

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization  
International Bureau



(43) International Publication Date  
25 October 2007 (25.10.2007)

PCT

(10) International Publication Number  
**WO 2007/118859 A1**

(51) International Patent Classification:

C07D 213/76 (2006.01) A61P 25/16 (2006.01)  
C07D 239/69 (2006.01) A61P 25/24 (2006.01)  
A61K 31/4439 (2006.01) A61P 25/28 (2006.01)  
A61K 31/506 (2006.01)

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(21) International Application Number:

PCT/EP2007/053633

(22) International Filing Date: 13 April 2007 (13.04.2007)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:

06007923.3 14 April 2006 (14.04.2006) EP  
60/793,671 20 April 2006 (20.04.2006) US

(81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW.

(84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

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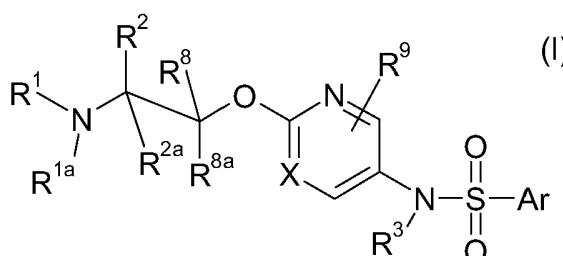
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Published:

— with international search report

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: ARYLOXYETHYLAMINE COMPOUNDS SUITABLE FOR TREATING DISORDERS THAT RESPOND TO MODULATION OF THE DOPAMINE D3 RECEPTOR



(57) Abstract: The present invention relates to aryloxyethylamine compounds of the formula (I) and the physiologically tolerated acid addition salts thereof. The variables have the meanings given in the claims and the description. The invention also relates to the use of a compound of the formula (I) or a pharmaceutically acceptable salt thereof for preparing a pharmaceutical composition for the treatment of a medical disorder susceptible to treatment with a dopamine D3 receptor ligand.

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ARYLOXYETHYLAMINE COMPOUNDS SUITABLE FOR TREATING  
DISORDERS THAT RESPOND TO MODULATION OF THE DOPAMINE D<sub>3</sub>  
RECEPTOR

5    Background Of The Invention

The present invention relates to novel aryloxyethylamine compounds. The compounds possess valuable therapeutic properties and are suitable, in particular, for treating diseases that respond to modulation of the dopamine D<sub>3</sub> receptor.

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Neurons obtain their information by way of G protein-coupled receptors, *inter alia*. A large number of substances exert their effect by way of these receptors. One of them is dopamine. Confirmed findings exist with regard to the presence of dopamine and its physiological function as a neurotransmitter. Disorders in the dopaminergic transmitter system result in diseases of the central nervous system which include, for example, schizophrenia, depression and Parkinson's disease. These diseases, and others, are treated with drugs which interact with the dopamine receptors.

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Up until 1990, two subtypes of dopamine receptor had been clearly defined pharmacologically, namely the D<sub>1</sub> and D<sub>2</sub> receptors. More recently, a third subtype was found, namely the D<sub>3</sub> receptor which appears to mediate some effects of antipsychotics and antiparkinsonians (J.C. Schwartz et al., *The Dopamine D<sub>3</sub> Receptor as a Target for Antipsychotics*, in *Novel Antipsychotic Drugs*, H.Y.

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Meltzer, Ed. Raven Press, New York 1992, pages 135-144; M. Dooley et al., *Drugs and Aging* 1998, 12, 495-514, J.N. Joyce, *Pharmacology and Therapeutics* 2001, 90, pp. 231-59 "The Dopamine D<sub>3</sub> Receptor as a Therapeutic Target for Antipsychotic and Antiparkinsonian Drugs").

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Since then, the dopamine receptors have been divided into two families. On the one hand, there is the D<sub>2</sub> group, consisting of D<sub>2</sub>, D<sub>3</sub> and D<sub>4</sub> receptors, and, on the other hand, the D<sub>1</sub> group, consisting of D<sub>1</sub> and D<sub>5</sub> receptors. Whereas D<sub>1</sub> and D<sub>2</sub> receptors are widely distributed, D<sub>3</sub> receptors appear to be expressed regioselectively. Thus, these receptors are preferentially to be found in the limbic system and the projection regions of the mesolimbic dopamine system, especially

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in the nucleus accumbens, but also in other regions, such as the amygdala.

Because of this comparatively regioselective expression, D<sub>3</sub> receptors are regarded as being a target having few side-effects and it is assumed that while a selective D<sub>3</sub> ligand would have the properties of known antipsychotics, it would not

- 5 have their dopamine D<sub>2</sub> receptor-mediated neurological side-effects (P. Sokoloff et al., Localization and Function of the D<sub>3</sub> Dopamine Receptor, *Arzneim.*

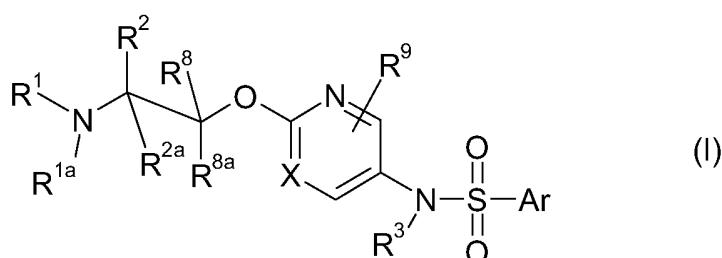
*Forsch./Drug Res.* 42(1), 224 (1992); P. Sokoloff et al. Molecular Cloning and Characterization of a Novel Dopamine Receptor (D<sub>3</sub>) as a Target for Neuroleptics, *Nature*, 347, 146 (1990)).

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### Summary Of The Invention

The invention is based on the object of providing compounds which act as highly selective dopamine D<sub>3</sub> receptor ligands. This object is surprisingly achieved by

- 15 means of aryloxyethylamine compounds of the formula I



wherein

- 20 Ar is phenyl or an aromatic 5- or 6-membered C-bound heteroaromatic radical, wherein Ar may carry 1 radical Ra and wherein Ar may also carry 1 or 2 radicals Rb;

- 25 R<sup>a</sup> is selected from the group consisting of C<sub>1</sub>-C<sub>6</sub>-alkyl, fluorinated C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>2</sub>-C<sub>6</sub>-alkenyl, fluorinated C<sub>2</sub>-C<sub>6</sub>-alkenyl, C<sub>3</sub>-C<sub>6</sub>-cycloalkyl, fluorinated C<sub>3</sub>-C<sub>6</sub>-cycloalkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, fluorinated C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>1</sub>-C<sub>6</sub>-hydroxyalkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy-C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-hydroxyalkoxy, C<sub>1</sub>-C<sub>6</sub>-alkoxy-C<sub>1</sub>-C<sub>4</sub>-alkoxy, COOH, NR<sup>4</sup>R<sup>5</sup>, CH<sub>2</sub>NR<sup>4</sup>R<sup>5</sup>, ONR<sup>4</sup>R<sup>5</sup>, NHC(O)NR<sup>4</sup>R<sup>5</sup>, C(O)NR<sup>4</sup>R<sup>5</sup>, SO<sub>2</sub>NR<sup>4</sup>R<sup>5</sup>, C<sub>1</sub>-C<sub>6</sub>-alkylcarbonyl, fluorinated C<sub>1</sub>-C<sub>6</sub>-alkylcarbonyl, C<sub>1</sub>-C<sub>6</sub>-alkylcarbonylamino,

fluorinated C<sub>1</sub>-C<sub>6</sub>-alkylcarbonylamino, C<sub>1</sub>-C<sub>6</sub>-alkylcarbonyloxy, fluorinated C<sub>1</sub>-C<sub>6</sub>-alkylcarbonyloxy, C<sub>1</sub>-C<sub>6</sub>-alkoxycarbonyl, fluorinated C<sub>1</sub>-C<sub>6</sub>-alkoxycarbonyl, C<sub>1</sub>-C<sub>6</sub>-alkylthio, fluorinated C<sub>1</sub>-C<sub>6</sub>-alkylthio, C<sub>1</sub>-C<sub>6</sub>-alkylsulfinyl, fluorinated C<sub>1</sub>-C<sub>6</sub>-alkylsulfinyl, C<sub>1</sub>-C<sub>6</sub>-alkylsulfonyl, fluorinated C<sub>1</sub>-C<sub>6</sub>-alkylsulfonyl, phenylsulfonyl, phenyl, phenoxy, benzyloxy, pyridin-2-yloxy and a 3- to 7-membered heterocyclic radical, wherein the phenyl groups, the pyridyl group and the heterocyclyl group in the six last mentioned radicals may carry 1, 2, 3 or 4 radicals selected from halogen, cyano, OH, oxo, CN, and a radical R<sup>aa</sup>, wherein

R<sup>aa</sup> is selected from C<sub>1</sub>-C<sub>6</sub>-alkyl, fluorinated C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>2</sub>-C<sub>6</sub>-alkenyl, fluorinated C<sub>2</sub>-C<sub>6</sub>-alkenyl, C<sub>3</sub>-C<sub>6</sub>-cycloalkyl, fluorinated C<sub>3</sub>-C<sub>6</sub>-cycloalkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, fluorinated C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>1</sub>-C<sub>6</sub>-hydroxyalkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy-C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-hydroxyalkoxy, C<sub>1</sub>-C<sub>6</sub>-alkoxy-C<sub>1</sub>-C<sub>4</sub>-alkoxy, COOH, NR<sup>4</sup>R<sup>5</sup>, CH<sub>2</sub>NR<sup>4</sup>R<sup>5</sup>, ONR<sup>4</sup>R<sup>5</sup>, NHC(O)NR<sup>4</sup>R<sup>5</sup>, C(O)NR<sup>4</sup>R<sup>5</sup>, SO<sub>2</sub>NR<sup>4</sup>R<sup>5</sup>, C<sub>1</sub>-C<sub>6</sub>-alkylcarbonyl, fluorinated C<sub>1</sub>-C<sub>6</sub>-alkylcarbonyl, C<sub>1</sub>-C<sub>6</sub>-alkylcarbonylamino, fluorinated C<sub>1</sub>-C<sub>6</sub>-alkylcarbonylamino, C<sub>1</sub>-C<sub>6</sub>-alkylcarbonyloxy, fluorinated C<sub>1</sub>-C<sub>6</sub>-alkylcarbonyloxy, C<sub>1</sub>-C<sub>6</sub>-alkoxycarbonyl, fluorinated C<sub>1</sub>-C<sub>6</sub>-alkoxycarbonyl, C<sub>1</sub>-C<sub>6</sub>-alkylthio, fluorinated C<sub>1</sub>-C<sub>6</sub>-alkylthio, C<sub>1</sub>-C<sub>6</sub>-alkylsulfinyl, fluorinated C<sub>1</sub>-C<sub>6</sub>-alkylsulfinyl, C<sub>1</sub>-C<sub>6</sub>-alkylsulfonyl, fluorinated C<sub>1</sub>-C<sub>6</sub>-alkylsulfonyl, ,

each R<sup>b</sup> is selected from halogen, cyano, nitro, OH, methyl, methoxy, fluoromethyl, difluoromethyl, trifluoromethyl, fluormethoxy, difluoromethoxy and trifluoromethoxy, or

the radical R<sup>a</sup> and one radical R<sup>b</sup>, if present, which are bound to two adjacent carbon atoms of phenyl, may form a 5-or 6-memberd heterocyclic or carbocyclic ring which is fused to the phenyl ring and which is unsubstituted or which may carry 1, 2 or 3 radicals selected from halogen, NO<sub>2</sub>, NH<sub>2</sub>, OH, CN, C<sub>1</sub>-C<sub>6</sub>-alkyl, fluorinated C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>3</sub>-C<sub>6</sub>-cycloalkyl, fluorinated C<sub>3</sub>-C<sub>6</sub>-cycloalkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, fluorinated C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>1</sub>-C<sub>6</sub>-hydroxyalkyl, C<sub>1</sub>-C<sub>4</sub>-

alkoxy-C<sub>2</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-hydroxyalkoxy, C<sub>1</sub>-C<sub>4</sub>-alkoxy-C<sub>2</sub>-C<sub>4</sub>-alkoxy, C<sub>1</sub>-C<sub>6</sub>-alkylcarbonyl, fluorinated C<sub>1</sub>-C<sub>6</sub>-alkylcarbonyl, C<sub>1</sub>-C<sub>6</sub>-alkylamino, di-C<sub>1</sub>-C<sub>6</sub>-alkylamino, C<sub>1</sub>-C<sub>6</sub>-alkylaminocarbonyl, di-C<sub>1</sub>-C<sub>6</sub>-alkylaminocarbonyl, C<sub>1</sub>-C<sub>6</sub>-alkylcarbonylamino, fluorinated C<sub>1</sub>-C<sub>6</sub>-alkylcarbonylamino, C<sub>1</sub>-C<sub>6</sub>-alkylcarbonyloxy, fluorinated C<sub>1</sub>-C<sub>6</sub>-alkylcarbonyloxy, C<sub>1</sub>-C<sub>6</sub>-alkoxycarbonyl, C<sub>1</sub>-C<sub>6</sub>-alkylthio, fluorinated C<sub>1</sub>-C<sub>6</sub>-alkylthio, C<sub>1</sub>-C<sub>6</sub>-alkylsulfinyl, fluorinated C<sub>1</sub>-C<sub>6</sub>-alkylsulfinyl, C<sub>1</sub>-C<sub>6</sub>-alkylsulfonyl and fluorinated C<sub>1</sub>-C<sub>6</sub>-alkylsulfonyl,

5 X is N or CH;

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R<sup>1</sup> is H, C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>3</sub>-C<sub>4</sub>-cycloalkyl, C<sub>3</sub>-C<sub>4</sub>-cycloalkylmethyl, C<sub>3</sub>-C<sub>4</sub>-alkenyl, fluorinated C<sub>1</sub>-C<sub>4</sub>-alkyl, fluorinated C<sub>3</sub>-C<sub>4</sub>-cycloalkyl, fluorinated C<sub>3</sub>-C<sub>4</sub>-cycloalkylmethyl, fluorinated C<sub>3</sub>-C<sub>4</sub>-alkenyl, formyl or C<sub>1</sub>-C<sub>3</sub>-alkylcarbonyl;

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R<sup>1a</sup> is H, C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>3</sub>-C<sub>4</sub>-cycloalkyl, C<sub>3</sub>-C<sub>4</sub>-cycloalkylmethyl, C<sub>3</sub>-C<sub>4</sub>-alkenyl, fluorinated C<sub>1</sub>-C<sub>4</sub>-alkyl, fluorinated C<sub>3</sub>-C<sub>4</sub>-cycloalkyl, fluorinated C<sub>3</sub>-C<sub>4</sub>-cycloalkylmethyl, or fluorinated C<sub>3</sub>-C<sub>4</sub>-alkenyl; or

R<sup>1</sup> and R<sup>1a</sup> together are (CR<sup>6</sup>R<sup>7</sup>)<sub>r</sub> with r being 3, 4 or 5;

20

R<sup>2</sup> and R<sup>2a</sup> are independently of each other H, fluorine, C<sub>1</sub>-C<sub>4</sub>-alkyl or fluorinated C<sub>1</sub>-C<sub>4</sub>-alkyl or R<sup>2a</sup> and R<sup>2</sup> together may form a ring member (CR<sup>6</sup>R<sup>7</sup>)<sub>m</sub> with m being 2, 3, 4 or 5; or

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R<sup>1a</sup> and R<sup>2a</sup> together are (CR<sup>6</sup>R<sup>7</sup>)<sub>n</sub> with n being 2, 3 or 4,

R<sup>3</sup> is H or C<sub>1</sub>-C<sub>4</sub>-alkyl;

30

R<sup>4</sup>, R<sup>5</sup> independently of each other and independently of their individual occurrence are selected from H, C<sub>1</sub>-C<sub>3</sub>-alkyl, C<sub>1</sub>-C<sub>3</sub>-alkoxy and fluorinated C<sub>1</sub>-C<sub>3</sub>-alkyl;

$R^6$ ,  $R^7$  independently of each other and independently of their individual occurrence are selected from H, fluorine, C<sub>1</sub>-C<sub>4</sub>-alkyl and fluorinated C<sub>1</sub>-C<sub>4</sub>-alkyl;

5     $R^8$ ,  $R^{8a}$  independently of each other are H, fluorine, C<sub>1</sub>-C<sub>4</sub>-alkyl or fluorinated C<sub>1</sub>-C<sub>4</sub>-alkyl or  $R^{8a}$  and  $R^8$  together may form a ring member  $(CR^6R^7)_q$  with q being 2, 3, 4 or 5; or

10     $R^{1a}$  and  $R^{8a}$  together are  $(CR^6R^7)_n$  with n being 2 or 3; and

10     $R^9$  is H, C<sub>1</sub>-C<sub>4</sub>-alkyl, fluorinated C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy or fluorinated C<sub>1</sub>-C<sub>4</sub>-alkoxy;

and the physiologically tolerated acid addition salts of these compounds.

15    The present invention therefore relates to aryloxyethylamine compounds of the general formula I and to their physiologically tolerated acid addition salts.

The present invention also relates to a pharmaceutical composition which comprises at least one aryloxyethylamine compound of the formula I and/or at

20    least one physiologically tolerated acid addition salt of I, where appropriate together with physiologically acceptable carriers and/or auxiliary substances.

The present invention also relates to a method for treating disorders which respond to influencing by dopamine D<sub>3</sub> receptor antagonists or dopamine D<sub>3</sub>

25    agonists, said method comprising administering an effective amount of at least one aryloxyethylamine compound of the formula I and/or at least one physiologically tolerated acid addition salt of I to a subject in need thereof.

#### Detailed Description Of The Invention

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The diseases which respond to the influence of dopamine D<sub>3</sub> receptor antagonists or agonists include, in particular, disorders and diseases of the central nervous system, in particular affective disturbances, neurotic disturbances, stress

disturbances and somatoform disturbances and psychoses, especially schizophrenia and depression and, in addition, disturbances of kidney function, in particular kidney function disturbances which are caused by diabetes mellitus (see WO 00/67847).

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According to the invention, at least one compound of the general formula I having the meanings mentioned at the outset is used for treating the above mentioned indications. Provided the compounds of the formula I 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 formula I and/or of their salts.

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It is likewise possible to use physiologically tolerated salts of the compounds of the formula I, 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<sub>1</sub>-C<sub>4</sub>-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 ff., Birkhäuser Verlag, Basel and Stuttgart, 1966.

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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<sub>n</sub>-C<sub>m</sub> indicates in each case the possible number of carbon atoms in the group.

20

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

C<sub>1</sub>-C<sub>4</sub> Alkyl (and likewise in C<sub>1</sub>-C<sub>4</sub> hydroxyalkyl, C<sub>1</sub>-C<sub>6</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub> alkylcarbonyl, C<sub>1</sub>-C<sub>4</sub> alkylcarbonylamino, C<sub>1</sub>-C<sub>4</sub> alkylcarbonyloxy, C<sub>1</sub>-C<sub>4</sub> alkylthio, C<sub>1</sub>-C<sub>4</sub> alkylsulfinyl, C<sub>1</sub>-C<sub>4</sub> alkylsulfonyl etc.) is a straight-chain or branched alkyl group having from 1 to 4 carbon atoms. Examples of an alkyl group are methyl,  
5 ethyl, n-propyl, iso-propyl, n-butyl, 2-butyl, iso-butyl or *tert*-butyl.

C<sub>1</sub>-C<sub>6</sub> Alkyl (and likewise in C<sub>1</sub>-C<sub>6</sub> hydroxyalkyl, C<sub>1</sub>-C<sub>6</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub> alkylcarbonyl, C<sub>1</sub>-C<sub>6</sub> alkylcarbonylamino, C<sub>1</sub>-C<sub>6</sub> alkylcarbonyloxy, C<sub>1</sub>-C<sub>6</sub> alkylthio, C<sub>1</sub>-C<sub>6</sub> alkylsulfinyl, C<sub>1</sub>-C<sub>6</sub> alkylsulfonyl etc.) is a straight-chain or branched alkyl group having from 1 to 6 carbon atoms. Examples include C<sub>1</sub>-C<sub>4</sub> alkyl as mentioned above 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,  
10 15 3,3-dimethylbutyl, 1-ethylbutyl, 2-ethylbutyl, 1,1,2-trimethylpropyl, 1,2,2-trimethylpropyl, 1-ethyl-1-methylpropyl and 1-ethyl-2-methylpropyl;

Fluorinated C<sub>1</sub>-C<sub>6</sub> alkyl (and likewise in fluorinated C<sub>1</sub>-C<sub>6</sub> alkylcarbonyl, fluorinated C<sub>1</sub>-C<sub>6</sub> alkylcarbonylamino, fluorinated C<sub>1</sub>-C<sub>6</sub> alkylcarbonyloxy, fluorinated C<sub>1</sub>-C<sub>6</sub> alkylthio, fluorinated C<sub>1</sub>-C<sub>6</sub> alkylsulfinyl, fluorinated C<sub>1</sub>-C<sub>6</sub> alkylsulfonyl etc.) is a straight-chain or branched alkyl group having from 1 to 6, in particular 1 to 4 carbon atoms, more preferably 1 to 3 carbon atoms, wherein at least one, e.g. 1, 2, 3, 4 or all of the hydrogen atoms are replaced by a fluorine atom such as in fluoromethyl, difluoromethyl, trifluoromethyl, (R)-1-fluoroethyl,  
25 (S)-1-fluoroethyl, 2-fluoroethyl, 1,1-difluoroethyl, 2,2-difluoroethyl, 2,2,2-trifluoroethyl, (R)-1-fluoropropyl, (S)-1-fluoropropyl, 2-fluoropropyl, 3-fluoropropyl, 1,1-difluoropropyl, 2,2-difluoropropyl, 3,3-difluoropropyl, 3,3,3-trifluoropropyl, (R)-2-fluoro-1-methylethyl, (S)-2-fluoro-1-methylethyl, (R)-2,2-difluoro-1-methylethyl, (S)-2,2-difluoro-1-methylethyl, (R)-1,2-difluoro-1-30 methylethyl, (S)-1,2-difluoro-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, (R)-1-fluorobutyl, (S)-1-fluorobutyl, 2-fluorobutyl, 3-fluorobutyl, 4-fluorobutyl, 1,1-difluorobutyl, 2,2-difluorobutyl, 3,3-difluorobutyl, 4,4-difluorobutyl, 4,4,4-trifluorobutyl, etc.;

Branched C<sub>3</sub>-C<sub>6</sub> alkyl is alkyl having 3 to 6 carbon atoms at least one being a secondary or tertiary carbon atom. Examples are isopropyl, tert.-butyl, 2-butyl, isobutyl, 2-pentyl, 2-hexyl, 3-methylpentyl, 1,1-dimethylbutyl, 1,2-dimethylbutyl

- 5 1-methyl-1-ethylpropyl.

C<sub>1</sub>-C<sub>6</sub> Alkoxy (and likewise in C<sub>1</sub>-C<sub>6</sub> alkoxycarbonyl, C<sub>1</sub>-C<sub>6</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkoxy and C<sub>1</sub>-C<sub>6</sub> hydroxyalkoxy) is a straight-chain or

branched alkyl group having from 1 to 6, in particular 1 to 4 carbon atoms, which is

- 10 bound to the remainder of the molecule via an oxygen atom. Examples include methoxy, ethoxy, n-propoxy, isopropoxy, n-butoxy, 2-butoxy, iso-butoxy, tert.-butoxy, pentyloxy, 1-methylbutoxy, 2-methylbutoxy, 3-methylbutoxy, 2,2-dimethylpropoxy, 1-ethylpropoxy, hexyloxy, 1,1-dimethylpropoxy, 1,2-dimethylpropoxy, 1-methylpentylloxy, 2-methylpentylloxy, 3-methylpentylloxy,

- 15 4-methylpentylloxy, 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;

- 20 Fluorinated C<sub>1</sub>-C<sub>6</sub> alkoxy (and likewise in fluorinated C<sub>1</sub>-C<sub>6</sub> alkoxycarbonyl) is a straight-chain or branched alkoxy group having from 1 to 6, in particular 1 to 4 carbon atoms, wherein at least one, e.g. 1, 2, 3, 4 or all of the hydrogen atoms are replaced by a fluorine atom such as in fluoromethoxy, difluoromethoxy, trifluoromethoxy, (R)-1-fluoroethoxy, (S)-1-fluoroethoxy, 2-fluoroethoxy,

- 25 1,1-difluoroethoxy, 2,2-difluoroethoxy, 2,2,2-trifluoroethoxy, (R)-1-fluoropropoxy, (S)-1-fluoropropoxy, 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-30 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, etc.;

C<sub>3</sub>-C<sub>6</sub> Cycloalkyl is a cycloaliphatic radical having from 3 to 6 C atoms, such as cyclopropyl, cyclobutyl and cyclopentyl. The cycloalkyl radical may be unsubstituted or may carry 1, 2, 3 or 4 C<sub>1</sub>-C<sub>4</sub> alkyl radicals, preferably a methyl

- 5 radical. One alkyl radical is preferably located in the 1-position of the cycloalkyl radical, such as in 1-methylcyclopropyl or 1-methylcyclobutyl.

Fluorinated C<sub>3</sub>-C<sub>6</sub> cycloalkyl is a cycloaliphatic radical having from 3 to 6 C atoms, such as cyclopropyl, cyclobutyl and cyclopentyl, wherein at least one, e.g. 1, 2, 3,

- 10 4 or all of the hydrogen atoms are replaced by a fluorine atom such as in 1-fluorocyclopropyl, 2-fluorocyclopropyl, 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, 15 2,3-difluorocyclobutyl, 2,4-difluorocyclobutyl, or 1,2,2-trifluorocyclobutyl.

C<sub>3</sub>-C<sub>6</sub> cycloalkylmethyl is methyl which carries a cycloaliphatic radical having from 3 to 6 C atoms as mentioned above

- 20 Fluorinated C<sub>3</sub>-C<sub>6</sub> cycloalkylmethyl is methyl which carries a cycloaliphatic radical having from 3 to 6 C atoms, wherein at least one, e.g. 1, 2, 3, 4 or all of the hydrogen atoms are replaced by a fluorine atom.

C<sub>2</sub>-C<sub>6</sub>-Alkenyl is a singly unsaturated hydrocarbon radical having 2, 3, 4, 5 or 6

- 25 C-atoms, e.g. vinyl, allyl(2-propen-1-yl), 1-propen-1-yl, 2-propen-2-yl, methallyl(2-methylprop-2-en-1-yl) and the like. C<sub>3</sub>-C<sub>4</sub>-Alkenyl is, in particular, allyl, 1-methylprop-2-en-1-yl, 2-buten-1-yl, 3-buten-1-yl, methallyl, 2-penten-1-yl, 3-penten-1-yl, 4-penten-1-yl, 1-methylbut-2-en-1-yl or 2-ethylprop-2-en-1-yl.

- 30 Fluorinated C<sub>2</sub>-C<sub>6</sub>-alkenyl is a singly unsaturated hydrocarbon radical having 2, 3, 4, 5 or 6 C-atoms, wherein at least one, e.g. 1, 2, 3, 4 or all of the hydrogen atoms are replaced by a fluorine atom 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.

C<sub>1</sub>-C<sub>6</sub> hydroxyalkyl is an alkyl radical having from 1 to 6 carbon atoms as defined above, wherein one hydrogen atom is replaced by hydroxy. Examples comprise hydroxymethyl, 2-hydroxyethyl, 1-hydroxyethyl, 3-hydroxypropyl, 2-hydroxypropyl,

- 5 1-methyl-1-hydroxyethyl and the like.

C<sub>1</sub>-C<sub>6</sub> hydroxyalkoxy is an alkoxy radical having from 1 to 6, preferably from 2 to 4 carbon atoms as defined above, wherein one hydrogen atom is replaced by hydroxy. Examples comprise 2-hydroxyethoxy, 3-hydroxypropoxy,

- 10 2-hydroxypropoxy, 1-methyl-2-hydroxyethoxy and the like.

C<sub>1</sub>-C<sub>6</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub>-alkyl is an alkyl radical having from 1 to 4 carbon atoms as defined above, wherein one hydrogen atom is replaced by C<sub>1</sub>-C<sub>6</sub> alkoxy. Examples comprise methoxymethyl, 2-methoxyethyl, 1-methoxyethyl, 3-methoxypropyl,

- 15 2-methoxypropyl, 1-methyl-1-methoxyethyl, ethoxymethyl, 2-ethoxyethyl, 1-ethoxyethyl, 3-ethoxypropyl, 2-ethoxypropyl, 1-methyl-1-ethoxyethyl and the like.

C<sub>1</sub>-C<sub>6</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub>-alkoxy is an alkoxy radical having from 1 to 4 carbon atoms as defined above, wherein one hydrogen atom is replaced by C<sub>1</sub>-C<sub>6</sub> alkoxy. Examples

- 20 comprise methoxymethoxy, 2-methoxyethoxy, 1-methoxyethoxy, 3-methoxypropoxy, 2-methoxypropoxy, 1-methyl-1-methoxyethoxy, ethoxymethoxy, 2-ethoxyethoxy, 1-ethoxyethoxy, 3-ethoxypropoxy, 2-ethoxypropoxy, 1-methyl-1-ethoxyethoxy and the like.

- 25 C<sub>1</sub>-C<sub>6</sub> alkylcarbonyl is a radical of the formula R-C(O)-, wherein R is an alkyl radical having from 1 to 6 carbon atoms as defined above. Examples comprise acetyl, propionyl, n-butyryl, 2-methylpropionyl, pivalyl and the like.

- 30 C<sub>1</sub>-C<sub>6</sub> alkylcarbonylamino is a radical of the formula R-C(O)-NH-, wherein R is an alkyl radical having from 1 to 6 carbon atoms as defined above. Examples comprise acetamido, propionamido, n-butyramido, 2-methylpropionamido, 2,2-dimethylpropionamido and the like.

C<sub>1</sub>-C<sub>6</sub> alkylcarbonyloxy is a radical of the formula R-C(O)-O-, wherein R is an alkyl radical having from 1 to 6 carbon atoms as defined above. Examples comprise acetoxy, propionyloxy, n-butyryloxy, 2-methylpropionyloxy, 2,2-dimethylpropionyloxy and the like.

5

C<sub>1</sub>-C<sub>6</sub> alkylthio is a radical of the formula R-S-, wherein R is an alkyl radical having from 1 to 6 carbon atoms as defined above. Examples comprise methylthio, ethylthio, propylthio, butylthio, pentylthio, 1-methylbutylthio, 2-methylbutylthio, 3-methylbutylthio, 2,2-dimethylpropylthio, 1-ethylpropylthio, hexylthio,

- 10 1,1-dimethylpropylthio, 1,2-dimethylpropylthio, 1-methylpentylthio, 2-methylpentylthio, 3-methylpentylthio, 4-methylpentylthio, 1,1-dimethylbutylthio, 1,2-dimethylbutylthio, 1,3-dimethylbutylthio, 2,2-dimethylbutylthio, 2,3-dimethylbutylthio, 3,3-dimethylbutylthio, 1-ethylbutylthio, 2-ethylbutylthio, 1,1,2-trimethylpropylthio, 1,2,2-trimethylpropylthio, 1-ethyl-1-methylpropyl and  
15 1-ethyl-2-methylpropyl;

C<sub>1</sub>-C<sub>6</sub> alkylsulfinyl is a radical of the formula R-S(O)-, wherein R is an alkyl radical having from 1 to 6 carbon atoms as defined above. Examples comprise methylsulfinyl, ethylsulfinyl, propylsulfinyl, butylsulfinyl, pentylsulfinyl,

- 20 1-methylbutylsulfinyl, 2-methylbutylsulfinyl, 3-methylbutylsulfinyl, 2,2-dimethylpropylsulfinyl, 1-ethylpropylsulfinyl, hexylsulfinyl, 1,1-dimethylpropylsulfinyl, 1,2-dimethylpropylsulfinyl, 1-methylpentylsulfinyl, 2-methylpentylsulfinyl, 3-methylpentylsulfinyl, 4-methylpentylsulfinyl, 1,1-dimethylbutylsulfinyl, 1,2-dimethylbutylsulfinyl, 1,3-dimethylbutylsulfinyl,  
25 2,2-dimethylbutylsulfinyl, 2,3-dimethylbutylsulfinyl, 3,3-dimethylbutylsulfinyl, 1-ethylbutylsulfinyl, 2-ethylbutylsulfinyl, 1,1,2-trimethylpropylsulfinyl, 1,2,2-trimethylpropylsulfinyl, 1-ethyl-1-methylpropyl and 1-ethyl-2-methylpropyl;

- 30 C<sub>1</sub>-C<sub>6</sub> alkylsulfonyl is a radical of the formula R-S(O)<sub>2</sub>-, wherein R is an alkyl radical having from 1 to 6 carbon atoms as defined above. Examples comprise methylsulfonyl, ethylsulfonyl, propylsulfonyl, butylsulfonyl, pentylsulfonyl, 1-methylbutylsulfonyl, 2-methylbutylsulfonyl, 3-methylbutylsulfonyl, 2,2-dimethylpropylsulfonyl, 1-ethylpropylsulfonyl, hexylsulfonyl, 1,1-dimethylpropylsulfonyl, 1,2-dimethylpropylsulfonyl, 1-methylpentylsulfonyl,

2-methylpentylsulfonyl, 3-methylpentylsulfonyl, 4-methylpentylsulfonyl,  
1,1-dimethylbutylsulfonyl, 1,2-dimethylbutylsulfonyl, 1,3-dimethylbutylsulfonyl,  
2,2-dimethylbutylsulfonyl, 2,3-dimethylbutylsulfonyl, 3,3-dimethylbutylsulfonyl,  
1-ethylbutylsulfonyl, 2-ethylbutylsulfonyl, 1,1,2-trimethylpropylsulfonyl,

- 5 1,2,2-trimethylpropylsulfonyl, 1-ethyl-1-methylpropyl and 1-ethyl-2-methylpropyl;

fluorinated C<sub>1</sub>-C<sub>6</sub> alkylcarbonyl is a radical of the formula R-C(O)-, wherein R is a fluorinated alkyl radical having from 1 to 6 carbon atoms as defined above.

Examples comprise fluoroacetyl, difluoroacetyl, trifluoroacetyl,

- 10 (R)-1-fluoroethylcarbonyl, (S)-1-fluoroethylcarbonyl, 2-fluoroethylcarbonyl,  
1,1-difluoroethylcarbonyl, 2,2-difluoroethylcarbonyl, 2,2,2-trifluoroethylcarbonyl,  
(R)-1-fluoropropylcarbonyl, (S)-1-fluoropropylcarbonyl, 2-fluoropropylcarbonyl,  
3-fluoropropylcarbonyl, 1,1-difluoropropylcarbonyl, 2,2-difluoropropylcarbonyl,  
3,3-difluoropropylcarbonyl, 3,3,3-trifluoropropylcarbonyl, (R)-2-fluoro-1-  
15 methylethylcarbonyl, (S)-2-fluoro-1-methylethylcarbonyl, (R)-2,2-difluoro-1-  
methylethylcarbonyl, (S)-2,2-difluoro-1-methylethylcarbonyl, (R)-1,2-difluoro-1-  
methylethylcarbonyl, (S)-1,2-difluoro-1-methylethylcarbonyl, (R)-2,2,2-trifluoro-1-  
methylethylcarbonyl, (S)-2,2,2-trifluoro-1-methylethylcarbonyl, 2-fluoro-1-  
(fluoromethyl)ethylcarbonyl, 1-(difluoromethyl)-2,2-difluoroethylcarbonyl,  
20 (R)-1-fluorobutylcarbonyl, (S)-1-fluorobutylcarbonyl, 2-fluorobutylcarbonyl,  
3-fluorobutylcarbonyl, 4-fluorobutylcarbonyl, 1,1-difluorobutylcarbonyl,  
2,2-difluorobutylcarbonyl, 3,3-difluorobutylcarbonyl, 4,4-difluorobutylcarbonyl,  
4,4,4-trifluorobutylcarbonyl, etc.;
- 25 fluorinated C<sub>1</sub>-C<sub>6</sub> alkylcarbonylamino is a radical of the formula R-C(O)-NH-,  
wherein R is a fluorinated alkyl radical having from 1 to 6 carbon atoms as defined  
above. Examples comprise fluoroacetamido, difluoroacetamido,  
trifluoroacetamido, (R)-1-fluoroethylcarbonylamino,  
(S)-1-fluoroethylcarbonylamino, 2-fluoroethylcarbonylamino,  
30 1,1-difluoroethylcarbonylamino, 2,2-difluoroethylcarbonylamino,  
2,2,2-trifluoroethylcarbonylamino, (R)-1-fluoropropylcarbonylamino,  
(S)-1-fluoropropylcarbonylamino, 2-fluoropropylcarbonylamino,  
3-fluoropropylcarbonylamino, 1,1-difluoropropylcarbonylamino,  
2,2-difluoropropylcarbonylamino, 3,3-difluoropropylcarbonylamino,

- 3,3,3-trifluoropropylcarbonylamino, (R)-2-fluoro-1-methylethylcarbonylamino,  
(S)-2-fluoro-1-methylethylcarbonylamino, (R)-2,2-difluoro-1-  
methylethylcarbonylamino, (S)-2,2-difluoro-1-methylethylcarbonylamino,  
(R)-1,2-difluoro-1-methylethylcarbonylamino, (S)-1,2-difluoro-1-  
5 methylethylcarbonylamino, (R)-2,2,2-trifluoro-1-methylethylcarbonylamino,  
(S)-2,2,2-trifluoro-1-methylethylcarbonylamino, 2-fluoro-1-  
(fluoromethyl)ethylcarbonylamino, 1-(difluoromethyl)-2,2-  
difluoroethylcarbonylamino, (R)-1-fluorobutylcarbonylamino,  
(S)-1-fluorobutylcarbonylamino, 2-fluorobutylcarbonylamino,  
10 3-fluorobutylcarbonylamino, 4-fluorobutylcarbonylamino,  
1,1-difluorobutylcarbonylamino, 2,2-difluorobutylcarbonylamino,  
3,3-difluorobutylcarbonylamino, 4,4-difluorobutylcarbonylamino,  
4,4,4-trifluorobutylcarbonylamino, etc.,
- 15 fluorinated C<sub>1</sub>-C<sub>6</sub> alkylcarbonyloxy is a radical of the formula R-C(O)-O-, wherein R  
is a fluorinated alkyl radical having from 1 to 6 carbon atoms as defined above  
fluoroacetyl, difluoroacetyl, trifluoroacetyl, (R)-1-fluoroethylcarbonyloxy,  
(S)-1-fluoroethylcarbonyloxy, 2-fluoroethylcarbonyloxy,  
1,1-difluoroethylcarbonyloxy, 2,2-difluoroethylcarbonyloxy,  
20 2,2,2-trifluoroethylcarbonyloxy, (R)-1-fluoropropylcarbonyloxy, (S)-1-fluoropropyl-  
carbonyloxy, 2-fluoropropylcarbonyloxy, 3-fluoropropylcarbonyloxy,  
1,1-difluoropropylcarbonyloxy, 2,2-difluoropropylcarbonyloxy,  
3,3-difluoropropylcarbonyloxy, 3,3,3-trifluoropropylcarbonyloxy, (R)-2-fluoro-1-  
methylethylcarbonyloxy, (S)-2-fluoro-1-methylethylcarbonyloxy, (R)-2,2-difluoro-1-  
25 methylethylcarbonyloxy, (S)-2,2-difluoro-1-methylethylcarbonyloxy,  
(R)-1,2-difluoro-1-methylethylcarbonyloxy, (S)-1,2-difluoro-1-methylethyl-  
carbonyloxy, (R)-2,2,2-trifluoro-1-methylethylcarbonyloxy, (S)-2,2,2-trifluoro-1-  
methylethylcarbonyloxy, 2-fluoro-1-(fluoromethyl)ethylcarbonyloxy,  
1-(difluoromethyl)-2,2-difluoroethylcarbonyloxy, (R)-1-fluorobutylcarbonyloxy,  
30 (S)-1-fluorobutylcarbonyloxy, 2-fluorobutylcarbonyloxy, 3-fluorobutylcarbonyloxy,  
4-fluorobutylcarbonyloxy, 1,1-difluorobutylcarbonyloxy,  
2,2-difluorobutylcarbonyloxy, 3,3-difluorobutylcarbonyloxy,  
4,4-difluorobutylcarbonyloxy, 4,4,4-trifluorobutylcarbonyloxy, etc.;

fluorinated C<sub>1</sub>-C<sub>6</sub> alkylthio is a radical of the formula R-S-, wherein R is a fluorinated alkyl radical having from 1 to 6 carbon atoms as defined above.

Examples comprise fluoromethylthio, difluoromethylthio, trifluoromethylthio, (R)-1-fluoroethylthio, (S)-1-fluoroethylthio, 2-fluoroethylthio, 1,1-difluoroethylthio,

- 5 2,2-difluoroethylthio, 2,2,2-trifluoroethylthio, (R)-1-fluoropropylthio, (S)-1-fluoropropylthio, 2-fluoropropylthio, 3-fluoropropylthio, 1,1-difluoropropylthio, 2,2-difluoropropylthio, 3,3-difluoropropylthio, 3,3,3-trifluoropropylthio, (R)-2-fluoro-1-methylethylthio, (S)-2-fluoro-1-methylethylthio, (R)-2,2-difluoro-1-methylethylthio, (S)-2,2-difluoro-1-methylethylthio, (R)-1,2-difluoro-1-methylethylthio,
- 10 (S)-1,2-difluoro-1-methylethylthio, (R)-2,2,2-trifluoro-1-methylethylthio, (S)-2,2,2-trifluoro-1-methylethylthio, 2-fluoro-1-(fluoromethyl)ethylthio, 1-(difluoromethyl)-2,2-difluoroethylthio, (R)-1-fluorobutylthio, (S)-1-fluorobutylthio, 2-fluorobutylthio, 3-fluorobutylthio, 4-fluorobutylthio, 1,1-difluorobutylthio, 2,2-difluorobutylthio, 3,3-difluorobutylthio, 4,4-difluorobutylthio,
- 15 4,4,4-trifluorobutylthio, etc.;

fluorinated C<sub>1</sub>-C<sub>6</sub> alkylsulfinyl is a radical of the formula R-S(O)-, wherein R is a fluorinated alkyl radical having from 1 to 6 carbon atoms as defined above.

Examples comprise fluoromethylsulfinyl, difluoromethylsulfinyl,

- 20 trifluoromethylsulfinyl, (R)-1-fluoroethylsulfinyl, (S)-1-fluoroethylsulfinyl, 2-fluoroethylsulfinyl, 1,1-difluoroethylsulfinyl, 2,2-difluoroethylsulfinyl, 2,2,2-trifluoroethylsulfinyl, (R)-1-fluoropropylsulfinyl, (S)-1-fluoropropylsulfinyl, 2-fluoropropylsulfinyl, 3-fluoropropylsulfinyl, 1,1-difluoropropylsulfinyl, 2,2-difluoropropylsulfinyl, 3,3-difluoropropylsulfinyl, 3,3,3-trifluoropropylsulfinyl,
- 25 (R)-2-fluoro-1-methylethylsulfinyl, (S)-2-fluoro-1-methylethylsulfinyl, (R)-2,2-difluoro-1-methylethylsulfinyl, (S)-2,2-difluoro-1-methylethylsulfinyl, (R)-1,2-difluoro-1-methylethylsulfinyl, (S)-1,2-difluoro-1-methylethylsulfinyl, (R)-2,2,2-trifluoro-1-methylethylsulfinyl, (S)-2,2,2-trifluoro-1-methylethylsulfinyl, 2-fluoro-1-(fluoromethyl)ethylsulfinyl, 1-(difluoromethyl)-2,2-difluoroethylsulfinyl,
- 30 (R)-1-fluorobutylsulfinyl, (S)-1-fluorobutylsulfinyl, 2-fluorobutylsulfinyl, 3-fluorobutylsulfinyl, 4-fluorobutylsulfinyl, 1,1-difluorobutylsulfinyl, 2,2-difluorobutylsulfinyl, 3,3-difluorobutylsulfinyl, 4,4-difluorobutylsulfinyl, 4,4,4-trifluorobutylsulfinyl, etc.;

fluorinated C<sub>1</sub>-C<sub>6</sub> alkylsulfonyl is a radical of the formula R-S(O)<sub>2</sub><sup>-</sup>, wherein R is a fluorinated alkyl radical having from 1 to 6 carbon atoms as defined above.

Examples comprise fluoromethylsulfonyl, difluoromethylsulfonyl, trifluoromethylsulfonyl, (R)-1-fluoroethylsulfonyl, (S)-1-fluoroethylsulfonyl,

- 5 2-fluoroethylsulfonyl, 1,1-difluoroethylsulfonyl, 2,2-difluoroethylsulfonyl, 2,2,2-trifluoroethylsulfonyl, (R)-1-fluoropropylsulfonyl, (S)-1-fluoropropylsulfonyl, 2-fluoropropylsulfonyl, 3-fluoropropylsulfonyl, 1,1-difluoropropylsulfonyl, 2,2-difluoropropylsulfonyl, 3,3-difluoropropylsulfonyl, 3,3,3-trifluoropropylsulfonyl, (R)-2-fluoro-1-methylethylsulfonyl, (S)-2-fluoro-1-methylethylsulfonyl,
- 10 (R)-2,2-difluoro-1-methylethylsulfonyl, (S)-2,2-difluoro-1-methylethylsulfonyl, (R)-1,2-difluoro-1-methylethylsulfonyl, (S)-1,2-difluoro-1-methylethylsulfonyl, (R)-2,2,2-trifluoro-1-methylethylsulfonyl, (S)-2,2,2-trifluoro-1-methylethylsulfonyl, 2-fluoro-1-(fluoromethyl)ethylsulfonyl, 1-(difluoromethyl)-2,2-difluoroethylsulfonyl, (R)-1-fluorobutylsulfonyl, (S)-1-fluorobutylsulfonyl, 2-fluorobutylsulfonyl,
- 15 3-fluorobutylsulfonyl, 4-fluorobutylsulfonyl, 1,1-difluorobutylsulfonyl, 2,2-difluorobutylsulfonyl, 3,3-difluorobutylsulfonyl, 4,4-difluorobutylsulfonyl, 4,4,4-trifluorobutylsulfonyl, etc.

3- to 7-membered heterocyclic radicals comprise saturated heterocyclic radicals,

- 20 which generally have 3-, 4-, 5-, 6- or 7 ring forming atoms (ring members), unsaturated non-aromatic heterocyclic radicals, which generally have 5-, 6- or 7 ring forming atoms, and heteroaromatic radicals, which generally have 5-, 6- or 7 ring forming atoms. The heterocyclic radicals may be bound via a carbon atom (C-bound) or an nitrogen atom (N-bound). Preferred heterocyclic radicals comprise
- 25 1 nitrogen atom as ring member atom and optionally 1, 2 or 3 further heteroatoms as ring members, which are selected, independently of each other from O, S and N. Likewise preferred heterocyclic radicals comprise 1 heteroatom as ring member, which is selected from O, S and N, and optionally 1, 2 or 3 further nitrogen atoms as ring members.

30

Examples of 3- to 7-membered, saturated heterocyclic radicals comprise 1- or 2-aziridinyl, 1-, 2- or 3-azetidinyl, 1-, 2- or 3-pyrrolidinyl, 1-, 2-, 3- or 4-piperidinyl, 1-, 2- or 3-morpholinyl, 1-, 2- or 3-thiomorpholinyl, 1-, 2- or 3-piperazinyl, 1-, 2- or 4-oxazolidinyl, 1-, 3- or 4-isoxazolidinyl, 2-oxiranyl, 2- or 3-oxetanyl, 2- or

3-oxolanyl, 2-, 3- or 4-oxanyl, 1,3-dioxolan-2- or 4-yl and the like, which may be unsubstituted or which may carry 1, 2 or 3 of the aforementioned radicals R<sup>a</sup> and/or R<sup>b</sup>.

- 5 Unsaturated non-aromatic heterocyclic radicals, are heterocyclic radicals which generally have 5-, 6- or 7 ring forming atoms and which have 1 or 2 doublebonds that do not form an aromatic p-electron system. Examples are 2,3-dihydropyrrolyl, 3,4-dihydropyrrolyl, 2,3-dihydrofuranyl, 3,4-dihydrofuranyl, 2,3-dihydrothiophenyl, 3,4-dihydrothiophenyl, 1,2-dihydropyridinyl, 2,3-Dihydropyridinyl,
- 10 3,4-dihydropyridinyl, 1,2,3,4-tetrahydropyridinyl, 2,3,4,5-tetrahydropyridinyl, and the like.

5- or 6-membered heteroaromatic radicals are heteroaromatic cyclic radicals, wherein the cyclic radical has 5 or 6 atoms which form the ring (ring members) and wherein generally 1, 2, 3 or 4 ring member atoms are selected from O, S and N, the other ring member atoms being carbon atoms. More precisely, the heteroaromatic radicals comprise one heteroatom selected from O, S and N as ring member and optionally 1, 2 or 3 further N atoms as ring members. The heteroaromatic radicals may be bound via a carbon atom (C-bound) or an nitrogen atom (N-bound). Preferred heteroaromatic radicals comprise 1 nitrogen atom as ring member atom and optionally 1, 2 or 3 further heteroatoms as ring members, which are selected, independently of each other from O, S and N. As a matter of course, only one of the further heteroatom ring members can be O or S and only 5-membered heteroaromatic radicals may comprise O or S as ring members.

25 Likewise preferred heteroaromatic radicals comprise 1 heteroatom as ring member, which is selected from O, S and N, and optionally 1, 2 or 3 further nitrogen atoms as ring members. Examples of 5- or 6-membered heteroaromatic radicals comprise 2-, 3-, or 4-pyridyl, 2-, 4- or 5-pyrimidinyl, pyrazinyl, 3- or 4-pyridazinyl, 2- or 3-thienyl, 2- or 3-furyl, 1-, 2- or 3-pyrrolyl, 1-, 2- or 4-imidazolyl,

30 1-, 3- or 4-pyrazolyl, 1- or 3-[1,2,4]-triazolyl, 1- or 4-[1,2,3]-triazolyl, 1-, 2- or 5-tetrazolyl, 2-, 3- or 5-oxazolyl, 3-, 4- or 5-isoxazolyl, 2-, 3- or 5-thiazolyl, 3-, 4- or 5-isothiazolyl, 4- or 5-[1,2,3]-oxadiazolyl, [1,2,5]-oxadiazolyl (= furazanyl), 3- or 5-[1,2,4]-oxadiazolyl, [1,3,4]-oxadiazolyl, 4- or 5-[1,2,3]-thiadiazolyl, [1,2,5]-thiadiazolyl, 3- or 5-[1,2,4]-thiadiazolyl or [1,3,4]-thiadiazolyl, which may be

unsubstituted or which may carry one of the aforementioned radicals R<sup>a</sup> and optionally 1 or 2 of the aforementioned radicals R<sup>b</sup>.

A skilled person will appreciate that the radical R<sup>9</sup> may be bound to any of the

- 5 carbon atoms of the pyridine or pyrimidine moiety in formula I, thereby substituting a hydrogen atom. Preferably, R<sup>9</sup> is bound at the 2-position with respect to the 1-position of the nitrogen ring atom and the 3-position of the NR<sup>3</sup>-SO<sub>2</sub>-Ar group.

