_6-Alkoxycarbonyl is a straight-chain or branched alkoxy group having from 1 to 6, especially 1 to 4 carbon atoms (= C_1-C_4-alkoxycarbonyl), in particular 1 to 3 carbon atoms (= C_1-C_3-alkoxycarbonyl), which is bound to the remainder of the molecule via a carbonyl group (CO), such as in methoxycarbonyl, ethoxycarbonyl, propyloxycarbonyl, and isopropyloxycarbonyl.

C_1-C_6-Haloalkoxycarbonyl is a straight-chain or branched haloalkoxy group having from 1 to 6, especially 1 to 4 carbon atoms (= C_1-C_4-haloalkoxycarbonyl), in particular 1 to 3

carbon atoms (= C_1-C_3-haloalkoxycarbonyl) as defined above, which is bound to the remainder of the molecule via a carbonyl group (CO).

C_1-C_6-Fluoroalkoxycarbonyl is a straight-chain or branched fluorooalkoxy group having from 1 to 6, especially 1 to 4 carbon atoms (= C_1-C_4-fluoroalkoxycarbonyl), in particular 1 to 3 carbon atoms (= C_1-C_3-fluoroalkoxycarbonyl) as defined above, which is bound to the remainder of the molecule via a carbonyl group (CO).

C_3-C_6-Cycloalkyl is a cycloaliphatic radical having from 3 to 6 C atoms, such as cyclopropyl, cyclobutyl, cyclopentyl and cyclohexyl. C_3-C_4-cycloalkyl is a cycloaliphatic radical having from 3 to 4 C atoms, such as cyclopropyl and cyclobutyl.

C_3-C_7-Cycloalkyl is a cycloaliphatic radical having from 3 to 7 C atoms, such as cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl and cycloheptyl.

C_3-C_6-Halocycloalkyl is a cycloaliphatic radical having from 3 to 6 C atoms, such as cyclopropyl, cyclobutyl, cyclopentyl and cyclohexyl, wherein at least one, e.g. 1, 2, 3, 4 or all of the hydrogen atoms are replaced by a halogen atoms, preferably by fluorine atoms such as in 1-fluorocyclopropyl, 2-fluorocyclopropyl, (S)- and (R)-2,2-difluorocyclopropyl, 1,2-difluorocyclopropyl, 2,3-difluorocyclopropyl, pentafluorocyclopropyl, 1-fluorocyclobutyl, 2-fluorocyclobutyl, 3-fluorocyclobutyl, 2,2-difluorocyclobutyl, 3,3-difluorocyclobutyl, 1,2-difluorocyclobutyl, 1,3-difluorocyclobutyl, 2,3-difluorocyclobutyl, 2,4-difluorocyclobutyl, or 1,2,2-trifluorocyclobutyl.

C_3-C_7-Halocycloalkyl is a cycloaliphatic radical having from 3 to 7 C atoms, such as cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl and cycloheptyl, wherein at least one, e.g. 1, 2, 3, 4 or all of the hydrogen atoms are replaced by a halogen atoms, preferably by fluorine atoms. Examples include, apart those listed above for C_3-C_6-fluorocycloalkyl, 1-fluorocycloheptyl, 2-fluorocycloheptyl, 3-fluorocycloheptyl, 4-fluorocycloheptyl, 1,2-difluorocycloheptyl, 1,3-difluorocycloheptyl, 1,4-difluorocycloheptyl, 2,2-difluorocycloheptyl, 2,3-difluorocycloheptyl, 2,4-difluorocycloheptyl, 2,5-difluorocycloheptyl, 2,6-difluorocycloheptyl, 2,7-difluorocycloheptyl, 3,3-difluorocycloheptyl, 3,4-difluorocycloheptyl, 3,5-difluorocycloheptyl, 3,6-difluorocycloheptyl, 4,4-difluorocycloheptyl, 4,5-difluorocycloheptyl, and the like.

C_2-C_4-Alkenyl is a singly unsaturated hydrocarbon radical having 2, 3 or 4 C-atoms and one C-C double bond, e.g. vinyl, allyl (2-propen-1-yl), 1-propen-1-yl, 2-propen-2-yl, buten-1-yl, buten-2-yl, buten-3-yl, methallyl (2-methylprop-2-en-1-yl) and the like.

C_2-C_4-Haloalkenyl is a singly unsaturated hydrocarbon radical having 2, 3 or 4 C-atoms, wherein at least one, e.g. 1, 2, 3, 4 or all of the hydrogen atoms are replaced by halogen atoms, preferably by fluorine atoms such as in 1-fluorovinyl, 2-fluorovinyl, 2,2-fluorovinyl, 3,3,3-fluoropropenyl, 1,1-difluoro-2-propenyl, 1-fluoro-2-propenyl and the like.

Examples for 5- or 6-membered N- or C-bound heteroaromatic radicals comprising one nitrogen atom and optionally 1, 2 or 3 further heteroatoms independently selected from O, S and N as ring members are pyrrol-1-yl, pyrrol-2-yl, pyrrol-3-yl, pyrazol-1-yl, pyrazol-3-yl, pyrazol-4-yl, pyrazol-5-yl, imidazol-1-yl, imidazol-2-yl, imidazol-4-yl, imidazol-5-yl, oxazol-2-yl, oxazol-4-yl, oxazol-5-yl, isoxazol-3-yl, isoxazol-4-yl, isoxazol-5-yl, thiazol-2-yl, thiazol-4-yl, thiazol-5-yl, isothiazol-3-yl, isothiazol-4-yl, isothiazol-5-yl, [1,2,3]-1H-triazol-1-yl, [1,2,3]-1H-triazol-4-yl, [1,2,3]-1H-triazol-5-yl, [1,2,3]-2H-triazol-2-yl, [1,2,3]-2H-triazol-4-yl, [1,2,3]-2H-triazol-5-yl, [1,2,4]-1H-triazol-1-yl, [1,2,4]-1H-triazol-3-yl, [1,2,4]-1H-triazol-5-yl, [1,2,4]-4H-triazol-3-yl, [1,2,4]-4H-triazol-4-yl, oxadiazolyl, thiadiazolyl, [1,2,3,4]-1H-tetrazol-1-yl, [1,2,3,4]-1H-tetrazol-5-yl, [1,2,3,4]-2H-tetrazol-2-yl, [1,2,3,4]-2H-tetrazol-5-yl, pyridin-2-yl, pyridin-3-yl, pyridin-4-yl, pyridazin-3-yl, pyridazin-4-yl, pyrimidin-2-yl, pyrimidin-4-yl, pyrimidin-5-yl, pyrazin-2-yl and triazin-2-yl.

Examples for 5- or 6-membered N- or C-bound heteroaromatic radicals comprising 1, 2 or 3 heteroatoms independently selected from O, S and N as ring members are furan-2-yl, furan-3-yl, thien-2-yl, thien-3-yl, pyrrol-1-yl, pyrrol-2-yl, pyrrol-3-yl, pyrazol-1-yl, pyrazol-3-yl, pyrazol-4-yl, pyrazol-5-yl, imidazol-1-yl, imidazol-2-yl, imidazol-4-yl, imidazol-5-yl, oxazol-2-yl, oxazol-4-yl, oxazol-5-yl, isoxazol-3-yl, isoxazol-4-yl, isoxazol-5-yl, thiazol-2-yl, thiazol-4-yl, thiazol-5-yl, isothiazol-3-yl, isothiazol-4-yl, isothiazol-5-yl, [1,2,3]-1H-triazol-1-yl, [1,2,3]-1H-triazol-4-yl, [1,2,3]-1H-triazol-5-yl, [1,2,3]-2H-triazol-2-yl, [1,2,3]-2H-triazol-4-yl, [1,2,3]-2H-triazol-5-yl, [1,2,4]-1H-triazol-1-yl, [1,2,4]-1H-triazol-3-yl, [1,2,4]-1H-triazol-5-yl, [1,2,4]-4H-triazol-3-yl, [1,2,4]-4H-triazol-4-yl, oxadiazolyl, thiadiazolyl, [1,2,3,4]-1H-tetrazol-1-yl, [1,2,3,4]-1H-tetrazol-5-yl, [1,2,3,4]-2H-tetrazol-2-yl, [1,2,3,4]-2H-tetrazol-5-yl, pyridin-2-yl, pyridin-3-yl, pyridin-4-yl, pyridazin-3-yl, pyridazin-4-yl, pyrimidin-2-yl, pyrimidin-4-yl, pyrimidin-5-yl, pyrazin-2-yl and triazin-2-yl.

Examples for N-bound 3-, 4-, 5-, 6- or 7-membered saturated or unsaturated aromatic or non-aromatic N-heterocyclic rings, which may contain 1 further heteroatom or heteroatom-containing group selected from the group consisting of O, S, SO, SO_2 and N as a ring member (thus as rings formed by R^a and R^b together with the nitrogen atom to which they are bound), are aziridin-1-yl, azetidin-1-yl, pyrrolidin-1-yl, pyrazolidin-1-yl,

imidazolidin-1-yl, oxazolidin-3-yl, isoxazolidin-2-yl, thiazolidin-3-yl, isothiazolidin-1-yl, [1,2,3]-triazolidin-1-yl, [1,2,3]-triazolidin-2-yl, [1,2,4]-triazolidin-1-yl, [1,2,4]-triazolidin-4-yl, piperidin-1-yl, piperazin-1-yl, morpholin-4-yl, thiomorpholin-1-yl, 1-oxohiomorpholin-1-yl, 1,1-dioxothiomorpholin-1-yl, azepan-1-yl, azirin-1-yl, azetin-1-yl, pyrrolin-1-yl, pyrazolin-1-yl, imidazolin-1-yl, oxazolin-3-yl, isoxazolin-2-yl, thiazolin-3-yl, isothiazolin-1-yl, 1,2-dihydropyridin-1-yl, 1,2,3,4-tetrahydropyridin-1-yl, 1,2,5,6-tetrahydropyridin-1-yl, 1,2-dihydropyridazin, 1,6-dihydropyridazin, 1,2,3,4-tetrahydropyridazin-1-yl, 1,2,5,6-tetrahydropyridazin-1-yl, 1,2-dihydropyrimidin, 1,6-dihydropyrimidin, 1,2,3,4-tetrahydropyrimidin-1-yl, 1,2,5,6-tetrahydropyrimidin-1-yl, 1,2-dihydropyrazin-1-yl, 1,2,3,4-tetrahydropyrazin-1-yl, 1,2,5,6-tetrahydropyrazin-1-yl, pyrrol-1-yl, pyrazol-1-yl, imidazol-1-yl, [1,2,3]-1H-triazol-1-yl, [1,2,3]-2H-triazol-2-yl, [1,2,4]-1H-triazol-1-yl and [1,2,4]-4H-triazol-4-yl.

Examples for saturated, partially unsaturated or aromatic 3-, 4-, 5-, 6- or 7-membered heterocyclic radicals comprising 1, 2 or 3 heteroatoms selected from O, S and N as ring members, wherein two geminally bound substituents may together form a group =O are the above-listed examples for 5- or 6-membered N- or C-bound heteroaromatic radicals and further 2-oxiranyl, 2-thiiranyl, 1- or 2-aziridinyl, 1-, 2- or 3-azetidinyl, 2-tetrahydrofuranyl, 3-tetrahydrofuranyl, 3-tetrahydrofuran-2-onyl, 4-tetrahydrofuran-2-onyl, 5-tetrahydrofuran-2-onyl, 2-tetrahydrofuran-3-onyl, 4-tetrahydrofuran-3-onyl, 5-tetrahydrofuran-3-onyl, 2-tetrahydrothienyl, 3-tetrahydrothienyl, 3-tetrahydrothien-2-onyl, 4-tetrahydrothien-2-onyl, 5-tetrahydrothien-2-onyl, 2-tetrahydrothien-3-onyl, 4-tetrahydrothien-3-onyl, 5-tetrahydrothien-3-onyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 1-pyrrolidin-2-onyl, 3-pyrrolidin-2-onyl, 4-pyrrolidin-2-onyl, 5-pyrrolidin-2-onyl, 1-pyrrolidin-3-onyl, 2-pyrrolidin-3-onyl, 4-pyrrolidin-3-onyl, 5-pyrrolidin-3-onyl, 1-pyrrolidin-2,5-dionyl, 3-pyrrolidin-2,5-dionyl, 3-isoxazolidinyl, 4-isoxazolidinyl, 5-isoxazolidinyl, 3-isothiazolidinyl, 4-isothiazolidinyl, 5-isothiazolidinyl, 3-pyrazolidinyl, 4-pyrazolidinyl, 5-pyrazolidinyl, 2-oxazolidinyl, 4-oxazolidinyl, 5-oxazolidinyl, 2-thiazolidinyl, 4-thiazolidinyl, 5-thiazolidinyl, 2-imidazolidinyl, 4-imidazolidinyl, 1,2,4-oxadiazolidin-3-yl, 1,2,4-oxadiazolidin-5-yl, 1,2,4-thiadiazolidin-3-yl, 1,2,4-thiadiazolidin-5-yl, 1,2,4-triazolidin-3-yl, 1,3,4-oxadiazolidin-2-yl, 1,3,4-thiadiazolidin-2-yl, 1,3,4-triazolidin-2-yl, 2,3-dihydrofur-2-yl, 2,3-dihydrofur-3-yl, 2,4-dihydrofur-2-yl, 2,4-dihydrofur-3-yl, 2,3-dihydrothien-2-yl, 2,3-dihydrothien-3-yl, 2,4-dihydrothien-2-yl, 2,4-dihydrothien-3-yl, 2-pyrrolin-2-yl, 2-pyrrolin-3-yl, 3-pyrrolin-2-yl, 3-pyrrolin-3-yl, 2-isoxazolin-3-yl, 3-isoxazolin-3-yl, 4-isoxazolin-3-yl, 2-isoxazolin-4-yl, 3-isoxazolin-4-yl, 4-isoxazolin-4-yl, 2-isoxazolin-5-yl, 3-isoxazolin-5-yl, 4-isoxazolin-5-yl, 2-isothiazolin-3-yl, 3-isothiazolin-3-yl, 4-isothiazolin-3-yl, 2-isothiazolin-4-yl, 3-isothiazolin-4-yl, 4-isothiazolin-4-yl, 2-isothiazolin-5-yl, 3-isothiazolin-5-yl, 4-isothiazolin-5-yl, 2,3-dihydropyrazol-1-yl, 2,3-dihydropyrazol-2-yl, 2,3-dihydropyrazol-3-yl,

2,3-dihydropyrazol-4-yl, 2,3-dihydropyrazol-5-yl, 3,4-dihydropyrazol-1-yl, 3,4-dihydropyrazol-3-yl, 3,4-dihydropyrazol-4-yl, 3,4-dihydropyrazol-5-yl, 4,5-dihydropyrazol-1-yl, 4,5-dihydropyrazol-3-yl, 4,5-dihydropyrazol-4-yl, 4,5-dihydropyrazol-5-yl, 2,3-dihydrooxazol-2-yl, 2,3-dihydrooxazol-3-yl, 2,3-dihydrooxazol-4-yl, 2,3-dihydrooxazol-5-yl, 3,4-dihydrooxazol-2-yl, 3,4-dihydrooxazol-3-yl, 3,4-dihydrooxazol-4-yl, 3,4-dihydrooxazol-5-yl, 3,4-dihydrooxazol-2-yl, 3,4-dihydrooxazol-3-yl, 3,4-dihydrooxazol-4-yl, 2-piperidinyl, 3-piperidinyl, 4-piperidinyl, 1,3-dioxan-5-yl, 2-tetrahydropyranyl, 4-tetrahydropyranyl, 2-tetrahydrothienyl, 3-hexahydropyridazinyl, 4-hexahydropyridazinyl, 2-hexahydropyrimidinyl, 4-hexahydropyrimidinyl, 5-hexahydropyrimidinyl, 2-piperazinyl, 1,3,5-hexahydrotriazin-2-yl and 1,2,4-hexahydrotriazin-3-yl. For further examples see also the non-aromatic rings A listed below.

The remarks made above and in the following with respect to preferred aspects of the invention, e.g. to preferred meanings of the variables X^1, X^2, X^3, X^4, R^1, R^2, R^3, R^4, R^5, R^6, R^7, R^8, R^a, R^b, R^c of compounds IA and IB, to preferred compounds IA and IB and to preferred embodiments of the method or the use according to the invention, apply in each case on their own or in particular to combinations thereof.

Preferably, each R^1 is independently selected from hydrogen, halogen, CN, C_1-C_6-alkyl, C_1-C_6-haloalkyl, C_1-C_6-alkoxy, C_1-C_6-haloalkoxy and COOH. More preferably, each R^1 is independently selected from hydrogen, halogen, COOH and cyano. Preferably, at most one of R^1 is different from hydrogen. In particular, all radicals R^1 are hydrogen or one radical R^1 is different from hydrogen and is preferably halogen, COOH or cyano and the remaining radicals R^1 are hydrogen. Specifically, one R^1 is cyano and the others are hydrogen.

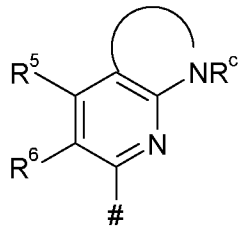
Preferably, R^2 is hydrogen.

In an alternatively preferred embodiment, R^2 is C_1-C_4-alkyl, C_1-C_4-haloalkyl, C_2-C_4-alkenyl or fluorine.

Specifically, R^2 is hydrogen, C_1-C_4-haloalkyl, especially C_1-C_4-fluoroalkyl, or allyl and very specifically hydrogen.

In one preferred embodiment of the invention, R^3 and R^4; or R^4 and R^5; or R^5 and R^6 form together a bridging group $-(CH_2)_m-$, wherein m is 3, 4 or 5, where 1, 2 or 3 of the CH_2 groups may be replaced by a group or a heteroatom selected from CO, O, S, SO, SO_2, NR^c and NO, and where 1, 2 or 3 hydrogen atoms of the bridging group may be replaced by a radical R^8;

with the proviso that in case R^3 and R^4 form together a bridging group $-(CH_2)_m-$, the CH_2 unit bound in the position of R^3 is not replaced by an NR^c group (in other words, the fused pyridyl moiety is not



wherein the bow stands for $-(CH_2)_{m-1}-$, wherein 1 or 2 of the CH_2 groups may be replaced by a group or a heteroatom selected from CO, O, S, SO, SO_2, NR^c and NO, and where 1, 2 or 3 hydrogen atoms of the bridging group may be replaced by a radical R^8; and # is the attachment point to the remainder of the molecule);

and with the proviso that R^3, when not being part of the bridging group, is not NR^aR^b (in other words: where the radicals R^3, R^4, R^5 and R^6, which are not part of the bridging group, are independently selected from the group consisting of hydrogen, halogen, cyano, C_1-C_4-alkyl, C_1-C_4-haloalkyl, C_1-C_4-alkoxy and C_1-C_4-haloalkoxy, and where R^4, R^5 and R^6 may independently also be selected from NR^aR^b).

In an alternatively preferred embodiment, R^3 and R^4; or R^4 and R^5; or R^5 and R^6 form together a bridging group $-(CH_2)_m-$, wherein m is 3, 4 or 5, where 1 or 2 of the CH_2 groups may be replaced by a group or a heteroatom selected from CO, O and NR^c, and where 1 or 2 or 3 hydrogen atoms of the bridging group may be replaced by a radical R^8, where R^c and R^8 have one of the above-given general or, in particular, one of the below-given preferred meanings. Preferably, the above two provisos (i.e. in case R^3 and R^4 form together a bridging group $-(CH_2)_m-$, the CH_2 unit bound in the position of R^3 is not replaced by an NR^c group; and R^3, when not being part of the bridging group, is not NR^aR^b) apply here, too.

Preferably, m is 3 or 4.

More preferably, the bridging group is selected from $-CH_2CH_2CH_2-$, $-OCH_2CH_2-$, $-CH_2CH_2O-$, $-CH_2OCH_2-$, $-NR^cCH_2CH_2-$, $-CH_2CH_2NR^c-$, $-CH_2NR^cCH_2-$, $-CH_2CH_2CH_2CH_2-$, $-OCH_2CH_2CH_2-$, $-CH_2OCH_2CH_2-$, $-CH_2CH_2OCH_2-$, $-CH_2CH_2CH_2O-$, $-NR^cCH_2CH_2CH_2-$, $-CH_2NR^cCH_2CH_2-$, $-CH_2CH_2NR^cCH_2-$, $-CH_2CH_2CH_2NR^c-$, $-C(=O)CH_2CH_2CH_2-$, $-CH_2C(=O)CH_2CH_2-$, $-CH_2CH_2C(=O)CH_2-$ and $-CH_2CH_2CH_2C(=O)-$, where the hydrogen atoms of the above groups may be replaced by 1 or 2 radicals R^8, where R^c and R^8 have one of the above-given general or, in particular, one of the below-given preferred meanings. Preferably, the above two provisos (i.e. in case R^3 and R^4 form together a bridging group $-(CH_2)_m-$, the CH_2 unit bound in the position of R^3

is not replaced by an NR^c group; and R^3, when not being part of the bridging group, is not NR^aR^b) apply here, too. Thus, even more preferably, the bridging group is selected from $-CH_2CH_2CH_2-$, $-OCH_2CH_2-$, $-CH_2CH_2O-$, $-CH_2OCH_2-$, $-CH_2NR^cCH_2-$, $-CH_2CH_2CH_2CH_2-$, $-OCH_2CH_2CH_2-$, $-CH_2OCH_2CH_2-$, $-CH_2CH_2OCH_2-$, $-CH_2CH_2CH_2O-$, $-CH_2NR^cCH_2CH_2-$, $-CH_2CH_2NR^cCH_2-$, $-C(=O)CH_2CH_2CH_2-$, $-CH_2C(=O)CH_2CH_2-$, $-CH_2CH_2C(=O)CH_2-$ and $-CH_2CH_2CH_2C(=O)-$, where the hydrogen atoms of the above groups may be replaced by 1 or 2 radicals R^8, where R^c and R^8 have one of the above-given general or, in particular, one of the below-given preferred meanings.

In particular, the bridging group is selected from $-CH_2CH_2CH_2-$, $-CH_2NR^cCH_2-$, $-NR^cCH_2CH_2-$, $-CH_2CH_2NR^c-$, $-CH_2OCH_2-$, $-CH_2CH_2CH_2CH_2-$, $-CH_2NR^cCH_2CH_2-$, $-CH_2CH_2NR^cCH_2-$, $-C(=O)CH_2CH_2CH_2-$, $-CH_2OCH_2CH_2-$, $-CH_2CH_2OCH_2-$, $-CH_2C(=O)CH_2CH_2-$, $-CH_2CH_2C(=O)CH_2-$ and $-CH_2CH_2CH_2C(=O)-$, and more particularly from $-CH_2CH_2CH_2-$, $-CH_2NR^cCH_2-$, $-CH_2OCH_2-$, $-CH_2CH_2CH_2CH_2-$, $-CH_2NR^cCH_2CH_2-$, $-CH_2CH_2NR^cCH_2-$, $-C(=O)CH_2CH_2CH_2-$, $-CH_2OCH_2CH_2-$, $-CH_2CH_2OCH_2-$, $-CH_2C(=O)CH_2CH_2-$, $-CH_2CH_2C(=O)CH_2-$ and $-CH_2CH_2CH_2C(=O)-$, where the hydrogen atoms of the above groups may be replaced by 1 or 2 radicals R^8, where R^c and R^8 have one of the above-given general or, in particular, one of the below-given preferred meanings.

Specifically, the bridging group is selected from $-CH_2CH_2CH_2-$, $-CH_2NR^cCH_2-$, $-CH_2CH_2CH_2CH_2-$, $-CH_2NR^cCH_2CH_2-$, $-CH_2CH_2NR^cCH_2-$, $-C(=O)CH_2CH_2CH_2-$, $-CH_2C(=O)CH_2CH_2-$, $-CH_2CH_2C(=O)CH_2-$ and $-CH_2CH_2CH_2C(=O)-$, where the hydrogen atoms of the above groups may be replaced by 1 or 2 radicals R^8, where R^c and R^8 have one of the above-given general or, in particular, one of the below-given preferred meanings.

Preferably, the radicals R^3, R^4, R^5 and R^6, which are not part of the bridging group, are selected from hydrogen, halogen, cyano, C_1-C_4-alkyl, C_1-C_4-haloalkyl, C_1-C_4-alkoxy and C_1-C_4-haloalkoxy, more preferably from hydrogen, halogen, C_1-C_2-alkyl and C_1-C_2-haloalkyl, and are in particular hydrogen.

Preferably, R^3 and R^4; or R^4 and R^5 (and not R^5 and R^6) form together a bridging group as defined above. More preferably, R^3 and R^4 (and not R^4 and R^5 or R^5 and R^6) form together a bridging group as defined above.

Preferably, each R^7 is independently selected from halogen, CN, C_1-C_6-alkyl, C_1-C_6-haloalkyl, C_1-C_6-alkoxy and C_1-C_6-haloalkoxy. And more preferably from CN, C_1-C_4-alkyl, C_1-C_4-haloalkyl, C_1-C_4-alkoxy and C_1-C_4-haloalkoxy.

Preferably, each R^8 is independently selected from the group consisting of halogen, OH, C_1-C_4-alkyl, C_1-C_4-haloalkyl, C_1-C_4-alkoxy, C_1-C_4-haloalkoxy, NR^aR^b, C_1-C_6-

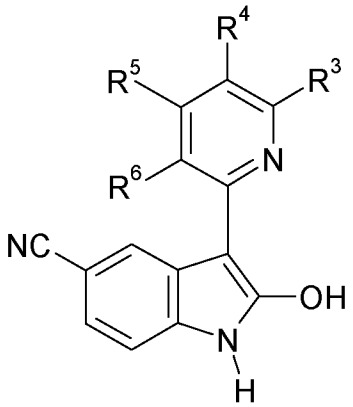
alkylcarbonyl, C_1-C_6-haloalkylcarbonyl, C_1-C_6-alkoxycarbonyl and C_1-C_6-haloalkoxycarbonyl, more preferably from halogen, OH, C_1-C_4-alkyl, C_1-C_4-haloalkyl, C_1-C_4-alkoxy, C_1-C_4-haloalkoxy, NR^aR^b, C_1-C_4-alkylcarbonyl, C_1-C_4-haloalkylcarbonyl, C_1-C_4-alkoxycarbonyl and C_1-C_4-haloalkoxycarbonyl, where preferably R^a and R^b are independently selected from hydrogen and C_1-C_4-alkyl, and specifically from OH, halogen, especially fluorine, C_1-C_4-alkoxy, especially methoxy, C_1-C_4-haloalkoxy, especially trifluoromethoxy, and NR^aR^b, where preferably R^a and R^b are independently selected from hydrogen and C_1-C_4-alkyl. Very specifically, each R^8 is independently selected from the group consisting of OH, C_1-C_4-alkoxy and C_1-C_4-haloalkoxy.

R^a and R^b are, independently of each other, preferably selected from hydrogen, C_1-C_6-alkyl, C_1-C_4-haloalkyl, C_1-C_4-alkylcarbonyl, C_1-C_4-haloalkylcarbonyl, C_1-C_6-alkoxycarbonyl and C_1-C_6-haloalkoxycarbonyl or form together with the nitrogen atom to which they are bound an N-bound 3-, 4-, 5-, 6- or 7-membered saturated or unsaturated aromatic or non-aromatic N-heterocyclic ring, which may contain 1 further heteroatom or heteroatom-containing group selected from N, O, S, SO and SO_2 as a ring member, where the N-heterocyclic ring may carry 1 or 2 radicals selected from halogen, cyano, C_1-C_4-alkyl, C_1-C_4-haloalkyl, C_1-C_4-alkoxy and C_1-C_4-haloalkoxy, and are more preferably selected from hydrogen, C_1-C_4-alkyl, C_1-C_4-haloalkyl, C_1-C_6-alkoxycarbonyl and C_1-C_6-haloalkoxycarbonyl or form together with the nitrogen atom to which they are bound an N-bound 5- or 6-membered saturated or unsaturated aromatic or non-aromatic N-heterocyclic ring, which may contain 1 further heteroatom or heteroatom-containing group selected from N and O as a ring member, where the N-heterocyclic ring may carry 1 or 2 radicals selected from halogen, cyano, C_1-C_4-alkyl, C_1-C_4-haloalkyl, C_1-C_4-alkoxy and C_1-C_4-haloalkoxy.

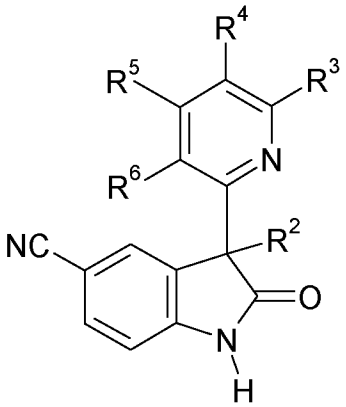
Preferably, each R^c is independently selected from hydrogen, C_1-C_6-alkyl, C_1-C_4-haloalkyl, C_1-C_4-alkoxy-C_1-C_4-alkyl, C_1-C_4-alkylcarbonyl, C_1-C_4-haloalkylcarbonyl, C_1-C_6-alkoxycarbonyl and C_1-C_6-haloalkoxycarbonyl, more preferably from hydrogen, C_1-C_6-alkyl, C_1-C_4-haloalkyl, C_1-C_4-alkoxy-C_1-C_4-alkyl, C_1-C_6-alkoxycarbonyl and C_1-C_6-haloalkoxycarbonyl, even more preferably from hydrogen, C_1-C_4-alkyl, C_1-C_4-haloalkyl, C_1-C_4-alkoxy-C_1-C_4-alkyl, C_1-C_6-alkoxycarbonyl and C_1-C_4-haloalkoxycarbonyl, and in particular from hydrogen, C_1-C_4-alkyl, C_1-C_4-haloalkyl, C_1-C_4-alkoxy-C_1-C_4-alkyl and C_1-C_6-alkoxycarbonyl. Specifically, each R^c is independently selected from hydrogen and C_1-C_6-alkoxycarbonyl.

Preferably, all of X^1, X^2, X^3 and X^4 are CR^1 or one of X^1, X^2, X^3 and X^4 is N and the others are CR^1. More preferably, all of X^1, X^2, X^3 and X^4 are CR^1. Even more preferably, X^1, X^2 and X^4 are CH and X^3 is CR^1, wherein R^1 has one of the above-given general or preferred definitions and is preferably H, COOH or CN. Specifically, X^1, X^2 and X^4 are CH and X^3 is CR^1, wherein R^1 is different from H and is preferably COOH or CN. In particular, X^1, X^2 and X^4 are CH and X^3 is CR^1, wherein R^1 is CN.

A particularly preferred embodiment of the invention relates to compounds of the formulae IA-1 and IB-1



(IA-1)

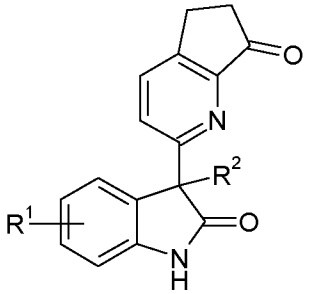


(IB-1)

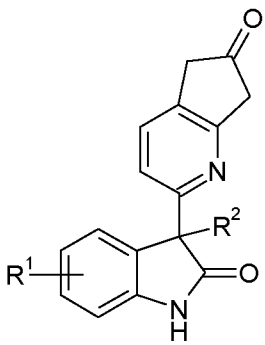
wherein R^2, R^3, R^4, R^5 and R^6 have one of the general meanings or, in particular, one of the preferred meanings given above.

Compounds IA-1 and compounds IB-1 wherein R^2 is H are tautomers and thus the formulae can be used interchangeably.

Suitable compounds IA and IB are those of formulae I.1 to I.144, the stereoisomers, prodrugs, tautomers and/or physiologically tolerated acid addition salts thereof, wherein R^1, R^2 and R^c have the above-defined general or preferred meanings and R^{81} is hydrogen or has one of the above-defined general or preferred meanings given for R^8. Particularly preferred meanings of R^1, R^2, R^{81} and R^c specifically in compounds of formulae I.1 to I.144 are as defined below.



I.1



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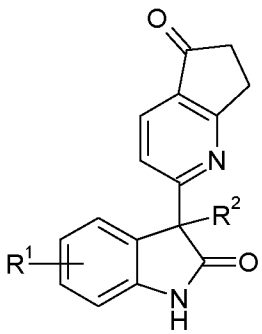

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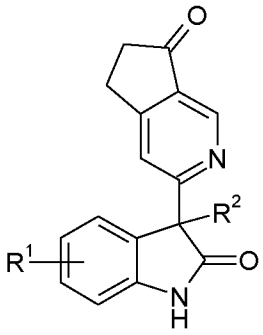

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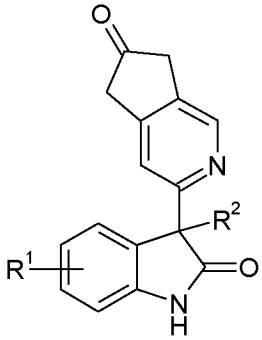

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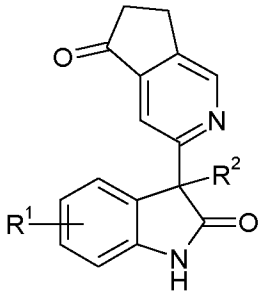

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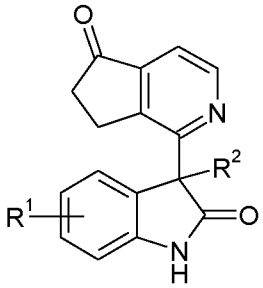

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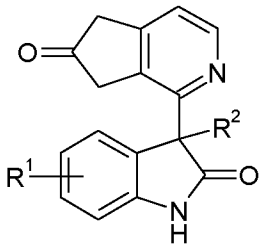

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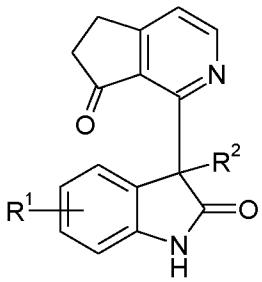

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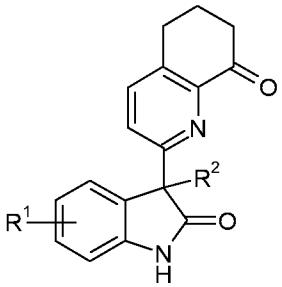

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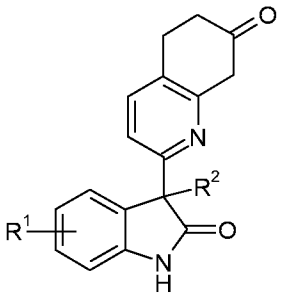

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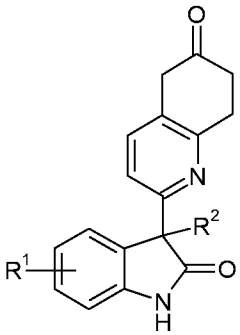

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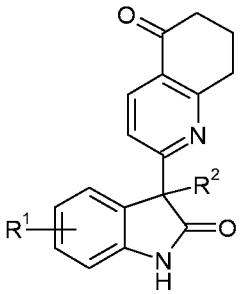

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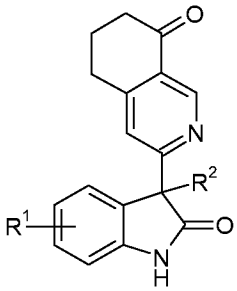

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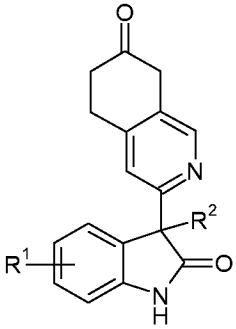

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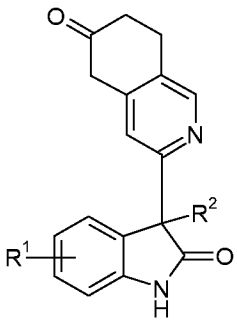

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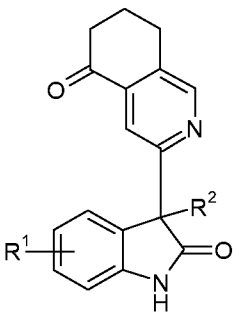

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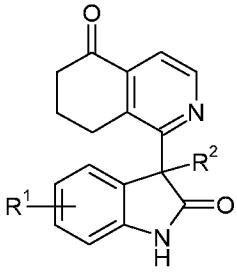

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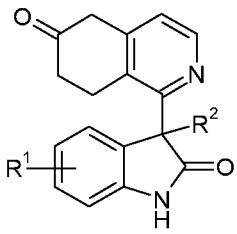

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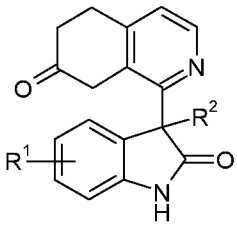

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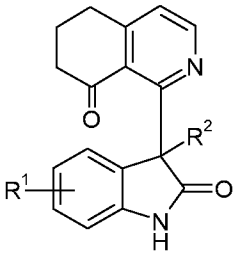

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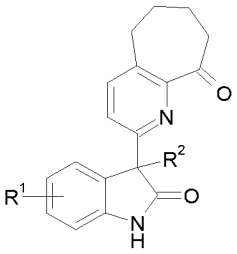

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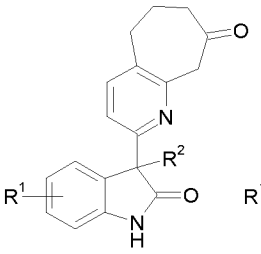

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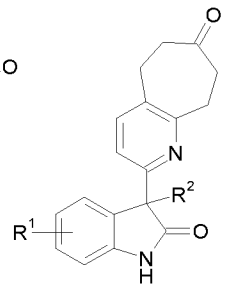

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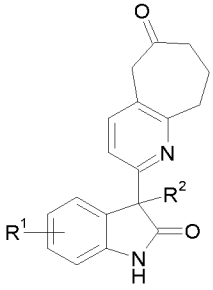