Preferably, Ar is phenyl or an aromatic 5- or 6-membered C-bound heteroaromatic

- 10 radical, comprising 1 nitrogen atom as ring member and 0, 1, 2 or 3 further heteroatoms, independently of each other, selected from O, S and N, as ring members which may be unsubstituted or which may carry 1, 2 or 3 of the aforementioned radicals R<sup>a</sup> and/or R<sup>b</sup>. Amongst these heteroaromatic radicals those are preferred which comprise 1, 2 or 3 nitrogen atoms and no further  
15 heteroatom as ring members, or 1 or 2 nitrogen atoms and 1 atom, selected from O and S, as ring members. However, thienyl and furyl are likewise preferred. Particularly preferred heteroaromatic radicals Ar are 2- or 3-thienyl, 2-, 3- or 4-pyridyl, 2-, 4- or 5-pyrimidinyl, 2-, 3- or 5-thiazolyl, 1,2,4-triazol-3-yl, 1,2,3-triazol-4-yl, 1,3,4-thiadiazol-2-yl, in particular 2-thienyl, 2-pyrimidinyl, 5-pyrimidinyl and  
20 2-pyridinyl which may be unsubstituted or which may carry one of the aforementioned radicals R<sup>a</sup> and optionally 1 or 2 of the aforementioned radicals R<sup>b</sup>. More preferably, Ar is phenyl which may carry one of the aforementioned radicals R<sup>a</sup> and optionally 1 or 2 of the aforementioned radicals R<sup>b</sup>.

- 25 Preferably, the aromatic radical Ar carries one radical R<sup>a</sup> and optionally one or two further radicals R<sup>b</sup> as mentioned above, R<sup>b</sup> being particularly selected from methyl, fluorinated methyl, halogen, more preferably from fluorine or chlorine.

- The aforementioned 5-membered heteroaromatic radicals Ar preferably carry one  
30 radical R<sup>a</sup> in the 3-position (related to the position of the SO<sub>2</sub>-radical) and optionally one or two further radicals R<sup>b</sup>, which are preferably selected from halogen, in particular fluorine or chlorine.

Phenyl and the aforementioned 6-membered heteroaromatic radicals Ar preferably carry one radical R<sup>a</sup> in the 4-position (related to the position of the SO<sub>2</sub>-radical) and optionally one or two further radicals R<sup>b</sup>, which are preferably selected from halogen, in particular fluorine or chlorine.

5

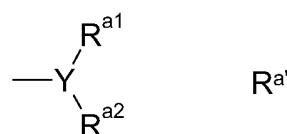
In a very preferred embodiment of the invention Ar is phenyl that carries a radical R<sup>a</sup> in the 4-position of the phenyl ring and optionally 1 or 2 further radicals R<sup>b</sup>, which are preferably selected from halogen, in particular from fluorine or chlorine.

- 10 In another preferred embodiment of the invention Ar is 2-pyrimidinyl that carries a radical R<sup>a</sup> in the 5-position of the pyrimidine ring and optionally 1 or 2 further radicals R<sup>b</sup>, which are preferably selected from halogen, in particular from fluorine or chlorine.
- 15 In a further preferred embodiment of the invention Ar is 5-pyrimidinyl that carries a radical R<sup>a</sup> in the 2-position of the pyrimidine ring and optionally 1 or 2 further radicals R<sup>b</sup>, which are preferably selected from halogen, in particular from fluorine or chlorine.
- 20 In a further preferred embodiment of the invention Ar is 2-thienyl that carries a radical R<sup>a</sup> in the 3-position of the thiophen ring and optionally 1 or 2 further radicals R<sup>b</sup>, which are preferably selected from halogen, in particular from fluorine or chlorine.
- 25 In a preferred embodiment Ar carries 1 radical R<sup>a</sup> which is selected from the group consisting of C<sub>1</sub>-C<sub>6</sub>-alkyl, fluorinated C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>3</sub>-C<sub>6</sub>-cycloalkyl, fluorinated C<sub>3</sub>-C<sub>6</sub>-cycloalkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, fluorinated C<sub>1</sub>-C<sub>6</sub>-alkoxy, NR<sup>4</sup>R<sup>5</sup>, 1-aziridinyl, azetidin-1-yl, pyrrolidin-1-yl, piperidin-1-yl, wherein the last four mentioned radicals may be fluorinated, a phenyl group and an aromatic 5- or 6-membered C-bound heteroaromatic radical comprising 1 nitrogen atom as ring member and 0, 1, 2 or 3 further heteroatoms, independently of each other, selected from O, S and N, wherein the last two mentioned radicals may carry 1, 2, 3 or 4 radicals selected from halogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, fluorinated C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>3</sub>-C<sub>6</sub>-cycloalkyl, fluorinated C<sub>3</sub>-C<sub>6</sub>-cycloalkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, fluorinated C<sub>1</sub>-C<sub>6</sub>-alkoxy and NR<sup>4</sup>R<sup>5</sup>; and wherein
- 30

- Ar may carry 1 or 2 further radicals R<sup>b</sup>, which are independently from each other selected from halogen, cyano, methyl, fluoromethyl, difluoromethyl, trifluoromethyl, difluoromethoxy and trifluoromethoxy. In this embodiment R<sup>4</sup>, R<sup>5</sup> are, independently of each other, preferably selected from H, C<sub>1</sub>-C<sub>2</sub>-alkyl and
- 5 fluorinated C<sub>1</sub>-C<sub>2</sub>-alkyl. Preferably one of the radicals R<sup>4</sup> or R<sup>5</sup> is different from hydrogen. One of the radicals R<sup>4</sup> or R<sup>5</sup> may also be C<sub>1</sub>-C<sub>2</sub>-alkoxy.

In a very preferred embodiment, the radical Ar preferably carries one radical R<sup>a</sup>, which has the formula R<sup>a'</sup>

10



wherein

Y is N, CH or CF,

- 15 R<sup>a1</sup> and R<sup>a2</sup> are independently of each other selected from C<sub>1</sub>-C<sub>2</sub>-alkyl, C<sub>1</sub>-C<sub>2</sub>-alkoxy, fluorinated C<sub>1</sub>-C<sub>2</sub>-alkyl, provided for Y being CH or CF one of the radicals R<sup>a1</sup> or R<sup>a2</sup> may also be hydrogen or fluorine, or
- R<sup>a1</sup> and R<sup>a2</sup> together form a radical (CH<sub>2</sub>)<sub>k</sub> wherein 1 or 2 of the hydrogen atoms may be replaced by fluorine, hydroxy, oxo, C<sub>1</sub>-C<sub>2</sub>-alkyl or C<sub>1</sub>-C<sub>2</sub>-alkoxy,
- 20 wherein one CH<sub>2</sub> moiety may be replaced by O, S, S=O, SO<sub>2</sub> or N-R<sup>c</sup>, R<sup>c</sup> being hydrogen or C<sub>1</sub>-C<sub>2</sub>-alkyl and wherein k is 2, 3, 4, 5 or 6;

In particular

- 25 R<sup>a1</sup> or R<sup>a2</sup> are independently of each other selected from C<sub>1</sub>-C<sub>2</sub>-alkyl, fluorinated C<sub>1</sub>-C<sub>2</sub>-alkyl, in particular fluoromethyl, difluoromethyl or trifluoromethyl, provided for Y being CH or CF one of the radicals R<sup>a1</sup> or R<sup>a2</sup> may also be hydrogen or fluorine, or
- R<sup>a1</sup> and R<sup>a2</sup> form a radical (CH<sub>2</sub>)<sub>k</sub> wherein 1 or 2 of the hydrogen atoms may be replaced by fluorine and wherein k is 2, 3 or 4, in particular CH<sub>2</sub>-CH<sub>2</sub>, CHF-
- 30 CH<sub>2</sub>CF<sub>2</sub>-CH<sub>2</sub>, CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>, CHF-CH<sub>2</sub>-CH<sub>2</sub>, CF<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>, CH<sub>2</sub>-CHF-CH<sub>2</sub>, CH<sub>2</sub>-CF<sub>2</sub>-CH<sub>2</sub>.

In case R<sup>a1</sup> and R<sup>a2</sup> are different from each other, the radical of the aforementioned formula R<sup>a'</sup> may have either (R)- or (S)-configuration with regard to the Y-moiety.

- 5 Examples for preferred radicals R<sup>a'</sup> comprise isopropyl, (R)-1-fluoroethyl, (S)-1-fluoroethyl, 2-fluoroethyl, 1,1-difluoroethyl, 2,2-difluoroethyl, 2,2,2-trifluoroethyl, (R)-1-fluoropropyl, (S)-1-fluoropropyl, 2-fluoropropyl, 3-fluoropropyl, 1,1-difluoropropyl, 2,2-difluoropropyl, 3,3-difluoropropyl, 3,3,3-trifluoropropyl, (R)-2-fluoro-1-methylethyl, (S)-2-fluoro-1-methylethyl,
- 10 (R)-2,2-difluoro-1-methylethyl, (S)-2,2-difluoro-1-methylethyl, (R)-1,2-difluoro-1-methylethyl, (S)-1,2-difluoro-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 cyclopropyl, cyclobutyl, 1-fluorocyclopropyl, and 2-fluorocyclopropyl
- 15
- Also preferred are radicals R<sup>a'</sup> wherein one of R<sup>a1</sup> or R<sup>a2</sup> is C<sub>1</sub>-C<sub>2</sub>-alkoxy and the other of R<sup>a1</sup> or R<sup>a2</sup> is selected from H, C<sub>1</sub>-C<sub>2</sub>-alkyl, in particular methyl, fluorinated C<sub>1</sub>-C<sub>2</sub>-alkyl, in particular fluoromethyl, difluoromethyl or trifluoromethyl. Examples comprise N-methoxy-N-methylamino, N-methoxyamino and N-ethoxyamino.
- 20 Preferred radicals of the formula R<sup>a'</sup> also comprise those wherein Y is nitrogen and wherein R<sup>a1</sup> and R<sup>a2</sup> form a radical (CH<sub>2</sub>)<sub>t</sub> wherein 1 or 2 of the hydrogen atoms may be replaced by fluorine, methyl, trifluoromethyl, methoxy or oxo and wherein t is 2, 3, 4 or 5. Examples comprise azetidin-1-yl, 2-methylazetidin-1-yl,
- 25 (S)-2-methylazetidin-1-yl, (R)-2-methylazetidin-1-yl, 3-fluoroazetidin-1-yl, 3-methoxyazetidin-1-yl, 3-hydroxyazetidin-1-yl, 1,3-oxazol-5-yl, pyrrolidin-1-yl, (S)-2-fluoropyrrolidin-1-yl, (R)-2-fluoropyrrolidin-1-yl, 3-fluoropyrrolidin-1-yl, (S)-3-fluoropyrrolidin-1-yl, (R)-3-fluoropyrrolidin-1-yl, 2,2-difluoropyrrolidin-1-yl, 3,3-difluoropyrrolidin-1-yl, 2-methylpyrrolidin-1-yl, (S)-2-methylpyrrolidin-1-yl,
- 30 (R)-2-methylpyrrolidin-1-yl, 3-methylpyrrolidin-1-yl, (S)-3-methylpyrrolidin-1-yl, (R)-3-methylpyrrolidin-1-yl, 2,2-dimethylpyrrolidin-1-yl, 3,3-dimethylpyrrolidin-1-yl, 2-trifluoromethylpyrrolidin-1-yl, (S)-2-trifluoromethylpyrrolidin-1-yl, (R)-2-trifluoromethylpyrrolidin-1-yl, 3-trifluoromethylpyrrolidin-1-yl, (S)-3-trifluoromethylpyrrolidin-1-yl, (R)-3-trifluoromethylpyrrolidin-1-yl,

2-oxopyrrolidin-1-yl, piperidin-1-yl, 2-methylpiperidin-1-yl, (S)-2-methylpiperidin-1-yl and (R)-2-methylpiperidin-1-yl.

- Likewise preferred are radicals R<sup>a'</sup>, wherein R<sup>a1</sup> and R<sup>a2</sup> together form a radical (CH<sub>2</sub>)<sub>u</sub> wherein 1 or 2 of the hydrogen atoms may be replaced by fluorine, hydroxy, oxo, C<sub>1</sub>-C<sub>2</sub>-alkyl or C<sub>1</sub>-C<sub>2</sub>-alkoxy, wherein one CH<sub>2</sub> moiety is replaced by O, S, S=O, SO<sub>2</sub> or N-R<sup>c</sup>, R<sup>c</sup> being hydrogen or C<sub>1</sub>-C<sub>2</sub>-alkyl and wherein u is 2, 3, 4, 5 or 6. Examples for preferred radicals of the formula R<sup>a'</sup> also comprise 4-morpholinyl, 4-thiomorpholinyl, 4-(1,1-dioxo)thiomorpholinyl, piperazin-1-yl, 4-methylpiperazin-1-yl, 2-oxo-oxazolidin-3-yl, pyrrolidin-2-yl, (S)-pyrrolidin-2-yl, (R)-pyrrolidin-2-yl, pyrrolidin-3-yl, (S)-pyrrolidin-3-yl, (R)-pyrrolidin-3-yl, 2-fluoropyrrolidin-1-yl, 1-methylpyrrolidin-2-yl, (S)-1-methylpyrrolidin-2-yl, (R)-1-methylpyrrolidin-2-yl, 1-methylpyrrolidin-3-yl, (S)-1-methylpyrrolidin-3-yl and (R)-1-methylpyrrolidin-3-yl.
- 15 Amongst the radicals of the formula R<sup>a'</sup> those are preferred which carry 1, 2, 3 or 4, in particular 1, 2 or 3 fluorine atoms.

In a further preferred embodiment Ar carries one radical R<sup>a</sup>, which is selected from 5- or 6-membered heteroaromatic radicals having as ring members 1 heteroatom selected from O, S and N and which may further have 1, 2 or 3 nitrogen atoms as ring members, and wherein the 5- or 6-membered heteroaromatic radical may carry 1, 2 or 3 substituents selected from halogen, NO<sub>2</sub>, NH<sub>2</sub>, OH, CN, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>3</sub>-C<sub>6</sub>-cycloalkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, fluorinated C<sub>1</sub>-C<sub>6</sub>-alkyl, fluorinated C<sub>3</sub>-C<sub>6</sub>-cycloalkyl, fluorinated C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>1</sub>-C<sub>6</sub>-hydroxyalkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy-C<sub>2</sub>-C<sub>4</sub>-alkyl, 25 C<sub>1</sub>-C<sub>6</sub>-hydroxyalkoxy, C<sub>1</sub>-C<sub>4</sub>-alkoxy-C<sub>2</sub>-C<sub>4</sub>-alkoxy, C<sub>1</sub>-C<sub>6</sub>-alkylcarbonyl, C<sub>1</sub>-C<sub>6</sub>-alkylamino, di-C<sub>1</sub>-C<sub>6</sub>-alkylamino, C<sub>1</sub>-C<sub>6</sub>-alkylaminocarbonyl, di-C<sub>1</sub>-C<sub>6</sub>-alkylaminocarbonyl, fluorinated C<sub>1</sub>-C<sub>6</sub>-alkylcarbonyl, C<sub>1</sub>-C<sub>6</sub>-alkylcarbonylamino, fluorinated C<sub>1</sub>-C<sub>6</sub>-alkylcarbonylamino, C<sub>1</sub>-C<sub>6</sub>-alkylcarbonyloxy, fluorinated C<sub>1</sub>-C<sub>6</sub>-alkylcarbonyloxy, C<sub>1</sub>-C<sub>6</sub>-alkoxycarbonyl, C<sub>1</sub>-C<sub>6</sub>-alkylthio, fluorinated C<sub>1</sub>-C<sub>6</sub>-alkylthio, C<sub>1</sub>-C<sub>6</sub>-alkylsulfinyl, C<sub>1</sub>-C<sub>6</sub>-alkylsulfonyl, fluorinated C<sub>1</sub>-C<sub>6</sub>-alkylsulfinyl and 30 fluorinated C<sub>1</sub>-C<sub>6</sub>-alkylsulfonyl. Amongst these radicals R<sup>a</sup>, preference is given to radicals selected from 2-, 3-, or 4-pyridyl, 2-, 4- or 5-pyrimidinyl, pyrazinyl, 3- or 4-pyridazinyl, 2- or 3-thienyl, 2- or 3-furyl, 1-, 2- or 3-pyrrolyl, 1-, 2-, 4- or 5-imidazolyl, 1-, 3-, 4- or 5-pyrazolyl, 1-, 3- or 5-[1,2,4]-triazolyl, 1-, 4- or

- 5-[1,2,3]-triazolyl, 1- or 5-(1H)-tetrazolyl, 2- or 5- (2H)-tetrazolyl, 2-, 4- or 5-oxazolyl, 3-, 4- or 5-isoxazolyl, 2-, 4- or 5-thiazolyl, 3-, 4- or 5-isothiazolyl, 4- or 5-[1,2,3]-oxadiazolyl, 3- or 4-[1,2,5]-oxadiazolyl (= furazanyl), 3- or 5-[1,2,4]-oxadiazolyl, 2- or 5-[1,3,4]-oxadizolyl, 4- or 5-[1,2,3]-thiadiazolyl, 3- or 5-[1,2,5]-thiadiazolyl, 3- or 5-[1,2,4]-thiadizolyl or 2- or 5-[1,3,4]-thiadiazolyl, in particular from 2- or 3-furanyl, 2- or 3-thienyl, 1-, 2- or 3-pyrrolyl, 1-, 3-, 4- or 5-pyrazolyl, 1-, 2-, 4- or 5-imidazolyl, 2-, 4- or 5-oxazolyl, 3-, 4- or 5-isoxazolyl, 2- or 5-[1,3,4]-thiadiazolyl, 1-, 3- or 5-[1,2,4]-triazolyl, 1-, 4- or 5-[1,2,3]-triazolyl, 1- or 5-(1H)-tetrazolyl and 2- or 5-(2H)-tetrazolyl, and specifically from 1-, 3-, 4- or 5-pyrazolyl, in particular 1-pyrazolyl, and 2-, 4- or 5-oxazolyl, in particular 4- or 5-oxazolyl. The heteroaromatic radical may be unsubstituted or may carry 1 to 3 substituents as given above. Preferred substituents on heteroaromatic R<sup>a</sup> are selected from halogen, C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy, fluorinated C<sub>1</sub>-C<sub>4</sub>-alkyl and fluorinated C<sub>1</sub>-C<sub>4</sub>-alkoxy.
- 15 In a further preferred embodiment Ar carries 1 radical R<sup>a</sup> which is selected from the group consisting of (CH<sub>2</sub>)<sub>v</sub>CF<sub>3</sub>, (CH<sub>2</sub>)<sub>v</sub>CHF<sub>2</sub>, (CH<sub>2</sub>)<sub>v</sub>CH<sub>2</sub>F, O(CH<sub>2</sub>)<sub>v</sub>CF<sub>3</sub>, O(CH<sub>2</sub>)<sub>v</sub>CHF<sub>2</sub>, O(CH<sub>2</sub>)<sub>v</sub>CH<sub>2</sub>F, wherein v is 0, 1, 2 or 3. In this embodiment Ar may also carry 1 or 2 further radicals R<sup>b</sup>, which are independently from each other
- 20 selected from halogen, cyano, methyl, fluoromethyl, difluoromethyl, trifluoromethyl, difluoromethoxy and trifluoromethoxy. Preferably Ar carries no further radical R<sup>b</sup>. In this embodiment Ar is preferably phenyl which carries 1 radical R<sup>a</sup> which is selected from the group consisting of (CH<sub>2</sub>)<sub>v</sub>CF<sub>3</sub>, (CH<sub>2</sub>)<sub>v</sub>CHF<sub>2</sub>, (CH<sub>2</sub>)<sub>v</sub>CH<sub>2</sub>F, O(CH<sub>2</sub>)<sub>v</sub>CF<sub>3</sub>, O(CH<sub>2</sub>)<sub>v</sub>CHF<sub>2</sub>, O(CH<sub>2</sub>)<sub>v</sub>CH<sub>2</sub>F, wherein v is 0, 1, 2 or 3. In this
- 25 embodiment Ar is preferably phenyl, which carries R<sup>a</sup> in the 4 position with respect to the SO<sub>2</sub>-group.
- In another embodiment of the invention, Ar carries 1 radical R<sup>a</sup> which is selected from the group consisting of C<sub>2</sub>-C<sub>6</sub>-alkenyl, fluorinated C<sub>2</sub>-C<sub>6</sub>-alkenyl, C<sub>1</sub>-C<sub>6</sub>-hydroxyalkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy-C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-hydroxyalkoxy, C<sub>1</sub>-C<sub>6</sub>-alkoxy-C<sub>1</sub>-C<sub>4</sub>-alkoxy, COOH, CH<sub>2</sub>NR<sup>4</sup>R<sup>5</sup>, ONR<sup>4</sup>R<sup>5</sup>, NHC(O)NR<sup>4</sup>R<sup>5</sup>, C(O)NR<sup>4</sup>R<sup>5</sup>, SO<sub>2</sub>NR<sup>4</sup>R<sup>5</sup>, C<sub>1</sub>-C<sub>6</sub>-alkylcarbonyl, fluorinated C<sub>2</sub>-C<sub>6</sub>-alkylcarbonyl, C<sub>1</sub>-C<sub>6</sub>-alkylcarbonylamino, fluorinated C<sub>1</sub>-C<sub>6</sub>-alkylcarbonylamino, C<sub>1</sub>-C<sub>6</sub>-alkylcarbonyloxy, fluorinated C<sub>1</sub>-C<sub>6</sub>-alkylcarbonyloxy, C<sub>1</sub>-C<sub>6</sub>-alkoxycarbonyl, C<sub>1</sub>-C<sub>6</sub>-alkylthio, fluorinated C<sub>1</sub>-C<sub>6</sub>-alkylthio.

- alkylthio, C<sub>1</sub>-C<sub>6</sub>-alkylsulfinyl, C<sub>1</sub>-C<sub>6</sub>-alkylsulfonyl, fluorinated C<sub>1</sub>-C<sub>6</sub>-alkylsulfinyl, fluorinated C<sub>1</sub>-C<sub>6</sub>-alkylsulfonyl, phenylsulfonyl, phenoxy, benzyloxy, pyridine-2-yloxy, and a 5-or 6-membered N-bound heteroaromatic radical, wherein the six last mentioned radicals may carry 1, 2, 3 or 4 radicals selected from halogen, NO<sub>2</sub>,
- 5 NH<sub>2</sub>, OH, CN, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>3</sub>-C<sub>6</sub>-cycloalkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, fluorinated C<sub>1</sub>-C<sub>6</sub>-alkyl, fluorinated C<sub>3</sub>-C<sub>6</sub>-cycloalkyl, fluorinated C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>1</sub>-C<sub>6</sub>-hydroxyalkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy-C<sub>2</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-hydroxyalkoxy, C<sub>1</sub>-C<sub>4</sub>-alkoxy-C<sub>2</sub>-C<sub>4</sub>-alkoxy, C<sub>1</sub>-C<sub>6</sub>-alkylcarbonyl, C<sub>1</sub>-C<sub>6</sub>-alkylamino, di-C<sub>1</sub>-C<sub>6</sub>-alkylamino, C<sub>1</sub>-C<sub>6</sub>-alkylaminocarbonyl, di-C<sub>1</sub>-C<sub>6</sub>-alkylaminocarbonyl, fluorinated C<sub>1</sub>-C<sub>6</sub>-alkylcarbonyl, C<sub>1</sub>-C<sub>6</sub>-alkylcarbonylamino, fluorinated C<sub>1</sub>-C<sub>6</sub>-alkylcarbonylamino, C<sub>1</sub>-C<sub>6</sub>-alkylcarbonyloxy, fluorinated C<sub>1</sub>-C<sub>6</sub>-alkylcarbonyloxy, C<sub>1</sub>-C<sub>6</sub>-alkoxycarbonyl, C<sub>1</sub>-C<sub>6</sub>-alkylthio, fluorinated C<sub>1</sub>-C<sub>6</sub>-alkylthio, C<sub>1</sub>-C<sub>6</sub>-alkylsulfinyl, C<sub>1</sub>-C<sub>6</sub>-alkylsulfonyl, fluorinated C<sub>1</sub>-C<sub>6</sub>-alkylsulfinyl and fluorinated C<sub>1</sub>-C<sub>6</sub>-alkylsulfonyl.
- 10
- 15 In another embodiment of the invention, Ar is phenyl, which carries 1 radical R<sup>a</sup> and at least one radical R<sup>b</sup> and wherein R<sup>a</sup> and one radical R<sup>b</sup> are bound to two adjacent carbon atoms of phenyl and form a 5-or 6-membered heterocyclic or carbocyclic ring which is fused to the phenyl ring and which is unsubstituted or which may carry 1, 2 or 3 radicals as given above. Examples of a phenyl ring fused to a saturated or unsaturated 5- or 6-membered carbocyclic or heterocyclic ring comprise indenyl, indanyl, naphthyl, tetralin, benzofuranyl, 2,3-dihydrobenzofuranyl, benzothienyl, indolyl, indazolyl, benzimidazolyl, benzoxathiazolyl, benzoxadiazolyl, benzothiadiazolyl, benzoxazinyl, dihydrobenzoxazinyl, chinolinyl, isochinolinyl, tetrahydroisochinolinyl, chromenyl,
- 20 chromanyl and the like, which may be unsubstituted or which may carry 1, 2 or 3 of the aforementioned radicals. Preferred substituents for the saturated or unsaturated 5- or 6-membered carbocyclic or heterocyclic ring fused to the phenyl ring are selected from halogen, C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy, fluorinated C<sub>1</sub>-C<sub>4</sub>-alkyl and fluorinated C<sub>1</sub>-C<sub>4</sub>-alkoxy.
- 25
- 30 Specifically, R<sup>a</sup> is selected from C<sub>1</sub>-C<sub>4</sub>-alkyl, fluorinated C<sub>1</sub>-C<sub>4</sub>-alkyl, in particular (CH<sub>2</sub>)<sub>v</sub>CF<sub>3</sub>, (CH<sub>2</sub>)<sub>v</sub>CHF<sub>2</sub> and (CH<sub>2</sub>)<sub>v</sub>CH<sub>2</sub>F, C<sub>1</sub>-C<sub>4</sub>-alkoxy and fluorinated C<sub>1</sub>-C<sub>4</sub>-alkoxy, in particular O(CH<sub>2</sub>)<sub>v</sub>CF<sub>3</sub>, O(CH<sub>2</sub>)<sub>v</sub>CHF<sub>2</sub> and O(CH<sub>2</sub>)<sub>v</sub>CH<sub>2</sub>F.

Alternatively, R<sup>a</sup> is specifically selected from a 5- or 6-membered heteroaromatic radical having as ring members 1 heteroatom selected from O, S and N and which may further have 1, 2 or 3 nitrogen atoms as ring members, and wherein the 5- or 6-membered heteroaromatic radical may be substituted as described above.

- 5 Preferred 5- or 6-membered heteroaromatic radicals R<sup>a</sup> and preferred substituents thereof are as described above.

More specifically, Ar is phenyl which carries, preferably in the 4-position with respect to the 1-position of the sulfonyl group, one radical Ra which is selected

- 10 from C<sub>1</sub>-C<sub>4</sub>-alkyl, fluorinated C<sub>1</sub>-C<sub>4</sub>-alkyl, in particular (CH<sub>2</sub>)<sub>v</sub>CF<sub>3</sub>, (CH<sub>2</sub>)<sub>v</sub>CHF<sub>2</sub> and (CH<sub>2</sub>)<sub>v</sub>CH<sub>2</sub>F, C<sub>1</sub>-C<sub>4</sub>-alkoxy, fluorinated C<sub>1</sub>-C<sub>4</sub>-alkoxy, in particular O(CH<sub>2</sub>)<sub>v</sub>CF<sub>3</sub>, O(CH<sub>2</sub>)<sub>v</sub>CHF<sub>2</sub> and O(CH<sub>2</sub>)<sub>v</sub>CH<sub>2</sub>F, and a 5- or 6-membered heteroaromatic radical as described above.

- 15 The radical R<sup>1</sup> is preferably H, C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>3</sub>-C<sub>4</sub>-cycloalkyl, C<sub>3</sub>-C<sub>4</sub>-cycloalkylmethyl, C<sub>3</sub>-C<sub>4</sub>-alkenyl, fluorinated C<sub>2</sub>-C<sub>4</sub>-alkyl, fluorinated C<sub>3</sub>-C<sub>4</sub>-cycloalkyl, fluorinated C<sub>3</sub>-C<sub>4</sub>-cycloalkylmethyl, fluorinated C<sub>3</sub>-C<sub>4</sub>-alkenyl, formyl or C<sub>1</sub>-C<sub>3</sub>-alkylcarbonyl, in particular H, C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>3</sub>-C<sub>4</sub>-alkenyl, fluorinated C<sub>2</sub>-C<sub>4</sub>-alkyl, fluorinated C<sub>3</sub>-C<sub>4</sub>-alkenyl, more preferably H, methyl, ethyl, n-propyl,
- 20 fluorinated C<sub>2</sub>-C<sub>3</sub>-alkyl or 1-propen-3-yl (allyl), specifically H, methyl or n-propyl, in particular n-propyl.

A preferred embodiment of the invention relates to compounds, wherein R<sup>1a</sup> is hydrogen. In these compounds R<sup>1</sup> has the meanings given above and is

- 25 preferably different from hydrogen. In particular R<sup>1</sup> is n-propyl. In this embodiment R<sup>2a</sup> is preferably hydrogen while R<sup>2</sup> is preferably hydrogen, methyl or fluorinated methyl. In particular, both R<sup>2a</sup> and R<sup>2</sup> are hydrogen or one of the radicals R<sup>2a</sup> and R<sup>2</sup> is hydrogen while the other is methyl. In this embodiment both R<sup>8a</sup> and R<sup>8</sup> are preferably hydrogen.

30

In a further preferred embodiment, R<sup>1a</sup> is different from hydrogen and is preferably C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>3</sub>-C<sub>4</sub>-alkenyl, fluorinated C<sub>2</sub>-C<sub>4</sub>-alkyl, fluorinated C<sub>3</sub>-C<sub>4</sub>-alkenyl, more preferably methyl, n-propyl, fluorinated C<sub>2</sub>-C<sub>3</sub>-alkyl or 1-propen-3-yl, in particular n-propyl. In these compounds R<sup>1</sup> has the meanings given above. In particular R<sup>1</sup> is

H, methyl or n-propyl. In this embodiment, R<sup>1</sup> and R<sup>1a</sup> have the same meaning and are in particular both methyl or both n-propyl. In this embodiment R<sup>2a</sup> is preferably hydrogen while R<sup>2</sup> is preferably hydrogen, methyl or fluorinated methyl. In particular both R<sup>2a</sup> and R<sup>2</sup> are hydrogen or one of the radicals R<sup>2a</sup> and R<sup>2</sup> is

- 5 hydrogen while the other is methyl. In this embodiment both, R<sup>8a</sup> and R<sup>8</sup> are preferably hydrogen.

In a further preferred embodiment, R<sup>2a</sup> and R<sup>1a</sup> together are (CR<sup>6</sup>R<sup>7</sup>)<sub>n</sub> with n being 2, 3 or 4 and specifically 3. R<sup>6</sup> and R<sup>7</sup> are preferably H. R<sup>2</sup> is preferably hydrogen.

- 10 In these compounds R<sup>1</sup> has the meanings given above. In particular R<sup>1</sup> is H, n-propyl, 1-propen-3-yl. In this embodiment both R<sup>8a</sup> and R<sup>8</sup> are preferably hydrogen.

In a further preferred embodiment, R<sup>8a</sup> and R<sup>1a</sup> together are (CR<sup>6</sup>R<sup>7</sup>)<sub>s</sub> with s being 15 2 or 3 and specifically 2. R<sup>6</sup> and R<sup>7</sup> are preferably H. R<sup>2</sup> and R<sup>2a</sup> are preferably H. R<sup>8</sup> is preferably hydrogen. In these compounds, R<sup>1</sup> has the meanings given above. In particular, R<sup>1</sup> is H, n-propyl, 1-propen-3-yl and specifically H or n-propyl.

In a further preferred embodiment, R<sup>1</sup> and R<sup>1a</sup> together are (CR<sup>6</sup>R<sup>7</sup>)<sub>r</sub> with r being 3, 20 4 or 5 and specifically 4. R<sup>6</sup> and R<sup>7</sup> are preferably H. In this embodiment both R<sup>2a</sup> and R<sup>2</sup> as well as both R<sup>8a</sup> and R<sup>8</sup> are preferably hydrogen.

One preferred embodiment of the invention relates to compounds of the formula I, wherein X is CH.

25

Another preferred embodiment of the invention relates to compounds of the formula I, wherein X is N.

Preferably, R<sup>3</sup> is H or methyl and more preferably H.

30

One preferred embodiment of the invention relates to compounds of the formula I, wherein R<sup>9</sup> is selected from C<sub>1</sub>-C<sub>4</sub>-alkyl, in particular methyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy, in particular methoxy, and hydrogen.

In a further preferred embodiment, R<sup>9</sup> is bound next to the ring nitrogen of the pyridine and pyrimidine moiety, and R<sup>9</sup> is preferably C<sub>1</sub>-C<sub>4</sub>-alkoxy, in particular methoxy.

- 5 In a further preferred embodiment R<sup>9</sup> is bound next to the ring nitrogen of the pyridine and pyrimidine moiety respectively, and R<sup>9</sup> is preferably C<sub>1</sub>-C<sub>4</sub>-alkyl, in particular methyl.

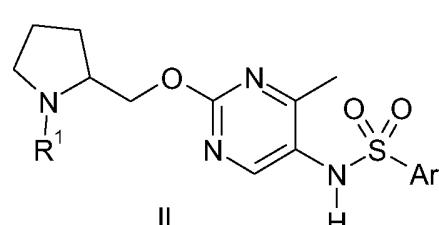
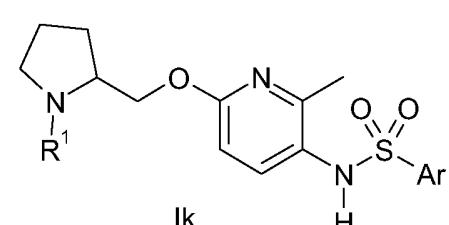
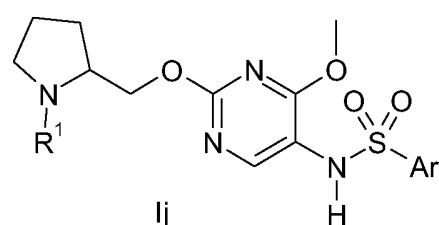
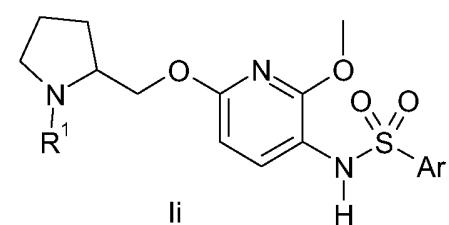
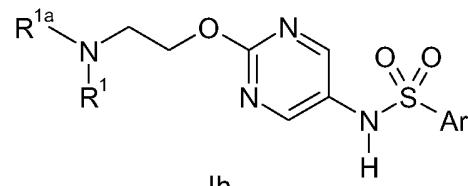
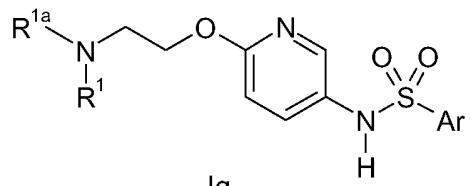
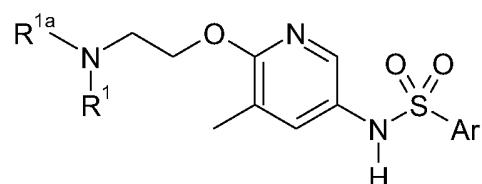
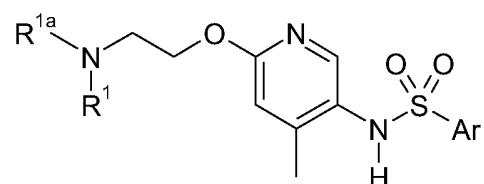
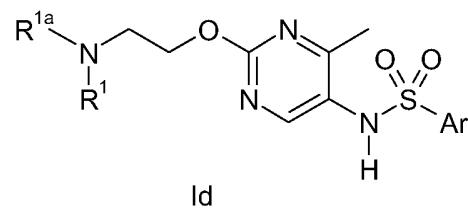
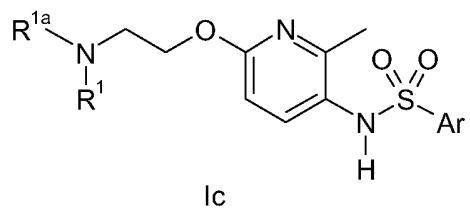
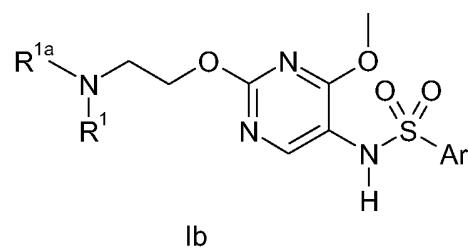
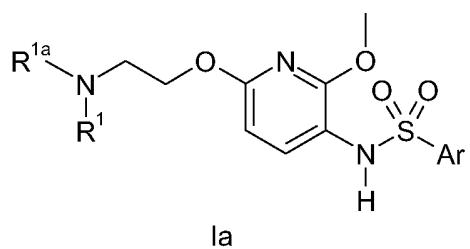
Another preferred embodiment relates to compounds wherein X is CH, and R<sup>1a</sup>,  
10 R<sup>2</sup>, R<sup>2a</sup>, R<sup>8</sup> and R<sup>8a</sup> are H, R<sup>9</sup> is methoxy and R<sup>a</sup> and Ar are as defined above.

Another preferred embodiment relates to compounds wherein X is N, R<sup>1a</sup>, R<sup>2</sup>, R<sup>2a</sup>,  
R<sup>8</sup> and R<sup>8a</sup> are H, R<sup>9</sup> is methoxy and R<sup>a</sup> and Ar are as defined above.

- 15 In one preferred embodiment, Ar (together with R<sup>a</sup>) has one of the meanings given in Table B below.

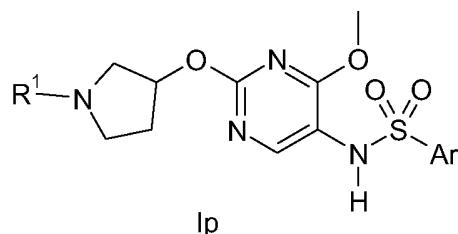
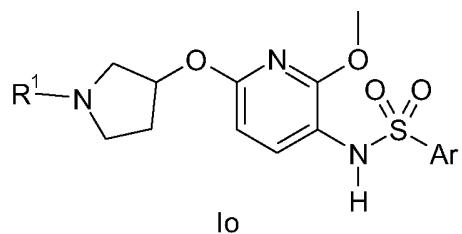
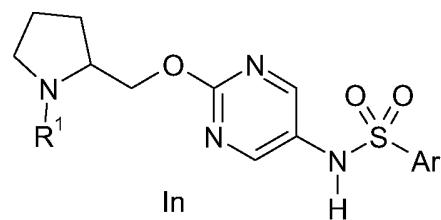
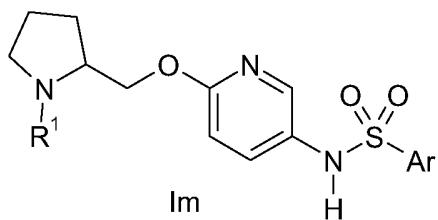
Preferred embodiments of the invention are compounds of the following formulae  
la, lb, lc, ld, le, lf, lg, lh, li, lj, lk, ll, lm, ln, lo, lp, lq, lr, ls, lt, lu, lv, lw, lx, ly and lz  
20 and the physiologically tolerated acid addition salts thereof. With regard to the  
carbon atom carrying four different groups, compounds of the formulae li, lj, lk, llk,  
lm, ln, lo, lp, lq, lr, ls and lt may exist as R-enantiomers or S-enantiomers as well  
as mixtures of the enantiomers such as racemic mixtures. The preferred  
embodiments include the R- and S-enantiomers of li, lj, lk, ll, lm, ln, lo, lp, lq, lr, ls  
25 and lt and the mixtures of the enantiomers.

In the compounds of the formulae la, lb, lc, ld, le, lf, lg, lh, li, lj, lk, ll, lm, ln, lo, lp,  
lq, lr, ls and lt, R<sup>1</sup>, Ar and R<sup>1a</sup> are as defined above with particular preference  
given to those compounds, wherein R<sup>1</sup>, Ar and R<sup>1a</sup> have one of the preferred  
30 meanings.

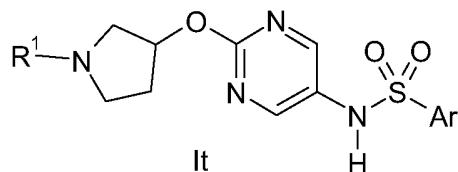
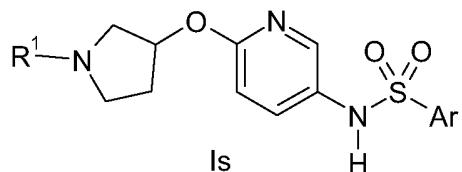
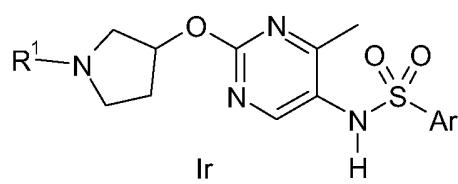
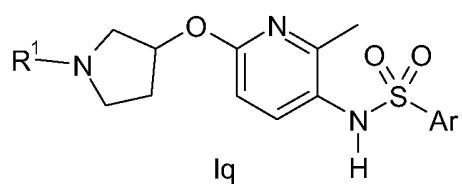


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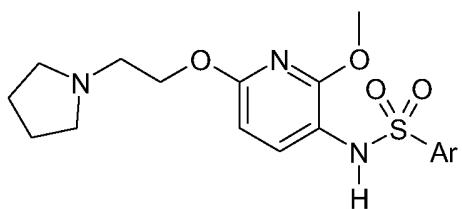
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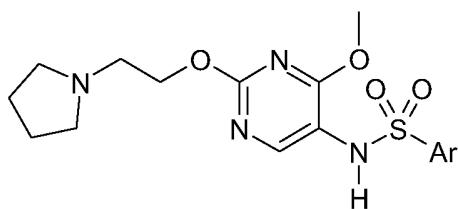


- 10 In the compounds of the formulae Iu, Iv, Iw, Ix, Iy and Iz, Ar is as defined above with particular preference given to those compounds wherein Ar has one of the preferred meanings.

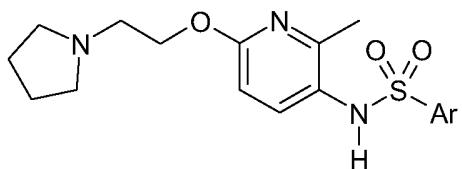


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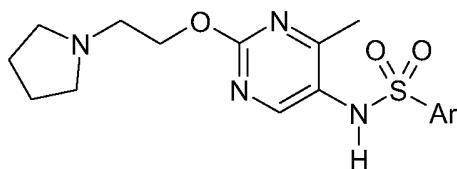
Iu



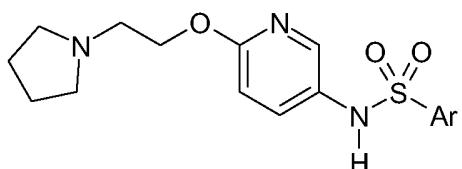
Iv



Iw



Ix



5

ly



lz

Examples of preferred compounds of the general formula I are given in the following tables A-1, A-2, A-3, A-4, A5, A-6, A-7, A-8, A-9, A-10, A-11, A-12, A-13, A-14, A-15, A-16, A-17, A-18, A-19, A-20, B-1, B-2, B-3, B-4, B-5 and B-6.

10

Table A-1: Compounds of the formula Ia, wherein R<sup>1a</sup> is H and Ar and R<sup>1</sup> have the meaning given in one of the rows of table A.

15

Table A-2: Compounds of the formula Ib, wherein R<sup>1a</sup> is H and Ar and R<sup>1</sup> have the meaning given in one of the rows of table A.

Table A-3: Compounds of the formula Ic, wherein R<sup>1a</sup> is H and Ar and R<sup>1</sup> have the meaning given in one of the rows of table A.

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Table A-4: Compounds of the formula Id, wherein R<sup>1a</sup> is H and Ar and R<sup>1</sup> have the meaning given in one of the rows of table A.

Table A-5: Compounds of the formula Ie, wherein R<sup>1a</sup> is H and Ar and R<sup>1</sup> have the meaning given in one of the rows of table A.

25

Table A-6: Compounds of the formula If, wherein R<sup>1a</sup> is H and Ar and R<sup>1</sup> have the meaning given in one of the rows of table A.

Table A-7: Compounds of the formula Ig, wherein Ar and R<sup>1</sup> have the meaning given in one of the rows of table A.

Table A-8: Compounds of the formula Ih, wherein Ar and R<sup>1</sup> have the meaning

5 given in one of the rows of table A.

Table A-9: Compounds of the formula li, including the pure S-isomers, the pure R-isomers and the racemic mixtures, wherein Ar and R<sup>1</sup> have the meaning given in one of the rows of table A.

10

Table A-10: Compounds of the formula Ij, including the pure S-isomers, the pure R-isomers and the racemic mixtures, wherein Ar and R<sup>1</sup> have the meaning given in one of the rows of table A.

15

Table A-11: Compounds of the formula Ik, including the pure S-isomers, the pure R-isomers and the racemic mixtures, wherein Ar and R<sup>1</sup> have the meaning given in one of the rows of table A.

20

Table A-12: Compounds of the formula II, including the pure S-isomers, the pure R-isomers and the racemic mixtures, wherein Ar and R<sup>1</sup> have the meaning given in one of the rows of table A.

25

Table A-13: Compounds of the formula Im, including the pure S-isomers, the pure R-isomers and the racemic mixtures, wherein Ar and R<sup>1</sup> have the meaning given in one of the rows of table A.

30

Table A-14: Compounds of the formula In, including the pure S-isomers, the pure R-isomers and the racemic mixtures, wherein Ar and R<sup>1</sup> have the meaning given in one of the rows of table A.

Table A-15: Compounds of the formula Io, including the pure S-isomers, the pure R-isomers and the racemic mixtures, wherein Ar and R<sup>1</sup> have the meaning given in one of the rows of table A.

Table A-16: Compounds of the formula I<sub>p</sub>, including the pure S-isomers, the pure R-isomers and the racemic mixtures, wherein Ar and R<sup>1</sup> have the meaning given in one of the rows of table A.

- 5 Table A-17: Compounds of the formula I<sub>q</sub>, including the pure S-isomers, the pure R-isomers and the racemic mixtures, wherein Ar and R<sup>1</sup> have the meaning given in one of the rows of table A.

- 10 Table A-18: Compounds of the formula I<sub>r</sub>, including the pure S-isomers, the pure R-isomers and the racemic mixtures, wherein Ar and R<sup>1</sup> have the meaning given in one of the rows of table A.

- 15 Table A-19: Compounds of the formula I<sub>s</sub>, including the pure S-isomers, the pure R-isomers and the racemic mixtures, wherein Ar and R<sup>1</sup> have the meaning given in one of the rows of table A.

Table A-20: Compounds of the formula I<sub>t</sub>, including the pure S-isomers, the pure R-isomers and the racemic mixtures, wherein Ar and R<sup>1</sup> have the meaning given in one of the rows of table A.

20

Table A

No.	R <sup>1</sup>	Ar
1.	methyl	4-(trifluoromethoxy)-phenyl
2.	methyl	3-(trifluoromethoxy)-phenyl
3.	methyl	4-cyanophenyl
4.	methyl	4-methylphenyl
5.	methyl	4-ethylphenyl
6.	methyl	4-propylphenyl
7.	methyl	4-methoxyphenyl
8.	methyl	4-fluorophenyl
9.	methyl	4-chlorophenyl
10.	methyl	4-bromophenyl
11.	methyl	3-(trifluoromethyl)phenyl
12.	methyl	4-(trifluoromethyl)phenyl

No.	R <sup>1</sup>	Ar
13.	methyl	2-(trifluoromethyl)phenyl
14.	methyl	3,4-difluorophenyl
15.	methyl	4-bromo-3-fluorophenyl
16.	methyl	4-bromo-2-fluorophenyl
17.	methyl	4-bromo-2,5-difluorophenyl
18.	methyl	2-fluoro-4-isopropylphenyl
19.	methyl	4-hydroxyphenyl
20.	methyl	4-isopropylphenyl
21.	methyl	4-sec-butylphenyl
22.	methyl	4-isobutylphenyl
23.	methyl	4-(1,1-dimethylpropyl)-phenyl
24.	methyl	4-vinylphenyl
25.	methyl	4-isopropenylphenyl
26.	methyl	4-(fluoromethyl)phenyl
27.	methyl	3-(fluoromethyl)phenyl
28.	methyl	2-(fluoromethyl)phenyl
29.	methyl	4-(difluoromethyl)phenyl
30.	methyl	3-(difluoromethyl)phenyl
31.	methyl	2-(difluoromethyl)phenyl
32.	methyl	4-(1-fluoroethyl)-phenyl
33.	methyl	4-((S)-1-fluoroethyl)-phenyl
34.	methyl	4-((R)-1-fluoroethyl)-phenyl
35.	methyl	4-(2-fluoroethyl)-phenyl
36.	methyl	4-(1,1-difluoroethyl)-phenyl
37.	methyl	4-(2,2-difluoroethyl)-phenyl
38.	methyl	4-(2,2,2-trifluoroethyl)-phenyl
39.	methyl	4-(3-fluoropropyl)-phenyl
40.	methyl	4-(2-fluoropropyl)-phenyl
41.	methyl	4-((S)-2-fluoropropyl)-phenyl
42.	methyl	4-((R)-2-fluoropropyl)-phenyl
43.	methyl	4-(3,3-difluoropropyl)-phenyl
44.	methyl	4-(3,3,3-trifluoropropyl)-phenyl

No.	R <sup>1</sup>	Ar
45.	methyl	4-(1-fluoro-1-methylethyl)-phenyl
46.	methyl	4-(2-fluoro-1-methylethyl)-phenyl
47.	methyl	4-((S)-2-fluoro-1-methylethyl)-phenyl
48.	methyl	4-((R)-2-fluoro-1-methylethyl)-phenyl
49.	methyl	4-(2,2-difluoro-1-methylethyl)-phenyl
50.	methyl	4-((S)-2,2-difluoro-1-methylethyl)-phenyl
51.	methyl	4-((R)-2,2-difluoro-1-methylethyl)-phenyl
52.	methyl	4-(2,2,2-trifluoro-1-methylethyl)-phenyl
53.	methyl	4-((S)-2,2,2-trifluoro-1-methylethyl)-phenyl
54.	methyl	4-((R)-2,2,2-trifluoro-1-methylethyl)-phenyl
55.	methyl	4-(2-fluoro-1-fluoromethylethyl)-phenyl
56.	methyl	4-(1-difluoromethyl-2,2-difluoroethyl)-phenyl
57.	methyl	4-(1,1-dimethyl-2-fluoroethyl)-phenyl
58.	methyl	4-ethoxyphenyl
59.	methyl	4-propoxyphenyl
60.	methyl	4-isopropoxyphenyl
61.	methyl	4-butoxyphenyl
62.	methyl	4-(fluoromethoxy)-phenyl
63.	methyl	4-(difluoromethoxy)-phenyl
64.	methyl	4-(2-fluoroethoxy)-phenyl
65.	methyl	4-(2,2-difluoroethoxy)-phenyl
66.	methyl	4-(2,2,2-trifluoroethoxy)-phenyl
67.	methyl	4-(1,1,2,2-tetrafluoroethoxy)-phenyl
68.	methyl	4-cyclopropylphenyl
69.	methyl	4-cyclobutylphenyl
70.	methyl	4-cyclopentylphenyl
71.	methyl	4-(2,2-difluorocyclopropyl)-phenyl
72.	methyl	3-fluoro-4-isopropylphenyl
73.	methyl	4-(1-hydroxy-1-methylethyl)-phenyl
74.	methyl	4-(2-hydroxy-2-methylpropyl)-phenyl
75.	methyl	4-acetylphenyl
76.	methyl	4-carboxyphenyl

No.	R <sup>1</sup>	Ar
77.	methyl	4-(O-benzyl)-phenyl
78.	methyl	4-(2-methoxyethoxy)-phenyl
79.	methyl	4-(CH <sub>2</sub> -N(CH <sub>3</sub> ) <sub>2</sub> )-phenyl
80.	methyl	4-(NH-CO-NH <sub>2</sub> )-phenyl
81.	methyl	4-(methylsulfanyl)-phenyl
82.	methyl	4-(fluoromethylsulfanyl)-phenyl
83.	methyl	4-(difluoromethylsulfanyl)-phenyl
84.	methyl	4-(trifluoromethylsulfanyl)-phenyl
85.	methyl	4-(methylsulfonyl)-phenyl
86.	methyl	4-(N-methoxy-N-methyl-amino)-phenyl
87.	methyl	4-(methoxyamino)-phenyl
88.	methyl	4-(ethoxyamino)-phenyl
89.	methyl	4-(N-methylaminoxy)-phenyl
90.	methyl	4-(N,N-dimethylaminoxy)-phenyl
91.	methyl	4-(azetidin-1-yl)-phenyl
92.	methyl	4-(2-methylazetidin-1-yl)-phenyl
93.	methyl	4-((S)-2-methylazetidin-1-yl)-phenyl
94.	methyl	4-((R)-2-methylazetidin-1-yl)-phenyl
95.	methyl	4-(3-fluoroazetidin-1-yl)-phenyl
96.	methyl	4-(3-methoxyazetidin-1-yl)-phenyl
97.	methyl	4-(3-hydroxyazetidin-1-yl)-phenyl
98.	methyl	4-(pyrrolidin-1-yl)-phenyl
99.	methyl	4-(pyrrolidin-2-yl)-phenyl
100.	methyl	4-((S)-pyrrolidin-2-yl)-phenyl
101.	methyl	4-((R)-pyrrolidin-2-yl)-phenyl
102.	methyl	4-(pyrrolidin-3-yl)-phenyl
103.	methyl	4-((S)-pyrrolidin-3-yl)-phenyl
104.	methyl	4-((R)-pyrrolidin-3-yl)-phenyl
105.	methyl	4-(2-fluoropyrrolidin-1-yl)-phenyl
106.	methyl	4-((S)-2-fluoropyrrolidin-1-yl)-phenyl
107.	methyl	4-((R)-2-fluoropyrrolidin-1-yl)-phenyl
108.	methyl	4-(3-fluoropyrrolidin-1-yl)-phenyl

No.	R <sup>1</sup>	Ar
109.	methyl	4-((S)-3-fluoropyrrolidin-1-yl)-phenyl
110.	methyl	4-((R)-3-fluoropyrrolidin-1-yl)-phenyl
111.	methyl	4-(2,2-difluoropyrrolidin-1-yl)-phenyl
112.	methyl	4-(3,3-difluoropyrrolidin-1-yl)-phenyl
113.	methyl	4-(2-methylpyrrolidin-1-yl)-phenyl
114.	methyl	4-((S)-2-methylpyrrolidin-1-yl)-phenyl
115.	methyl	4-((R)-2-methylpyrrolidin-1-yl)-phenyl
116.	methyl	4-(3-methylpyrrolidin-1-yl)-phenyl
117.	methyl	4-((S)-3-methylpyrrolidin-1-yl)-phenyl
118.	methyl	4-((R)-3-methylpyrrolidin-1-yl)-phenyl
119.	methyl	4-(1-methylpyrrolidin-2-yl)-phenyl
120.	methyl	4-((S)-1-methylpyrrolidin-2-yl)-phenyl
121.	methyl	4-((R)-1-methylpyrrolidin-2-yl)-phenyl
122.	methyl	4-(1-methylpyrrolidin-3-yl)-phenyl
123.	methyl	4-((S)-1-methylpyrrolidin-3-yl)-phenyl
124.	methyl	4-((R)-1-methylpyrrolidin-3-yl)-phenyl
125.	methyl	4-(2,2-dimethylpyrrolidin-1-yl)-phenyl
126.	methyl	4-(3,3-dimethylpyrrolidin-1-yl)-phenyl
127.	methyl	4-(2-trifluoromethylpyrrolidin-1-yl)-phenyl
128.	methyl	4-((S)-2-trifluoromethylpyrrolidin-1-yl)-phenyl
129.	methyl	4-((R)-2-trifluoromethylpyrrolidin-1-yl)-phenyl
130.	methyl	4-(3-trifluoromethylpyrrolidin-1-yl)-phenyl
131.	methyl	4-((S)-3-trifluoromethylpyrrolidin-1-yl)-phenyl
132.	methyl	4-((R)-3-trifluoromethylpyrrolidin-1-yl)-phenyl
133.	methyl	4-(2-oxopyrrolidin-1-yl)-phenyl
134.	methyl	4-(2-oxo-oxazolidin-3-yl)-phenyl
135.	methyl	4-(piperidin-1-yl)-phenyl
136.	methyl	4-(2-methylpiperidin-1-yl)-phenyl
137.	methyl	4-((S)-2-methylpiperidin-1-yl)-phenyl
138.	methyl	4-((R)-2-methylpiperidin-1-yl)-phenyl
139.	methyl	4-(piperazin-1-yl)-phenyl
140.	methyl	4-(4-methylpiperazin-1-yl)-phenyl

No.	R <sup>1</sup>	Ar
141.	methyl	4-(morpholin-4-yl)-phenyl
142.	methyl	4-(thiomorpholin-4-yl)-phenyl
143.	methyl	4-(1-oxo-thiomorpholin-4-yl)-phenyl
144.	methyl	4-(1,1-dioxo-thiomorpholin-4-yl)-phenyl
145.	methyl	4-(pyrrol-1-yl)-phenyl
146.	methyl	4-(pyrrol-2-yl)-phenyl
147.	methyl	4-(pyrrol-3-yl)-phenyl
148.	methyl	4-(1-methylpyrrol-2-yl)-phenyl
149.	methyl	4-(1-methylpyrrol-3-yl)-phenyl
150.	methyl	4-(furan-2-yl)-phenyl
151.	methyl	4-(furan-3-yl)-phenyl
152.	methyl	4-(thiophen-2-yl)-phenyl
153.	methyl	4-(thiophen-3-yl)-phenyl
154.	methyl	4-(5-propylthien-2-yl)-phenyl
155.	methyl	4-(pyrazol-1-yl)-phenyl
156.	methyl	4-(pyrazol-3-yl)-phenyl
157.	methyl	4-(pyrazol-4-yl)-phenyl
158.	methyl	4-(1-methyl-1H-pyrazol-4-yl)-phenyl
159.	methyl	4-(1-ethyl-1H-pyrazol-4-yl)-phenyl
160.	methyl	4-(1-methyl-1H-pyrazol-5-yl)-phenyl
161.	methyl	4-(1H-imidazol-2-yl)-phenyl
162.	methyl	4-(imidazol-1-yl)-phenyl
163.	methyl	4-(1-methylimidazol-2-yl)-phenyl
164.	methyl	4-(oxazol-2-yl)-phenyl
165.	methyl	4-(oxazol-4-yl)-phenyl
166.	methyl	4-(oxazol-5-yl)-phenyl
167.	methyl	4-(isoxazol-3-yl)-phenyl
168.	methyl	4-(isoxazol-4-yl)-phenyl
169.	methyl	4-(isoxazol-5-yl)-phenyl
170.	methyl	4-([1,2,3]-triazol-1-yl)-phenyl
171.	methyl	4-([1,2,4]-triazol-1-yl)-phenyl
172.	methyl	4-([1,2,3]-triazol-2-yl)-phenyl

No.	R <sup>1</sup>	Ar
173.	methyl	4-(4H-[1,2,4]-triazol-3-yl)-phenyl
174.	methyl	4-([1,2,4]-triazol-4-yl)-phenyl
175.	methyl	4-(2H-[1,2,3]-triazol-4-yl)-phenyl
176.	methyl	4-(4-methyl-4H-[1,2,4]-triazol-3-yl)-phenyl
177.	methyl	4-(2-methyl-2H-[1,2,3]-triazol-4-yl)-phenyl
178.	methyl	4-([1,3,4]-oxadiazol-2-yl)-phenyl
179.	methyl	4-([1,2,4]-oxadiazol-3-yl)-phenyl
180.	methyl	4-([1,2,4]-oxadiazol-5-yl)-phenyl
181.	methyl	4-([1,2,3]-oxadiazol-4-yl)-phenyl
182.	methyl	4-([1,2,3]-oxadiazol-5-yl)-phenyl
183.	methyl	4-([1,2,3]-thiadiazol-4-yl)-phenyl
184.	methyl	4-(1H-tetrazol-5-yl)-phenyl
185.	methyl	4-(tetrazol-1-yl)-phenyl
186.	methyl	4-(2-methyl-2H-tetrazol-5-yl)-phenyl
187.	methyl	4-(1-methyl-1H-tetrazol-5-yl)-phenyl
188.	methyl	4-furazan-3-yl-phenyl
189.	methyl	4-(pyrid-2-yl)-phenyl
190.	methyl	4-(pyrid-3-yl)-phenyl
191.	methyl	4-(pyrid-4-yl)-phenyl
192.	methyl	4-(pyrimidin-2-yl)-phenyl
193.	methyl	4-(pyrimidin-4-yl)-phenyl
194.	methyl	4-(pyrimidin-5-yl)-phenyl
195.	methyl	5-isopropylthiophen-2-yl
196.	methyl	2-chlorothiophen-5-yl
197.	methyl	2,5-dichlorothiophen-4-yl
198.	methyl	2,3-dichlorothiophen-5-yl
199.	methyl	2-chloro-3-nitrothiophen-5-yl
200.	methyl	2-(phenylsulfonyl)-thiophen-5-yl
201.	methyl	2-(pyridin-2-yl)thiophen-5-yl
202.	methyl	2-(5-(trifluoromethyl)isoxazol-3-yl)-thiophen-5-yl
203.	methyl	2-(2-methylthiazol-4-yl)-thiophen-5-yl

No.	R <sup>1</sup>	Ar
204.	methyl	1-methyl-1H-imidazol-4-yl
205.	methyl	1,2-dimethyl-1H-imidazol-4-yl
206.	methyl	3,5-dimethylisoxazol-4-yl
207.	methyl	thiazol-2-yl
208.	methyl	4-methylthiazol-2-yl
209.	methyl	4-isopropylthiazol-2-yl
210.	methyl	4-trifluoromethylthiazol-2-yl
211.	methyl	5-methylthiazol-2-yl
212.	methyl	5-isopropylthiazol-2-yl
213.	methyl	5-trifluoromethylthiazol-2-yl
214.	methyl	2,4-dimethylthiazol-5-yl
215.	methyl	2-acetamido-4-methylthiazol-5-yl
216.	methyl	4H-[1,2,4]triazol-3-yl
217.	methyl	5-methyl-4H-[1,2,4]triazol-3-yl
218.	methyl	4-methyl-4H-[1,2,4]triazol-3-yl
219.	methyl	5-isopropyl-4H-[1,2,4]triazol-3-yl
220.	methyl	5-trifluoromethyl-4H-[1,2,4]triazol-3-yl
221.	methyl	4,5-dimethyl-4H-[1,2,4]triazol-3-yl
222.	methyl	5-isopropyl-4-methyl-4H-[1,2,4]triazol-3-yl
223.	methyl	5-trifluoromethyl-4-methyl-4H-[1,2,4]triazol-3-yl
224.	methyl	[1,3,4]thiadiazol-2-yl
225.	methyl	5-methyl-[1,3,4]thiadiazol-2-yl
226.	methyl	5-isopropyl-[1,3,4]thiadiazol-2-yl
227.	methyl	5-trifluoromethyl-[1,3,4]thiadiazol-2-yl
228.	methyl	3-bromo-2-chloropyrid-5-yl
229.	methyl	2-(4-morpholino)-pyrid-5-yl
230.	methyl	2-phenoxy pyrid-5-yl
231.	methyl	(2-isopropyl)-pyrimidin-5-yl
232.	methyl	(5-isopropyl)-pyrimidin-2-yl
233.	methyl	8-quinolyl
234.	methyl	5-isoquinolyl

No.	R <sup>1</sup>	Ar
235.	methyl	2-(trifluoroacetyl)-1,2,3,4-tetrahydroisoquinolin-7-yl
236.	methyl	5-chloro-3-methylbenzothiophen-2-yl
237.	methyl	3,4-dihydro-4-methyl-2H-benzo[b][1,4]oxazinyl
238.	methyl	benzothiazol-6-yl
239.	methyl	benzo[2,1,3]oxadiazol-4-yl
240.	methyl	5-chlorobenzo[2,1,3]oxadiazol-4-yl
241.	methyl	7-chlorobenzo[2,1,3]oxadiazol-4-yl
242.	methyl	benzo[2,1,3]thiadiazol-4-yl
243.	methyl	6-chloroimidazo[2,1-b]thiazolyl
244.	ethyl	4-(trifluoromethoxy)-phenyl
245.	ethyl	3-(trifluoromethoxy)-phenyl
246.	ethyl	4-cyanophenyl
247.	ethyl	4-methylphenyl
248.	ethyl	4-ethylphenyl
249.	ethyl	4-propylphenyl
250.	ethyl	4-methoxyphenyl
251.	ethyl	4-fluorophenyl
252.	ethyl	4-chlorophenyl
253.	ethyl	4-bromophenyl
254.	ethyl	3-(trifluoromethyl)phenyl
255.	ethyl	4-(trifluoromethyl)phenyl
256.	ethyl	2-(trifluoromethyl)phenyl
257.	ethyl	3,4-difluorophenyl
258.	ethyl	4-bromo-3-fluorophenyl
259.	ethyl	4-bromo-2-fluorophenyl
260.	ethyl	4-bromo-2,5-difluorophenyl
261.	ethyl	2-fluoro-4-isopropylphenyl
262.	ethyl	4-hydroxyphenyl
263.	ethyl	4-isopropylphenyl
264.	ethyl	4-sec-butylphenyl
265.	ethyl	4-isobutylphenyl

No.	R <sup>1</sup>	Ar
266.	ethyl	4-(1,1-dimethylpropyl)-phenyl
267.	ethyl	4-vinylphenyl
268.	ethyl	4-isopropenylphenyl
269.	ethyl	4-(fluoromethyl)phenyl
270.	ethyl	3-(fluoromethyl)phenyl
271.	ethyl	2-(fluoromethyl)phenyl
272.	ethyl	4-(difluoromethyl)phenyl
273.	ethyl	3-(difluoromethyl)phenyl
274.	ethyl	2-(difluoromethyl)phenyl
275.	ethyl	4-(1-fluoroethyl)-phenyl
276.	ethyl	4-((S)-1-fluoroethyl)-phenyl
277.	ethyl	4-((R)-1-fluoroethyl)-phenyl
278.	ethyl	4-(2-fluoroethyl)-phenyl
279.	ethyl	4-(1,1-difluoroethyl)-phenyl
280.	ethyl	4-(2,2-difluoroethyl)-phenyl
281.	ethyl	4-(2,2,2-trifluoroethyl)-phenyl
282.	ethyl	4-(3-fluoropropyl)-phenyl
283.	ethyl	4-(2-fluoropropyl)-phenyl
284.	ethyl	4-((S)-2-fluoropropyl)-phenyl
285.	ethyl	4-((R)-2-fluoropropyl)-phenyl
286.	ethyl	4-(3,3-difluoropropyl)-phenyl
287.	ethyl	4-(3,3,3-trifluoropropyl)-phenyl
288.	ethyl	4-(1-fluoro-1-methylethyl)-phenyl
289.	ethyl	4-(2-fluoro-1-methylethyl)-phenyl
290.	ethyl	4-((S)-2-fluoro-1-methylethyl)-phenyl
291.	ethyl	4-((R)-2-fluoro-1-methylethyl)-phenyl
292.	ethyl	4-(2,2-difluoro-1-methylethyl)-phenyl
293.	ethyl	4-((S)-2,2-difluoro-1-methylethyl)-phenyl
294.	ethyl	4-((R)-2,2-difluoro-1-methylethyl)-phenyl
295.	ethyl	4-(2,2,2-trifluoro-1-methylethyl)-phenyl
296.	ethyl	4-((S)-2,2,2-trifluoro-1-methylethyl)-phenyl
297.	ethyl	4-((R)-2,2,2-trifluoro-1-methylethyl)-phenyl

No.	R <sup>1</sup>	Ar
298.	ethyl	4-(2-fluoro-1-fluoromethylethyl)-phenyl
299.	ethyl	4-(1-difluoromethyl-2,2-difluoroethyl)-phenyl
300.	ethyl	4-(1,1-dimethyl-2-fluoroethyl)-phenyl
301.	ethyl	4-ethoxyphenyl
302.	ethyl	4-propoxyphenyl
303.	ethyl	4-isopropoxyphe nyl
304.	ethyl	4-butoxyphenyl
305.	ethyl	4-(fluoromethoxy)-phenyl
306.	ethyl	4-(difluoromethoxy)-phenyl
307.	ethyl	4-(2-fluoroethoxy)-phenyl
308.	ethyl	4-(2,2-difluoroethoxy)-phenyl
309.	ethyl	4-(2,2,2-trifluoroethoxy)-phenyl
310.	ethyl	4-(1,1,2,2-tetrafluoroethoxy)-phenyl
311.	ethyl	4-cyclopropylphenyl
312.	ethyl	4-cyclobutylphenyl
313.	ethyl	4-cyclopentylphenyl
314.	ethyl	4-(2,2-difluorocyclopropyl)-phenyl
315.	ethyl	3-fluoro-4-isopropylphenyl
316.	ethyl	4-(1-hydroxy-1-methylethyl)-phenyl
317.	ethyl	4-(2-hydroxy-2-methylpropyl)-phenyl
318.	ethyl	4-acetylphenyl
319.	ethyl	4-carboxyphenyl
320.	ethyl	4-(O-benzyl)-phenyl
321.	ethyl	4-(2-methoxyethoxy)-phenyl
322.	ethyl	4-(CH <sub>2</sub> -N(CH <sub>3</sub> ) <sub>2</sub> )-phenyl
323.	ethyl	4-(NH-CO-NH <sub>2</sub> )-phenyl
324.	ethyl	4-(methylsulfanyl)-phenyl
325.	ethyl	4-(fluoromethylsulfanyl)-phenyl
326.	ethyl	4-(difluoromethylsulfanyl)-phenyl
327.	ethyl	4-(trifluoromethylsulfanyl)-phenyl
328.	ethyl	4-(methylsulfonyl)-phenyl
329.	ethyl	4-(N-methoxy-N-methyl-amino)-phenyl

No.	R <sup>1</sup>	Ar
330.	ethyl	4-(methoxyamino)-phenyl
331.	ethyl	4-(ethoxyamino)-phenyl
332.	ethyl	4-(N-methylaminoxy)-phenyl
333.	ethyl	4-(N,N-dimethylaminoxy)-phenyl
334.	ethyl	4-(azetidin-1-yl)-phenyl
335.	ethyl	4-(2-methylazetidin-1-yl)-phenyl
336.	ethyl	4-((S)-2-methylazetidin-1-yl)-phenyl
337.	ethyl	4-((R)-2-methylazetidin-1-yl)-phenyl
338.	ethyl	4-(3-fluoroazetidin-1-yl)-phenyl
339.	ethyl	4-(3-methoxyazetidin-1-yl)-phenyl
340.	ethyl	4-(3-hydroxyazetidin-1-yl)-phenyl
341.	ethyl	4-(pyrrolidin-1-yl)-phenyl
342.	ethyl	4-(pyrrolidin-2-yl)-phenyl
343.	ethyl	4-((S)-pyrrolidin-2-yl)-phenyl
344.	ethyl	4-((R)-pyrrolidin-2-yl)-phenyl
345.	ethyl	4-(pyrrolidin-3-yl)-phenyl
346.	ethyl	4-((S)-pyrrolidin-3-yl)-phenyl
347.	ethyl	4-((R)-pyrrolidin-3-yl)-phenyl
348.	ethyl	4-(2-fluoropyrrolidin-1-yl)-phenyl
349.	ethyl	4-((S)-2-fluoropyrrolidin-1-yl)-phenyl
350.	ethyl	4-((R)-2-fluoropyrrolidin-1-yl)-phenyl
351.	ethyl	4-(3-fluoropyrrolidin-1-yl)-phenyl
352.	ethyl	4-((S)-3-fluoropyrrolidin-1-yl)-phenyl
353.	ethyl	4-((R)-3-fluoropyrrolidin-1-yl)-phenyl
354.	ethyl	4-(2,2-difluoropyrrolidin-1-yl)-phenyl
355.	ethyl	4-(3,3-difluoropyrrolidin-1-yl)-phenyl
356.	ethyl	4-(2-methylpyrrolidin-1-yl)-phenyl
357.	ethyl	4-((S)-2-methylpyrrolidin-1-yl)-phenyl
358.	ethyl	4-((R)-2-methylpyrrolidin-1-yl)-phenyl
359.	ethyl	4-(3-methylpyrrolidin-1-yl)-phenyl
360.	ethyl	4-((S)-3-methylpyrrolidin-1-yl)-phenyl
361.	ethyl	4-((R)-3-methylpyrrolidin-1-yl)-phenyl

No.	R <sup>1</sup>	Ar
362.	ethyl	4-(1-methylpyrrolidin-2-yl)-phenyl
363.	ethyl	4-((S)-1-methylpyrrolidin-2-yl)-phenyl
364.	ethyl	4-((R)-1-methylpyrrolidin-2-yl)-phenyl
365.	ethyl	4-(1-methylpyrrolidin-3-yl)-phenyl
366.	ethyl	4-((S)-1-methylpyrrolidin-3-yl)-phenyl
367.	ethyl	4-((R)-1-methylpyrrolidin-3-yl)-phenyl
368.	ethyl	4-(2,2-dimethylpyrrolidin-1-yl)-phenyl
369.	ethyl	4-(3,3-dimethylpyrrolidin-1-yl)-phenyl
370.	ethyl	4-(2-trifluoromethylpyrrolidin-1-yl)-phenyl
371.	ethyl	4-((S)-2-trifluoromethylpyrrolidin-1-yl)-phenyl
372.	ethyl	4-((R)-2-trifluoromethylpyrrolidin-1-yl)-phenyl
373.	ethyl	4-(3-trifluoromethylpyrrolidin-1-yl)-phenyl
374.	ethyl	4-((S)-3-trifluoromethylpyrrolidin-1-yl)-phenyl
375.	ethyl	4-((R)-3-trifluoromethylpyrrolidin-1-yl)-phenyl
376.	ethyl	4-(2-oxopyrrolidin-1-yl)-phenyl
377.	ethyl	4-(2-oxo-oxazolidin-3-yl)-phenyl
378.	ethyl	4-(piperidin-1-yl)-phenyl
379.	ethyl	4-(2-methylpiperidin-1-yl)-phenyl
380.	ethyl	4-((S)-2-methylpiperidin-1-yl)-phenyl
381.	ethyl	4-((R)-2-methylpiperidin-1-yl)-phenyl
382.	ethyl	4-(piperazin-1-yl)-phenyl
383.	ethyl	4-(4-methylpiperazin-1-yl)-phenyl
384.	ethyl	4-(morpholin-4-yl)-phenyl
385.	ethyl	4-(thiomorpholin-4-yl)-phenyl
386.	ethyl	4-(1-oxo-thiomorpholin-4-yl)-phenyl
387.	ethyl	4-(1,1-dioxo-thiomorpholin-4-yl)-phenyl
388.	ethyl	4-(pyrrol-1-yl)-phenyl
389.	ethyl	4-(pyrrol-2-yl)-phenyl
390.	ethyl	4-(pyrrol-3-yl)-phenyl
391.	ethyl	4-(1-methylpyrrol-2-yl)-phenyl
392.	ethyl	4-(1-methylpyrrol-3-yl)-phenyl
393.	ethyl	4-(furan-2-yl)-phenyl

No.	R <sup>1</sup>	Ar
394.	ethyl	4-(furan-3-yl)-phenyl
395.	ethyl	4-(thiophen-2-yl)-phenyl
396.	ethyl	4-(thiophen-3-yl)-phenyl
397.	ethyl	4-(5-propylthien-2-yl)-phenyl
398.	ethyl	4-(pyrazol-1-yl)-phenyl
399.	ethyl	4-(pyrazol-3-yl)-phenyl
400.	ethyl	4-(pyrazol-4-yl)-phenyl
401.	ethyl	4-(1-methyl-1H-pyrazol-4-yl)-phenyl
402.	ethyl	4-(1-ethyl-1H-pyrazol-4-yl)-phenyl
403.	ethyl	4-(1-methyl-1H-pyrazol-5-yl)-phenyl
404.	ethyl	4-(1H-imidazol-2-yl)-phenyl
405.	ethyl	4-(imidazol-1-yl)-phenyl
406.	ethyl	4-(1-methylimidazol-2-yl)-phenyl
407.	ethyl	4-(oxazol-2-yl)-phenyl
408.	ethyl	4-(oxazol-4-yl)-phenyl
409.	ethyl	4-(oxazol-5-yl)-phenyl
410.	ethyl	4-(isoxazol-3-yl)-phenyl
411.	ethyl	4-(isoxazol-4-yl)-phenyl
412.	ethyl	4-(isoxazol-5-yl)-phenyl
413.	ethyl	4-([1,2,3]-triazol-1-yl)-phenyl
414.	ethyl	4-([1,2,4]-triazol-1-yl)-phenyl
415.	ethyl	4-([1,2,3]-triazol-2-yl)-phenyl
416.	ethyl	4-(4H-[1,2,4]-triazol-3-yl)-phenyl
417.	ethyl	4-([1,2,4]-triazol-4-yl)-phenyl
418.	ethyl	4-(2H-[1,2,3]-triazol-4-yl)-phenyl
419.	ethyl	4-(4-methyl-4H-[1,2,4]-triazol-3-yl)-phenyl
420.	ethyl	4-(2-methyl-2H-[1,2,3]-triazol-4-yl)-phenyl
421.	ethyl	4-([1,3,4]-oxadiazol-2-yl)-phenyl
422.	ethyl	4-([1,2,4]-oxadiazol-3-yl)-phenyl
423.	ethyl	4-([1,2,4]-oxadiazol-5-yl)-phenyl
424.	ethyl	4-([1,2,3]-oxadiazol-4-yl)-phenyl
425.	ethyl	4-([1,2,3]-oxadiazol-5-yl)-phenyl

No.	R <sup>1</sup>	Ar
426.	ethyl	4-([1,2,3]-thiadiazol-4-yl)-phenyl
427.	ethyl	4-(1H-tetrazol-5-yl)-phenyl
428.	ethyl	4-(tetrazol-1-yl)-phenyl
429.	ethyl	4-(2-methyl-2H-tetrazol-5-yl)-phenyl
430.	ethyl	4-(1-methyl-1H-tetrazol-5-yl)-phenyl
431.	ethyl	4-furazan-3-yl-phenyl
432.	ethyl	4-(pyrid-2-yl)-phenyl
433.	ethyl	4-(pyrid-3-yl)-phenyl
434.	ethyl	4-(pyrid-4-yl)-phenyl
435.	ethyl	4-(pyrimidin-2-yl)-phenyl
436.	ethyl	4-(pyrimidin-4-yl)-phenyl
437.	ethyl	4-(pyrimidin-5-yl)-phenyl
438.	ethyl	5-isopropylthiophen-2-yl
439.	ethyl	2-chlorothiophen-5-yl
440.	ethyl	2,5-dichlorothiophen-4-yl
441.	ethyl	2,3-dichlorothiophen-5-yl
442.	ethyl	2-chloro-3-nitrothiophen-5-yl
443.	ethyl	2-(phenylsulfonyl)-thiophen-5-yl
444.	ethyl	2-(pyridin-2-yl)thiophen-5-yl
445.	ethyl	2-(5-(trifluoromethyl)isoxazol-3-yl)-thiophen-5-yl
446.	ethyl	2-(2-methylthiazol-4-yl)-thiophen-5-yl
447.	ethyl	1-methyl-1H-imidazol-4-yl
448.	ethyl	1,2-dimethyl-1H-imidazol-4-yl
449.	ethyl	3,5-dimethylisoxazol-4-yl
450.	ethyl	thiazol-2-yl
451.	ethyl	4-methylthiazol-2-yl
452.	ethyl	4-isopropylthiazol-2-yl
453.	ethyl	4-trifluoromethylthiazol-2-yl
454.	ethyl	5-methylthiazol-2-yl
455.	ethyl	5-isopropylthiazol-2-yl
456.	ethyl	5-trifluoromethylthiazol-2-yl

No.	R <sup>1</sup>	Ar
457.	ethyl	2,4-dimethylthiazol-5-yl
458.	ethyl	2-acetamido-4-methylthiazol-5-yl
459.	ethyl	4H-[1,2,4]triazol-3-yl
460.	ethyl	5-methyl-4H-[1,2,4]triazol-3-yl
461.	ethyl	4-methyl-4H-[1,2,4]triazol-3-yl
462.	ethyl	5-isopropyl-4H-[1,2,4]triazol-3-yl
463.	ethyl	5-trifluoromethyl-4H-[1,2,4]triazol-3-yl
464.	ethyl	4,5-dimethyl-4H-[1,2,4]triazol-3-yl
465.	ethyl	5-isopropyl-4-methyl-4H-[1,2,4]triazol-3-yl
466.	ethyl	5-trifluoromethyl-4-methyl-4H-[1,2,4]triazol-3-yl
467.	ethyl	[1,3,4]thiadiazol-2-yl
468.	ethyl	5-methyl-[1,3,4]thiadiazol-2-yl
469.	ethyl	5-isopropyl-[1,3,4]thiadiazol-2-yl
470.	ethyl	5-trifluoromethyl-[1,3,4]thiadiazol-2-yl
471.	ethyl	3-bromo-2-chloropyrid-5-yl
472.	ethyl	2-(4-morpholino)-pyrid-5-yl
473.	ethyl	2-phenoxyypyrid-5-yl
474.	ethyl	(2-isopropyl)-pyrimidin-5-yl
475.	ethyl	(5-isopropyl)-pyrimidin-2-yl
476.	ethyl	8-quinolyl
477.	ethyl	5-isoquinolyl
478.	ethyl	2-(trifluoroacetyl)-1,2,3,4-tetrahydroisoquinolin-7-yl
479.	ethyl	5-chloro-3-methylbenzothiophen-2-yl
480.	ethyl	3,4-dihydro-4-methyl-2H-benzo[b][1,4]oxazinyl
481.	ethyl	benzothiazol-6-yl
482.	ethyl	benzo[2,1,3]oxadiazol-4-yl
483.	ethyl	5-chlorobenzo[2,1,3]oxadiazol-4-yl
484.	ethyl	7-chlorobenzo[2,1,3]oxadiazol-4-yl
485.	ethyl	benzo[2,1,3]thiadiazol-4-yl
486.	ethyl	6-chloroimidazo[2,1-b]thiazolyl

No.	R <sup>1</sup>	Ar
487.	propyl	4-(trifluoromethoxy)-phenyl
488.	propyl	3-(trifluoromethoxy)-phenyl
489.	propyl	4-cyanophenyl
490.	propyl	4-methylphenyl
491.	propyl	4-ethylphenyl
492.	propyl	4-propylphenyl
493.	propyl	4-methoxyphenyl
494.	propyl	4-fluorophenyl
495.	propyl	4-chlorophenyl
496.	propyl	4-bromophenyl
497.	propyl	3-(trifluoromethyl)phenyl
498.	propyl	4-(trifluoromethyl)phenyl
499.	propyl	2-(trifluoromethyl)phenyl
500.	propyl	3,4-difluorophenyl
501.	propyl	4-bromo-3-fluorophenyl
502.	propyl	4-bromo-2-fluorophenyl
503.	propyl	4-bromo-2,5-difluorophenyl
504.	propyl	2-fluoro-4-isopropylphenyl
505.	propyl	4-hydroxyphenyl
506.	propyl	4-isopropylphenyl
507.	propyl	4-sec-butylphenyl
508.	propyl	4-isobutylphenyl
509.	propyl	4-(1,1-dimethylpropyl)-phenyl
510.	propyl	4-vinylphenyl
511.	propyl	4-isopropenylphenyl
512.	propyl	4-(fluoromethyl)phenyl
513.	propyl	3-(fluoromethyl)phenyl
514.	propyl	2-(fluoromethyl)phenyl
515.	propyl	4-(difluoromethyl)phenyl
516.	propyl	3-(difluoromethyl)phenyl
517.	propyl	2-(difluoromethyl)phenyl
518.	propyl	4-(1-fluoroethyl)-phenyl

No.	R <sup>1</sup>	Ar
519.	propyl	4-((S)-1-fluoroethyl)-phenyl
520.	propyl	4-((R)-1-fluoroethyl)-phenyl
521.	propyl	4-(2-fluoroethyl)-phenyl
522.	propyl	4-(1,1-difluoroethyl)-phenyl
523.	propyl	4-(2,2-difluoroethyl)-phenyl
524.	propyl	4-(2,2,2-trifluoroethyl)-phenyl
525.	propyl	4-(3-fluoropropyl)-phenyl
526.	propyl	4-(2-fluoropropyl)-phenyl
527.	propyl	4-((S)-2-fluoropropyl)-phenyl
528.	propyl	4-((R)-2-fluoropropyl)-phenyl
529.	propyl	4-(3,3-difluoropropyl)-phenyl
530.	propyl	4-(3,3,3-trifluoropropyl)-phenyl
531.	propyl	4-(1-fluoro-1-methylethyl)-phenyl
532.	propyl	4-(2-fluoro-1-methylethyl)-phenyl
533.	propyl	4-((S)-2-fluoro-1-methylethyl)-phenyl
534.	propyl	4-((R)-2-fluoro-1-methylethyl)-phenyl
535.	propyl	4-(2,2-difluoro-1-methylethyl)-phenyl
536.	propyl	4-((S)-2,2-difluoro-1-methylethyl)-phenyl
537.	propyl	4-((R)-2,2-difluoro-1-methylethyl)-phenyl
538.	propyl	4-(2,2,2-trifluoro-1-methylethyl)-phenyl
539.	propyl	4-((S)-2,2,2-trifluoro-1-methylethyl)-phenyl
540.	propyl	4-((R)-2,2,2-trifluoro-1-methylethyl)-phenyl
541.	propyl	4-(2-fluoro-1-fluoromethylethyl)-phenyl
542.	propyl	4-(1-difluoromethyl-2,2-difluoroethyl)-phenyl
543.	propyl	4-(1,1-dimethyl-2-fluoroethyl)-phenyl
544.	propyl	4-ethoxyphenyl
545.	propyl	4-propoxyphenyl
546.	propyl	4-isopropoxyphenyl
547.	propyl	4-butoxyphenyl
548.	propyl	4-(fluoromethoxy)-phenyl
549.	propyl	4-(difluoromethoxy)-phenyl
550.	propyl	4-(2-fluoroethoxy)-phenyl

No.	R <sup>1</sup>	Ar
551.	propyl	4-(2,2-difluoroethoxy)-phenyl
552.	propyl	4-(2,2,2-trifluoroethoxy)-phenyl
553.	propyl	4-(1,1,2,2-tetrafluoroethoxy)-phenyl
554.	propyl	4-cyclopropylphenyl
555.	propyl	4-cyclobutylphenyl
556.	propyl	4-cyclopentylphenyl
557.	propyl	4-(2,2-difluorocyclopropyl)-phenyl
558.	propyl	3-fluoro-4-isopropylphenyl
559.	propyl	4-(1-hydroxy-1-methylethyl)-phenyl
560.	propyl	4-(2-hydroxy-2-methylpropyl)-phenyl
561.	propyl	4-acetylphenyl
562.	propyl	4-carboxyphenyl
563.	propyl	4-(O-benzyl)-phenyl
564.	propyl	4-(2-methoxyethoxy)-phenyl
565.	propyl	4-(CH <sub>2</sub> -N(CH <sub>3</sub> ) <sub>2</sub> )-phenyl
566.	propyl	4-(NH-CO-NH <sub>2</sub> )-phenyl
567.	propyl	4-(methylsulfanyl)-phenyl
568.	propyl	4-(fluoromethylsulfanyl)-phenyl
569.	propyl	4-(difluoromethylsulfanyl)-phenyl
570.	propyl	4-(trifluoromethylsulfanyl)-phenyl
571.	propyl	4-(methylsulfonyl)-phenyl
572.	propyl	4-(N-methoxy-N-methyl-amino)-phenyl
573.	propyl	4-(methoxyamino)-phenyl
574.	propyl	4-(ethoxyamino)-phenyl
575.	propyl	4-(N-methylaminoxy)-phenyl
576.	propyl	4-(N,N-dimethylaminoxy)-phenyl
577.	propyl	4-(azetidin-1-yl)-phenyl
578.	propyl	4-(2-methylazetidin-1-yl)-phenyl
579.	propyl	4-((S)-2-methylazetidin-1-yl)-phenyl
580.	propyl	4-((R)-2-methylazetidin-1-yl)-phenyl
581.	propyl	4-(3-fluoroazetidin-1-yl)-phenyl
582.	propyl	4-(3-methoxyazetidin-1-yl)-phenyl

No.	R <sup>1</sup>	Ar
583.	propyl	4-(3-hydroxyazetidin-1-yl)-phenyl
584.	propyl	4-(pyrrolidin-1-yl)-phenyl
585.	propyl	4-(pyrrolidin-2-yl)-phenyl
586.	propyl	4-((S)-pyrrolidin-2-yl)-phenyl
587.	propyl	4-((R)-pyrrolidin-2-yl)-phenyl
588.	propyl	4-(pyrrolidin-3-yl)-phenyl
589.	propyl	4-((S)-pyrrolidin-3-yl)-phenyl
590.	propyl	4-((R)-pyrrolidin-3-yl)-phenyl
591.	propyl	4-(2-fluoropyrrolidin-1-yl)-phenyl
592.	propyl	4-((S)-2-fluoropyrrolidin-1-yl)-phenyl
593.	propyl	4-((R)-2-fluoropyrrolidin-1-yl)-phenyl
594.	propyl	4-(3-fluoropyrrolidin-1-yl)-phenyl
595.	propyl	4-((S)-3-fluoropyrrolidin-1-yl)-phenyl
596.	propyl	4-((R)-3-fluoropyrrolidin-1-yl)-phenyl
597.	propyl	4-(2,2-difluoropyrrolidin-1-yl)-phenyl
598.	propyl	4-(3,3-difluoropyrrolidin-1-yl)-phenyl
599.	propyl	4-(2-methylpyrrolidin-1-yl)-phenyl
600.	propyl	4-((S)-2-methylpyrrolidin-1-yl)-phenyl
601.	propyl	4-((R)-2-methylpyrrolidin-1-yl)-phenyl
602.	propyl	4-(3-methylpyrrolidin-1-yl)-phenyl
603.	propyl	4-((S)-3-methylpyrrolidin-1-yl)-phenyl
604.	propyl	4-((R)-3-methylpyrrolidin-1-yl)-phenyl
605.	propyl	4-(1-methylpyrrolidin-2-yl)-phenyl
606.	propyl	4-((S)-1-methylpyrrolidin-2-yl)-phenyl
607.	propyl	4-((R)-1-methylpyrrolidin-2-yl)-phenyl
608.	propyl	4-(1-methylpyrrolidin-3-yl)-phenyl
609.	propyl	4-((S)-1-methylpyrrolidin-3-yl)-phenyl
610.	propyl	4-((R)-1-methylpyrrolidin-3-yl)-phenyl
611.	propyl	4-(2,2-dimethylpyrrolidin-1-yl)-phenyl
612.	propyl	4-(3,3-dimethylpyrrolidin-1-yl)-phenyl
613.	propyl	4-(2-trifluoromethylpyrrolidin-1-yl)-phenyl
614.	propyl	4-((S)-2-trifluoromethylpyrrolidin-1-yl)-phenyl

No.	R <sup>1</sup>	Ar
615.	propyl	4-((R)-2-trifluoromethylpyrrolidin-1-yl)-phenyl
616.	propyl	4-(3-trifluoromethylpyrrolidin-1-yl)-phenyl
617.	propyl	4-((S)-3-trifluoromethylpyrrolidin-1-yl)-phenyl
618.	propyl	4-((R)-3-trifluoromethylpyrrolidin-1-yl)-phenyl
619.	propyl	4-(2-oxopyrrolidin-1-yl)-phenyl
620.	propyl	4-(2-oxo-oxazolidin-3-yl)-phenyl
621.	propyl	4-(piperidin-1-yl)-phenyl
622.	propyl	4-(2-methylpiperidin-1-yl)-phenyl
623.	propyl	4-((S)-2-methylpiperidin-1-yl)-phenyl
624.	propyl	4-((R)-2-methylpiperidin-1-yl)-phenyl
625.	propyl	4-(piperazin-1-yl)-phenyl
626.	propyl	4-(4-methylpiperazin-1-yl)-phenyl
627.	propyl	4-(morpholin-4-yl)-phenyl
628.	propyl	4-(thiomorpholin-4-yl)-phenyl
629.	propyl	4-(1-oxo-thiomorpholin-4-yl)-phenyl
630.	propyl	4-(1,1-dioxo-thiomorpholin-4-yl)-phenyl
631.	propyl	4-(pyrrol-1-yl)-phenyl
632.	propyl	4-(pyrrol-2-yl)-phenyl
633.	propyl	4-(pyrrol-3-yl)-phenyl
634.	propyl	4-(1-methylpyrrol-2-yl)-phenyl
635.	propyl	4-(1-methylpyrrol-3-yl)-phenyl
636.	propyl	4-(furan-2-yl)-phenyl
637.	propyl	4-(furan-3-yl)-phenyl
638.	propyl	4-(thiophen-2-yl)-phenyl
639.	propyl	4-(thiophen-3-yl)-phenyl
640.	propyl	4-(5-propylthien-2-yl)-phenyl
641.	propyl	4-(pyrazol-1-yl)-phenyl
642.	propyl	4-(pyrazol-3-yl)-phenyl
643.	propyl	4-(pyrazol-4-yl)-phenyl
644.	propyl	4-(1-methyl-1H-pyrazol-4-yl)-phenyl
645.	propyl	4-(1-ethyl-1H-pyrazol-4-yl)-phenyl
646.	propyl	4-(1-methyl-1H-pyrazol-5-yl)-phenyl

No.	R <sup>1</sup>	Ar
647.	propyl	4-(1H-imidazol-2-yl)-phenyl
648.	propyl	4-(imidazol-1-yl)-phenyl
649.	propyl	4-(1-methylimidazol-2-yl)-phenyl
650.	propyl	4-(oxazol-2-yl)-phenyl
651.	propyl	4-(oxazol-4-yl)-phenyl
652.	propyl	4-(oxazol-5-yl)-phenyl
653.	propyl	4-(isoxazol-3-yl)-phenyl
654.	propyl	4-(isoxazol-4-yl)-phenyl
655.	propyl	4-(isoxazol-5-yl)-phenyl
656.	propyl	4-([1,2,3]-triazol-1-yl)-phenyl
657.	propyl	4-([1,2,4]-triazol-1-yl)-phenyl
658.	propyl	4-([1,2,3]-triazol-2-yl)-phenyl
659.	propyl	4-(4H-[1,2,4]-triazol-3-yl)-phenyl
660.	propyl	4-([1,2,4]-triazol-4-yl)-phenyl
661.	propyl	4-(2H-[1,2,3]-triazol-4-yl)-phenyl
662.	propyl	4-(4-methyl-4H-[1,2,4]-triazol-3-yl)-phenyl
663.	propyl	4-(2-methyl-2H-[1,2,3]-triazol-4-yl)-phenyl
664.	propyl	4-([1,3,4]-oxadiazol-2-yl)-phenyl
665.	propyl	4-([1,2,4]-oxadiazol-3-yl)-phenyl
666.	propyl	4-([1,2,4]-oxadiazol-5-yl)-phenyl
667.	propyl	4-([1,2,3]-oxadiazol-4-yl)-phenyl
668.	propyl	4-([1,2,3]-oxadiazol-5-yl)-phenyl
669.	propyl	4-([1,2,3]-thiadiazol-4-yl)-phenyl
670.	propyl	4-(1H-tetrazol-5-yl)-phenyl
671.	propyl	4-(tetrazol-1-yl)-phenyl
672.	propyl	4-(2-methyl-2H-tetrazol-5-yl)-phenyl
673.	propyl	4-(1-methyl-1H-tetrazol-5-yl)-phenyl
674.	propyl	4-furazan-3-yl-phenyl
675.	propyl	4-(pyrid-2-yl)-phenyl
676.	propyl	4-(pyrid-3-yl)-phenyl
677.	propyl	4-(pyrid-4-yl)-phenyl
678.	propyl	4-(pyrimidin-2-yl)-phenyl

No.	R <sup>1</sup>	Ar
679.	propyl	4-(pyrimidin-4-yl)-phenyl
680.	propyl	4-(pyrimidin-5-yl)-phenyl
681.	propyl	5-isopropylthiophen-2-yl
682.	propyl	2-chlorothiophen-5-yl
683.	propyl	2,5-dichlorothiophen-4-yl
684.	propyl	2,3-dichlorothiophen-5-yl
685.	propyl	2-chloro-3-nitrothiophen-5-yl
686.	propyl	2-(phenylsulfonyl)-thiophen-5-yl
687.	propyl	2-(pyridin-2-yl)thiophen-5-yl
688.	propyl	2-(5-(trifluoromethyl)isoxazol-3-yl)-thiophen-5-yl
689.	propyl	2-(2-methylthiazol-4-yl)-thiophen-5-yl
690.	propyl	1-methyl-1H-imidazol-4-yl
691.	propyl	1,2-dimethyl-1H-imidazol-4-yl
692.	propyl	3,5-dimethylisoxazol-4-yl
693.	propyl	thiazol-2-yl
694.	propyl	4-methylthiazol-2-yl
695.	propyl	4-isopropylthiazol-2-yl
696.	propyl	4-trifluoromethylthiazol-2-yl
697.	propyl	5-methylthiazol-2-yl
698.	propyl	5-isopropylthiazol-2-yl
699.	propyl	5-trifluoromethylthiazol-2-yl
700.	propyl	2,4-dimethylthiazol-5-yl
701.	propyl	2-acetamido-4-methylthiazol-5-yl
702.	propyl	4H-[1,2,4]triazol-3-yl
703.	propyl	5-methyl-4H-[1,2,4]triazol-3-yl
704.	propyl	4-methyl-4H-[1,2,4]triazol-3-yl
705.	propyl	5-isopropyl-4H-[1,2,4]triazol-3-yl
706.	propyl	5-trifluoromethyl-4H-[1,2,4]triazol-3-yl
707.	propyl	4,5-dimethyl-4H-[1,2,4]triazol-3-yl
708.	propyl	5-isopropyl-4-methyl-4H-[1,2,4]triazol-3-yl
709.	propyl	5-trifluoromethyl-4-methyl-4H-[1,2,4]triazol-3-

No.	R <sup>1</sup>	Ar
		yl
710.	propyl	[1,3,4]thiadiazol-2-yl
711.	propyl	5-methyl-[1,3,4]thiadiazol-2-yl
712.	propyl	5-isopropyl-[1,3,4]thiadiazol-2-yl
713.	propyl	5-trifluoromethyl-[1,3,4]thiadiazol-2-yl
714.	propyl	3-bromo-2-chloropyrid-5-yl
715.	propyl	2-(4-morpholino)-pyrid-5-yl
716.	propyl	2-phenoxyypyrid-5-yl
717.	propyl	(2-isopropyl)-pyrimidin-5-yl
718.	propyl	(5-isopropyl)-pyrimidin-2-yl
719.	propyl	8-quinolyl
720.	propyl	5-isoquinolyl
721.	propyl	2-(trifluoroacetyl)-1,2,3,4-tetrahydroisoquinolin-7-yl
722.	propyl	5-chloro-3-methylbenzothiophen-2-yl
723.	propyl	3,4-dihydro-4-methyl-2H-benzo[b][1,4]oxazinyl
724.	propyl	benzothiazol-6-yl
725.	propyl	benzo[2,1,3]oxadiazol-4-yl
726.	propyl	5-chlorobenzo[2,1,3]oxadiazol-4-yl
727.	propyl	7-chlorobenzo[2,1,3]oxadiazol-4-yl
728.	propyl	benzo[2,1,3]thiadiazol-4-yl
729.	propyl	6-chloroimidazo[2,1-b]thiazolyl
730.	3-fluoropropyl	4-methylphenyl
731.	3-fluoropropyl	4-ethylphenyl
732.	3-fluoropropyl	4-propylphenyl
733.	3-fluoropropyl	4-isopropylphenyl
734.	3-fluoropropyl	4-sec-butylphenyl
735.	3-fluoropropyl	4-isobutylphenyl
736.	3-fluoropropyl	4-(1,1-dimethylpropyl)-phenyl
737.	3-fluoropropyl	4-vinylphenyl
738.	3-fluoropropyl	4-isopropenylphenyl
739.	3-fluoropropyl	4-fluorophenyl

No.	R <sup>1</sup>	Ar
740.	3-fluoropropyl	4-chlorophenyl
741.	3-fluoropropyl	4-bromophenyl
742.	3-fluoropropyl	4-(fluoromethyl)phenyl
743.	3-fluoropropyl	3-(fluoromethyl)phenyl
744.	3-fluoropropyl	2-(fluoromethyl)phenyl
745.	3-fluoropropyl	4-(difluoromethyl)phenyl
746.	3-fluoropropyl	3-(difluoromethyl)phenyl
747.	3-fluoropropyl	2-(difluoromethyl)phenyl
748.	3-fluoropropyl	4-(trifluoromethyl)phenyl
749.	3-fluoropropyl	3-(trifluoromethyl)phenyl
750.	3-fluoropropyl	2-(trifluoromethyl)phenyl
751.	3-fluoropropyl	4-(1-fluoroethyl)-phenyl
752.	3-fluoropropyl	4-((S)-1-fluoroethyl)-phenyl
753.	3-fluoropropyl	4-((R)-1-fluoroethyl)-phenyl
754.	3-fluoropropyl	4-(2-fluoroethyl)-phenyl
755.	3-fluoropropyl	4-(1,1-difluoroethyl)-phenyl
756.	3-fluoropropyl	4-(2,2-difluoroethyl)-phenyl
757.	3-fluoropropyl	4-(2,2,2-trifluoroethyl)-phenyl
758.	3-fluoropropyl	4-(3-fluoropropyl)-phenyl
759.	3-fluoropropyl	4-(2-fluoropropyl)-phenyl
760.	3-fluoropropyl	4-((S)-2-fluoropropyl)-phenyl
761.	3-fluoropropyl	4-((R)-2-fluoropropyl)-phenyl
762.	3-fluoropropyl	4-(3,3-difluoropropyl)-phenyl
763.	3-fluoropropyl	4-(3,3,3-trifluoropropyl)-phenyl
764.	3-fluoropropyl	4-(1-fluoro-1-methylethyl)-phenyl
765.	3-fluoropropyl	4-(2-fluoro-1-methylethyl)-phenyl
766.	3-fluoropropyl	4-((S)-2-fluoro-1-methylethyl)-phenyl
767.	3-fluoropropyl	4-((R)-2-fluoro-1-methylethyl)-phenyl
768.	3-fluoropropyl	4-(2,2-difluoro-1-methylethyl)-phenyl
769.	3-fluoropropyl	4-((S)-2,2-difluoro-1-methylethyl)-phenyl
770.	3-fluoropropyl	4-((R)-2,2-difluoro-1-methylethyl)-phenyl
771.	3-fluoropropyl	4-(2,2,2-trifluoro-1-methylethyl)-phenyl