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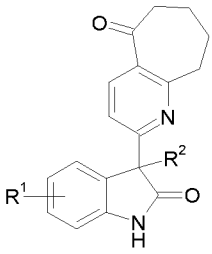

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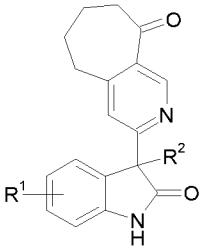

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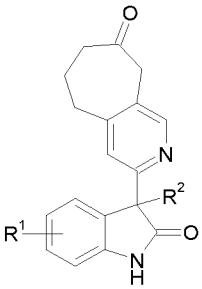

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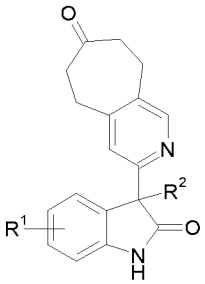
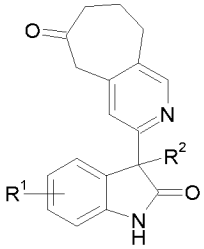


I.29



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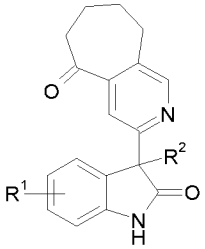

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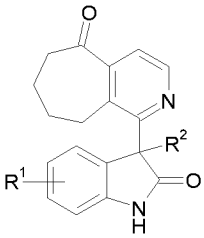

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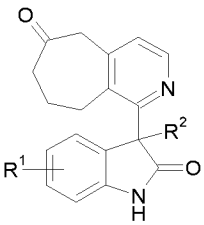

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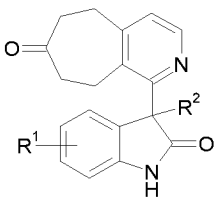

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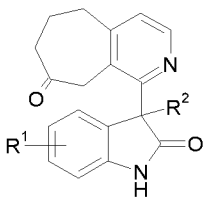

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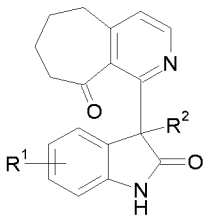

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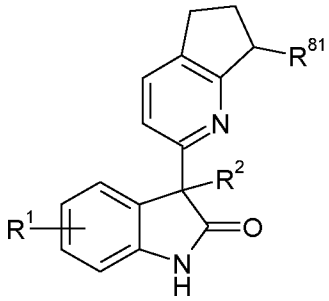

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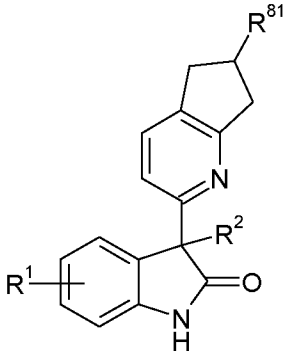

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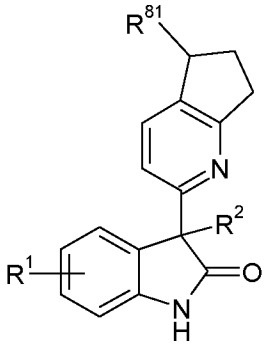

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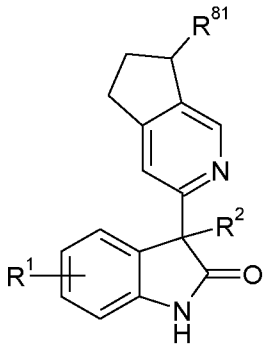

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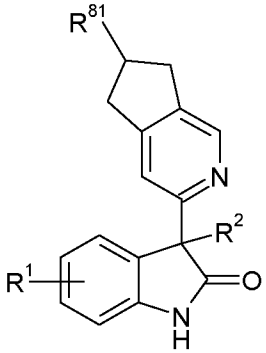

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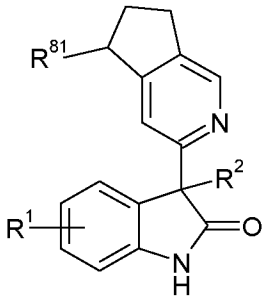

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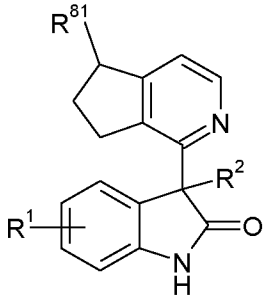

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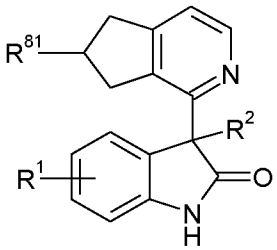

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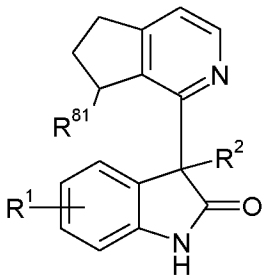

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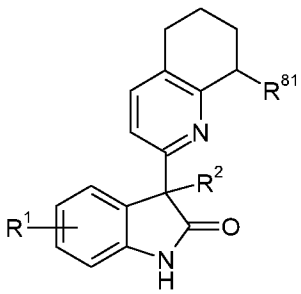

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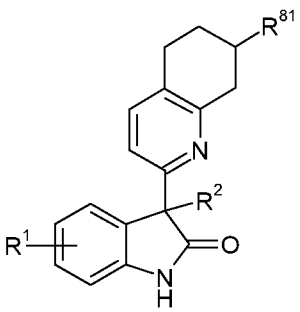

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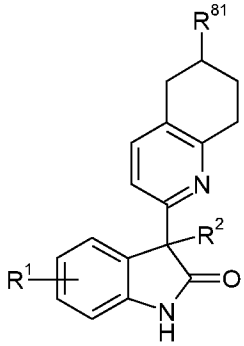

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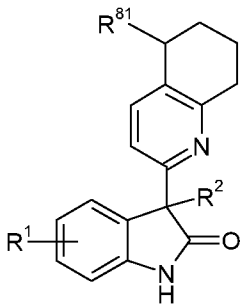

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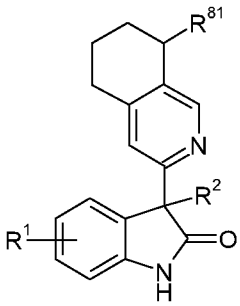

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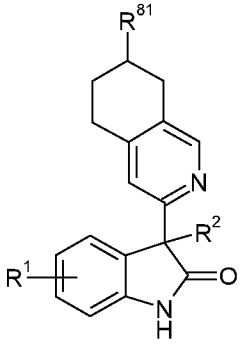

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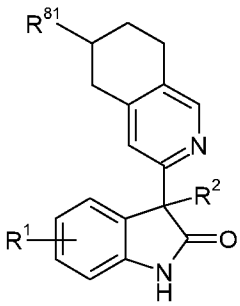

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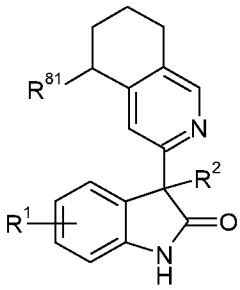

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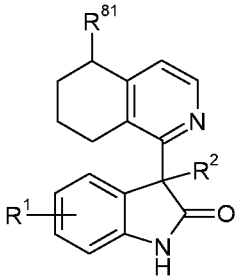

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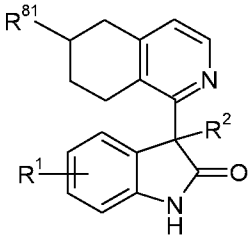

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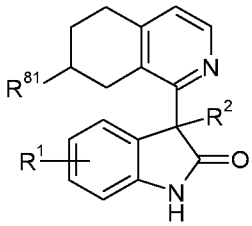

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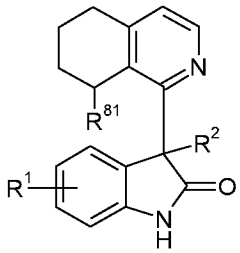

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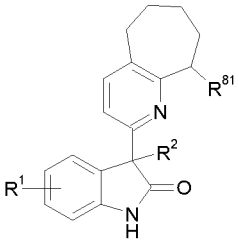

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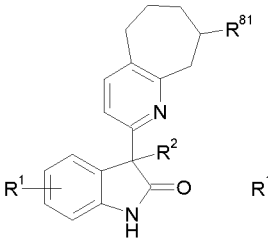

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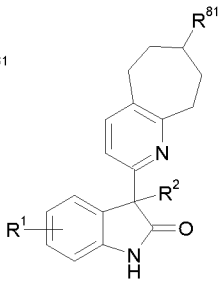

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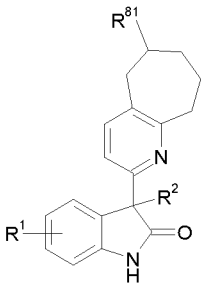

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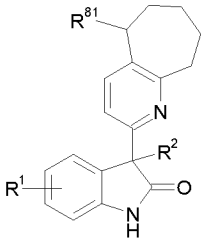

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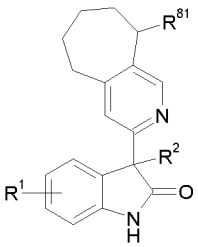

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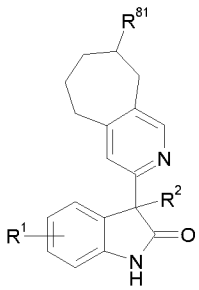

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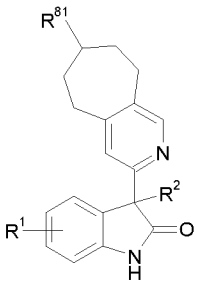

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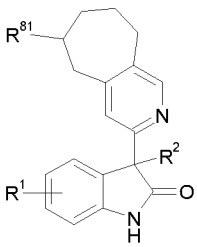

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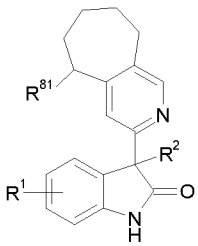

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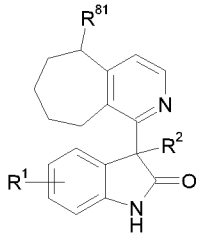

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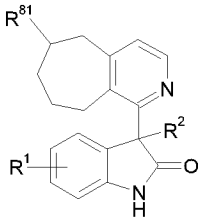

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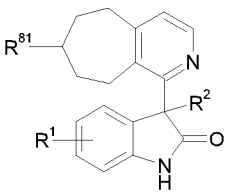

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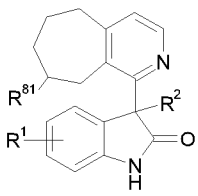

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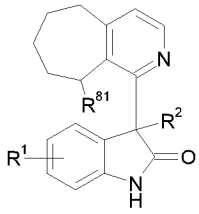

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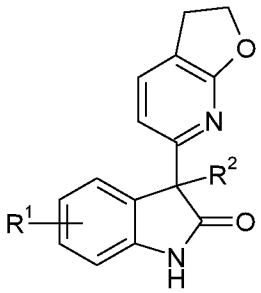

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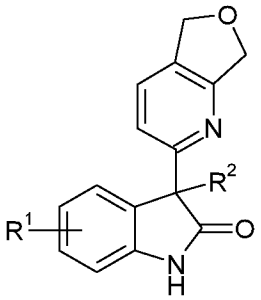

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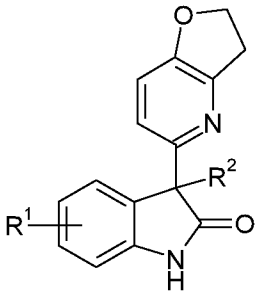

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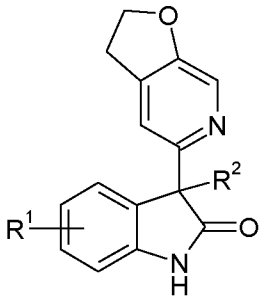

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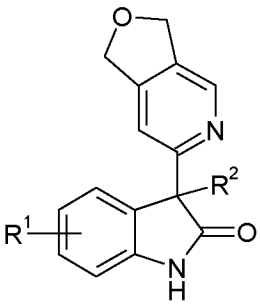

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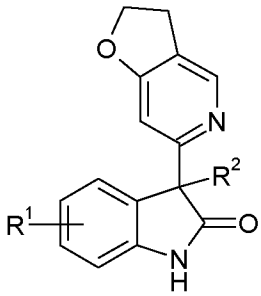

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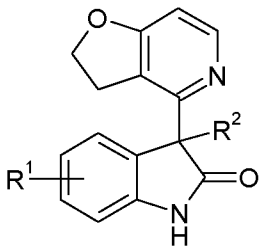

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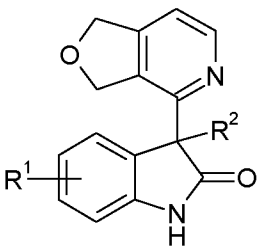

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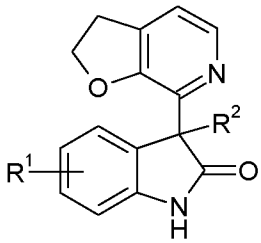

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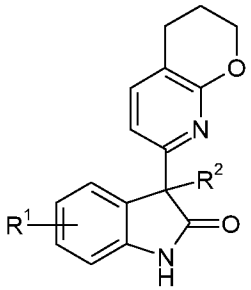

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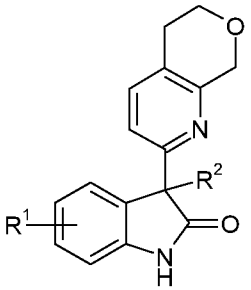

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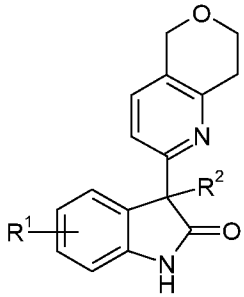

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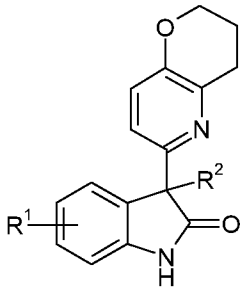

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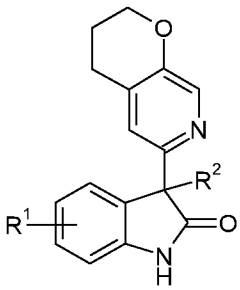

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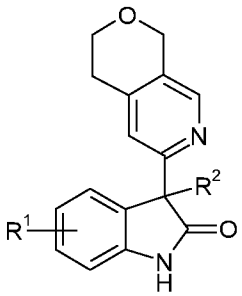

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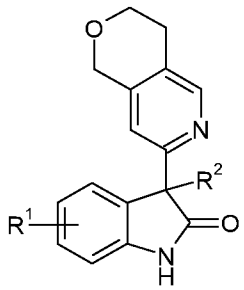

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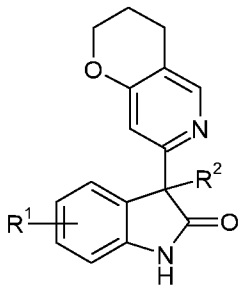

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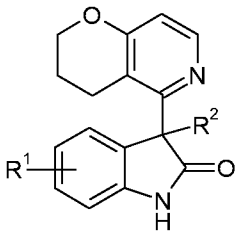

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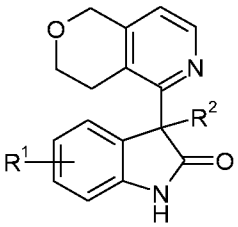

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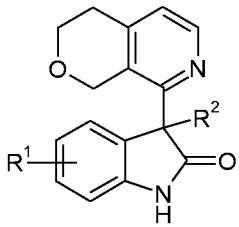

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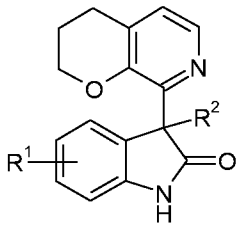

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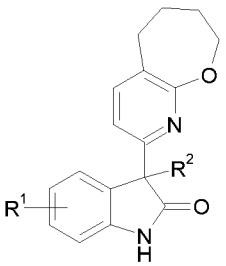

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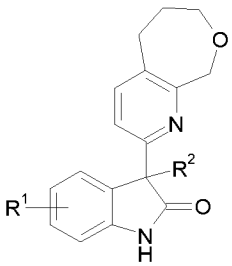

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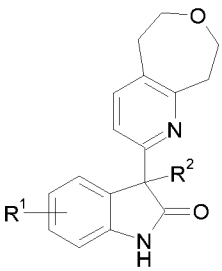

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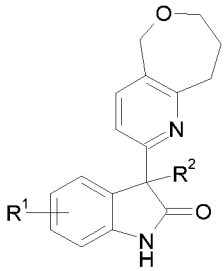

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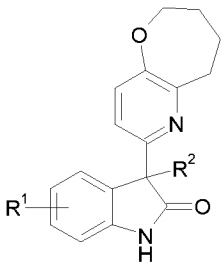

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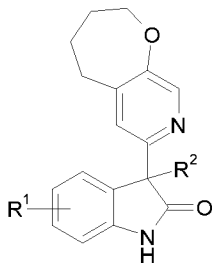

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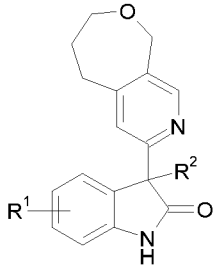

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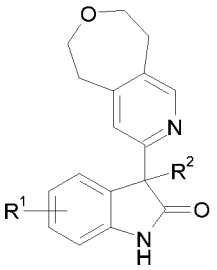

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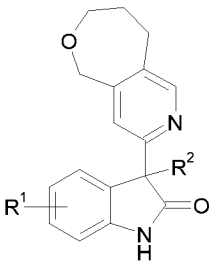

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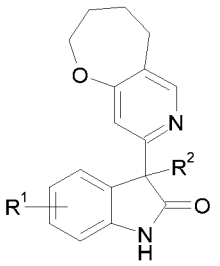

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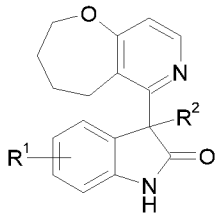

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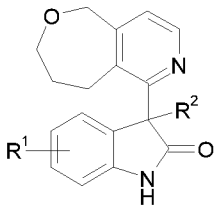

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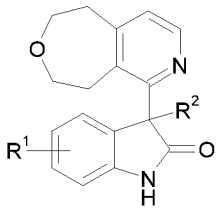

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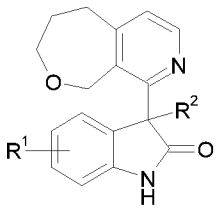
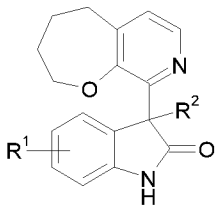


I.107



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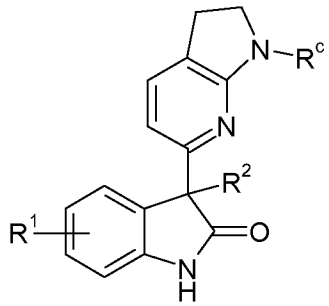
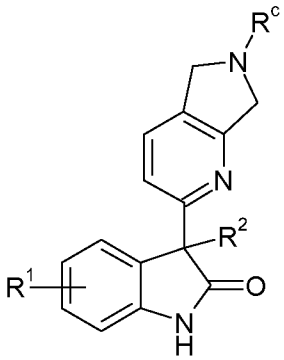


I.109



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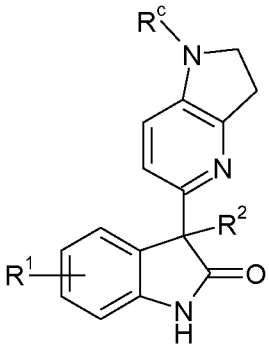

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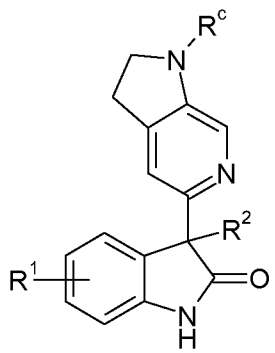

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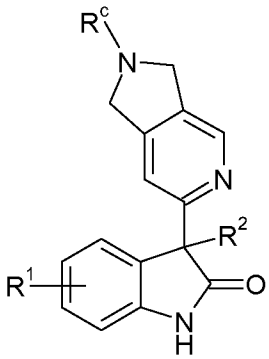

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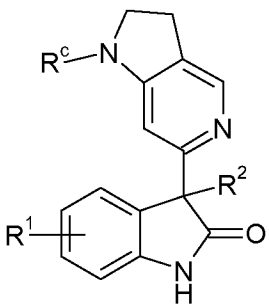

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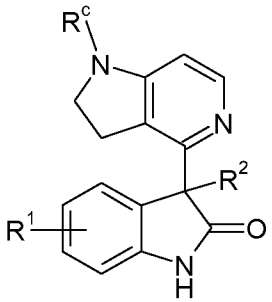

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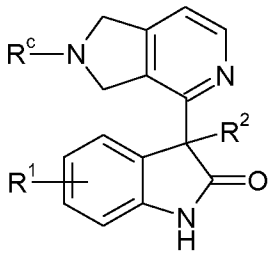

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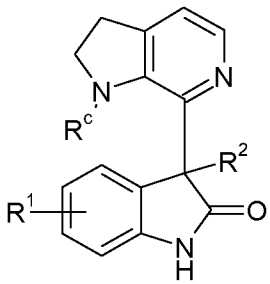

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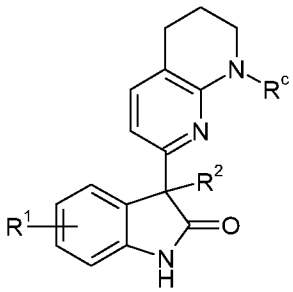

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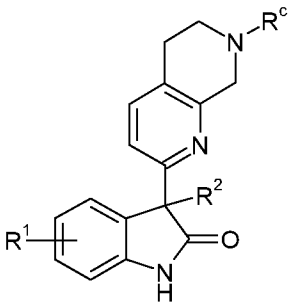

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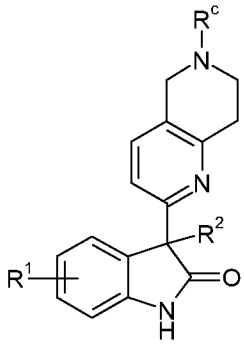

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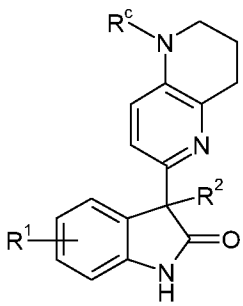

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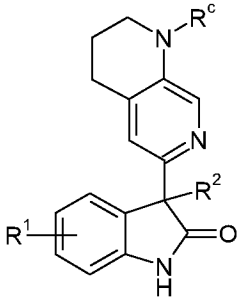

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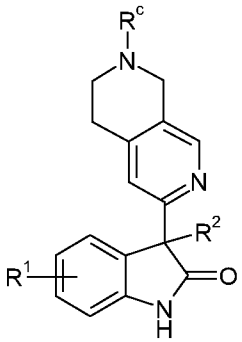

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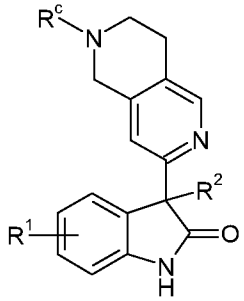

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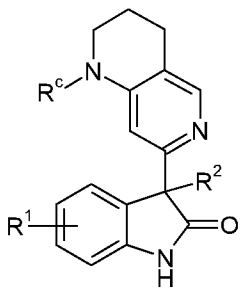

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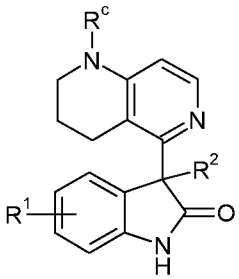

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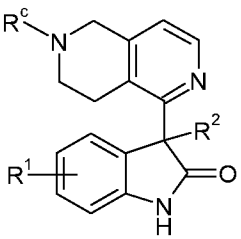
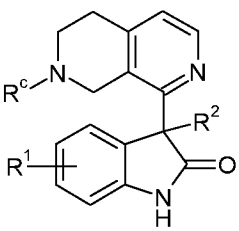


I.127



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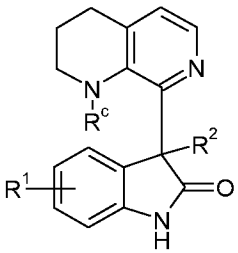

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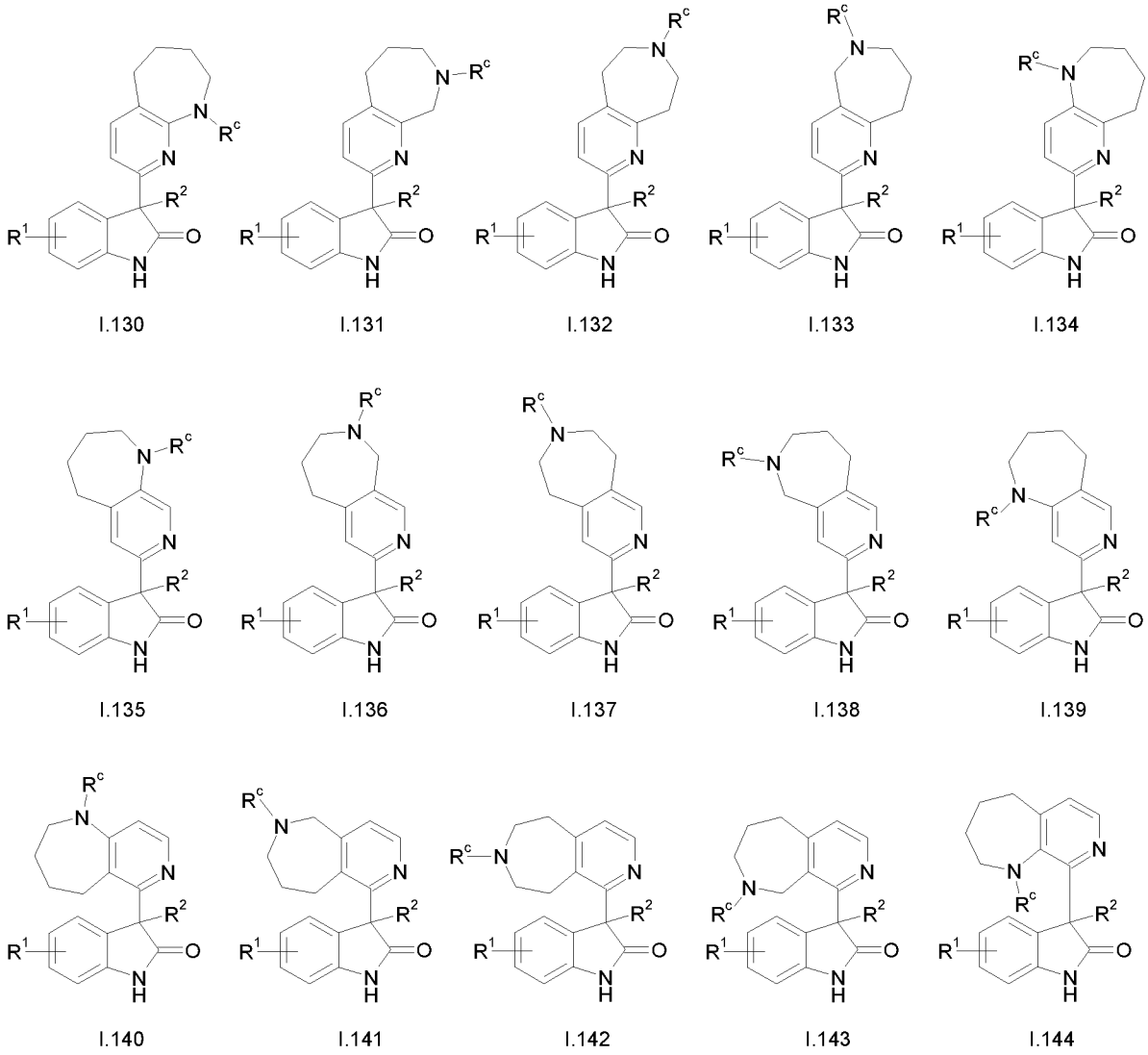

Examples of preferred compounds which are represented by the formulae I.1 to I.144 are the individual compounds compiled in the tables 1 to 6192 below, where the variables R^1 and R^2 have the meanings given in one row of Table A. Moreover, the meanings mentioned for the individual variables in the tables are per se, independently of the combination in which they are mentioned, a particularly preferred embodiment of the substituents in question. Rings A-1 to A-111 mentioned in the tables are defined below.

Table 1

Compounds of the formula I.1 in which the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Table 2

Compounds of the formula I.2 in which the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Table 3
Compounds of the formula I.3 in which the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.
Table 4
Compounds of the formula I.4 in which the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.
Table 5
Compounds of the formula I.5 in which the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.
Table 6
Compounds of the formula I.6 in which the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.
Table 7
Compounds of the formula I.7 in which the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.
Table 8
Compounds of the formula I.8 in which the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.
Table 9
Compounds of the formula I.9 in which the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.
Table 10
Compounds of the formula I.10 in which the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.
Table 11
Compounds of the formula I.11 in which the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.
Table 12
Compounds of the formula I.12 in which the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.
Table 13
Compounds of the formula I.13 in which the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.
Table 14
Compounds of the formula I.14 in which the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.
Table 15
Compounds of the formula I.15 in which the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Table 16

Compounds of the formula I.16 in which the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Table 17

Compounds of the formula I.17 in which the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Table 18

Compounds of the formula I.18 in which the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Table 19

Compounds of the formula I.19 in which the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Table 20

Compounds of the formula I.20 in which the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Table 21

Compounds of the formula I.21 in which the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Table 22

Compounds of the formula I.22 in which the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Table 23

Compounds of the formula I.23 in which the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Table 24

Compounds of the formula I.24 in which the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Table 25

Compounds of the formula I.25 in which the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Table 26

Compounds of the formula I.26 in which the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Table 27

Compounds of the formula I.27 in which the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Table 28

Compounds of the formula I.28 in which the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Table 29

Compounds of the formula I.29 in which the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Table 30

Compounds of the formula I.30 in which the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Table 31

Compounds of the formula I.31 in which the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Table 32

Compounds of the formula I.32 in which the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Table 33

Compounds of the formula I.33 in which the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Table 34

Compounds of the formula I.34 in which the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Table 35

Compounds of the formula I.35 in which the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Table 36

Compounds of the formula I.36 in which the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Table 37

Compounds of the formula I.37 in which R^{81} is hydrogen and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Table 38

Compounds of the formula I.37 in which R^{81} is methyl and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Table 39

Compounds of the formula I.37 in which R^{81} is ethyl and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Table 40

Compounds of the formula I.37 in which R^{81} is propyl and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Table 41

Compounds of the formula I.37 in which R^{81} is isopropyl and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Table 42

Compounds of the formula I.37 in which R^{81} is CH_2F and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Table 43

Compounds of the formula I.37 in which R^{81} is CHF_2 and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Table 44

Compounds of the formula I.37 in which R^{81} is CF_3 and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Table 45

Compounds of the formula I.37 in which R^{81} is CH_2CHF_2 and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Table 46

Compounds of the formula I.37 in which R^{81} is CH_2CF_3 and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Table 47

Compounds of the formula I.37 in which R^{81} is F and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Table 48

Compounds of the formula I.37 in which R^{81} is Cl and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Table 49

Compounds of the formula I.37 in which R^{81} is Br and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Table 50

Compounds of the formula I.37 in which R^{81} is OH and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Table 51

Compounds of the formula I.37 in which R^{81} is methoxy and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Table 52

Compounds of the formula I.37 in which R^{81} is ethoxy and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Table 53

Compounds of the formula I.37 in which R^{81} is propoxy and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Table 54

Compounds of the formula I.37 in which R^{81} is isopropoxy and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Table 55

Compounds of the formula I.37 in which R^{81} is $OCHF_2$ and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Table 56

Compounds of the formula I.37 in which R^{81} is OCF_3 and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Table 57

Compounds of the formula I.37 in which R^{81} is OCH_2CHF_2 and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Table 58

Compounds of the formula I.37 in which R^{81} is OCH_2CF_3 and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Table 59

Compounds of the formula I.37 in which R^{81} is NH_2 and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Table 60

Compounds of the formula I.37 in which R^{81} is methylamino and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Table 61

Compounds of the formula I.37 in which R^{81} is dimethylamino and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Table 62

Compounds of the formula I.37 in which R^{81} is ethylamino and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Table 63

Compounds of the formula I.37 in which R^{81} is diethylamino and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Table 64

Compounds of the formula I.37 in which R^{81} is propylamino and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Table 65

Compounds of the formula I.37 in which R^{81} is dipropylamino and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Table 66

Compounds of the formula I.37 in which R^{81} is $NHC(O)CH_3$ and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Table 67

Compounds of the formula I.37 in which R^{81} is $NHC(O)CF_3$ and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

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Compounds of the formula I.37 in which R^{81} is $NHC(O)OCH_3$ and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.
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Compounds of the formula I.37 in which R^{81} is $NHC(O)OCF_3$ and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.
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Compounds of the formula I.37 in which R^{81} is $NHC(O)OC(CH_3)_3$ and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.
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Compounds of the formula I.37 in which R^{81} is A-3 and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.
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Compounds of the formula I.37 in which R^{81} is A-4 and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.
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Compounds of the formula I.37 in which R^{81} is A-6 and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.
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Compounds of the formula I.37 in which R^{81} is A-7 and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.
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Compounds of the formula I.37 in which R^{81} is A-8 and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.
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Compounds of the formula I.37 in which R^{81} is A-10 and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.
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Compounds of the formula I.59 in which R^{81} is as defined in Tables 37 to 186 and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Tables 3487 to 3636

Compounds of the formula I.60 in which R^{81} is as defined in Tables 37 to 186 and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Tables 3637 to 3786

Compounds of the formula I.61 in which R^{81} is as defined in Tables 37 to 186 and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Tables 3787 to 3936

Compounds of the formula I.62 in which R^{81} is as defined in Tables 37 to 186 and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Tables 3937 to 4086

Compounds of the formula I.63 in which R^{81} is as defined in Tables 37 to 186 and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Tables 4087 to 4236

Compounds of the formula I.64 in which R^{81} is as defined in Tables 37 to 186 and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Tables 4237 to 4386

Compounds of the formula I.65 in which R^{81} is as defined in Tables 37 to 186 and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Tables 4387 to 4536

Compounds of the formula I.66 in which R^{81} is as defined in Tables 37 to 186 and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Tables 4537 to 4686

Compounds of the formula I.67 in which R^{81} is as defined in Tables 37 to 186 and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Tables 4687 to 4836

Compounds of the formula I.68 in which R^{81} is as defined in Tables 37 to 186 and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Tables 4837 to 4986

Compounds of the formula I.69 in which R^{81} is as defined in Tables 37 to 186 and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Tables 4987 to 5136

Compounds of the formula I.70 in which R^{81} is as defined in Tables 37 to 186 and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Tables 5137 to 5286

Compounds of the formula I.71 in which R^{81} is as defined in Tables 37 to 186 and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Tables 5287 to 5436

Compounds of the formula I.72 in which R^{81} is as defined in Tables 37 to 186 and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Table 5437

Compounds of the formula I.73 in which the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Table 5438

Compounds of the formula I.74 in which the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Table 5439

Compounds of the formula I.75 in which the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Table 5440

Compounds of the formula I.76 in which the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Table 5441
Compounds of the formula I.77 in which the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.
Table 5442
Compounds of the formula I.78 in which the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.
Table 5443
Compounds of the formula I.79 in which the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.
Table 5444
Compounds of the formula I.80 in which the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.
Table 5445
Compounds of the formula I.81 in which the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.
Table 5446
Compounds of the formula I.82 in which the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.
Table 5447
Compounds of the formula I.83 in which the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.
Table 5448
Compounds of the formula I.84 in which the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.
Table 5449
Compounds of the formula I.85 in which the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.
Table 5450
Compounds of the formula I.86 in which the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.
Table 5451
Compounds of the formula I.87 in which the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.
Table 5452
Compounds of the formula I.88 in which the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.
Table 5453
Compounds of the formula I.89 in which the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Table 5454
Compounds of the formula I.90 in which the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.
Table 5455
Compounds of the formula I.91 in which the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.
Table 5456
Compounds of the formula I.92 in which the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.
Table 5457
Compounds of the formula I.93 in which the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.
Table 5458
Compounds of the formula I.94 in which the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.
Table 5459
Compounds of the formula I.95 in which the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.
Table 5460
Compounds of the formula I.96 in which the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.
Table 5461
Compounds of the formula I.97 in which the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.
Table 5462
Compounds of the formula I.98 in which the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.
Table 5463
Compounds of the formula I.99 in which the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.
Table 5464
Compounds of the formula I.100 in which the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.
Table 5465
Compounds of the formula I.101 in which the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.
Table 5466
Compounds of the formula I.102 in which the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Table 5467
Compounds of the formula I.103 in which the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.
Table 5468
Compounds of the formula I.104 in which the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.
Table 5469
Compounds of the formula I.105 in which the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.
Table 5470
Compounds of the formula I.106 in which the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.
Table 5471
Compounds of the formula I.107 in which the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.
Table 5472
Compounds of the formula I.108 in which the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.
Table 5473
Compounds of the formula I.109 in which R^c is hydrogen and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.
Table 5474
Compounds of the formula I.109 in which R^c is methyl and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.
Table 5475
Compounds of the formula I.109 in which R^c is ethyl and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.
Table 5476
Compounds of the formula I.109 in which R^c is propyl and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.
Table 5477
Compounds of the formula I.109 in which R^c is isopropyl and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.
Table 5478
Compounds of the formula I.109 in which R^c is CH_2OCH_3 and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.
Table 5479
Compounds of the formula I.109 in which R^c is $CH_2CH_2OCH_3$ and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Table 5480
Compounds of the formula I.109 in which R^c is $CH_2CH_2OCH_2CH_3$ and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.
Table 5481
Compounds of the formula I.109 in which R^c is CHF_2 and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.
Table 5482
Compounds of the formula I.109 in which R^c is CF_3 and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.
Table 5483
Compounds of the formula I.109 in which R^c is CH_2CHF_2 and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.
Table 5484
Compounds of the formula I.109 in which R^c is CH_2CF_3 and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.
Table 5485
Compounds of the formula I.109 in which R^c is CF_2CF_3 and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.
Table 5486
Compounds of the formula I.109 in which R^c is $C(O)CH_3$ and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.
Table 5487
Compounds of the formula I.109 in which R^c is $C(O)OCH_3$ and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.
Table 5488
Compounds of the formula I.109 in which R^c is $C(O)OCF_3$ and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.
Table 5489
Compounds of the formula I.109 in which R^c is $C(O)OC(CH_3)_3$ and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.
Table 5490
Compounds of the formula I.109 in which R^c is $C(O)NH_2$ and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.
Table 5491
Compounds of the formula I.109 in which R^c is $C(O)NHCH_3$ and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.
Table 5492
Compounds of the formula I.109 in which R^c is $C(O)N(CH_3)_2$ and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Tables 5493 to 5512
Compounds of the formula I.110 in which R^c is as defined in Tables 5472 to 5492 and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Tables 5513 to 5532
Compounds of the formula I.111 in which R^c is as defined in Tables 5472 to 5492 and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Tables 5533 to 5552
Compounds of the formula I.112 in which R^c is as defined in Tables 5472 to 5492 and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Tables 5553 to 5572
Compounds of the formula I.113 in which R^c is as defined in Tables 5472 to 5492 and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Tables 5573 to 5592
Compounds of the formula I.114 in which R^c is as defined in Tables 5472 to 5492 and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Tables 5593 to 5612
Compounds of the formula I.115 in which R^c is as defined in Tables 5472 to 5492 and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Tables 5613 to 5632
Compounds of the formula I.116 in which R^c is as defined in Tables 5472 to 5492 and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Tables 5633 to 5652
Compounds of the formula I.117 in which R^c is as defined in Tables 5472 to 5492 and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Tables 5653 to 5672
Compounds of the formula I.118 in which R^c is as defined in Tables 5472 to 5492 and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Tables 5673 to 5692
Compounds of the formula I.119 in which R^c is as defined in Tables 5472 to 5492 and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Tables 5693 to 5712

Compounds of the formula I.120 in which R^c is as defined in Tables 5472 to 5492 and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Tables 5713 to 5732

Compounds of the formula I.121 in which R^c is as defined in Tables 5472 to 5492 and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Tables 5733 to 5752

Compounds of the formula I.122 in which R^c is as defined in Tables 5472 to 5492 and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Tables 5753 to 5772

Compounds of the formula I.123 in which R^c is as defined in Tables 5472 to 5492 and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Tables 5773 to 5792

Compounds of the formula I.124 in which R^c is as defined in Tables 5472 to 5492 and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Tables 5793 to 5812

Compounds of the formula I.125 in which R^c is as defined in Tables 5472 to 5492 and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Tables 5813 to 5832

Compounds of the formula I.126 in which R^c is as defined in Tables 5472 to 5492 and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Tables 5833 to 5852

Compounds of the formula I.127 in which R^c is as defined in Tables 5472 to 5492 and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Tables 5853 to 5872

Compounds of the formula I.128 in which R^c is as defined in Tables 5472 to 5492 and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Tables 5873 to 5892

Compounds of the formula I.129 in which R^c is as defined in Tables 5472 to 5492 and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Tables 5893 to 5912

Compounds of the formula I.130 in which R^c is as defined in Tables 5472 to 5492 and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Tables 5913 to 5932

Compounds of the formula I.131 in which R^c is as defined in Tables 5472 to 5492 and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Tables 5933 to 5952

Compounds of the formula I.132 in which R^c is as defined in Tables 5472 to 5492 and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Tables 5953 to 5972

Compounds of the formula I.133 in which R^c is as defined in Tables 5472 to 5492 and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Tables 5973 to 5992

Compounds of the formula I.134 in which R^c is as defined in Tables 5472 to 5492 and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Tables 5993 to 6012

Compounds of the formula I.135 in which R^c is as defined in Tables 5472 to 5492 and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Tables 6013 to 6032

Compounds of the formula I.136 in which R^c is as defined in Tables 5472 to 5492 and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Tables 6033 to 6052

Compounds of the formula I.137 in which R^c is as defined in Tables 5472 to 5492 and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Tables 6053 to 6072

Compounds of the formula I.138 in which R^c is as defined in Tables 5472 to 5492 and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Tables 6073 to 6092

Compounds of the formula I.139 in which R^c is as defined in Tables 5472 to 5492 and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Tables 6093 to 6112

Compounds of the formula I.140 in which R^c is as defined in Tables 5472 to 5492 and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Tables 6113 to 6132

Compounds of the formula I.141 in which R^c is as defined in Tables 5472 to 5492 and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Tables 6133 to 6152

Compounds of the formula I.142 in which R^c is as defined in Tables 5472 to 5492 and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Tables 6153 to 6172

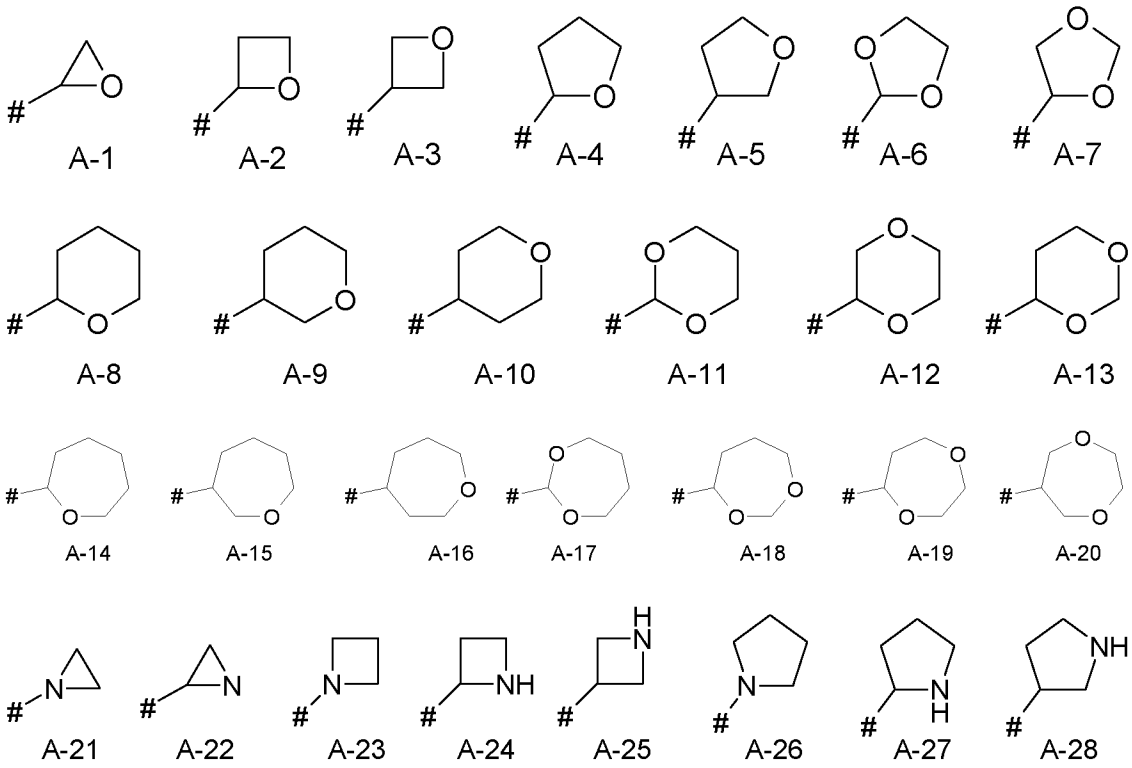
Compounds of the formula I.143 in which R^c is as defined in Tables 5472 to 5492 and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

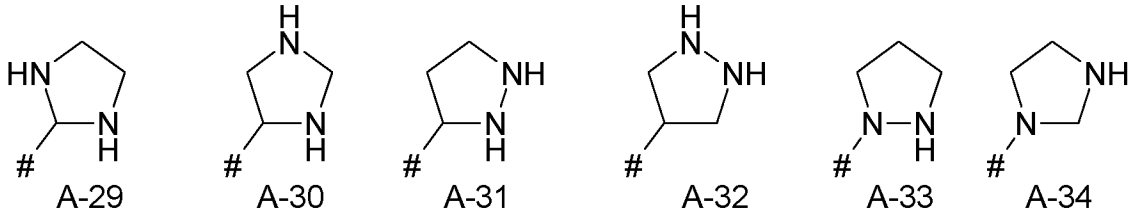
Tables 6173 to 6192

Compounds of the formula I.144 in which R^c is as defined in Tables 5472 to 5492 and the combination of R^1 and R^2 for a compound corresponds in each case to one row of Table A.

Rings A

"#" marks the attachment point to the remainder of the molecule

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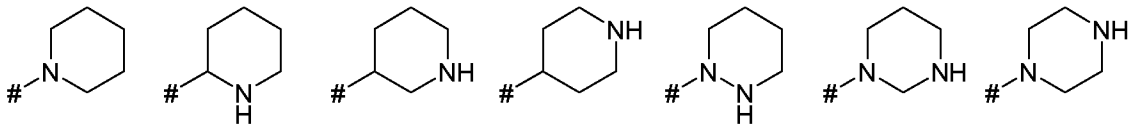
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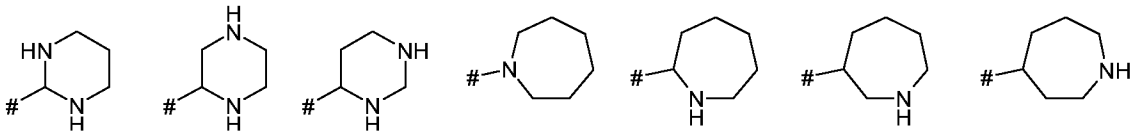
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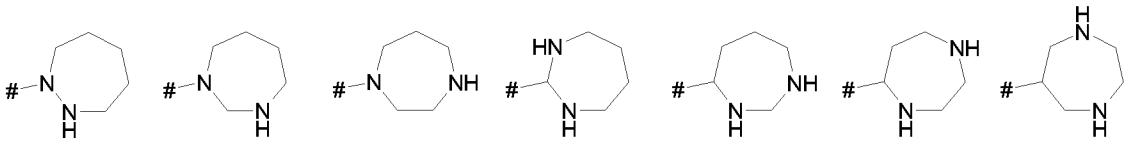
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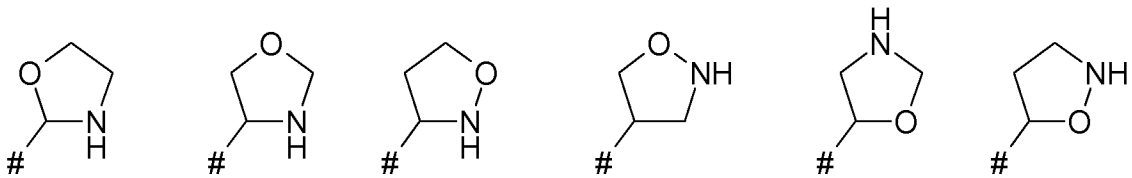
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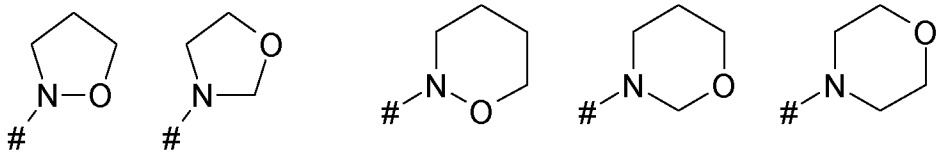
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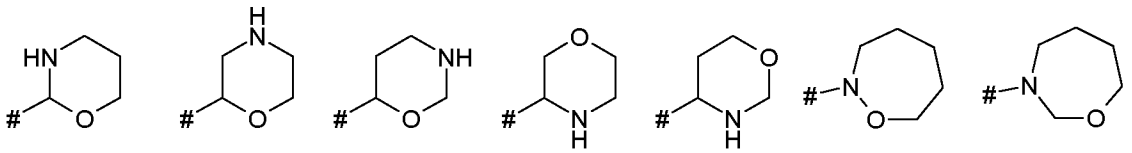
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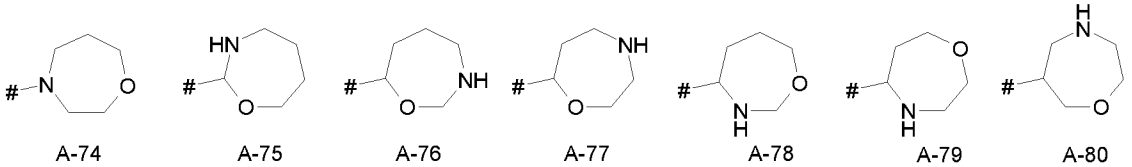
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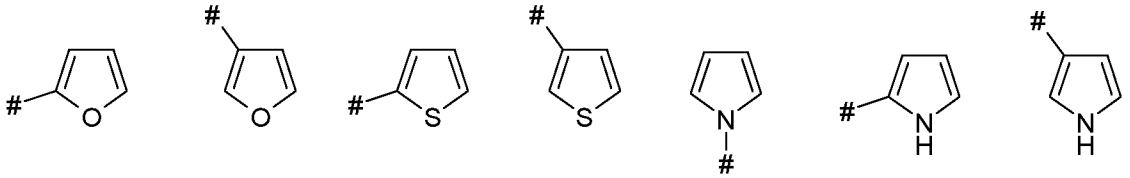
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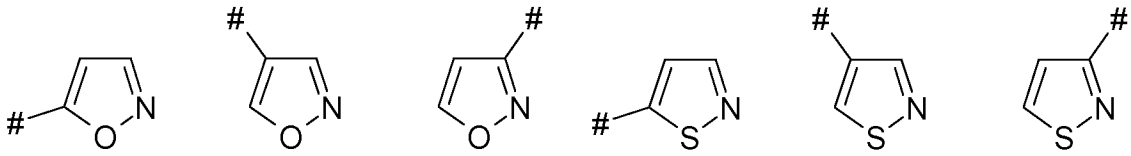
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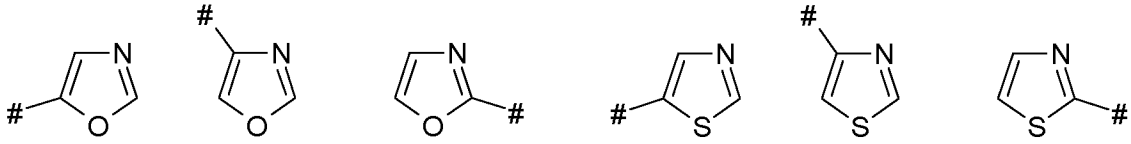
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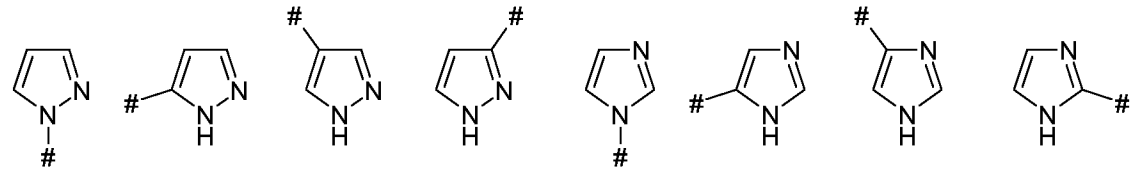
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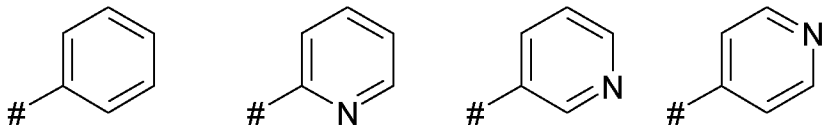
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In Table A, the position of R^1 is characterized as follows:

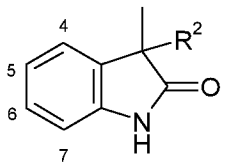


Table A

No.	R^2	R^1
A-1	H	H
A-2	H	4-Cl
A-3	H	5-Cl

No.	R^2	R^1
A-4	H	6-Cl
A-5	H	7-Cl
A-6	H	4-Br
A-7	H	5-Br
A-8	H	6-Br
A-9	H	7-Br
A-10	H	4-CN
A-11	H	5-CN
A-12	H	6-CN
A-13	H	7-CN
A-14	H	4-OH
A-15	H	5-OH
A-16	H	6-OH
A-17	H	7-OH
A-18	H	4-methyl
A-19	H	5-methyl
A-20	H	6-methyl
A-21	H	7-methyl
A-22	H	4-ethyl
A-23	H	5-ethyl
A-24	H	6-ethyl
A-25	H	7-ethyl
A-26	H	4-propyl
A-27	H	5-propyl
A-28	H	6-propyl
A-29	H	7-propyl
A-30	H	4-isopropyl
A-31	H	5-isopropyl
A-32	H	6-isopropyl
A-33	H	7-isopropyl
A-34	H	4-hydroxymethyl
A-35	H	5-hydroxymethyl
A-36	H	6-hydroxymethyl
A-37	H	7-hydroxymethyl

No.	R^2	R^1
A-38	H	4-(2-hydroxyethyl)
A-39	H	5-(2-hydroxyethyl)
A-40	H	6-(2-hydroxyethyl)
A-41	H	7-(2-hydroxyethyl)
A-42	H	4-(1-hydroxyethyl)
A-43	H	5-(1-hydroxyethyl)
A-44	H	6-(1-hydroxyethyl)
A-45	H	7-(1-hydroxyethyl)
A-46	H	4-(3-hydroxypropyl)
A-47	H	5-(3-hydroxypropyl)
A-48	H	6-(3-hydroxypropyl)
A-49	H	7-(3-hydroxypropyl)
A-50	H	4-(2-hydroxypropyl)
A-51	H	5-(2-hydroxypropyl)
A-52	H	6-(2-hydroxypropyl)
A-53	H	7-(2-hydroxypropyl)
A-54	H	4-(1-hydroxypropyl)
A-55	H	5-(1-hydroxypropyl)
A-56	H	6-(1-hydroxypropyl)
A-57	H	7-(1-hydroxypropyl)
A-58	H	4-aminomethyl
A-59	H	5-aminomethyl
A-60	H	6-aminomethyl
A-61	H	7-aminomethyl
A-62	H	4-(2-aminoethyl)
A-63	H	5-(2-aminoethyl)
A-64	H	6-(2-aminoethyl)
A-65	H	7-(2-aminoethyl)
A-66	H	4-(1-aminoethyl)
A-67	H	5-(1-aminoethyl)
A-68	H	6-(1-aminoethyl)
A-69	H	7-(1-aminoethyl)
A-70	H	4-(3-aminopropyl)
A-71	H	5-(3-aminopropyl)

No.	R^2	R^1
A-72	H	6-(3-aminopropyl)
A-73	H	7-(3-aminopropyl)
A-74	H	4-(2-aminopropyl)
A-75	H	5-(2-aminopropyl)
A-76	H	6-(2-aminopropyl)
A-77	H	7-(2-aminopropyl)
A-78	H	4-(1-aminopropyl)
A-79	H	5-(1-aminopropyl)
A-80	H	6-(1-aminopropyl)
A-81	H	7-(1-aminopropyl)
A-82	H	4-COOH
A-83	H	5-COOH
A-84	H	6-COOH
A-85	H	7-COOH
A-86	H	4-$COOCH_3$
A-87	H	5-$COOCH_3$
A-88	H	6-$COOCH_3$
A-89	H	7-$COOCH_3$
A-90	H	4-$COOCH_2CH_3$
A-91	H	5-$COOCH_2CH_3$
A-92	H	6-$COOCH_2CH_3$
A-93	H	7-$COOCH_2CH_3$
A-94	H	4-$COOCF_3$
A-95	H	5-$COOCF_3$
A-96	H	6-$COOCF_3$
A-97	H	7-$COOCF_3$
A-98	H	4-$CONH_2$
A-99	H	5-$CONH_2$
A-100	H	6-$CONH_2$
A-101	H	7-$CONH_2$
A-102	H	4-$CONHCH_3$
A-103	H	5-$CONHCH_3$
A-104	H	6-$CONHCH_3$
A-105	H	7-$CONHCH_3$

No.	R^2	R^1
A-106	H	4-CON$(CH_3)_2$
A-107	H	5-CON$(CH_3)_2$
A-108	H	6-CON$(CH_3)_2$
A-109	H	7-CON$(CH_3)_2$
A-110	H	4-CONHCH_2CH_3
A-111	H	5-CONHCH_2CH_3
A-112	H	6-CONHCH_2CH_3
A-113	H	7-CONHCH_2CH_3
A-114	H	4-CON$(CH_2CH_3)_2$
A-115	H	5-CON$(CH_2CH_3)_2$
A-116	H	6-CON$(CH_2CH_3)_2$
A-117	H	7-CON$(CH_2CH_3)_2$
A-118	H	4-A-1
A-119	H	5-A-1
A-120	H	6-A-1
A-121	H	7-A-1
A-122	H	4-A-2
A-123	H	5-A-2
A-124	H	6-A-2
A-125	H	7-A-2
A-126	H	4-A-3
A-127	H	5-A-3
A-128	H	6-A-3
A-129	H	7-A-3
A-130	H	4-A-4
A-131	H	5-A-4
A-132	H	6-A-4
A-133	H	7-A-4
A-134	H	4-A-5
A-135	H	5-A-5
A-136	H	6-A-5
A-137	H	7-A-5
A-138	H	4-A-6
A-139	H	5-A-6

No.	R^2	R^1
A-140	H	6-A-6
A-141	H	7-A-6
A-142	H	4-A-7
A-143	H	5-A-7
A-144	H	6-A-7
A-145	H	7-A-7
A-146	H	4-A-8
A-147	H	5-A-8
A-148	H	6-A-8
A-149	H	7-A-8
A-150	H	4-A-9
A-151	H	5-A-9
A-152	H	6-A-9
A-153	H	7-A-9
A-154	H	4-A-10
A-155	H	5-A-10
A-156	H	6-A-10
A-157	H	7-A-10
A-158	H	4-A-11
A-159	H	5-A-11
A-160	H	6-A-11
A-161	H	7-A-11
A-162	H	4-A-12
A-163	H	5-A-12
A-164	H	6-A-12
A-165	H	7-A-12
A-166	H	4-A-13
A-167	H	5-A-13
A-168	H	6-A-13
A-169	H	7-A-13
A-170	H	4-A-14
A-171	H	5-A-14
A-172	H	6-A-14
A-173	H	7-A-14

No.	R^2	R^1
A-174	H	4-A-15
A-175	H	5-A-15
A-176	H	6-A-15
A-177	H	7-A-15
A-178	H	4-A-16
A-179	H	5-A-16
A-180	H	6-A-16
A-181	H	7-A-16
A-182	H	4-A-17
A-183	H	5-A-17
A-184	H	6-A-17
A-185	H	7-A-17
A-186	H	4-A-18
A-187	H	5-A-18
A-188	H	6-A-18
A-189	H	7-A-18
A-190	H	4-A-19
A-191	H	5-A-19
A-192	H	6-A-19
A-193	H	7-A-19
A-194	H	4-A-20
A-195	H	5-A-20
A-196	H	6-A-20
A-197	H	7-A-20
A-198	H	4-A-21
A-199	H	5-A-21
A-200	H	6-A-21
A-201	H	7-A-21
A-202	H	4-A-22
A-203	H	5-A-22
A-204	H	6-A-22
A-205	H	7-A-22
A-206	H	4-A-23
A-207	H	5-A-23

No.	R^2	R^1
A-208	H	6-A-23
A-209	H	7-A-23
A-210	H	4-A-24
A-211	H	5-A-24
A-212	H	6-A-24
A-213	H	7-A-24
A-214	H	4-A-25
A-215	H	5-A-25
A-216	H	6-A-25
A-217	H	7-A-25
A-218	H	4-A-26
A-219	H	5-A-26
A-220	H	6-A-26
A-221	H	7-A-26
A-222	H	4-A-27
A-223	H	5-A-27
A-224	H	6-A-27
A-225	H	7-A-27
A-226	H	4-A-28
A-227	H	5-A-28
A-228	H	6-A-28
A-229	H	7-A-28
A-230	H	4-A-29
A-231	H	5-A-29
A-232	H	6-A-29
A-233	H	7-A-29
A-234	H	4-A-30
A-235	H	5-A-30
A-236	H	6-A-30
A-237	H	7-A-30
A-238	H	4-A-31
A-239	H	5-A-31
A-240	H	6-A-31
A-241	H	7-A-31

No.	R^2	R^1
A-242	H	4-A-32
A-243	H	5-A-32
A-244	H	6-A-32
A-245	H	7-A-32
A-246	H	4-A-33
A-247	H	5-A-33
A-248	H	6-A-33
A-249	H	7-A-33
A-250	H	4-A-34
A-251	H	5-A-34
A-252	H	6-A-34
A-253	H	7-A-34
A-254	H	4-A-35
A-255	H	5-A-35
A-256	H	6-A-35
A-257	H	7-A-35
A-258	H	4-A-36
A-259	H	5-A-36
A-260	H	6-A-36
A-261	H	7-A-36
A-262	H	4-A-37
A-263	H	5-A-37
A-264	H	6-A-37
A-265	H	7-A-37
A-266	H	4-A-38
A-267	H	5-A-38
A-268	H	6-A-38
A-269	H	7-A-38
A-270	H	4-A-39
A-271	H	5-A-39
A-272	H	6-A-39
A-273	H	7-A-39
A-274	H	4-A-40
A-275	H	5-A-40

No.	R^2	R^1
A-276	H	6-A-40
A-277	H	7-A-40
A-278	H	4-A-41
A-279	H	5-A-41
A-280	H	6-A-41
A-281	H	7-A-41
A-282	H	4-A-42
A-283	H	5-A-42
A-284	H	6-A-42
A-285	H	7-A-42
A-286	H	4-A-43
A-287	H	5-A-43
A-288	H	6-A-43
A-289	H	7-A-43
A-290	H	4-A-44
A-291	H	5-A-44
A-292	H	6-A-44
A-293	H	7-A-44
A-294	H	4-A-45
A-295	H	5-A-45
A-296	H	6-A-45
A-297	H	7-A-45
A-298	H	4-A-46
A-299	H	5-A-46
A-300	H	6-A-46
A-301	H	7-A-46
A-302	H	4-A-47
A-303	H	5-A-47
A-304	H	6-A-47
A-305	H	7-A-47
A-306	H	4-A-48
A-307	H	5-A-48
A-308	H	6-A-48
A-309	H	7-A-48

No.	R^2	R^1
A-310	H	4-A-49
A-311	H	5-A-49
A-312	H	6-A-49
A-313	H	7-A-49
A-314	H	4-A-50
A-315	H	5-A-50
A-316	H	6-A-50
A-317	H	7-A-50
A-318	H	4-A-51
A-319	H	5-A-51
A-320	H	6-A-51
A-321	H	7-A-51
A-322	H	4-A-52
A-323	H	5-A-52
A-324	H	6-A-52
A-325	H	7-A-52
A-326	H	4-A-53
A-327	H	5-A-53
A-328	H	6-A-53
A-329	H	7-A-53
A-330	H	4-A-54
A-331	H	5-A-54
A-332	H	6-A-54
A-333	H	7-A-54
A-334	H	4-A-55
A-335	H	5-A-55
A-336	H	6-A-55
A-337	H	7-A-55
A-338	H	4-A-56
A-339	H	5-A-56
A-340	H	6-A-56
A-341	H	7-A-56
A-342	H	4-A-57
A-343	H	5-A-57

No.	R^2	R^1
A-344	H	6-A-57
A-345	H	7-A-57
A-346	H	4-A-58
A-347	H	5-A-58
A-348	H	6-A-58
A-349	H	7-A-58
A-350	H	4-A-59
A-351	H	5-A-59
A-352	H	6-A-59
A-353	H	7-A-59
A-354	H	4-A-60
A-355	H	5-A-60
A-356	H	6-A-60
A-357	H	7-A-60
A-358	H	4-A-61
A-359	H	5-A-61
A-360	H	6-A-61
A-361	H	7-A-61
A-362	H	4-A-62
A-363	H	5-A-62
A-364	H	6-A-62
A-365	H	7-A-62
A-366	H	4-A-63
A-367	H	5-A-63
A-368	H	6-A-63
A-369	H	7-A-63
A-370	H	4-A-64
A-371	H	5-A-64
A-372	H	6-A-64
A-373	H	7-A-64
A-374	H	4-A-65
A-375	H	5-A-65
A-376	H	6-A-65
A-377	H	7-A-65

No.	R^2	R^1
A-378	H	4-A-66
A-379	H	5-A-66
A-380	H	6-A-66
A-381	H	7-A-66
A-382	H	4-A-67
A-383	H	5-A-67
A-384	H	6-A-67
A-385	H	7-A-67
A-386	H	4-A-68
A-387	H	5-A-68
A-388	H	6-A-68
A-389	H	7-A-68
A-390	H	4-A-69
A-391	H	5-A-69
A-392	H	6-A-69
A-393	H	7-A-69
A-394	H	4-A-70
A-395	H	5-A-70
A-396	H	6-A-70
A-397	H	7-A-70
A-398	H	4-A-71
A-399	H	5-A-71
A-400	H	6-A-71
A-401	H	7-A-71
A-402	H	4-A-72
A-403	H	5-A-72
A-404	H	6-A-72
A-405	H	7-A-72
A-406	H	4-A-73
A-407	H	5-A-73
A-408	H	6-A-73
A-409	H	7-A-73
A-410	H	4-A-74
A-411	H	5-A-74

No.	R^2	R^1
A-412	H	6-A-74
A-413	H	7-A-74
A-414	H	4-A-75
A-415	H	5-A-75
A-416	H	6-A-75
A-417	H	7-A-75
A-418	H	4-A-76
A-419	H	5-A-76
A-420	H	6-A-76
A-421	H	7-A-76
A-422	H	4-A-77
A-423	H	5-A-77
A-424	H	6-A-77
A-425	H	7-A-77
A-426	H	4-A-78
A-427	H	5-A-78
A-428	H	6-A-78
A-429	H	7-A-78
A-430	H	4-A-79
A-431	H	5-A-79
A-432	H	6-A-79
A-433	H	7-A-79
A-434	H	4-A-80
A-435	H	5-A-80
A-436	H	6-A-80
A-437	H	7-A-80
A-438	H	4-A-81
A-439	H	5-A-81
A-440	H	6-A-81
A-441	H	7-A-81
A-442	H	4-A-82
A-443	H	5-A-82
A-444	H	6-A-82
A-445	H	7-A-82

No.	R^2	R^1
A-446	H	4-A-83
A-447	H	5-A-83
A-448	H	6-A-83
A-449	H	7-A-83
A-450	H	4-A-84
A-451	H	5-A-84
A-452	H	6-A-84
A-453	H	7-A-84
A-454	H	4-A-85
A-455	H	5-A-85
A-456	H	6-A-85
A-457	H	7-A-85
A-458	H	4-A-86
A-459	H	5-A-86
A-460	H	6-A-86
A-461	H	7-A-86
A-462	H	4-A-87
A-463	H	5-A-87
A-464	H	6-A-87
A-465	H	7-A-87
A-466	H	4-A-88
A-467	H	5-A-88
A-468	H	6-A-88
A-469	H	7-A-88
A-470	H	4-A-89
A-471	H	5-A-89
A-472	H	6-A-89
A-473	H	7-A-89
A-474	H	4-A-90
A-475	H	5-A-90
A-476	H	6-A-90
A-477	H	7-A-90
A-478	H	4-A-91
A-479	H	5-A-91

No.	R^2	R^1
A-480	H	6-A-91
A-481	H	7-A-91
A-482	H	4-A-92
A-483	H	5-A-92
A-484	H	6-A-92
A-485	H	7-A-92
A-486	H	4-A-93
A-487	H	5-A-93
A-488	H	6-A-93
A-489	H	7-A-93
A-490	H	4-A-94
A-491	H	5-A-94
A-492	H	6-A-94
A-493	H	7-A-94
A-494	H	4-A-95
A-495	H	5-A-95
A-496	H	6-A-95
A-497	H	7-A-95
A-498	H	4-A-96
A-499	H	5-A-96
A-500	H	6-A-96
A-501	H	7-A-96
A-502	H	4-A-97
A-503	H	5-A-97
A-504	H	6-A-97
A-505	H	7-A-97
A-506	H	4-A-98
A-507	H	5-A-98
A-508	H	6-A-98
A-509	H	7-A-98
A-510	H	4-A-99
A-511	H	5-A-99
A-512	H	6-A-99
A-513	H	7-A-99

No.	R^2	R^1
A-514	H	4-A-100
A-515	H	5-A-100
A-516	H	6-A-100
A-517	H	7-A-100
A-518	H	4-A-101
A-519	H	5-A-101
A-520	H	6-A-101
A-521	H	7-A-101
A-522	H	4-A-102
A-523	H	5-A-102
A-524	H	6-A-102
A-525	H	7-A-102
A-526	H	4-A-103
A-527	H	5-A-103
A-528	H	6-A-103
A-529	H	7-A-103
A-530	H	4-A-104
A-531	H	5-A-104
A-532	H	6-A-104
A-533	H	7-A-104
A-534	H	4-A-104
A-535	H	5-A-104
A-536	H	6-A-104
A-537	H	7-A-104
A-538	H	4-A-105
A-539	H	5-A-105
A-540	H	6-A-105
A-541	H	7-A-105
A-542	H	4-A-106
A-543	H	5-A-106
A-544	H	6-A-106
A-545	H	7-A-106
A-546	H	4-A-107
A-547	H	5-A-107

No.	R^2	R^1
A-548	H	6-A-107
A-549	H	7-A-107
A-550	H	4-A-108
A-551	H	5-A-108
A-552	H	6-A-108
A-553	H	7-A-108
A-554	H	4-A-109
A-555	H	5-A-109
A-556	H	6-A-109
A-557	H	7-A-109
A-558	H	4-A-110
A-559	H	5-A-110
A-560	H	6-A-110
A-561	H	7-A-110
A-562	H	4-A-111
A-563	H	5-A-111
A-564	H	6-A-111
A-565	H	7-A-111
A-566	F	H
A-567	F	4-Cl
A-568	F	5-Cl
A-569	F	6-Cl
A-570	F	7-Cl
A-571	F	4-Br
A-572	F	5-Br
A-573	F	6-Br
A-574	F	7-Br
A-575	F	4-CN
A-576	F	5-CN
A-577	F	6-CN
A-578	F	7-CN
A-579	F	4-OH
A-580	F	5-OH
A-581	F	6-OH

No.	R^2	R^1
A-582	F	7-OH
A-583	F	4-methyl
A-584	F	5-methyl
A-585	F	6-methyl
A-586	F	7-methyl
A-587	F	4-ethyl
A-588	F	5-ethyl
A-589	F	6-ethyl
A-590	F	7-ethyl
A-591	F	4-propyl
A-592	F	5-propyl
A-593	F	6-propyl
A-594	F	7-propyl
A-595	F	4-isopropyl
A-596	F	5-isopropyl
A-597	F	6-isopropyl
A-598	F	7-isopropyl
A-599	F	4-hydroxymethyl
A-600	F	5-hydroxymethyl
A-601	F	6-hydroxymethyl
A-602	F	7-hydroxymethyl
A-603	F	4-(2-hydroxyethyl)
A-604	F	5-(2-hydroxyethyl)
A-605	F	6-(2-hydroxyethyl)
A-606	F	7-(2-hydroxyethyl)
A-607	F	4-(1-hydroxyethyl)
A-608	F	5-(1-hydroxyethyl)
A-609	F	6-(1-hydroxyethyl)
A-610	F	7-(1-hydroxyethyl)
A-611	F	4-(3-hydroxypropyl)
A-612	F	5-(3-hydroxypropyl)
A-613	F	6-(3-hydroxypropyl)
A-614	F	7-(3-hydroxypropyl)
A-615	F	4-(2-hydroxypropyl)

No.	R^2	R^1
A-616	F	5-(2-hydroxypropyl)
A-617	F	6-(2-hydroxypropyl)
A-618	F	7-(2-hydroxypropyl)
A-619	F	4-(1-hydroxypropyl)
A-620	F	5-(1-hydroxypropyl)
A-621	F	6-(1-hydroxypropyl)
A-622	F	7-(1-hydroxypropyl)
A-623	F	4-aminomethyl
A-624	F	5-aminomethyl
A-625	F	6-aminomethyl
A-626	F	7-aminomethyl
A-627	F	4-(2-aminoethyl)
A-628	F	5-(2-aminoethyl)
A-629	F	6-(2-aminoethyl)
A-630	F	7-(2-aminoethyl)
A-631	F	4-(1-aminoethyl)
A-632	F	5-(1-aminoethyl)
A-633	F	6-(1-aminoethyl)
A-634	F	7-(1-aminoethyl)
A-635	F	4-(3-aminopropyl)
A-636	F	5-(3-aminopropyl)
A-637	F	6-(3-aminopropyl)
A-638	F	7-(3-aminopropyl)
A-639	F	4-(2-aminopropyl)
A-640	F	5-(2-aminopropyl)
A-641	F	6-(2-aminopropyl)
A-642	F	7-(2-aminopropyl)
A-643	F	4-(1-aminopropyl)
A-644	F	5-(1-aminopropyl)
A-645	F	6-(1-aminopropyl)
A-646	F	7-(1-aminopropyl)
A-647	F	4-COOH
A-648	F	5-COOH
A-649	F	6-COOH