No.	R <sup>1</sup>	Ar
772.	3-fluoropropyl	4-((S)-2,2,2-trifluoro-1-methylethyl)-phenyl
773.	3-fluoropropyl	4-((R)-2,2,2-trifluoro-1-methylethyl)-phenyl
774.	3-fluoropropyl	4-(2-fluoro-1-fluoromethylethyl)-phenyl
775.	3-fluoropropyl	4-(1-difluoromethyl-2,2-difluoroethyl)-phenyl
776.	3-fluoropropyl	4-(1,1-dimethyl-2-fluoroethyl)-phenyl
777.	3-fluoropropyl	4-methoxyphenyl
778.	3-fluoropropyl	4-ethoxyphenyl
779.	3-fluoropropyl	4-propoxyphenyl
780.	3-fluoropropyl	4-isopropoxyphenyl
781.	3-fluoropropyl	4-butoxyphenyl
782.	3-fluoropropyl	4-(fluoromethoxy)-phenyl
783.	3-fluoropropyl	4-(difluoromethoxy)-phenyl
784.	3-fluoropropyl	4-(trifluoromethoxy)-phenyl
785.	3-fluoropropyl	3-(trifluoromethoxy)-phenyl
786.	3-fluoropropyl	4-(2-fluoroethoxy)-phenyl
787.	3-fluoropropyl	4-(2,2-difluoroethoxy)-phenyl
788.	3-fluoropropyl	4-(2,2,2-trifluoroethoxy)-phenyl
789.	3-fluoropropyl	4-(1,1,2,2-tetrafluoroethoxy)-phenyl
790.	3-fluoropropyl	4-cyclopropylphenyl
791.	3-fluoropropyl	4-cyclobutylphenyl
792.	3-fluoropropyl	4-cyclopentylphenyl
793.	3-fluoropropyl	4-(2,2-difluorocyclopropyl)-phenyl
794.	3-fluoropropyl	3,4-difluorophenyl
795.	3-fluoropropyl	4-bromo-3-fluorophenyl
796.	3-fluoropropyl	4-bromo-2-fluorophenyl
797.	3-fluoropropyl	4-bromo-2,5-difluorophenyl
798.	3-fluoropropyl	2-fluoro-4-isopropylphenyl
799.	3-fluoropropyl	3-fluoro-4-isopropylphenyl
800.	3-fluoropropyl	4-(1-hydroxy-1-methylethyl)-phenyl
801.	3-fluoropropyl	4-(2-hydroxy-2-methylpropyl)-phenyl
802.	3-fluoropropyl	4-acetylphenyl
803.	3-fluoropropyl	4-carboxyphenyl

No.	R <sup>1</sup>	Ar
804.	3-fluoropropyl	4-cyanophenyl
805.	3-fluoropropyl	4-hydroxyphenyl
806.	3-fluoropropyl	4-(O-benzyl)-phenyl
807.	3-fluoropropyl	4-(2-methoxyethoxy)-phenyl
808.	3-fluoropropyl	4-(CH <sub>2</sub> -N(CH <sub>3</sub> ) <sub>2</sub> )-phenyl
809.	3-fluoropropyl	4-(NH-CO-NH <sub>2</sub> )-phenyl
810.	3-fluoropropyl	4-(methylsulfanyl)-phenyl
811.	3-fluoropropyl	4-(fluoromethylsulfanyl)-phenyl
812.	3-fluoropropyl	4-(difluoromethylsulfanyl)-phenyl
813.	3-fluoropropyl	4-(trifluoromethylsulfanyl)-phenyl
814.	3-fluoropropyl	4-(methylsulfonyl)-phenyl
815.	3-fluoropropyl	4-(N-methoxy-N-methyl-amino)-phenyl
816.	3-fluoropropyl	4-(methoxyamino)-phenyl
817.	3-fluoropropyl	4-(ethoxyamino)-phenyl
818.	3-fluoropropyl	4-(N-methylaminoxy)-phenyl
819.	3-fluoropropyl	4-(N,N-dimethylaminoxy)-phenyl
820.	3-fluoropropyl	4-(azetidin-1-yl)-phenyl
821.	3-fluoropropyl	4-(2-methylazetidin-1-yl)-phenyl
822.	3-fluoropropyl	4-((S)-2-methylazetidin-1-yl)-phenyl
823.	3-fluoropropyl	4-((R)-2-methylazetidin-1-yl)-phenyl
824.	3-fluoropropyl	4-(3-fluoroazetidin-1-yl)-phenyl
825.	3-fluoropropyl	4-(3-methoxyazetidin-1-yl)-phenyl
826.	3-fluoropropyl	4-(3-hydroxyazetidin-1-yl)-phenyl
827.	3-fluoropropyl	4-(pyrrolidin-1-yl)-phenyl
828.	3-fluoropropyl	4-(pyrrolidin-2-yl)-phenyl
829.	3-fluoropropyl	4-((S)-pyrrolidin-2-yl)-phenyl
830.	3-fluoropropyl	4-((R)-pyrrolidin-2-yl)-phenyl
831.	3-fluoropropyl	4-(pyrrolidin-3-yl)-phenyl
832.	3-fluoropropyl	4-((S)-pyrrolidin-3-yl)-phenyl
833.	3-fluoropropyl	4-((R)-pyrrolidin-3-yl)-phenyl
834.	3-fluoropropyl	4-(2-fluoropyrrolidin-1-yl)-phenyl
835.	3-fluoropropyl	4-((S)-2-fluoropyrrolidin-1-yl)-phenyl

No.	R <sup>1</sup>	Ar
836.	3-fluoropropyl	4-((R)-2-fluoropyrrolidin-1-yl)-phenyl
837.	3-fluoropropyl	4-(3-fluoropyrrolidin-1-yl)-phenyl
838.	3-fluoropropyl	4-((S)-3-fluoropyrrolidin-1-yl)-phenyl
839.	3-fluoropropyl	4-((R)-3-fluoropyrrolidin-1-yl)-phenyl
840.	3-fluoropropyl	4-(2,2-difluoropyrrolidin-1-yl)-phenyl
841.	3-fluoropropyl	4-(3,3-difluoropyrrolidin-1-yl)-phenyl
842.	3-fluoropropyl	4-(2-methylpyrrolidin-1-yl)-phenyl
843.	3-fluoropropyl	4-((S)-2-methylpyrrolidin-1-yl)-phenyl
844.	3-fluoropropyl	4-((R)-2-methylpyrrolidin-1-yl)-phenyl
845.	3-fluoropropyl	4-(3-methylpyrrolidin-1-yl)-phenyl
846.	3-fluoropropyl	4-((S)-3-methylpyrrolidin-1-yl)-phenyl
847.	3-fluoropropyl	4-((R)-3-methylpyrrolidin-1-yl)-phenyl
848.	3-fluoropropyl	4-(1-methylpyrrolidin-2-yl)-phenyl
849.	3-fluoropropyl	4-((S)-1-methylpyrrolidin-2-yl)-phenyl
850.	3-fluoropropyl	4-((R)-1-methylpyrrolidin-2-yl)-phenyl
851.	3-fluoropropyl	4-(1-methylpyrrolidin-3-yl)-phenyl
852.	3-fluoropropyl	4-((S)-1-methylpyrrolidin-3-yl)-phenyl
853.	3-fluoropropyl	4-((R)-1-methylpyrrolidin-3-yl)-phenyl
854.	3-fluoropropyl	4-(2,2-dimethylpyrrolidin-1-yl)-phenyl
855.	3-fluoropropyl	4-(3,3-dimethylpyrrolidin-1-yl)-phenyl
856.	3-fluoropropyl	4-(2-trifluoromethylpyrrolidin-1-yl)-phenyl
857.	3-fluoropropyl	4-((S)-2-trifluoromethylpyrrolidin-1-yl)-phenyl
858.	3-fluoropropyl	4-((R)-2-trifluoromethylpyrrolidin-1-yl)-phenyl
859.	3-fluoropropyl	4-(3-trifluoromethylpyrrolidin-1-yl)-phenyl
860.	3-fluoropropyl	4-((S)-3-trifluoromethylpyrrolidin-1-yl)-phenyl
861.	3-fluoropropyl	4-((R)-3-trifluoromethylpyrrolidin-1-yl)-phenyl
862.	3-fluoropropyl	4-(2-oxopyrrolidin-1-yl)-phenyl
863.	3-fluoropropyl	4-(2-oxo-oxazolidin-3-yl)-phenyl
864.	3-fluoropropyl	4-(piperidin-1-yl)-phenyl
865.	3-fluoropropyl	4-(2-methylpiperidin-1-yl)-phenyl
866.	3-fluoropropyl	4-((S)-2-methylpiperidin-1-yl)-phenyl
867.	3-fluoropropyl	4-((R)-2-methylpiperidin-1-yl)-phenyl

No.	R <sup>1</sup>	Ar
868.	3-fluoropropyl	4-(piperazin-1-yl)-phenyl
869.	3-fluoropropyl	4-(4-methylpiperazin-1-yl)-phenyl
870.	3-fluoropropyl	4-(morpholin-4-yl)-phenyl
871.	3-fluoropropyl	4-(thiomorpholin-4-yl)-phenyl
872.	3-fluoropropyl	4-(1-oxo-thiomorpholin-4-yl)-phenyl
873.	3-fluoropropyl	4-(1,1-dioxo-thiomorpholin-4-yl)-phenyl
874.	3-fluoropropyl	4-(pyrrol-1-yl)-phenyl
875.	3-fluoropropyl	4-(pyrrol-2-yl)-phenyl
876.	3-fluoropropyl	4-(pyrrol-3-yl)-phenyl
877.	3-fluoropropyl	4-(1-methylpyrrol-2-yl)-phenyl
878.	3-fluoropropyl	4-(1-methylpyrrol-3-yl)-phenyl
879.	3-fluoropropyl	4-(furan-2-yl)-phenyl
880.	3-fluoropropyl	4-(furan-3-yl)-phenyl
881.	3-fluoropropyl	4-(thiophen-2-yl)-phenyl
882.	3-fluoropropyl	4-(thiophen-3-yl)-phenyl
883.	3-fluoropropyl	4-(5-propylthien-2-yl)-phenyl
884.	3-fluoropropyl	4-(pyrazol-1-yl)-phenyl
885.	3-fluoropropyl	4-(pyrazol-3-yl)-phenyl
886.	3-fluoropropyl	4-(pyrazol-4-yl)-phenyl
887.	3-fluoropropyl	4-(1-methyl-1H-pyrazol-4-yl)-phenyl
888.	3-fluoropropyl	4-(1-ethyl-1H-pyrazol-4-yl)-phenyl
889.	3-fluoropropyl	4-(1-methyl-1H-pyrazol-5-yl)-phenyl
890.	3-fluoropropyl	4-(1H-imidazol-2-yl)-phenyl
891.	3-fluoropropyl	4-(imidazol-1-yl)-phenyl
892.	3-fluoropropyl	4-(1-methylimidazol-2-yl)-phenyl
893.	3-fluoropropyl	4-(oxazol-2-yl)-phenyl
894.	3-fluoropropyl	4-(oxazol-4-yl)-phenyl
895.	3-fluoropropyl	4-(oxazol-5-yl)-phenyl
896.	3-fluoropropyl	4-(isoxazol-3-yl)-phenyl
897.	3-fluoropropyl	4-(isoxazol-4-yl)-phenyl
898.	3-fluoropropyl	4-(isoxazol-5-yl)-phenyl
899.	3-fluoropropyl	4-([1,2,3]-triazol-1-yl)-phenyl

No.	R <sup>1</sup>	Ar
900.	3-fluoropropyl	4-([1,2,4]-triazol-1-yl)-phenyl
901.	3-fluoropropyl	4-([1,2,3]-triazol-2-yl)-phenyl
902.	3-fluoropropyl	4-(4H-[1,2,4]-triazol-3-yl)-phenyl
903.	3-fluoropropyl	4-([1,2,4]-triazol-4-yl)-phenyl
904.	3-fluoropropyl	4-(2H-[1,2,3]-triazol-4-yl)-phenyl
905.	3-fluoropropyl	4-(4-methyl-4H-[1,2,4]-triazol-3-yl)-phenyl
906.	3-fluoropropyl	4-(2-methyl-2H-[1,2,3]-triazol-4-yl)-phenyl
907.	3-fluoropropyl	4-([1,3,4]-oxadiazol-2-yl)-phenyl
908.	3-fluoropropyl	4-([1,2,4]-oxadiazol-3-yl)-phenyl
909.	3-fluoropropyl	4-([1,2,4]-oxadiazol-5-yl)-phenyl
910.	3-fluoropropyl	4-([1,2,3]-oxadiazol-4-yl)-phenyl
911.	3-fluoropropyl	4-([1,2,3]-oxadiazol-5-yl)-phenyl
912.	3-fluoropropyl	4-([1,2,3]-thiadiazol-4-yl)-phenyl
913.	3-fluoropropyl	4-(1H-tetrazol-5-yl)-phenyl
914.	3-fluoropropyl	4-(tetrazol-1-yl)-phenyl
915.	3-fluoropropyl	4-(2-methyl-2H-tetrazol-5-yl)-phenyl
916.	3-fluoropropyl	4-(1-methyl-1H-tetrazol-5-yl)-phenyl
917.	3-fluoropropyl	4-furazan-3-yl-phenyl
918.	3-fluoropropyl	4-(pyrid-2-yl)-phenyl
919.	3-fluoropropyl	4-(pyrid-3-yl)-phenyl
920.	3-fluoropropyl	4-(pyrid-4-yl)-phenyl
921.	3-fluoropropyl	4-(pyrimidin-2-yl)-phenyl
922.	3-fluoropropyl	4-(pyrimidin-4-yl)-phenyl
923.	3-fluoropropyl	4-(pyrimidin-5-yl)-phenyl
924.	3-fluoropropyl	5-isopropylthiophen-2-yl
925.	3-fluoropropyl	2-chlorothiophen-5-yl
926.	3-fluoropropyl	2,5-dichlorothiophen-4-yl
927.	3-fluoropropyl	2,3-dichlorothiophen-5-yl
928.	3-fluoropropyl	2-chloro-3-nitrothiophen-5-yl
929.	3-fluoropropyl	2-(phenylsulfonyl)-thiophen-5-yl
930.	3-fluoropropyl	2-(pyridin-2-yl)thiophen-5-yl
931.	3-fluoropropyl	2-(5-(trifluoromethyl)isoxazol-3-yl)-thiophen-

No.	R <sup>1</sup>	Ar
		5-yl
932.	3-fluoropropyl	2-(2-methylthiazol-4-yl)-thiophen-5-yl
933.	3-fluoropropyl	1-methyl-1H-imidazol-4-yl
934.	3-fluoropropyl	1,2-dimethyl-1H-imidazol-4-yl
935.	3-fluoropropyl	3,5-dimethylisoxazol-4-yl
936.	3-fluoropropyl	thiazol-2-yl
937.	3-fluoropropyl	4-methylthiazol-2-yl
938.	3-fluoropropyl	4-isopropylthiazol-2-yl
939.	3-fluoropropyl	4-trifluoromethylthiazol-2-yl
940.	3-fluoropropyl	5-methylthiazol-2-yl
941.	3-fluoropropyl	5-isopropylthiazol-2-yl
942.	3-fluoropropyl	5-trifluoromethylthiazol-2-yl
943.	3-fluoropropyl	2,4-dimethylthiazol-5-yl
944.	3-fluoropropyl	2-acetamido-4-methylthiazol-5-yl
945.	3-fluoropropyl	4H-[1,2,4]triazol-3-yl
946.	3-fluoropropyl	5-methyl-4H-[1,2,4]triazol-3-yl
947.	3-fluoropropyl	4-methyl-4H-[1,2,4]triazol-3-yl
948.	3-fluoropropyl	5-isopropyl-4H-[1,2,4]triazol-3-yl
949.	3-fluoropropyl	5-trifluoromethyl-4H-[1,2,4]triazol-3-yl
950.	3-fluoropropyl	4,5-dimethyl-4H-[1,2,4]triazol-3-yl
951.	3-fluoropropyl	5-isopropyl-4-methyl-4H-[1,2,4]triazol-3-yl
952.	3-fluoropropyl	5-trifluoromethyl-4-methyl-4H-[1,2,4]triazol-3-yl
953.	3-fluoropropyl	[1,3,4]thiadiazol-2-yl
954.	3-fluoropropyl	5-methyl-[1,3,4]thiadiazol-2-yl
955.	3-fluoropropyl	5-isopropyl-[1,3,4]thiadiazol-2-yl
956.	3-fluoropropyl	5-trifluoromethyl-[1,3,4]thiadiazol-2-yl
957.	3-fluoropropyl	3-bromo-2-chloropyrid-5-yl
958.	3-fluoropropyl	2-(4-morpholino)-pyrid-5-yl
959.	3-fluoropropyl	2-phenoxy pyrid-5-yl
960.	3-fluoropropyl	(2-isopropyl)-pyrimidin-5-yl
961.	3-fluoropropyl	(5-isopropyl)-pyrimidin-2-yl

No.	R <sup>1</sup>	Ar
962.	3-fluoropropyl	8-quinolyl
963.	3-fluoropropyl	5-isoquinolyl
964.	3-fluoropropyl	2-(trifluoroacetyl)-1,2,3,4-tetrahydroisoquinolin-7-yl
965.	3-fluoropropyl	5-chloro-3-methylbenzothiophen-2-yl
966.	3-fluoropropyl	3,4-dihydro-4-methyl-2H-benzo[b][1,4]oxazinyl
967.	3-fluoropropyl	benzothiazol-6-yl
968.	3-fluoropropyl	benzo[2,1,3]oxadiazol-4-yl
969.	3-fluoropropyl	5-chlorobenzo[2,1,3]oxadiazol-4-yl
970.	3-fluoropropyl	7-chlorobenzo[2,1,3]oxadiazol-4-yl
971.	3-fluoropropyl	benzo[2,1,3]thiadiazol-4-yl
972.	3-fluoropropyl	6-chloroimidazo[2,1-b]thiazolyl
973.	2-fluoroethyl	4-methylphenyl
974.	2-fluoroethyl	4-ethylphenyl
975.	2-fluoroethyl	4-propylphenyl
976.	2-fluoroethyl	4-isopropylphenyl
977.	2-fluoroethyl	4-sec-butylphenyl
978.	2-fluoroethyl	4-isobutylphenyl
979.	2-fluoroethyl	4-(1,1-dimethylpropyl)-phenyl
980.	2-fluoroethyl	4-vinylphenyl
981.	2-fluoroethyl	4-isopropenylphenyl
982.	2-fluoroethyl	4-fluorophenyl
983.	2-fluoroethyl	4-chlorophenyl
984.	2-fluoroethyl	4-bromophenyl
985.	2-fluoroethyl	4-(fluoromethyl)phenyl
986.	2-fluoroethyl	3-(fluoromethyl)phenyl
987.	2-fluoroethyl	2-(fluoromethyl)phenyl
988.	2-fluoroethyl	4-(difluoromethyl)phenyl
989.	2-fluoroethyl	3-(difluoromethyl)phenyl
990.	2-fluoroethyl	2-(difluoromethyl)phenyl
991.	2-fluoroethyl	4-(trifluoromethyl)phenyl
992.	2-fluoroethyl	3-(trifluoromethyl)phenyl

No.	R <sup>1</sup>	Ar
993.	2-fluoroethyl	2-(trifluoromethyl)phenyl
994.	2-fluoroethyl	4-(1-fluoroethyl)-phenyl
995.	2-fluoroethyl	4-((S)-1-fluoroethyl)-phenyl
996.	2-fluoroethyl	4-((R)-1-fluoroethyl)-phenyl
997.	2-fluoroethyl	4-(2-fluoroethyl)-phenyl
998.	2-fluoroethyl	4-(1,1-difluoroethyl)-phenyl
999.	2-fluoroethyl	4-(2,2-difluoroethyl)-phenyl
1000.	2-fluoroethyl	4-(2,2,2-trifluoroethyl)-phenyl
1001.	2-fluoroethyl	4-(3-fluoropropyl)-phenyl
1002.	2-fluoroethyl	4-(2-fluoropropyl)-phenyl
1003.	2-fluoroethyl	4-((S)-2-fluoropropyl)-phenyl
1004.	2-fluoroethyl	4-((R)-2-fluoropropyl)-phenyl
1005.	2-fluoroethyl	4-(3,3-difluoropropyl)-phenyl
1006.	2-fluoroethyl	4-(3,3,3-trifluoropropyl)-phenyl
1007.	2-fluoroethyl	4-(1-fluoro-1-methylethyl)-phenyl
1008.	2-fluoroethyl	4-(2-fluoro-1-methylethyl)-phenyl
1009.	2-fluoroethyl	4-((S)-2-fluoro-1-methylethyl)-phenyl
1010.	2-fluoroethyl	4-((R)-2-fluoro-1-methylethyl)-phenyl
1011.	2-fluoroethyl	4-(2,2-difluoro-1-methylethyl)-phenyl
1012.	2-fluoroethyl	4-((S)-2,2-difluoro-1-methylethyl)-phenyl
1013.	2-fluoroethyl	4-((R)-2,2-difluoro-1-methylethyl)-phenyl
1014.	2-fluoroethyl	4-(2,2,2-trifluoro-1-methylethyl)-phenyl
1015.	2-fluoroethyl	4-((S)-2,2,2-trifluoro-1-methylethyl)-phenyl
1016.	2-fluoroethyl	4-((R)-2,2,2-trifluoro-1-methylethyl)-phenyl
1017.	2-fluoroethyl	4-(2-fluoro-1-fluoromethylethyl)-phenyl
1018.	2-fluoroethyl	4-(1-difluoromethyl-2,2-difluoroethyl)-phenyl
1019.	2-fluoroethyl	4-(1,1-dimethyl-2-fluoroethyl)-phenyl
1020.	2-fluoroethyl	4-methoxyphenyl
1021.	2-fluoroethyl	4-ethoxyphenyl
1022.	2-fluoroethyl	4-propoxyphenyl
1023.	2-fluoroethyl	4-isopropoxyphenyl
1024.	2-fluoroethyl	4-butoxyphenyl

No.	R <sup>1</sup>	Ar
1025.	2-fluoroethyl	4-(fluoromethoxy)-phenyl
1026.	2-fluoroethyl	4-(difluoromethoxy)-phenyl
1027.	2-fluoroethyl	4-(trifluoromethoxy)-phenyl
1028.	2-fluoroethyl	3-(trifluoromethoxy)-phenyl
1029.	2-fluoroethyl	4-(2-fluoroethoxy)-phenyl
1030.	2-fluoroethyl	4-(2,2-difluoroethoxy)-phenyl
1031.	2-fluoroethyl	4-(2,2,2-trifluoroethoxy)-phenyl
1032.	2-fluoroethyl	4-(1,1,2,2-tetrafluoroethoxy)-phenyl
1033.	2-fluoroethyl	4-cyclopropylphenyl
1034.	2-fluoroethyl	4-cyclobutylphenyl
1035.	2-fluoroethyl	4-cyclopentylphenyl
1036.	2-fluoroethyl	4-(2,2-difluorocyclopropyl)-phenyl
1037.	2-fluoroethyl	3,4-difluorophenyl
1038.	2-fluoroethyl	4-bromo-3-fluorophenyl
1039.	2-fluoroethyl	4-bromo-2-fluorophenyl
1040.	2-fluoroethyl	4-bromo-2,5-difluorophenyl
1041.	2-fluoroethyl	2-fluoro-4-isopropylphenyl
1042.	2-fluoroethyl	3-fluoro-4-isopropylphenyl
1043.	2-fluoroethyl	4-(1-hydroxy-1-methylethyl)-phenyl
1044.	2-fluoroethyl	4-(2-hydroxy-2-methylpropyl)-phenyl
1045.	2-fluoroethyl	4-acetylphenyl
1046.	2-fluoroethyl	4-carboxyphenyl
1047.	2-fluoroethyl	4-cyanophenyl
1048.	2-fluoroethyl	4-hydroxyphenyl
1049.	2-fluoroethyl	4-(O-benzyl)-phenyl
1050.	2-fluoroethyl	4-(2-methoxyethoxy)-phenyl
1051.	2-fluoroethyl	4-(CH <sub>2</sub> -N(CH <sub>3</sub> ) <sub>2</sub> )-phenyl
1052.	2-fluoroethyl	4-(NH-CO-NH <sub>2</sub> )-phenyl
1053.	2-fluoroethyl	4-(methylsulfanyl)-phenyl
1054.	2-fluoroethyl	4-(fluoromethylsulfanyl)-phenyl
1055.	2-fluoroethyl	4-(difluoromethylsulfanyl)-phenyl
1056.	2-fluoroethyl	4-(trifluoromethylsulfanyl)-phenyl

No.	R <sup>1</sup>	Ar
1057.	2-fluoroethyl	4-(methylsulfonyl)-phenyl
1058.	2-fluoroethyl	4-(N-methoxy-N-methyl-amino)-phenyl
1059.	2-fluoroethyl	4-(methoxyamino)-phenyl
1060.	2-fluoroethyl	4-(ethoxyamino)-phenyl
1061.	2-fluoroethyl	4-(N-methylaminoxy)-phenyl
1062.	2-fluoroethyl	4-(N,N-dimethylaminoxy)-phenyl
1063.	2-fluoroethyl	4-(azetidin-1-yl)-phenyl
1064.	2-fluoroethyl	4-(2-methylazetidin-1-yl)-phenyl
1065.	2-fluoroethyl	4-((S)-2-methylazetidin-1-yl)-phenyl
1066.	2-fluoroethyl	4-((R)-2-methylazetidin-1-yl)-phenyl
1067.	2-fluoroethyl	4-(3-fluoroazetidin-1-yl)-phenyl
1068.	2-fluoroethyl	4-(3-methoxyazetidin-1-yl)-phenyl
1069.	2-fluoroethyl	4-(3-hydroxyazetidin-1-yl)-phenyl
1070.	2-fluoroethyl	4-(pyrrolidin-1-yl)-phenyl
1071.	2-fluoroethyl	4-(pyrrolidin-2-yl)-phenyl
1072.	2-fluoroethyl	4-((S)-pyrrolidin-2-yl)-phenyl
1073.	2-fluoroethyl	4-((R)-pyrrolidin-2-yl)-phenyl
1074.	2-fluoroethyl	4-(pyrrolidin-3-yl)-phenyl
1075.	2-fluoroethyl	4-((S)-pyrrolidin-3-yl)-phenyl
1076.	2-fluoroethyl	4-((R)-pyrrolidin-3-yl)-phenyl
1077.	2-fluoroethyl	4-(2-fluoropyrrolidin-1-yl)-phenyl
1078.	2-fluoroethyl	4-((S)-2-fluoropyrrolidin-1-yl)-phenyl
1079.	2-fluoroethyl	4-((R)-2-fluoropyrrolidin-1-yl)-phenyl
1080.	2-fluoroethyl	4-(3-fluoropyrrolidin-1-yl)-phenyl
1081.	2-fluoroethyl	4-((S)-3-fluoropyrrolidin-1-yl)-phenyl
1082.	2-fluoroethyl	4-((R)-3-fluoropyrrolidin-1-yl)-phenyl
1083.	2-fluoroethyl	4-(2,2-difluoropyrrolidin-1-yl)-phenyl
1084.	2-fluoroethyl	4-(3,3-difluoropyrrolidin-1-yl)-phenyl
1085.	2-fluoroethyl	4-(2-methylpyrrolidin-1-yl)-phenyl
1086.	2-fluoroethyl	4-((S)-2-methylpyrrolidin-1-yl)-phenyl
1087.	2-fluoroethyl	4-((R)-2-methylpyrrolidin-1-yl)-phenyl
1088.	2-fluoroethyl	4-(3-methylpyrrolidin-1-yl)-phenyl

No.	R <sup>1</sup>	Ar
1089.	2-fluoroethyl	4-((S)-3-methylpyrrolidin-1-yl)-phenyl
1090.	2-fluoroethyl	4-((R)-3-methylpyrrolidin-1-yl)-phenyl
1091.	2-fluoroethyl	4-(1-methylpyrrolidin-2-yl)-phenyl
1092.	2-fluoroethyl	4-((S)-1-methylpyrrolidin-2-yl)-phenyl
1093.	2-fluoroethyl	4-((R)-1-methylpyrrolidin-2-yl)-phenyl
1094.	2-fluoroethyl	4-(1-methylpyrrolidin-3-yl)-phenyl
1095.	2-fluoroethyl	4-((S)-1-methylpyrrolidin-3-yl)-phenyl
1096.	2-fluoroethyl	4-((R)-1-methylpyrrolidin-3-yl)-phenyl
1097.	2-fluoroethyl	4-(2,2-dimethylpyrrolidin-1-yl)-phenyl
1098.	2-fluoroethyl	4-(3,3-dimethylpyrrolidin-1-yl)-phenyl
1099.	2-fluoroethyl	4-(2-trifluoromethylpyrrolidin-1-yl)-phenyl
1100.	2-fluoroethyl	4-((S)-2-trifluoromethylpyrrolidin-1-yl)-phenyl
1101.	2-fluoroethyl	4-((R)-2-trifluoromethylpyrrolidin-1-yl)-phenyl
1102.	2-fluoroethyl	4-(3-trifluoromethylpyrrolidin-1-yl)-phenyl
1103.	2-fluoroethyl	4-((S)-3-trifluoromethylpyrrolidin-1-yl)-phenyl
1104.	2-fluoroethyl	4-((R)-3-trifluoromethylpyrrolidin-1-yl)-phenyl
1105.	2-fluoroethyl	4-(2-oxopyrrolidin-1-yl)-phenyl
1106.	2-fluoroethyl	4-(2-oxo-oxazolidin-3-yl)-phenyl
1107.	2-fluoroethyl	4-(piperidin-1-yl)-phenyl
1108.	2-fluoroethyl	4-(2-methylpiperidin-1-yl)-phenyl
1109.	2-fluoroethyl	4-((S)-2-methylpiperidin-1-yl)-phenyl
1110.	2-fluoroethyl	4-((R)-2-methylpiperidin-1-yl)-phenyl
1111.	2-fluoroethyl	4-(piperazin-1-yl)-phenyl
1112.	2-fluoroethyl	4-(4-methylpiperazin-1-yl)-phenyl
1113.	2-fluoroethyl	4-(morpholin-4-yl)-phenyl
1114.	2-fluoroethyl	4-(thiomorpholin-4-yl)-phenyl
1115.	2-fluoroethyl	4-(1-oxo-thiomorpholin-4-yl)-phenyl
1116.	2-fluoroethyl	4-(1,1-dioxo-thiomorpholin-4-yl)-phenyl
1117.	2-fluoroethyl	4-(pyrrol-1-yl)-phenyl
1118.	2-fluoroethyl	4-(pyrrol-2-yl)-phenyl
1119.	2-fluoroethyl	4-(pyrrol-3-yl)-phenyl
1120.	2-fluoroethyl	4-(1-methylpyrrol-2-yl)-phenyl

No.	R <sup>1</sup>	Ar
1121.	2-fluoroethyl	4-(1-methylpyrrol-3-yl)-phenyl
1122.	2-fluoroethyl	4-(furan-2-yl)-phenyl
1123.	2-fluoroethyl	4-(furan-3-yl)-phenyl
1124.	2-fluoroethyl	4-(thiophen-2-yl)-phenyl
1125.	2-fluoroethyl	4-(thiophen-3-yl)-phenyl
1126.	2-fluoroethyl	4-(5-propylthien-2-yl)-phenyl
1127.	2-fluoroethyl	4-(pyrazol-1-yl)-phenyl
1128.	2-fluoroethyl	4-(pyrazol-3-yl)-phenyl
1129.	2-fluoroethyl	4-(pyrazol-4-yl)-phenyl
1130.	2-fluoroethyl	4-(1-methyl-1H-pyrazol-4-yl)-phenyl
1131.	2-fluoroethyl	4-(1-ethyl-1H-pyrazol-4-yl)-phenyl
1132.	2-fluoroethyl	4-(1-methyl-1H-pyrazol-5-yl)-phenyl
1133.	2-fluoroethyl	4-(1H-imidazol-2-yl)-phenyl
1134.	2-fluoroethyl	4-(imidazol-1-yl)-phenyl
1135.	2-fluoroethyl	4-(1-methylimidazol-2-yl)-phenyl
1136.	2-fluoroethyl	4-(oxazol-2-yl)-phenyl
1137.	2-fluoroethyl	4-(oxazol-4-yl)-phenyl
1138.	2-fluoroethyl	4-(oxazol-5-yl)-phenyl
1139.	2-fluoroethyl	4-(isoxazol-3-yl)-phenyl
1140.	2-fluoroethyl	4-(isoxazol-4-yl)-phenyl
1141.	2-fluoroethyl	4-(isoxazol-5-yl)-phenyl
1142.	2-fluoroethyl	4-([1,2,3]-triazol-1-yl)-phenyl
1143.	2-fluoroethyl	4-([1,2,4]-triazol-1-yl)-phenyl
1144.	2-fluoroethyl	4-([1,2,3]-triazol-2-yl)-phenyl
1145.	2-fluoroethyl	4-(4H-[1,2,4]-triazol-3-yl)-phenyl
1146.	2-fluoroethyl	4-([1,2,4]-triazol-4-yl)-phenyl
1147.	2-fluoroethyl	4-(2H-[1,2,3]-triazol-4-yl)-phenyl
1148.	2-fluoroethyl	4-(4-methyl-4H-[1,2,4]-triazol-3-yl)-phenyl
1149.	2-fluoroethyl	4-(2-methyl-2H-[1,2,3]-triazol-4-yl)-phenyl
1150.	2-fluoroethyl	4-([1,3,4]-oxadiazol-2-yl)-phenyl
1151.	2-fluoroethyl	4-([1,2,4]-oxadiazol-3-yl)-phenyl
1152.	2-fluoroethyl	4-([1,2,4]-oxadiazol-5-yl)-phenyl

No.	R <sup>1</sup>	Ar
1153.	2-fluoroethyl	4-([1,2,3]-oxadiazol-4-yl)-phenyl
1154.	2-fluoroethyl	4-([1,2,3]-oxadiazol-5-yl)-phenyl
1155.	2-fluoroethyl	4-([1,2,3]-thiadiazol-4-yl)-phenyl
1156.	2-fluoroethyl	4-(1H-tetrazol-5-yl)-phenyl
1157.	2-fluoroethyl	4-(tetrazol-1-yl)-phenyl
1158.	2-fluoroethyl	4-(2-methyl-2H-tetrazol-5-yl)-phenyl
1159.	2-fluoroethyl	4-(1-methyl-1H-tetrazol-5-yl)-phenyl
1160.	2-fluoroethyl	4-furazan-3-yl-phenyl
1161.	2-fluoroethyl	4-(pyrid-2-yl)-phenyl
1162.	2-fluoroethyl	4-(pyrid-3-yl)-phenyl
1163.	2-fluoroethyl	4-(pyrid-4-yl)-phenyl
1164.	2-fluoroethyl	4-(pyrimidin-2-yl)-phenyl
1165.	2-fluoroethyl	4-(pyrimidin-4-yl)-phenyl
1166.	2-fluoroethyl	4-(pyrimidin-5-yl)-phenyl
1167.	2-fluoroethyl	5-isopropylthiophen-2-yl
1168.	2-fluoroethyl	2-chlorothiophen-5-yl
1169.	2-fluoroethyl	2,5-dichlorothiophen-4-yl
1170.	2-fluoroethyl	2,3-dichlorothiophen-5-yl
1171.	2-fluoroethyl	2-chloro-3-nitrothiophen-5-yl
1172.	2-fluoroethyl	2-(phenylsulfonyl)-thiophen-5-yl
1173.	2-fluoroethyl	2-(pyridin-2-yl)thiophen-5-yl
1174.	2-fluoroethyl	2-(5-(trifluoromethyl)isoxazol-3-yl)-thiophen-5-yl
1175.	2-fluoroethyl	2-(2-methylthiazol-4-yl)-thiophen-5-yl
1176.	2-fluoroethyl	1-methyl-1H-imidazol-4-yl
1177.	2-fluoroethyl	1,2-dimethyl-1H-imidazol-4-yl
1178.	2-fluoroethyl	3,5-dimethylisoxazol-4-yl
1179.	2-fluoroethyl	thiazol-2-yl
1180.	2-fluoroethyl	4-methylthiazol-2-yl
1181.	2-fluoroethyl	4-isopropylthiazol-2-yl
1182.	2-fluoroethyl	4-trifluoromethylthiazol-2-yl
1183.	2-fluoroethyl	5-methylthiazol-2-yl

No.	R <sup>1</sup>	Ar
1184.	2-fluoroethyl	5-isopropylthiazol-2-yl
1185.	2-fluoroethyl	5-trifluoromethylthiazol-2-yl
1186.	2-fluoroethyl	2,4-dimethylthiazol-5-yl
1187.	2-fluoroethyl	2-acetamido-4-methylthiazol-5-yl
1188.	2-fluoroethyl	4H-[1,2,4]triazol-3-yl
1189.	2-fluoroethyl	5-methyl-4H-[1,2,4]triazol-3-yl
1190.	2-fluoroethyl	4-methyl-4H-[1,2,4]triazol-3-yl
1191.	2-fluoroethyl	5-isopropyl-4H-[1,2,4]triazol-3-yl
1192.	2-fluoroethyl	5-trifluoromethyl-4H-[1,2,4]triazol-3-yl
1193.	2-fluoroethyl	4,5-dimethyl-4H-[1,2,4]triazol-3-yl
1194.	2-fluoroethyl	5-isopropyl-4-methyl-4H-[1,2,4]triazol-3-yl
1195.	2-fluoroethyl	5-trifluoromethyl-4-methyl-4H-[1,2,4]triazol-3-yl
1196.	2-fluoroethyl	[1,3,4]thiadiazol-2-yl
1197.	2-fluoroethyl	5-methyl-[1,3,4]thiadiazol-2-yl
1198.	2-fluoroethyl	5-isopropyl-[1,3,4]thiadiazol-2-yl
1199.	2-fluoroethyl	5-trifluoromethyl-[1,3,4]thiadiazol-2-yl
1200.	2-fluoroethyl	3-bromo-2-chloropyrid-5-yl
1201.	2-fluoroethyl	2-(4-morpholino)-pyrid-5-yl
1202.	2-fluoroethyl	2-phenoxypyrid-5-yl
1203.	2-fluoroethyl	(2-isopropyl)-pyrimidin-5-yl
1204.	2-fluoroethyl	(5-isopropyl)-pyrimidin-2-yl
1205.	2-fluoroethyl	8-quinolyl
1206.	2-fluoroethyl	5-isoquinolyl
1207.	2-fluoroethyl	2-(trifluoroacetyl)-1,2,3,4-tetrahydroisoquinolin-7-yl
1208.	2-fluoroethyl	5-chloro-3-methylbenzothiophen-2-yl
1209.	2-fluoroethyl	3,4-dihydro-4-methyl-2H-benzo[b][1,4]oxazinyl
1210.	2-fluoroethyl	benzothiazol-6-yl
1211.	2-fluoroethyl	benzo[2,1,3]oxadiazol-4-yl
1212.	2-fluoroethyl	5-chlorobenzo[2,1,3]oxadiazol-4-yl
1213.	2-fluoroethyl	7-chlorobenzo[2,1,3]oxadiazol-4-yl

No.	R <sup>1</sup>	Ar
1214.	2-fluoroethyl	benzo[2,1,3]thiadiazol-4-yl
1215.	2-fluoroethyl	6-chloroimidazo[2,1-b]thiazolyl
1216.	cyclopropylmethyl	4-methylphenyl
1217.	cyclopropylmethyl	4-ethylphenyl
1218.	cyclopropylmethyl	4-propylphenyl
1219.	cyclopropylmethyl	4-isopropylphenyl
1220.	cyclopropylmethyl	4-sec-butylphenyl
1221.	cyclopropylmethyl	4-isobutylphenyl
1222.	cyclopropylmethyl	4-(1,1-dimethylpropyl)-phenyl
1223.	cyclopropylmethyl	4-vinylphenyl
1224.	cyclopropylmethyl	4-isopropenylphenyl
1225.	cyclopropylmethyl	4-fluorophenyl
1226.	cyclopropylmethyl	4-chlorophenyl
1227.	cyclopropylmethyl	4-bromophenyl
1228.	cyclopropylmethyl	4-(fluoromethyl)phenyl
1229.	cyclopropylmethyl	3-(fluoromethyl)phenyl
1230.	cyclopropylmethyl	2-(fluoromethyl)phenyl
1231.	cyclopropylmethyl	4-(difluoromethyl)phenyl
1232.	cyclopropylmethyl	3-(difluoromethyl)phenyl
1233.	cyclopropylmethyl	2-(difluoromethyl)phenyl
1234.	cyclopropylmethyl	4-(trifluoromethyl)phenyl
1235.	cyclopropylmethyl	3-(trifluoromethyl)phenyl
1236.	cyclopropylmethyl	2-(trifluoromethyl)phenyl
1237.	cyclopropylmethyl	4-(1-fluoroethyl)-phenyl
1238.	cyclopropylmethyl	4-((S)-1-fluoroethyl)-phenyl
1239.	cyclopropylmethyl	4-((R)-1-fluoroethyl)-phenyl
1240.	cyclopropylmethyl	4-(2-fluoroethyl)-phenyl
1241.	cyclopropylmethyl	4-(1,1-difluoroethyl)-phenyl
1242.	cyclopropylmethyl	4-(2,2-difluoroethyl)-phenyl
1243.	cyclopropylmethyl	4-(2,2,2-trifluoroethyl)-phenyl
1244.	cyclopropylmethyl	4-(3-fluoropropyl)-phenyl
1245.	cyclopropylmethyl	4-(2-fluoropropyl)-phenyl

No.	R <sup>1</sup>	Ar
1246.	cyclopropylmethyl	4-((S)-2-fluoropropyl)-phenyl
1247.	cyclopropylmethyl	4-((R)-2-fluoropropyl)-phenyl
1248.	cyclopropylmethyl	4-(3,3-difluoropropyl)-phenyl
1249.	cyclopropylmethyl	4-(3,3,3-trifluoropropyl)-phenyl
1250.	cyclopropylmethyl	4-(1-fluoro-1-methylethyl)-phenyl
1251.	cyclopropylmethyl	4-(2-fluoro-1-methylethyl)-phenyl
1252.	cyclopropylmethyl	4-((S)-2-fluoro-1-methylethyl)-phenyl
1253.	cyclopropylmethyl	4-((R)-2-fluoro-1-methylethyl)-phenyl
1254.	cyclopropylmethyl	4-(2,2-difluoro-1-methylethyl)-phenyl
1255.	cyclopropylmethyl	4-((S)-2,2-difluoro-1-methylethyl)-phenyl
1256.	cyclopropylmethyl	4-((R)-2,2-difluoro-1-methylethyl)-phenyl
1257.	cyclopropylmethyl	4-(2,2,2-trifluoro-1-methylethyl)-phenyl
1258.	cyclopropylmethyl	4-((S)-2,2,2-trifluoro-1-methylethyl)-phenyl
1259.	cyclopropylmethyl	4-((R)-2,2,2-trifluoro-1-methylethyl)-phenyl
1260.	cyclopropylmethyl	4-(2-fluoro-1-fluoromethylethyl)-phenyl
1261.	cyclopropylmethyl	4-(1-difluoromethyl-2,2-difluoroethyl)-phenyl
1262.	cyclopropylmethyl	4-(1,1-dimethyl-2-fluoroethyl)-phenyl
1263.	cyclopropylmethyl	4-methoxyphenyl
1264.	cyclopropylmethyl	4-ethoxyphenyl
1265.	cyclopropylmethyl	4-propoxyphenyl
1266.	cyclopropylmethyl	4-isopropoxyphenyl
1267.	cyclopropylmethyl	4-butoxyphenyl
1268.	cyclopropylmethyl	4-(fluoromethoxy)-phenyl
1269.	cyclopropylmethyl	4-(difluoromethoxy)-phenyl
1270.	cyclopropylmethyl	4-(trifluoromethoxy)-phenyl
1271.	cyclopropylmethyl	3-(trifluoromethoxy)-phenyl
1272.	cyclopropylmethyl	4-(2-fluoroethoxy)-phenyl
1273.	cyclopropylmethyl	4-(2,2-difluoroethoxy)-phenyl
1274.	cyclopropylmethyl	4-(2,2,2-trifluoroethoxy)-phenyl
1275.	cyclopropylmethyl	4-(1,1,2,2-tetrafluoroethoxy)-phenyl
1276.	cyclopropylmethyl	4-cyclopropylphenyl
1277.	cyclopropylmethyl	4-cyclobutylphenyl

No.	R <sup>1</sup>	Ar
1278.	cyclopropylmethyl	4-cyclopentylphenyl
1279.	cyclopropylmethyl	4-(2,2-difluorocyclopropyl)-phenyl
1280.	cyclopropylmethyl	3,4-difluorophenyl
1281.	cyclopropylmethyl	4-bromo-3-fluorophenyl
1282.	cyclopropylmethyl	4-bromo-2-fluorophenyl
1283.	cyclopropylmethyl	4-bromo-2,5-difluorophenyl
1284.	cyclopropylmethyl	2-fluoro-4-isopropylphenyl
1285.	cyclopropylmethyl	3-fluoro-4-isopropylphenyl
1286.	cyclopropylmethyl	4-(1-hydroxy-1-methylethyl)-phenyl
1287.	cyclopropylmethyl	4-(2-hydroxy-2-methylpropyl)-phenyl
1288.	cyclopropylmethyl	4-acetylphenyl
1289.	cyclopropylmethyl	4-carboxyphenyl
1290.	cyclopropylmethyl	4-cyanophenyl
1291.	cyclopropylmethyl	4-hydroxyphenyl
1292.	cyclopropylmethyl	4-(O-benzyl)-phenyl
1293.	cyclopropylmethyl	4-(2-methoxyethoxy)-phenyl
1294.	cyclopropylmethyl	4-(CH <sub>2</sub> -N(CH <sub>3</sub> ) <sub>2</sub> )-phenyl
1295.	cyclopropylmethyl	4-(NH-CO-NH <sub>2</sub> )-phenyl
1296.	cyclopropylmethyl	4-(methylsulfanyl)-phenyl
1297.	cyclopropylmethyl	4-(fluoromethylsulfanyl)-phenyl
1298.	cyclopropylmethyl	4-(difluoromethylsulfanyl)-phenyl
1299.	cyclopropylmethyl	4-(trifluoromethylsulfanyl)-phenyl
1300.	cyclopropylmethyl	4-(methylsulfonyl)-phenyl
1301.	cyclopropylmethyl	4-(N-methoxy-N-methyl-amino)-phenyl
1302.	cyclopropylmethyl	4-(methoxyamino)-phenyl
1303.	cyclopropylmethyl	4-(ethoxyamino)-phenyl
1304.	cyclopropylmethyl	4-(N-methylaminoxy)-phenyl
1305.	cyclopropylmethyl	4-(N,N-dimethylaminoxy)-phenyl
1306.	cyclopropylmethyl	4-(azetidin-1-yl)-phenyl
1307.	cyclopropylmethyl	4-(2-methylazetidin-1-yl)-phenyl
1308.	cyclopropylmethyl	4-((S)-2-methylazetidin-1-yl)-phenyl
1309.	cyclopropylmethyl	4-((R)-2-methylazetidin-1-yl)-phenyl

No.	R <sup>1</sup>	Ar
1310.	cyclopropylmethyl	4-(3-fluoroazetidin-1-yl)-phenyl
1311.	cyclopropylmethyl	4-(3-methoxyazetidin-1-yl)-phenyl
1312.	cyclopropylmethyl	4-(3-hydroxyazetidin-1-yl)-phenyl
1313.	cyclopropylmethyl	4-(pyrrolidin-1-yl)-phenyl
1314.	cyclopropylmethyl	4-(pyrrolidin-2-yl)-phenyl
1315.	cyclopropylmethyl	4-((S)-pyrrolidin-2-yl)-phenyl
1316.	cyclopropylmethyl	4-((R)-pyrrolidin-2-yl)-phenyl
1317.	cyclopropylmethyl	4-(pyrrolidin-3-yl)-phenyl
1318.	cyclopropylmethyl	4-((S)-pyrrolidin-3-yl)-phenyl
1319.	cyclopropylmethyl	4-((R)-pyrrolidin-3-yl)-phenyl
1320.	cyclopropylmethyl	4-(2-fluoropyrrolidin-1-yl)-phenyl
1321.	cyclopropylmethyl	4-((S)-2-fluoropyrrolidin-1-yl)-phenyl
1322.	cyclopropylmethyl	4-((R)-2-fluoropyrrolidin-1-yl)-phenyl
1323.	cyclopropylmethyl	4-(3-fluoropyrrolidin-1-yl)-phenyl
1324.	cyclopropylmethyl	4-((S)-3-fluoropyrrolidin-1-yl)-phenyl
1325.	cyclopropylmethyl	4-((R)-3-fluoropyrrolidin-1-yl)-phenyl
1326.	cyclopropylmethyl	4-(2,2-difluoropyrrolidin-1-yl)-phenyl
1327.	cyclopropylmethyl	4-(3,3-difluoropyrrolidin-1-yl)-phenyl
1328.	cyclopropylmethyl	4-(2-methylpyrrolidin-1-yl)-phenyl
1329.	cyclopropylmethyl	4-((S)-2-methylpyrrolidin-1-yl)-phenyl
1330.	cyclopropylmethyl	4-((R)-2-methylpyrrolidin-1-yl)-phenyl
1331.	cyclopropylmethyl	4-(3-methylpyrrolidin-1-yl)-phenyl
1332.	cyclopropylmethyl	4-((S)-3-methylpyrrolidin-1-yl)-phenyl
1333.	cyclopropylmethyl	4-((R)-3-methylpyrrolidin-1-yl)-phenyl
1334.	cyclopropylmethyl	4-(1-methylpyrrolidin-2-yl)-phenyl
1335.	cyclopropylmethyl	4-((S)-1-methylpyrrolidin-2-yl)-phenyl
1336.	cyclopropylmethyl	4-((R)-1-methylpyrrolidin-2-yl)-phenyl
1337.	cyclopropylmethyl	4-(1-methylpyrrolidin-3-yl)-phenyl
1338.	cyclopropylmethyl	4-((S)-1-methylpyrrolidin-3-yl)-phenyl
1339.	cyclopropylmethyl	4-((R)-1-methylpyrrolidin-3-yl)-phenyl
1340.	cyclopropylmethyl	4-(2,2-dimethylpyrrolidin-1-yl)-phenyl
1341.	cyclopropylmethyl	4-(3,3-dimethylpyrrolidin-1-yl)-phenyl

No.	R <sup>1</sup>	Ar
1342.	cyclopropylmethyl	4-(2-trifluoromethylpyrrolidin-1-yl)-phenyl
1343.	cyclopropylmethyl	4-((S)-2-trifluoromethylpyrrolidin-1-yl)-phenyl
1344.	cyclopropylmethyl	4-((R)-2-trifluoromethylpyrrolidin-1-yl)-phenyl
1345.	cyclopropylmethyl	4-(3-trifluoromethylpyrrolidin-1-yl)-phenyl
1346.	cyclopropylmethyl	4-((S)-3-trifluoromethylpyrrolidin-1-yl)-phenyl
1347.	cyclopropylmethyl	4-((R)-3-trifluoromethylpyrrolidin-1-yl)-phenyl
1348.	cyclopropylmethyl	4-(2-oxopyrrolidin-1-yl)-phenyl
1349.	cyclopropylmethyl	4-(2-oxo-oxazolidin-3-yl)-phenyl
1350.	cyclopropylmethyl	4-(piperidin-1-yl)-phenyl
1351.	cyclopropylmethyl	4-(2-methylpiperidin-1-yl)-phenyl
1352.	cyclopropylmethyl	4-((S)-2-methylpiperidin-1-yl)-phenyl
1353.	cyclopropylmethyl	4-((R)-2-methylpiperidin-1-yl)-phenyl
1354.	cyclopropylmethyl	4-(piperazin-1-yl)-phenyl
1355.	cyclopropylmethyl	4-(4-methylpiperazin-1-yl)-phenyl
1356.	cyclopropylmethyl	4-(morpholin-4-yl)-phenyl
1357.	cyclopropylmethyl	4-(thiomorpholin-4-yl)-phenyl
1358.	cyclopropylmethyl	4-(1-oxo-thiomorpholin-4-yl)-phenyl
1359.	cyclopropylmethyl	4-(1,1-dioxo-thiomorpholin-4-yl)-phenyl
1360.	cyclopropylmethyl	4-(pyrrol-1-yl)-phenyl
1361.	cyclopropylmethyl	4-(pyrrol-2-yl)-phenyl
1362.	cyclopropylmethyl	4-(pyrrol-3-yl)-phenyl
1363.	cyclopropylmethyl	4-(1-methylpyrrol-2-yl)-phenyl
1364.	cyclopropylmethyl	4-(1-methylpyrrol-3-yl)-phenyl
1365.	cyclopropylmethyl	4-(furan-2-yl)-phenyl
1366.	cyclopropylmethyl	4-(furan-3-yl)-phenyl
1367.	cyclopropylmethyl	4-(thiophen-2-yl)-phenyl
1368.	cyclopropylmethyl	4-(thiophen-3-yl)-phenyl
1369.	cyclopropylmethyl	4-(5-propylthien-2-yl)-phenyl
1370.	cyclopropylmethyl	4-(pyrazol-1-yl)-phenyl
1371.	cyclopropylmethyl	4-(pyrazol-3-yl)-phenyl
1372.	cyclopropylmethyl	4-(pyrazol-4-yl)-phenyl
1373.	cyclopropylmethyl	4-(1-methyl-1H-pyrazol-4-yl)-phenyl