No.	R^2	R^1
A-650	F	7-COOH
A-651	F	4-$COOCH_3$
A-652	F	5-$COOCH_3$
A-653	F	6-$COOCH_3$
A-654	F	7-$COOCH_3$
A-655	F	4-$COOCH_2CH_3$
A-656	F	5-$COOCH_2CH_3$
A-657	F	6-$COOCH_2CH_3$
A-658	F	7-$COOCH_2CH_3$
A-659	F	4-$COOCF_3$
A-660	F	5-$COOCF_3$
A-661	F	6-$COOCF_3$
A-662	F	7-$COOCF_3$
A-663	F	4-$CONH_2$
A-664	F	5-$CONH_2$
A-665	F	6-$CONH_2$
A-666	F	7-$CONH_2$
A-667	F	4-$CONHCH_3$
A-668	F	5-$CONHCH_3$
A-669	F	6-$CONHCH_3$
A-670	F	7-$CONHCH_3$
A-671	F	4-$CON(CH_3)_2$
A-672	F	5-$CON(CH_3)_2$
A-673	F	6-$CON(CH_3)_2$
A-674	F	7-$CON(CH_3)_2$
A-675	F	4-$CONHCH_2CH_3$
A-676	F	5-$CONHCH_2CH_3$
A-677	F	6-$CONHCH_2CH_3$
A-678	F	7-$CONHCH_2CH_3$
A-679	F	4-$CON(CH_2CH_3)_2$
A-680	F	5-$CON(CH_2CH_3)_2$
A-681	F	6-$CON(CH_2CH_3)_2$
A-682	F	7-$CON(CH_2CH_3)_2$
A-683	F	4-A-1

No.	R^2	R^1
A-684	F	5-A-1
A-685	F	6-A-1
A-686	F	7-A-1
A-687	F	4-A-2
A-688	F	5-A-2
A-689	F	6-A-2
A-690	F	7-A-2
A-691	F	4-A-3
A-692	F	5-A-3
A-693	F	6-A-3
A-694	F	7-A-3
A-695	F	4-A-4
A-696	F	5-A-4
A-697	F	6-A-4
A-698	F	7-A-4
A-699	F	4-A-5
A-700	F	5-A-5
A-701	F	6-A-5
A-702	F	7-A-5
A-703	F	4-A-6
A-704	F	5-A-6
A-705	F	6-A-6
A-706	F	7-A-6
A-707	F	4-A-7
A-708	F	5-A-7
A-709	F	6-A-7
A-710	F	7-A-7
A-711	F	4-A-8
A-712	F	5-A-8
A-713	F	6-A-8
A-714	F	7-A-8
A-715	F	4-A-9
A-716	F	5-A-9
A-717	F	6-A-9

No.	R^2	R^1
A-718	F	7-A-9
A-719	F	4-A-10
A-720	F	5-A-10
A-721	F	6-A-10
A-722	F	7-A-10
A-723	F	4-A-11
A-724	F	5-A-11
A-725	F	6-A-11
A-726	F	7-A-11
A-727	F	4-A-12
A-728	F	5-A-12
A-729	F	6-A-12
A-730	F	7-A-12
A-731	F	4-A-13
A-732	F	5-A-13
A-733	F	6-A-13
A-734	F	7-A-13
A-735	F	4-A-14
A-736	F	5-A-14
A-737	F	6-A-14
A-738	F	7-A-14
A-739	F	4-A-15
A-740	F	5-A-15
A-741	F	6-A-15
A-742	F	7-A-15
A-743	F	4-A-16
A-744	F	5-A-16
A-745	F	6-A-16
A-746	F	7-A-16
A-747	F	4-A-17
A-748	F	5-A-17
A-749	F	6-A-17
A-750	F	7-A-17
A-751	F	4-A-18

No.	R^2	R^1
A-752	F	5-A-18
A-753	F	6-A-18
A-754	F	7-A-18
A-755	F	4-A-19
A-756	F	5-A-19
A-757	F	6-A-19
A-758	F	7-A-19
A-759	F	4-A-20
A-760	F	5-A-20
A-761	F	6-A-20
A-762	F	7-A-20
A-763	F	4-A-21
A-764	F	5-A-21
A-765	F	6-A-21
A-766	F	7-A-21
A-767	F	4-A-22
A-768	F	5-A-22
A-769	F	6-A-22
A-770	F	7-A-22
A-771	F	4-A-23
A-772	F	5-A-23
A-773	F	6-A-23
A-774	F	7-A-23
A-775	F	4-A-24
A-776	F	5-A-24
A-777	F	6-A-24
A-778	F	7-A-24
A-779	F	4-A-25
A-780	F	5-A-25
A-781	F	6-A-25
A-782	F	7-A-25
A-783	F	4-A-26
A-784	F	5-A-26
A-785	F	6-A-26

No.	R^2	R^1
A-786	F	7-A-26
A-787	F	4-A-27
A-788	F	5-A-27
A-789	F	6-A-27
A-790	F	7-A-27
A-791	F	4-A-28
A-792	F	5-A-28
A-793	F	6-A-28
A-794	F	7-A-28
A-795	F	4-A-29
A-796	F	5-A-29
A-797	F	6-A-29
A-798	F	7-A-29
A-799	F	4-A-30
A-800	F	5-A-30
A-801	F	6-A-30
A-802	F	7-A-30
A-803	F	4-A-31
A-804	F	5-A-31
A-805	F	6-A-31
A-806	F	7-A-31
A-807	F	4-A-32
A-808	F	5-A-32
A-809	F	6-A-32
A-810	F	7-A-32
A-811	F	4-A-33
A-812	F	5-A-33
A-813	F	6-A-33
A-814	F	7-A-33
A-815	F	4-A-34
A-816	F	5-A-34
A-817	F	6-A-34
A-818	F	7-A-34
A-819	F	4-A-35

No.	R^2	R^1
A-820	F	5-A-35
A-821	F	6-A-35
A-822	F	7-A-35
A-823	F	4-A-36
A-824	F	5-A-36
A-825	F	6-A-36
A-826	F	7-A-36
A-827	F	4-A-37
A-828	F	5-A-37
A-829	F	6-A-37
A-830	F	7-A-37
A-831	F	4-A-38
A-832	F	5-A-38
A-833	F	6-A-38
A-834	F	7-A-38
A-835	F	4-A-39
A-836	F	5-A-39
A-837	F	6-A-39
A-838	F	7-A-39
A-839	F	4-A-40
A-840	F	5-A-40
A-841	F	6-A-40
A-842	F	7-A-40
A-843	F	4-A-41
A-844	F	5-A-41
A-845	F	6-A-41
A-846	F	7-A-41
A-847	F	4-A-42
A-848	F	5-A-42
A-849	F	6-A-42
A-850	F	7-A-42
A-851	F	4-A-43
A-852	F	5-A-43
A-853	F	6-A-43

No.	R^2	R^1
A-854	F	7-A-43
A-855	F	4-A-44
A-856	F	5-A-44
A-857	F	6-A-44
A-858	F	7-A-44
A-859	F	4-A-45
A-860	F	5-A-45
A-861	F	6-A-45
A-862	F	7-A-45
A-863	F	4-A-46
A-864	F	5-A-46
A-865	F	6-A-46
A-866	F	7-A-46
A-867	F	4-A-47
A-868	F	5-A-47
A-869	F	6-A-47
A-870	F	7-A-47
A-871	F	4-A-48
A-872	F	5-A-48
A-873	F	6-A-48
A-874	F	7-A-48
A-875	F	4-A-49
A-876	F	5-A-49
A-877	F	6-A-49
A-878	F	7-A-49
A-879	F	4-A-50
A-880	F	5-A-50
A-881	F	6-A-50
A-882	F	7-A-50
A-883	F	4-A-51
A-884	F	5-A-51
A-885	F	6-A-51
A-886	F	7-A-51
A-887	F	4-A-52

No.	R^2	R^1
A-888	F	5-A-52
A-889	F	6-A-52
A-890	F	7-A-52
A-891	F	4-A-53
A-892	F	5-A-53
A-893	F	6-A-53
A-894	F	7-A-53
A-895	F	4-A-54
A-896	F	5-A-54
A-897	F	6-A-54
A-898	F	7-A-54
A-899	F	4-A-55
A-900	F	5-A-55
A-901	F	6-A-55
A-902	F	7-A-55
A-903	F	4-A-56
A-904	F	5-A-56
A-905	F	6-A-56
A-906	F	7-A-56
A-907	F	4-A-57
A-908	F	5-A-57
A-909	F	6-A-57
A-910	F	7-A-57
A-911	F	4-A-58
A-912	F	5-A-58
A-913	F	6-A-58
A-914	F	7-A-58
A-915	F	4-A-59
A-916	F	5-A-59
A-917	F	6-A-59
A-918	F	7-A-59
A-919	F	4-A-60
A-920	F	5-A-60
A-921	F	6-A-60

No.	R^2	R^1
A-922	F	7-A-60
A-923	F	4-A-61
A-924	F	5-A-61
A-925	F	6-A-61
A-926	F	7-A-61
A-927	F	4-A-62
A-928	F	5-A-62
A-929	F	6-A-62
A-930	F	7-A-62
A-931	F	4-A-63
A-932	F	5-A-63
A-933	F	6-A-63
A-934	F	7-A-63
A-935	F	4-A-64
A-936	F	5-A-64
A-937	F	6-A-64
A-938	F	7-A-64
A-939	F	4-A-65
A-940	F	5-A-65
A-941	F	6-A-65
A-942	F	7-A-65
A-943	F	4-A-66
A-944	F	5-A-66
A-945	F	6-A-66
A-946	F	7-A-66
A-947	F	4-A-67
A-948	F	5-A-67
A-949	F	6-A-67
A-950	F	7-A-67
A-951	F	4-A-68
A-952	F	5-A-68
A-953	F	6-A-68
A-954	F	7-A-68
A-955	F	4-A-69

No.	R^2	R^1
A-956	F	5-A-69
A-957	F	6-A-69
A-958	F	7-A-69
A-959	F	4-A-70
A-960	F	5-A-70
A-961	F	6-A-70
A-962	F	7-A-70
A-963	F	4-A-71
A-964	F	5-A-71
A-965	F	6-A-71
A-966	F	7-A-71
A-967	F	4-A-72
A-968	F	5-A-72
A-969	F	6-A-72
A-970	F	7-A-72
A-971	F	4-A-73
A-972	F	5-A-73
A-973	F	6-A-73
A-974	F	7-A-73
A-975	F	4-A-74
A-976	F	5-A-74
A-977	F	6-A-74
A-978	F	7-A-74
A-979	F	4-A-75
A-980	F	5-A-75
A-981	F	6-A-75
A-982	F	7-A-75
A-983	F	4-A-76
A-984	F	5-A-76
A-985	F	6-A-76
A-986	F	7-A-76
A-987	F	4-A-77
A-988	F	5-A-77
A-989	F	6-A-77

No.	R^2	R^1
A-990	F	7-A-77
A-991	F	4-A-78
A-992	F	5-A-78
A-993	F	6-A-78
A-994	F	7-A-78
A-995	F	4-A-79
A-996	F	5-A-79
A-997	F	6-A-79
A-998	F	7-A-79
A-999	F	4-A-80
A-1000	F	5-A-80
A-1001	F	6-A-80
A-1002	F	7-A-80
A-1003	F	4-A-81
A-1004	F	5-A-81
A-1005	F	6-A-81
A-1006	F	7-A-81
A-1007	F	4-A-82
A-1008	F	5-A-82
A-1009	F	6-A-82
A-1010	F	7-A-82
A-1011	F	4-A-83
A-1012	F	5-A-83
A-1013	F	6-A-83
A-1014	F	7-A-83
A-1015	F	4-A-84
A-1016	F	5-A-84
A-1017	F	6-A-84
A-1018	F	7-A-84
A-1019	F	4-A-85
A-1020	F	5-A-85
A-1021	F	6-A-85
A-1022	F	7-A-85
A-1023	F	4-A-86

No.	R^2	R^1
A-1024	F	5-A-86
A-1025	F	6-A-86
A-1026	F	7-A-86
A-1027	F	4-A-87
A-1028	F	5-A-87
A-1029	F	6-A-87
A-1030	F	7-A-87
A-1031	F	4-A-88
A-1032	F	5-A-88
A-1033	F	6-A-88
A-1034	F	7-A-88
A-1035	F	4-A-89
A-1036	F	5-A-89
A-1037	F	6-A-89
A-1038	F	7-A-89
A-1039	F	4-A-90
A-1040	F	5-A-90
A-1041	F	6-A-90
A-1042	F	7-A-90
A-1043	F	4-A-91
A-1044	F	5-A-91
A-1045	F	6-A-91
A-1046	F	7-A-91
A-1047	F	4-A-92
A-1048	F	5-A-92
A-1049	F	6-A-92
A-1050	F	7-A-92
A-1051	F	4-A-93
A-1052	F	5-A-93
A-1053	F	6-A-93
A-1054	F	7-A-93
A-1055	F	4-A-94
A-1056	F	5-A-94
A-1057	F	6-A-94

No.	R^2	R^1
A-1058	F	7-A-94
A-1059	F	4-A-95
A-1060	F	5-A-95
A-1061	F	6-A-95
A-1062	F	7-A-95
A-1063	F	4-A-96
A-1064	F	5-A-96
A-1065	F	6-A-96
A-1066	F	7-A-96
A-1067	F	4-A-97
A-1068	F	5-A-97
A-1069	F	6-A-97
A-1070	F	7-A-97
A-1071	F	4-A-98
A-1072	F	5-A-98
A-1073	F	6-A-98
A-1074	F	7-A-98
A-1075	F	4-A-99
A-1076	F	5-A-99
A-1077	F	6-A-99
A-1078	F	7-A-99
A-1079	F	4-A-100
A-1080	F	5-A-100
A-1081	F	6-A-100
A-1082	F	7-A-100
A-1083	F	4-A-101
A-1084	F	5-A-101
A-1085	F	6-A-101
A-1086	F	7-A-101
A-1087	F	4-A-102
A-1088	F	5-A-102
A-1089	F	6-A-102
A-1090	F	7-A-102
A-1091	F	4-A-103

No.	R^2	R^1
A-1092	F	5-A-103
A-1093	F	6-A-103
A-1094	F	7-A-103
A-1095	F	4-A-104
A-1096	F	5-A-104
A-1097	F	6-A-104
A-1098	F	7-A-104
A-1099	F	4-A-104
A-1100	F	5-A-104
A-1101	F	6-A-104
A-1102	F	7-A-104
A-1103	F	4-A-105
A-1104	F	5-A-105
A-1105	F	6-A-105
A-1106	F	7-A-105
A-1107	F	4-A-106
A-1108	F	5-A-106
A-1109	F	6-A-106
A-1110	F	7-A-106
A-1111	F	4-A-107
A-1112	F	5-A-107
A-1113	F	6-A-107
A-1114	F	7-A-107
A-1115	F	4-A-108
A-1116	F	5-A-108
A-1117	F	6-A-108
A-1118	F	7-A-108
A-1119	F	4-A-109
A-1120	F	5-A-109
A-1121	F	6-A-109
A-1122	F	7-A-109
A-1123	F	4-A-110
A-1124	F	5-A-110
A-1125	F	6-A-110

No.	R^2	R^1
A-1126	F	7-A-110
A-1127	F	4-A-111
A-1128	F	5-A-111
A-1129	F	6-A-111
A-1130	F	7-A-111
A-1131	allyl	H
A-1132	allyl	4-Cl
A-1133	allyl	5-Cl
A-1134	allyl	6-Cl
A-1135	allyl	7-Cl
A-1136	allyl	4-Br
A-1137	allyl	5-Br
A-1138	allyl	6-Br
A-1139	allyl	7-Br
A-1140	allyl	4-CN
A-1141	allyl	5-CN
A-1142	allyl	6-CN
A-1143	allyl	7-CN
A-1144	allyl	4-OH
A-1145	allyl	5-OH
A-1146	allyl	6-OH
A-1147	allyl	7-OH
A-1148	allyl	4-methyl
A-1149	allyl	5-methyl
A-1150	allyl	6-methyl
A-1151	allyl	7-methyl
A-1152	allyl	4-ethyl
A-1153	allyl	5-ethyl
A-1154	allyl	6-ethyl
A-1155	allyl	7-ethyl
A-1156	allyl	4-propyl
A-1157	allyl	5-propyl
A-1158	allyl	6-propyl
A-1159	allyl	7-propyl

No.	R^2	R^1
A-1160	allyl	4-isopropyl
A-1161	allyl	5-isopropyl
A-1162	allyl	6-isopropyl
A-1163	allyl	7-isopropyl
A-1164	allyl	4-hydroxymethyl
A-1165	allyl	5-hydroxymethyl
A-1166	allyl	6-hydroxymethyl
A-1167	allyl	7-hydroxymethyl
A-1168	allyl	4-(2-hydroxyethyl)
A-1169	allyl	5-(2-hydroxyethyl)
A-1170	allyl	6-(2-hydroxyethyl)
A-1171	allyl	7-(2-hydroxyethyl)
A-1172	allyl	4-(1-hydroxyethyl)
A-1173	allyl	5-(1-hydroxyethyl)
A-1174	allyl	6-(1-hydroxyethyl)
A-1175	allyl	7-(1-hydroxyethyl)
A-1176	allyl	4-(3-hydroxypropyl)
A-1177	allyl	5-(3-hydroxypropyl)
A-1178	allyl	6-(3-hydroxypropyl)
A-1179	allyl	7-(3-hydroxypropyl)
A-1180	allyl	4-(2-hydroxypropyl)
A-1181	allyl	5-(2-hydroxypropyl)
A-1182	allyl	6-(2-hydroxypropyl)
A-1183	allyl	7-(2-hydroxypropyl)
A-1184	allyl	4-(1-hydroxypropyl)
A-1185	allyl	5-(1-hydroxypropyl)
A-1186	allyl	6-(1-hydroxypropyl)
A-1187	allyl	7-(1-hydroxypropyl)
A-1188	allyl	4-aminomethyl
A-1189	allyl	5-aminomethyl
A-1190	allyl	6-aminomethyl
A-1191	allyl	7-aminomethyl
A-1192	allyl	4-(2-aminoethyl)
A-1193	allyl	5-(2-aminoethyl)

No.	R^2	R^1
A-1194	allyl	6-(2-aminoethyl)
A-1195	allyl	7-(2-aminoethyl)
A-1196	allyl	4-(1-aminoethyl)
A-1197	allyl	5-(1-aminoethyl)
A-1198	allyl	6-(1-aminoethyl)
A-1199	allyl	7-(1-aminoethyl)
A-1200	allyl	4-(3-aminopropyl)
A-1201	allyl	5-(3-aminopropyl)
A-1202	allyl	6-(3-aminopropyl)
A-1203	allyl	7-(3-aminopropyl)
A-1204	allyl	4-(2-aminopropyl)
A-1205	allyl	5-(2-aminopropyl)
A-1206	allyl	6-(2-aminopropyl)
A-1207	allyl	7-(2-aminopropyl)
A-1208	allyl	4-(1-aminopropyl)
A-1209	allyl	5-(1-aminopropyl)
A-1210	allyl	6-(1-aminopropyl)
A-1211	allyl	7-(1-aminopropyl)
A-1212	allyl	4-COOH
A-1213	allyl	5-COOH
A-1214	allyl	6-COOH
A-1215	allyl	7-COOH
A-1216	allyl	$4\text{-}COOCH_3$
A-1217	allyl	$5\text{-}COOCH_3$
A-1218	allyl	$6\text{-}COOCH_3$
A-1219	allyl	$7\text{-}COOCH_3$
A-1220	allyl	$4\text{-}COOCH_2CH_3$
A-1221	allyl	$5\text{-}COOCH_2CH_3$
A-1222	allyl	$6\text{-}COOCH_2CH_3$
A-1223	allyl	$7\text{-}COOCH_2CH_3$
A-1224	allyl	$4\text{-}COOCF_3$
A-1225	allyl	$5\text{-}COOCF_3$
A-1226	allyl	$6\text{-}COOCF_3$
A-1227	allyl	$7\text{-}COOCF_3$

No.	R^2	R^1
A-1228	allyl	4-$CONH_2$
A-1229	allyl	5-$CONH_2$
A-1230	allyl	6-$CONH_2$
A-1231	allyl	7-$CONH_2$
A-1232	allyl	4-$CONHCH_3$
A-1233	allyl	5-$CONHCH_3$
A-1234	allyl	6-$CONHCH_3$
A-1235	allyl	7-$CONHCH_3$
A-1236	allyl	4-$CON(CH_3)_2$
A-1237	allyl	5-$CON(CH_3)_2$
A-1238	allyl	6-$CON(CH_3)_2$
A-1239	allyl	7-$CON(CH_3)_2$
A-1240	allyl	4-$CONHCH_2CH_3$
A-1241	allyl	5-$CONHCH_2CH_3$
A-1242	allyl	6-$CONHCH_2CH_3$
A-1243	allyl	7-$CONHCH_2CH_3$
A-1244	allyl	4-$CON(CH_2CH_3)_2$
A-1245	allyl	5-$CON(CH_2CH_3)_2$
A-1246	allyl	6-$CON(CH_2CH_3)_2$
A-1247	allyl	7-$CON(CH_2CH_3)_2$
A-1248	allyl	4-A-1
A-1249	allyl	5-A-1
A-1250	allyl	6-A-1
A-1251	allyl	7-A-1
A-1252	allyl	4-A-2
A-1253	allyl	5-A-2
A-1254	allyl	6-A-2
A-1255	allyl	7-A-2
A-1256	allyl	4-A-3
A-1257	allyl	5-A-3
A-1258	allyl	6-A-3
A-1259	allyl	7-A-3
A-1260	allyl	4-A-4
A-1261	allyl	5-A-4

No.	R^2	R^1
A-1262	allyl	6-A-4
A-1263	allyl	7-A-4
A-1264	allyl	4-A-5
A-1265	allyl	5-A-5
A-1266	allyl	6-A-5
A-1267	allyl	7-A-5
A-1268	allyl	4-A-6
A-1269	allyl	5-A-6
A-1270	allyl	6-A-6
A-1271	allyl	7-A-6
A-1272	allyl	4-A-7
A-1273	allyl	5-A-7
A-1274	allyl	6-A-7
A-1275	allyl	7-A-7
A-1276	allyl	4-A-8
A-1277	allyl	5-A-8
A-1278	allyl	6-A-8
A-1279	allyl	7-A-8
A-1280	allyl	4-A-9
A-1281	allyl	5-A-9
A-1282	allyl	6-A-9
A-1283	allyl	7-A-9
A-1284	allyl	4-A-10
A-1285	allyl	5-A-10
A-1286	allyl	6-A-10
A-1287	allyl	7-A-10
A-1288	allyl	4-A-11
A-1289	allyl	5-A-11
A-1290	allyl	6-A-11
A-1291	allyl	7-A-11
A-1292	allyl	4-A-12
A-1293	allyl	5-A-12
A-1294	allyl	6-A-12
A-1295	allyl	7-A-12

No.	R^2	R^1
A-1296	allyl	4-A-13
A-1297	allyl	5-A-13
A-1298	allyl	6-A-13
A-1299	allyl	7-A-13
A-1300	allyl	4-A-14
A-1301	allyl	5-A-14
A-1302	allyl	6-A-14
A-1303	allyl	7-A-14
A-1304	allyl	4-A-15
A-1305	allyl	5-A-15
A-1306	allyl	6-A-15
A-1307	allyl	7-A-15
A-1308	allyl	4-A-16
A-1309	allyl	5-A-16
A-1310	allyl	6-A-16
A-1311	allyl	7-A-16
A-1312	allyl	4-A-17
A-1313	allyl	5-A-17
A-1314	allyl	6-A-17
A-1315	allyl	7-A-17
A-1316	allyl	4-A-18
A-1317	allyl	5-A-18
A-1318	allyl	6-A-18
A-1319	allyl	7-A-18
A-1320	allyl	4-A-19
A-1321	allyl	5-A-19
A-1322	allyl	6-A-19
A-1323	allyl	7-A-19
A-1324	allyl	4-A-20
A-1325	allyl	5-A-20
A-1326	allyl	6-A-20
A-1327	allyl	7-A-20
A-1328	allyl	4-A-21
A-1329	allyl	5-A-21

No.	R^2	R^1
A-1330	allyl	6-A-21
A-1331	allyl	7-A-21
A-1332	allyl	4-A-22
A-1333	allyl	5-A-22
A-1334	allyl	6-A-22
A-1335	allyl	7-A-22
A-1336	allyl	4-A-23
A-1337	allyl	5-A-23
A-1338	allyl	6-A-23
A-1339	allyl	7-A-23
A-1340	allyl	4-A-24
A-1341	allyl	5-A-24
A-1342	allyl	6-A-24
A-1343	allyl	7-A-24
A-1344	allyl	4-A-25
A-1345	allyl	5-A-25
A-1346	allyl	6-A-25
A-1347	allyl	7-A-25
A-1348	allyl	4-A-26
A-1349	allyl	5-A-26
A-1350	allyl	6-A-26
A-1351	allyl	7-A-26
A-1352	allyl	4-A-27
A-1353	allyl	5-A-27
A-1354	allyl	6-A-27
A-1355	allyl	7-A-27
A-1356	allyl	4-A-28
A-1357	allyl	5-A-28
A-1358	allyl	6-A-28
A-1359	allyl	7-A-28
A-1360	allyl	4-A-29
A-1361	allyl	5-A-29
A-1362	allyl	6-A-29
A-1363	allyl	7-A-29

No.	R^2	R^1
A-1364	allyl	4-A-30
A-1365	allyl	5-A-30
A-1366	allyl	6-A-30
A-1367	allyl	7-A-30
A-1368	allyl	4-A-31
A-1369	allyl	5-A-31
A-1370	allyl	6-A-31
A-1371	allyl	7-A-31
A-1372	allyl	4-A-32
A-1373	allyl	5-A-32
A-1374	allyl	6-A-32
A-1375	allyl	7-A-32
A-1376	allyl	4-A-33
A-1377	allyl	5-A-33
A-1378	allyl	6-A-33
A-1379	allyl	7-A-33
A-1380	allyl	4-A-34
A-1381	allyl	5-A-34
A-1382	allyl	6-A-34
A-1383	allyl	7-A-34
A-1384	allyl	4-A-35
A-1385	allyl	5-A-35
A-1386	allyl	6-A-35
A-1387	allyl	7-A-35
A-1388	allyl	4-A-36
A-1389	allyl	5-A-36
A-1390	allyl	6-A-36
A-1391	allyl	7-A-36
A-1392	allyl	4-A-37
A-1393	allyl	5-A-37
A-1394	allyl	6-A-37
A-1395	allyl	7-A-37
A-1396	allyl	4-A-38
A-1397	allyl	5-A-38

No.	R^2	R^1
A-1398	allyl	6-A-38
A-1399	allyl	7-A-38
A-1400	allyl	4-A-39
A-1401	allyl	5-A-39
A-1402	allyl	6-A-39
A-1403	allyl	7-A-39
A-1404	allyl	4-A-40
A-1405	allyl	5-A-40
A-1406	allyl	6-A-40
A-1407	allyl	7-A-40
A-1408	allyl	4-A-41
A-1409	allyl	5-A-41
A-1410	allyl	6-A-41
A-1411	allyl	7-A-41
A-1412	allyl	4-A-42
A-1413	allyl	5-A-42
A-1414	allyl	6-A-42
A-1415	allyl	7-A-42
A-1416	allyl	4-A-43
A-1417	allyl	5-A-43
A-1418	allyl	6-A-43
A-1419	allyl	7-A-43
A-1420	allyl	4-A-44
A-1421	allyl	5-A-44
A-1422	allyl	6-A-44
A-1423	allyl	7-A-44
A-1424	allyl	4-A-45
A-1425	allyl	5-A-45
A-1426	allyl	6-A-45
A-1427	allyl	7-A-45
A-1428	allyl	4-A-46
A-1429	allyl	5-A-46
A-1430	allyl	6-A-46
A-1431	allyl	7-A-46

No.	R^2	R^1
A-1432	allyl	4-A-47
A-1433	allyl	5-A-47
A-1434	allyl	6-A-47
A-1435	allyl	7-A-47
A-1436	allyl	4-A-48
A-1437	allyl	5-A-48
A-1438	allyl	6-A-48
A-1439	allyl	7-A-48
A-1440	allyl	4-A-49
A-1441	allyl	5-A-49
A-1442	allyl	6-A-49
A-1443	allyl	7-A-49
A-1444	allyl	4-A-50
A-1445	allyl	5-A-50
A-1446	allyl	6-A-50
A-1447	allyl	7-A-50
A-1448	allyl	4-A-51
A-1449	allyl	5-A-51
A-1450	allyl	6-A-51
A-1451	allyl	7-A-51
A-1452	allyl	4-A-52
A-1453	allyl	5-A-52
A-1454	allyl	6-A-52
A-1455	allyl	7-A-52
A-1456	allyl	4-A-53
A-1457	allyl	5-A-53
A-1458	allyl	6-A-53
A-1459	allyl	7-A-53
A-1460	allyl	4-A-54
A-1461	allyl	5-A-54
A-1462	allyl	6-A-54
A-1463	allyl	7-A-54
A-1464	allyl	4-A-55
A-1465	allyl	5-A-55

No.	R^2	R^1
A-1466	allyl	6-A-55
A-1467	allyl	7-A-55
A-1468	allyl	4-A-56
A-1469	allyl	5-A-56
A-1470	allyl	6-A-56
A-1471	allyl	7-A-56
A-1472	allyl	4-A-57
A-1473	allyl	5-A-57
A-1474	allyl	6-A-57
A-1475	allyl	7-A-57
A-1476	allyl	4-A-58
A-1477	allyl	5-A-58
A-1478	allyl	6-A-58
A-1479	allyl	7-A-58
A-1480	allyl	4-A-59
A-1481	allyl	5-A-59
A-1482	allyl	6-A-59
A-1483	allyl	7-A-59
A-1484	allyl	4-A-60
A-1485	allyl	5-A-60
A-1486	allyl	6-A-60
A-1487	allyl	7-A-60
A-1488	allyl	4-A-61
A-1489	allyl	5-A-61
A-1490	allyl	6-A-61
A-1491	allyl	7-A-61
A-1492	allyl	4-A-62
A-1493	allyl	5-A-62
A-1494	allyl	6-A-62
A-1495	allyl	7-A-62
A-1496	allyl	4-A-63
A-1497	allyl	5-A-63
A-1498	allyl	6-A-63
A-1499	allyl	7-A-63

No.	R^2	R^1
A-1500	allyl	4-A-64
A-1501	allyl	5-A-64
A-1502	allyl	6-A-64
A-1503	allyl	7-A-64
A-1504	allyl	4-A-65
A-1505	allyl	5-A-65
A-1506	allyl	6-A-65
A-1507	allyl	7-A-65
A-1508	allyl	4-A-66
A-1509	allyl	5-A-66
A-1510	allyl	6-A-66
A-1511	allyl	7-A-66
A-1512	allyl	4-A-67
A-1513	allyl	5-A-67
A-1514	allyl	6-A-67
A-1515	allyl	7-A-67
A-1516	allyl	4-A-68
A-1517	allyl	5-A-68
A-1518	allyl	6-A-68
A-1519	allyl	7-A-68
A-1520	allyl	4-A-69
A-1521	allyl	5-A-69
A-1522	allyl	6-A-69
A-1523	allyl	7-A-69
A-1524	allyl	4-A-70
A-1525	allyl	5-A-70
A-1526	allyl	6-A-70
A-1527	allyl	7-A-70
A-1528	allyl	4-A-71
A-1529	allyl	5-A-71
A-1530	allyl	6-A-71
A-1531	allyl	7-A-71
A-1532	allyl	4-A-72
A-1533	allyl	5-A-72

No.	R^2	R^1
A-1534	allyl	6-A-72
A-1535	allyl	7-A-72
A-1536	allyl	4-A-73
A-1537	allyl	5-A-73
A-1538	allyl	6-A-73
A-1539	allyl	7-A-73
A-1540	allyl	4-A-74
A-1541	allyl	5-A-74
A-1542	allyl	6-A-74
A-1543	allyl	7-A-74
A-1544	allyl	4-A-75
A-1545	allyl	5-A-75
A-1546	allyl	6-A-75
A-1547	allyl	7-A-75
A-1548	allyl	4-A-76
A-1549	allyl	5-A-76
A-1550	allyl	6-A-76
A-1551	allyl	7-A-76
A-1552	allyl	4-A-77
A-1553	allyl	5-A-77
A-1554	allyl	6-A-77
A-1555	allyl	7-A-77
A-1556	allyl	4-A-78
A-1557	allyl	5-A-78
A-1558	allyl	6-A-78
A-1559	allyl	7-A-78
A-1560	allyl	4-A-79
A-1561	allyl	5-A-79
A-1562	allyl	6-A-79
A-1563	allyl	7-A-79
A-1564	allyl	4-A-80
A-1565	allyl	5-A-80
A-1566	allyl	6-A-80
A-1567	allyl	7-A-80

No.	R^2	R^1
A-1568	allyl	4-A-81
A-1569	allyl	5-A-81
A-1570	allyl	6-A-81
A-1571	allyl	7-A-81
A-1572	allyl	4-A-82
A-1573	allyl	5-A-82
A-1574	allyl	6-A-82
A-1575	allyl	7-A-82
A-1576	allyl	4-A-83
A-1577	allyl	5-A-83
A-1578	allyl	6-A-83
A-1579	allyl	7-A-83
A-1580	allyl	4-A-84
A-1581	allyl	5-A-84
A-1582	allyl	6-A-84
A-1583	allyl	7-A-84
A-1584	allyl	4-A-85
A-1585	allyl	5-A-85
A-1586	allyl	6-A-85
A-1587	allyl	7-A-85
A-1588	allyl	4-A-86
A-1589	allyl	5-A-86
A-1590	allyl	6-A-86
A-1591	allyl	7-A-86
A-1592	allyl	4-A-87
A-1593	allyl	5-A-87
A-1594	allyl	6-A-87
A-1595	allyl	7-A-87
A-1596	allyl	4-A-88
A-1597	allyl	5-A-88
A-1598	allyl	6-A-88
A-1599	allyl	7-A-88
A-1600	allyl	4-A-89
A-1601	allyl	5-A-89

No.	R^2	R^1
A-1602	allyl	6-A-89
A-1603	allyl	7-A-89
A-1604	allyl	4-A-90
A-1605	allyl	5-A-90
A-1606	allyl	6-A-90
A-1607	allyl	7-A-90
A-1608	allyl	4-A-91
A-1609	allyl	5-A-91
A-1610	allyl	6-A-91
A-1611	allyl	7-A-91
A-1612	allyl	4-A-92
A-1613	allyl	5-A-92
A-1614	allyl	6-A-92
A-1615	allyl	7-A-92
A-1616	allyl	4-A-93
A-1617	allyl	5-A-93
A-1618	allyl	6-A-93
A-1619	allyl	7-A-93
A-1620	allyl	4-A-94
A-1621	allyl	5-A-94
A-1622	allyl	6-A-94
A-1623	allyl	7-A-94
A-1624	allyl	4-A-95
A-1625	allyl	5-A-95
A-1626	allyl	6-A-95
A-1627	allyl	7-A-95
A-1628	allyl	4-A-96
A-1629	allyl	5-A-96
A-1630	allyl	6-A-96
A-1631	allyl	7-A-96
A-1632	allyl	4-A-97
A-1633	allyl	5-A-97
A-1634	allyl	6-A-97
A-1635	allyl	7-A-97

No.	R^2	R^1
A-1636	allyl	4-A-98
A-1637	allyl	5-A-98
A-1638	allyl	6-A-98
A-1639	allyl	7-A-98
A-1640	allyl	4-A-99
A-1641	allyl	5-A-99
A-1642	allyl	6-A-99
A-1643	allyl	7-A-99
A-1644	allyl	4-A-100
A-1645	allyl	5-A-100
A-1646	allyl	6-A-100
A-1647	allyl	7-A-100
A-1648	allyl	4-A-101
A-1649	allyl	5-A-101
A-1650	allyl	6-A-101
A-1651	allyl	7-A-101
A-1652	allyl	4-A-102
A-1653	allyl	5-A-102
A-1654	allyl	6-A-102
A-1655	allyl	7-A-102
A-1656	allyl	4-A-103
A-1657	allyl	5-A-103
A-1658	allyl	6-A-103
A-1659	allyl	7-A-103
A-1660	allyl	4-A-104
A-1661	allyl	5-A-104
A-1662	allyl	6-A-104
A-1663	allyl	7-A-104
A-1664	allyl	4-A-104
A-1665	allyl	5-A-104
A-1666	allyl	6-A-104
A-1667	allyl	7-A-104
A-1668	allyl	4-A-105
A-1669	allyl	5-A-105

No.	R^2	R^1
A-1670	allyl	6-A-105
A-1671	allyl	7-A-105
A-1672	allyl	4-A-106
A-1673	allyl	5-A-106
A-1674	allyl	6-A-106
A-1675	allyl	7-A-106
A-1676	allyl	4-A-107
A-1677	allyl	5-A-107
A-1678	allyl	6-A-107
A-1679	allyl	7-A-107
A-1680	allyl	4-A-108
A-1681	allyl	5-A-108
A-1682	allyl	6-A-108
A-1683	allyl	7-A-108
A-1684	allyl	4-A-109
A-1685	allyl	5-A-109
A-1686	allyl	6-A-109
A-1687	allyl	7-A-109
A-1688	allyl	4-A-110
A-1689	allyl	5-A-110
A-1690	allyl	6-A-110
A-1691	allyl	7-A-110
A-1692	allyl	4-A-111
A-1693	allyl	5-A-111
A-1694	allyl	6-A-111
A-1695	allyl	7-A-111
A-1696	CH_2CHF_2	H
A-1697	CH_2CHF_2	4-Cl
A-1698	CH_2CHF_2	5-Cl
A-1699	CH_2CHF_2	6-Cl
A-1700	CH_2CHF_2	7-Cl
A-1701	CH_2CHF_2	4-Br
A-1702	CH_2CHF_2	5-Br
A-1703	CH_2CHF_2	6-Br

No.	R^2	R^1
A-1704	CH_2CHF_2	7-Br
A-1705	CH_2CHF_2	4-CN
A-1706	CH_2CHF_2	5-CN
A-1707	CH_2CHF_2	6-CN
A-1708	CH_2CHF_2	7-CN
A-1709	CH_2CHF_2	4-OH
A-1710	CH_2CHF_2	5-OH
A-1711	CH_2CHF_2	6-OH
A-1712	CH_2CHF_2	7-OH
A-1713	CH_2CHF_2	4-methyl
A-1714	CH_2CHF_2	5-methyl
A-1715	CH_2CHF_2	6-methyl
A-1716	CH_2CHF_2	7-methyl
A-1717	CH_2CHF_2	4-ethyl
A-1718	CH_2CHF_2	5-ethyl
A-1719	CH_2CHF_2	6-ethyl
A-1720	CH_2CHF_2	7-ethyl
A-1721	CH_2CHF_2	4-propyl
A-1722	CH_2CHF_2	5-propyl
A-1723	CH_2CHF_2	6-propyl
A-1724	CH_2CHF_2	7-propyl
A-1725	CH_2CHF_2	4-isopropyl
A-1726	CH_2CHF_2	5-isopropyl
A-1727	CH_2CHF_2	6-isopropyl
A-1728	CH_2CHF_2	7-isopropyl
A-1729	CH_2CHF_2	4-hydroxymethyl
A-1730	CH_2CHF_2	5-hydroxymethyl
A-1731	CH_2CHF_2	6-hydroxymethyl
A-1732	CH_2CHF_2	7-hydroxymethyl
A-1733	CH_2CHF_2	4-(2-hydroxyethyl)
A-1734	CH_2CHF_2	5-(2-hydroxyethyl)
A-1735	CH_2CHF_2	6-(2-hydroxyethyl)
A-1736	CH_2CHF_2	7-(2-hydroxyethyl)
A-1737	CH_2CHF_2	4-(1-hydroxyethyl)

No.	R^2	R^1
A-1738	CH_2CHF_2	5-(1-hydroxyethyl)
A-1739	CH_2CHF_2	6-(1-hydroxyethyl)
A-1740	CH_2CHF_2	7-(1-hydroxyethyl)
A-1741	CH_2CHF_2	4-(3-hydroxypropyl)
A-1742	CH_2CHF_2	5-(3-hydroxypropyl)
A-1743	CH_2CHF_2	6-(3-hydroxypropyl)
A-1744	CH_2CHF_2	7-(3-hydroxypropyl)
A-1745	CH_2CHF_2	4-(2-hydroxypropyl)
A-1746	CH_2CHF_2	5-(2-hydroxypropyl)
A-1747	CH_2CHF_2	6-(2-hydroxypropyl)
A-1748	CH_2CHF_2	7-(2-hydroxypropyl)
A-1749	CH_2CHF_2	4-(1-hydroxypropyl)
A-1750	CH_2CHF_2	5-(1-hydroxypropyl)
A-1751	CH_2CHF_2	6-(1-hydroxypropyl)
A-1752	CH_2CHF_2	7-(1-hydroxypropyl)
A-1753	CH_2CHF_2	4-aminomethyl
A-1754	CH_2CHF_2	5-aminomethyl
A-1755	CH_2CHF_2	6-aminomethyl
A-1756	CH_2CHF_2	7-aminomethyl
A-1757	CH_2CHF_2	4-(2-aminoethyl)
A-1758	CH_2CHF_2	5-(2-aminoethyl)
A-1759	CH_2CHF_2	6-(2-aminoethyl)
A-1760	CH_2CHF_2	7-(2-aminoethyl)
A-1761	CH_2CHF_2	4-(1-aminoethyl)
A-1762	CH_2CHF_2	5-(1-aminoethyl)
A-1763	CH_2CHF_2	6-(1-aminoethyl)
A-1764	CH_2CHF_2	7-(1-aminoethyl)
A-1765	CH_2CHF_2	4-(3-aminopropyl)
A-1766	CH_2CHF_2	5-(3-aminopropyl)
A-1767	CH_2CHF_2	6-(3-aminopropyl)
A-1768	CH_2CHF_2	7-(3-aminopropyl)
A-1769	CH_2CHF_2	4-(2-aminopropyl)
A-1770	CH_2CHF_2	5-(2-aminopropyl)
A-1771	CH_2CHF_2	6-(2-aminopropyl)

No.	R^2	R^1
A-1772	CH_2CHF_2	7-(2-aminopropyl)
A-1773	CH_2CHF_2	4-(1-aminopropyl)
A-1774	CH_2CHF_2	5-(1-aminopropyl)
A-1775	CH_2CHF_2	6-(1-aminopropyl)
A-1776	CH_2CHF_2	7-(1-aminopropyl)
A-1777	CH_2CHF_2	4-COOH
A-1778	CH_2CHF_2	5-COOH
A-1779	CH_2CHF_2	6-COOH
A-1780	CH_2CHF_2	7-COOH
A-1781	CH_2CHF_2	4-$COOCH_3$
A-1782	CH_2CHF_2	5-$COOCH_3$
A-1783	CH_2CHF_2	6-$COOCH_3$
A-1784	CH_2CHF_2	7-$COOCH_3$
A-1785	CH_2CHF_2	4-$COOCH_2CH_3$
A-1786	CH_2CHF_2	5-$COOCH_2CH_3$
A-1787	CH_2CHF_2	6-$COOCH_2CH_3$
A-1788	CH_2CHF_2	7-$COOCH_2CH_3$
A-1789	CH_2CHF_2	4-$COOCF_3$
A-1790	CH_2CHF_2	5-$COOCF_3$
A-1791	CH_2CHF_2	6-$COOCF_3$
A-1792	CH_2CHF_2	7-$COOCF_3$
A-1793	CH_2CHF_2	4-$CONH_2$
A-1794	CH_2CHF_2	5-$CONH_2$
A-1795	CH_2CHF_2	6-$CONH_2$
A-1796	CH_2CHF_2	7-$CONH_2$
A-1797	CH_2CHF_2	4-$CONHCH_3$
A-1798	CH_2CHF_2	5-$CONHCH_3$
A-1799	CH_2CHF_2	6-$CONHCH_3$
A-1800	CH_2CHF_2	7-$CONHCH_3$
A-1801	CH_2CHF_2	4-$CON(CH_3)_2$
A-1802	CH_2CHF_2	5-$CON(CH_3)_2$
A-1803	CH_2CHF_2	6-$CON(CH_3)_2$
A-1804	CH_2CHF_2	7-$CON(CH_3)_2$
A-1805	CH_2CHF_2	4-$CONHCH_2CH_3$

No.	R^2	R^1
A-1806	CH_2CHF_2	5-$CONHCH_2CH_3$
A-1807	CH_2CHF_2	6-$CONHCH_2CH_3$
A-1808	CH_2CHF_2	7-$CONHCH_2CH_3$
A-1809	CH_2CHF_2	4-$CON(CH_2CH_3)_2$
A-1810	CH_2CHF_2	5-$CON(CH_2CH_3)_2$
A-1811	CH_2CHF_2	6-$CON(CH_2CH_3)_2$
A-1812	CH_2CHF_2	7-$CON(CH_2CH_3)_2$
A-1813	CH_2CHF_2	4-A-1
A-1814	CH_2CHF_2	5-A-1
A-1815	CH_2CHF_2	6-A-1
A-1816	CH_2CHF_2	7-A-1
A-1817	CH_2CHF_2	4-A-2
A-1818	CH_2CHF_2	5-A-2
A-1819	CH_2CHF_2	6-A-2
A-1820	CH_2CHF_2	7-A-2
A-1821	CH_2CHF_2	4-A-3
A-1822	CH_2CHF_2	5-A-3
A-1823	CH_2CHF_2	6-A-3
A-1824	CH_2CHF_2	7-A-3
A-1825	CH_2CHF_2	4-A-4
A-1826	CH_2CHF_2	5-A-4
A-1827	CH_2CHF_2	6-A-4
A-1828	CH_2CHF_2	7-A-4
A-1829	CH_2CHF_2	4-A-5
A-1830	CH_2CHF_2	5-A-5
A-1831	CH_2CHF_2	6-A-5
A-1832	CH_2CHF_2	7-A-5
A-1833	CH_2CHF_2	4-A-6
A-1834	CH_2CHF_2	5-A-6
A-1835	CH_2CHF_2	6-A-6
A-1836	CH_2CHF_2	7-A-6
A-1837	CH_2CHF_2	4-A-7
A-1838	CH_2CHF_2	5-A-7
A-1839	CH_2CHF_2	6-A-7

No.	R^2	R^1
A-1840	CH_2CHF_2	7-A-7
A-1841	CH_2CHF_2	4-A-8
A-1842	CH_2CHF_2	5-A-8
A-1843	CH_2CHF_2	6-A-8
A-1844	CH_2CHF_2	7-A-8
A-1845	CH_2CHF_2	4-A-9
A-1846	CH_2CHF_2	5-A-9
A-1847	CH_2CHF_2	6-A-9
A-1848	CH_2CHF_2	7-A-9
A-1849	CH_2CHF_2	4-A-10
A-1850	CH_2CHF_2	5-A-10
A-1851	CH_2CHF_2	6-A-10
A-1852	CH_2CHF_2	7-A-10
A-1853	CH_2CHF_2	4-A-11
A-1854	CH_2CHF_2	5-A-11
A-1855	CH_2CHF_2	6-A-11
A-1856	CH_2CHF_2	7-A-11
A-1857	CH_2CHF_2	4-A-12
A-1858	CH_2CHF_2	5-A-12
A-1859	CH_2CHF_2	6-A-12
A-1860	CH_2CHF_2	7-A-12
A-1861	CH_2CHF_2	4-A-13
A-1862	CH_2CHF_2	5-A-13
A-1863	CH_2CHF_2	6-A-13
A-1864	CH_2CHF_2	7-A-13
A-1865	CH_2CHF_2	4-A-14
A-1866	CH_2CHF_2	5-A-14
A-1867	CH_2CHF_2	6-A-14
A-1868	CH_2CHF_2	7-A-14
A-1869	CH_2CHF_2	4-A-15
A-1870	CH_2CHF_2	5-A-15
A-1871	CH_2CHF_2	6-A-15
A-1872	CH_2CHF_2	7-A-15
A-1873	CH_2CHF_2	4-A-16

No.	R^2	R^1
A-1874	CH_2CHF_2	5-A-16
A-1875	CH_2CHF_2	6-A-16
A-1876	CH_2CHF_2	7-A-16
A-1877	CH_2CHF_2	4-A-17
A-1878	CH_2CHF_2	5-A-17
A-1879	CH_2CHF_2	6-A-17
A-1880	CH_2CHF_2	7-A-17
A-1881	CH_2CHF_2	4-A-18
A-1882	CH_2CHF_2	5-A-18
A-1883	CH_2CHF_2	6-A-18
A-1884	CH_2CHF_2	7-A-18
A-1885	CH_2CHF_2	4-A-19
A-1886	CH_2CHF_2	5-A-19
A-1887	CH_2CHF_2	6-A-19
A-1888	CH_2CHF_2	7-A-19
A-1889	CH_2CHF_2	4-A-20
A-1890	CH_2CHF_2	5-A-20
A-1891	CH_2CHF_2	6-A-20
A-1892	CH_2CHF_2	7-A-20
A-1893	CH_2CHF_2	4-A-21
A-1894	CH_2CHF_2	5-A-21
A-1895	CH_2CHF_2	6-A-21
A-1896	CH_2CHF_2	7-A-21
A-1897	CH_2CHF_2	4-A-22
A-1898	CH_2CHF_2	5-A-22
A-1899	CH_2CHF_2	6-A-22
A-1900	CH_2CHF_2	7-A-22
A-1901	CH_2CHF_2	4-A-23
A-1902	CH_2CHF_2	5-A-23
A-1903	CH_2CHF_2	6-A-23
A-1904	CH_2CHF_2	7-A-23
A-1905	CH_2CHF_2	4-A-24
A-1906	CH_2CHF_2	5-A-24
A-1907	CH_2CHF_2	6-A-24

No.	R^2	R^1
A-1908	CH_2CHF_2	7-A-24
A-1909	CH_2CHF_2	4-A-25
A-1910	CH_2CHF_2	5-A-25
A-1911	CH_2CHF_2	6-A-25
A-1912	CH_2CHF_2	7-A-25
A-1913	CH_2CHF_2	4-A-26
A-1914	CH_2CHF_2	5-A-26
A-1915	CH_2CHF_2	6-A-26
A-1916	CH_2CHF_2	7-A-26
A-1917	CH_2CHF_2	4-A-27
A-1918	CH_2CHF_2	5-A-27
A-1919	CH_2CHF_2	6-A-27
A-1920	CH_2CHF_2	7-A-27
A-1921	CH_2CHF_2	4-A-28
A-1922	CH_2CHF_2	5-A-28
A-1923	CH_2CHF_2	6-A-28
A-1924	CH_2CHF_2	7-A-28
A-1925	CH_2CHF_2	4-A-29
A-1926	CH_2CHF_2	5-A-29
A-1927	CH_2CHF_2	6-A-29
A-1928	CH_2CHF_2	7-A-29
A-1929	CH_2CHF_2	4-A-30
A-1930	CH_2CHF_2	5-A-30
A-1931	CH_2CHF_2	6-A-30
A-1932	CH_2CHF_2	7-A-30
A-1933	CH_2CHF_2	4-A-31
A-1934	CH_2CHF_2	5-A-31
A-1935	CH_2CHF_2	6-A-31
A-1936	CH_2CHF_2	7-A-31
A-1937	CH_2CHF_2	4-A-32
A-1938	CH_2CHF_2	5-A-32
A-1939	CH_2CHF_2	6-A-32
A-1940	CH_2CHF_2	7-A-32
A-1941	CH_2CHF_2	4-A-33

No.	R^2	R^1
A-1942	CH_2CHF_2	5-A-33
A-1943	CH_2CHF_2	6-A-33
A-1944	CH_2CHF_2	7-A-33
A-1945	CH_2CHF_2	4-A-34
A-1946	CH_2CHF_2	5-A-34
A-1947	CH_2CHF_2	6-A-34
A-1948	CH_2CHF_2	7-A-34
A-1949	CH_2CHF_2	4-A-35
A-1950	CH_2CHF_2	5-A-35
A-1951	CH_2CHF_2	6-A-35
A-1952	CH_2CHF_2	7-A-35
A-1953	CH_2CHF_2	4-A-36
A-1954	CH_2CHF_2	5-A-36
A-1955	CH_2CHF_2	6-A-36
A-1956	CH_2CHF_2	7-A-36
A-1957	CH_2CHF_2	4-A-37
A-1958	CH_2CHF_2	5-A-37
A-1959	CH_2CHF_2	6-A-37
A-1960	CH_2CHF_2	7-A-37
A-1961	CH_2CHF_2	4-A-38
A-1962	CH_2CHF_2	5-A-38
A-1963	CH_2CHF_2	6-A-38
A-1964	CH_2CHF_2	7-A-38
A-1965	CH_2CHF_2	4-A-39
A-1966	CH_2CHF_2	5-A-39
A-1967	CH_2CHF_2	6-A-39
A-1968	CH_2CHF_2	7-A-39
A-1969	CH_2CHF_2	4-A-40
A-1970	CH_2CHF_2	5-A-40
A-1971	CH_2CHF_2	6-A-40
A-1972	CH_2CHF_2	7-A-40
A-1973	CH_2CHF_2	4-A-41
A-1974	CH_2CHF_2	5-A-41
A-1975	CH_2CHF_2	6-A-41

No.	R^2	R^1
A-1976	CH_2CHF_2	7-A-41
A-1977	CH_2CHF_2	4-A-42
A-1978	CH_2CHF_2	5-A-42
A-1979	CH_2CHF_2	6-A-42
A-1980	CH_2CHF_2	7-A-42
A-1981	CH_2CHF_2	4-A-43
A-1982	CH_2CHF_2	5-A-43
A-1983	CH_2CHF_2	6-A-43
A-1984	CH_2CHF_2	7-A-43
A-1985	CH_2CHF_2	4-A-44
A-1986	CH_2CHF_2	5-A-44
A-1987	CH_2CHF_2	6-A-44
A-1988	CH_2CHF_2	7-A-44
A-1989	CH_2CHF_2	4-A-45
A-1990	CH_2CHF_2	5-A-45
A-1991	CH_2CHF_2	6-A-45
A-1992	CH_2CHF_2	7-A-45
A-1993	CH_2CHF_2	4-A-46
A-1994	CH_2CHF_2	5-A-46
A-1995	CH_2CHF_2	6-A-46
A-1996	CH_2CHF_2	7-A-46
A-1997	CH_2CHF_2	4-A-47
A-1998	CH_2CHF_2	5-A-47
A-1999	CH_2CHF_2	6-A-47
A-2000	CH_2CHF_2	7-A-47
A-2001	CH_2CHF_2	4-A-48
A-2002	CH_2CHF_2	5-A-48
A-2003	CH_2CHF_2	6-A-48
A-2004	CH_2CHF_2	7-A-48
A-2005	CH_2CHF_2	4-A-49
A-2006	CH_2CHF_2	5-A-49
A-2007	CH_2CHF_2	6-A-49
A-2008	CH_2CHF_2	7-A-49
A-2009	CH_2CHF_2	4-A-50

No.	R^2	R^1
A-2010	CH_2CHF_2	5-A-50
A-2011	CH_2CHF_2	6-A-50
A-2012	CH_2CHF_2	7-A-50
A-2013	CH_2CHF_2	4-A-51
A-2014	CH_2CHF_2	5-A-51
A-2015	CH_2CHF_2	6-A-51
A-2016	CH_2CHF_2	7-A-51
A-2017	CH_2CHF_2	4-A-52
A-2018	CH_2CHF_2	5-A-52
A-2019	CH_2CHF_2	6-A-52
A-2020	CH_2CHF_2	7-A-52
A-2021	CH_2CHF_2	4-A-53
A-2022	CH_2CHF_2	5-A-53
A-2023	CH_2CHF_2	6-A-53
A-2024	CH_2CHF_2	7-A-53
A-2025	CH_2CHF_2	4-A-54
A-2026	CH_2CHF_2	5-A-54
A-2027	CH_2CHF_2	6-A-54
A-2028	CH_2CHF_2	7-A-54
A-2029	CH_2CHF_2	4-A-55
A-2030	CH_2CHF_2	5-A-55
A-2031	CH_2CHF_2	6-A-55
A-2032	CH_2CHF_2	7-A-55
A-2033	CH_2CHF_2	4-A-56
A-2034	CH_2CHF_2	5-A-56
A-2035	CH_2CHF_2	6-A-56
A-2036	CH_2CHF_2	7-A-56
A-2037	CH_2CHF_2	4-A-57
A-2038	CH_2CHF_2	5-A-57
A-2039	CH_2CHF_2	6-A-57
A-2040	CH_2CHF_2	7-A-57
A-2041	CH_2CHF_2	4-A-58
A-2042	CH_2CHF_2	5-A-58
A-2043	CH_2CHF_2	6-A-58

No.	R^2	R^1
A-2044	CH_2CHF_2	7-A-58
A-2045	CH_2CHF_2	4-A-59
A-2046	CH_2CHF_2	5-A-59
A-2047	CH_2CHF_2	6-A-59
A-2048	CH_2CHF_2	7-A-59
A-2049	CH_2CHF_2	4-A-60
A-2050	CH_2CHF_2	5-A-60
A-2051	CH_2CHF_2	6-A-60
A-2052	CH_2CHF_2	7-A-60
A-2053	CH_2CHF_2	4-A-61
A-2054	CH_2CHF_2	5-A-61
A-2055	CH_2CHF_2	6-A-61
A-2056	CH_2CHF_2	7-A-61
A-2057	CH_2CHF_2	4-A-62
A-2058	CH_2CHF_2	5-A-62
A-2059	CH_2CHF_2	6-A-62
A-2060	CH_2CHF_2	7-A-62
A-2061	CH_2CHF_2	4-A-63
A-2062	CH_2CHF_2	5-A-63
A-2063	CH_2CHF_2	6-A-63
A-2064	CH_2CHF_2	7-A-63
A-2065	CH_2CHF_2	4-A-64
A-2066	CH_2CHF_2	5-A-64
A-2067	CH_2CHF_2	6-A-64
A-2068	CH_2CHF_2	7-A-64
A-2069	CH_2CHF_2	4-A-65
A-2070	CH_2CHF_2	5-A-65
A-2071	CH_2CHF_2	6-A-65
A-2072	CH_2CHF_2	7-A-65
A-2073	CH_2CHF_2	4-A-66
A-2074	CH_2CHF_2	5-A-66
A-2075	CH_2CHF_2	6-A-66
A-2076	CH_2CHF_2	7-A-66
A-2077	CH_2CHF_2	4-A-67

No.	R^2	R^1
A-2078	CH_2CHF_2	5-A-67
A-2079	CH_2CHF_2	6-A-67
A-2080	CH_2CHF_2	7-A-67
A-2081	CH_2CHF_2	4-A-68
A-2082	CH_2CHF_2	5-A-68
A-2083	CH_2CHF_2	6-A-68
A-2084	CH_2CHF_2	7-A-68
A-2085	CH_2CHF_2	4-A-69
A-2086	CH_2CHF_2	5-A-69
A-2087	CH_2CHF_2	6-A-69
A-2088	CH_2CHF_2	7-A-69
A-2089	CH_2CHF_2	4-A-70
A-2090	CH_2CHF_2	5-A-70
A-2091	CH_2CHF_2	6-A-70
A-2092	CH_2CHF_2	7-A-70
A-2093	CH_2CHF_2	4-A-71
A-2094	CH_2CHF_2	5-A-71
A-2095	CH_2CHF_2	6-A-71
A-2096	CH_2CHF_2	7-A-71
A-2097	CH_2CHF_2	4-A-72
A-2098	CH_2CHF_2	5-A-72
A-2099	CH_2CHF_2	6-A-72
A-2100	CH_2CHF_2	7-A-72
A-2101	CH_2CHF_2	4-A-73
A-2102	CH_2CHF_2	5-A-73
A-2103	CH_2CHF_2	6-A-73
A-2104	CH_2CHF_2	7-A-73
A-2105	CH_2CHF_2	4-A-74
A-2106	CH_2CHF_2	5-A-74
A-2107	CH_2CHF_2	6-A-74
A-2108	CH_2CHF_2	7-A-74
A-2109	CH_2CHF_2	4-A-75
A-2110	CH_2CHF_2	5-A-75
A-2111	CH_2CHF_2	6-A-75

No.	R^2	R^1
A-2112	CH_2CHF_2	7-A-75
A-2113	CH_2CHF_2	4-A-76
A-2114	CH_2CHF_2	5-A-76
A-2115	CH_2CHF_2	6-A-76
A-2116	CH_2CHF_2	7-A-76
A-2117	CH_2CHF_2	4-A-77
A-2118	CH_2CHF_2	5-A-77
A-2119	CH_2CHF_2	6-A-77
A-2120	CH_2CHF_2	7-A-77
A-2121	CH_2CHF_2	4-A-78
A-2122	CH_2CHF_2	5-A-78
A-2123	CH_2CHF_2	6-A-78
A-2124	CH_2CHF_2	7-A-78
A-2125	CH_2CHF_2	4-A-79
A-2126	CH_2CHF_2	5-A-79
A-2127	CH_2CHF_2	6-A-79
A-2128	CH_2CHF_2	7-A-79
A-2129	CH_2CHF_2	4-A-80
A-2130	CH_2CHF_2	5-A-80
A-2131	CH_2CHF_2	6-A-80
A-2132	CH_2CHF_2	7-A-80
A-2133	CH_2CHF_2	4-A-81
A-2134	CH_2CHF_2	5-A-81
A-2135	CH_2CHF_2	6-A-81
A-2136	CH_2CHF_2	7-A-81
A-2137	CH_2CHF_2	4-A-82
A-2138	CH_2CHF_2	5-A-82
A-2139	CH_2CHF_2	6-A-82
A-2140	CH_2CHF_2	7-A-82
A-2141	CH_2CHF_2	4-A-83
A-2142	CH_2CHF_2	5-A-83
A-2143	CH_2CHF_2	6-A-83
A-2144	CH_2CHF_2	7-A-83
A-2145	CH_2CHF_2	4-A-84

No.	R^2	R^1
A-2146	CH_2CHF_2	5-A-84
A-2147	CH_2CHF_2	6-A-84
A-2148	CH_2CHF_2	7-A-84
A-2149	CH_2CHF_2	4-A-85
A-2150	CH_2CHF_2	5-A-85
A-2151	CH_2CHF_2	6-A-85
A-2152	CH_2CHF_2	7-A-85
A-2153	CH_2CHF_2	4-A-86
A-2154	CH_2CHF_2	5-A-86
A-2155	CH_2CHF_2	6-A-86
A-2156	CH_2CHF_2	7-A-86
A-2157	CH_2CHF_2	4-A-87
A-2158	CH_2CHF_2	5-A-87
A-2159	CH_2CHF_2	6-A-87
A-2160	CH_2CHF_2	7-A-87
A-2161	CH_2CHF_2	4-A-88
A-2162	CH_2CHF_2	5-A-88
A-2163	CH_2CHF_2	6-A-88
A-2164	CH_2CHF_2	7-A-88
A-2165	CH_2CHF_2	4-A-89
A-2166	CH_2CHF_2	5-A-89
A-2167	CH_2CHF_2	6-A-89
A-2168	CH_2CHF_2	7-A-89
A-2169	CH_2CHF_2	4-A-90
A-2170	CH_2CHF_2	5-A-90
A-2171	CH_2CHF_2	6-A-90
A-2172	CH_2CHF_2	7-A-90
A-2173	CH_2CHF_2	4-A-91
A-2174	CH_2CHF_2	5-A-91
A-2175	CH_2CHF_2	6-A-91
A-2176	CH_2CHF_2	7-A-91
A-2177	CH_2CHF_2	4-A-92
A-2178	CH_2CHF_2	5-A-92
A-2179	CH_2CHF_2	6-A-92

No.	R^2	R^1
A-2180	CH_2CHF_2	7-A-92
A-2181	CH_2CHF_2	4-A-93
A-2182	CH_2CHF_2	5-A-93
A-2183	CH_2CHF_2	6-A-93
A-2184	CH_2CHF_2	7-A-93
A-2185	CH_2CHF_2	4-A-94
A-2186	CH_2CHF_2	5-A-94
A-2187	CH_2CHF_2	6-A-94
A-2188	CH_2CHF_2	7-A-94
A-2189	CH_2CHF_2	4-A-95
A-2190	CH_2CHF_2	5-A-95
A-2191	CH_2CHF_2	6-A-95
A-2192	CH_2CHF_2	7-A-95
A-2193	CH_2CHF_2	4-A-96
A-2194	CH_2CHF_2	5-A-96
A-2195	CH_2CHF_2	6-A-96
A-2196	CH_2CHF_2	7-A-96
A-2197	CH_2CHF_2	4-A-97
A-2198	CH_2CHF_2	5-A-97
A-2199	CH_2CHF_2	6-A-97
A-2200	CH_2CHF_2	7-A-97
A-2201	CH_2CHF_2	4-A-98
A-2202	CH_2CHF_2	5-A-98
A-2203	CH_2CHF_2	6-A-98
A-2204	CH_2CHF_2	7-A-98
A-2205	CH_2CHF_2	4-A-99
A-2206	CH_2CHF_2	5-A-99
A-2207	CH_2CHF_2	6-A-99
A-2208	CH_2CHF_2	7-A-99
A-2209	CH_2CHF_2	4-A-100
A-2210	CH_2CHF_2	5-A-100
A-2211	CH_2CHF_2	6-A-100
A-2212	CH_2CHF_2	7-A-100
A-2213	CH_2CHF_2	4-A-101

No.	R^2	R^1
A-2214	CH_2CHF_2	5-A-101
A-2215	CH_2CHF_2	6-A-101
A-2216	CH_2CHF_2	7-A-101
A-2217	CH_2CHF_2	4-A-102
A-2218	CH_2CHF_2	5-A-102
A-2219	CH_2CHF_2	6-A-102
A-2220	CH_2CHF_2	7-A-102
A-2221	CH_2CHF_2	4-A-103
A-2222	CH_2CHF_2	5-A-103
A-2223	CH_2CHF_2	6-A-103
A-2224	CH_2CHF_2	7-A-103
A-2225	CH_2CHF_2	4-A-104
A-2226	CH_2CHF_2	5-A-104
A-2227	CH_2CHF_2	6-A-104
A-2228	CH_2CHF_2	7-A-104
A-2229	CH_2CHF_2	4-A-104
A-2230	CH_2CHF_2	5-A-104
A-2231	CH_2CHF_2	6-A-104
A-2232	CH_2CHF_2	7-A-104
A-2233	CH_2CHF_2	4-A-105
A-2234	CH_2CHF_2	5-A-105
A-2235	CH_2CHF_2	6-A-105
A-2236	CH_2CHF_2	7-A-105
A-2237	CH_2CHF_2	4-A-106
A-2238	CH_2CHF_2	5-A-106
A-2239	CH_2CHF_2	6-A-106
A-2240	CH_2CHF_2	7-A-106
A-2241	CH_2CHF_2	4-A-107
A-2242	CH_2CHF_2	5-A-107
A-2243	CH_2CHF_2	6-A-107
A-2244	CH_2CHF_2	7-A-107
A-2245	CH_2CHF_2	4-A-108
A-2246	CH_2CHF_2	5-A-108
A-2247	CH_2CHF_2	6-A-108

No.	R^2	R^1
A-2248	CH_2CHF_2	7-A-108
A-2249	CH_2CHF_2	4-A-109
A-2250	CH_2CHF_2	5-A-109
A-2251	CH_2CHF_2	6-A-109
A-2252	CH_2CHF_2	7-A-109
A-2253	CH_2CHF_2	4-A-110
A-2254	CH_2CHF_2	5-A-110
A-2255	CH_2CHF_2	6-A-110
A-2256	CH_2CHF_2	7-A-110
A-2257	CH_2CHF_2	4-A-111
A-2258	CH_2CHF_2	5-A-111
A-2259	CH_2CHF_2	6-A-111
A-2260	CH_2CHF_2	7-A-111
A-2261	CH_3	H
A-2262	CH_3	4-Cl
A-2263	CH_3	5-Cl
A-2264	CH_3	6-Cl
A-2265	CH_3	7-Cl
A-2266	CH_3	4-Br
A-2267	CH_3	5-Br
A-2268	CH_3	6-Br
A-2269	CH_3	7-Br
A-2270	CH_3	4-CN
A-2271	CH_3	5-CN
A-2272	CH_3	6-CN
A-2273	CH_3	7-CN
A-2274	CH_3	4-OH
A-2275	CH_3	5-OH
A-2276	CH_3	6-OH
A-2277	CH_3	7-OH
A-2278	CH_3	4-methyl
A-2279	CH_3	5-methyl
A-2280	CH_3	6-methyl
A-2281	CH_3	7-methyl

No.	R^2	R^1
A-2282	CH_3	4-ethyl
A-2283	CH_3	5-ethyl
A-2284	CH_3	6-ethyl
A-2285	CH_3	7-ethyl
A-2286	CH_3	4-propyl
A-2287	CH_3	5-propyl
A-2288	CH_3	6-propyl
A-2289	CH_3	7-propyl
A-2290	CH_3	4-isopropyl
A-2291	CH_3	5-isopropyl
A-2292	CH_3	6-isopropyl
A-2293	CH_3	7-isopropyl
A-2294	CH_3	4-hydroxymethyl
A-2295	CH_3	5-hydroxymethyl
A-2296	CH_3	6-hydroxymethyl
A-2297	CH_3	7-hydroxymethyl
A-2298	CH_3	4-(2-hydroxyethyl)
A-2299	CH_3	5-(2-hydroxyethyl)
A-2300	CH_3	6-(2-hydroxyethyl)
A-2301	CH_3	7-(2-hydroxyethyl)
A-2302	CH_3	4-(1-hydroxyethyl)
A-2303	CH_3	5-(1-hydroxyethyl)
A-2304	CH_3	6-(1-hydroxyethyl)
A-2305	CH_3	7-(1-hydroxyethyl)
A-2306	CH_3	4-(3-hydroxypropyl)
A-2307	CH_3	5-(3-hydroxypropyl)
A-2308	CH_3	6-(3-hydroxypropyl)
A-2309	CH_3	7-(3-hydroxypropyl)
A-2310	CH_3	4-(2-hydroxypropyl)
A-2311	CH_3	5-(2-hydroxypropyl)
A-2312	CH_3	6-(2-hydroxypropyl)
A-2313	CH_3	7-(2-hydroxypropyl)
A-2314	CH_3	4-(1-hydroxypropyl)
A-2315	CH_3	5-(1-hydroxypropyl)

No.	R^2	R^1
A-2316	CH_3	6-(1-hydroxypropyl)
A-2317	CH_3	7-(1-hydroxypropyl)
A-2318	CH_3	4-aminomethyl
A-2319	CH_3	5-aminomethyl
A-2320	CH_3	6-aminomethyl
A-2321	CH_3	7-aminomethyl
A-2322	CH_3	4-(2-aminoethyl)
A-2323	CH_3	5-(2-aminoethyl)
A-2324	CH_3	6-(2-aminoethyl)
A-2325	CH_3	7-(2-aminoethyl)
A-2326	CH_3	4-(1-aminoethyl)
A-2327	CH_3	5-(1-aminoethyl)
A-2328	CH_3	6-(1-aminoethyl)
A-2329	CH_3	7-(1-aminoethyl)
A-2330	CH_3	4-(3-aminopropyl)
A-2331	CH_3	5-(3-aminopropyl)
A-2332	CH_3	6-(3-aminopropyl)
A-2333	CH_3	7-(3-aminopropyl)
A-2334	CH_3	4-(2-aminopropyl)
A-2335	CH_3	5-(2-aminopropyl)
A-2336	CH_3	6-(2-aminopropyl)
A-2337	CH_3	7-(2-aminopropyl)
A-2338	CH_3	4-(1-aminopropyl)
A-2339	CH_3	5-(1-aminopropyl)
A-2340	CH_3	6-(1-aminopropyl)
A-2341	CH_3	7-(1-aminopropyl)
A-2342	CH_3	4-COOH
A-2343	CH_3	5-COOH
A-2344	CH_3	6-COOH
A-2345	CH_3	7-COOH
A-2346	CH_3	4-$COOCH_3$
A-2347	CH_3	5-$COOCH_3$
A-2348	CH_3	6-$COOCH_3$
A-2349	CH_3	7-$COOCH_3$

No.	R^2	R^1
A-2350	CH_3	4-$COOCH_2CH_3$
A-2351	CH_3	5-$COOCH_2CH_3$
A-2352	CH_3	6-$COOCH_2CH_3$
A-2353	CH_3	7-$COOCH_2CH_3$
A-2354	CH_3	4-$COOCF_3$
A-2355	CH_3	5-$COOCF_3$
A-2356	CH_3	6-$COOCF_3$
A-2357	CH_3	7-$COOCF_3$
A-2358	CH_3	4-$CONH_2$
A-2359	CH_3	5-$CONH_2$
A-2360	CH_3	6-$CONH_2$
A-2361	CH_3	7-$CONH_2$
A-2362	CH_3	4-$CONHCH_3$
A-2363	CH_3	5-$CONHCH_3$
A-2364	CH_3	6-$CONHCH_3$
A-2365	CH_3	7-$CONHCH_3$
A-2366	CH_3	4-$CON(CH_3)_2$
A-2367	CH_3	5-$CON(CH_3)_2$
A-2368	CH_3	6-$CON(CH_3)_2$
A-2369	CH_3	7-$CON(CH_3)_2$
A-2370	CH_3	4-$CONHCH_2CH_3$
A-2371	CH_3	5-$CONHCH_2CH_3$
A-2372	CH_3	6-$CONHCH_2CH_3$
A-2373	CH_3	7-$CONHCH_2CH_3$
A-2374	CH_3	4-$CON(CH_2CH_3)_2$
A-2375	CH_3	5-$CON(CH_2CH_3)_2$
A-2376	CH_3	6-$CON(CH_2CH_3)_2$
A-2377	CH_3	7-$CON(CH_2CH_3)_2$
A-2378	CH_3	4-A-1
A-2379	CH_3	5-A-1
A-2380	CH_3	6-A-1
A-2381	CH_3	7-A-1
A-2382	CH_3	4-A-2
A-2383	CH_3	5-A-2

No.	R^2	R^1
A-2384	CH_3	6-A-2
A-2385	CH_3	7-A-2
A-2386	CH_3	4-A-3
A-2387	CH_3	5-A-3
A-2388	CH_3	6-A-3
A-2389	CH_3	7-A-3
A-2390	CH_3	4-A-4
A-2391	CH_3	5-A-4
A-2392	CH_3	6-A-4
A-2393	CH_3	7-A-4
A-2394	CH_3	4-A-5
A-2395	CH_3	5-A-5
A-2396	CH_3	6-A-5
A-2397	CH_3	7-A-5
A-2398	CH_3	4-A-6
A-2399	CH_3	5-A-6
A-2400	CH_3	6-A-6
A-2401	CH_3	7-A-6
A-2402	CH_3	4-A-7
A-2403	CH_3	5-A-7
A-2404	CH_3	6-A-7
A-2405	CH_3	7-A-7
A-2406	CH_3	4-A-8
A-2407	CH_3	5-A-8
A-2408	CH_3	6-A-8
A-2409	CH_3	7-A-8
A-2410	CH_3	4-A-9
A-2411	CH_3	5-A-9
A-2412	CH_3	6-A-9
A-2413	CH_3	7-A-9
A-2414	CH_3	4-A-10
A-2415	CH_3	5-A-10
A-2416	CH_3	6-A-10
A-2417	CH_3	7-A-10