No.	R <sup>1</sup>	Ar
1374.	cyclopropylmethyl	4-(1-ethyl-1H-pyrazol-4-yl)-phenyl
1375.	cyclopropylmethyl	4-(1-methyl-1H-pyrazol-5-yl)-phenyl
1376.	cyclopropylmethyl	4-(1H-imidazol-2-yl)-phenyl
1377.	cyclopropylmethyl	4-(imidazol-1-yl)-phenyl
1378.	cyclopropylmethyl	4-(1-methylimidazol-2-yl)-phenyl
1379.	cyclopropylmethyl	4-(oxazol-2-yl)-phenyl
1380.	cyclopropylmethyl	4-(oxazol-4-yl)-phenyl
1381.	cyclopropylmethyl	4-(oxazol-5-yl)-phenyl
1382.	cyclopropylmethyl	4-(isoxazol-3-yl)-phenyl
1383.	cyclopropylmethyl	4-(isoxazol-4-yl)-phenyl
1384.	cyclopropylmethyl	4-(isoxazol-5-yl)-phenyl
1385.	cyclopropylmethyl	4-([1,2,3]-triazol-1-yl)-phenyl
1386.	cyclopropylmethyl	4-([1,2,4]-triazol-1-yl)-phenyl
1387.	cyclopropylmethyl	4-([1,2,3]-triazol-2-yl)-phenyl
1388.	cyclopropylmethyl	4-(4H-[1,2,4]-triazol-3-yl)-phenyl
1389.	cyclopropylmethyl	4-([1,2,4]-triazol-4-yl)-phenyl
1390.	cyclopropylmethyl	4-(2H-[1,2,3]-triazol-4-yl)-phenyl
1391.	cyclopropylmethyl	4-(4-methyl-4H-[1,2,4]-triazol-3-yl)-phenyl
1392.	cyclopropylmethyl	4-(2-methyl-2H-[1,2,3]-triazol-4-yl)-phenyl
1393.	cyclopropylmethyl	4-([1,3,4]-oxadiazol-2-yl)-phenyl
1394.	cyclopropylmethyl	4-([1,2,4]-oxadiazol-3-yl)-phenyl
1395.	cyclopropylmethyl	4-([1,2,4]-oxadiazol-5-yl)-phenyl
1396.	cyclopropylmethyl	4-([1,2,3]-oxadiazol-4-yl)-phenyl
1397.	cyclopropylmethyl	4-([1,2,3]-oxadiazol-5-yl)-phenyl
1398.	cyclopropylmethyl	4-([1,2,3]-thiadiazol-4-yl)-phenyl
1399.	cyclopropylmethyl	4-(1H-tetrazol-5-yl)-phenyl
1400.	cyclopropylmethyl	4-(tetrazol-1-yl)-phenyl
1401.	cyclopropylmethyl	4-(2-methyl-2H-tetrazol-5-yl)-phenyl
1402.	cyclopropylmethyl	4-(1-methyl-1H-tetrazol-5-yl)-phenyl
1403.	cyclopropylmethyl	4-furazan-3-yl-phenyl
1404.	cyclopropylmethyl	4-(pyrid-2-yl)-phenyl
1405.	cyclopropylmethyl	4-(pyrid-3-yl)-phenyl

No.	R <sup>1</sup>	Ar
1406.	cyclopropylmethyl	4-(pyrid-4-yl)-phenyl
1407.	cyclopropylmethyl	4-(pyrimidin-2-yl)-phenyl
1408.	cyclopropylmethyl	4-(pyrimidin-4-yl)-phenyl
1409.	cyclopropylmethyl	4-(pyrimidin-5-yl)-phenyl
1410.	cyclopropylmethyl	5-isopropylthiophen-2-yl
1411.	cyclopropylmethyl	2-chlorothiophen-5-yl
1412.	cyclopropylmethyl	2,5-dichlorothiophen-4-yl
1413.	cyclopropylmethyl	2,3-dichlorothiophen-5-yl
1414.	cyclopropylmethyl	2-chloro-3-nitrothiophen-5-yl
1415.	cyclopropylmethyl	2-(phenylsulfonyl)-thiophen-5-yl
1416.	cyclopropylmethyl	2-(pyridin-2-yl)thiophen-5-yl
1417.	cyclopropylmethyl	2-(5-(trifluoromethyl)isoxazol-3-yl)-thiophen-5-yl
1418.	cyclopropylmethyl	2-(2-methylthiazol-4-yl)-thiophen-5-yl
1419.	cyclopropylmethyl	1-methyl-1H-imidazol-4-yl
1420.	cyclopropylmethyl	1,2-dimethyl-1H-imidazol-4-yl
1421.	cyclopropylmethyl	3,5-dimethylisoxazol-4-yl
1422.	cyclopropylmethyl	thiazol-2-yl
1423.	cyclopropylmethyl	4-methylthiazol-2-yl
1424.	cyclopropylmethyl	4-isopropylthiazol-2-yl
1425.	cyclopropylmethyl	4-trifluoromethylthiazol-2-yl
1426.	cyclopropylmethyl	5-methylthiazol-2-yl
1427.	cyclopropylmethyl	5-isopropylthiazol-2-yl
1428.	cyclopropylmethyl	5-trifluoromethylthiazol-2-yl
1429.	cyclopropylmethyl	2,4-dimethylthiazol-5-yl
1430.	cyclopropylmethyl	2-acetamido-4-methylthiazol-5-yl
1431.	cyclopropylmethyl	4H-[1,2,4]triazol-3-yl
1432.	cyclopropylmethyl	5-methyl-4H-[1,2,4]triazol-3-yl
1433.	cyclopropylmethyl	4-methyl-4H-[1,2,4]triazol-3-yl
1434.	cyclopropylmethyl	5-isopropyl-4H-[1,2,4]triazol-3-yl
1435.	cyclopropylmethyl	5-trifluoromethyl-4H-[1,2,4]triazol-3-yl
1436.	cyclopropylmethyl	4,5-dimethyl-4H-[1,2,4]triazol-3-yl

No.	R <sup>1</sup>	Ar
1437.	cyclopropylmethyl	5-isopropyl-4-methyl-4H-[1,2,4]triazol-3-yl
1438.	cyclopropylmethyl	5-trifluoromethyl-4-methyl-4H-[1,2,4]triazol-3-yl
1439.	cyclopropylmethyl	[1,3,4]thiadiazol-2-yl
1440.	cyclopropylmethyl	5-methyl-[1,3,4]thiadiazol-2-yl
1441.	cyclopropylmethyl	5-isopropyl-[1,3,4]thiadiazol-2-yl
1442.	cyclopropylmethyl	5-trifluoromethyl-[1,3,4]thiadiazol-2-yl
1443.	cyclopropylmethyl	3-bromo-2-chloropyrid-5-yl
1444.	cyclopropylmethyl	2-(4-morpholino)-pyrid-5-yl
1445.	cyclopropylmethyl	2-phenoxy pyrid-5-yl
1446.	cyclopropylmethyl	(2-isopropyl)-pyrimidin-5-yl
1447.	cyclopropylmethyl	(5-isopropyl)-pyrimidin-2-yl
1448.	cyclopropylmethyl	8-quinolyl
1449.	cyclopropylmethyl	5-isoquinolyl
1450.	cyclopropylmethyl	2-(trifluoroacetyl)-1,2,3,4-tetrahydroisoquinolin-7-yl
1451.	cyclopropylmethyl	5-chloro-3-methylbenzothiophen-2-yl
1452.	cyclopropylmethyl	3,4-dihydro-4-methyl-2H-benzo[b][1,4]oxazinyl
1453.	cyclopropylmethyl	benzothiazol-6-yl
1454.	cyclopropylmethyl	benzo[2,1,3]oxadiazol-4-yl
1455.	cyclopropylmethyl	5-chlorobenzo[2,1,3]oxadiazol-4-yl
1456.	cyclopropylmethyl	7-chlorobenzo[2,1,3]oxadiazol-4-yl
1457.	cyclopropylmethyl	benzo[2,1,3]thiadiazol-4-yl
1458.	cyclopropylmethyl	6-chloroimidazo[2,1-b]thiazolyl
1459.	allyl	4-methylphenyl
1460.	allyl	4-ethylphenyl
1461.	allyl	4-propylphenyl
1462.	allyl	4-isopropylphenyl
1463.	allyl	4-sec-butylphenyl
1464.	allyl	4-isobutylphenyl
1465.	allyl	4-(1,1-dimethylpropyl)-phenyl
1466.	allyl	4-vinylphenyl

No.	R <sup>1</sup>	Ar
1467.	allyl	4-isopropenylphenyl
1468.	allyl	4-fluorophenyl
1469.	allyl	4-chlorophenyl
1470.	allyl	4-bromophenyl
1471.	allyl	4-(fluoromethyl)phenyl
1472.	allyl	3-(fluoromethyl)phenyl
1473.	allyl	2-(fluoromethyl)phenyl
1474.	allyl	4-(difluoromethyl)phenyl
1475.	allyl	3-(difluoromethyl)phenyl
1476.	allyl	2-(difluoromethyl)phenyl
1477.	allyl	4-(trifluoromethyl)phenyl
1478.	allyl	3-(trifluoromethyl)phenyl
1479.	allyl	2-(trifluoromethyl)phenyl
1480.	allyl	4-(1-fluoroethyl)-phenyl
1481.	allyl	4-((S)-1-fluoroethyl)-phenyl
1482.	allyl	4-((R)-1-fluoroethyl)-phenyl
1483.	allyl	4-(2-fluoroethyl)-phenyl
1484.	allyl	4-(1,1-difluoroethyl)-phenyl
1485.	allyl	4-(2,2-difluoroethyl)-phenyl
1486.	allyl	4-(2,2,2-trifluoroethyl)-phenyl
1487.	allyl	4-(3-fluoropropyl)-phenyl
1488.	allyl	4-(2-fluoropropyl)-phenyl
1489.	allyl	4-((S)-2-fluoropropyl)-phenyl
1490.	allyl	4-((R)-2-fluoropropyl)-phenyl
1491.	allyl	4-(3,3-difluoropropyl)-phenyl
1492.	allyl	4-(3,3,3-trifluoropropyl)-phenyl
1493.	allyl	4-(1-fluoro-1-methylethyl)-phenyl
1494.	allyl	4-(2-fluoro-1-methylethyl)-phenyl
1495.	allyl	4-((S)-2-fluoro-1-methylethyl)-phenyl
1496.	allyl	4-((R)-2-fluoro-1-methylethyl)-phenyl
1497.	allyl	4-(2,2-difluoro-1-methylethyl)-phenyl
1498.	allyl	4-((S)-2,2-difluoro-1-methylethyl)-phenyl

No.	R <sup>1</sup>	Ar
1499.	allyl	4-((R)-2,2-difluoro-1-methylethyl)-phenyl
1500.	allyl	4-(2,2,2-trifluoro-1-methylethyl)-phenyl
1501.	allyl	4-((S)-2,2,2-trifluoro-1-methylethyl)-phenyl
1502.	allyl	4-((R)-2,2,2-trifluoro-1-methylethyl)-phenyl
1503.	allyl	4-(2-fluoro-1-fluoromethylethyl)-phenyl
1504.	allyl	4-(1-difluoromethyl-2,2-difluoroethyl)-phenyl
1505.	allyl	4-(1,1-dimethyl-2-fluoroethyl)-phenyl
1506.	allyl	4-methoxyphenyl
1507.	allyl	4-ethoxyphenyl
1508.	allyl	4-propoxyphenyl
1509.	allyl	4-isopropoxyphenyl
1510.	allyl	4-butoxyphenyl
1511.	allyl	4-(fluoromethoxy)-phenyl
1512.	allyl	4-(difluoromethoxy)-phenyl
1513.	allyl	4-(trifluoromethoxy)-phenyl
1514.	allyl	3-(trifluoromethoxy)-phenyl
1515.	allyl	4-(2-fluoroethoxy)-phenyl
1516.	allyl	4-(2,2-difluoroethoxy)-phenyl
1517.	allyl	4-(2,2,2-trifluoroethoxy)-phenyl
1518.	allyl	4-(1,1,2,2-tetrafluoroethoxy)-phenyl
1519.	allyl	4-cyclopropylphenyl
1520.	allyl	4-cyclobutylphenyl
1521.	allyl	4-cyclopentylphenyl
1522.	allyl	4-(2,2-difluorocyclopropyl)-phenyl
1523.	allyl	3,4-difluorophenyl
1524.	allyl	4-bromo-3-fluorophenyl
1525.	allyl	4-bromo-2-fluorophenyl
1526.	allyl	4-bromo-2,5-difluorophenyl
1527.	allyl	2-fluoro-4-isopropylphenyl
1528.	allyl	3-fluoro-4-isopropylphenyl
1529.	allyl	4-(1-hydroxy-1-methylethyl)-phenyl
1530.	allyl	4-(2-hydroxy-2-methylpropyl)-phenyl

No.	R <sup>1</sup>	Ar
1531.	allyl	4-acetylphenyl
1532.	allyl	4-carboxyphenyl
1533.	allyl	4-cyanophenyl
1534.	allyl	4-hydroxyphenyl
1535.	allyl	4-(O-benzyl)-phenyl
1536.	allyl	4-(2-methoxyethoxy)-phenyl
1537.	allyl	4-(CH <sub>2</sub> -N(CH <sub>3</sub> ) <sub>2</sub> )-phenyl
1538.	allyl	4-(NH-CO-NH <sub>2</sub> )-phenyl
1539.	allyl	4-(methylsulfanyl)-phenyl
1540.	allyl	4-(fluoromethylsulfanyl)-phenyl
1541.	allyl	4-(difluoromethylsulfanyl)-phenyl
1542.	allyl	4-(trifluoromethylsulfanyl)-phenyl
1543.	allyl	4-(methylsulfonyl)-phenyl
1544.	allyl	4-(N-methoxy-N-methyl-amino)-phenyl
1545.	allyl	4-(methoxyamino)-phenyl
1546.	allyl	4-(ethoxyamino)-phenyl
1547.	allyl	4-(N-methylaminoxy)-phenyl
1548.	allyl	4-(N,N-dimethylaminoxy)-phenyl
1549.	allyl	4-(azetidin-1-yl)-phenyl
1550.	allyl	4-(2-methylazetidin-1-yl)-phenyl
1551.	allyl	4-((S)-2-methylazetidin-1-yl)-phenyl
1552.	allyl	4-((R)-2-methylazetidin-1-yl)-phenyl
1553.	allyl	4-(3-fluoroazetidin-1-yl)-phenyl
1554.	allyl	4-(3-methoxyazetidin-1-yl)-phenyl
1555.	allyl	4-(3-hydroxyazetidin-1-yl)-phenyl
1556.	allyl	4-(pyrrolidin-1-yl)-phenyl
1557.	allyl	4-(pyrrolidin-2-yl)-phenyl
1558.	allyl	4-((S)-pyrrolidin-2-yl)-phenyl
1559.	allyl	4-((R)-pyrrolidin-2-yl)-phenyl
1560.	allyl	4-(pyrrolidin-3-yl)-phenyl
1561.	allyl	4-((S)-pyrrolidin-3-yl)-phenyl
1562.	allyl	4-((R)-pyrrolidin-3-yl)-phenyl

No.	R <sup>1</sup>	Ar
1563.	allyl	4-(2-fluoropyrrolidin-1-yl)-phenyl
1564.	allyl	4-((S)-2-fluoropyrrolidin-1-yl)-phenyl
1565.	allyl	4-((R)-2-fluoropyrrolidin-1-yl)-phenyl
1566.	allyl	4-(3-fluoropyrrolidin-1-yl)-phenyl
1567.	allyl	4-((S)-3-fluoropyrrolidin-1-yl)-phenyl
1568.	allyl	4-((R)-3-fluoropyrrolidin-1-yl)-phenyl
1569.	allyl	4-(2,2-difluoropyrrolidin-1-yl)-phenyl
1570.	allyl	4-(3,3-difluoropyrrolidin-1-yl)-phenyl
1571.	allyl	4-(2-methylpyrrolidin-1-yl)-phenyl
1572.	allyl	4-((S)-2-methylpyrrolidin-1-yl)-phenyl
1573.	allyl	4-((R)-2-methylpyrrolidin-1-yl)-phenyl
1574.	allyl	4-(3-methylpyrrolidin-1-yl)-phenyl
1575.	allyl	4-((S)-3-methylpyrrolidin-1-yl)-phenyl
1576.	allyl	4-((R)-3-methylpyrrolidin-1-yl)-phenyl
1577.	allyl	4-(1-methylpyrrolidin-2-yl)-phenyl
1578.	allyl	4-((S)-1-methylpyrrolidin-2-yl)-phenyl
1579.	allyl	4-((R)-1-methylpyrrolidin-2-yl)-phenyl
1580.	allyl	4-(1-methylpyrrolidin-3-yl)-phenyl
1581.	allyl	4-((S)-1-methylpyrrolidin-3-yl)-phenyl
1582.	allyl	4-((R)-1-methylpyrrolidin-3-yl)-phenyl
1583.	allyl	4-(2,2-dimethylpyrrolidin-1-yl)-phenyl
1584.	allyl	4-(3,3-dimethylpyrrolidin-1-yl)-phenyl
1585.	allyl	4-(2-trifluoromethylpyrrolidin-1-yl)-phenyl
1586.	allyl	4-((S)-2-trifluoromethylpyrrolidin-1-yl)-phenyl
1587.	allyl	4-((R)-2-trifluoromethylpyrrolidin-1-yl)-phenyl
1588.	allyl	4-(3-trifluoromethylpyrrolidin-1-yl)-phenyl
1589.	allyl	4-((S)-3-trifluoromethylpyrrolidin-1-yl)-phenyl
1590.	allyl	4-((R)-3-trifluoromethylpyrrolidin-1-yl)-phenyl
1591.	allyl	4-(2-oxopyrrolidin-1-yl)-phenyl
1592.	allyl	4-(2-oxo-oxazolidin-3-yl)-phenyl
1593.	allyl	4-(piperidin-1-yl)-phenyl
1594.	allyl	4-(2-methylpiperidin-1-yl)-phenyl

No.	R <sup>1</sup>	Ar
1595.	allyl	4-((S)-2-methylpiperidin-1-yl)-phenyl
1596.	allyl	4-((R)-2-methylpiperidin-1-yl)-phenyl
1597.	allyl	4-(piperazin-1-yl)-phenyl
1598.	allyl	4-(4-methylpiperazin-1-yl)-phenyl
1599.	allyl	4-(morpholin-4-yl)-phenyl
1600.	allyl	4-(thiomorpholin-4-yl)-phenyl
1601.	allyl	4-(1-oxo-thiomorpholin-4-yl)-phenyl
1602.	allyl	4-(1,1-dioxo-thiomorpholin-4-yl)-phenyl
1603.	allyl	4-(pyrrol-1-yl)-phenyl
1604.	allyl	4-(pyrrol-2-yl)-phenyl
1605.	allyl	4-(pyrrol-3-yl)-phenyl
1606.	allyl	4-(1-methylpyrrol-2-yl)-phenyl
1607.	allyl	4-(1-methylpyrrol-3-yl)-phenyl
1608.	allyl	4-(furan-2-yl)-phenyl
1609.	allyl	4-(furan-3-yl)-phenyl
1610.	allyl	4-(thiophen-2-yl)-phenyl
1611.	allyl	4-(thiophen-3-yl)-phenyl
1612.	allyl	4-(5-propylthien-2-yl)-phenyl
1613.	allyl	4-(pyrazol-1-yl)-phenyl
1614.	allyl	4-(pyrazol-3-yl)-phenyl
1615.	allyl	4-(pyrazol-4-yl)-phenyl
1616.	allyl	4-(1-methyl-1H-pyrazol-4-yl)-phenyl
1617.	allyl	4-(1-ethyl-1H-pyrazol-4-yl)-phenyl
1618.	allyl	4-(1-methyl-1H-pyrazol-5-yl)-phenyl
1619.	allyl	4-(1H-imidazol-2-yl)-phenyl
1620.	allyl	4-(imidazol-1-yl)-phenyl
1621.	allyl	4-(1-methylimidazol-2-yl)-phenyl
1622.	allyl	4-(oxazol-2-yl)-phenyl
1623.	allyl	4-(oxazol-4-yl)-phenyl
1624.	allyl	4-(oxazol-5-yl)-phenyl
1625.	allyl	4-(isoxazol-3-yl)-phenyl
1626.	allyl	4-(isoxazol-4-yl)-phenyl

No.	R <sup>1</sup>	Ar
1627.	allyl	4-(isoxazol-5-yl)-phenyl
1628.	allyl	4-([1,2,3]-triazol-1-yl)-phenyl
1629.	allyl	4-([1,2,4]-triazol-1-yl)-phenyl
1630.	allyl	4-([1,2,3]-triazol-2-yl)-phenyl
1631.	allyl	4-(4H-[1,2,4]-triazol-3-yl)-phenyl
1632.	allyl	4-([1,2,4]-triazol-4-yl)-phenyl
1633.	allyl	4-(2H-[1,2,3]-triazol-4-yl)-phenyl
1634.	allyl	4-(4-methyl-4H-[1,2,4]-triazol-3-yl)-phenyl
1635.	allyl	4-(2-methyl-2H-[1,2,3]-triazol-4-yl)-phenyl
1636.	allyl	4-([1,3,4]-oxadiazol-2-yl)-phenyl
1637.	allyl	4-([1,2,4]-oxadiazol-3-yl)-phenyl
1638.	allyl	4-([1,2,4]-oxadiazol-5-yl)-phenyl
1639.	allyl	4-([1,2,3]-oxadiazol-4-yl)-phenyl
1640.	allyl	4-([1,2,3]-oxadiazol-5-yl)-phenyl
1641.	allyl	4-([1,2,3]-thiadiazol-4-yl)-phenyl
1642.	allyl	4-(1H-tetrazol-5-yl)-phenyl
1643.	allyl	4-(tetrazol-1-yl)-phenyl
1644.	allyl	4-(2-methyl-2H-tetrazol-5-yl)-phenyl
1645.	allyl	4-(1-methyl-1H-tetrazol-5-yl)-phenyl
1646.	allyl	4-furazan-3-yl-phenyl
1647.	allyl	4-(pyrid-2-yl)-phenyl
1648.	allyl	4-(pyrid-3-yl)-phenyl
1649.	allyl	4-(pyrid-4-yl)-phenyl
1650.	allyl	4-(pyrimidin-2-yl)-phenyl
1651.	allyl	4-(pyrimidin-4-yl)-phenyl
1652.	allyl	4-(pyrimidin-5-yl)-phenyl
1653.	allyl	5-isopropylthiophen-2-yl
1654.	allyl	2-chlorothiophen-5-yl
1655.	allyl	2,5-dichlorothiophen-4-yl
1656.	allyl	2,3-dichlorothiophen-5-yl
1657.	allyl	2-chloro-3-nitrothiophen-5-yl
1658.	allyl	2-(phenylsulfonyl)-thiophen-5-yl

No.	R <sup>1</sup>	Ar
1659.	allyl	2-(pyridin-2-yl)thiophen-5-yl
1660.	allyl	2-(5-(trifluoromethyl)isoxazol-3-yl)-thiophen-5-yl
1661.	allyl	2-(2-methylthiazol-4-yl)-thiophen-5-yl
1662.	allyl	1-methyl-1H-imidazol-4-yl
1663.	allyl	1,2-dimethyl-1H-imidazol-4-yl
1664.	allyl	3,5-dimethylisoxazol-4-yl
1665.	allyl	thiazol-2-yl
1666.	allyl	4-methylthiazol-2-yl
1667.	allyl	4-isopropylthiazol-2-yl
1668.	allyl	4-trifluoromethylthiazol-2-yl
1669.	allyl	5-methylthiazol-2-yl
1670.	allyl	5-isopropylthiazol-2-yl
1671.	allyl	5-trifluoromethylthiazol-2-yl
1672.	allyl	2,4-dimethylthiazol-5-yl
1673.	allyl	2-acetamido-4-methylthiazol-5-yl
1674.	allyl	4H-[1,2,4]triazol-3-yl
1675.	allyl	5-methyl-4H-[1,2,4]triazol-3-yl
1676.	allyl	4-methyl-4H-[1,2,4]triazol-3-yl
1677.	allyl	5-isopropyl-4H-[1,2,4]triazol-3-yl
1678.	allyl	5-trifluoromethyl-4H-[1,2,4]triazol-3-yl
1679.	allyl	4,5-dimethyl-4H-[1,2,4]triazol-3-yl
1680.	allyl	5-isopropyl-4-methyl-4H-[1,2,4]triazol-3-yl
1681.	allyl	5-trifluoromethyl-4-methyl-4H-[1,2,4]triazol-3-yl
1682.	allyl	[1,3,4]thiadiazol-2-yl
1683.	allyl	5-methyl-[1,3,4]thiadiazol-2-yl
1684.	allyl	5-isopropyl-[1,3,4]thiadiazol-2-yl
1685.	allyl	5-trifluoromethyl-[1,3,4]thiadiazol-2-yl
1686.	allyl	3-bromo-2-chloropyrid-5-yl
1687.	allyl	2-(4-morpholino)-pyrid-5-yl
1688.	allyl	2-phenoxyypyrid-5-yl

No.	R <sup>1</sup>	Ar
1689.	allyl	(2-isopropyl)-pyrimidin-5-yl
1690.	allyl	(5-isopropyl)-pyrimidin-2-yl
1691.	allyl	8-quinolyl
1692.	allyl	5-isoquinolyl
1693.	allyl	2-(trifluoroacetyl)-1,2,3,4-tetrahydroisoquinolin-7-yl
1694.	allyl	5-chloro-3-methylbenzothiophen-2-yl
1695.	allyl	3,4-dihydro-4-methyl-2H-benzo[b][1,4]oxazinyl
1696.	allyl	benzothiazol-6-yl
1697.	allyl	benzo[2,1,3]oxadiazol-4-yl
1698.	allyl	5-chlorobenzo[2,1,3]oxadiazol-4-yl
1699.	allyl	7-chlorobenzo[2,1,3]oxadiazol-4-yl
1700.	allyl	benzo[2,1,3]thiadiazol-4-yl
1701.	allyl	6-chloroimidazo[2,1-b]thiazolyl
1702.	H	4-(trifluoromethoxy)-phenyl
1703.	H	3-(trifluoromethoxy)-phenyl
1704.	H	4-cyanophenyl
1705.	H	4-methylphenyl
1706.	H	4-ethylphenyl
1707.	H	4-propylphenyl
1708.	H	4-methoxyphenyl
1709.	H	4-fluorophenyl
1710.	H	4-chlorophenyl
1711.	H	4-bromophenyl
1712.	H	3-(trifluoromethyl)phenyl
1713.	H	4-(trifluoromethyl)phenyl
1714.	H	2-(trifluoromethyl)phenyl
1715.	H	3,4-difluorophenyl
1716.	H	4-bromo-3-fluorophenyl
1717.	H	4-bromo-2-fluorophenyl
1718.	H	4-bromo-2,5-difluorophenyl
1719.	H	2-fluoro-4-isopropylphenyl

No.	R <sup>1</sup>	Ar
1720.	H	4-hydroxyphenyl
1721.	H	4-isopropylphenyl
1722.	H	4-sec-butylphenyl
1723.	H	4-isobutylphenyl
1724.	H	4-(1,1-dimethylpropyl)-phenyl
1725.	H	4-vinylphenyl
1726.	H	4-isopropenylphenyl
1727.	H	4-(fluoromethyl)phenyl
1728.	H	3-(fluoromethyl)phenyl
1729.	H	2-(fluoromethyl)phenyl
1730.	H	4-(difluoromethyl)phenyl
1731.	H	3-(difluoromethyl)phenyl
1732.	H	2-(difluoromethyl)phenyl
1733.	H	4-(1-fluoroethyl)-phenyl
1734.	H	4-((S)-1-fluoroethyl)-phenyl
1735.	H	4-((R)-1-fluoroethyl)-phenyl
1736.	H	4-(2-fluoroethyl)-phenyl
1737.	H	4-(1,1-difluoroethyl)-phenyl
1738.	H	4-(2,2-difluoroethyl)-phenyl
1739.	H	4-(2,2,2-trifluoroethyl)-phenyl
1740.	H	4-(3-fluoropropyl)-phenyl
1741.	H	4-(2-fluoropropyl)-phenyl
1742.	H	4-((S)-2-fluoropropyl)-phenyl
1743.	H	4-((R)-2-fluoropropyl)-phenyl
1744.	H	4-(3,3-difluoropropyl)-phenyl
1745.	H	4-(3,3,3-trifluoropropyl)-phenyl
1746.	H	4-(1-fluoro-1-methylethyl)-phenyl
1747.	H	4-(2-fluoro-1-methylethyl)-phenyl
1748.	H	4-((S)-2-fluoro-1-methylethyl)-phenyl
1749.	H	4-((R)-2-fluoro-1-methylethyl)-phenyl
1750.	H	4-(2,2-difluoro-1-methylethyl)-phenyl
1751.	H	4-((S)-2,2-difluoro-1-methylethyl)-phenyl

No.	R <sup>1</sup>	Ar
1752.	H	4-((R)-2,2-difluoro-1-methylethyl)-phenyl
1753.	H	4-(2,2,2-trifluoro-1-methylethyl)-phenyl
1754.	H	4-((S)-2,2,2-trifluoro-1-methylethyl)-phenyl
1755.	H	4-((R)-2,2,2-trifluoro-1-methylethyl)-phenyl
1756.	H	4-(2-fluoro-1-fluoromethylethyl)-phenyl
1757.	H	4-(1-difluoromethyl-2,2-difluoroethyl)-phenyl
1758.	H	4-(1,1-dimethyl-2-fluoroethyl)-phenyl
1759.	H	4-ethoxyphenyl
1760.	H	4-propoxypyhenyl
1761.	H	4-isopropoxypyhenyl
1762.	H	4-butoxypyhenyl
1763.	H	4-(fluoromethoxy)-phenyl
1764.	H	4-(difluoromethoxy)-phenyl
1765.	H	4-(2-fluoroethoxy)-phenyl
1766.	H	4-(2,2-difluoroethoxy)-phenyl
1767.	H	4-(2,2,2-trifluoroethoxy)-phenyl
1768.	H	4-(1,1,2,2-tetrafluoroethoxy)-phenyl
1769.	H	4-cyclopropylphenyl
1770.	H	4-cyclobutylphenyl
1771.	H	4-cyclopentylphenyl
1772.	H	4-(2,2-difluorocyclopropyl)-phenyl
1773.	H	3-fluoro-4-isopropylphenyl
1774.	H	4-(1-hydroxy-1-methylethyl)-phenyl
1775.	H	4-(2-hydroxy-2-methylpropyl)-phenyl
1776.	H	4-acetylphenyl
1777.	H	4-carboxypyhenyl
1778.	H	4-(O-benzyl)-phenyl
1779.	H	4-(2-methoxyethoxy)-phenyl
1780.	H	4-(CH <sub>2</sub> -N(CH <sub>3</sub> ) <sub>2</sub> )-phenyl
1781.	H	4-(NH-CO-NH <sub>2</sub> )-phenyl
1782.	H	4-(methylsulfanyl)-phenyl
1783.	H	4-(fluoromethylsulfanyl)-phenyl

No.	R <sup>1</sup>	Ar
1784.	H	4-(difluoromethylsulfanyl)-phenyl
1785.	H	4-(trifluoromethylsulfanyl)-phenyl
1786.	H	4-(methylsulfonyl)-phenyl
1787.	H	4-(N-methoxy-N-methyl-amino)-phenyl
1788.	H	4-(methoxyamino)-phenyl
1789.	H	4-(ethoxyamino)-phenyl
1790.	H	4-(N-methylaminoxy)-phenyl
1791.	H	4-(N,N-dimethylaminoxy)-phenyl
1792.	H	4-(azetidin-1-yl)-phenyl
1793.	H	4-(2-methylazetidin-1-yl)-phenyl
1794.	H	4-((S)-2-methylazetidin-1-yl)-phenyl
1795.	H	4-((R)-2-methylazetidin-1-yl)-phenyl
1796.	H	4-(3-fluoroazetidin-1-yl)-phenyl
1797.	H	4-(3-methoxyazetidin-1-yl)-phenyl
1798.	H	4-(3-hydroxyazetidin-1-yl)-phenyl
1799.	H	4-(pyrrolidin-1-yl)-phenyl
1800.	H	4-(pyrrolidin-2-yl)-phenyl
1801.	H	4-((S)-pyrrolidin-2-yl)-phenyl
1802.	H	4-((R)-pyrrolidin-2-yl)-phenyl
1803.	H	4-(pyrrolidin-3-yl)-phenyl
1804.	H	4-((S)-pyrrolidin-3-yl)-phenyl
1805.	H	4-((R)-pyrrolidin-3-yl)-phenyl
1806.	H	4-(2-fluoropyrrolidin-1-yl)-phenyl
1807.	H	4-((S)-2-fluoropyrrolidin-1-yl)-phenyl
1808.	H	4-((R)-2-fluoropyrrolidin-1-yl)-phenyl
1809.	H	4-(3-fluoropyrrolidin-1-yl)-phenyl
1810.	H	4-((S)-3-fluoropyrrolidin-1-yl)-phenyl
1811.	H	4-((R)-3-fluoropyrrolidin-1-yl)-phenyl
1812.	H	4-(2,2-difluoropyrrolidin-1-yl)-phenyl
1813.	H	4-(3,3-difluoropyrrolidin-1-yl)-phenyl
1814.	H	4-(2-methylpyrrolidin-1-yl)-phenyl
1815.	H	4-((S)-2-methylpyrrolidin-1-yl)-phenyl

No.	R <sup>1</sup>	Ar
1816.	H	4-((R)-2-methylpyrrolidin-1-yl)-phenyl
1817.	H	4-(3-methylpyrrolidin-1-yl)-phenyl
1818.	H	4-((S)-3-methylpyrrolidin-1-yl)-phenyl
1819.	H	4-((R)-3-methylpyrrolidin-1-yl)-phenyl
1820.	H	4-(1-methylpyrrolidin-2-yl)-phenyl
1821.	H	4-((S)-1-methylpyrrolidin-2-yl)-phenyl
1822.	H	4-((R)-1-methylpyrrolidin-2-yl)-phenyl
1823.	H	4-(1-methylpyrrolidin-3-yl)-phenyl
1824.	H	4-((S)-1-methylpyrrolidin-3-yl)-phenyl
1825.	H	4-((R)-1-methylpyrrolidin-3-yl)-phenyl
1826.	H	4-(2,2-dimethylpyrrolidin-1-yl)-phenyl
1827.	H	4-(3,3-dimethylpyrrolidin-1-yl)-phenyl
1828.	H	4-(2-trifluoromethylpyrrolidin-1-yl)-phenyl
1829.	H	4-((S)-2-trifluoromethylpyrrolidin-1-yl)-phenyl
1830.	H	4-((R)-2-trifluoromethylpyrrolidin-1-yl)-phenyl
1831.	H	4-(3-trifluoromethylpyrrolidin-1-yl)-phenyl
1832.	H	4-((S)-3-trifluoromethylpyrrolidin-1-yl)-phenyl
1833.	H	4-((R)-3-trifluoromethylpyrrolidin-1-yl)-phenyl
1834.	H	4-(2-oxopyrrolidin-1-yl)-phenyl
1835.	H	4-(2-oxo-oxazolidin-3-yl)-phenyl
1836.	H	4-(piperidin-1-yl)-phenyl
1837.	H	4-(2-methylpiperidin-1-yl)-phenyl
1838.	H	4-((S)-2-methylpiperidin-1-yl)-phenyl
1839.	H	4-((R)-2-methylpiperidin-1-yl)-phenyl
1840.	H	4-(piperazin-1-yl)-phenyl
1841.	H	4-(4-methylpiperazin-1-yl)-phenyl
1842.	H	4-(morpholin-4-yl)-phenyl
1843.	H	4-(thiomorpholin-4-yl)-phenyl
1844.	H	4-(1-oxo-thiomorpholin-4-yl)-phenyl
1845.	H	4-(1,1-dioxo-thiomorpholin-4-yl)-phenyl
1846.	H	4-(pyrrol-1-yl)-phenyl
1847.	H	4-(pyrrol-2-yl)-phenyl

No.	R <sup>1</sup>	Ar
1848.	H	4-(pyrrol-3-yl)-phenyl
1849.	H	4-(1-methylpyrrol-2-yl)-phenyl
1850.	H	4-(1-methylpyrrol-3-yl)-phenyl
1851.	H	4-(furan-2-yl)-phenyl
1852.	H	4-(furan-3-yl)-phenyl
1853.	H	4-(thiophen-2-yl)-phenyl
1854.	H	4-(thiophen-3-yl)-phenyl
1855.	H	4-(5-propylthien-2-yl)-phenyl
1856.	H	4-(pyrazol-1-yl)-phenyl
1857.	H	4-(pyrazol-3-yl)-phenyl
1858.	H	4-(pyrazol-4-yl)-phenyl
1859.	H	4-(1-methyl-1H-pyrazol-4-yl)-phenyl
1860.	H	4-(1-ethyl-1H-pyrazol-4-yl)-phenyl
1861.	H	4-(1-methyl-1H-pyrazol-5-yl)-phenyl
1862.	H	4-(1H-imidazol-2-yl)-phenyl
1863.	H	4-(imidazol-1-yl)-phenyl
1864.	H	4-(1-methylimidazol-2-yl)-phenyl
1865.	H	4-(oxazol-2-yl)-phenyl
1866.	H	4-(oxazol-4-yl)-phenyl
1867.	H	4-(oxazol-5-yl)-phenyl
1868.	H	4-(isoxazol-3-yl)-phenyl
1869.	H	4-(isoxazol-4-yl)-phenyl
1870.	H	4-(isoxazol-5-yl)-phenyl
1871.	H	4-([1,2,3]-triazol-1-yl)-phenyl
1872.	H	4-([1,2,4]-triazol-1-yl)-phenyl
1873.	H	4-([1,2,3]-triazol-2-yl)-phenyl
1874.	H	4-(4H-[1,2,4]-triazol-3-yl)-phenyl
1875.	H	4-([1,2,4]-triazol-4-yl)-phenyl
1876.	H	4-(2H-[1,2,3]-triazol-4-yl)-phenyl
1877.	H	4-(4-methyl-4H-[1,2,4]-triazol-3-yl)-phenyl
1878.	H	4-(2-methyl-2H-[1,2,3]-triazol-4-yl)-phenyl
1879.	H	4-([1,3,4]-oxadiazol-2-yl)-phenyl

No.	R <sup>1</sup>	Ar
1880.	H	4-([1,2,4]-oxadiazol-3-yl)-phenyl
1881.	H	4-([1,2,4]-oxadiazol-5-yl)-phenyl
1882.	H	4-([1,2,3]-oxadiazol-4-yl)-phenyl
1883.	H	4-([1,2,3]-oxadiazol-5-yl)-phenyl
1884.	H	4-([1,2,3]-thiadiazol-4-yl)-phenyl
1885.	H	4-(1H-tetrazol-5-yl)-phenyl
1886.	H	4-(tetrazol-1-yl)-phenyl
1887.	H	4-(2-methyl-2H-tetrazol-5-yl)-phenyl
1888.	H	4-(1-methyl-1H-tetrazol-5-yl)-phenyl
1889.	H	4-furazan-3-yl-phenyl
1890.	H	4-(pyrid-2-yl)-phenyl
1891.	H	4-(pyrid-3-yl)-phenyl
1892.	H	4-(pyrid-4-yl)-phenyl
1893.	H	4-(pyrimidin-2-yl)-phenyl
1894.	H	4-(pyrimidin-4-yl)-phenyl
1895.	H	4-(pyrimidin-5-yl)-phenyl
1896.	H	5-isopropylthiophen-2-yl
1897.	H	2-chlorothiophen-5-yl
1898.	H	2,5-dichlorothiophen-4-yl
1899.	H	2,3-dichlorothiophen-5-yl
1900.	H	2-chloro-3-nitrothiophen-5-yl
1901.	H	2-(phenylsulfonyl)-thiophen-5-yl
1902.	H	2-(pyridin-2-yl)thiophen-5-yl
1903.	H	2-(5-(trifluoromethyl)isoxazol-3-yl)-thiophen-5-yl
1904.	H	2-(2-methylthiazol-4-yl)-thiophen-5-yl
1905.	H	1-methyl-1H-imidazol-4-yl
1906.	H	1,2-dimethyl-1H-imidazol-4-yl
1907.	H	3,5-dimethylisoxazol-4-yl
1908.	H	thiazol-2-yl
1909.	H	4-methylthiazol-2-yl
1910.	H	4-isopropylthiazol-2-yl

No.	R <sup>1</sup>	Ar
1911.	H	4-trifluoromethylthiazol-2-yl
1912.	H	5-methylthiazol-2-yl
1913.	H	5-isopropylthiazol-2-yl
1914.	H	5-trifluoromethylthiazol-2-yl
1915.	H	2,4-dimethylthiazol-5-yl
1916.	H	2-acetamido-4-methylthiazol-5-yl
1917.	H	4H-[1,2,4]triazol-3-yl
1918.	H	5-methyl-4H-[1,2,4]triazol-3-yl
1919.	H	4-methyl-4H-[1,2,4]triazol-3-yl
1920.	H	5-isopropyl-4H-[1,2,4]triazol-3-yl
1921.	H	5-trifluoromethyl-4H-[1,2,4]triazol-3-yl
1922.	H	4,5-dimethyl-4H-[1,2,4]triazol-3-yl
1923.	H	5-isopropyl-4-methyl-4H-[1,2,4]triazol-3-yl
1924.	H	5-trifluoromethyl-4-methyl-4H-[1,2,4]triazol-3-yl
1925.	H	[1,3,4]thiadiazol-2-yl
1926.	H	5-methyl-[1,3,4]thiadiazol-2-yl
1927.	H	5-isopropyl-[1,3,4]thiadiazol-2-yl
1928.	H	5-trifluoromethyl-[1,3,4]thiadiazol-2-yl
1929.	H	3-bromo-2-chloropyrid-5-yl
1930.	H	2-(4-morpholino)-pyrid-5-yl
1931.	H	2-phenoxy pyrid-5-yl
1932.	H	(2-isopropyl)-pyrimidin-5-yl
1933.	H	(5-isopropyl)-pyrimidin-2-yl
1934.	H	8-quinolyl
1935.	H	5-isoquinolyl
1936.	H	2-(trifluoroacetyl)-1,2,3,4-tetrahydroisoquinolin-7-yl
1937.	H	5-chloro-3-methylbenzothiophen-2-yl
1938.	H	3,4-dihydro-4-methyl-2H-benzo[b][1,4]oxazinyl
1939.	H	benzothiazol-6-yl
1940.	H	benzo[2,1,3]oxadiazol-4-yl

No.	R <sup>1</sup>	Ar
1941.	H	5-chlorobenzo[2,1,3]oxadiazol-4-yl
1942.	H	7-chlorobenzo[2,1,3]oxadiazol-4-yl
1943.	H	benzo[2,1,3]thiadiazol-4-yl
1944.	H	6-chloroimidazo[2,1-b]thiazolyl

Table B-1: Compounds of the formula Iu, wherein Ar has the meaning given in one of the rows of table B.

- 5 Table B-2: Compounds of the formula Iv, wherein Ar has the meaning given in one of the rows of table B.

Table B-3: Compounds of the formula Iw, wherein Ar has the meaning given in one of the rows of table B.

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Table B-4: Compounds of the formula Ix, wherein Ar has the meaning given in one of the rows of table B.

15

Table B-5: Compounds of the formula Iy, wherein Ar has the meaning given in one of the rows of table B.

Table B-6: Compounds of the formula Iz, wherein Ar has the meaning given in one of the rows of table B.