No.	R^2	R^1
A-2418	CH_3	4-A-11
A-2419	CH_3	5-A-11
A-2420	CH_3	6-A-11
A-2421	CH_3	7-A-11
A-2422	CH_3	4-A-12
A-2423	CH_3	5-A-12
A-2424	CH_3	6-A-12
A-2425	CH_3	7-A-12
A-2426	CH_3	4-A-13
A-2427	CH_3	5-A-13
A-2428	CH_3	6-A-13
A-2429	CH_3	7-A-13
A-2430	CH_3	4-A-14
A-2431	CH_3	5-A-14
A-2432	CH_3	6-A-14
A-2433	CH_3	7-A-14
A-2434	CH_3	4-A-15
A-2435	CH_3	5-A-15
A-2436	CH_3	6-A-15
A-2437	CH_3	7-A-15
A-2438	CH_3	4-A-16
A-2439	CH_3	5-A-16
A-2440	CH_3	6-A-16
A-2441	CH_3	7-A-16
A-2442	CH_3	4-A-17
A-2443	CH_3	5-A-17
A-2444	CH_3	6-A-17
A-2445	CH_3	7-A-17
A-2446	CH_3	4-A-18
A-2447	CH_3	5-A-18
A-2448	CH_3	6-A-18
A-2449	CH_3	7-A-18
A-2450	CH_3	4-A-19
A-2451	CH_3	5-A-19

No.	R^2	R^1
A-2452	CH_3	6-A-19
A-2453	CH_3	7-A-19
A-2454	CH_3	4-A-20
A-2455	CH_3	5-A-20
A-2456	CH_3	6-A-20
A-2457	CH_3	7-A-20
A-2458	CH_3	4-A-21
A-2459	CH_3	5-A-21
A-2460	CH_3	6-A-21
A-2461	CH_3	7-A-21
A-2462	CH_3	4-A-22
A-2463	CH_3	5-A-22
A-2464	CH_3	6-A-22
A-2465	CH_3	7-A-22
A-2466	CH_3	4-A-23
A-2467	CH_3	5-A-23
A-2468	CH_3	6-A-23
A-2469	CH_3	7-A-23
A-2470	CH_3	4-A-24
A-2471	CH_3	5-A-24
A-2472	CH_3	6-A-24
A-2473	CH_3	7-A-24
A-2474	CH_3	4-A-25
A-2475	CH_3	5-A-25
A-2476	CH_3	6-A-25
A-2477	CH_3	7-A-25
A-2478	CH_3	4-A-26
A-2479	CH_3	5-A-26
A-2480	CH_3	6-A-26
A-2481	CH_3	7-A-26
A-2482	CH_3	4-A-27
A-2483	CH_3	5-A-27
A-2484	CH_3	6-A-27
A-2485	CH_3	7-A-27

No.	R^2	R^1
A-2486	CH_3	4-A-28
A-2487	CH_3	5-A-28
A-2488	CH_3	6-A-28
A-2489	CH_3	7-A-28
A-2490	CH_3	4-A-29
A-2491	CH_3	5-A-29
A-2492	CH_3	6-A-29
A-2493	CH_3	7-A-29
A-2494	CH_3	4-A-30
A-2495	CH_3	5-A-30
A-2496	CH_3	6-A-30
A-2497	CH_3	7-A-30
A-2498	CH_3	4-A-31
A-2499	CH_3	5-A-31
A-2500	CH_3	6-A-31
A-2501	CH_3	7-A-31
A-2502	CH_3	4-A-32
A-2503	CH_3	5-A-32
A-2504	CH_3	6-A-32
A-2505	CH_3	7-A-32
A-2506	CH_3	4-A-33
A-2507	CH_3	5-A-33
A-2508	CH_3	6-A-33
A-2509	CH_3	7-A-33
A-2510	CH_3	4-A-34
A-2511	CH_3	5-A-34
A-2512	CH_3	6-A-34
A-2513	CH_3	7-A-34
A-2514	CH_3	4-A-35
A-2515	CH_3	5-A-35
A-2516	CH_3	6-A-35
A-2517	CH_3	7-A-35
A-2518	CH_3	4-A-36
A-2519	CH_3	5-A-36

No.	R^2	R^1
A-2520	CH_3	6-A-36
A-2521	CH_3	7-A-36
A-2522	CH_3	4-A-37
A-2523	CH_3	5-A-37
A-2524	CH_3	6-A-37
A-2525	CH_3	7-A-37
A-2526	CH_3	4-A-38
A-2527	CH_3	5-A-38
A-2528	CH_3	6-A-38
A-2529	CH_3	7-A-38
A-2530	CH_3	4-A-39
A-2531	CH_3	5-A-39
A-2532	CH_3	6-A-39
A-2533	CH_3	7-A-39
A-2534	CH_3	4-A-40
A-2535	CH_3	5-A-40
A-2536	CH_3	6-A-40
A-2537	CH_3	7-A-40
A-2538	CH_3	4-A-41
A-2539	CH_3	5-A-41
A-2540	CH_3	6-A-41
A-2541	CH_3	7-A-41
A-2542	CH_3	4-A-42
A-2543	CH_3	5-A-42
A-2544	CH_3	6-A-42
A-2545	CH_3	7-A-42
A-2546	CH_3	4-A-43
A-2547	CH_3	5-A-43
A-2548	CH_3	6-A-43
A-2549	CH_3	7-A-43
A-2550	CH_3	4-A-44
A-2551	CH_3	5-A-44
A-2552	CH_3	6-A-44
A-2553	CH_3	7-A-44

No.	R^2	R^1
A-2554	CH_3	4-A-45
A-2555	CH_3	5-A-45
A-2556	CH_3	6-A-45
A-2557	CH_3	7-A-45
A-2558	CH_3	4-A-46
A-2559	CH_3	5-A-46
A-2560	CH_3	6-A-46
A-2561	CH_3	7-A-46
A-2562	CH_3	4-A-47
A-2563	CH_3	5-A-47
A-2564	CH_3	6-A-47
A-2565	CH_3	7-A-47
A-2566	CH_3	4-A-48
A-2567	CH_3	5-A-48
A-2568	CH_3	6-A-48
A-2569	CH_3	7-A-48
A-2570	CH_3	4-A-49
A-2571	CH_3	5-A-49
A-2572	CH_3	6-A-49
A-2573	CH_3	7-A-49
A-2574	CH_3	4-A-50
A-2575	CH_3	5-A-50
A-2576	CH_3	6-A-50
A-2577	CH_3	7-A-50
A-2578	CH_3	4-A-51
A-2579	CH_3	5-A-51
A-2580	CH_3	6-A-51
A-2581	CH_3	7-A-51
A-2582	CH_3	4-A-52
A-2583	CH_3	5-A-52
A-2584	CH_3	6-A-52
A-2585	CH_3	7-A-52
A-2586	CH_3	4-A-53
A-2587	CH_3	5-A-53

No.	R^2	R^1
A-2588	CH_3	6-A-53
A-2589	CH_3	7-A-53
A-2590	CH_3	4-A-54
A-2591	CH_3	5-A-54
A-2592	CH_3	6-A-54
A-2593	CH_3	7-A-54
A-2594	CH_3	4-A-55
A-2595	CH_3	5-A-55
A-2596	CH_3	6-A-55
A-2597	CH_3	7-A-55
A-2598	CH_3	4-A-56
A-2599	CH_3	5-A-56
A-2600	CH_3	6-A-56
A-2601	CH_3	7-A-56
A-2602	CH_3	4-A-57
A-2603	CH_3	5-A-57
A-2604	CH_3	6-A-57
A-2605	CH_3	7-A-57
A-2606	CH_3	4-A-58
A-2607	CH_3	5-A-58
A-2608	CH_3	6-A-58
A-2609	CH_3	7-A-58
A-2610	CH_3	4-A-59
A-2611	CH_3	5-A-59
A-2612	CH_3	6-A-59
A-2613	CH_3	7-A-59
A-2614	CH_3	4-A-60
A-2615	CH_3	5-A-60
A-2616	CH_3	6-A-60
A-2617	CH_3	7-A-60
A-2618	CH_3	4-A-61
A-2619	CH_3	5-A-61
A-2620	CH_3	6-A-61
A-2621	CH_3	7-A-61

No.	R^2	R^1
A-2622	CH_3	4-A-62
A-2623	CH_3	5-A-62
A-2624	CH_3	6-A-62
A-2625	CH_3	7-A-62
A-2626	CH_3	4-A-63
A-2627	CH_3	5-A-63
A-2628	CH_3	6-A-63
A-2629	CH_3	7-A-63
A-2630	CH_3	4-A-64
A-2631	CH_3	5-A-64
A-2632	CH_3	6-A-64
A-2633	CH_3	7-A-64
A-2634	CH_3	4-A-65
A-2635	CH_3	5-A-65
A-2636	CH_3	6-A-65
A-2637	CH_3	7-A-65
A-2638	CH_3	4-A-66
A-2639	CH_3	5-A-66
A-2640	CH_3	6-A-66
A-2641	CH_3	7-A-66
A-2642	CH_3	4-A-67
A-2643	CH_3	5-A-67
A-2644	CH_3	6-A-67
A-2645	CH_3	7-A-67
A-2646	CH_3	4-A-68
A-2647	CH_3	5-A-68
A-2648	CH_3	6-A-68
A-2649	CH_3	7-A-68
A-2650	CH_3	4-A-69
A-2651	CH_3	5-A-69
A-2652	CH_3	6-A-69
A-2653	CH_3	7-A-69
A-2654	CH_3	4-A-70
A-2655	CH_3	5-A-70

No.	R^2	R^1
A-2656	CH_3	6-A-70
A-2657	CH_3	7-A-70
A-2658	CH_3	4-A-71
A-2659	CH_3	5-A-71
A-2660	CH_3	6-A-71
A-2661	CH_3	7-A-71
A-2662	CH_3	4-A-72
A-2663	CH_3	5-A-72
A-2664	CH_3	6-A-72
A-2665	CH_3	7-A-72
A-2666	CH_3	4-A-73
A-2667	CH_3	5-A-73
A-2668	CH_3	6-A-73
A-2669	CH_3	7-A-73
A-2670	CH_3	4-A-74
A-2671	CH_3	5-A-74
A-2672	CH_3	6-A-74
A-2673	CH_3	7-A-74
A-2674	CH_3	4-A-75
A-2675	CH_3	5-A-75
A-2676	CH_3	6-A-75
A-2677	CH_3	7-A-75
A-2678	CH_3	4-A-76
A-2679	CH_3	5-A-76
A-2680	CH_3	6-A-76
A-2681	CH_3	7-A-76
A-2682	CH_3	4-A-77
A-2683	CH_3	5-A-77
A-2684	CH_3	6-A-77
A-2685	CH_3	7-A-77
A-2686	CH_3	4-A-78
A-2687	CH_3	5-A-78
A-2688	CH_3	6-A-78
A-2689	CH_3	7-A-78

No.	R^2	R^1
A-2690	CH_3	4-A-79
A-2691	CH_3	5-A-79
A-2692	CH_3	6-A-79
A-2693	CH_3	7-A-79
A-2694	CH_3	4-A-80
A-2695	CH_3	5-A-80
A-2696	CH_3	6-A-80
A-2697	CH_3	7-A-80
A-2698	CH_3	4-A-81
A-2699	CH_3	5-A-81
A-2700	CH_3	6-A-81
A-2701	CH_3	7-A-81
A-2702	CH_3	4-A-82
A-2703	CH_3	5-A-82
A-2704	CH_3	6-A-82
A-2705	CH_3	7-A-82
A-2706	CH_3	4-A-83
A-2707	CH_3	5-A-83
A-2708	CH_3	6-A-83
A-2709	CH_3	7-A-83
A-2710	CH_3	4-A-84
A-2711	CH_3	5-A-84
A-2712	CH_3	6-A-84
A-2713	CH_3	7-A-84
A-2714	CH_3	4-A-85
A-2715	CH_3	5-A-85
A-2716	CH_3	6-A-85
A-2717	CH_3	7-A-85
A-2718	CH_3	4-A-86
A-2719	CH_3	5-A-86
A-2720	CH_3	6-A-86
A-2721	CH_3	7-A-86
A-2722	CH_3	4-A-87
A-2723	CH_3	5-A-87

No.	R^2	R^1
A-2724	CH_3	6-A-87
A-2725	CH_3	7-A-87
A-2726	CH_3	4-A-88
A-2727	CH_3	5-A-88
A-2728	CH_3	6-A-88
A-2729	CH_3	7-A-88
A-2730	CH_3	4-A-89
A-2731	CH_3	5-A-89
A-2732	CH_3	6-A-89
A-2733	CH_3	7-A-89
A-2734	CH_3	4-A-90
A-2735	CH_3	5-A-90
A-2736	CH_3	6-A-90
A-2737	CH_3	7-A-90
A-2738	CH_3	4-A-91
A-2739	CH_3	5-A-91
A-2740	CH_3	6-A-91
A-2741	CH_3	7-A-91
A-2742	CH_3	4-A-92
A-2743	CH_3	5-A-92
A-2744	CH_3	6-A-92
A-2745	CH_3	7-A-92
A-2746	CH_3	4-A-93
A-2747	CH_3	5-A-93
A-2748	CH_3	6-A-93
A-2749	CH_3	7-A-93
A-2750	CH_3	4-A-94
A-2751	CH_3	5-A-94
A-2752	CH_3	6-A-94
A-2753	CH_3	7-A-94
A-2754	CH_3	4-A-95
A-2755	CH_3	5-A-95
A-2756	CH_3	6-A-95
A-2757	CH_3	7-A-95

No.	R^2	R^1
A-2758	CH_3	4-A-96
A-2759	CH_3	5-A-96
A-2760	CH_3	6-A-96
A-2761	CH_3	7-A-96
A-2762	CH_3	4-A-97
A-2763	CH_3	5-A-97
A-2764	CH_3	6-A-97
A-2765	CH_3	7-A-97
A-2766	CH_3	4-A-98
A-2767	CH_3	5-A-98
A-2768	CH_3	6-A-98
A-2769	CH_3	7-A-98
A-2770	CH_3	4-A-99
A-2771	CH_3	5-A-99
A-2772	CH_3	6-A-99
A-2773	CH_3	7-A-99
A-2774	CH_3	4-A-100
A-2775	CH_3	5-A-100
A-2776	CH_3	6-A-100
A-2777	CH_3	7-A-100
A-2778	CH_3	4-A-101
A-2779	CH_3	5-A-101
A-2780	CH_3	6-A-101
A-2781	CH_3	7-A-101
A-2782	CH_3	4-A-102
A-2783	CH_3	5-A-102
A-2784	CH_3	6-A-102
A-2785	CH_3	7-A-102
A-2786	CH_3	4-A-103
A-2787	CH_3	5-A-103
A-2788	CH_3	6-A-103
A-2789	CH_3	7-A-103
A-2790	CH_3	4-A-104
A-2791	CH_3	5-A-104

No.	R^2	R^1
A-2792	CH_3	6-A-104
A-2793	CH_3	7-A-104
A-2794	CH_3	4-A-104
A-2795	CH_3	5-A-104
A-2796	CH_3	6-A-104
A-2797	CH_3	7-A-104
A-2798	CH_3	4-A-105
A-2799	CH_3	5-A-105
A-2800	CH_3	6-A-105
A-2801	CH_3	7-A-105
A-2802	CH_3	4-A-106
A-2803	CH_3	5-A-106
A-2804	CH_3	6-A-106
A-2805	CH_3	7-A-106
A-2806	CH_3	4-A-107
A-2807	CH_3	5-A-107
A-2808	CH_3	6-A-107
A-2809	CH_3	7-A-107
A-2810	CH_3	4-A-108
A-2811	CH_3	5-A-108
A-2812	CH_3	6-A-108
A-2813	CH_3	7-A-108
A-2814	CH_3	4-A-109
A-2815	CH_3	5-A-109
A-2816	CH_3	6-A-109
A-2817	CH_3	7-A-109
A-2818	CH_3	4-A-110
A-2819	CH_3	5-A-110
A-2820	CH_3	6-A-110
A-2821	CH_3	7-A-110
A-2822	CH_3	4-A-111
A-2823	CH_3	5-A-111
A-2824	CH_3	6-A-111
A-2825	CH_3	7-A-111

No.	R^2	R^1
A-2826	OH	H
A-2827	OH	4-Cl
A-2828	OH	5-Cl
A-2829	OH	6-Cl
A-2830	OH	7-Cl
A-2831	OH	4-Br
A-2832	OH	5-Br
A-2833	OH	6-Br
A-2834	OH	7-Br
A-2835	OH	4-CN
A-2836	OH	5-CN
A-2837	OH	6-CN
A-2838	OH	7-CN
A-2839	OH	4-OH
A-2840	OH	5-OH
A-2841	OH	6-OH
A-2842	OH	7-OH
A-2843	OH	4-methyl
A-2844	OH	5-methyl
A-2845	OH	6-methyl
A-2846	OH	7-methyl
A-2847	OH	4-ethyl
A-2848	OH	5-ethyl
A-2849	OH	6-ethyl
A-2850	OH	7-ethyl
A-2851	OH	4-propyl
A-2852	OH	5-propyl
A-2853	OH	6-propyl
A-2854	OH	7-propyl
A-2855	OH	4-isopropyl
A-2856	OH	5-isopropyl
A-2857	OH	6-isopropyl
A-2858	OH	7-isopropyl
A-2859	OH	4-hydroxymethyl

No.	R^2	R^1
A-2860	OH	5-hydroxymethyl
A-2861	OH	6-hydroxymethyl
A-2862	OH	7-hydroxymethyl
A-2863	OH	4-(2-hydroxyethyl)
A-2864	OH	5-(2-hydroxyethyl)
A-2865	OH	6-(2-hydroxyethyl)
A-2866	OH	7-(2-hydroxyethyl)
A-2867	OH	4-(1-hydroxyethyl)
A-2868	OH	5-(1-hydroxyethyl)
A-2869	OH	6-(1-hydroxyethyl)
A-2870	OH	7-(1-hydroxyethyl)
A-2871	OH	4-(3-hydroxypropyl)
A-2872	OH	5-(3-hydroxypropyl)
A-2873	OH	6-(3-hydroxypropyl)
A-2874	OH	7-(3-hydroxypropyl)
A-2875	OH	4-(2-hydroxypropyl)
A-2876	OH	5-(2-hydroxypropyl)
A-2877	OH	6-(2-hydroxypropyl)
A-2878	OH	7-(2-hydroxypropyl)
A-2879	OH	4-(1-hydroxypropyl)
A-2880	OH	5-(1-hydroxypropyl)
A-2881	OH	6-(1-hydroxypropyl)
A-2882	OH	7-(1-hydroxypropyl)
A-2883	OH	4-aminomethyl
A-2884	OH	5-aminomethyl
A-2885	OH	6-aminomethyl
A-2886	OH	7-aminomethyl
A-2887	OH	4-(2-aminoethyl)
A-2888	OH	5-(2-aminoethyl)
A-2889	OH	6-(2-aminoethyl)
A-2890	OH	7-(2-aminoethyl)
A-2891	OH	4-(1-aminoethyl)
A-2892	OH	5-(1-aminoethyl)
A-2893	OH	6-(1-aminoethyl)

No.	R^2	R^1
A-2894	OH	7-(1-aminoethyl)
A-2895	OH	4-(3-aminopropyl)
A-2896	OH	5-(3-aminopropyl)
A-2897	OH	6-(3-aminopropyl)
A-2898	OH	7-(3-aminopropyl)
A-2899	OH	4-(2-aminopropyl)
A-2900	OH	5-(2-aminopropyl)
A-2901	OH	6-(2-aminopropyl)
A-2902	OH	7-(2-aminopropyl)
A-2903	OH	4-(1-aminopropyl)
A-2904	OH	5-(1-aminopropyl)
A-2905	OH	6-(1-aminopropyl)
A-2906	OH	7-(1-aminopropyl)
A-2907	OH	4-COOH
A-2908	OH	5-COOH
A-2909	OH	6-COOH
A-2910	OH	7-COOH
A-2911	OH	$4\text{-}COOCH_3$
A-2912	OH	$5\text{-}COOCH_3$
A-2913	OH	$6\text{-}COOCH_3$
A-2914	OH	$7\text{-}COOCH_3$
A-2915	OH	$4\text{-}COOCH_2CH_3$
A-2916	OH	$5\text{-}COOCH_2CH_3$
A-2917	OH	$6\text{-}COOCH_2CH_3$
A-2918	OH	$7\text{-}COOCH_2CH_3$
A-2919	OH	$4\text{-}COOCF_3$
A-2920	OH	$5\text{-}COOCF_3$
A-2921	OH	$6\text{-}COOCF_3$
A-2922	OH	$7\text{-}COOCF_3$
A-2923	OH	$4\text{-}CONH_2$
A-2924	OH	$5\text{-}CONH_2$
A-2925	OH	$6\text{-}CONH_2$
A-2926	OH	$7\text{-}CONH_2$
A-2927	OH	$4\text{-}CONHCH_3$

No.	R^2	R^1
A-2928	OH	5-$CONHCH_3$
A-2929	OH	6-$CONHCH_3$
A-2930	OH	7-$CONHCH_3$
A-2931	OH	4-$CON(CH_3)_2$
A-2932	OH	5-$CON(CH_3)_2$
A-2933	OH	6-$CON(CH_3)_2$
A-2934	OH	7-$CON(CH_3)_2$
A-2935	OH	4-$CONHCH_2CH_3$
A-2936	OH	5-$CONHCH_2CH_3$
A-2937	OH	6-$CONHCH_2CH_3$
A-2938	OH	7-$CONHCH_2CH_3$
A-2939	OH	4-$CON(CH_2CH_3)_2$
A-2940	OH	5-$CON(CH_2CH_3)_2$
A-2941	OH	6-$CON(CH_2CH_3)_2$
A-2942	OH	7-$CON(CH_2CH_3)_2$
A-2943	OH	4-A-1
A-2944	OH	5-A-1
A-2945	OH	6-A-1
A-2946	OH	7-A-1
A-2947	OH	4-A-2
A-2948	OH	5-A-2
A-2949	OH	6-A-2
A-2950	OH	7-A-2
A-2951	OH	4-A-3
A-2952	OH	5-A-3
A-2953	OH	6-A-3
A-2954	OH	7-A-3
A-2955	OH	4-A-4
A-2956	OH	5-A-4
A-2957	OH	6-A-4
A-2958	OH	7-A-4
A-2959	OH	4-A-5
A-2960	OH	5-A-5
A-2961	OH	6-A-5

No.	R^2	R^1
A-2962	OH	7-A-5
A-2963	OH	4-A-6
A-2964	OH	5-A-6
A-2965	OH	6-A-6
A-2966	OH	7-A-6
A-2967	OH	4-A-7
A-2968	OH	5-A-7
A-2969	OH	6-A-7
A-2970	OH	7-A-7
A-2971	OH	4-A-8
A-2972	OH	5-A-8
A-2973	OH	6-A-8
A-2974	OH	7-A-8
A-2975	OH	4-A-9
A-2976	OH	5-A-9
A-2977	OH	6-A-9
A-2978	OH	7-A-9
A-2979	OH	4-A-10
A-2980	OH	5-A-10
A-2981	OH	6-A-10
A-2982	OH	7-A-10
A-2983	OH	4-A-11
A-2984	OH	5-A-11
A-2985	OH	6-A-11
A-2986	OH	7-A-11
A-2987	OH	4-A-12
A-2988	OH	5-A-12
A-2989	OH	6-A-12
A-2990	OH	7-A-12
A-2991	OH	4-A-13
A-2992	OH	5-A-13
A-2993	OH	6-A-13
A-2994	OH	7-A-13
A-2995	OH	4-A-14

No.	R^2	R^1
A-2996	OH	5-A-14
A-2997	OH	6-A-14
A-2998	OH	7-A-14
A-2999	OH	4-A-15
A-3000	OH	5-A-15
A-3001	OH	6-A-15
A-3002	OH	7-A-15
A-3003	OH	4-A-16
A-3004	OH	5-A-16
A-3005	OH	6-A-16
A-3006	OH	7-A-16
A-3007	OH	4-A-17
A-3008	OH	5-A-17
A-3009	OH	6-A-17
A-3010	OH	7-A-17
A-3011	OH	4-A-18
A-3012	OH	5-A-18
A-3013	OH	6-A-18
A-3014	OH	7-A-18
A-3015	OH	4-A-19
A-3016	OH	5-A-19
A-3017	OH	6-A-19
A-3018	OH	7-A-19
A-3019	OH	4-A-20
A-3020	OH	5-A-20
A-3021	OH	6-A-20
A-3022	OH	7-A-20
A-3023	OH	4-A-21
A-3024	OH	5-A-21
A-3025	OH	6-A-21
A-3026	OH	7-A-21
A-3027	OH	4-A-22
A-3028	OH	5-A-22
A-3029	OH	6-A-22

No.	R^2	R^1
A-3030	OH	7-A-22
A-3031	OH	4-A-23
A-3032	OH	5-A-23
A-3033	OH	6-A-23
A-3034	OH	7-A-23
A-3035	OH	4-A-24
A-3036	OH	5-A-24
A-3037	OH	6-A-24
A-3038	OH	7-A-24
A-3039	OH	4-A-25
A-3040	OH	5-A-25
A-3041	OH	6-A-25
A-3042	OH	7-A-25
A-3043	OH	4-A-26
A-3044	OH	5-A-26
A-3045	OH	6-A-26
A-3046	OH	7-A-26
A-3047	OH	4-A-27
A-3048	OH	5-A-27
A-3049	OH	6-A-27
A-3050	OH	7-A-27
A-3051	OH	4-A-28
A-3052	OH	5-A-28
A-3053	OH	6-A-28
A-3054	OH	7-A-28
A-3055	OH	4-A-29
A-3056	OH	5-A-29
A-3057	OH	6-A-29
A-3058	OH	7-A-29
A-3059	OH	4-A-30
A-3060	OH	5-A-30
A-3061	OH	6-A-30
A-3062	OH	7-A-30
A-3063	OH	4-A-31

No.	R^2	R^1
A-3064	OH	5-A-31
A-3065	OH	6-A-31
A-3066	OH	7-A-31
A-3067	OH	4-A-32
A-3068	OH	5-A-32
A-3069	OH	6-A-32
A-3070	OH	7-A-32
A-3071	OH	4-A-33
A-3072	OH	5-A-33
A-3073	OH	6-A-33
A-3074	OH	7-A-33
A-3075	OH	4-A-34
A-3076	OH	5-A-34
A-3077	OH	6-A-34
A-3078	OH	7-A-34
A-3079	OH	4-A-35
A-3080	OH	5-A-35
A-3081	OH	6-A-35
A-3082	OH	7-A-35
A-3083	OH	4-A-36
A-3084	OH	5-A-36
A-3085	OH	6-A-36
A-3086	OH	7-A-36
A-3087	OH	4-A-37
A-3088	OH	5-A-37
A-3089	OH	6-A-37
A-3090	OH	7-A-37
A-3091	OH	4-A-38
A-3092	OH	5-A-38
A-3093	OH	6-A-38
A-3094	OH	7-A-38
A-3095	OH	4-A-39
A-3096	OH	5-A-39
A-3097	OH	6-A-39

No.	R^2	R^1
A-3098	OH	7-A-39
A-3099	OH	4-A-40
A-3100	OH	5-A-40
A-3101	OH	6-A-40
A-3102	OH	7-A-40
A-3103	OH	4-A-41
A-3104	OH	5-A-41
A-3105	OH	6-A-41
A-3106	OH	7-A-41
A-3107	OH	4-A-42
A-3108	OH	5-A-42
A-3109	OH	6-A-42
A-3110	OH	7-A-42
A-3111	OH	4-A-43
A-3112	OH	5-A-43
A-3113	OH	6-A-43
A-3114	OH	7-A-43
A-3115	OH	4-A-44
A-3116	OH	5-A-44
A-3117	OH	6-A-44
A-3118	OH	7-A-44
A-3119	OH	4-A-45
A-3120	OH	5-A-45
A-3121	OH	6-A-45
A-3122	OH	7-A-45
A-3123	OH	4-A-46
A-3124	OH	5-A-46
A-3125	OH	6-A-46
A-3126	OH	7-A-46
A-3127	OH	4-A-47
A-3128	OH	5-A-47
A-3129	OH	6-A-47
A-3130	OH	7-A-47
A-3131	OH	4-A-48

No.	R^2	R^1
A-3132	OH	5-A-48
A-3133	OH	6-A-48
A-3134	OH	7-A-48
A-3135	OH	4-A-49
A-3136	OH	5-A-49
A-3137	OH	6-A-49
A-3138	OH	7-A-49
A-3139	OH	4-A-50
A-3140	OH	5-A-50
A-3141	OH	6-A-50
A-3142	OH	7-A-50
A-3143	OH	4-A-51
A-3144	OH	5-A-51
A-3145	OH	6-A-51
A-3146	OH	7-A-51
A-3147	OH	4-A-52
A-3148	OH	5-A-52
A-3149	OH	6-A-52
A-3150	OH	7-A-52
A-3151	OH	4-A-53
A-3152	OH	5-A-53
A-3153	OH	6-A-53
A-3154	OH	7-A-53
A-3155	OH	4-A-54
A-3156	OH	5-A-54
A-3157	OH	6-A-54
A-3158	OH	7-A-54
A-3159	OH	4-A-55
A-3160	OH	5-A-55
A-3161	OH	6-A-55
A-3162	OH	7-A-55
A-3163	OH	4-A-56
A-3164	OH	5-A-56
A-3165	OH	6-A-56

No.	R^2	R^1
A-3166	OH	7-A-56
A-3167	OH	4-A-57
A-3168	OH	5-A-57
A-3169	OH	6-A-57
A-3170	OH	7-A-57
A-3171	OH	4-A-58
A-3172	OH	5-A-58
A-3173	OH	6-A-58
A-3174	OH	7-A-58
A-3175	OH	4-A-59
A-3176	OH	5-A-59
A-3177	OH	6-A-59
A-3178	OH	7-A-59
A-3179	OH	4-A-60
A-3180	OH	5-A-60
A-3181	OH	6-A-60
A-3182	OH	7-A-60
A-3183	OH	4-A-61
A-3184	OH	5-A-61
A-3185	OH	6-A-61
A-3186	OH	7-A-61
A-3187	OH	4-A-62
A-3188	OH	5-A-62
A-3189	OH	6-A-62
A-3190	OH	7-A-62
A-3191	OH	4-A-63
A-3192	OH	5-A-63
A-3193	OH	6-A-63
A-3194	OH	7-A-63
A-3195	OH	4-A-64
A-3196	OH	5-A-64
A-3197	OH	6-A-64
A-3198	OH	7-A-64
A-3199	OH	4-A-65

No.	R^2	R^1
A-3200	OH	5-A-65
A-3201	OH	6-A-65
A-3202	OH	7-A-65
A-3203	OH	4-A-66
A-3204	OH	5-A-66
A-3205	OH	6-A-66
A-3206	OH	7-A-66
A-3207	OH	4-A-67
A-3208	OH	5-A-67
A-3209	OH	6-A-67
A-3210	OH	7-A-67
A-3211	OH	4-A-68
A-3212	OH	5-A-68
A-3213	OH	6-A-68
A-3214	OH	7-A-68
A-3215	OH	4-A-69
A-3216	OH	5-A-69
A-3217	OH	6-A-69
A-3218	OH	7-A-69
A-3219	OH	4-A-70
A-3220	OH	5-A-70
A-3221	OH	6-A-70
A-3222	OH	7-A-70
A-3223	OH	4-A-71
A-3224	OH	5-A-71
A-3225	OH	6-A-71
A-3226	OH	7-A-71
A-3227	OH	4-A-72
A-3228	OH	5-A-72
A-3229	OH	6-A-72
A-3230	OH	7-A-72
A-3231	OH	4-A-73
A-3232	OH	5-A-73
A-3233	OH	6-A-73

No.	R^2	R^1
A-3234	OH	7-A-73
A-3235	OH	4-A-74
A-3236	OH	5-A-74
A-3237	OH	6-A-74
A-3238	OH	7-A-74
A-3239	OH	4-A-75
A-3240	OH	5-A-75
A-3241	OH	6-A-75
A-3242	OH	7-A-75
A-3243	OH	4-A-76
A-3244	OH	5-A-76
A-3245	OH	6-A-76
A-3246	OH	7-A-76
A-3247	OH	4-A-77
A-3248	OH	5-A-77
A-3249	OH	6-A-77
A-3250	OH	7-A-77
A-3251	OH	4-A-78
A-3252	OH	5-A-78
A-3253	OH	6-A-78
A-3254	OH	7-A-78
A-3255	OH	4-A-79
A-3256	OH	5-A-79
A-3257	OH	6-A-79
A-3258	OH	7-A-79
A-3259	OH	4-A-80
A-3260	OH	5-A-80
A-3261	OH	6-A-80
A-3262	OH	7-A-80
A-3263	OH	4-A-81
A-3264	OH	5-A-81
A-3265	OH	6-A-81
A-3266	OH	7-A-81
A-3267	OH	4-A-82

No.	R^2	R^1
A-3268	OH	5-A-82
A-3269	OH	6-A-82
A-3270	OH	7-A-82
A-3271	OH	4-A-83
A-3272	OH	5-A-83
A-3273	OH	6-A-83
A-3274	OH	7-A-83
A-3275	OH	4-A-84
A-3276	OH	5-A-84
A-3277	OH	6-A-84
A-3278	OH	7-A-84
A-3279	OH	4-A-85
A-3280	OH	5-A-85
A-3281	OH	6-A-85
A-3282	OH	7-A-85
A-3283	OH	4-A-86
A-3284	OH	5-A-86
A-3285	OH	6-A-86
A-3286	OH	7-A-86
A-3287	OH	4-A-87
A-3288	OH	5-A-87
A-3289	OH	6-A-87
A-3290	OH	7-A-87
A-3291	OH	4-A-88
A-3292	OH	5-A-88
A-3293	OH	6-A-88
A-3294	OH	7-A-88
A-3295	OH	4-A-89
A-3296	OH	5-A-89
A-3297	OH	6-A-89
A-3298	OH	7-A-89
A-3299	OH	4-A-90
A-3300	OH	5-A-90
A-3301	OH	6-A-90

No.	R^2	R^1
A-3302	OH	7-A-90
A-3303	OH	4-A-91
A-3304	OH	5-A-91
A-3305	OH	6-A-91
A-3306	OH	7-A-91
A-3307	OH	4-A-92
A-3308	OH	5-A-92
A-3309	OH	6-A-92
A-3310	OH	7-A-92
A-3311	OH	4-A-93
A-3312	OH	5-A-93
A-3313	OH	6-A-93
A-3314	OH	7-A-93
A-3315	OH	4-A-94
A-3316	OH	5-A-94
A-3317	OH	6-A-94
A-3318	OH	7-A-94
A-3319	OH	4-A-95
A-3320	OH	5-A-95
A-3321	OH	6-A-95
A-3322	OH	7-A-95
A-3323	OH	4-A-96
A-3324	OH	5-A-96
A-3325	OH	6-A-96
A-3326	OH	7-A-96
A-3327	OH	4-A-97
A-3328	OH	5-A-97
A-3329	OH	6-A-97
A-3330	OH	7-A-97
A-3331	OH	4-A-98
A-3332	OH	5-A-98
A-3333	OH	6-A-98
A-3334	OH	7-A-98
A-3335	OH	4-A-99

No.	R^2	R^1
A-3336	OH	5-A-99
A-3337	OH	6-A-99
A-3338	OH	7-A-99
A-3339	OH	4-A-100
A-3340	OH	5-A-100
A-3341	OH	6-A-100
A-3342	OH	7-A-100
A-3343	OH	4-A-101
A-3344	OH	5-A-101
A-3345	OH	6-A-101
A-3346	OH	7-A-101
A-3347	OH	4-A-102
A-3348	OH	5-A-102
A-3349	OH	6-A-102
A-3350	OH	7-A-102
A-3351	OH	4-A-103
A-3352	OH	5-A-103
A-3353	OH	6-A-103
A-3354	OH	7-A-103
A-3355	OH	4-A-104
A-3356	OH	5-A-104
A-3357	OH	6-A-104
A-3358	OH	7-A-104
A-3359	OH	4-A-104
A-3360	OH	5-A-104
A-3361	OH	6-A-104
A-3362	OH	7-A-104
A-3363	OH	4-A-105
A-3364	OH	5-A-105
A-3365	OH	6-A-105
A-3366	OH	7-A-105
A-3367	OH	4-A-106
A-3368	OH	5-A-106
A-3369	OH	6-A-106

No.	R^2	R^1
A-3370	OH	7-A-106
A-3371	OH	4-A-107
A-3372	OH	5-A-107
A-3373	OH	6-A-107
A-3374	OH	7-A-107
A-3375	OH	4-A-108
A-3376	OH	5-A-108
A-3377	OH	6-A-108
A-3378	OH	7-A-108
A-3379	OH	4-A-109
A-3380	OH	5-A-109
A-3381	OH	6-A-109
A-3382	OH	7-A-109
A-3383	OH	4-A-110
A-3384	OH	5-A-110
A-3385	OH	6-A-110
A-3386	OH	7-A-110
A-3387	OH	4-A-111
A-3388	OH	5-A-111
A-3389	OH	6-A-111
A-3390	OH	7-A-111

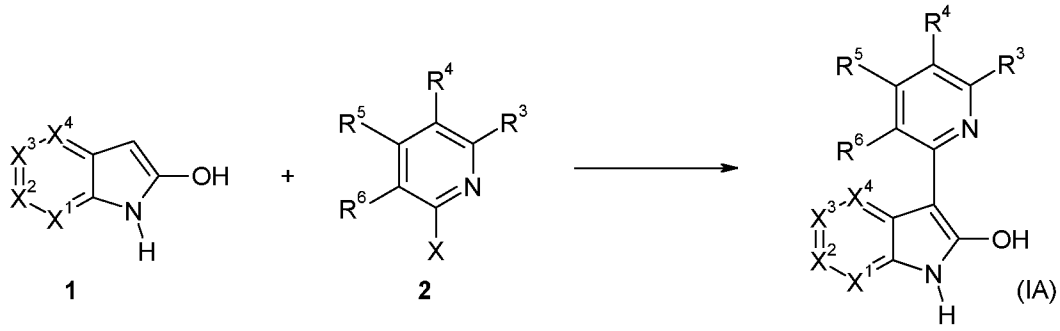
Among the above compounds, preference is given to compounds of formulae I.1 to I.21, I.37 to I.57, I.73 to I.93 and I.109 to I.129. More preference is given to compounds I.1 to 1.6, 1.10 to I.17, I. 37 to I.42, I.46 to I.53, I.73 to I.78, I.82 to I.89, I.109 to I.114 and I.118 to I.125. Even more preference is given to compounds of formulae I.1, I.2, I.3, I.10, I.11, I.12, I.13, I.14, I.15, I.16, I.17, I.37, I.38, I.39, I.46, I.47, I.48, I.49, I.50, I.51, I.52, I.53, I.74, I.77, I.83, I.84, I.87, I.88, I.109, I.110, I.111, I.113, I.118, I.119, I.120 and I.124. Particular preference is given to compounds of formulae I.10, I.11, I.12, I.13, I.46, I.47, I.48, I.49, I.110 and I.120. Specific preference is given to compounds of formulae I.13, I.46, I.49, I.110 and I.120.

The compounds of the present invention can be prepared by analogy to routine techniques a skilled person is familiar with. In particular, the compounds of the formula IA and IB can be prepared according to the following schemes, wherein the variables, if not stated otherwise, are as defined above. In the below schemes, compounds of for-

mula IA are expressed as target molecules. However, the same reactions apply to the syntheses of compounds IB.

Compounds of formula IA can be prepared by reacting the indolol compound 1 with the the pyridyl derivative 2, where X is Cl or Br. The reaction can be carried out under the conditions of a Heck reaction, via Pd-catalysed cross coupling, generally in the presence of a base. Alternatively, 1 and 2 can be reacted in a nucleophilic aromatic substitution reaction in the presence of a strong, non-nucleophilic base, such as NaH, LDA or preferably sodium bis(trimethylsilyl)amide (NaHMDS). If suitable, the nucleophilic aromatic substitution reaction can also be carried out with the N-oxide of the pyridyl compound 2.

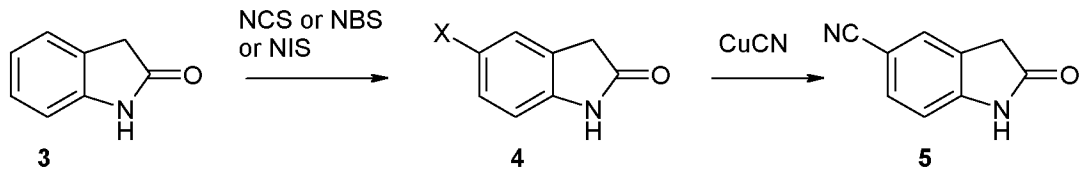
Scheme 1



1 2

Compounds 1 are either commercially available or can be synthesized by procedures generally known in the art. For example, generally known substitution reactions for introducing different substituents R^1 (different from hydrogen) can be applied. For instance, a compound 1 wherein at least one substituent R^1 is hydrogen can be halogenated, for example by reaction with N-chlorosuccinimide, N-bromosuccinimide or N-iodosuccinimide, to give a compound 1 wherein this R^1 is Cl, Br or I. This in turn can be reacted with CuCN to give a compound 1 wherein this R^1 is CN. An exemplary reaction pattern using indolone as a scaffold for compound 1 is shown in scheme 2.

Scheme 2



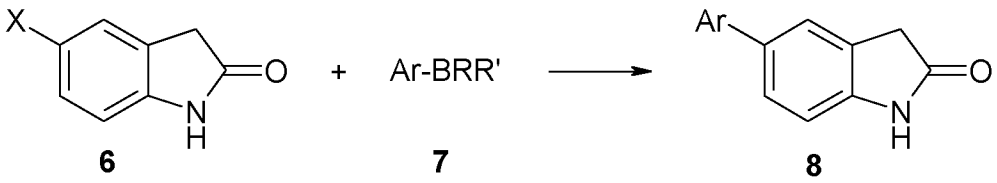
3 4 5

X = Cl, Br or I

If R^1 is Ar, this substituent can be introduced via a Suzuki coupling reaction, as shown in schemes 3 and 4 exemplarily for indolone as a scaffold for compound 1. BRR' is a boronic acid residue [$B(OH)_2$] or a boronic ester group, such as $B(O\text{-t-butyl})_2$, B(-O-

$C(CH_3)_2$-$C(CH_3)_2$-O-) and the like. The reaction is carried in the presence of a palladium catalyst, especially a palladium phosphane catalyst, such as tetrakis(triphenylphosphine) palladium(0), and of a base, such as NaOH, Na_2CO_3, $NaHCO_3$, Na_3PO_4, sodium methanolate, sodium ethanolate and the like.

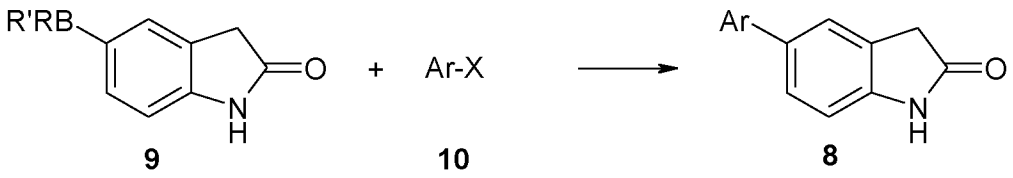
Scheme 3



6 **7** **8**

X = Br, I or triflate

Scheme 4



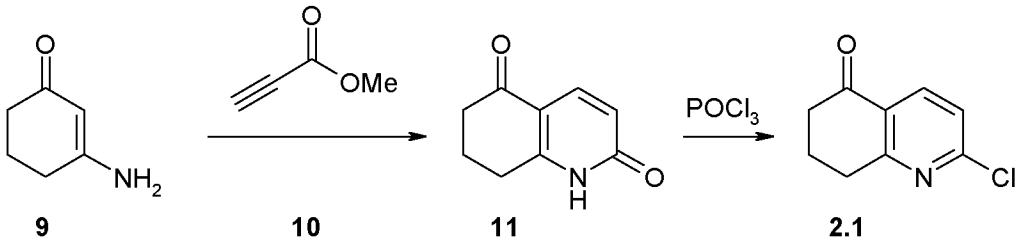
9 **10** **8**

X = Br, I or triflate

Compounds **2** are either commercially available or can be synthesized by procedures generally known in the art.

Compounds **2**, wherein R^3 and R^4 form together a group -$(CH_2)_3$-C(O)- (compound **2.1**), can for example be prepared by reacting 3-aminocyclohex-2-enone **9** with an alkylpropiolate, e.g. methylpropiolate **10**, and subsequently halogenating the keto/enol group of **11** with a halogenating agent, such as $POCl_3$, as shown in scheme 5. The same reaction sequence can be applied for producing compounds, wherein R^3 and R^4 form together a group -$(CH_2)_2$-C(O)- by using 3-aminocyclopent-2-enone instead of **9**, for producing compounds, wherein R^3 and R^4 form together a group -C(O)-$(CH_2)_3$- by using 2-aminocyclohex-2-enone instead of **9**, for producing compounds, wherein R^3 and R^4 form together a group -C(O)-$(CH_2)_2$- by using 2-aminocyclopent-2-enone instead of **9**, etc.

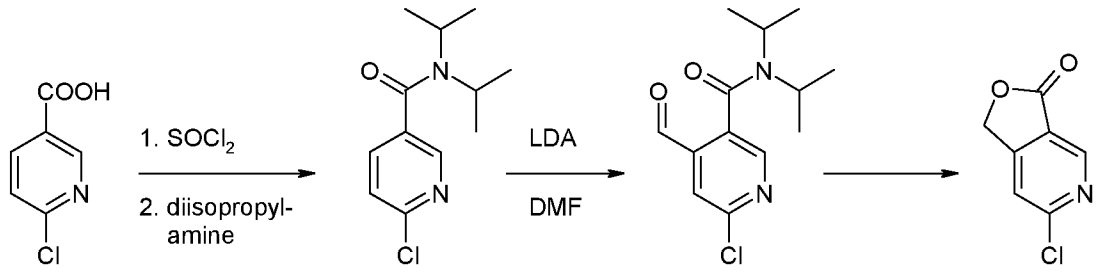
Scheme 5



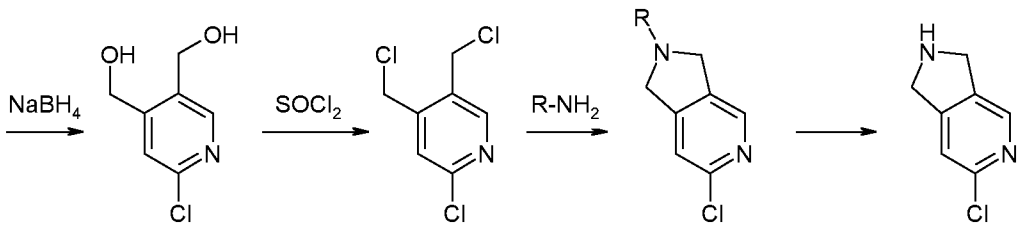
9 10 11 2.1

For producing compounds **2**, wherein R^4 and R^5 form together a group -C(O)-O-CH_2- or -CH_2-NR^c-CH_2-, the reaction sequence shown in scheme 6 can be used. The carboxyl group of **12** is suitably first converted into its acid chloride, e.g. via reaction with thionyl chloride or oxalylchloride, and the acid chloride is then reacted with diisopropylamine to the amide **13**. Deprotonation with LDA in the activated 4-position yields a carbanion which nucleophilicaly attacks dimethylformamide to give the amide-aldehyde **14**. Reduction of the aldehyde group, e.g. with $NaBH_4$, and subsequent esterification leads to the furanone **15**. If desired, this can be subjected to a reductive ring-opening reaction to the dimethylol **16**, which is converted into the respective dimethylchloride **17**. Reaction of **17** with a primary amine R-NH_2, where advantageously R is a group which can be easily removed, such as benzyl or PMB (PMB = para-methoxybenzyl), yields the pyrrolidinypyridine **18**, which is deprotected to **19**. Deprotection is carried out depending on the group R, e.g. with HCl or 1-chloroethylchloroformiate if R is benzyl or a substituted benzyl, such as PMB.

Scheme 6



12 13 14 15

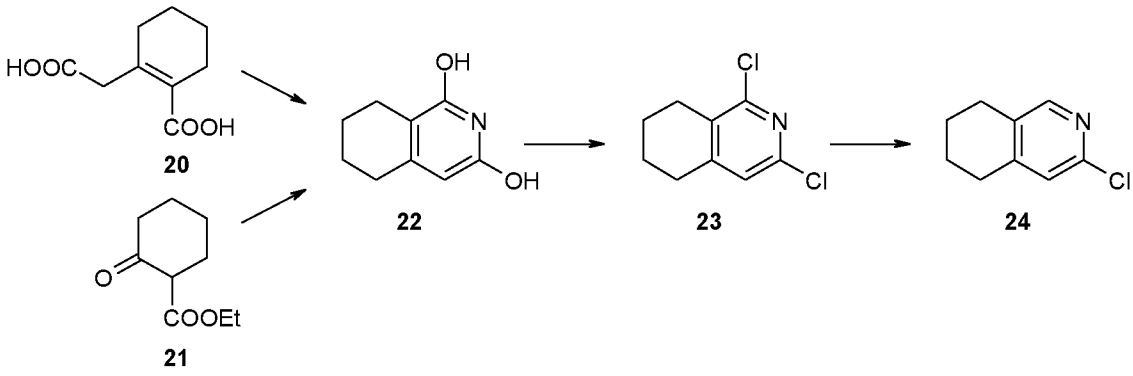


16 17 18 19

Compounds **2**, wherein R^5 and R^6 form together a group -C(O)-O-CH_2- or -CH_2-NR^c-CH_2-, can be prepared in an analogous reaction sequence, however starting from 2-chloro-nicotinic acid.

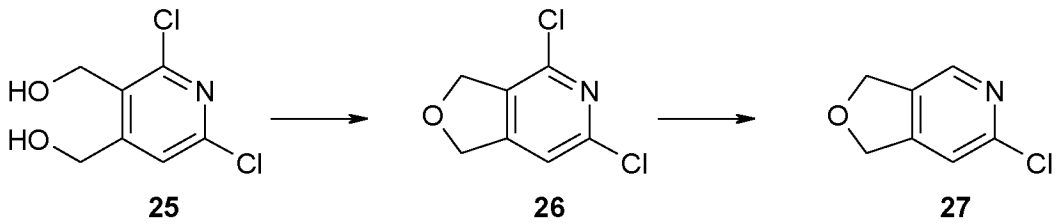
Compounds **2**, wherein R^4 and R^5 form together a group -$(CH_2)_4$-, can be prepared by the reaction sequence shown in scheme 7. **20** is subjected to a ring-closing reaction with ammonium carbonate under heating (230°C), as described in Chemische Berichte 1948, 81, 279-285. Alternatively, **21** is reacted according to the procedure described in J. Chem. Soc. 1932, 2426-2430 to 22. The diol **22** is then converted into the respective dichloride **23**, e.g. with phosphoryl chloride. Reaction with zinc powder and aqueous HCl as described in Chemische Berichte 1948, 81, 279-285 finally yields **24**.

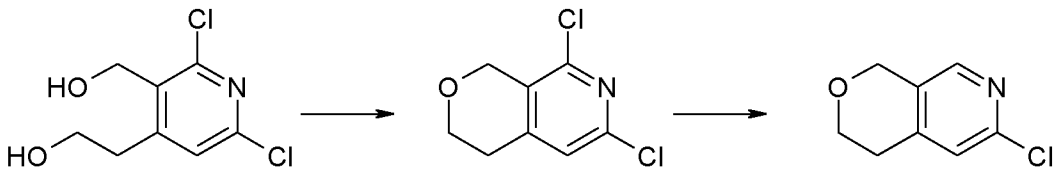
Scheme 7



Compounds **2**, wherein R^4 and R^5 form together a group -CH_2-O-CH_2- or -CH_2-O-CH_2-CH_2-, can be prepared as shown in scheme 8. **25** or **28** are reacted with triethylsilane, Mn(IV) oxide and trifluoroacetic acid as described in Tetrahedron Lett. 2008, 49(47), 6701-6703. Removal of one chlorine atom is accomplished using zinc powder and aqueous HCl, as described in Chemische Berichte 1948, 81, 279-285.

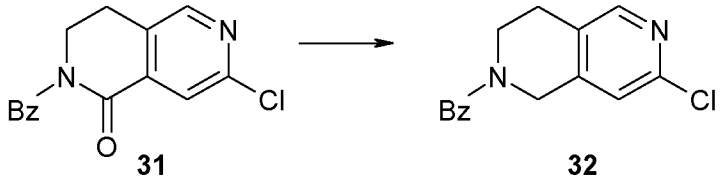
Scheme 8





Compounds 2, wherein R^4 and R^5 form together a group $-CH_2-CH_2-NR^c-C(O)-$ are known and described, for example, in EP-A-1180514. Compounds 2, wherein R^4 and R^5 form together a group $-CH_2-CH_2-NR^c-CH_2-$, can be prepared by reducing compound 31, as shown in scheme 9. Reduction can be carried out, for example, by using a borane reduction agent, such as 9-BBN. Compound 31 is known from EP-A-1180514.

Scheme 9



Compounds IA can be converted into compounds IB, wherein R^2 is fluorine, by reaction of IA with a suitable fluorinating agent, such as 1-fluoro-2,4,6-trimethylpyridinium triflate in the presence of a suitable base, such as n-butyllithium or sodium bis(trimethylsilyl)-amide in a suitable solvent, such as tetrahydrofuran or dioxane at from -40 °C to 80 °C.

If not indicated otherwise, the above-described reactions are generally carried out in a solvent at temperatures between room temperature and the boiling temperature of the solvent employed. Alternatively, the activation energy which is required for the reaction can be introduced into the reaction mixture using microwaves, something which has proved to be of value, in particular, in the case of the reactions catalyzed by transition metals (with regard to reactions using microwaves, see Tetrahedron 2001, 57, p. 9199 ff. p. 9225 ff. and also, in a general manner, "Microwaves in Organic Synthesis", André Loupy (Ed.), Wiley-VCH 2002.

The acid addition salts of compounds IA and IB are prepared in a customary manner by mixing the free base with a corresponding acid, where appropriate in solution in an organic solvent, for example a lower alcohol, such as methanol, ethanol or propanol, an ether, such as methyl tert-butyl ether or diisopropyl ether, a ketone, such as acetone or methyl ethyl ketone, or an ester, such as ethyl acetate.

The present invention moreover relates to compounds of formula I as defined above, wherein at least one of the atoms has been replaced by its stable, non-radioactive iso-

tope (e.g., hydrogen by deuterium, ^{13}C by ^{13}C, ^{14}N by ^{15}N, ^{16}O by ^{18}O) and preferably wherein at least one hydrogen atom has been replaced by a deuterium atom.

Of course, the compounds according to the invention contain more of the respective isotope than this naturally occurs and thus is anyway present in the compounds I.

Stable isotopes (e.g., deuterium, ^{13}C, ^{15}N, ^{18}O) are nonradioactive isotopes which contain one additional neutron than the normally abundant isotope of the respective atom. Deuterated compounds have been used in pharmaceutical research to investigate the in vivo metabolic fate of the compounds by evaluation of the mechanism of action and metabolic pathway of the non deuterated parent compound (Blake et al. *J. Pharm. Sci.* 64, 3, 367-391 (1975)). Such metabolic studies are important in the design of safe, effective therapeutic drugs, either because the in vivo active compound administered to the patient or because the metabolites produced from the parent compound prove to be toxic or carcinogenic (Foster et al., Advances in Drug Research Vol. 14, pp. 2-36, Academic press, London, 1985; Kato et al., J. *Labelled Comp. Radiopharmaceut.*, 36(10):927-932 (1995); Kushner et al., *Can. J. Physiol. Pharmacol.*, 77, 79-88 (1999).

Incorporation of a heavy atom particularly substitution of deuterium for hydrogen, can give rise to an isotope effect that could alter the pharmacokinetics of the drug. This effect is usually insignificant if the label is placed at a metabolically inert position of the molecule.

Stable isotope labeling of a drug can alter its physico-chemical properties such as pKa and lipid solubility. These changes may influence the fate of the drug at different steps along its passage through the body. Absorption, distribution, metabolism or excretion can be changed. Absorption and distribution are processes that depend primarily on the molecular size and the lipophilicity of the substance. These effects and alterations can affect the pharmacodynamic response of the drug molecule if the isotopic substitution affects a region involved in a ligand-receptor interaction.

Drug metabolism can give rise to large isotopic effect if the breaking of a chemical bond to a deuterium atom is the rate limiting step in the process. While some of the physical properties of a stable isotope-labeled molecule are different from those of the unlabeled one, the chemical and biological properties are the same, with one important exception: because of the increased mass of the heavy isotope, any bond involving the heavy isotope and another atom will be stronger than the same bond between the light isotope and that atom. In any reaction in which the breaking of this bond is the rate limiting step, the reaction will proceed slower for the molecule with the heavy isotope due to "kinetic isotope effect". A reaction involving breaking a C--D bond can be up to 700 percent slower than a similar reaction involving breaking a C--H bond. If the C--D bond is not involved in any of the steps leading to the metabolite, there may not be any

effect to alter the behavior of the drug. If a deuterium is placed at a site involved in the metabolism of a drug, an isotope effect will be observed only if breaking of the C--D bond is the rate limiting step. There is evidence to suggest that whenever cleavage of an aliphatic C--H bond occurs, usually by oxidation catalyzed by a mixed-function oxidase, replacement of the hydrogen by deuterium will lead to observable isotope effect. It is also important to understand that the incorporation of deuterium at the site of metabolism slows its rate to the point where another metabolite produced by attack at a carbon atom not substituted by deuterium becomes the major pathway a process called "metabolic switching".

Deuterium tracers, such as deuterium-labeled drugs and doses, in some cases repeatedly, of thousands of milligrams of deuterated water, are also used in healthy humans of all ages, including neonates and pregnant women, without reported incident (e.g. Pons G and Rey E, Pediatrics 1999 104: 633; Coward W A et al., Lancet 1979 7: 13; Schwarcz H P, Control. Clin. Trials 1984 5(4 Suppl): 573; Rodewald L E et al., J. Pediatr. 1989 114: 885; Butte N F et al. Br. J. Nutr. 1991 65: 3; MacLennan A H et al. Am. J. Obstet Gynecol. 1981 139: 948). Thus, it is clear that any deuterium released, for instance, during the metabolism of compounds of this invention poses no health risk.

The weight percentage of hydrogen in a mammal (approximately 9%) and natural abundance of deuterium (approximately 0.015%) indicates that a 70 kg human normally contains nearly a gram of deuterium. Furthermore, replacement of up to about 15% of normal hydrogen with deuterium has been effected and maintained for a period of days to weeks in mammals, including rodents and dogs, with minimal observed adverse effects (Czajka D M and Finkel A J, Ann. N.Y. Acad. Sci. 1960 84: 770; Thomson J F, Ann. New York Acad. Sci 1960 84: 736; Czakja D M et al., Am. J. Physiol. 1961 201: 357). Higher deuterium concentrations, usually in excess of 20%, can be toxic in animals. However, acute replacement of as high as 15%-23% of the hydrogen in humans' fluids with deuterium was found not to cause toxicity (Blagojevic N et al. in "Dosimetry & Treatment Planning for Neutron Capture Therapy", Zamenhof R, Solares G and Harling O Eds. 1994. Advanced Medical Publishing, Madison Wis. pp.125-134; Diabetes Metab. 23: 251 (1997)).

Increasing the amount of deuterium present in a compound above its natural abundance is called enrichment or deuterium-enrichment. Examples of the amount of enrichment include from about 0.5, 1, 2, 3, 4, 5, 6, 7, 8, 9, 10, 12, 16, 21, 25, 29, 33, 37, 42, 46, 50, 54, 58, 63, 67, 71, 75, 79, 84, 88, 92, 96, to about 100 mol %.

The hydrogens present on a particular organic compound have different capacities for exchange with deuterium. Certain hydrogen atoms are easily exchangeable under physiological conditions and, if replaced by deuterium atoms, it is expected that they will readily exchange for protons after administration to a patient. Certain hydrogen

atoms may be exchanged for deuterium atoms by the action of a deuteric acid such as D_2SO_4/D_2O. Alternatively, deuterium atoms may be incorporated in various combinations during the synthesis of compounds of the invention. Certain hydrogen atoms are not easily exchangeable for deuterium atoms. However, deuterium atoms at the remaining positions may be incorporated by the use of deuterated starting materials or intermediates during the construction of compounds of the invention.

Deuterated and deuterium-enriched compounds of the invention can be prepared by using known methods described in the literature. Such methods can be carried out utilizing corresponding deuterated and optionally, other isotope-containing reagents and/or intermediates to synthesize the compounds delineated herein, or invoking standard synthetic protocols known in the art for introducing isotopic atoms to a chemical structure. Relevant procedures and intermediates are disclosed, for instance in Lizondo, J et al., *Drugs Fut*, 21(11), 1116 (1996); Brickner, S J *et al., J Med Chem*, 39(3), 673 (1996); Mallesham, B et al., *Org Lett*, 5(7), 963 (2003); PCT publications WO1997010223, WO2005099353, WO1995007271, WO2006008754; US Patent Nos. 7538189; 7534814; 7531685; 7528131; 7521421; 7514068; 7511013; and US Patent Application Publication Nos. 20090137457; 20090131485; 20090131363; 20090118238; 20090111840; 20090105338; 20090105307; 20090105147; 20090093422; 20090088416; 20090082471, the methods are hereby incorporated by reference.

The present invention further relates to a pharmaceutical composition comprising at least one compound of formulae IA or IB, a stereoisomer, prodrug, tautomer and/or physiologically tolerated acid addition salt thereof and optionally at least one physiologically acceptable carrier and/or auxiliary substance.

The invention also relates to the use of the compounds of formulae IA or IB or of a stereoisomer, prodrug, tautomer or physiologically tolerated acid addition salt thereof for the preparation of a medicament for the treatment of a disorder susceptible to the treatment with a compound that modulates, preferably inhibits, the activity of glycogen synthase kinase 3ß.

Furthermore, the invention relates to a method for treating a medical disorder susceptible to treatment with a compound that modulates glycogen synthase kinase 3ß activity, said method comprising administering an effective amount of at least one compound of formulae IA or IB or of a stereoisomer, prodrug, tautomer or physiologically tolerated acid addition salt thereof or of a pharmaceutical composition as defined above to a subject in need thereof.

The compounds of the of formulae IA or IB according to the present invention, as well as the stereoisomers, the tautomers, the prodrugs and physiologically tolerated acid

addition salts thereof, are capable of modulating the activity on glycogen synthase kinase 3ß. In particular, the compounds of the of formulae IA or IB, as well as the stereoisomers, the tautomers, the prodrugs and physiologically tolerated acid addition salts thereof, have an inhibitory activity on glycogen synthase kinase 3ß. Amongst the compounds of formulae IA or IB those are preferred which achieve effective inhibition at low concentrations. In particular, compounds of the formulae IA and IB are preferred which inhibit glycogen synthase kinase 3ß at a level of IC_{50} < 1 µMol, more preferably at a level of IC_{50} < 0. 5 µMol, particularly preferably at a level of IC_{50} < 0.2 µMol and most preferably at a level of IC_{50} < 0.1 µMol.

Therefore the compounds of the of formulae IA or IB according to the present invention, their stereoisomers, tautomers, their prodrugs and their physiologically tolerated acid addition salts are useful for the treatment of a medical disorder susceptible to treatment with a compound that modulates glycogen synthase kinase 3ß activity. As mentioned above, diseases caused by abnormal GSK-3β activity and which thus can be treated by supplying the compound of the formulae IA and IB, a steroisomer, tautomer, prodrug and/or a physiologically tolerated acid addition salt thereof, include in particular neurodegenerative diseases such as Alzheimer's disease. In addition, the compounds of the present invention are also useful for treatment of other neurodegenerative diseases such as Parkinson's disease, tauopathies (e.g. frontotemporoparietal dementia, corticobasal degeneration, Pick's disease, progressive supranuclear palsy, argyophilic brain disease) and other dementia including vascular dementia; acute stroke and others traumatic injuries; cerebrovascular accidents (e.g. age related macular degeneration); brain and spinal cord trauma; peripheral neuropathies; bipolar disorders, retinopathies and glaucoma. In addition, the compounds of the present invention are also useful for treatment of schizophrenia.

Diseases which can be treated by supplying the compound of the of formulae IA or IB, a steroisomer, tautomer, prodrug and/or a physiologically tolerated acid addition salt thereof, include furthermore inflammatory diseases, such as rheumatoid arthritis and osteoarthritis.

Within the meaning of the invention, a treatment also includes a preventive treatment (prophylaxis), in particular as relapse prophylaxis or phase prophylaxis, as well as the treatment of acute or chronic signs, symptoms and/or malfunctions. The treatment can be orientated symptomatically, for example as the suppression of symptoms. It can be effected over a short period, be orientated over the medium term or can be a long-term treatment, for example within the context of a maintenance therapy.

Within the context of the treatment, the use according to the invention of the compounds of the formulae IA or IB involves a method. In this method, an effective quantity of one or more compounds IA or IB, a steroisomer, tautomer, prodrug or physiologically

tolerable acid addition salt thereof, as a rule formulated in accordance with pharmaceutical and veterinary practice, is administered to the individual to be treated, preferably a mammal, in particular a human being, productive animal or domestic animal. Whether such a treatment is indicated, and in which form it is to take place, depends on the individual case and is subject to medical assessment (diagnosis) which takes into consideration signs, symptoms and/or malfunctions which are present, the risks of developing particular signs, symptoms and/or malfunctions, and other factors.

As a rule, the treatment is effected by means of single or repeated daily administration, where appropriate together, or alternating, with other active compounds or active compound-containing preparations such that a daily dose of preferably from about 0.1 to 1000 mg/kg of bodyweight, in the case of oral administration, or of from about 0.1 to 100 mg/kg of bodyweight, in the case of parenteral administration, is supplied to an individual to be treated.

The invention also relates to pharmaceutical compositions for treating an individual, preferably a mammal, in particular a human being, productive animal or domestic animal. Thus, the compounds according to the invention are customarily administered in the form of pharmaceutical compositions which comprise a pharmaceutically acceptable excipient together with at least one compound according to the invention and, where appropriate, other active compounds. These compositions can, for example, be administered orally, rectally, transdermally, subcutaneously, intravenously, intramuscularly or intranasally.

Examples of suitable pharmaceutical formulations are solid medicinal forms, such as powders, granules, tablets, in particular film tablets, lozenges, sachets, cachets, sugar-coated tablets, capsules, such as hard gelatin capsules and soft gelatin capsules, suppositories or vaginal medicinal forms, semisolid medicinal forms, such as ointments, creams, hydrogels, pastes or plasters, and also liquid medicinal forms, such as solutions, emulsions, in particular oil-in-water emulsions, suspensions, for example lotions, injection preparations and infusion preparations, and eyedrops and eardrops. Implanted release devices can also be used for administering inhibitors according to the invention. In addition, it is also possible to use liposomes or microspheres.

When producing the pharmaceutical compositions, the compounds according to the invention are optionally mixed or diluted with one or more excipients. Excipients can be solid, semisolid or liquid materials which serve as vehicles, carriers or medium for the active compound.

Suitable excipients are listed in the specialist medicinal monographs. In addition, the formulations can comprise pharmaceutically acceptable carriers or customary auxiliary substances, such as glidants; wetting agents; emulsifying and suspending agents; pre-

servatives; antioxidants; antiirritants; chelating agents; coating auxiliaries; emulsion stabilizers; film formers; gel formers; odor masking agents; taste corrigents; resin; hydrocolloids; solvents; solubilizers; neutralizing agents; diffusion accelerators; pigments; quaternary ammonium compounds; refatting and overfatting agents; raw materials for ointments, creams or oils; silicone derivatives; spreading auxiliaries; stabilizers; sterilants; suppository bases; tablet auxiliaries, such as binders, fillers, glidants, disintegrants or coatings; propellants; drying agents; opacifiers; thickeners; waxes; plasticizers and white mineral oils. A formulation in this regard is based on specialist knowledge as described, for example, in Fiedler, H.P., Lexikon der Hilfsstoffe für Pharmazie, Kosmetik und angrenzende Gebiete [Encyclopedia of auxiliary substances for pharmacy, cosmetics and related fields], 4th edition, Aulendorf: ECV-Editio-Kantor-Verlag, 1996.

The following examples serve to explain the invention without limiting it.

Examples

The compounds were either characterized via proton-NMR in d_6-dimethylsulfoxide or d-chloroform on a 400 MHz or 500 MHz NMR instrument (Bruker AVANCE), or by mass spectrometry, generally recorded via HPLC-MS in a fast gradient on C18-material (electrospray-ionisation (ESI) mode), or melting point.

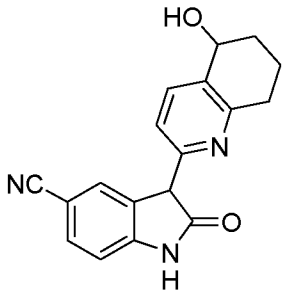
The magnetic nuclear resonance spectral properties (NMR) refer to the chemical shifts (δ) expressed in parts per million (ppm). The relative area of the shifts in the ^{1}H-NMR spectrum corresponds to the number of hydrogen atoms for a particular functional type in the molecule. The nature of the shift, as regards multiplicity, is indicated as singlet (s), broad singlet (s. br.), doublet (d), broad doublet (d br.), triplet (t), broad triplet (t br.), quartet (q), quintet (quint.) and multiplet (m).

Abbreviations:

DMSO	dimethylsulfoxide
DCM	dichloromethane
DMF	dimethylformamide
MeOH	methanol
EtOAc	ethylacetate
THF	tetrahydrofurane
TBDMS	tert-butyldimethylsilyl
TBFA	tert-butylammonium fluoride
RT	room temperature
d	days

I. Preparation Examples

Example 1: 3-(5-Hydroxy-5,6,7,8-tetrahydroquinolin-2-yl)-2-oxoindoline-5-carbonitrile



1.1 5-(tert-Butyldimethylsilyloxy)-2-chloro-5,6,7,8-tetrahydroquinoline

A solution of 2-chloro-5,6,7,8-tetrahydroquinolin-5-ol (500 mg, 2.72 mmol) in DMF (10 mL) was treated with imidazole (260mg, 3.81 mmol). After complete dissolution TBDMS-Cl was added and the resulting mixture was stirred at RT for 16h. The reaction mixture was diluted with EtOAc (40 mL) and was washed with brine (5x). The organic layer was collected, dried with Na_2SO_4, filtered, and the solvent was evaporated at reduced pressure yielding the titled compound as an oil. Amount 760 mg. Yield 94%.
^{1}H-NMR (DMSO-d_6, 400 MHz) δ 0.16 (d, 6H), 0.89 (s, 9H), 1.70 (m, 1H), 1.78 (m, 1H), 1.95 (m, 2H), 2.79 (m, 2H), 4.84 (dd, 1H), 7.31 (d, 1H), 7.66 (d, 1H);
MS (ES-API) *m/z* 298.1 (M+H$^+$, 100%).

1.2 3-(5-(tert-Butyldimethylsilyloxy)-5,6,7,8-tetrahydroquinolin-2-yl)-2-oxoindoline-5-carbonitrile

To a suspension of 2-oxoindoline-5-carbonitrile (30 mg, 0.190 mmol) in THF placed in a microwave vial were added sequentially 5-(tert-butyldimethylsilyloxy)-2-chloro-5,6,7,8-tetrahydroquinoline (67.8 mg, 0.228 mmol), K_2CO_3 (52.4 mg, 0.379 mmol), X-PHOS (7.23 mg, 0.015 mmol), and $Pd_2(dba)_3$ (3.47 mg, 3.79 µmol). The vial was sealed and flushed with argon. The mixture was heated in a microwave oven at 80°C for 95 min. The mixture was cooled to RT and diluted with water and ethyl acetate. The organic layer was separated and the remaining aqueous layer was extracted with dichloromethane. The combined dichloromethane extracts were dried over sodium sulfate, filtered, and evaporated to dryness. Amount 32 mg. Yield 40%.
^{1}H-NMR (DMSO-d_6, 400 MHz) δ 0.19 (d, 6H), 0.92 (s, 9H), 1.73 (m, 1H), 1.81 (m, 1H), 1.97 (m, 2H), 2.79 (m, 1H), 2.87 (m, 1H), 4.79 (m, 1H), 7.04 (dd, 1H), 7.29 (dd, 1H), 7.74 (m, 2H), 7.92 (s, 1H), 10.90 (s, 1H)
MS (ES-API) *m/z* 420.2 (M+H$^+$, 100%).

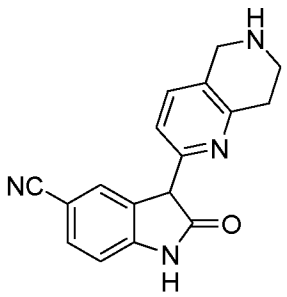
1.3 3-(5-Hydroxy-5,6,7,8-tetrahydroquinolin-2-yl)-2-oxoindoline-5-carbonitrile

A suspension of 3-(5-(tert-butyldimethylsilyloxy)-5,6,7,8-tetrahydroquinolin-2-yl)-2-oxoindoline-5-carbonitrile (26 mg, 0.062 mmol) in tetrahydrofuran (5 mL) was cooled to 0°C. To this mixture was added dropwise a 1.0M solution of TBAF in THF (0.124 ml, 0.124 mmol) resulting in a clear yellow solution. The reaction was stirred for 1h at 0°C and then warmed to RT. After 3h another portion of TBAF (1.0M in THF, 0.124 ml, 0.124 mmol) was added and the reaction was stirred at RT for 16h. The mixture was diluted with ethyl acetate and the organic layer was washed with water (2x) and brine (1x). The organic layer was dried over sodium sulfate, filtered, and evaporated to dryness. The crude was purified by flash chromatography (silica gel, DCM/MeOH) yielding a yellow solid. Amount 11 mg. Yield 59 %.

^{1}H-NMR (DMSO-d_6, 400 MHz) δ 1.75 (m, 2H), 1.93 (m, 2H), 2.78 (m, 2H), 4.54 (bs, 1H), 5.38 (bs, 1H), 7.02 (d, 1H), 7.28 (dd, 1H), 7.68 (d, 1H), 7.84 (d, 1H), 7.89 (s, 1H), 10.88 (s, 1H), 14.90 (bs, 1H)

MS (ES-API) *m/z* 306.1 ($M+H^+$, 100%).

Example 2: 2-Oxo-3-(5,6,7,8-tetrahydro-1,6-naphthyridin-2-yl)indoline-5-carbonitrile



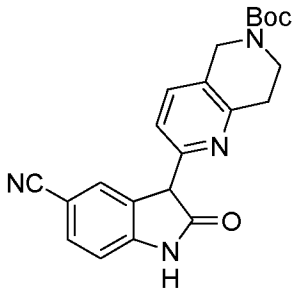
2.1 tert-Butyl 2-chloro-7,8-dihydro-1,6-naphthyridine-6(5H)-carboxylate

To a solution of 2-chloro-5,6,7,8-tetrahydro-1,6-naphthyridine (500 mg, 2.97 mmol) in dioxane (7.4 mL) and water (7.4 mL) was added sodium bicarbonate in as a solid in one portion (498 mg, 5.93 mmol). After stirring the resulting suspension for 10 min at RT Boc_2O (777 mg, 3.56 mmol) was added and the mixture was stirred for 16 h. The mixture was diluted with ethyl acetate and the organic layer was washed with water and brine. The organic phase was dried over sodium sulfate, filtered, and evaporated to dryness. Amount 693 mg. Yield 87 %.

^{1}H-NMR ($CDCl_3$, 400 MHz) δ 1.52 (s, 9H), 2.99 (t, 2H), 3.75 (t, 2H), 4.58 (s, 2H), 7.17 (d, 1H), 7.39 (d, 1H)

MS (ES-API) *m/z* 369.1 ($M+H^+$, 100%).