20

Table B

No.	Ar
1945.	4-(trifluoromethoxy)-phenyl
1946.	3-(trifluoromethoxy)-phenyl
1947.	4-cyanophenyl
1948.	4-methylphenyl
1949.	4-ethylphenyl
1950.	4-propylphenyl

No.	Ar
1951.	4-methoxyphenyl
1952.	4-fluorophenyl
1953.	4-chlorophenyl
1954.	4-bromophenyl
1955.	3-(trifluoromethyl)phenyl
1956.	4-(trifluoromethyl)phenyl
1957.	2-(trifluoromethyl)phenyl
1958.	3,4-difluorophenyl
1959.	4-bromo-3-fluorophenyl
1960.	4-bromo-2-fluorophenyl
1961.	4-bromo-2,5-difluorophenyl
1962.	2-fluoro-4-isopropylphenyl
1963.	4-hydroxyphenyl
1964.	4-isopropylphenyl
1965.	4-sec-butylphenyl
1966.	4-isobutylphenyl
1967.	4-(1,1-dimethylpropyl)-phenyl
1968.	4-vinylphenyl
1969.	4-isopropenylphenyl
1970.	4-(fluoromethyl)phenyl
1971.	3-(fluoromethyl)phenyl
1972.	2-(fluoromethyl)phenyl
1973.	4-(difluoromethyl)phenyl
1974.	3-(difluoromethyl)phenyl
1975.	2-(difluoromethyl)phenyl
1976.	4-(1-fluoroethyl)-phenyl
1977.	4-((S)-1-fluoroethyl)-phenyl
1978.	4-((R)-1-fluoroethyl)-phenyl
1979.	4-(2-fluoroethyl)-phenyl
1980.	4-(1,1-difluoroethyl)-phenyl
1981.	4-(2,2-difluoroethyl)-phenyl
1982.	4-(2,2,2-trifluoroethyl)-phenyl

No.	Ar
1983.	4-(3-fluoropropyl)-phenyl
1984.	4-(2-fluoropropyl)-phenyl
1985.	4-((S)-2-fluoropropyl)-phenyl
1986.	4-((R)-2-fluoropropyl)-phenyl
1987.	4-(3,3-difluoropropyl)-phenyl
1988.	4-(3,3,3-trifluoropropyl)-phenyl
1989.	4-(1-fluoro-1-methylethyl)-phenyl
1990.	4-(2-fluoro-1-methylethyl)-phenyl
1991.	4-((S)-2-fluoro-1-methylethyl)-phenyl
1992.	4-((R)-2-fluoro-1-methylethyl)-phenyl
1993.	4-(2,2-difluoro-1-methylethyl)-phenyl
1994.	4-((S)-2,2-difluoro-1-methylethyl)-phenyl
1995.	4-((R)-2,2-difluoro-1-methylethyl)-phenyl
1996.	4-(2,2,2-trifluoro-1-methylethyl)-phenyl
1997.	4-((S)-2,2,2-trifluoro-1-methylethyl)-phenyl
1998.	4-((R)-2,2,2-trifluoro-1-methylethyl)-phenyl
1999.	4-(2-fluoro-1-fluoromethyl-ethyl)-phenyl
2000.	4-(1-difluoromethyl-2,2-difluoroethyl)-phenyl
2001.	4-(1,1-dimethyl-2-fluoroethyl)-phenyl
2002.	4-ethoxyphenyl
2003.	4-propoxyphenyl
2004.	4-isopropoxyphenyl
2005.	4-butoxyphenyl
2006.	4-(fluoromethoxy)-phenyl
2007.	4-(difluoromethoxy)-phenyl
2008.	4-(2-fluoroethoxy)-phenyl
2009.	4-(2,2-difluoroethoxy)-phenyl
2010.	4-(2,2,2-trifluoroethoxy)-phenyl
2011.	4-(1,1,2,2-tetrafluoroethoxy)-phenyl
2012.	4-cyclopropylphenyl
2013.	4-cyclobutylphenyl
2014.	4-cyclopentylphenyl

No.	Ar
2015.	4-(2,2-difluorocyclopropyl)-phenyl
2016.	3-fluoro-4-isopropylphenyl
2017.	4-(1-hydroxy-1-methylethyl)-phenyl
2018.	4-(2-hydroxy-2-methylpropyl)-phenyl
2019.	4-acetylphenyl
2020.	4-carboxyphenyl
2021.	4-(O-benzyl)-phenyl
2022.	4-(2-methoxyethoxy)-phenyl
2023.	4-(CH <sub>2</sub> -N(CH <sub>3</sub> ) <sub>2</sub> )-phenyl
2024.	4-(NH-CO-NH <sub>2</sub> )-phenyl
2025.	4-(methylsulfanyl)-phenyl
2026.	4-(fluoromethylsulfanyl)-phenyl
2027.	4-(difluoromethylsulfanyl)-phenyl
2028.	4-(trifluoromethylsulfanyl)-phenyl
2029.	4-(methylsulfonyl)-phenyl
2030.	4-(N-methoxy-N-methyl-amino)-phenyl
2031.	4-(methoxyamino)-phenyl
2032.	4-(ethoxyamino)-phenyl
2033.	4-(N-methylaminoxy)-phenyl
2034.	4-(N,N-dimethylaminoxy)-phenyl
2035.	4-(azetidin-1-yl)-phenyl
2036.	4-(2-methylazetidin-1-yl)-phenyl
2037.	4-((S)-2-methylazetidin-1-yl)-phenyl
2038.	4-((R)-2-methylazetidin-1-yl)-phenyl
2039.	4-(3-fluoroazetidin-1-yl)-phenyl
2040.	4-(3-methoxyazetidin-1-yl)-phenyl
2041.	4-(3-hydroxyazetidin-1-yl)-phenyl
2042.	4-(pyrrolidin-1-yl)-phenyl
2043.	4-(pyrrolidin-2-yl)-phenyl
2044.	4-((S)-pyrrolidin-2-yl)-phenyl
2045.	4-((R)-pyrrolidin-2-yl)-phenyl
2046.	4-(pyrrolidin-3-yl)-phenyl

No.	Ar
2047.	4-((S)-pyrrolidin-3-yl)-phenyl
2048.	4-((R)-pyrrolidin-3-yl)-phenyl
2049.	4-(2-fluoropyrrolidin-1-yl)-phenyl
2050.	4-((S)-2-fluoropyrrolidin-1-yl)-phenyl
2051.	4-((R)-2-fluoropyrrolidin-1-yl)-phenyl
2052.	4-(3-fluoropyrrolidin-1-yl)-phenyl
2053.	4-((S)-3-fluoropyrrolidin-1-yl)-phenyl
2054.	4-((R)-3-fluoropyrrolidin-1-yl)-phenyl
2055.	4-(2,2-difluoropyrrolidin-1-yl)-phenyl
2056.	4-(3,3-difluoropyrrolidin-1-yl)-phenyl
2057.	4-(2-methylpyrrolidin-1-yl)-phenyl
2058.	4-((S)-2-methylpyrrolidin-1-yl)-phenyl
2059.	4-((R)-2-methylpyrrolidin-1-yl)-phenyl
2060.	4-(3-methylpyrrolidin-1-yl)-phenyl
2061.	4-((S)-3-methylpyrrolidin-1-yl)-phenyl
2062.	4-((R)-3-methylpyrrolidin-1-yl)-phenyl
2063.	4-(1-methylpyrrolidin-2-yl)-phenyl
2064.	4-((S)-1-methylpyrrolidin-2-yl)-phenyl
2065.	4-((R)-1-methylpyrrolidin-2-yl)-phenyl
2066.	4-(1-methylpyrrolidin-3-yl)-phenyl
2067.	4-((S)-1-methylpyrrolidin-3-yl)-phenyl
2068.	4-((R)-1-methylpyrrolidin-3-yl)-phenyl
2069.	4-(2,2-dimethylpyrrolidin-1-yl)-phenyl
2070.	4-(3,3-dimethylpyrrolidin-1-yl)-phenyl
2071.	4-(2-trifluoromethylpyrrolidin-1-yl)-phenyl
2072.	4-((S)-2-trifluoromethylpyrrolidin-1-yl)-phenyl
2073.	4-((R)-2-trifluoromethylpyrrolidin-1-yl)-phenyl
2074.	4-(3-trifluoromethylpyrrolidin-1-yl)-phenyl
2075.	4-((S)-3-trifluoromethylpyrrolidin-1-yl)-phenyl
2076.	4-((R)-3-trifluoromethylpyrrolidin-1-yl)-phenyl
2077.	4-(2-oxopyrrolidin-1-yl)-phenyl
2078.	4-(2-oxo-oxazolidin-3-yl)-phenyl

No.	Ar
2079.	4-(piperidin-1-yl)-phenyl
2080.	4-(2-methylpiperidin-1-yl)-phenyl
2081.	4-((S)-2-methylpiperidin-1-yl)-phenyl
2082.	4-((R)-2-methylpiperidin-1-yl)-phenyl
2083.	4-(piperazin-1-yl)-phenyl
2084.	4-(4-methylpiperazin-1-yl)-phenyl
2085.	4-(morpholin-4-yl)-phenyl
2086.	4-(thiomorpholin-4-yl)-phenyl
2087.	4-(1-oxo-thiomorpholin-4-yl)-phenyl
2088.	4-(1,1-dioxo-thiomorpholin-4-yl)-phenyl
2089.	4-(pyrrol-1-yl)-phenyl
2090.	4-(pyrrol-2-yl)-phenyl
2091.	4-(pyrrol-3-yl)-phenyl
2092.	4-(1-methylpyrrol-2-yl)-phenyl
2093.	4-(1-methylpyrrol-3-yl)-phenyl
2094.	4-(furan-2-yl)-phenyl
2095.	4-(furan-3-yl)-phenyl
2096.	4-(thiophen-2-yl)-phenyl
2097.	4-(thiophen-3-yl)-phenyl
2098.	4-(5-propylthien-2-yl)-phenyl
2099.	4-(pyrazol-1-yl)-phenyl
2100.	4-(pyrazol-3-yl)-phenyl
2101.	4-(pyrazol-4-yl)-phenyl
2102.	4-(1-methyl-1H-pyrazol-4-yl)-phenyl
2103.	4-(1-ethyl-1H-pyrazol-4-yl)-phenyl
2104.	4-(1-methyl-1H-pyrazol-5-yl)-phenyl
2105.	4-(1H-imidazol-2-yl)-phenyl
2106.	4-(imidazol-1-yl)-phenyl
2107.	4-(1-methylimidazol-2-yl)-phenyl
2108.	4-(oxazol-2-yl)-phenyl
2109.	4-(oxazol-4-yl)-phenyl
2110.	4-(oxazol-5-yl)-phenyl

No.	Ar
2111.	4-(isoxazol-3-yl)-phenyl
2112.	4-(isoxazol-4-yl)-phenyl
2113.	4-(isoxazol-5-yl)-phenyl
2114.	4-([1,2,3]-triazol-1-yl)-phenyl
2115.	4-([1,2,4]-triazol-1-yl)-phenyl
2116.	4-([1,2,3]-triazol-2-yl)-phenyl
2117.	4-(4H-[1,2,4]-triazol-3-yl)-phenyl
2118.	4-([1,2,4]-triazol-4-yl)-phenyl
2119.	4-(2H-[1,2,3]-triazol-4-yl)-phenyl
2120.	4-(4-methyl-4H-[1,2,4]-triazol-3-yl)-phenyl
2121.	4-(2-methyl-2H-[1,2,3]-triazol-4-yl)-phenyl
2122.	4-([1,3,4]-oxadiazol-2-yl)-phenyl
2123.	4-([1,2,4]-oxadiazol-3-yl)-phenyl
2124.	4-([1,2,4]-oxadiazol-5-yl)-phenyl
2125.	4-([1,2,3]-oxadiazol-4-yl)-phenyl
2126.	4-([1,2,3]-oxadiazol-5-yl)-phenyl
2127.	4-([1,2,3]-thiadiazol-4-yl)-phenyl
2128.	4-(1H-tetrazol-5-yl)-phenyl
2129.	4-(tetrazol-1-yl)-phenyl
2130.	4-(2-methyl-2H-tetrazol-5-yl)-phenyl
2131.	4-(1-methyl-1H-tetrazol-5-yl)-phenyl
2132.	4-furazan-3-yl-phenyl
2133.	4-(pyrid-2-yl)-phenyl
2134.	4-(pyrid-3-yl)-phenyl
2135.	4-(pyrid-4-yl)-phenyl
2136.	4-(pyrimidin-2-yl)-phenyl
2137.	4-(pyrimidin-4-yl)-phenyl
2138.	4-(pyrimidin-5-yl)-phenyl
2139.	5-isopropylthiophen-2-yl
2140.	2-chlorothiophen-5-yl
2141.	2,5-dichlorothiophen-4-yl
2142.	2,3-dichlorothiophen-5-yl

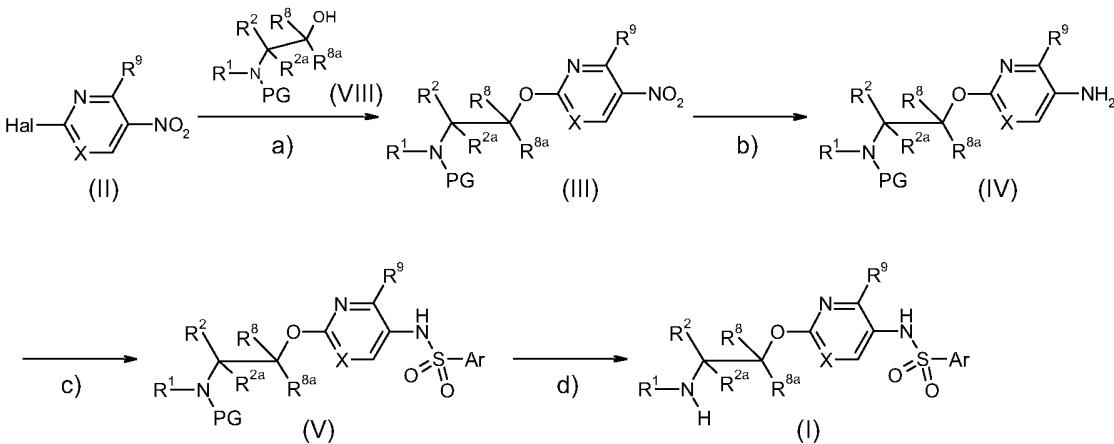
No.	Ar
2143.	2-chloro-3-nitrothiophen-5-yl
2144.	2-(phenylsulfonyl)-thiophen-5-yl
2145.	2-(pyridin-2-yl)thiophen-5-yl
2146.	2-(5-(trifluoromethyl)isoxazol-3-yl)-thiophen-5-yl
2147.	2-(2-methylthiazol-4-yl)-thiophen-5-yl
2148.	1-methyl-1H-imidazol-4-yl
2149.	1,2-dimethyl-1H-imidazol-4-yl
2150.	3,5-dimethylisoxazol-4-yl
2151.	thiazol-2-yl
2152.	4-methylthiazol-2-yl
2153.	4-isopropylthiazol-2-yl
2154.	4-trifluoromethylthiazol-2-yl
2155.	5-methylthiazol-2-yl
2156.	5-isopropylthiazol-2-yl
2157.	5-trifluoromethylthiazol-2-yl
2158.	2,4-dimethylthiazol-5-yl
2159.	2-acetamido-4-methylthiazol-5-yl
2160.	4H-[1,2,4]triazol-3-yl
2161.	5-methyl-4H-[1,2,4]triazol-3-yl
2162.	4-methyl-4H-[1,2,4]triazol-3-yl
2163.	5-isopropyl-4H-[1,2,4]triazol-3-yl
2164.	5-trifluoromethyl-4H-[1,2,4]triazol-3-yl
2165.	4,5-dimethyl-4H-[1,2,4]triazol-3-yl
2166.	5-isopropyl-4-methyl-4H-[1,2,4]triazol-3-yl
2167.	5-trifluoromethyl-4-methyl-4H-[1,2,4]triazol-3-yl
2168.	[1,3,4]thiadiazol-2-yl
2169.	5-methyl-[1,3,4]thiadiazol-2-yl
2170.	5-isopropyl-[1,3,4]thiadiazol-2-yl
2171.	5-trifluoromethyl-[1,3,4]thiadiazol-2-yl
2172.	3-bromo-2-chloropyrid-5-yl

No.	Ar
2173.	2-(4-morpholino)-pyrid-5-yl
2174.	2-phenoxy pyrid-5-yl
2175.	(2-isopropyl)-pyrimidin-5-yl
2176.	(5-isopropyl)-pyrimidin-2-yl
2177.	8-quinolyl
2178.	5-isoquinolyl
2179.	2-(trifluoroacetyl)-1,2,3,4-tetrahydro isoquinolin-7-yl
2180.	5-chloro-3-methylbenzothiophen-2-yl
2181.	3,4-dihydro-4-methyl-2H-benzo[b][1,4]oxazinyl
2182.	benzothiazol-6-yl
2183.	benzo[2,1,3]oxadiazol-4-yl
2184.	5-chlorobenzo[2,1,3]oxadiazol-4-yl
2185.	7-chlorobenzo[2,1,3]oxadiazol-4-yl
2186.	benzo[2,1,3]thiadiazol-4-yl
2187.	6-chloroimidazo[2,1-b]thiazolyl

The compounds of the formula I where R<sup>3</sup> and R<sup>1a</sup> both are hydrogen can be prepared by analogy to methods which are well known in the art. A preferred method for the preparation of compounds I is outlined in scheme 1:

5

Scheme 1



In scheme 1 R<sup>1</sup>, R<sup>2</sup>, R<sup>2a</sup>, R<sup>8</sup>, R<sup>8a</sup>, R<sup>9</sup>, X and Ar have the meanings as given above.

PG is an amino-protecting group such as tert.-butoxycarbonyl or benzyl. Suitable protecting groups are disclosed, for example, in P. Kocienski, Protecting Groups,

- 5 Thieme-Verlag, Stuttgart 2000, Chapter 6. Hal is halogen, in particular bromine or chlorine.

According to scheme 1, following standard methods for nucleophilic aromatic substitution reactions, compound II is reacted in step a) with an aminoalcohol VIII

- 10 in the presence of a base, such as sodium hydride, sodium alkoxide or potassium carbonate in an organic solvent such as dimethylformamide, dioxane or tetrahydrofuran (see e.g. WO 2004/000830).

Alternatively, compounds III can be prepared from compounds II and VIII via

- 15 palladium-catalyzed reactions described in the literature, for example in J. Am. Chem. Soc. 2001, 123, pp. 10770-10771. One example for a suitable Pd(0) catalyst is Pd(OAc)<sub>2</sub> which is customarily used in the presence of a ligand like for example [1,1']binaphthalenyl-2-yl-di-tert-butyl-phosphane in solvents like for example toluene or 1,2-dimethoxy ethane.

20

The so obtained nitro compound III is reduced in step b) by conventional means to give the corresponding amino compound IV. The required reaction conditions correspond to the customary conditions for reducing aromatic nitro groups which have been described extensively in the literature (see, for example, J. March,

- 25 Advanced Organic Chemistry, 3rd ed., J. Wiley & Sons, New-York, 1985, p. 1183 and the literature cited in this reference). The reduction is achieved, for example, by reacting the nitro compound III with a metal such as iron, zinc or tin under acidic reaction conditions, i.e. using nascent hydrogen, or using a complex hydride such as lithium aluminum hydride or sodium borohydride, preferably in the  
30 presence of transition metal compounds of nickel or cobalt such as NiCl<sub>2</sub>(P(phenyl)<sub>3</sub>)<sub>2</sub>, or CoCl<sub>2</sub>, (see Ono et al. Chem. Ind. (London), 1983 p. 480), or using NaBH<sub>2</sub>S<sub>3</sub> (see Lalancette et al., Can. J. Chem. 49, 1971, p. 2990), with it being possible to carry out these reductions, depending on the given reagent, in substance or in a solvent or diluent. Alternatively, the reduction of III to IV can be

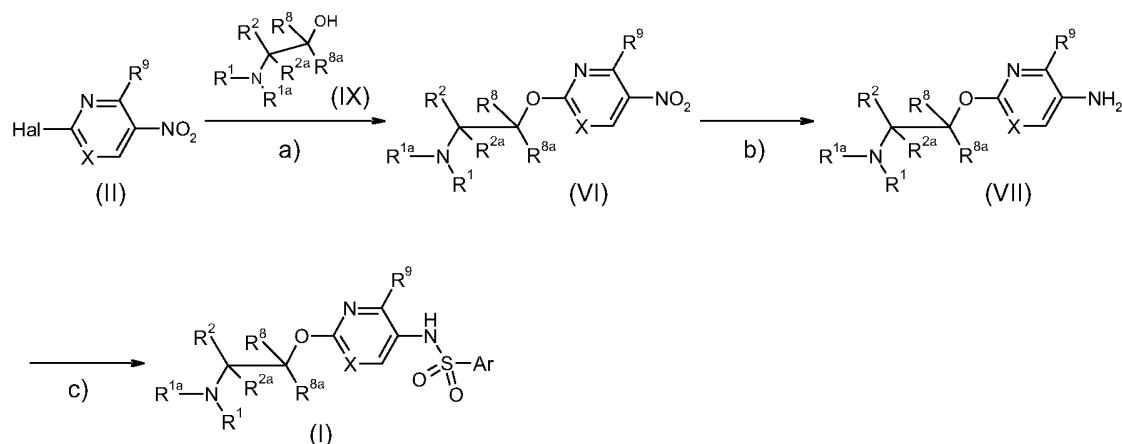
- carried out with hydrogen in the presence of a transition metal catalyst, e.g. using hydrogen in the presence of catalysts based on platinum, palladium, nickel, ruthenium or rhodium. The catalysts can contain the transition metal in elemental form or in the form of a complex compound, of a salt or of an oxide of the transition metal, with it being possible, for the purpose of modifying the activity, to use customary coligands, e.g. organic phosphine compounds, such as triphenylphosphine, tricyclohexylphosphine or tri-n-butylphosphines or phosphites. The catalyst is customarily employed in quantities of from 0.001 to 1 mol per mol of compound III, calculated as catalyst metal. In a preferred variant, the reduction is effected using tin(II) chloride in analogy with the methods described in Bioorganic and Medicinal Chemistry Letters, 2002, 12(15), pp. 1917-1919 and J. Med. Chem. 2002, 45(21), pp. 4679-4688. The reaction of III with tin(II) chloride is preferably carried out in an inert organic solvent, preferably an alcohol such as methanol, ethanol, isopropanol or butanol.
- 15 The thus obtained compound IV is reacted with an arylsulfonylchloride Cl-SO<sub>2</sub>-Ar, preferably in the presence of a base, according to standard procedures of the art to afford compound V. The reaction depicted in scheme 1 step c) takes place under the reaction conditions which are customary for preparing arylsulfonamide compounds or arylsulfonic esters, respectively, and which are described, for example, in J. March, Advanced Organic Chemistry, 3<sup>rd</sup> edition, John Wiley & Sons, New York, 1985 p 444 and the literature cited therein, European J. Org. Chem. 2002 (13), pp. 2094-2108, Tetrahedron 2001, 57 (27) pp. 5885-5895, Bioorganic and Medicinal Chemistry Letters, 2000, 10(8), pp. 835-838 and Synthesis 2000 (1), pp. 103-108. The reaction customarily takes place in an inert solvent, for example in an ether, such as diethyl ether, diisopropyl ether, methyl tert-butyl ether or tetrahydrofuran, a halohydrocarbon, such as dichloromethane, an aliphatic or cycloaliphatic hydrocarbon, such as pentane, hexane or cyclohexane, or an aromatic hydrocarbon, such as toluene, xylene, cumene and the like, or in a mixture of the abovementioned solvents. The reaction of IV with Cl-SO<sub>2</sub>-Ar is customarily carried out in the presence of an auxiliary base. Suitable bases are inorganic bases, such as sodium carbonate or potassium carbonate, or sodium hydrogencarbonate or potassium hydrogencarbonate, and organic bases, for example trialkylamines, such as triethylamine, or pyridine compounds, such as

pyridine, lutidine and the like. The latter compounds can at the same time serve as solvents. The auxiliary base is customarily employed in at least equimolar quantities, based on the amine compound IV.

- 5 In step d) the protecting group PG is cleaved by conventional means (see e.g. P. Kocienski, Protecting Groups, Thieme-Verlag, Stuttgart 2000, Chapter 6) thereby affording a compound I, wherein R<sup>1a</sup> is hydrogen.

Scheme 2

10



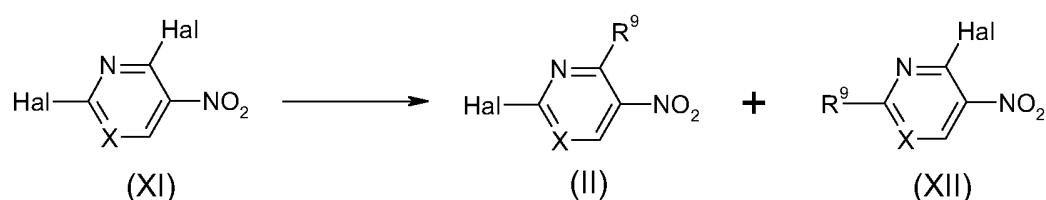
In scheme 2 is depicted the synthesis of compounds of the formula I where R<sup>1</sup>, R<sup>1a</sup>, R<sup>2</sup>, R<sup>2a</sup>, R<sup>8</sup>, R<sup>8a</sup>, R<sup>9</sup>, X and Ar have the meanings as given above. Hal is

- 15 halogen, in particular bromine and chlorine. The reaction steps a), b), and c) to obtain compounds I in scheme 2 follow the reaction steps a), b), and c) described for scheme 1.

The compounds II can be obtained from commercial sources.

20

Scheme 3



If R<sup>9</sup> is alkoxy, compounds II also can be synthesized according to scheme 3.

Following standard methods, commercially available compounds XI, wherein Hal is halogen, in particular bromine or chlorine, are reacted with an alkali salt of an

- 5 alcohol, e.g. sodium or potassium salt of e.g. methanol, ethanol or n-propanol, in the corresponding alcohol as a solvent, e.g. methanol, ethanol or n-propanol. The so obtained mixture of compounds II and XII can be separated for example by means of recrystallizing from a solvent or by means of chromatography to provide the desired compound II.

10

Protected aminoalcohols VIII are either commercially available or can be obtained from commercially available aminoalcohols by selectively protecting the amino group of these compounds according to standard methods (see e.g. P. Kocienski, Protecting Groups, loc. cit.).

15

Aminoalcohols IX are either commercially available or can be prepared by analogy to methods which are well known in the art.

- 20 A skilled person will also appreciate that compounds of the formula I wherein R<sup>3</sup> is different from hydrogen, can be obtained by selective alkylation of the sulfonamide group in the compounds of the formulae V or I.

If R<sup>1</sup> or R<sup>1a</sup> in compound I is (are) allyl the allyl group(s) can be cleaved to obtain a compound I' or I'' wherein R is hydrogen. The cleavage of the allyl group is

- 25 achieved, for example, by reacting I [R<sup>1</sup> = allyl] with an allyl trapping agent, such as mercaptobenzoic acid or 1,3-dimethylbarbituric acid, in the presence of catalytic quantities of palladium (0) compounds or palladium compounds which are able to form a palladium(0) compound under reaction conditions, e.g. palladium dichloride, tetrakis(triphenylphosphine)palladium(0) or  
30 tris(dibenzylideneacetone)dipalladium(0), advantageously in combination with phosphine ligands, e.g. triarylphosphines, such as triphenylphosphine, trialkylphosphines, such as tributylphosphine, and cycloalkylphosphines, such as tricyclohexylphosphine, and especially with phosphine chelate ligands, such as 2,2'-bis(diphenylphosphino)-1,1'-binaphthyl or 1,4-bis(diphenylphosphino)butane,

using methods known from the literature (with regard to eliminating N-allyl in the presence of mercaptobenzoic acid, see WO 94/24088; with regard to eliminating in the presence of 1,3-dimethylbarbituric acid, see J. Am. Chem. Soc. 2001, 123 (28), pp. 6801-6808 and J. Org. Chem 2002, 67(11) pp. 3718-3723). Alternatively, 5 the cleavage of N-allyl can also be effected by reacting in the presence of rhodium compounds, such as tris(triphenylphosphine)chlororhodium(I), using methods known from the literature (see J. Chem. Soc., Perkin Transaction I: Organic and Bio-Organic Chemistry 1999 (21) pp. 3089-3104 and Tetrahedron Asymmetry 1997, 8(20), pp. 3387 - 3391). If R<sup>1</sup> or R<sup>1a</sup> in compound I is (are) allyl the allyl 10 group can be also converted into a n-propyl group by hydrogenation in the presence of Pd-C as a catalyst.

If not indicated otherwise, the above-described reactions are generally carried out in a solvent at temperatures between room temperature and the boiling 15 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 20 general manner, "Microwaves in Organic Synthesis", André Loupy (Ed.), Wiley-VCH 2002.

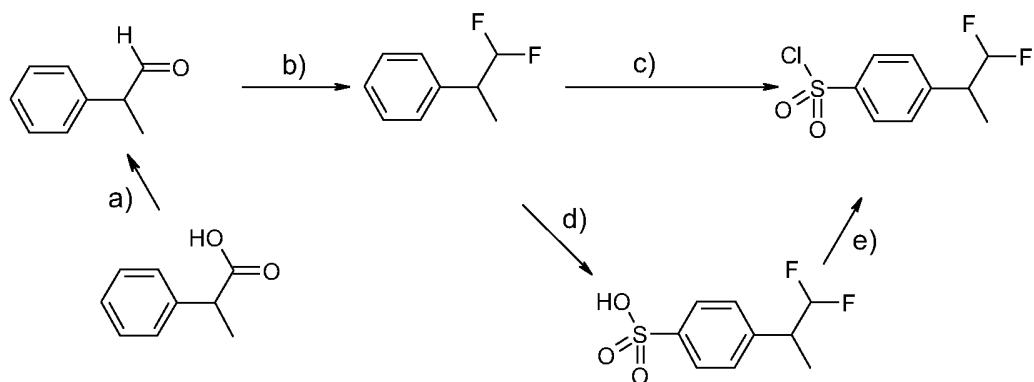
The sulfonylchlorides Cl-SO<sub>2</sub>-Ar are either commercially available or can be prepared according to standard synthetic methods. Sulfonylchlorides containing a 25 fluorinated radical R<sup>a</sup> may be prepared by different synthetic routes, e.g. by reacting suitable hydroxy or oxo precursor (e.g. a compound Cl-SO<sub>2</sub>-Ar, carrying a hydroxy or oxo substituted radical) with fluorinating reagents like DAST (diethylaminosulfurtrifluoride), morpholine-DAST, deoxo-fluor (bis(2-methoxy-ethyl)aminosulfur trifluoride), Ishikawa's reagent (N,N-diethyl-(1,1,2,3,3,3-hexa- 30 fluoropropyl)amine; Journal of Fluorine Chemistry, 1989, 43, 371-377). More conventionally, the hydroxy group of an aromatic compound which carries a hydroxy substituted radical but not a chlorosulfonyl group, is transformed into a leaving group which is then replaced by a fluoride ion (J. Org. Chem., 1994, 59, 2898-22901; Tetrahedron Letters, 1998, 7305-6; J. Org. Chem., 1998, 63, 9587-

- 9589, Synthesis, 1987, 920-21)). Subsequent direct chlorosulfonylation with chlorosulfonic acid (Heterocycles, 2001, 55, 9, 1789-1803; J. Org. Chem., 2000, 65, 1399-1406) or a two step process preparing first the sulfonic acid derivatives which are then transformed to the sulfonylchlorides with e.g. chlorosulfonic acid,
- 5 phosphorus pentachloride (Eur. J. Med. Chem., 2002, 36, 809-828) and the like, yields the desired sulfonylchloride (Tetrahedron Letters, 1991, 33, 50 7787-7788). Sulfonylchlorides may also be prepared by diazotation of suitable amine precursor Ar-NH<sub>2</sub> with sodium nitrite under acidic conditions and reaction with sulfur dioxide in acetic acid (scheme (iii); J. Org. Chem., 1960, 25, 1824-26;); by oxidation of
- 10 suitable heteroaryl-thiols HS-Ar or heteroaryl-benzyl-thioethers C<sub>6</sub>H<sub>5</sub>-CH<sub>2</sub>-S-Ar with chlorine (Synthesis, 1998, 36-38; J. Am. Chem. Soc., 1950, 74, 4890-92;) directly to the corresponding sulfonyl chlorides. The further are known in the art or may be prepared by standard methods. E.g. mercapto-pyrimidines or pyrimidinyl-benzylthioether precursors can e.g. be prepared according to literature
- 15 (Chemische Berichte, 1960, 1208-11; Chemische Berichte, 1960, 95, 230-235; Collection Czechoslow. Chem. Comm., 1959, 24, 1667-1671; Austr. J. Chem., 1966, 19, 2321-30; Chemiker-Zeitung, 101, 6, 1977, 305-7; Tetrahedron, 2002, 58, 887-890; Synthesis, 1983, 641-645).
- 20 A skilled person will readily appreciate that compounds of the formula I can also be obtained from structurally similar compounds by functional group interconversion. In particular N-bound radicals R<sup>a</sup> can be introduced into compounds of the formula I by reacting the corresponding halogen compound, i.e. a compound of the formula I, which instead of R<sup>a</sup> carries a halogen atom, in
- 25 particular a bromine or iodine atom, with a primary or secondary amine in the presence of a base, preferably also in the presence of a palladium catalyst in terms of a Buchwald-Hartwig reaction.

In the following schemes 4 to 6 several routes are shown which are suitable to

30 prepare benzenesulfonyl chlorides carrying a fluorinated propyl radical.

Scheme 4:

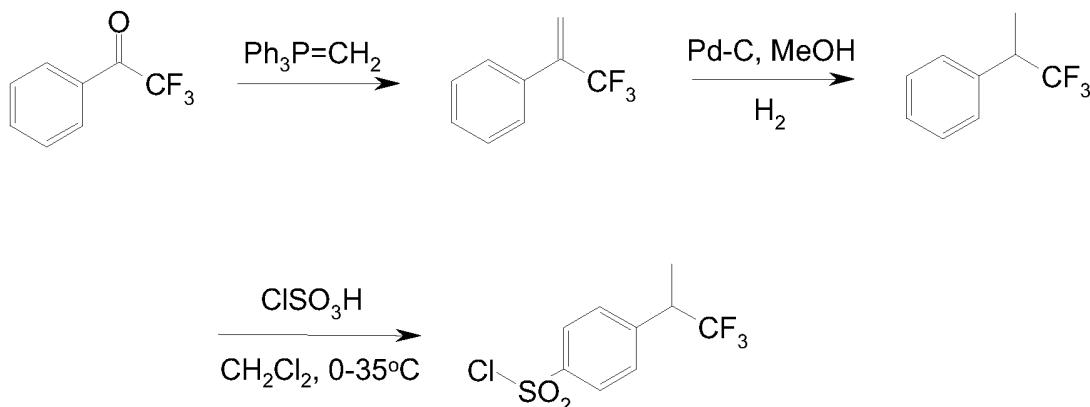


The 4-(1,1-difluoro-2-propyl)benzenesulfon chloride intermediate can be prepared from the commercially available 2-phenylpropanoic acid. In the first step

- 5    a) the 2-phenylpropanoic acid is converted to the alkyl ester by esterification with an alcohol (e.g. methanol or ethanol) under acid catalysis (e.g. HCl, SO<sub>2</sub>Cl<sub>2</sub>). The ester can be reduced to the corresponding 2-phenyl propanal by a reducing agent such as DIBAL (diisobutylaluminium hydride). The aldehyde is converted to the
- 10    1,1-difluoro-2-propyl derivative by reaction with a suitable fluorinating reagent like DAST (diethylaminosulfurtrifluoride), morpholine-DAST, deoxo-fluor (bis(2-methoxyethyl)aminosulfur trifluoride), Ishikawa's reagent (N,N-diethyl-(1,1,2,3,3,3-hexafluoropropyl)amine; Journal of Fluorine Chemistry, 1989, 43, 371-377) (step b). The thus obtained 1,1-difluoro-2-phenylpropane can be converted into
- 15    4-(1,1-difluoro-2-propyl)benzenesulfon chloride by either direct chlorosulfonylation with chlorosulfonic acid (Heterocycles, 2001, 55, 9, 1789-1803; J. Org. Chem., 2000, 65, 1399-1406) (step c) or by a two step process preparing first the sulfonic acid derivatives (step d) which are then transformed to the
- 20    sulfonylchlorides (step e) by reaction with e.g. chlorosulfonic acid, phosphorous pentachloride (Eur. J. Med. Chem., 2002, 36, 809-828); through diazotisation of suitable amine precursors with sodium nitrite under acidic conditions and reaction with sulfur dioxide in acetic acid (J. Org. Chem., 1960, 25, 1824-26); oxidation of suitable heteroaryl-thiols or heteroaryl-benzyl-thioethers with chlorine (Synthesis, 1998, 36-38; J. Am. Chem. Soc., 1950, 74, 4890-92) directly to the corresponding sulfonyl chlorides.

The synthesis shown in scheme 4 can also be performed using (R)-2-phenylpropanoic acid and (S)-2-phenylpropanoic acid, respectively, to give the corresponding chiral 4-(1,1-difluoropropan-2-yl)benzene-1-sulfonyl chlorides.

5 Scheme 5:

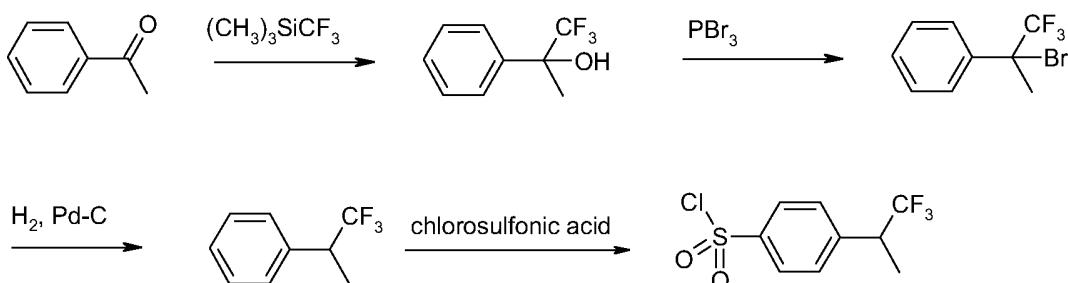


4-(1,1,1-Trifluoropropan-2-yl)benzene-1-sulfonyl chloride intermediate can be prepared from the commercially available 2,2,2-trifluoro-1-phenylethanone by a synthetic route shown in scheme 5. The ketone can be converted to the 3,3,3-trifluoro-2-phenylpropene by a Wittig reaction with a suitable ylide such as methylene-triphenylphosphane (prepared by reaction of methyltriphenylphosphonium halide and a suitable base such as lithium diisopropylamide or potassium tert-butoxide) or according to a Horner-Emmons reaction by reacting the ketone with a suitable phosphonate such as diethyl methylphosphonate and a suitable base such as lithium diisopropylamide or potassium tert-butoxide. The thus obtained 3,3,3-trifluoro-2-phenylpropene can then be reduced to the saturated alkane by catalytic hydrogenation (e.g. Pd-C) followed by conversion to the sulfonyl chloride by the methods described in scheme 4.

The synthesis of scheme 5 can also be performed using a chiral catalyst for the alkene hydrogenation to allow the preparation of the corresponding chiral 4-(1,1,1-trifluoropropan-2-yl)benzene-1-sulfonyl chlorides.

25

Scheme 6:



The 4-(1,1,1-trifluoropropan-2-yl)benzene-1-sulfonyl chloride can be also prepared  
 5 from the commercially available 1-phenyl-ethanone by a four step procedure as shown in scheme 6. The ketone can be converted to the trifluoromethyl hydroxyl intermediate by reaction with trimethyl-trifluoromethyl-silane (Journal of Organic Chemistry, 2000, 65, 8848-8856; Journal of Fluorine Chemistry, 2003, 122, 243-246) which can then be converted to the trifluoromethyl bromide (Journal of the  
 10 American Chemical Society, 1987, 109, 2435-4). Dehalogenation by catalytic hydrogenation (e.g. Pd-C) can then be followed by conversion to the sulfonyl chloride by the methods discussed above.

Examples of solvents which can be used are ethers, such as diethyl ether,  
 15 diisopropyl ether, methyl *tert*-butyl ether or tetrahydrofuran, aprotic polar solvent, such as dimethylformamide, dimethyl sulfoxide, dimethoxyethane, and acetonitrile, aromatic hydrocarbons, such as toluene and xylene, ketones, such as acetone or methyl ethyl ketone, halohydrocarbons, such as dichloromethane, trichloromethane and dichloroethane, esters, such as ethyl acetate and methyl  
 20 butyrate, carboxylic acids, such as acetic acid or propionic acid, and alcohols, such as methanol, ethanol, n-propanol, isopropanol, n-butanol, isobutanol, 2-butanol and *tert*-butanol.

If desired, it is possible for a base to be present in order to neutralize protons  
 25 which are released in the reactions. Suitable bases include inorganic bases, such as sodium carbonate, potassium carbonate, sodium hydrogen carbonate or potassium hydrogen carbonate, and, in addition, alkoxides, such as sodium methoxide or sodium ethoxide, alkali metal hydrides, such as sodium hydride, and also organometallic compounds, such as butyllithium compounds or

alkylmagnesium compounds, or organic nitrogen bases, such as triethylamine or pyridine. The latter compounds can at the same time serve as solvents.

- The crude product is isolated in a customary manner, for example by filtering,
- 5 distilling off the solvent or extracting from the reaction mixture, etc. The resulting compounds can be purified in a customary manner, for example by means of recrystallizing from a solvent, by means of chromatography or by means of converting into an acid addition salt.
- 10 The acid addition salts 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.
- 15 The compounds according to the invention of the formula I are surprisingly highly selective dopamine D<sub>3</sub> receptor ligands which, because of their low affinity for other receptors such as D<sub>1</sub> receptors, D<sub>4</sub> receptors, α1-adrenergic and/or α2-adrenergic receptors, muscarinic receptors, histamine receptors, opiate receptors and, in particular, dopamine D<sub>2</sub> receptors, give rise to fewer side-effects than do the classic neuroleptics, which are D<sub>2</sub> receptor antagonists. A compound of the invention can be a dopamine D<sub>3</sub> receptor agonist, including partial agonistic activity, or a dopamine D<sub>3</sub> receptor antagonist, including partial antagonistic activity.
- 20 The high affinity of the compounds according to the invention for D<sub>3</sub> receptors is reflected in very low in-vitro receptor binding constants (K<sub>i</sub>(D<sub>3</sub>) values) of as a rule less than 50 nM (nmol/l), preferably of less than 10 nM and, in particular of less than 5 nM. The displacement of [<sup>125</sup>I]-iodosulpride can, for example, be used in receptor binding studies for determining binding affinities for D<sub>3</sub> receptors.
- 25 The selectivity of the compounds according to the invention, i.e. the ratio K<sub>i</sub>(D<sub>2</sub>)/K<sub>i</sub>(D<sub>3</sub>) of the receptor binding constants, is as a rule at least 50, preferably at least 100, even better at least 150. The displacement of [<sup>3</sup>H]SCH23390, [<sup>125</sup>I]

The selectivity of the compounds according to the invention, i.e. the ratio K<sub>i</sub>(D<sub>2</sub>)/K<sub>i</sub>(D<sub>3</sub>) of the receptor binding constants, is as a rule at least 50, preferably at least 100, even better at least 150. The displacement of [<sup>3</sup>H]SCH23390, [<sup>125</sup>I]

iodosulpride or [<sup>125</sup>I] spiperone can be used, for example, for carrying out receptor binding studies on D<sub>1</sub>, D<sub>2</sub> and D<sub>4</sub> receptors.

Because of their binding profile, the compounds can be used for treating diseases  
5 which respond to dopamine D<sub>3</sub> receptor ligands (or which are susceptible to treatment with a dopamine D<sub>3</sub> receptor ligand, respectively), i.e. they are effective for treating those medical disorders or diseases in which exerting an influence on (modulating) the dopamine D<sub>3</sub> receptors leads to an improvement in the clinical picture or to the disease being cured. Examples of these diseases are disorders or  
10 diseases of the central nervous system.

Disorders or diseases of the central nervous system are understood as meaning disorders which affect the spinal chord and, in particular, the brain. Within the meaning of the invention, the term "disorder" denotes disturbances and/or  
15 anomalies which are as a rule regarded as being pathological conditions or functions and which can manifest themselves in the form of particular signs, symptoms and/or malfunctions. While the treatment according to the invention can be directed toward individual disorders, i.e. anomalies or pathological conditions, it is also possible for several anomalies, which may be causatively linked to each  
20 other, to be combined into patterns, i.e. syndromes, which can be treated in accordance with the invention.

The disorders which can be treated in accordance with the invention are, in particular, psychiatric and neurological disturbances. These disturbances include,  
25 in particular, organic disturbances, including symptomatic disturbances, such as psychoses of the acute exogenous reaction type or attendant psychoses of organic or exogenous cause, e.g., in association with metabolic disturbances, infections and endocrinopathies; endogenous psychoses, such as schizophrenia and schizotype and delusional disturbances; affective disturbances,  
30 such as depressions, mania and/or manic-depressive conditions; and also mixed forms of the above-described disturbances; neurotic and somatoform disturbances and also disturbances in association with stress; dissociative disturbances, e.g. loss of consciousness, clouding of consciousness, double consciousness and personality disturbances; disturbances in attention and waking/sleeping behavior,

- such as behavioral disturbances and emotional disturbances whose onset lies in childhood and youth, e.g. hyperactivity in children, intellectual deficits, in particular attention disturbances (attention deficit disorders), memory disturbances and cognitive disturbances, e.g. impaired learning and memory (impaired cognitive function), dementia, narcolepsy and sleep disturbances, e.g. restless legs syndrome; development disturbances; anxiety states, delirium; sexlife disturbances, e.g. impotence in men; eating disturbances, e.g. anorexia or bulimia; addiction; and other unspecified psychiatric disturbances.
- 5        10      The disorders which can be treated in accordance with the invention also include Parkinson's disease and epilepsy and, in particular, the affective disturbances connected thereto.
- 15      The addiction diseases include psychic disorders and behavioral disturbances which are caused by the abuse of psychotropic substances, such as pharmaceuticals or narcotics, and also other addiction diseases, such as addiction to gaming (impulse control disorders not elsewhere classified). Examples of addictive substances are: opioids (e.g. morphine, heroin and codeine), cocaine; nicotine; alcohol; substances which interact with the GABA chloride channel
- 20      complex, sedatives, hypnotics and tranquilizers, for example benzodiazepines; LSD; cannabinoids; psychomotor stimulants, such as 3,4-methylenedioxy-N-methylamphetamine (ecstasy); amphetamine and amphetamine-like substances such as methylphenidate and other stimulants including caffeine. Addictive substances which come particularly into consideration are opioids, cocaine, amphetamine or amphetamine-like substances, nicotine and alcohol.
- 25      With regard to the treatment of addiction diseases, particular preference is given to those compounds according to the invention of the formula I which themselves do not possess any psychotropic effect. This can also be observed in a test using
- 30      rats, which, after having been administered compounds which can be used in accordance with the invention, reduce their self administration of psychotropic substances, for example cocaine.

According to another aspect of the present invention, the compounds according to the invention are suitable for treating disorders whose causes can at least partially be attributed to an anomalous activity of dopamine D<sub>3</sub> receptors.

- 5 According to another aspect of the present invention, the treatment is directed, in particular, toward those disorders which can be influenced, within the sense of an expedient medicinal treatment, by the binding of preferably exogeneously administered binding partners (ligands) to dopamine D<sub>3</sub> receptors.
- 10 The diseases which can be treated with the compounds according to the invention are frequently characterized by progressive development, i.e. the above-described conditions change over the course of time; as a rule, the severity increases and conditions may possibly merge into each other or other conditions may appear in addition to those which already exist.
- 15 The compounds according to the invention can be used to treat a large number of signs, symptoms and/or malfunctions which are connected with the disorders of the central nervous system and, in particular, the abovementioned conditions. These signs, symptoms and/or malfunctions include, for example, a disturbed relationship to reality, lack of insight and ability to meet customary social norms or the demands made by life, changes in temperament, changes in individual drives, such as hunger, sleep, thirst, etc., and in mood, disturbances in the ability to observe and combine, changes in personality, in particular emotional lability, hallucinations, ego-disturbances, distractedness, ambivalence, autism,
- 20 depersonalization and false perceptions, delusional ideas, chanting speech, lack of synkinesia, short-step gait, flexed posture of trunk and limbs, tremor, poverty of facial expression, monotonous speech, depressions, apathy, impeded spontaneity and decisiveness, impoverished association ability, anxiety, nervous agitation, stammering, social phobia, panic disturbances, withdrawal symptoms in
- 25 association with dependency, maniform syndromes, states of excitation and confusion, dysphoria, dyskinetic syndromes and tic disorders, e.g. Huntington's chorea and Gilles-de-la-Tourette's syndrome, vertigo syndromes, e.g. peripheral positional, rotational and oscillatory vertigo, melancholia, hysteria, hypochondria and the like.
- 30

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.

- 5 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.
- 10 Therefore the compounds according to the invention are preferentially suitable for treating diseases of the central nervous system, in particular for treating affective disorders; neurotic disturbances, stress disturbances and somatoform disturbances and psychoses, and, in particular, for treating schizophrenia and depression. Because of their high selectivity with regard to the D<sub>3</sub> receptor, the
- 15 compounds I according to the invention are also suitable for treating disturbances of kidney function, in particular disturbances of kidney function which are caused by diabetes mellitus (see WO 00/67847) and, especially, diabetic nephropathy.

Particularly, the compounds of the invention are suitable for treating following disorders: Parkinson's disease, schizophrenia, cognitive disturbances, depression, anxiety, addiction, kidney function disturbances, eating disturbances and epilepsy.

Within the context of the treatment, the use according to the invention of the described compounds involves a method. In this method, an effective quantity of one or more compounds, 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

- 5 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 the production of pharmaceutical compositions for treating an individual, preferably a mammal, in particular a human being,

- 10 productive animal or domestic animal. Thus, the ligands 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, 15 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

- 20 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 25 administering inhibitors according to the invention. In addition, it is also possible to use liposomes or microspheres.

When producing the compositions, the compounds according to the invention are optionally mixed or diluted with one or more excipients. Excipients can be solid,

- 30 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; preservatives; 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], 4<sup>th</sup> edition, Aulendorf: ECV-Editio-Kantor-Verlag, 1996.

15

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

The compounds were either characterized via proton-NMR in d<sub>6</sub>-dimethylsulfoxid or d-chloroform, if not stated otherwise, 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 ( $\delta$ ) expressed in parts per million (ppm). The relative area of the shifts in the <sup>1</sup>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).

30

Preparation Examples:

I. Intermediates

a. [2-(5-Amino-6-methoxy-pyridin-2-yloxy)-ethyl]-propyl-carbamic acid *tert*-butyl ester

a.1 [2-(6-Methoxy-5-nitro-pyridin-2-yloxy)-ethyl]-propyl-carbamic acid *tert*-butyl ester

A mixture of 6-bromo-2-methoxy-3-nitropyridine (5g, 21.46 mmol), (2-hydroxy-ethyl)-propyl-carbamic acid *tert*-butyl ester (4.36 g, 21.46 mmol) and K<sub>2</sub>CO<sub>3</sub> (2.97 g, 21.46 mmol) in dimethylformamide (DMF) (60 ml) was stirred at room temperature for 24 h and at 40°C for 4h. After evaporation of the solvent under reduced pressure the residue was purified by silica gel chromatography with dichloromethane/methanol (10:0; 9:1; 7:3; 0:10) as eluent to provide 2.81 g (36.9%) of the product.

15 MS (ESI) m/z: 356.25 [M+H(-BOC)]<sup>+</sup>

a.2 [2-(5-Amino-6-methoxy-pyridin-2-yloxy)-ethyl]-propyl-carbamic acid *tert*-butyl ester

20 A mixture of [2-(6-methoxy-5-nitro-pyridin-2-yloxy)-ethyl]-propyl-carbamic acid *tert*-butyl ester (1.12 g, 3.16 mmol) and 10% palladium on charcoal (0.34 g, 0.316 mmol) in ethanol (80 ml) was hydrogenated at atmospheric pressure until the consumption of hydrogen was complete. After filtration and evaporation of the solvent under reduced pressure 960 mg (93.5%) of the title compound were obtained.

25 MS (ESI) m/z: 326.25 [M+H]<sup>+</sup>

b. 6-(1-Benzyl-pyrrolidin-3-yloxy)-2-methoxy-pyridin-3-ylamine

30 b.1 6-(1-Benzyl-pyrrolidin-3-yloxy)-2-methoxy-3-nitro-pyridine

A mixture of 6-bromo-2-methoxy-3-nitropyridine (1.5 g, 6.44 mmol), 1-benzyl-pyrrolidin-3-ol (1.14 g, 6.44 mmol) and K<sub>2</sub>CO<sub>3</sub> (0.89 g, 6.44 mmol) in

dimethylformamide (DMF) (20 ml) was stirred at room temperature for 24 h and at 40°C for 4h. After evaporation of the solvent under reduced pressure the residue was purified by silica gel chromatography with dichloromethane/methanol (10:0; 9:1; 7:3; 0:10) as eluent to provide 380 mg  
5 (18.1%) of the product.

MS (ESI) m/z: 330.15 [M+H]<sup>+</sup>

b.2 6-(1-Benzyl-pyrrolidin-3-yloxy)-2-methoxy-pyridin-3-ylamine

To a solution of 6-(1-benzyl-pyrrolidin-3-yloxy)-2-methoxy-3-nitro-pyridine (0.38 g, 1.15 mmol) in acetic acid (4 ml) at 80°C was added iron (0.32 g, 5.77 mmol) slowly in portions. The exothermic reaction was stirred for 3 h at 80°C. After evaporation of the solvent under reduced pressure the solid  
10 residue was dissolved in 1N NaOH, which was extracted 6 times with dichloromethane. The combined organic layers were dried over MgSO<sub>4</sub>, filtered and the solvent evaporated to obtain 240 mg (68.9%) of the title compound.  
15

MS (ESI) m/z: 300.15 [M+H]<sup>+</sup>

c. 2-Methoxy-6-(2-pyrrolidin-1-yl-ethoxy)-pyridin-3-ylamine

The desired product was obtained following the synthetic procedure analogous to that described for the preparation of intermediate a. starting from 6-bromo-2-methoxy-3-nitropyridine and 2-pyrrolidin-1-yl-ethanol.  
25

MS (ESI) m/z: 268.15 [M+H]<sup>+</sup>

d. [2-(5-Amino-4-methoxy-pyrimidin-2-yloxy)-ethyl]-propyl-carbamic acid *tert*-butyl ester

d.1 2-Chloro-4-methoxy-5-nitro-pyrimidine

To a solution of 2,4-dichloro-5-nitropyrimidine (10 g, 51.55 mmol) in methanol (150 ml) at -10°C a solution of potassium methanolate (3.62 g, 51.55 mmol) in methanol (150 ml) was added over a period of 10 minutes. The mixture was allowed to warm to 0°C and the solvent was evaporated under reduced pressure at 30°C. The residue was purified by silica gel chromatography with n-heptane/ethyl acetate (3:1) as eluent affording 3.7 g (37.9%) of the title compound. 1.34 g (13.7%) 4-chloro-2-methoxy-5-nitropyrimidine was obtained as a side product

10 MS (ESI) m/z: 196.15 [M+H]<sup>+</sup>

d.2 [2-(4-Methoxy-5-nitro-pyrimidin-2-yloxy)-ethyl]-propyl-carbamic acid *tert*-butyl ester

15 To a solution of (2-hydroxy-ethyl)-propyl-carbamic acid *tert*-butyl ester (1.07 g, 5.28 mmol) in THF (40 ml) at 0°C was added NaH (0.25 g, 5.80 mmol). After stirring the suspension at 0°C for 30 minutes a solution of 2-chloro-4-methoxy-5-nitropyrimidine (1 g, 5.28 mmol) in THF (10 ml) was added and the mixture was stirred at room temperature for 16 h. The mixture was added to water, which was extracted three times with dichloromethane. The combined organic layers were dried over MgSO<sub>4</sub>, filtered and concentrated under reduced pressure to obtain the title compound.

20 MS (ESI) m/z: 357.15 [M+H]<sup>+</sup>

25 d.3 [2-(5-Amino-4-methoxy-pyrimidin-2-yloxy)-ethyl]-propyl-carbamic acid *tert*-butyl ester

30 To a mixture of crude [2-(4-methoxy-5-nitro-pyrimidin-2-yloxy)-ethyl]-propyl-carbamic acid *tert*-butyl ester (1.76 g, 4.94 mmol) and 10% palladium on charcoal (200 mg) in water (15 ml) was slowly added a solution of ammonium formate (3.12 g, 49.44 mmol) in water (10 ml) at 80°C. After stirring for 1 h at 80°C the mixture was filtered and concentrated under reduced pressure. The aqueous layer was extracted three times with dichloromethane. The

combined organic layers were dried over MgSO<sub>4</sub>, filtered and the solvent was evaporated under reduced pressure. The residue was purified by silica gel chromatography with toluene/THF/MeOH (4:1:1)/2.5% triethylamine to give 720 mg (44.7%) of the title compound.

5

MS (ESI) m/z: 327.15 [M+H]<sup>+</sup>

e. 6-(2-Dimethylamino-ethoxy)-pyridin-3-ylamine

10 The desired product was obtained following the synthetic procedure analogous to that described for the preparation of intermediate a. starting from 2-chloro-5-nitropyridine and 2-dimethylaminoethanol.

MS (ESI) m/z: 182.15 [M+H]<sup>+</sup>

15

f. [2-(5-Amino-pyridin-2-yloxy)-ethyl]-propyl-carbamic acid tert-butyl ester

20 The desired product was obtained following the synthetic procedure analogous to that described for the preparation of intermediate a. starting from 2-chloro-5-nitropyridine and (2-hydroxy-ethyl)-propyl-carbamic acid tert-butyl ester.

MS (ESI) m/z: 326.15 [M+H]<sup>+</sup>

25 g. 4-((S)-2-Fluoro-1-methyl-ethyl)-benzenesulfonyl chloride

g.1 Toluene-4-sulfonic acid (S)-2-phenyl-propyl ester

30 To a solution of 20 g of (S)-(-)-2-phenyl-1-propanol in 240 ml of dichloromethane were added in portions 28 g of p-toluenesulfonyl chloride (146.8 mmol). After stirring for 18 h at room temperature, the organic phase was washed with 100 ml of water, dried over magnesium sulfate, filtered, and the solvent was evaporated under reduced pressure to yield 43 g of the title compound.

<sup>1</sup>H-NMR (CDCl<sub>3</sub>, 400 MHz): δ [ppm] 7.65 (d, 2H), 7.15-7.3 (m, 5H), 7.1 (d, 2H), 4.0-4.1 (m, 2H), 3.1 (m, 1H), 2.4 (s, 3H), 1.3 (d, 3H).