2.2 tert-Butyl 2-(5-cyano-2-oxoindolin-3-yl)-7,8-dihydro-1,6-naphthyridine-6(5H)-carboxylate



The title compound was prepared as described for Example 1.2 using 2-oxoindoline-5-carbonitrile (59 mg, 0.373 mmol), X-PHOS (14.23 mg, 0.030 mmol), K_2CO_3 (103 mg, 0.746 mmol), tert-butyl 2-chloro-7,8-dihydro-1,6-naphthyridine-6(5H)-carboxylate (120 mg, 0.448 mmol), and $Pd_2(dba)_3$ (6.83 mg, 7.46 µmol). The mixture was heated in a microwave oven at 100°C for 2h min. The mixture was cooled to RT and the resulting precipitate was removed by filtration. The remaining residue was dissolved in a mixture of dichloromethane and 2-propanol and the solution was washed with water. The aqueous layer was re-extracted with dichloromethane/2-propanol (3/1, v/v). The combined organic layers were washed with brine, dried over sodium sulfate, filtered, and evaporated to dryness. Amount 86 mg. Yield 59%.

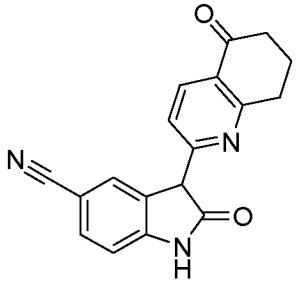
^{1}H-NMR (DMSO-d_6, 400 MHz) δ 1.47 (s, 9H), 2.91 (t, 2H), 3.69 (t, 2H), 4.45 (s, 2H), 7.02 (d, 1H), 7.28 (d, 1H), 7.72 (s, 1H), 7.93 (s, 1H), 10.92 (bs, 1H), 15.05 (bs, 1H)
MS (ES-API) *m/z* 391.2 ($M+H^+$, 100%).

2.3 2-Oxo-3-(5,6,7,8-tetrahydro-1,6-naphthyridin-2-yl)indoline-5-carbonitrile

A solution of tert-butyl 2-(5-cyano-2-oxoindolin-3-yl)-7,8-dihydro-1,6-naphthyridine-6(5H)-carboxylate (73 mg, 0.187 mmol) in 4N HCl in dioxane (5 mL) was stirred at RT for 3h. After this period all volatiles were removed in vacuo. The residue was dissolved in water and washed with ethyl acetate. The aqueous layer was neutralized with saturated solution of sodium bicarbonate and extracted with ethyl acetate. The latter extracts were dried over sodium sulfate, filtered, and evaporated to dryness. Quant. yield.

^{1}H-NMR (DMSO-d_6, 400 MHz) δ 2.76 (t, 2H), 3.04 (m, 2H), 3.76 (s, 2H), 7.00 (m, 1H), 7.19 (m, 1H), 7.54 (m, 1H), 7.66 (m, 1H), 7.87 (bs, 1H), 10.59 (bs, 1H)
MS (ES-API) *m/z* 291.0 ($M+H^+$, 100%).

Example 3: 2-Hydroxy-3-(5-oxo-5,6,7,8-tetrahydroquinolin-2-yl)-1H-indole-5-carbonitrile



3.1 7,8-Dihydroquinoline-2,5(1H,6H)-dione

Methyl propiolate (5.03 ml, 56.2 mmol) was added to finely ground 3-aminocyclohex-2-enone (5 g, 45.0 mmol). The resulting mixture was heated to 105°C resulting in a dark brown solution and stirred under reflux for 60 min. Then the reflux condenser was removed and the excess methyl propiolate was distilled off by raising the temperature to 170°C. The reaction mixture was cooled to RT and the resulting solid was triturated with dichloromethane (10 mL) and heated to 40°C for 25 min. The hot mixture was filtered and the yellow residue was washed with dichloromethane (10 mL). The solid was dried under reduced pressure. Amount 2.07 g. Yield 28%.
^{1}H-NMR (DMSO-d_6, 400 MHz) δ 2.03 (m, 2H), 2.45 (m, 2H), 2.81 (t, 2H), 6.25 (d, 1H), 7.78 (d, 1H), 12.05 (bs, 1H)
MS (ES-API) *m/z* 164.1 ($M+H^+$, 100%).

3.2 2-Chloro-7,8-dihydroquinolin-5(6H)-one

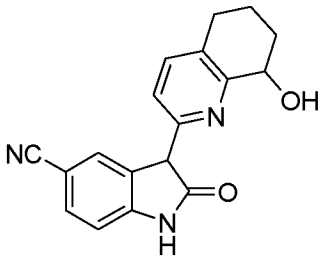
To a suspension of 7,8-dihydroquinoline-2,5(1H,6H)-dione (1.5 g, 9.19 mmol) in acetonitrile (22 mL) was added dropwise phosphorous oxychloride (1.714 mL, 18.39 mmol). The resulting solution was heated to 100°C and stirred for 2h. The reaction was cooled to RT and poured into ice-cold water. After basifying the mixture with 2 M sodium hydroxide solution it was extracted with ethyl acetate (3x). After each extraction the pH of the aqueous phase was checked and if necessary adjusted by adding 1 M sodium hydroxide solution. The combined organic layers were dried over sodium sulfate, filtered, and evaporated to dryness. The crude was purified by flash chromatography (silica gel, cyclohexane/ethyl acetate) yielding a colourless solid. Amount 1.23 g. Yield 74 %.
^{1}H-NMR (DMSO-d_6, 400 MHz) δ 2.13 (m, 2H), 2.68 (m, 2H), 3.08 (t, 2H), 7.53 (d, 1H), 8.20 (d, 1H)
MS (ES-API) *m/z* 182.0 ($M+H^+$, 100%).

3.3 2-Hydroxy-3-(5-oxo-5,6,7,8-tetrahydroquinolin-2-yl)-1H-indole-5-carbonitrile

To a suspension of 2-chloro-7,8-dihydroquinolin-5(6H)-one (50 mg, 0.275 mmol) and 2-oxoindoline-5-carbonitrile (45.7 mg, 0.289 mmol) in tetrahydrofuran (1.4 mL) was added a 1.0 M solution of sodium bis(trimethylsilyl)amide (641 µL, 0.641 mmol). The mixture was stirred for 3 min at RT and then heated in a microwave oven to 110°C for 10 min. After cooling to RT the reaction was quenched by addition of methanol (1 mL). The resulting solution was evaporated to dryness. The crude was purified by flash chromatography (silica gel, dichloromethane/methanol) yielding an orange solid. Amount 17 mg. Yield 20 %.
^{1}H-NMR (DMSO-d_6, 400 MHz) δ 2.17 (m, 2H), 2.59 (t, 2H), 3.08 (t, 2H), 7.06 (d, 1H), 7.38 (dd, 1H), 7.68 (d, 1H), 7.98 (m, 2H), 11.11 (s, 1H), 14.78 (bs, 1H)

MS (ES-API) *m/z* 304 ($M+H^+$, 100%).

Example 4: 2-Hydroxy-3-(8-hydroxy-5,6,7,8-tetrahydroquinolin-2-yl)-1H-indole-5-carbonitrile



4.1 2-Chloro-8-hydroxy-5,6,7,8-tetrahydroquinoline 1-oxide

To an ice-cold solution of 2-chloro-5,6,7,8-tetrahydroquinolin-8-ol (300 mg, 1.634 mmol) in dichloromethane (5 mL) was added 3-chloroperbenzoic acid (604 mg, 2.451 mmol) in small portions over a period of 5 min. The reaction mixture was slowly warmed to RT and stirred for 20h. The raction was quenched by the addition of water. The aqueous phase was removed and the organic layer was washed with a 10% aqueous sdium thiosulfate solution (2x), with a 2M sodium carbonate solution (2x), and with brine (1x). The organic layer was dried over sodium sulfate, filtered, and evaporated to dryness furnishing a beige solid. Amount 320 mg. Yield 98 %.
^{1}H-NMR ($CDCl_3$, 400 MHz) δ 1.80 (m, 1H), 1.93 (m, 1H), 2.13 (m, 2H), 2.72 (m, 1H), 2.84 (m, 1H), 5.13 (t, 1H), 7.09 (d, 1H), 7.40 (d, 1H)
MS (ES-API) *m/z* 200.1 ($M+H^+$, 100%).

4.2 2-(5-Cyano-2-oxoindolin-3-yl)-8-hydroxy-5,6,7,8-tetrahydroquinoline 1-oxide

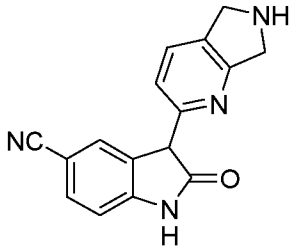
The title compound was prepared as described for Example 3.3 using 2-chloro-8-hydroxy-5,6,7,8-tetrahydroquinoline 1-oxide (100 mg, 0.501 mmol), 2-oxoindoline-5-carbonitrile (83 mg, 0,526 mmol), tetrahydrofuran (2.5 mL), and a 1.0 M solution of sodium bis(trimethylsilyl)amide (1.668 µL, 1.668 mmol). The reaction was quenched by addition of methanol (2.5 mL). The resulting solution was evaporated to dryness. The crude was used in the following reaction step without further purification.
MS (ES-API) *m/z* 322.1 ($M+H^+$, 100%).

4.3 2-Hydroxy-3-(8-hydroxy-5,6,7,8-tetrahydroquinolin-2-yl)-1H-indole-5-carbonitrile

To a suspension of crude 2-(5-cyano-2-oxoindolin-3-yl)-8-hydroxy-5,6,7,8-tetrahydroquinoline 1-oxide (263 mg, 0.819 mmol) in ethyl acetate (12 mL) and acetonitrile (12 mL) was added dropwise a solution of phosphorous trichloride (0.644 mL, 7.37 mmol) in ethyl acetate (4 mL). The resulting suspension was stirred at RT. After 24h the mixture was diluted with ethyl acetate and washed with a saturated sodium bicarbonate solution (2x). The aqueous phase was re-extracted with ethyl acetate (1x) and

the combined organic extracts were dried over sodium sulfate, filtered, and evaporated to dryness (52 mg). The crude was dissolved in a mixture of water (2 mL) and dimethylformamide (3 mL) and the solution was heated in a microwave oven at 120 °C for 5 min. After cooling to RT the reaction mixture was diluted with ethyl acetate was washed with brine (5x). The organic layer was dried over sodium sulfate, filtered, and evaporated to dryness. The crude was purified by flash chromatography (silica gel, dichloromethane/methanol) yielding yellow solid. Amount 8.6 mg. Yield 18 %.
^{1}H-NMR (DMSO-d_6, 400 MHz) δ 1.70 (m, 2H), 1.90 (m, 1H), 2.10 (m, 1H), 2.63 (m, 2H), 4.66 (m, 1H), 6.04 (d, 1H), 6.98 (d, 1H), 7.24 (d, 1H), 7.61 (d, 1H), 7.70 (d, 1H), 7.88 (s, 1H), 10.81 (s, 1H), 15.05 (bs, 1H)
MS (ES-API) *m/z* 306.0 ($M+H^+$, 100%).

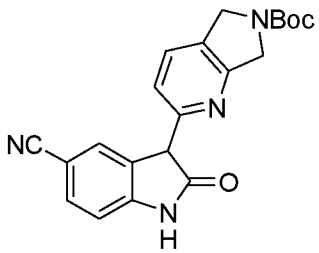
Example 5: 3-(6,7-Dihydro-5H-pyrrolo[3,4-b]pyridin-2-yl)-2-oxoindoline-5-carbonitrile hydrochloride



5.1 tert-Butyl 2-chloro-5H-pyrrolo[3,4-b]pyridine-6(7H)-carboxylate

The title compound was prepared as described for Example 2.1 using 2-chloro-6,7-dihydro-5H-pyrrolo[3,4-b]pyridine (500 mg, 3,23 mmol), sodium bicarbonate (543 mg, 6,47 mmol), and Boc2O (870 mg, 3.987 mmol) in a mixture of dioxane (7.4 mL) and water (7.4 mL). After work up as described in Example 4 the titled compound was obtained as a beige solid. Amount 814 mg. Yield 99 %.
^{1}H-NMR ($CDCl_3$, 400 MHz) δ 1.55 (s, 9H), 4.69 (m, 4H), 7.26 (d, 1H), 7.54 (m, 1H); MS (ES-API) *m/z* 255.1 ($M+H^+$, 10%).

5.2 tert-Butyl 2-(5-cyano-2-oxoindolin-3-yl)-5H-pyrrolo[3,4-b]pyridine-6(7H)-carboxylate



The title compound was prepared as described for Example 1.2 using 2-oxoindoline-5-carbonitrile (200 mg, 1.265 mmol), tert-butyl 2-chloro-5H-pyrrolo[3,4-b]pyridine-6(7H)-carboxylate (387 mg, 1.517 mmol), K_2CO_3 (350 mg, 2.53 mmol), X-PHOS (48.2 mg, 0.101 mmol), $Pd_2(dba)_3$ (23.16 mg, 0.025 mmol), and tetrahydrofuran (4 mL). The reac-

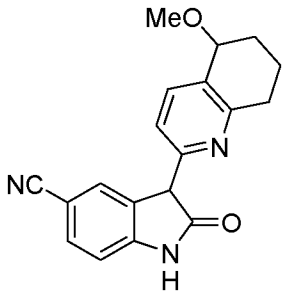
tion mixture was heated in a microwave oven at 100 °C for 90 min. After cooling to RT the mixture was filtered and the yellow residue was washed with tetrahydrofuran (10 mL) and water (10 mL). The solid was dried under reduced pressure. Amount 193 mg. Yield 40 %.

^{1}H-NMR (DMSO-d_6, 400 MHz) δ 1.47 (s, 9H), 4.52 (m, 2H), 4.72 (d, 2H), 7.04 (d, 1H), 7.28 (d, 1H), 7.80 (m, 2H), 7.98 (s, 1H), 11.05 (s, 1H)
MS (ES-API) *m/z* 377.1 ($M+H^+$, 10%).

5.3 3-(6,7-Dihydro-5H-pyrrolo[3,4-b]pyridin-2-yl)-2-oxoindoline-5-carbonitrile hydrochloride

To a suspension of tert-butyl 2-(5-cyano-2-oxoindolin-3-yl)-5H-pyrrolo[3,4-b]pyridine-6(7H)-carboxylate (70 mg, 0.186 mmol) in dioxane (2 mL) was added dropwise 4N HCl in dioxane (2.5 mL). After stirring the resulting mixture at RT for 3d all volatiles were removed under reduced pressure. The residue was suspended in diethylether and stirred at RT for 2h. The suspension was filtered, the remaining solid was washed with diethylether and dried under reduced pressure. Amount 55 mg. Yield 95 %.
^{1}H-NMR (DMSO-d_6, 400 MHz) δ 4.48 (m, 2H), 4.67 (s, 2H), 7.09 (m, 1H), 7.40 (m, 1H), 7.85 (m, 2H), 8.12 (s, 1H), 9.87 (m, 2H), 11.18 (m, 1H)
MS (ES-API) *m/z* 277.1 ($M+H^+$, 100%).

Example 6: 3-(5-Methoxy-5,6,7,8-tetrahydroquinolin-2-yl)-2-oxoindoline-5-carbonitrile



6.1 2-Chloro-5-methoxy-5,6,7,8-tetrahydroquinoline

To a solution of 2-chloro-5,6,7,8-tetrahydroquinolin-5-ol (319 mg, 1,737 mmol) in tetrahydrofuran (8 mL) was added in small portions sodium hydride (83 mg, 2,085 mmol; 60 % on mineral oil). After stirring the resulting suspension for 20 min at RT methyl iodide (0,119 ml, 1,911 mmol) was added dropwise. The reaction mixture was stirred at RT for 20h. The reaction was quenched by addition of a saturated ammonium chloride solution. The layers were seperated and the aqueous layer was extracted with ethyl acetate (3x). The combined organic layers were washed with brine and dried over sodium sulfate, filtered, and evaporated to dryness. The crude was purified by flash chromatography (silica gel, cyclohexane/ethylacetate) yielding a slightly yellow oil. Amount 210 mg. Yield 61 %.

^{1}H-NMR (DMSO-d_6, 400 MHz) δ 1.77 (m, 1H), 1.90 (m, 3H), 2.79 (m, 2H), 3.37 (s, 3H), 4.37 (m, 1H), 7.32 (d, 1H), 7.77 (d, 1H)
MS (ES-API) *m/z* 198.1 ($M+H^+$, 100%).

6.2 3-(5-Methoxy-5,6,7,8-tetrahydroquinolin-2-yl)-2-oxoindoline-5-carbonitrile

The title compound was prepared as described for Example 1.2 using 2-oxoindoline-5-carbonitrile (60 mg, 0.379 mmol), 2-chloro-5-methoxy-5,6,7,8-tetrahydroquinoline (90 mg, 0,455 mmol), K_2CO_3 (105 mg, 0.76 mmol), X-PHOS (14.47 mg, 0.030 mmol), $Pd_2(dba)_3$ (6.95 mg, 7.59 µmol), and tetrahydrofuran (1.9 mL). The reaction mixture was heated in a microwave oven at 100 °C for 120 min. After cooling to RT the mixture was diluted with ethyl acetate. The organic layer was separated and the aqueous layer was extracted with ethyl acetate (2x). The combined organic layers were dried over sodium sulfate, filtered, and evaporated to dryness. The crude was purified by flash chromatography (silica gel, dichloromethane/methanol). The product containing fractions were combined, evaporated to dryness, and the resulting solid was triturated with diethylether. Amount 27 mg. Yield 22 %.
^{1}H-NMR (DMSO-d_6, 400 MHz) δ 1.87 (m, 4H), 2.81 (m, 2H), 3.40 (s, 3H), 4.28 (s, 1H), 7.04 (d, 1H), 7.31 (d, 1H), 7.68 (d, 1H), 7.77 (d, 1H), 7.91 (s, 1H), 10.96 (s, 1H), 14.93 (bs, 1H)
MS (ES-API) *m/z* 320.1 ($M+H^+$, 100%).

II. Biological tests

The compounds according to the invention exhibit very good affinities for GSK-3 (< 1 µM, frequently < 100 nM) and exhibited good selectivity against multiple kinase targets.

Methods - biochemical hGSK-3beta assay

Compounds were tested for their ability to inhibit human Glycogen Synthase Kinase-3 beta (hGSK-3β) to phosphorylate biotin-YRRAAVPPSPSLSRHSSPHQ(pS)EDEEE. Compounds were incubated with 0.5µCi 33P-ATP, 10 µM ATP, 0.0125U hGSK-3β (Upstate cell signaling solutions) and 1µM substrate (biotin-YRRAAVPPSPSLSRHSSPHQ(pS)EDEEE) in 50 mM HEPES, 10 mM $MgCl_2$, 100 mM Na_3VO_4, 1 mM DTT, 0.0075% Triton, 2% DMSO (total volume 50 µL) for 30 minutes at room temperature. The incubation was stopped by addition of an equal volume of 100 mM EDTA, 4M NaCl. 80 µL of this mixture was added to streptavidin-coated Flashplates (PerkinElmer). Following a wash step, 33P incorporation was quantified on a MicroBeta microplate liquid scintillation counter (PerkinElmer). IC_{50}'s were determined by fitting a sigmoidal dose-response curve to the counts obtained at the different concentrations in GraphPad Prism.

The results of the binding tests are given in the table below.

Example #	GSK-3β IC_{50} (nM)
1	+++
2.2	+++
2	+++
3	+++
4	+++
5	+++
6	+++

n.d. not determined

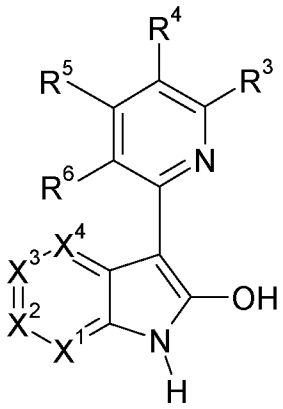
GSK-3β IC_{50} (nM):

Ranges:

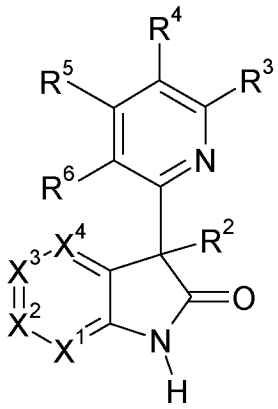
+	> 10μM
++	from 100nM to 10μM
+++	<100 nM

We claim:

1. Heterocyclic compounds of the general formulae IA and IB



(IA)



(IB)

the stereoisomers, N-oxides, prodrugs, tautomers and/or physiologically tolerated acid addition salts thereof; and the compounds of the general formulae IA and IB, wherein at least one of the atoms has been replaced by its stable, non-radioactive isotope,
wherein

X^1, X^2, X^3 and X^4 are independently of each other selected from the group consisting of CR^1 and N;

each R^1 is independently selected from the group consisting of hydrogen, cyano, NR^aR^b, OH, halogen, C_1-C_6-alkyl, C_1-C_6-haloalkyl, C_3-C_7-cycloalkyl, C_3-C_7-halocycloalkyl, C_2-C_4-alkenyl, C_2-C_4-haloalkenyl, C_1-C_6-alkoxy, C_1-C_6-haloalkoxy, formyl, C_1-C_6-alkylcarbonyl, C_1-C_6-haloalkylcarbonyl, COOH, C_1-C_6-alkoxycarbonyl, C_1-C_6-haloalkoxycarbonyl, C_1-C_6-alkyl-NR^aR^b, CO-NR^aR^b, an aromatic radical Ar, which is selected from the group consisting of phenyl and a 5- or 6-membered N- or C-bound heteroaromatic radical comprising 1, 2 or 3 heteroatoms independently selected from O, S and N as ring members, wherein Ar is unsubstituted or carries one or two radicals R^7 and wherein Ar may also be bonded via a CH_2 group, and saturated or partially unsaturated 3-, 4-, 5-, 6- or 7-membered heterocyclic radical comprising 1, 2 or 3 heteroatoms selected from O, S and N as ring members, wherein the heterocyclic radical is unsubstituted or substituted by 1, 2, 3 or

4 radicals independently selected from halogen, cyano, C_1-C_4-alkyl, C_1-C_4-haloalkyl, C_1-C_4-alkoxy and C_1-C_4-haloalkoxy;

R^2 is hydrogen, C_1-C_4-alkyl, C_1-C_4-haloalkyl, C_2-C_4-alkenyl, C_2-C_4-haloalkenyl, OH or F;

R^3 and R^4; or R^4 and R^5; or R^5 and R^6 form together a bridging group $-(CH_2)_m-$, wherein m is 3, 4 or 5, where 1, 2 or 3 of the CH_2 groups may be replaced by a group or a heteroatom selected from CO, O, S, SO, SO_2, NR^c and NO, and where 1, 2 or 3 hydrogen atoms of the bridging group may be replaced by a radical R^8;

where the radicals R^3, R^4, R^5 and R^6, which are not part of the bridging group, are independently selected from the group consisting of hydrogen, halogen, cyano, C_1-C_4-alkyl, C_1-C_4-haloalkyl, C_1-C_4-alkoxy, C_1-C_4-haloalkoxy and NR^aR^b;

each R^7 is independently selected from the group consisting of halogen, OH, CN, C_1-C_6-alkyl, C_1-C_6-haloalkyl, C_3-C_6-cycloalkyl, C_3-C_6-halocycloalkyl, C_1-C_6-alkoxy, C_1-C_6-haloalkoxy, NR^aR^b, C_1-C_6-alkylcarbonyl, C_1-C_6-haloalkylcarbonyl, C_1-C_6-alkoxycarbonyl, C_1-C_6-haloalkoxycarbonyl, CO-NR^aR^b, a phenyl group and a saturated, partially unsaturated or aromatic 5- or 6-membered heterocyclic radical comprising 1, 2 or 3 heteroatoms selected from O, S and N as ring members, wherein phenyl and the heterocyclic radical are, independently of each other, unsubstituted or substituted by 1, 2, 3 or 4 radicals independently selected from halogen, cyano, C_1-C_4-alkyl, C_1-C_4-haloalkyl, C_1-C_4-alkoxy and C_1-C_4-haloalkoxy, or in the heterocyclic ring two geminally bound radicals may together form a group =O;

each R^8 is independently selected from the group consisting of halogen, OH, CN, C_1-C_6-alkyl, C_1-C_6-haloalkyl, C_3-C_6-cycloalkyl, C_3-C_6-halocycloalkyl, C_1-C_6-alkoxy, C_1-C_6-haloalkoxy, NR^aR^b, C_1-C_6-alkylcarbonyl, C_1-C_6-haloalkylcarbonyl, C_1-C_6-alkoxycarbonyl, C_1-C_6-haloalkoxycarbonyl, CO-NR^aR^b, a phenyl group and a saturated, partially unsaturated or aromatic 3-, 4-, 5-, 6- or 7-membered heterocyclic radical comprising 1, 2 or 3 heteroatoms selected from O, S and N as ring members, wherein phenyl and the heterocyclic radical are, independently of each other, unsubstituted or substituted by 1, 2, 3 or 4 radicals independently selected from halogen, cyano, C_1-C_4-alkyl, C_1-C_4-haloalkyl, C_1-C_4-alkoxy and C_1-C_4-haloalkoxy;

R^a and R^b are independently of each other selected from the group consisting of hydrogen, C_1-C_6-alkyl, C_1-C_4-haloalkyl, C_1-C_4-alkoxy, C_1-C_4-haloalkoxy, C_1-C_4-alkylcarbonyl, C_1-C_4-haloalkylcarbonyl, C_1-C_6-alkoxycarbonyl and C_1-C_6-haloalkoxycarbonyl; or

R^a and R^b form, together with the nitrogen atom to which they are bonded, a 3-, 4-, 5-, 6- or 7-membered saturated or unsaturated aromatic or non-aromatic N-heterocyclic ring, which may contain 1 further heteroatom or heteroatom-containing group selected from N, O, S, SO and SO_2 as a ring member, where the N-heterocyclic ring may carry 1 or 2 radicals selected from halogen, cyano, C_1-C_4-alkyl, C_1-C_4-haloalkyl, C_1-C_4-alkoxy and C_1-C_4-haloalkoxy; and

each R^c is independently selected from the group consisting of hydrogen, C_1-C_6-alkyl, C_1-C_4-haloalkyl, C_1-C_4-alkoxy, C_1-C_4-haloalkoxy, C_1-C_4-alkoxy-C_1-C_4-alkyl, C_1-C_4-alkylcarbonyl, C_1-C_4-haloalkylcarbonyl, C_1-C_6-alkoxycarbonyl and C_1-C_6-haloalkoxycarbonyl.

2. The heterocyclic compounds as claimed in claim 1, wherein R^3 and R^4; or R^4 and R^5; or R^5 and R^6 form together a bridging group $-(CH_2)_m-$, wherein m is 3, 4 or 5, where 1, 2 or 3 of the CH_2 groups may be replaced by a group or a heteroatom selected from CO, O, S, SO, SO_2, NR^c and NO, and where 1, 2 or 3 hydrogen atoms of the bridging group may be replaced by a radical R^8;

with the proviso that in case R^3 and R^4 form together a bridging group $-(CH_2)_m-$, the CH_2 unit bound in the position of R^3 is not replaced by a NR^c group;

where the radicals R^3, R^4, R^5 and R^6, which are not part of the bridging group, are independently selected from the group consisting of hydrogen, halogen, cyano, C_1-C_4-alkyl, C_1-C_4-haloalkyl, C_1-C_4-alkoxy and C_1-C_4-haloalkoxy, and where R^4, R^5 and R^6 may independently also be selected from NR^aR^b.

3. The heterocyclic compounds as claimed in any of claims 1 or 2, wherein R^3 and R^4; or R^4 and R^5; or R^5 and R^6 form together a bridging group $-(CH_2)_m-$, wherein m is 3, 4 or 5, where 1 or 2 of the CH_2 groups may be replaced by a group or a heteroatom selected from CO, O and NR^c, and where 1 or 2 or 3 hydrogen atoms of the bridging group may be replaced by a radical R^8, where R^c and R^8 are as defined in claim 1.

4. The heterocyclic compounds as claimed in any of the preceding claims, where m is 3 or 4.

5. The heterocyclic compounds as claimed in any of claims 3 or 4, where the bridging group is selected from
$-CH_2CH_2CH_2-$, $-OCH_2CH_2-$, $-CH_2CH_2O-$, $-CH_2OCH_2-$, $-NR^cCH_2CH_2-$, $-CH_2CH_2NR^c-$, $-CH_2NR^cCH_2-$, $-CH_2CH_2CH_2CH_2-$, $-OCH_2CH_2CH_2-$, $-CH_2OCH_2CH_2-$, $-CH_2CH_2OCH_2-$, $-CH_2CH_2CH_2O-$, $-NR^cCH_2CH_2CH_2-$, $-CH_2NR^cCH_2CH_2-$, $-CH_2CH_2NR^cCH_2-$, $-CH_2CH_2CH_2NR^c-$, $-C(=O)CH_2CH_2CH_2-$, $-CH_2C(=O)CH_2CH_2-$, $-CH_2CH_2C(=O)CH_2-$ and $-CH_2CH_2CH_2C(=O)-$, where the hydrogen atoms of the above groups may be replaced by 1 or 2 radicals R^8, where R^c and R^8 are as defined in claim 1.

6. The heterocyclic compounds as claimed in claim 5, where the bridging group is selected from
$-CH_2CH_2CH_2-$, $-OCH_2CH_2-$, $-CH_2CH_2O-$, $-CH_2OCH_2-$, $-CH_2NR^cCH_2-$, $-CH_2CH_2CH_2CH_2-$, $-OCH_2CH_2CH_2-$, $-CH_2OCH_2CH_2-$, $-CH_2CH_2OCH_2-$, $-CH_2CH_2CH_2O-$, $-CH_2NR^cCH_2CH_2-$, $-CH_2CH_2NR^cCH_2-$, $-C(=O)CH_2CH_2CH_2-$, $-CH_2C(=O)CH_2CH_2-$, $-CH_2CH_2C(=O)CH_2-$ and $-CH_2CH_2CH_2C(=O)-$, where the hydrogen atoms of the above groups may be replaced by 1 or 2 radicals R^8, where R^c and R^8 are as defined in claim 1.

7. The heterocyclic compounds as claimed in claim 6, where the bridging group is selected from
$-CH_2CH_2CH_2-$, $-CH_2NR^cCH_2-$, $-CH_2CH_2CH_2CH_2-$, $-CH_2NR^cCH_2CH_2-$, $-CH_2CH_2NR^cCH_2-$, $-C(=O)CH_2CH_2CH_2-$, $-CH_2C(=O)CH_2CH_2-$, $-CH_2CH_2C(=O)CH_2-$ and $-CH_2CH_2CH_2C(=O)-$, where the hydrogen atoms of the above groups may be replaced by 1 or 2 radicals R^8, where R^c and R^8 are as defined in claim 1.

8. The heterocyclic compounds as claimed in any of the preceding claims, where the radicals R^3, R^4, R^5 and R^6, which are not part of the bridging group, are hydrogen.

9. The heterocyclic compounds as claimed in any of the preceding claims, where R^3 and R^4; or R^4 and R^5 form together a bridging group as defined in any of the preceding claims.

10. The heterocyclic compounds as claimed in claim 9, where R^3 and R^4 form together a bridging group as defined in any of the preceding claims.

11. The heterocyclic compounds as claimed in any of the preceding claims, where each R^8 is independently selected from the group consisting of halogen, OH, C_1-C_4-alkyl, C_1-C_4-haloalkyl, C_1-C_4-alkoxy, C_1-C_4-haloalkoxy, NR^aR^b, C_1-C_6-alkylcarbonyl, C_1-C_6-haloalkylcarbonyl, C_1-C_6-alkoxycarbonyl and C_1-C_6-haloalkoxycarbonyl.

12. The heterocyclic compounds as claimed in claim 11, where each R^8 is independently selected from the group consisting of OH, C_1-C_4-alkoxy and C_1-C_4-haloalkoxy.

13. The heterocyclic compounds as claimed in any of the preceding claims, where R^c is hydrogen or C_1-C_6-alkoxycarbonyl.

14. The heterocyclic compounds as claimed in any of the preceding claims, where all of X^1, X^2, X^3 and X^4 are CR^1 or one of X^1, X^2, X^3 and X^4 is N and the others are CR^1.

15. The heterocyclic compounds as claimed in claim 14, where all of X^1, X^2, X^3 and X^4 are CR^1.

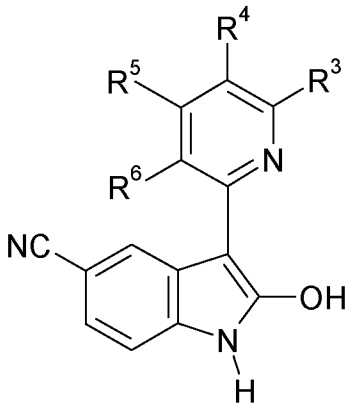
16. The heterocyclic compounds as claimed in claim 15, where X^1, X^2 and X^4 are CH and X^3 is CR^1.

17. The heterocyclic compounds as claimed in claim 16, where X^3 is CR^1, wherein R^1 is H, CN or COOH, preferably CN.

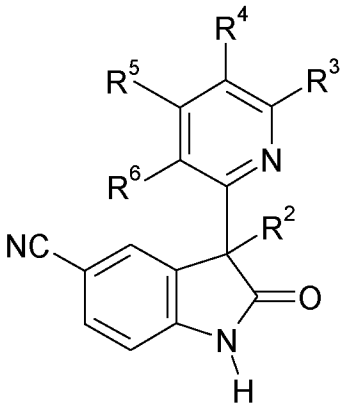
18. The heterocyclic compounds as claimed in any of the preceding claims, where R^2 is hydrogen.

19. The heterocyclic compounds as claimed in any of claims 1 to 17, where R^2 is C_1-C_4-alkyl, C_1-C_4-fluoroalkyl, C_2-C_4-alkenyl or fluorine.

20. The heterocyclic compounds as claimed in any of the preceding claims, of the formulae IA-1 and IB-1



(IA-1)



(IB-1)

wherein R^2, R^3, R^4, R^5 and R^6 are as defined in any of claims 1 to 13, 18 and 19.

21. The heterocyclic compounds as claimed in any of the preceding claims, wherein at least one hydrogen atom has been replaced by a deuterium atom.

22. A pharmaceutical composition comprising at least one heterocyclic compound as defined in any of the preceding claims, a stereoisomer, N-oxide, prodrug, tautomer and/or physiologically tolerated acid addition salt thereof or comprising at least one heterocyclic compound as defined in any of the preceding claims wherein at least one of the atoms has been replaced by its stable, non-radioactive isotope, and at least one physiologically acceptable carrier and/or auxiliary substance.

23. The heterocyclic compounds as defined in any of claims 1 to 21 or the stereoisomers, N-oxides, prodrugs, tautomers or physiologically tolerated acid addition salts thereof for use as a medicament.

24. The heterocyclic compounds as defined in any of claims 1 to 21 or the stereoisomers, N-oxides, prodrugs, tautomers or physiologically tolerated acid addition salts thereof for the treatment of a medical disorder susceptible to the treatment with a compound that modulates, preferably inhibits, the activity of glycogen synthase kinase 3ß.

25. The use of the heterocyclic compounds as defined in any of claims 1 to 21 or of a stereoisomer, N-oxide, prodrug, tautomer or physiologically tolerated acid addition salt thereof for the preparation of a medicament for the treatment of a medical disorder susceptible to the treatment with a compound that modulates, pref-

erably inhibits, the activity of glycogen synthase kinase 3ß.

26. A method for treating a medical disorder susceptible to treatment with a compound that modulates, preferably inhibits, the activity of glycogen synthase kinase 3ß, said method comprising administering an effective amount of at least one heterocyclic compound as defined in any of claims 1 to 21 or of a stereoisomer, N-oxide, prodrug, tautomer or physiologically tolerated acid addition salt thereof or of a pharmaceutical composition as defined in claim 22 to a subject in need thereof.

27. The heterocyclic compounds according to claim 24 or the use according to claim 25 or the method according to claim 26, where the medical disorder is a neurodegenerative disorder or an inflammatory disorder.

28. The heterocyclic compounds or the use or the method according to claim 27, where the medical disorder is selected from schizophrenia, Alzheimer's disease, Parkinson's disease, tauopathies, vascular dementia, acute stroke and others traumatic injuries, cerebrovascular accidents, brain and spinal cord trauma, peripheral neuropathies, bipolar disorders, retinopathies, glaucoma, rheumatoid arthritis and osteoarthritis.

INTERNATIONAL SEARCH REPORT

International application No
PCT/EP2011/066684

A. CLASSIFICATION OF SUBJECT MATTER
INV. C07D401/04 C07D471/04 A61K31/4709 A61K31/4725 A61K31/4375 A61P25/28
ADD.
According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)
C07D A61K A61P

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)
EPO-Internal, WPI Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
Y	WO 03/053330 A2 (ASTRAZENECA AB) 2 July 2003 (2003-07-02) cited in the application page 1, line 14 - page 4, line 10; claims; example 10	1-28
Y	US 2007/281949 A1 (BACON ET. AL.) 6 December 2007 (2007-12-06) page 1, paragraph 3 - page 2, paragraph 13; claims; examples; table 5	1-28
Y	WO 2005/123672 A2 (TAKEDA SAN DIEGO INC.) 29 December 2005 (2005-12-29) cited in the application page 37, paragraph 128 - page 39, paragraph 138; claim 3; examples	1-28

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[X] Further documents are listed in the continuation of Box C.

[X] See patent family annex.

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"P" document published prior to the international filing date but later than the priority date claimed

"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.

"&" document member of the same patent family

Date of the actual completion of the international search	Date of mailing of the international search report
9 December 2011	23/12/2011

Name and mailing address of the ISA/	Authorized officer
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C(Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
Y	WO 2005/061519 A1 (SYRRX, INC.) 7 July 2005 (2005-07-07) cited in the application page 58, paragraph 132 - page 60, line 141; claims; examples -----	1-28

INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No
PCT/EP2011/066684

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