5 g.2 ((S)-2-Fluoro-1-methyl-ethyl)-benzene

9.62 g of toluene-4-sulfonic acid (S)-2-phenyl-propyl ester (33.13 mmol) were dissolved in 80 ml of polyethyleneglycol 400. 9.62 g of potassium fluoride (165.6 mmol) were added and the reaction mixture was stirred at 50°C for 3 days and another 2 days at 55-70°C. The reaction was treated with 150 ml of saturated aqueous sodium chloride solution, extracted three times with diethyl ether, and the combined organic layers were dried over magnesium sulfate, filtered, and the solvent was evaporated under reduced pressure. The crude product was purified via silica gel chromatography using cyclohexane/ethyl acetate 15% as eluent. 2.85 g of the desired product were isolated, containing ~ 25% of the elimination side product.

<sup>1</sup>H-NMR (CDCl<sub>3</sub>, 400 MHz): δ [ppm] 7.2-7.4 (m, 5H), 4.3-4.6 (several m, 2H), 3.15 (m, 1H). 1.3 (m, 3H).

20 g.3 4-((S)-2-Fluoro-1-methyl-ethyl)-benzenesulfonyl chloride

3.5 g of ((S)-2-fluoro-1-methyl-ethyl)-benzene (25.32 mmol) were dissolved in 80 ml of dichloromethane. At 0-5°C, 11.81 g of chlorosulfonic acid (101.31 mmol), dissolved in 20 ml of dichloromethane, were added dropwise. The reaction mixture was stirred for 30 min at room temperature and 2 h at 30°C. The solvent was evaporated. 150 ml of diethyl ether were added to the residue, washed once with 150 ml of water, and the organic layer was dried over magnesium sulfate, filtered, and the solvent was evaporated under reduced pressure. The crude product was purified via silica gel chromatography with n-heptane-dichloromethane (6:4) as eluent to give 1.5 g of the title compound.

<sup>1</sup>H-NMR (CDCl<sub>3</sub>, 400 MHz): δ [ppm] 8.0 (d, 2H), 7.5 (d, 2H), 4.5 (dd, 2H), 3.25 (m, 1H), 1.4 (d, 3H).

h. 4-((R)-2-Fluoro-1-methyl-ethyl)-benzenesulfonyl chloride

5

h.1 Toluene-4-sulfonic acid (R)-2-phenyl-propyl ester

Following the procedure analogous to that used for the synthesis of toluene-4-sulfonic acid (S)-2-phenyl-propyl ester, but using (R)-2-phenyl-1-propanol 10 as starting compound, the title compound was prepared.

h.2 ((R)-2-Fluoro-1-methyl-ethyl)-benzene

The title compound was prepared as described above for the synthesis of 15 ((S)-2-fluoro-1-methyl-ethyl)-benzene, but using toluene-4-sulfonic acid (R)-2-phenyl-propyl ester instead of toluene-4-sulfonic acid (S)-2-phenyl-propyl ester.

<sup>1</sup>H-NMR (CDCl<sub>3</sub>, 400 MHz): δ [ppm] 7.2-7.4 (m, 5H), 4.3-4.6 (several m, 2H), 20 3.15 (m, 1H). 1.3 (m, 3H).

h.3 4-((R)-2-Fluoro-1-methyl-ethyl)-benzenesulfonyl chloride

1.3 g of ((R)-2-fluoro-1-methyl-ethyl)-benzene (9.4 mmol) were dissolved in 25 50 ml of dichloromethane. At 0-5°C, 1.1 g of chlorosulfonic acid (9.4 mmol), dissolved in 10 ml of dichloromethane were added dropwise. The reaction mixture was stirred for 20 min at 0-5°C and then added to a solution of 2.15 g of phosphorous pentachloride dissolved in 40 ml of dichloromethane. The reaction mixture was stirred for 30 min at 0-5°C and 1 h at room temperature. 30 The solvent was evaporated, 100 ml of diethyl ether were added, the mixture was washed once with 150 ml of water, and the organic layer was dried over magnesium sulfate, filtered, and the solvent was evaporated under reduced pressure. The crude product was purified via silica gel chromatography with

n-heptane-dichloromethane (1:1) as eluent to give 0.261 g of the title compound.

5           <sup>1</sup>H-NMR (CDCl<sub>3</sub>, 400 MHz): δ [ppm] 8.0 (d, 2H), 7.5 (d, 2H), 4.5 (dd, 2H), 3.25 (m, 1H), 1.4 (d, 3H).

i. 4-(2-Fluoro-1-methyl-ethyl)-benzenesulfonyl chloride

10          Following the procedures analogous to that used for the preparation of 4-((S)-2-fluoro-1-methyl-ethyl)-benzenesulfonyl chloride, but starting with 2-phenyl-1-propanol in step a.3.g.1, the title compound was prepared.

15          <sup>1</sup>H-NMR (CDCl<sub>3</sub>, 400 MHz): δ [ppm] 8.0 (d, 2H), 7.5 (d, 2H), 4.5 (dd, 2H), 3.25 (m, 1H), 1.4 (d, 3H).

k. 4-(3-Fluoropropyl)-benzenesulfonyl chloride

k.1 (3-Fluoropropyl)-benzene

20          15.6 g of diethylaminosulfurtrifluoride (DAST, 96.91 mmol) were dissolved in 18 ml of dichloromethane. At 0-5°C, 12 g of 3-phenyl-1-propanol (88.1 mmol) dissolved in 30 ml of dichloromethane were added dropwise. The reaction mixture was stirred for 18 h, and, after addition of 30 ml of dichloromethane, poured onto 100 ml of ice water. The organic layer was separated, dried over magnesium sulfate, filtered, and the solvent was evaporated. The crude product was purified by distillation at a bath temperature of 106°C at 20 mm to yield 7.4 g of the title compound.

25          <sup>1</sup>H-NMR (CDCl<sub>3</sub>, 400 MHz): δ [ppm] 7.1-7.3 (m, 5H), 4.4 (dt, 2H), 2.7 (m, 2H). 2.0 (m, 2H).

k.2 4-(3-Fluoropropyl)-benzenesulfonyl chloride

4.1 g of (3-fluoro-propyl)-benzene (29.67 mmol) were dissolved in 40 ml of dichloromethane. At 0-5°C, 6.91 g of chlorosulfonic acid (59.34 mmol), dissolved in 10 ml of dichloromethane, were added dropwise. The reaction mixture was stirred for 45 min at 0-5°C and then added to a solution of 6.8 g  
5 of phosphorous pentachloride (32.63 mmol) dissolved in 50 ml of dichloromethane. The reaction mixture was stirred for 1 h at 5-10°C. The solvent was evaporated, 150 ml of diethyl ether were added, the solution was washed once with 150 ml of ice water, and the organic layer was dried over magnesium sulfate, filtered, and the solvent was evaporated under reduced pressure. The crude product was purified via silica gel chromatography with  
10 n-heptane-dichloromethane (11:9) as eluent to give 5.5 g of the title compound.

15           <sup>1</sup>H-NMR (CDCl<sub>3</sub>, 400 MHz): δ [ppm] 7.95 (d, 2H), 7.45 (d, 2H), 4.5 (dt, 2H), 2.9 (t, 2H), 2.05 (m, 2H).

m. 4-(2-Fluoroethyl)-benzenesulfonyl chloride

20           m.1 (2-Fluoroethyl)-benzene

25           6.8 g of the title compound were obtained from commercially available 2-phenyl-ethanol following the procedure used for the synthesis of (3-fluoropropyl)-benzene.

25           <sup>1</sup>H-NMR (CDCl<sub>3</sub>, 400 MHz): δ [ppm] 7.1-7.3 (m, 5H), 4.6 (m, 1H), 4.45 (m, 1H), 2.95 (m, 1H), 2.9 (m, 1H).

m.2 4-(2-Fluoroethyl)-benzenesulfonyl chloride

30           3.55 g were obtained following the procedure used for the synthesis of 4-((R)-2-fluoro-1-methyl-ethyl)-benzenesulfonyl chloride.

1H-NMR (CDCl<sub>3</sub>, 400 MHz): δ [ppm] 8.0 (d, 2H), 7.5 (d, 2H), 4.7 (dt, 2H), 3.05-3.2 (dt, 2H).

n. 4-(1,1,1-Trifluoropropan-2-yl)benzenesulfonyl chloride and  
2-(1,1,1-trifluoropropan-2-yl)benzenesulfonyl chloride

5 Prepared on a 14 g scale following the procedure outlined in Scheme 5.  
2-(1,1,1-Trifluoropropan-2-yl)benzenesulfonyl chloride is a by-product of the reaction.

10 4-(1,1,1-Trifluoropropan-2-yl)benzenesulfonyl chloride:  
MS (ESI) m/z: 273.1 [M+H]<sup>+</sup>  
<sup>1</sup>H-NMR (DMSO-d<sub>6</sub>): δ [ppm] 7.62 (d, 2H), 7.33 (d, 2H), 3.81 (m, 1H), 1.42 (d, 3H).

15 2-(1,1,1-Trifluoropropan-2-yl)benzenesulfonyl chloride:  
MS (ESI) m/z: 273.1 [M+H]<sup>+</sup>

o. 4-Oxazol-4-yl-benzenesulfonyl chloride

20 A solution of 2-bromo-1-phenyl-ethanone (40 g, 201 mmol) and ammonium formate (44.35 g, 703 mmol) in formic acid (75 ml) was heated to reflux for 2 h. The reaction mixture was evaporated under reduced pressure, and the residue was added to water, which was extracted three times with dichloromethane. The crude product was purified by silica gel chromatography using ethyl acetate/heptane (0:10; 1:9) as eluent.

25 At 0°C, 4-pPhenylloxazole (3 g, 20.67 mmol) was added slowly to 24.08 g of chlorosulfonic acid (206.67 mmol). The reaction mixture was stirred for 20 min at 0-5°C and then warmed to room temperature, and finally stirred at 45°C for 2h. The reaction mixture was then added cautiously (!) to ice water. The precipitate was filtered, washed with water and dried in a vacuum oven at 30 °C to give the title compound (4.3 g, 76.8%).

30 MS (ESI) m/z: 240.15 [M+H]<sup>+</sup> (4-Oxazol-4-yl-benzenesulfonic acid methyl ester)

p. 6-[2-(Benzyl-propyl-amino)-ethoxy]-2-methoxy-pyridin-3-ylamine

p.1 Benzyl-[2-(6-methoxy-5-nitro-pyridin-2-yloxy)-ethyl]-propyl-amine

5 To a mixture of Pd(OAc)<sub>2</sub> (112 mg, 0.5 mmol) and [1,1']binaphthalen-2-yl-di-  
tert-butyl-phosphane (30 mg, 0.75 mmol) in toluene (40 ml) was added 6-  
bromo-2-methoxy-3-pyridine (2.92 g, 12.54 mmol), Cs<sub>2</sub>CO<sub>3</sub> (20.4 g,  
62.68 mmol), and 2-(benzyl-propyl-amino)-ethanol (3.63 g, 18.8 mmol). The  
mixture was stirred under nitrogen at room temperature for 24 h.

10 After evaporation of the solvent under reduced pressure the residue was  
dissolved in water and extracted five times with dichloromethane. The  
residue was purified by silica gel chromatography with  
n-heptane/dichloromethane (10:0; 7:3; 0:10) as eluent to provide 2.92 g  
(67.3%) of the product.

15

MS (ESI) m/z: 346.15 [M+H]<sup>+</sup>

p.2 6-[2-(Benzyl-propyl-amino)-ethoxy]-2-methoxy-pyridin-3-ylamine

20 To a solution of benzyl-[2-(6-methoxy-5-nitro-pyridin-2-yloxy)-ethyl]-propyl-  
amine (2.92 g, 8.45 mmol) in acetic acid (120 ml) at 80°C iron (2.36 g,  
42.27 mmol) was slowly added in portions. The exothermic reaction was  
stirred for 2 h at 80°C. After evaporation of the solvent under reduced  
pressure, the solid residue was dissolved in aq. NaHCO<sub>3</sub>, which was  
25 extracted 6 times with dichloromethane. The residue was purified by silica  
gel chromatography with dichloromethane/methanol (10:0; 8:2; 6.5:3.5;0:10)  
as eluent to provide 1.4 g (52.5%) of the product.

25

MS (ESI) m/z: 316.15 [M+H]<sup>+</sup>

30

q. (S)-2-(5-Amino-6-methoxy-pyridin-2-yloxymethyl)-pyrrolidine-1-carboxylic  
acid *tert*-butyl ester

- q.1 (S)-2-(6-Methoxy-5-nitro-pyridin-2-yloxymethyl)-pyrrolidine-1-carboxylic acid *tert*-butyl ester

To a mixture of Pd(OAc)<sub>2</sub> (0.08 g, 0.34 mmol) and [1,1']binaphthalen-2-yl-di-*tert*-butyl-phosphane (0.14 g, 0.34 mmol) in toluene (10 ml) was added  
5 6-bromo-2-methoxy-3-nitropyridine(1.0 g, 4.29 mmol), Cs<sub>2</sub>CO<sub>3</sub> (3.5 g,  
10.73 mmol), and (S)-2-hydroxymethyl-pyrrolidine-1-carboxylic acid *tert*-butyl  
ester (1.73 g, 8.58 mmol). The mixture was stirred under nitrogen at room  
temperature for 24 h. After evaporation of the solvent under reduced  
pressure, the residue was purified by silica gel chromatography with  
dichloromethane/ethyl acetate/methanol (10:0:0; 9:0.5:0.5; 7:1.5:1.5;0:5:5)  
as eluent to provide 0.11 g (7.5%) of the product.

MS (ESI) m/z: 354.15 [M+H]<sup>+</sup>

15

- q.2 (S)-2-(5-Amino-6-methoxy-pyridin-2-yloxymethyl)-pyrrolidine-1-carboxylic  
acid *tert*-butyl ester

A solution of (S)-2-(6-methoxy-5-nitro-pyridin-2-yloxymethyl)-pyrrolidine-1-  
20 carboxylic acid *tert*-butyl ester (0.11 g, 0.32 mmol) in methanol (11 ml) was  
hydrogenated using the ThalesNano H-Cube® hydrogenation reactor  
employing a 10% palladium on charcoal catalyst cartridge. After  
concentration of the solution under reduced pressure 0.10 g (92.6%) of the  
title compound were obtained.

25

MS (ESI) m/z: 324.15 [M+H]<sup>+</sup>

30

- r. (R)-2-(5-Amino-6-methoxy-pyridin-2-yloxymethyl)-pyrrolidine-1-carboxylic  
acid *tert*-butyl ester

The desired product was obtained following the synthetic procedure  
analogous to that described for the preparation of intermediate q starting  
from 6-bromo-2-methoxy-3-nitropyridine and (R)-2-hydroxymethyl-  
pyrrolidine-1-carboxylic acid *tert*-butyl ester.

MS (ESI) m/z: 324.15 [M+H]<sup>+</sup>

s. (S)-2-(5-Amino-6-methyl-pyridin-2-yloxy-methyl)-pyrrolidine-1-carboxylic acid  
5 tert-butyl ester

The desired product was obtained following the synthetic procedure  
analogous to that described for the preparation of intermediate q starting  
from 6-chloro-2-methyl-3-nitropyridine and (S)-2-hydroxymethyl-pyrrolidine-  
10 1-carboxylic acid *tert*-butyl ester.

MS (ESI) m/z: 340.15 [M+H]<sup>+</sup>

t. (R)-2-(5-Amino-6-methyl-pyridin-2-yloxy-methyl)-pyrrolidine-1-carboxylic acid  
15 tert-butyl ester

The desired product was obtained following the synthetic procedure  
analogous to that described for the preparation of intermediate q starting  
from 6-chloro-2-methyl-3-nitro-pyridine and (R)-2-hydroxymethyl-pyrrolidine-  
20 1-carboxylic acid *tert*-butyl ester.

MS (ESI) m/z: 340.15 [M+H]<sup>+</sup>

u. [2-(5-Amino-6-methyl-pyridin-2-yloxy)-ethyl]-propyl-carbamic acid *tert*-butyl  
25 ester

u.1 [2-(6-Methyl-5-nitro-pyridin-2-yloxy)-ethyl]-propyl-carbamic acid *tert*-butyl  
ester

30 A mixture of 6-chloro-2-methyl-3-nitro-pyridine (1 g, 5.79 mmol), (2-hydroxy-  
ethyl)-propyl-carbamic acid *tert*-butyl ester (1.18 g, 5.79 mmol) and lithium  
hydride (0.05 g, 6.37 mmol) in toluene (5 ml) was stirred at 90°C for 4 h.  
After evaporation of the solvent under reduced pressure the residue was

purified by silica gel chromatography with heptane/ethyl acetate (10:0; 8:2; 0:10) as eluent to provide 1.38 g (70.2%) of the product.

MS (ESI) m/z: 284.15 [M+H(-[*tert*-butyl])]<sup>+</sup>

5

u.2 [2-(5-Amino-6-methyl-pyridin-2-yloxy)-ethyl]-propyl-carbamic acid *tert*-butyl ester

A solution of [2-(6-methyl-5-nitro-pyridin-2-yloxy)-ethyl]-propyl-carbamic acid *tert*-butyl ester (300 mg, 0.88 mmol) in methanol (10 ml) was hydrogenated using the ThalesNano H-Cube® hydrogenation reactor employing a 10% palladium on charcoal catalyst cartridge. After concentration of the solution under reduced pressure, 230 mg (84.2%) of the title compound were obtained.

15

v. 6-[2-(Benzyl-propyl-amino)-ethoxy]-2-methyl-pyridin-3-ylamine

The desired product was obtained following the synthetic procedure analogous to that described for the preparation of intermediate p starting from 6-chloro-2-methyl-3-nitropyridine and 2-(benzyl-propyl-amino)-ethanol.

MS (ESI) m/z: 300.15 [M+H]<sup>+</sup>

w. 6-[2-(Benzyl-propyl-amino)-ethoxy]-4-methyl-pyridin-3-ylamine

25

The desired product was obtained following the synthetic procedure analogous to that described for the preparation of intermediate p starting from 2-chloro-4-methyl-5-nitropyridine and 2-(benzyl-propyl-amino)-ethanol.

30

MS (ESI) m/z: 300.15 [M+H]<sup>+</sup>

x. 6-[2-(Benzyl-propyl-amino)-ethoxy]-5-methyl-pyridin-3-ylamine

The desired product was obtained following the synthetic procedure analogous to that described for the preparation of intermediate p starting from 2-bromo-3-methyl-5-nitropyridine and 2-(benzyl-propyl-amino)-ethanol.

5 MS (ESI) m/z: 300.15 [M+H]<sup>+</sup>

y. 4-(2-Fluoro-ethoxy)-benzenesulfonylchloride

At 0°C, (2-fluoro-ethoxy)-benzene (20 mmol) was added slowly to  
10 chlorosulfonic acid (200 mmol). The reaction mixture was stirred for 20 min at 0-5°C and then warmed to room temperature, and finally stirred at 45°C for 2h. Then the reaction mixture was cautiously (!) added to ice water. The precipitate was filtered, washed with water and dried in a vacuum oven at 30°C to give the title compound.

15

<sup>1</sup>H-NMR (CDCl<sub>3</sub>): δ [ppm] 4.21-4.45 (m, 2H), 4.65-5.00 (m, 2H), 7.08 (d, 2H), 8.00 (d, 2H).

z. 4-(2,2-Difluoro-ethoxy)-benzenesulfonylchloride

20

The desired product was obtained following the synthetic procedure analogous to that described for the preparation of intermediate y.

25

<sup>1</sup>H-NMR (CDCl<sub>3</sub>): δ [ppm] 4.21-4.40 (m, 2H), 5.82-6.45 (m, 1H), 7.08 (d, 2H), 8.02 (d, 2H).

zz. 4-(2,2,2-Trifluoro-ethoxy)-benzenesulfonylchloride

30

The desired product was obtained following the synthetic procedure analogous to that described for the preparation of intermediate y.

<sup>1</sup>H-NMR (CDCl<sub>3</sub>): δ [ppm] 4.40-4.55 (m, 2H), 7.10 (d, 2H), 8.02 (d, 2H).

II. Preparation of compounds I

EXAMPLE 14-(3-Fluoro-propyl)-N-[2-methoxy-6-(2-propylamino-ethoxy)-pyridin-3-yl]-benzenesulfonamide x HCl

5

After a solution of [2-(5-Amino-6-methoxy-pyridin-2-yloxy)-ethyl]-propyl-carbamic acid *tert*-butyl ester (200 mg, 0.62 mmol) in pyridine (3 ml) was stirred at room temperature for 30 minutes 4-(3-Fluoro-propyl)-benzenesulfonyl chloride (160 mg, 0.68 mmol) was added. The mixture was stirred at room temperature for 16 h,

- 10 after which the solvent was evaporated under reduced pressure. The residue was taken up in toluene and the solvent was evaporated again. This procedure was repeated once. The residue was purified by silica gel chromatography with n-hexane/ethyl acetate (1:0; 1:1; 0:1)/0.2% triethylamine as eluent. The residue was dissolved in dichloromethane (5 ml). At 0°C to this solution HCl in diethylether (1 ml) was added slowly. The mixture was stirred at room temperature for 6h. After concentration under reduced pressure, the residue was purified by chromatography (Chromabond-C18) with H<sub>2</sub>O/acetonitrile (95:5; 0:100; 95:5)/0.1% acetic acid as eluent. The solution of the so obtained oil in 1N NaOH was extracted three times with dichloromethane (45 ml). The combined organic layers 15 were concentrated to 30 ml and HCl in diethylether (2 ml) was added. The solid formed was filtered and dried in a vacuum oven to obtain 88.9 mg (35.6%) of the title compound.
- 20

MS (ESI) m/z: 426.25 [M+H]<sup>+</sup>

- 25 <sup>1</sup>H-NMR (MeOD): δ [ppm] 7.70 (m, 1H), 7.60 (d, 2H), 7.35 (d, 2H), 6.45 (d, 1H), 4.50-4.60 (m, 2H), 4.35-4.50 (m, 2H), 3.60 (s, 3H), 3.40-3.45 (m, 2H), 3.00-3.10 (m, 2H), 2.75-2.80 (m, 2H), 1.90-2.10 (m, 2H), 1.70-1.85 (m, 2H), 1.05 (t, 3H).

EXAMPLE 2

- 30 N-[2-Methoxy-6-(2-propylamino-ethoxy)-pyridin-3-yl]-4-trifluoromethoxy-benzenesulfonamide x HCl

The desired product was obtained following the synthetic procedure analogous to that described for example 1 starting from [2-(5-Amino-6-methoxy-pyridin-2-yloxy)-

ethyl]-propyl-carbamic acid *tert*-butyl ester and 4-trifluoromethoxy-benzenesulfonyl chloride.

MS (ESI) m/z: 449.25 [M+H]<sup>+</sup>

- 5   <sup>1</sup>H-NMR (D<sub>6</sub>-DMSO): δ [ppm] 7.75 (d, 2H), 7.56 (d, 2H), 7.45 (d, 1H), 6.30 (d, 1H),  
4.15-4.25 (m, 2H), 3.40 (s, 3H), 2.80-2.90 (m, 2H), 2.50-2.55 (m, 2H), 1.35-1.45  
(m, 2H), 0.85 (t, 3H).

#### EXAMPLE 3

- 10   N-[2-Methoxy-6-(2-propylamino-ethoxy)-pyridin-3-yl]-4-(2,2,2-trifluoro-1-methyl-  
ethyl)-benzenesulfonamide x HCl

The desired product was obtained following the synthetic procedure analogous to that described for example 1 starting from [2-(5-Amino-6-methoxy-pyridin-2-yloxy)-  
15   ethyl]-propyl-carbamic acid *tert*-butyl ester and 4-(2,2,2-trifluoro-1-methyl-ethyl)-benzenesulfonyl chloride.

MS (ESI) m/z: 462.15 [M+H]<sup>+</sup>

- 19   <sup>1</sup>H-NMR (CDCl<sub>3</sub>): δ [ppm] 9.90 (s br., 2H), 7.70 (d, 1H), 7.65 (d, 2H), 7.40 (d, 2H),  
20   6.45 (d, 1H), 4.60-4.70 (m, 2H), 3.55 (s, 3H), 3.35-3.50 (m, 1H), 3.30-3.35 (m, 2H),  
2.95-3.05 (m, 2H), 1.90-2.00 (m, 2H), 1.50 (d, 3H), 0.95 (t, 3H).

#### EXAMPLE 4

- 25   4-Isopropyl-N-[2-methoxy-6-(2-propylamino-ethoxy)-pyridin-3-yl]-  
benzenesulfonamide x HCl

The desired product was obtained following the synthetic procedure analogous to that described for example 1 starting from [2-(5-Amino-6-methoxy-pyridin-2-yloxy)-ethyl]-propyl-carbamic acid *tert*-butyl ester and 4-Isopropyl-benzenesulfonyl chloride.

MS (ESI) m/z: 408.25 [M+H]<sup>+</sup>

#### EXAMPLE 5

4-Difluoromethoxy-N-[2-methoxy-6-(2-propylamino-ethoxy)-pyridin-3-yl]-benzenesulfonamide x HCl

The desired product was obtained following the synthetic procedure analogous to  
5 that described for example 1 starting from [2-(5-Amino-6-methoxy-pyridin-2-yloxy)-ethyl]-propyl-carbamic acid *tert*-butyl ester and 4-difluoromethoxy-benzenesulfonyl chloride.

MS (ESI) m/z: 432.15 [M+H]<sup>+</sup>

10 <sup>1</sup>H-NMR (MeOD): δ [ppm] 7.70-7.80 (m, 3H), 7.25 (d, 2H), 7.70 (t, 1H), 6.45 (d, 1H), 4.50-4.55 (m, 2H), 3.60 (s, 3H), 3.40-3.45 (m, 2H), 3.00-3.10 (m, 2H), 1.70-1.85 (m, 2H), 1.05 (t, 3H).

EXAMPLE 6

15 4-(2-Fluoro-ethyl)-N-[2-methoxy-6-(2-propylamino-ethoxy)-pyridin-3-yl]-benzenesulfonamide x HCl

The desired product was obtained following the synthetic procedure analogous to  
that described for example 1 starting from [2-(5-Amino-6-methoxy-pyridin-2-yloxy)-ethyl]-propyl-carbamic acid *tert*-butyl ester and 4-(2-fluoro-ethyl)-benzenesulfonyl chloride.

MS (ESI) m/z: 412.25 [M+H]<sup>+</sup>

10 <sup>1</sup>H-NMR (D<sub>6</sub>-DMSO): δ [ppm] 9.45 (s br., 3H), 7.55 (d, 2H), 7.40-7.50 (m, 3H), 7.10 (d, 2H), 6.40 (d, 1H), 4.60-4.75 (m, 2H), 4.45-4.55 (m, 2H), 3.50 (s, 3H), 3.20-3.30 (m, 2H), 3.00-3.10 (m, 2H), 2.85 (t, 2H), 1.65-1.75 (m, 2H), 0.90 (t, 3H).

EXAMPLE 7

30 N-[2-Methoxy-6-(2-propylamino-ethoxy)-pyridin-3-yl]-4-oxazol-5-yl-benzenesulfonamide x HCl

The desired product was obtained following the synthetic procedure analogous to  
that described for example 1 starting from [2-(5-Amino-6-methoxy-pyridin-2-yloxy)-

ethyl]-propyl-carbamic acid *tert*-butyl ester and 4-oxazol-5-yl-benzenesulfonyl chloride.

MS (ESI) m/z: 433.25 [M+H]<sup>+</sup>

- 5   <sup>1</sup>H-NMR (MeOD): δ [ppm] 8.35 (s, 1H), 7.85 (d, 2H), 7.80 (d, 2H), 7.70-7.75 (m, 3H), 6.45 (d, 1H), 4.50-4.55 (m, 2H), 3.60 (s, 3H), 3.40-3.45 (m, 2H), 3.00-3.05 (m, 2H), 1.60-1.70 (m, 2H), 1.05 (t, 3H).

#### EXAMPLE 8

- 10   4-(2-Fluoro-ethoxy)-N-[2-methoxy-6-(2-propylamino-ethoxy)-pyridin-3-yl]-benzenesulfonamide x HCl

The desired product was obtained following the synthetic procedure analogous to that described for example 1 starting from [2-(5-Amino-6-methoxy-pyridin-2-yloxy)-ethyl]-propyl-carbamic acid *tert*-butyl ester and 4-(2-Fluoro-ethoxy)-benzenesulfonyl chloride.

MS (ESI) m/z: 428.10 [M+H]<sup>+</sup>

- 15   <sup>1</sup>H-NMR (D<sub>6</sub>-DMSO): δ [ppm] 9.40 (s, 1H), 9.25 (s br., 2H), 7.60 (d, 2H), 7.45 (d, 1H), 7.10 (d, 2H), 6.35 (d, 1H), 4.75 (d, 2H), 4.50 (m, 2H), 4.30 (d, 2H), 3.55 (s, 3H), 3.25 (s br., 2H), 2.85 (s br., 2H), 1.60-1.70 (m, 2H), 0.90 (t, 3H).

#### EXAMPLE 9

- 20   4-(2,2-Difluoro-ethoxy)-N-[2-methoxy-6-(2-propylamino-ethoxy)-pyridin-3-yl]-benzenesulfonamid x HCl

The desired product was obtained following the synthetic procedure analogous to that described for example 1 starting from [2-(5-Amino-6-methoxy-pyridin-2-yloxy)-ethyl]-propyl-carbamic acid *tert*-butyl ester and 4-(2,2-Difluoro-ethoxy)-benzenesulfonyl chloride.

30   MS (ESI) m/z: 446.05 [M+H]<sup>+</sup>

<sup>1</sup>H-NMR (D<sub>6</sub>-DMSO): δ [ppm] 9.40 (s, 1H), 9.15 (s br., 2H), 7.60 (d, 2H), 7.45 (d, 1H), 7.15 (d, 2H), 6.40 (t, 1H), 6.39 (d, 1H), 4.47 (m, 2H), 4.40 (t, 2H), 3.55 (s, 3H), 3.25 (s br., 2H), 2.88 (s br., 2H), 1.60-1.70 (m, 2H), 0.90 (t, 3H).

5    EXAMPLE 10

N-[2-Methoxy-6-(2-propylamino-ethoxy)-pyridin-3-yl]-4-(2,2,2-trifluoro-ethoxy)-benzenesulfonamide x HCl

The desired product was obtained following the synthetic procedure analogous to  
10 that described for example 1 starting from [2-(5-Amino-6-methoxy-pyridin-2-yloxy)-ethyl]-propyl-carbamic acid *tert*-butyl ester and 4-(2,2,2-trifluoro-ethoxy)-benzenesulfonyl chloride.

MS (ESI) m/z: 464.05 [M+H]<sup>+</sup>

15    <sup>1</sup>H-NMR (D<sub>6</sub>-DMSO): δ [ppm] 9.45 (s, 1H), 9.15 (s br., 2H), 7.60 (d, 2H), 7.45 (d, 1H), 7.25 (d, 2H), 6.39 (d, 1H), 4.85-4.90 (m, 2H), 4.45-4.50 (m, 2H), 3.55 (s, 3H), 3.25 (s br., 2H), 2.88 (s br., 2H), 1.60-1.70 (m, 2H), 0.90 (t, 3H).

EXAMPLE 11

4-((R)-2-Fluoro-1-methyl-ethyl)-N-[2-methoxy-6-(2-propylamino-ethoxy)-pyridin-3-yl]-benzenesulfonamide x HCl

The desired product was obtained following the synthetic procedure analogous to  
that described for example 1 starting from [2-(5-Amino-6-methoxy-pyridin-2-yloxy)-ethyl]-propyl-carbamic acid *tert*-butyl ester and 4-((R)-2-Fluoro-1-methyl-ethyl)-benzenesulfonyl chloride.

MS (ESI) m/z: 426.15 [M+H]<sup>+</sup>

15    <sup>1</sup>H-NMR (D<sub>6</sub>-DMSO): δ [ppm] 9.49 (s, 1H), 9.15 (s br., 2H), 7.60 (d, 2H), 7.50 (d, 1H), 7.45 (d, 2H), 6.40 (d, 1H), 4.45-4.60 (m, 4H), 3.45 (s, 3H), 3.20-3.30 (m, 3H), 2.90 (s br., 2H), 1.60-1.70 (m, 2H), 1.22 (d, 3H), 0.90 (t, 3H).

EXAMPLE 12

4-((S)-2-Fluoro-1-methyl-ethyl)-N-[2-methoxy-6-(2-propylamino-ethoxy)-pyridin-3-yl]-benzenesulfonamide x HCl

To a solution of 6-[2-(benzyl-propyl-amino)-ethoxy]-2-methoxy-pyridin-3-ylamine (60 mg, 0.20 mmol) in pyridine (0.8 ml) was added 4-((S)-2-fluoro-1-methyl-ethyl)-benzenesulfonyl chloride (50 mg, 0.20 mmol) at 0°C. The mixture was stirred at room temperature for 16 h, after which the solvent was evaporated under reduced pressure. The residue was purified by silica gel chromatography with dichloromethane/ethyl acetate (10:0; 9:1; 0:10)/0.2% triethylamine as eluent. A mixture of the so obtained oil was hydrogenated using the ThalesNano H-Cube® hydrogenation reactor employing a 10% palladium on charcoal catalyst cartridge. After filtration and evaporation of the solvent under reduced pressure the residue was purified by chromatography (Chromabond-C18) with H<sub>2</sub>O/acetonitrile (95:5; 0:100; 95:5)/0.1% acetic acid as eluent. To a solution of the so obtained oil in 2-propanol, HCl in diethylether was added. The solid formed was filtered and dried in a vacuum oven to give 10 mg (20.3%) of the title compound.

MS (ESI) m/z: 426.15 [M+H]<sup>+</sup>

<sup>1</sup>H-NMR (D<sub>6</sub>-DMSO): δ [ppm] 9.49 (s, 1H), 9.15 (s br., 2H), 7.60 (d, 2H), 7.50 (d, 1H), 7.45 (d, 2H), 6.40 (d, 1H), 4.45-4.60 (m, 4H), 3.45 (s, 3H), 3.20-3.30 (m, 3H), 2.90 (s br., 2H), 1.60-1.70 (m, 2H), 1.22 (d, 3H), 0.90 (t, 3H).

EXAMPLE 13

4-Isopropyl-N-[2-methoxy-6-(pyrrolidin-3-yloxy)-pyridin-3-yl]-benzenesulfonamide x HCl

After a solution of 6-(1-Benzyl-pyrrolidin-3-yloxy)-2-methoxy-pyridin-3-ylamine (120 mg, 0.40 mmol) in pyridine (2 ml) was stirred at room temperature for 30 minutes 4-isopropyl-benzenesulfonyl chloride (100 mg, 0.44 mmol) was added. The mixture was stirred at room temperature for 16 h, after which the solvent was evaporated under reduced pressure. The residue was taken up in toluene and the solvent was evaporated. This procedure was repeated once. The residue was purified by silica gel chromatography with dichloromethane/ethyl acetate (10:0; 9:1; 0:10)/0.2% triethylamine as eluent. A mixture of the so obtained oil and 10%

Palladium on charcoal (20 mg, 0.02 mmol) in ethanol (20 ml) was hydrogenated at atmospheric pressure until the consumption of hydrogen was complete. After filtration and evaporation of the solvent under reduced pressure the residue was purified by silica gel chromatography with dichloromethane/methanol (10:0; 0:10; 5 10:0) as eluent. To a solution of the so obtained oil in methanol HCl in diethylether was added, and the solution was concentrated under reduced pressure to obtain 31 mg (33.2%) of the title compound.

MS (ESI) m/z: 392.35 [M+H]<sup>+</sup>

10 <sup>1</sup>H-NMR (MeOD): δ [ppm] 7.65 (d, 1H), 7.60 (d, 2H), 7.35 (d, 2H), 6.35 (d, 1H), 5.55 (s br., 1H), 3.45-3.60 (m, 4H), 3.55 (s, 3H), 2.90-3.05 (m, 1H), 2.30-2.40 (m, 2H), 1.60-1.70 (m, 2H), 1.25 (d, 3H).

#### EXAMPLE 14

15 N-[2-methoxy-6-(pyrrolidin-3-yloxy)-pyridin-3-yl]-4-trifluormethoxy-  
benzenesulfonamide x HCl

The desired product was obtained following the synthetic procedure analogous to that described for example 13 starting from 6-(1-Benzyl-pyrrolidin-3-yloxy)-2-20 methoxy-pyridin-3-ylamine and 4-trifluoromethoxy-benzenesulfonyl chloride.

MS (ESI) m/z: 434.35 [M+H]<sup>+</sup>

<sup>1</sup>H-NMR (MeOD): δ [ppm] 7.92 (d, 1H), 7.80 (d, 2H), 7.405 (d, 2H), 6.40 (d, 1H), 5.57 (s br., 1H), 3.45-3.60 (m, 4H), 3.55 (s, 3H), 2.30-2.40 (m, 2H).

25

#### EXAMPLE 15

4-(3-Fluoro-propyl)-N-[2-methoxy-6-(2-pyrrolidin-1-yl-ethoxy)-pyridin-3-yl]-  
benzenesulfonamide

30 After a solution of 2-Methoxy-6-(2-pyrrolidin-1-yl-ethoxy)-pyridin-3-ylamine (200 mg, 0.84 mmol) in pyridine (3 ml) was stirred at room temperature for 30 minutes 4-(3-fluoro-propyl)-benzenesulfonyl chloride (200 mg, 0.84 mmol) was added. The mixture was stirred at room temperature for 16 h, thereafter the solvent was evaporated under reduced pressure. The residue was taken up in

toluene and the solvent was evaporated. This procedure was repeated once. The residue was purified by silica gel chromatography with dichloromethane/methanol (100:0; 95:5; 0:100) as eluent to give 20 mg (5.4%) of the title compound.

5 MS (ESI) m/z: 438.15 [M+H]<sup>+</sup>

<sup>1</sup>H-NMR (CDCl<sub>3</sub>): δ [ppm] 7.72 (d, 1H), 7.60 (d, 2H), 7.25 (d, 2H), 6.60 (s, 1H), 6.30 (d, 1H), 4.60-4.65 (m, 2H), 4.35-4.50 (m, 2H), 3.85-3.95 (m, 2H), 3.60 (s, 3H), 3.40-3.45 (m, 2H), 2.90-3.00 (m, 2H), 2.05-2.20 (m, 4H), 1.95-2.05 (m, 2H).

10 EXAMPLE 16

4-Isopropyl-N-[2-methoxy-6-(2-pyrrolidin-1-yl-ethoxy)-pyridin-3-yl]-benzenesulfonamide x HCl

The desired product was obtained following the synthetic procedure analogous to  
15 that described for example 15 starting from 2-Methoxy-6-(2-pyrrolidin-1-yl-ethoxy)-pyridin-3-ylamine and 4-isopropyl-benzenesulfonyl chloride.

MS (ESI) m/z: 420.15 [M+H]<sup>+</sup>

20 EXAMPLE 17

4-((R)-2-Fluoro-1-methyl-ethyl)-N-[2-methoxy-6-(2-pyrrolidin-1-yl-ethoxy)-pyridin-3-yl]-benzenesulfonamide

The desired product was obtained following the synthetic procedure analogous to  
25 that described for example 15 starting from 2-Methoxy-6-(2-pyrrolidin-1-yl-ethoxy)-pyridin-3-ylamine and 4-((R)-2-fluoro-1-methyl-ethyl)-benzenesulfonyl chloride.

MS (ESI) m/z: 438.15 [M+H]<sup>+</sup>

<sup>1</sup>H-NMR (CDCl<sub>3</sub>): δ [ppm] 7.65 (d, 2H), 7.15-7.30 (m, 3H), 6.20-6.35 (d, 1H), 4.35-30 4.50 (m, 2H), 4.25-4.35 (m, 2H), 3.55 (s, 3H), 3.05-3.20 (m, 1H), 2.70-2.85 (m, 2H), 2.55-2.60 (m, 4H), 1.75-1.80 (m, 4H), 1.30 (d, 3H).

EXAMPLE 18

N-[2-Methoxy-6-(2-pyrrolidin-1-yl-ethoxy)-pyridin-3-yl]-4-(2,2,2-trifluoro-1-methyl-ethyl)-benzenesulfonamide

The desired product was obtained following the synthetic procedure analogous to  
5 that described for example 15 starting from 2-Methoxy-6-(2-pyrrolidin-1-yl-ethoxy)-  
pyridin-3-ylamine and 4-(2,2,2-trifluoro-1-methyl-ethyl)-benzenesulfonyl chloride.

MS (ESI) m/z: 474.25 [M+H]<sup>+</sup>

<sup>1</sup>H-NMR (CDCl<sub>3</sub>): δ [ppm] 7.70 (d, 1H), 7.65 (d, 2H), 7.35 (d, 2H), 6.35 (d, 1H),  
10 4.35-4.40 (m, 2H), 3.50 (s, 3H), 2.85-2.92 (m, 2H), 2.60-2.73 (m, 4H), 1.80-1.90  
(m, 4H), 1.50 (d, 3H).

EXAMPLE 19

N-[2-Methoxy-6-(2-pyrrolidin-1-yl-ethoxy)-pyridin-3-yl]-4-oxazol-5-yl-  
15 benzenesulfonamide

The desired product was obtained following the synthetic procedure analogous to  
that described for example 15 starting from 2-Methoxy-6-(2-pyrrolidin-1-yl-ethoxy)-  
pyridin-3-ylamine and 4-oxazol-5-yl-benzenesulfonyl chloride.

20

MS (ESI) m/z: 445.15 [M+H]<sup>+</sup>

<sup>1</sup>H-NMR (MeOD): δ [ppm] 8.35 (s, 1H), 7.85 (d, 2H), 7.75 (d, 2H), 7.71 (d, 1H),  
7.69 (s, 1H), 6.45 (d, 1H), 4.55-4.60 (m, 2H), 3.65-3.75 (m, 2H), 3.60 (s, 3H), 3.60-  
3.65 (m, 2H), 3.15-3.25 (m, 2H), 2.00-2.25 (m, 4H).

25

EXAMPLE 20

4-isopropyl-N-[4-methoxy-2-(2-propylamino-ethoxy)-pyrimidin-5-yl]-benzene-  
sulfonamide

30 The desired product was obtained following the synthetic procedure analogous to  
that described for example 1 starting from [2-(5-Amino-4-methoxy-pyrimidin-2-  
yloxy)-ethyl]-propyl-carbamic acid *tert*-butyl ester and 4-isopropyl-benzenesulfonyl  
chloride.

MS (ESI) m/z: 409.15 [M+H]<sup>+</sup>

<sup>1</sup>H-NMR (DMSO): δ [ppm] 8.00 (s, 1H), 7.55 (d, 2H), 7.48 (d, 2H), 4.25-4.30 (m, 2H), 3.50 (s, 3H), 2.90-3.00 (m, 1H), 2.85-2.92 (m, 2H), 2.55-2.60 (m, 2H), 1.37-1.50 (m, 2H), 1.20 (d, 6H), 0.85 (t, 3H).

5

EXAMPLE 21

N-[4-Methoxy-2-(2-propylamino-ethoxy)-pyrimidin-5-yl]-4-trifluoromethoxybenzenesulfonamide

10 The desired product was obtained following the synthetic procedure analogous to that described for example 1 starting from [2-(5-Amino-4-methoxy-pyrimidin-2-yloxy)-ethyl]-propyl-carbamic acid *tert*-butyl ester and 4-trifluoromethoxybenzenesulfonyl chloride.

15 MS (ESI) m/z: 451.15 [M+H]<sup>+</sup>

<sup>1</sup>H-NMR (MeOD): δ [ppm] 8.02 (s, 1H), 7.74 (d, 2H), 7.28 (d, 2H), 4.30-4.35 (m, 2H), 3.55 (s, 3H), 2.95-3.00 (m, 2H), 2.60-2.67 (m, 2H), 1.48-1.52 (m, 2H), 0.87 (t, 3H).

20 EXAMPLE 22

N-[6-(2-Dimethylamino-ethoxy)-pyridin-3-yl]-4-isopropyl-benzenesulfonamide x HCl

25 The desired product was obtained following the synthetic procedure analogous to that described for example 15 starting from 6-(2-Dimethylamino-ethoxy)-pyridin-3-ylamine and 4-isopropyl-benzenesulfonyl chloride.

MS (ESI) m/z: 364.15 [M+H]<sup>+</sup>

30 EXAMPLE 23

4-Isopropyl-N-[6-(2-propylamino-ethoxy)-pyridin-3-yl]-benzenesulfonamide x HCl

The desired product was obtained following the synthetic procedure analogous to that described for example 1 starting from [2-(5-Amino-pyridin-2-yloxy)-ethyl]-propyl-carbamic acid *tert*-butyl ester and 4-isopropyl-benzenesulfonyl chloride.

- 5 MS (ESI) m/z: 378.15 [M+H]<sup>+</sup>

EXAMPLE 24

N-[6-(2-Dipropylamino-ethoxy)-pyridin-3-yl]-4-isopropyl-benzenesulfonamide x HCl

- 10 To a solution of 4-Isopropyl-N-[6-(2-propylamino-ethoxy)-pyridin-3-yl]-benzene-sulfonamide (81 mg, 0.21 mmol), propionaldehyde (13.71 mg, 0.24 mmol) and acetic acid (0.02 ml) in dichloromethane (5 ml) was added sodium trisacetoxy borohydride (68.22 mg, 0.32 mmol). The mixture was stirred at room temperature for 1 h. After evaporation of the solvent under reduced pressure, the residue was  
15 dissolved in 1N NaOH, which was extracted three times with diethylether. The combined organic layers were dried over MgSO<sub>4</sub>, filtered and the solvent evaporated under reduced pressure. To a solution of the residue in diethylether at 0°C HCl in diethylether was added. The solid formed was filtered and dried in a vacuum oven to give 66 mg (67.4%) of the title compound.

20

- MS (ESI) m/z: 420.25 [M+H]<sup>+</sup>

<sup>1</sup>H-NMR (DMSO): δ [ppm] 10.20 (s br., 2H), 7.85 (s, 1H), 7.65 (d, 2H), 7.50 (d, 1H), 7.45 (d, 2H), 6.80 (d, 1H), 4.50-4.57 (m, 2H), 3.40-3.50 (m, 2H), 3.00-3.10 (m, 4H), 2.90-3.00 (m, 1H), 1.60-1.70 (m, 4H), 1.20 (d, 6H), 0.90 (t, 6H).

25

The following examples were obtained according to the synthetic procedure analogous to that described for example 1.

EXAMPLE 25

- 30 4-Isopropyl-N-[2-methoxy-6-((R)-1-pyrrolidin-2-ylmethoxy)-pyridin-3-yl]-benzenesulfonamide x HCl

- MS (ESI) m/z: 392.1 [M+H]<sup>+</sup>

<sup>1</sup>H-NMR (DMSO): δ [ppm] 9.20-9.70 (m, 2H), 7.60 (d, 2H), 7.50 (d, 1H), 7.40 (d, 2H), 6.40 (d, 1H), 4.38-4.48 (m, 2H), 3.85-88 (m, 1H), 3.52 (s, 3H), 3.12-3.22 (m, 2H), 2.97-2.99 (m, 1H), 2.05-2.15 (m, 1H), 1.85-2.05 (m, 2H), 1.68-1.70 (m, 1H), 1.23 (d, 6H).

5

EXAMPLE 264-(2-Fluoro-ethoxy)-N-[2-methoxy-6-((R)-1-pyrrolidin-2-ylmethoxy)-pyridin-3-yl]-benzenesulfonamide x HCl10 MS (ESI) m/z: 426.1 [M+H]<sup>+</sup>

<sup>1</sup>H-NMR (DMSO): δ [ppm] 9.98 (bs, 1H), 9.32-9.38 (m, 2H), 7.58 (d, 2H), 7.38 (d, 1H), 7.09 (d, 2H), 6.36 (d, 1H), 4.68-4.80 (m, 2H), 4.36-4.45 (m, 2H), 4.27-4.35 (m, 2H), 3.80-3.86 (m, 1H), 3.55 (s, 3H), 3.12-3.20 (m, 2H), 2.03-2.10 (m, 1H), 1.83-1.97 (m, 2H), 1.64-1.72 (m, 1H).

15

EXAMPLE 27N-[2-Methoxy-6-((R)-1-pyrrolidin-2-ylmethoxy)-pyridin-3-yl]-4-oxazol-5-yl-benzenesulfonamide x HCl20 MS (ESI) m/z: 431.1 [M+H]<sup>+</sup>

<sup>1</sup>H-NMR (DMSO): δ [ppm] 9.82-9.92 (m, 1H), 9.63 (s, 1H), 9.22-9.28 (m, 1H), 8.53 (s, 1H), 7.88 (d, 2H), 7.87 (s, 1H), 7.48 (d, 1H), 6.38 (d, 1H), 4.32-4.46 (m, 2H), 3.80-88 (m, 1H), 3.49 (s, 3H), 3.12-3.22 (m, 2H), 2.02-2.10 (m, 1H), 1.82-1.98 (m, 2H), 1.62-1.72 (m, 1H).

25

EXAMPLE 284-Isopropyl-N-[2-methoxy-6-((S)-1-pyrrolidin-2-ylmethoxy)-pyridin-3-yl]-benzenesulfonamide x HCl30 MS (ESI) m/z: 392.1 [M+H]<sup>+</sup>

<sup>1</sup>H-NMR (DMSO): δ [ppm] 9.20-9.70 (m, 2H), 7.60 (d, 2H), 7.50 (d, 1H), 7.40 (d, 2H), 6.40 (d, 1H), 4.38-4.48 (m, 2H), 3.85-88 (m, 1H), 3.52 (s, 3H), 3.12-3.22 (m, 2H), 2.97-2.99 (m, 1H), 2.05-2.15 (m, 1H), 1.85-2.05 (m, 2H), 1.68-1.70 (m, 1H), 1.23 (d, 6H).

EXAMPLE 294-Isopropyl-N-[2-methyl-6-(2-propylamino-ethoxy)-pyridin-3-yl]-benzenesulfonamide x HCl

5

MS (ESI) m/z: 392.1 [M+H]<sup>+</sup><sup>1</sup>H-NMR (MeOD): δ [ppm] 7.63 (d, 2H), 7.47 (d, 1H), 7.43 (d, 2H), 6.78 (d, 1H), 4.58-4.62 (m, 2H), 3.45-3.51 (m, 2H), 3.00-3.10 (m, 3H), 2.14 (s, 3H), 1.72-1.85 (m, 2H), 1.30 (d, 6H), 1.07 (t, 3H).

10

EXAMPLE 30N-[2-Methyl-6-(2-propylamino-ethoxy)-pyridin-3-yl]-4-trifluoromethoxy-benzenesulfonamide x HCl15 MS (ESI) m/z: 434.1 [M+H]<sup>+</sup><sup>1</sup>H-NMR (MeOD): δ [ppm] 7.81 (d, 2H), 7.46 (d, 2H), 7.40 (d, 1H), 6.72 (d, 1H), 4.57-4.59 (m, 2H), 3.44-3.46 (m, 2H), 3.05-3.08 (m, 2H), 2.14 (s, 3H), 1.72-1.81 (m, 2H), 1.05 (t, 3H).20 EXAMPLE 314-Difluoromethoxy-N-[2-methyl-6-(2-propylamino-ethoxy)-pyridin-3-yl]-benzenesulfonamide x HClMS (ESI) m/z: 416.1 [M+H]<sup>+</sup>25 <sup>1</sup>H-NMR (DMSO): δ [ppm] 9.85 (s, 1H), 9.33 (bs, 2H), 7.71 (d, 2H), 7.42 (t, 1H), 7.36 (d, 2H), 7.22 (d, 1H), 6.63 (d, 1H), 4.47-4.49 (m, 2H), 3.24-3.27 (m, 2H), 2.85-2.91 (m, 2H), 2.10 (s, 3H), 1.63-1.72 (m, 2H), 0.90 (t, 3H).EXAMPLE 3230 4-(2,2-Difluoro-ethoxy)-N-[2-methyl-6-(2-propylamino-ethoxy)-pyridin-3-yl]-benzenesulfonamide x HClMS (ESI) m/z: 430.1 [M+H]<sup>+</sup>

<sup>1</sup>H-NMR (DMSO): δ [ppm] 9.66 (s, 1H), 9.34 (bs, 2H), 7.61 (d, 2H), 7.23 (d, 1H), 7.18 (d, 2H), 6.63 (d, 1H), 6.31-6.55 (m, 1H), 4.40-4.50 (m, 4H), 3.24-3.30 (m, 2H), 2.85-2.95 (m, 2H), 2.11 (s, 3H), 1.65-1.75 (m, 2H), 0.90 (t, 3H).

5 EXAMPLE 33

4-(2-Fluoro-ethoxy)-N-[2-methyl-6-(2-propylamino-ethoxy)-pyridin-3-yl]-benzenesulfonamide x HCl

MS (ESI) m/z: 412.1 [M+H]<sup>+</sup>

10 <sup>1</sup>H-NMR (DMSO): δ [ppm] 9.62 (s, 1H), 9.32 (bs, 2H), 7.60 (d, 2H), 7.23 (d, 1H), 7.13 (d, 2H), 6.64 (d, 1H), 4.70-4.85 (m, 2H), 4.48-4.50 (m, 2H), 4.30-4.39 (m, 2H), 3.24-3.32 (m, 2H), 2.85-2.95 (m, 2H), 2.11 (s, 3H), 1.65-1.75 (m, 2H), 0.90 (t, 3H).

EXAMPLE 34

15 4-((R)-2-Fluoro-1-methyl-ethyl)-N-[2-methyl-6-(2-propylamino-ethoxy)-pyridin-3-yl]-benzenesulfonamide x HCl

MS (ESI) m/z: 410.1 [M+H]<sup>+</sup>

10 <sup>1</sup>H-NMR (DMSO): δ [ppm] 9.74 (s, 1H), 9.35 (bs, 2H), 7.61 (d, 2H), 7.50 (d, 2H), 7.26 (d, 1H), 6.63 (d, 1H), 4.40-4.62 (m, 4H), 3.20-3.30 (m, 2H), 3.05-3.08 (m, 1H), 2.85-2.95 (m, 2H), 2.04 (s, 3H), 1.65-1.75 (m, 2H), 1.24 (d, 3H), 0.91 (t, 3H).

EXAMPLE 35

20 4-((S)-2-Fluoro-1-methyl-ethyl)-N-[2-methyl-6-(2-propylamino-ethoxy)-pyridin-3-yl]-benzenesulfonamide x HCl

MS (ESI) m/z: 410.1 [M+H]<sup>+</sup>

20 <sup>1</sup>H-NMR (DMSO): δ [ppm] 9.77 (s, 1H), 9.38 (bs, 2H), 7.61 (d, 2H), 7.50 (d, 2H), 7.26 (d, 1H), 6.63 (d, 1H), 4.40-4.62 (m, 4H), 3.20-3.30 (m, 3H), 2.85-2.95 (m, 2H), 2.04 (s, 3H), 1.65-1.75 (m, 2H), 1.24 (d, 3H), 0.89 (t, 3H)

EXAMPLE 36

N-[2-Methyl-6-(2-propylamino-ethoxy)-pyridin-3-yl]-4-oxazol-5-yl-benzenesulfonamide x HCl

MS (ESI) m/z: 417.1 [M+H]<sup>+</sup>

<sup>1</sup>H-NMR (DMSO): δ [ppm] 9.92 (s, 1H), 9.35 (bs, 2H), 8.57 (s, 1H), 7.93 (d, 2H),  
7.92 (s, 1H), 7.45 (d, 2H), 7.24 (d, 1H), 6.64 (d, 1H), 4.47-4.50 (m, 2H), 3.24-3.30

5 (m, 2H), 2.85-2.92 (m, 2H), 2.11 (s, 3H), 1.64-1.73 (m, 2H), 0.90 (t, 3H).

EXAMPLE 37

N-[2-Methyl-6-(2-propylamino-ethoxy)-pyridin-3-yl]-4-oxazol-4-yl-  
benzenesulfonamide x HCl

10

MS (ESI) m/z: 417.1 [M+H]<sup>+</sup>

<sup>1</sup>H-NMR (DMSO): δ [ppm] 9.86 (s, 1H), 9.31 (bs, 2H), 8.84 (s, 1H), 8.54 (s, 1H),  
7.99 (d, 2H), 7.72 (d, 2H), 7.25 (d, 1H), 6.65 (d, 1H), 4.47-4.50 (m, 2H), 3.20-3.30  
(m, 2H), 2.85-2.92 (m, 2H), 2.11 (s, 3H), 1.64-1.72 (m, 2H), 0.90 (t, 3H).

15

EXAMPLE 38

N-[2-Methyl-6-((S)-1-pyrrolidin-2-ylmethoxy)-pyridin-3-yl]-4-oxazol-5-yl-  
benzenesulfonamide x HCl

20 MS (ESI) m/z: 379.1 [M+H]<sup>+</sup>

<sup>1</sup>H-NMR (DMSO): δ [ppm] 9.60-9.80 (m, 2H), 9.00-9.10 (m, 1H), 8.52 (bs, 1H),  
7.85-7.90 (m, 3H), 7.69 (d, 2H), 7.21 (d, 1H), 6.60 (d, 1H), 4.28-4.41 (m, 2H), 3.80-  
3.90 (m, 1H), 3.10-3.20 (m, 2H), 2.05-2.10 (m, 4H), 1.80-1.95 (m, 2H), 1.65-1.75  
(m, 1H).

25

EXAMPLE 39

4-(2,2-Difluoro-ethoxy)-N-[2-methyl-6-((S)-1-pyrrolidin-2-ylmethoxy)-pyridin-3-yl]-  
benzenesulfonamide x HCl

30 MS (ESI) m/z: 428.1 [M+H]<sup>+</sup>

<sup>1</sup>H-NMR (DMSO): δ [ppm] 9.50-9.60 (m, 2H), 8.90-9.00 (m, 1H), 7.89 (d, 2H), 7.24  
(d, 1H), 7.18 (d, 2H), 6.64 (d, 1H), 6.28-6.57 (m, 1H), 4.28-4.48 (m, 4H), 3.80-3.90  
(m, 1H), 3.15-3.25 (m, 2H), 2.05-2.15 (m, 4H), 1.85-2.00 (m, 2H), 1.70-1.80 (m,  
1H).

EXAMPLE 40

4-(2-Fluoro-ethoxy)-N-[2-methyl-6-((S)-1-pyrrolidin-2-ylmethoxy)-pyridin-3-yl]-benzenesulfonamide x HCl

5

MS (ESI) m/z: 410.1 [M+H]<sup>+</sup>

<sup>1</sup>H-NMR (DMSO): δ [ppm] 9.60-9.70 (m, 1H), 9.54 (s, 1H), 8.95-9.05 (m, 1H), 7.58 (d, 2H), 7.24 (d, 1H), 7.13 (d, 2H), 6.64 (d, 1H), 4.71-4.85 (m, 2H), 4.30-4.50 (m, 4H), 3.80-3.90 (m, 1H), 3.15-3.25 (m, 2H), 2.05-2.15 (m, 4H), 1.85-2.00 (m, 2H), 10 1.70-1.80 (m, 1H).

EXAMPLE 41

N-[2-Methyl-6-((S)-1-pyrrolidin-2-ylmethoxy)-pyridin-3-yl]-4-trifluoromethoxy-benzenesulfonamide x HCl

15

MS (ESI) m/z: 432.1 [M+H]<sup>+</sup>

<sup>1</sup>H-NMR (DMSO): δ [ppm] 9.90 (s, 1H), 9.60-9.70 (m, 1H), 8.95-9.05 (m, 1H), 7.79 (d, 2H), 7.60 (d, 2H), 7.27 (d, 1H), 6.66 (d, 1H), 4.31-4.47 (m, 2H), 3.85-3.95 (m, 1H), 3.15-3.25 (m, 2H), 2.05-2.15 (m, 4H), 1.85-2.00 (m, 2H), 1.70-1.80 (m, 1H).

20

EXAMPLE 42

4-((R)-2-Fluoro-1-methyl-ethyl)-N-[2-methyl-6-((S)-1-pyrrolidin-2-ylmethoxy)-pyridin-3-yl]-benzenesulfonamide x HCl

25

MS (ESI) m/z: 408.1 [M+H]<sup>+</sup>

<sup>1</sup>H-NMR (DMSO): δ [ppm] 9.65 (s, 1H), 9.45-9.55 (m, 1H), 8.85-8.95 (m, 1H), 7.60 (d, 2H), 7.51 (d, 2H), 7.28 (d, 1H), 6.65 (d, 1H), 4.48-4.62 (m, 2H), 4.30-4.47 (m, 2H), 3.85-3.95 (m, 1H), 3.20-3.30 (m, 2H), 2.08-2.15 (m, 1H), 2.04 (s, 3H), 1.85-2.00 (m, 2H), 1.70-1.80 (m, 1H), 1.27 (d, 3H).

30

EXAMPLE 43

4-Isopropyl-N-[2-methyl-6-((S)-1-pyrrolidin-2-ylmethoxy)-pyridin-3-yl]-benzenesulfonamide x HCl

MS (ESI) m/z: 390.1 [M+H]<sup>+</sup>

<sup>1</sup>H-NMR (DMSO): δ [ppm] 9.55-9.65 (m, 2H), 8.93-9.02 (m, 1H), 7.57 (d, 2H), 7.45 (d, 2H), 7.28 (d, 1H), 6.65 (d, 1H), 4.30-4.45 (m, 4H), 3.85-3.95 (m, 1H), 3.15-3.25 (m, 2H), 2.95-3.05 (m, 1H), 2.08-2.15 (m, 1H), 2.04 (s, 3H), 1.85-2.00 (m, 2H),

5 1.70-1.80 (m, 1H), 1.24 (d, 3H).

#### EXAMPLE 44

N-[2-Methyl-6-((R)-1-pyrrolidin-2-ylmethoxy)-pyridin-3-yl]-4-oxazol-5-yl-  
benzenesulfonamide x HCl

10

MS (ESI) m/z: 379.1 [M+H]<sup>+</sup>

<sup>1</sup>H-NMR (DMSO): δ [ppm] 9.75 (s, 1H), 9.14-9.24 (m, 1H), 8.64-8.74 (m, 1H), 8.57 (s, 1H), 7.90-7.95 (m, 3H), 7.73 (d, 2H), 7.27 (d, 1H), 6.65 (d, 1H), 4.26-4.47 (m, 2H), 3.85-3.94 (m, 1H), 3.20-3.25 (m, 2H), 2.07-2.15 (m, 4H), 1.90-2.10 (m, 2H),  
15 1.70-1.80 (m, 1H).

#### EXAMPLE 45

4-Isopropyl-N-[2-methyl-6-((R)-1-pyrrolidin-2-ylmethoxy)-pyridin-3-yl]-  
benzenesulfonamide x HCl

20

MS (ESI) m/z: 354.1 [M+H]<sup>+</sup>

<sup>1</sup>H-NMR (DMSO): δ [ppm] 9.59 (s, 1H), 9.36-9.44 (m, 1H), 8.78-8.86 (m, 1H), 7.57 (d, 2H), 7.45 (d, 2H), 7.28 (d, 1H), 6.65 (d, 1H), 4.28-4.47 (m, 4H), 3.85-3.94 (m, 1H), 3.18-3.25 (m, 2H), 2.95-3.05 (m, 1H), 2.08-2.15 (m, 1H), 2.04 (s, 3H), 1.88-  
25 2.00 (m, 2H), 1.70-1.80 (m, 1H), 1.24 (d, 3H).

The following examples were obtained according to the synthetic procedure analogous to that described for example 12.

30 EXAMPLE 46

4-((R)-2,2-Difluoro-1-methyl-ethyl)-N-[2-methoxy-6-(2-propylamino-ethoxy)-pyridin-3-yl]-benzenesulfonamide x HCl

MS (ESI) m/z: 444.1 [M+H]<sup>+</sup>

5       <sup>1</sup>H-NMR (DMSO): δ [ppm] 9.54 (s, 1H), 9.44 (bs, 2H), 7.61-7.63 (m, 2H), 7.49-7.52 (m, 3H), 6.40 (d, 1H), 6.10-6.34 (m, 1H), 4.50-4.52 (m, 2H), 3.43 (s, 3H), 3.32-3.40 (m, 1H), 3.25-3.28 (m, 2H), 2.85-2.90 (m, 2H), 1.65-1.73 (m, 2H), 1.31 (d, 3H), 0.89 (t, 3H).

10

EXAMPLE 47

4-((S)-2,2-Difluoro-1-methyl-ethyl)-N-[2-methoxy-6-(2-propylamino-ethoxy)-pyridin-3-yl]-benzenesulfonamide x HCl

15       MS (ESI) m/z: 444.1 [M+H]<sup>+</sup>

10       <sup>1</sup>H-NMR (DMSO): δ [ppm] 9.54 (s, 1H), 9.44 (bs, 2H), 7.61-7.63 (m, 2H), 7.49-7.52 (m, 3H), 6.40 (d, 1H), 6.10-6.34 (m, 1H), 4.50-4.52 (m, 2H), 3.43 (s, 3H), 3.32-3.40 (m, 1H), 3.25-3.28 (m, 2H), 2.85-2.90 (m, 2H), 1.65-1.73 (m, 2H), 1.31 (d, 3H), 0.89 (t, 3H).

15

EXAMPLE 48

N-[2-Methoxy-6-(2-propylamino-ethoxy)-pyridin-3-yl]-4-oxazol-4-yl-benzenesulfonamide x HCl

20       MS (ESI) m/z: 433.1 [M+H]<sup>+</sup>

10       <sup>1</sup>H-NMR (DMSO): δ [ppm] 9.58 (s, 1H), 9.25 (bs, 2H), 8.81 (s, 1H), 8.54 (s, 1H), 7.96 (d, 2H), 7.71 (d, 2H), 7.49 (d, 1H), 6.40 (d, 1H), 6.10-6.34 (m, 1H), 4.48-4.51 (m, 2H), 3.52 (s, 3H), 3.25-3.28 (m, 2H), 2.85-2.90 (m, 2H), 1.63-1.71 (m, 2H), 0.90 (t, 3H).

25

EXAMPLE 49

N-[2-Methoxy-6-(2-propylamino-ethoxy)-pyridin-3-yl]-4-pyrazol-1-yl-benzenesulfonamide x HCl

30       MS (ESI) m/z: 432.1 [M+H]<sup>+</sup>

10       <sup>1</sup>H-NMR (DMSO): δ [ppm] 9.59 (s, 1H), 8.94 (bs, 2H), 8.61 (d, 1H), 8.01 (d, 2H), 7.82 (s, 1H), 7.75 (d, 2H), 7.50 (d, 1H), 6.61 (bs, 1H), 6.40 (d, 1H), 4.42-4.47 (m, 2H), 3.54 (s, 3H), 3.25-3.30 (m, 2H), 2.85-2.95 (m, 2H), 1.56-1.68 (m, 2H), 0.89 (t, 3H).

EXAMPLE 50

4-(3-Fluoro-propyl)-N-[2-methyl-6-(2-propylamino-ethoxy)-pyridin-3-yl]-benzenesulfonamide x HCl

5

MS (ESI) m/z: 410.1 [M+H]<sup>+</sup>

<sup>1</sup>H-NMR (DMSO): δ [ppm] 9.72 (s, 1H), 9.36 (bs, 2H), 7.56 (d, 2H), 7.41 (d, 2H), 7.22 (d, 1H), 6.61 (d, 1H), 4.35-4.48 (m, 4H), 3.20-3.30 (m, 2H), 2.83-2.90 (m, 2H), 2.70-2.76 (m, 2H), 2.03 (s, 3H), 1.85-2.00 (m, 2H), 1.62-1.71 (m, 2H), 0.89 (t, 3H).

10

EXAMPLE 51

N-[2-Methyl-6-(2-propylamino-ethoxy)-pyridin-3-yl]-4-((R)-2,2,2-trifluoro-1-methyl-ethyl)-benzenesulfonamide x HCl

15

MS (ESI) m/z: 446.1 [M+H]<sup>+</sup>

<sup>1</sup>H-NMR (DMSO): δ [ppm] 9.86 (s, 1H), 9.36 (bs, 2H), 7.66 (d, 2H), 7.60 (d, 2H), 7.25 (d, 1H), 6.62 (d, 1H), 4.45-4.48 (m, 2H), 3.90-4.02 (m, 1H), 3.20-3.30 (m, 2H), 2.83-2.90 (m, 2H), 1.98 (s, 3H), 1.62-1.71 (m, 2H), 1.44 (d, 3H), 0.89 (t, 3H).

20

EXAMPLE 52

4-((R)-2,2-Difluoro-1-methyl-ethyl)-N-[2-methyl-6-(2-propylamino-ethoxy)-pyridin-3-yl]-benzenesulfonamide x HCl

MS (ESI) m/z: 428.1 [M+H]<sup>+</sup>

25

<sup>1</sup>H-NMR (DMSO): δ [ppm] 9.81 (s, 1H), 9.36 (bs, 2H), 7.62 (d, 2H), 7.52 (d, 2H), 7.24 (d, 1H), 6.62 (d, 1H), 6.09-6.32 (m, 1H), 4.45-4.48 (m, 2H), 3.34-3.42 (m, 1H), 3.22-3.27 (m, 2H), 2.83-2.90 (m, 2H), 2.01 (s, 3H), 1.62-1.71 (m, 2H), 1.30 (d, 3H), 0.89 (t, 3H).

30

EXAMPLE 53

N-[2-Methyl-6-(2-propylamino-ethoxy)-pyridin-3-yl]-4-(2,2,2-trifluoro-ethoxy)-benzenesulfonamide x HCl

MS (ESI) m/z: 412.1 [M+H]<sup>+</sup>

<sup>1</sup>H-NMR (DMSO): δ [ppm] 9.68 (s, 1H), 9.31 (bs, 2H), 7.62 (d, 2H), 7.22-7.24 (m, 3H), 6.63 (d, 1H), 4.87-4.92 (m, 2H), 4.46-4.51 (m, 2H), 3.24-3.30 (m, 2H), 2.85-2.95 (m, 2H), 2.11 (s, 3H), 1.65-1.74 (m, 2H), 0.92 (t, 3H).

5 EXAMPLE 54

4-((R)-2,2-Difluoro-1-methyl-ethyl)-N-[4-methyl-6-(2-propylamino-ethoxy)-pyridin-3-yl]-benzenesulfonamide x HCl

MS (ESI) m/z: 428.1 [M+H]<sup>+</sup>

10 <sup>1</sup>H-NMR (DMSO): δ [ppm] 9.70 (s, 1H), 8.60-8.66 (m, 2H), 7.64-7.69 (m, 3H), 7.56 (d, 2H), 6.70 (s, 1H), 6.09-6.39 (m, 1H), 4.45-4.48 (m, 2H), 3.30-3.45 (m, 3H), 2.90-3.00 (m, 2H), 1.94 (s, 3H), 1.60-1.70 (m, 2H), 1.35 (d, 3H), 0.94 (t, 3H).

EXAMPLE 55

15 N-[4-Methyl-6-(2-propylamino-ethoxy)-pyridin-3-yl]-4-oxazol-4-yl-benzenesulfonamide x HCl

MS (ESI) m/z: 381.1 [M+H]<sup>+</sup>

10 <sup>1</sup>H-NMR (DMSO): δ [ppm] 9.73 (s, 1H), 8.83 (s, 1H), 8.56-8.65 (m, 2H), 8.01 (d, 2H), 7.73 (d, 2H), 7.66 (s, 1H), 6.71 (s, 1H), 4.44-4.47 (m, 2H), 3.30-3.45 (m, 2H), 2.90-3.00 (m, 2H), 2.01 (s, 3H), 1.60-1.68 (m, 2H), 0.93 (t, 3H).

EXAMPLE 56

25 4-(2,2-Difluoro-ethoxy)-N-[4-methyl-6-(2-propylamino-ethoxy)-pyridin-3-yl]-benzenesulfonamide x HCl

MS (ESI) m/z: 394.1 [M+H]<sup>+</sup>

10 <sup>1</sup>H-NMR (DMSO): δ [ppm] 9.70 (s, 1H), 9.35 (bs, 2H), 7.58-7.62 (m, 3H), 7.17 (d, 1H), 6.69 (s, 1H), 6.29-6.55 (m, 1H), 4.38-4.50 (m, 4H), 3.21-3.28 (m, 2H), 2.82-3.0 (m, 2H), 1.99 (s, 3H), 1.62-1.71 (m, 2H), 0.89 (t, 3H).

EXAMPLE 57

4-((R)-2-Fluoro-1-methyl-ethyl)-N-[4-methyl-6-(2-propylamino-ethoxy)-pyridin-3-yl]-benzenesulfonamide x HCl

MS (ESI) m/z: 410.1 [M+H]<sup>+</sup>

<sup>1</sup>H-NMR (DMSO): δ [ppm] 9.76 (s, 1H), 9.32 (bs, 2H), 7.60-7.62 (m, 3H), 7.50 (d, 2H), 6.68 (s, 1H), 4.45-4.59 (m, 4H), 3.20-3.30 (m, 3H), 2.84-2.91 (m, 2H), 1.92 (s,

5 3H), 1.63-1.71 (m, 2H), 1.23 (d, 3H), 0.89 (t, 3H).

EXAMPLE 58

N-[4-Methyl-6-(2-propylamino-ethoxy)-pyridin-3-yl]-4-trifluoromethoxy-  
benzenesulfonamide x HCl

10

MS (ESI) m/z: 434.1 [M+H]<sup>+</sup>

<sup>1</sup>H-NMR (DMSO): δ [ppm] 9.88 (s, 1H), 8.80-8.90 (m, 1H), 7.79 (d, 2H), 7.58-7.63 (m, 3H), 6.70 (s, 1H), 4.43-4.46 (m, 2H), 3.44-3.46 (m, 2H), 3.25-3.35 (m, 2H), 2.85-2.95 (m, 2H), 1.95 (s, 3H), 1.58-1.68 (m, 2H), 0.91 (t, 3H).

15

EXAMPLE 59

4-Isopropyl-N-[4-methyl-6-(2-propylamino-ethoxy)-pyridin-3-yl]-  
benzenesulfonamide x HCl

20 MS (ESI) m/z: 392.1 [M+H]<sup>+</sup>

<sup>1</sup>H-NMR (MeOD): δ [ppm] 7.73 (s, 1H), 7.63 (d, 2H), 7.42 (d, 2H), 6.81 (s, 1H), 4.55-4.58 (m, 2H), 3.44-3.46 (m, 2H), 3.00-3.10 (m, 3H), 2.05 (s, 3H), 1.72-1.80 (m, 2H), 1.29 (d, 6H), 1.05 (t, 3H).

25 EXAMPLE 60

4-(2-Fluoro-ethoxy)-N-[5-methyl-6-(2-propylamino-ethoxy)-pyridin-3-yl]-  
benzenesulfonamide x HCl

MS (ESI) m/z: 376.1 [M+H]<sup>+</sup>

30 <sup>1</sup>H-NMR (DMSO): δ [ppm] 10.10 (s, 1H), 9.30 (bs, 2H), 7.62-7.66 (m, 3H), 7.31 (d, 1H), 7.09 (d, 2H), 4.70-4.80 (m, 2H), 4.48-4.50 (m, 2H), 4.30-4.39 (m, 2H), 3.24-3.30 (m, 2H), 2.85-2.90 (m, 2H), 2.12 (s, 3H), 1.62-1.72 (m, 2H), 0.90 (t, 3H).

EXAMPLE 614-Isopropyl-N-{2-methyl-6-[(1-propylpyrrolidin-3-yl)oxy]pyridin-3-yl}benzenesulfonamide (2E)-but-2-enedioate

## 5    61.1 2-Methyl-3-nitro-6-(pyrrolidin-3-yloxy)pyridine

6-Methyl-5-nitropyridin-2-ol (5 g) was dissolved in tetrahydrofuran and DL-3-pyrrolidinol (2.83 g) and triphenylphosphine (12.76 g) were added. Di-tert-butyl (E)-diazene-1,2-dicarboxylate (11.21 g) dissolved in tetrahydrofuran (15 mL) was 10 added dropwise over 15 min. The reaction mixture was stirred at room temperature for 50 h. The reaction mixture was concentrated in vacuo. The remaining residue was suspended in dichloromethane and trifluoroacetic acid (7.55 mL) was added dropwise. The reaction mixture was stirred for 12 h at room 15 temperature. The reaction mixture was concentrated in vacuo, redissolved in dichloromethane and extracted several times with 1N hydrochloric acid. The combined aqueous extracts were treated with 1N NaOH to pH 10 and extracted with ethyl acetate (3x). The combined ethyl acetate extracts were successively washed with water and brine and dried (sodium sulfate). After concentration in vacuo, the crude product was purified by flash chromatography (silica, 20 dichloromethane, 1-10% methanol gradient). Yield: 1.5 g (18.6%, pale yellow oil).

## 61.2. 2-Methyl-3-nitro-6-[(1-propionylpyrrolidin-3-yl)oxy]pyridine

2-Methyl-3-nitro-6-(pyrrolidin-3-yloxy)pyridine (1.3 g) was dissolved in 25 dichloromethane (20 ml) and triethylamine (1.57 ml) was added. The solution was cooled to 0°C and a solution of propionyl chloride (574 mg) in dichloromethane (5 ml) was added dropwise over 5 min. The reaction mixture was allowed to come to room temperature and was stirred for another 5 min. Water (10 ml) was added. After stirring for 3 min, the phases were separated and the aqueous layer was 30 extracted with dichloromethane. The combined organic layers were dried (sodium sulfate) and concentrated in vacuo. The crude product was purified by flash chromatography (silica, dichloromethane/methanol = 98/2). Yield: 950 mg (64.9%, pale yellow oil).

**61.3 2-Methyl-6-[(1-propionylpyrrolidin-3-yl)oxy]pyridin-3-amine**

2-Methyl-3-nitro-6-[(1-propionylpyrrolidin-3-yl)oxy]pyridine (940 mg) was dissolved

- 5 in methanol (50 mL) and hydrogenated (H-cube from ThalesNano, 10% Pd/C, 60°C, 50 bar, 1 mL/min). The methanol was removed in vacuo. Yield: 800 mg (95%, colorless oil).

**61.4 4-Isopropyl-N-{2-methyl-6-[(1-propionylpyrrolidin-3-yl)oxy]pyridin-3-yl}-**

- 10 benzenesulfonamide

2-Methyl-6-[(1-propionylpyrrolidin-3-yl)oxy]pyridin-3-amine (340 mg) was dissolved

in pyridine (3.3 mL) and 4-isopropylbenzenesulfonyl chloride (358 mg) was slowly added under stirring. After 19 h stirring at room temperature, the reaction mixture

- 15 was diluted with dichloromethane and 2 M aqueous NaOH was added. After stirring for 1 h at room temperature the phases were separated. The organic phase was dried (sodium sulfate), concentrated and the crude product purified by flash chromatography (silica, dichloromethane, 0.5 to 5 % methanol gradient).

Yield: 460 mg (78 %, pale yellow oil).

20

**61.5 4-Isopropyl-N-{2-methyl-6-[(1-propylpyrrolidin-3-yl)oxy]pyridin-3-yl}-**  
**benzenesulfonamide (2E)-but-2-enedioate**

Lithium aluminium hydride (88 mg) was suspended in tetrahydrofuran (1 mL) and

- 25 4-isopropyl-N-{2-methyl-6-[(1-propionylpyrrolidin-3-yl)oxy]pyridin-3-yl}benzenesulfonamide (250 mg) dissolved in tetrahydrofuran (1 ml) was added dropwise at room temperature over 5 min. After stirring for another 30 min, the reaction was quenched with a solution of 1 % water in tetrahydrofuran and concentrated. The residue was taken up in dichloromethane, washed with water and the organic 30 phase was dried (sodium sulfate) and concentrated in vacuo. The crude product was purified by flash chromatography (silica, dichloromethane/methanol = 97/3). The product (70 mg) was dissolved in methanol and (2E)-but-2-enedioic acid

(19 mg) was added. After stirring for 1h at 40°C methanol was removed in vacuo.

Yield: 89 mg (26 %, colorless solid).

MS (ESI) m/z: 418.1 [M+H]<sup>+</sup>

5

EXAMPLE 62

4-(2-Fluoroethoxy)-N-{2-methyl-6-[(1-propylpyrrolidin-3-yl)oxy]pyridin-3-yl}-benzenesulfonamide (2E)-but-2-enedioate

10 4-(2-Fluoroethoxy)-N-{2-methyl-6-[(1-propylpyrrolidin-3-yl)oxy]pyridin-3-yl}-benzenesulfonamide (2E)-but-2-enedioate was prepared analogously to example 61 from 2-methyl-6-[(1-propionylpyrrolidin-3-yl)oxy]pyridin-3-amine and 4-(2-fluoroethoxy)benzenesulfonyl chloride.

15 MS (ESI) m/z: 438.1 [M+H]<sup>+</sup>

EXAMPLE 63

4-(2,2-Difluoroethoxy)-N-{2-methyl-6-[(1-propylpyrrolidin-3-yl)oxy]pyridin-3-yl}-benzenesulfonamide (2E)-but-2-enedioate

20 4-(2,2-Difluoroethoxy)-N-{2-methyl-6-[(1-propylpyrrolidin-3-yl)oxy]pyridin-3-yl}-benzenesulfonamide (2E)-but-2-enedioate was prepared analogously to example 61 from 2-methyl-6-[(1-propionylpyrrolidin-3-yl)oxy]pyridin-3-amine and 4-(2,2-difluoroethoxy)benzenesulfonyl chloride.

25

MS (ESI) m/z: 456.1 [M+H]<sup>+</sup>

EXAMPLE 64

4-[(1S)-2,2-Difluoro-1-methylethyl]-N-{2-methyl-6-[(1-propylpyrrolidin-3-yl)-oxy]pyridin-3-yl}benzenesulfonamide (2E)-but-2-enedioate

30

4-[(1S)-2,2-Difluoro-1-methylethyl]-N-{2-methyl-6-[(1-propylpyrrolidin-3-yl)oxy]pyridin-3-yl}benzenesulfonamide (2E)-but-2-enedioate was prepared analogously to example 61 from 2-methyl-6-[(1-propionylpyrrolidin-3-yl)oxy]pyridin-3-amine and 4-[(1S)-2,2-difluoro-1-methylethyl]benzenesulfonyl chloride.

5

MS (ESI) m/z: 454.1 [M+H]<sup>+</sup>

### III. Examples of galenic administration forms

10 A) Tablets

Tablets of the following composition are pressed on a tablet press in the customary manner:

15 40 mg of substance from Example 8  
120 mg of corn starch  
13.5 mg of gelatin  
45 mg of lactose  
2.25 mg of Aerosil® (chemically pure silicic acid in submicroscopically fine  
20 dispersion)  
6.75 mg of potato starch (as a 6% paste)

B) Sugar-coated tablets

25 20 mg of substance from Example 8  
60 mg of core composition  
70 mg of saccharification composition

The core composition consists of 9 parts of corn starch, 3 parts of lactose and 1  
30 part of 60:40 vinylpyrrolidone/vinyl acetate copolymer. The saccharification  
composition consists of 5 parts of cane sugar, 2 parts of corn starch, 2 parts of  
calcium carbonate and 1 part of talc. The sugar-coated tablets which had been  
prepared in this way are subsequently provided with a gastric juice-resistant  
coating.

#### IV. Biological investigations

Receptor binding studies:

- 5 The substance to be tested was either dissolved in methanol/Chremophor® (BASF-AG) or in dimethyl sulfoxide and then diluted with water to the desired concentration.

Dopamine D<sub>3</sub> receptor:

- 10 The assay mixture (0.250 ml) was composed of membranes derived from ~ 10<sup>6</sup> HEK-293 cells possessing stably expressed human dopamine D<sub>3</sub> receptors, 0.1 nM [<sup>125</sup>I]-iodosulpride and incubation buffer (total binding) or, in addition, test substance (inhibition curve) or 1 µM spiperone (nonspecific binding). Each assay mixture was run in triplicate.

15 The incubation buffer contained 50 mM tris, 120 mM NaCl, 5 mM KCl, 2 mM CaCl<sub>2</sub>, 2 mM MgCl<sub>2</sub> and 0.1% bovine serum albumin, 10 µM quinolone and 0.1% ascorbic acid (prepared fresh daily). The buffer was adjusted to pH 7.4 with HCl.

Dopamine D<sub>2L</sub> receptor:

- 20 The assay mixture (1 ml) was composed of membranes from ~ 10<sup>6</sup> HEK-293 cells possessing stably expressed human dopamine D<sub>2L</sub> receptors (long isoform) and 0.01 nM [<sup>125</sup>I] iodospiperone and incubation buffer (total binding) or, in addition, test substance (inhibition curve) or 1 µM haloperidol (nonspecific binding). Each assay mixture was run in triplicate.

25 The incubation buffer contained 50 mM tris, 120 mM NaCl, 5 mM KCl, 2 mM CaCl<sub>2</sub>, 2 mM MgCl<sub>2</sub> and 0.1% bovine serum albumin. The buffer was adjusted to pH 7.4 with HCl.

Measurement and analysis:

After having been incubated at 25°C for 60 minutes, the assay mixtures were filtered through a Whatman GF/B glass fiber filter under vacuum using a cell collecting device. The filters were transferred to scintillation viols using a filter transfer system. After 4 ml of Ultima Gold® (Packard) have been added, the samples were shaken for one hour and the radioactivity was then counted in a Beta-Counter (Packard, Tricarb 2000 or 2200CA). The cpm values were converted into dpm using a standard quench series and the program belonging to the instrument.

10

The inhibition curves were analyzed by means of iterative nonlinear regression analysis using the Statistical Analysis System (SAS) which is similar to the "LIGAND" program described by Munson and Rodbard.

15

The results of the receptor binding studies are expressed as receptor binding constants  $K_i(D_2)$  and  $K_i(D_3)$ , respectively, as herein before described, and given in table 3.

20

In these tests, the compounds according to the invention exhibit very good affinities for the  $D_3$  receptor (< 10 nM, frequently < 5 nM) and bind selectively to the  $D_3$  receptor.

The results of the binding tests are given in table 1.

25   Table 1:

Example	$K_i(D_3)^*$	$K_i(D_2)^*/K_i(D_3)^*$
1	++++	++
2	+++	+
3	+++	++
4	++++	++
5	+++	++
6	+++	++

Example	$K_i(D3)^*$	$K_i(D2)^*/K_i(D3)^*$
7	++++	++++
8	+++	++++
9	+++	++++
10	+++	++++
11	++++	++++
13	+	+
15	++	+
16	+++	+
17	+++	++
18	+++	+
19	++	+
20	+++	+
21	+	++
22	+	n.d.
23	++	+
24	++	++
27	+++	+++
29	++++	++++
34	+++	++++
36	++	++++
43	+++	+++
57	++	++
61	+++	+

\* Receptor binding constants obtained according to the assays described herein before

Key:

	$K_i(D3)^*$
+	between 50 and 150 nM
++	between 10 and 50 nM

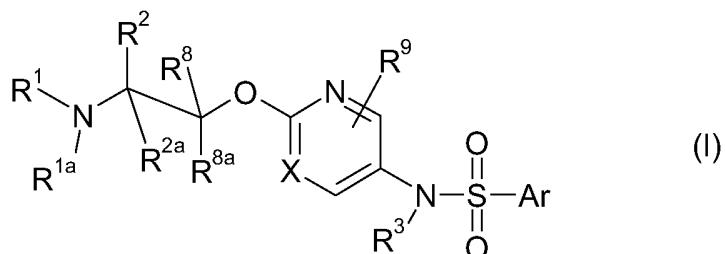
	$K_i(D3)^*$
+++	between 1 and 10 nM
++++	< 1 nM

	$K_i(D2)^*/K_i(D3)^*$
+	between 10 and 50
++	between 50 and 100
+++	between 100 and 150
++++	> 150

We claim:

1. An aryloxyethylamine compound of the formula I

5



wherein

Ar is phenyl or an aromatic 5- or 6-membered C-bound heteroaromatic radical,

10 wherein Ar may carry 1 radical R<sup>a</sup> and wherein Ar may also carry 1 or 2 radicals R<sup>b</sup>;

R<sup>a</sup> is selected from the group consisting of C<sub>1</sub>-C<sub>6</sub>-alkyl, fluorinated C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>2</sub>-C<sub>6</sub>-alkenyl, fluorinated C<sub>2</sub>-C<sub>6</sub>-alkenyl, C<sub>3</sub>-C<sub>6</sub>-cycloalkyl, fluorinated C<sub>3</sub>-C<sub>6</sub>-cycloalkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, fluorinated C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>1</sub>-C<sub>6</sub>-hydroxyalkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy-C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-hydroxyalkoxy, C<sub>1</sub>-C<sub>6</sub>-alkoxy-C<sub>1</sub>-C<sub>4</sub>-alkoxy, COOH, NR<sup>4</sup>R<sup>5</sup>, CH<sub>2</sub>NR<sup>4</sup>R<sup>5</sup>, ONR<sup>4</sup>R<sup>5</sup>, NHC(O)NR<sup>4</sup>R<sup>5</sup>, C(O)NR<sup>4</sup>R<sup>5</sup>, SO<sub>2</sub>NR<sup>4</sup>R<sup>5</sup>, C<sub>1</sub>-C<sub>6</sub>-alkylcarbonyl, fluorinated C<sub>1</sub>-C<sub>6</sub>-alkylcarbonyl, C<sub>1</sub>-C<sub>6</sub>-alkylcarbonylamino, fluorinated C<sub>1</sub>-C<sub>6</sub>-alkylcarbonylamino, C<sub>1</sub>-C<sub>6</sub>-alkylcarbonyloxy, fluorinated C<sub>1</sub>-C<sub>6</sub>-alkylcarbonyloxy, C<sub>1</sub>-C<sub>6</sub>-alkoxycarbonyl, fluorinated C<sub>1</sub>-C<sub>6</sub>-alkoxycarbonyl, C<sub>1</sub>-C<sub>6</sub>-alkylthio, fluorinated C<sub>1</sub>-C<sub>6</sub>-alkylthio, C<sub>1</sub>-C<sub>6</sub>-alkylsulfinyl, fluorinated C<sub>1</sub>-C<sub>6</sub>-alkylsulfinyl, C<sub>1</sub>-C<sub>6</sub>-alkylsulfonyl, fluorinated C<sub>1</sub>-C<sub>6</sub>-alkylsulfonyl, phenylsulfonyl, phenyl, phenoxy, benzyloxy, pyridin-2-yloxy and a 3- to 7-membered heterocyclic radical, wherein the phenyl groups, the pyridyl group and the heterocyclic group in the six last mentioned radicals may carry 1, 2, 3 or 4 radicals selected from halogen, cyano, OH, oxo, CN, and a radical R<sup>aa</sup>, wherein

20

25

R<sup>aa</sup> is selected from C<sub>1</sub>-C<sub>6</sub>-alkyl, fluorinated C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>2</sub>-C<sub>6</sub>-alkenyl, fluorinated C<sub>2</sub>-C<sub>6</sub>-alkenyl, C<sub>3</sub>-C<sub>6</sub>-cycloalkyl, fluorinated C<sub>3</sub>-C<sub>6</sub>-cycloalkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, fluorinated C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>1</sub>-C<sub>6</sub>-hydroxyalkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy-C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-hydroxyalkoxy, C<sub>1</sub>-C<sub>6</sub>-alkoxy-C<sub>1</sub>-C<sub>4</sub>-alkoxy, COOH, NR<sup>4</sup>R<sup>5</sup>, CH<sub>2</sub>NR<sup>4</sup>R<sup>5</sup>, ONR<sup>4</sup>R<sup>5</sup>, NHC(O)NR<sup>4</sup>R<sup>5</sup>, C(O)NR<sup>4</sup>R<sup>5</sup>, SO<sub>2</sub>NR<sup>4</sup>R<sup>5</sup>, C<sub>1</sub>-C<sub>6</sub>-alkylcarbonyl, fluorinated C<sub>1</sub>-C<sub>6</sub>-alkylcarbonyl, C<sub>1</sub>-C<sub>6</sub>-alkylcarbonylamino, fluorinated C<sub>1</sub>-C<sub>6</sub>-alkylcarbonylamino, C<sub>1</sub>-C<sub>6</sub>-alkylcarbonyloxy, fluorinated C<sub>1</sub>-C<sub>6</sub>-alkylcarbonyloxy, C<sub>1</sub>-C<sub>6</sub>-alkoxycarbonyl, fluorinated C<sub>1</sub>-C<sub>6</sub>-alkoxycarbonyl, C<sub>1</sub>-C<sub>6</sub>-alkylthio, fluorinated C<sub>1</sub>-C<sub>6</sub>-alkylthio, C<sub>1</sub>-C<sub>6</sub>-alkylsulfinyl, fluorinated C<sub>1</sub>-C<sub>6</sub>-alkylsulfinyl, C<sub>1</sub>-C<sub>6</sub>-alkylsulfonyl, fluorinated C<sub>1</sub>-C<sub>6</sub>-alkylsulfonyl, ,

each R<sup>b</sup> is selected from halogen, cyano, nitro, OH, methyl, methoxy, fluoromethyl, difluoromethyl, trifluoromethyl, fluormethoxy, difluoromethoxy and trifluoromethoxy, or

the radical R<sup>a</sup> and one radical R<sup>b</sup>, if present, which are bound to two adjacent carbon atoms of phenyl, may form a 5- or 6-membered heterocyclic or carbocyclic ring which is fused to the phenyl ring and which is unsubstituted or which may carry 1, 2 or 3 radicals selected from halogen, NO<sub>2</sub>, NH<sub>2</sub>, OH, CN, C<sub>1</sub>-C<sub>6</sub>-alkyl, fluorinated C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>3</sub>-C<sub>6</sub>-cycloalkyl, fluorinated C<sub>3</sub>-C<sub>6</sub>-cycloalkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, fluorinated C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>1</sub>-C<sub>6</sub>-hydroxyalkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy-C<sub>2</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-hydroxyalkoxy, C<sub>1</sub>-C<sub>4</sub>-alkoxy-C<sub>2</sub>-C<sub>4</sub>-alkoxy, C<sub>1</sub>-C<sub>6</sub>-alkylcarbonyl, fluorinated C<sub>1</sub>-C<sub>6</sub>-alkylcarbonyl, C<sub>1</sub>-C<sub>6</sub>-alkylamino, di-C<sub>1</sub>-C<sub>6</sub>-alkylamino, C<sub>1</sub>-C<sub>6</sub>-alkylaminocarbonyl, di-C<sub>1</sub>-C<sub>6</sub>-alkylaminocarbonyl, C<sub>1</sub>-C<sub>6</sub>-alkylcarbonylamino, fluorinated C<sub>1</sub>-C<sub>6</sub>-alkylcarbonylamino, C<sub>1</sub>-C<sub>6</sub>-alkylcarbonyloxy, fluorinated C<sub>1</sub>-C<sub>6</sub>-alkylcarbonyloxy, C<sub>1</sub>-C<sub>6</sub>-alkoxycarbonyl, C<sub>1</sub>-C<sub>6</sub>-alkylthio, fluorinated C<sub>1</sub>-C<sub>6</sub>-alkylthio, C<sub>1</sub>-C<sub>6</sub>-alkylsulfinyl, fluorinated C<sub>1</sub>-C<sub>6</sub>-alkylsulfinyl, C<sub>1</sub>-C<sub>6</sub>-alkylsulfonyl and fluorinated C<sub>1</sub>-C<sub>6</sub>-alkylsulfonyl,

X is N or CH;

R<sup>1</sup> is H, C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>3</sub>-C<sub>4</sub>-cycloalkyl, C<sub>3</sub>-C<sub>4</sub>-cycloalkylmethyl, C<sub>3</sub>-C<sub>4</sub>-alkenyl, fluorinated C<sub>1</sub>-C<sub>4</sub>-alkyl, fluorinated C<sub>3</sub>-C<sub>4</sub>-cycloalkyl, fluorinated C<sub>3</sub>-C<sub>4</sub>-cycloalkylmethyl, fluorinated C<sub>3</sub>-C<sub>4</sub>-alkenyl, formyl or C<sub>1</sub>-C<sub>3</sub>-alkylcarbonyl;

5

R<sup>1a</sup> is H, C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>3</sub>-C<sub>4</sub>-cycloalkyl, C<sub>3</sub>-C<sub>4</sub>-cycloalkylmethyl, C<sub>3</sub>-C<sub>4</sub>-alkenyl, fluorinated C<sub>1</sub>-C<sub>4</sub>-alkyl, fluorinated C<sub>3</sub>-C<sub>4</sub>-cycloalkyl, fluorinated C<sub>3</sub>-C<sub>4</sub>-cycloalkylmethyl, or fluorinated C<sub>3</sub>-C<sub>4</sub>-alkenyl; or

10 R<sup>1</sup> and R<sup>1a</sup> together are (CR<sup>6</sup>R<sup>7</sup>)<sub>r</sub> with r being 3, 4 or 5;

R<sup>2</sup> and R<sup>2a</sup> are independently of each other H, fluorine, C<sub>1</sub>-C<sub>4</sub>-alkyl or fluorinated C<sub>1</sub>-C<sub>4</sub>-alkyl or R<sup>2a</sup> and R<sup>2</sup> together may form a ring member (CR<sup>6</sup>R<sup>7</sup>)<sub>m</sub> with m being 2, 3, 4 or 5; or

15

R<sup>1a</sup> and R<sup>2a</sup> together are (CR<sup>6</sup>R<sup>7</sup>)<sub>n</sub> with n being 2, 3 or 4,

R<sup>3</sup> is H or C<sub>1</sub>-C<sub>4</sub>-alkyl;

20 R<sup>4</sup>, R<sup>5</sup> independently of each other and independently of their individual occurrence are selected from H, C<sub>1</sub>-C<sub>3</sub>-alkyl, C<sub>1</sub>-C<sub>3</sub>-alkoxy and fluorinated C<sub>1</sub>-C<sub>3</sub>-alkyl;

25 R<sup>6</sup>, R<sup>7</sup> independently of each other and independently of their individual occurrence are selected from H, fluorine, C<sub>1</sub>-C<sub>4</sub>-alkyl and fluorinated C<sub>1</sub>-C<sub>4</sub>-alkyl;

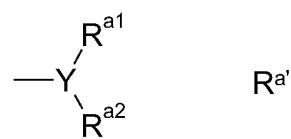
30 R<sup>8</sup>, R<sup>8a</sup> independently of each other are H, fluorine, C<sub>1</sub>-C<sub>4</sub>-alkyl or fluorinated C<sub>1</sub>-C<sub>4</sub>-alkyl or R<sup>8a</sup> and R<sup>8</sup> together may form a ring member (CR<sup>6</sup>R<sup>7</sup>)<sub>q</sub> with q being 2, 3, 4 or 5; or

R<sup>1a</sup> and R<sup>8a</sup> together are (CR<sup>6</sup>R<sup>7</sup>)<sub>s</sub> with n being 2 or 3;

$R^9$  is H, C<sub>1</sub>-C<sub>4</sub>-alkyl, fluorinated C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy or fluorinated C<sub>1</sub>-C<sub>4</sub>-alkoxy;

5 and the physiologically tolerated acid addition salts of these compounds.

2. The compound as claimed in claim 1, wherein Ar is phenyl or an aromatic 5- or 6-membered C-bound heteroaromatic radical, comprising 1 nitrogen atom as ring member and 0, 1, 2 or 3 further heteroatoms selected from O, S and N as ring members, wherein Ar carries one radical R<sup>a</sup> which is selected from the group consisting of C<sub>1</sub>-C<sub>6</sub>-alkyl, fluorinated C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>3</sub>-C<sub>6</sub>-cycloalkyl, fluorinated C<sub>3</sub>-C<sub>6</sub>-cycloalkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, fluorinated C<sub>1</sub>-C<sub>6</sub>-alkoxy, NR<sup>4</sup>R<sup>5</sup>, 1-aziridinyl, azetidin-1-yl, pyrrolidin-1-yl or piperidin-1-yl, wherein the last four mentioned radicals may be fluorinated, a phenyl group and an aromatic 5- or 6-membered C-bound heteroaromatic radical comprising 1 nitrogen atom as ring member and 0, 1, 2 or 3 further heteroatoms selected from O, S and N as ring members, wherein the last two mentioned radicals may carry 1, 2, 3 or 4 radicals selected from halogen and a radical R<sup>aa</sup>, wherein R<sup>aa</sup> is selected from C<sub>1</sub>-C<sub>6</sub>-alkyl, fluorinated C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>3</sub>-C<sub>6</sub>-cycloalkyl, fluorinated C<sub>3</sub>-C<sub>6</sub>-cycloalkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, fluorinated C<sub>1</sub>-C<sub>6</sub>-alkoxy and NR<sup>4</sup>R<sup>5</sup>; and wherein Ar may carry 1 or 2 further radicals R<sup>b</sup>, which are independently of each other selected from halogen, cyano, methyl, fluoromethyl, difluoromethyl, trifluoromethyl, difluoromethoxy and trifluoromethoxy; and wherein R<sup>4</sup>, R<sup>5</sup>, independently of each other and independently of each individual occurrence, are selected from H, C<sub>1</sub>-C<sub>3</sub>-alkyl and fluorinated C<sub>1</sub>-C<sub>3</sub>-alkyl.
- 25
3. The compound as claimed in claims 1 or 2, wherein Ar carries one radical R<sup>a</sup> of the formula R<sup>a'</sup>



wherein

Y is N, CH or CF,

R<sup>a1</sup> and R<sup>a2</sup> are independently of each other selected from C<sub>1</sub>-C<sub>2</sub>-alkyl, C<sub>1</sub>-C<sub>2</sub>-alkoxy, fluorinated C<sub>1</sub>-C<sub>2</sub>-alkyl, provided for Y being CH or CF one of the radicals

5 R<sup>a1</sup> or R<sup>a2</sup> may also be hydrogen or fluorine, or

R<sup>a1</sup> and R<sup>a2</sup> together form a ring member (CH<sub>2</sub>)<sub>k</sub> wherein 1 or 2 of the hydrogen atoms may be replaced by fluorine, hydroxy, oxo, C<sub>1</sub>-C<sub>2</sub>-alkyl or C<sub>1</sub>-C<sub>2</sub>-alkoxy, and wherein one CH<sub>2</sub> moiety may be replaced by O, S, S=O, SO<sub>2</sub> or N-R<sup>c</sup>, with R<sup>c</sup> being hydrogen or C<sub>1</sub>-C<sub>2</sub>-alkyl, and wherein k is 2, 3, 4, 5 or 6;

10

4. The compound as claimed in claim 3, wherein the radical R<sup>a1</sup> is selected from isopropyl, (R)-1-fluoroethyl, (S)-1-fluoroethyl, 2-fluoroethyl, 1,1-difluoroethyl, 2,2-difluoroethyl, 2,2,2-trifluoroethyl, (R)-1-fluoropropyl, (S)-1-fluoropropyl, 2-fluoropropyl, 3-fluoropropyl, 1,1-difluoropropyl, 2,2-difluoropropyl, 15 3,3-difluoropropyl, 3,3,3-trifluoropropyl, (R)-2-fluoro-1-methylethyl, (S)-2-fluoro-1-methylethyl, (R)-2,2-difluoro-1-methylethyl, (S)-2,2-difluoro-1-methylethyl, (R)-1,2-difluoro-1-methylethyl, (S)-1,2-difluoro-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, cyclopropyl, cyclobutyl, 1-fluorocyclopropyl, 20 and 2-fluorocyclopropyl.
  
5. The compound as claimed in claim 3, wherein the radical R<sup>a1</sup> is selected from 4-morpholinyl, 4-thiomorpholinyl, 4-(1,1-dioxo)thiomorpholinyl, piperazin-1-yl, 4-methylpiperazin-1-yl, azetidin-1-yl, 2-methylazetidin-1-yl, (S)-2-methylazetidin-1-yl, (R)-2-methylazetidin-1-yl, 3-fluoroazetidin-1-yl, 3-methoxyazetidin-1-yl, 25 3-hydroxyazetidin-1-yl, 1,3-oxazol-5-yl, pyrrolidin-1-yl, pyrrolidin-2-yl, (S)-pyrrolidin-2-yl, (R)-pyrrolidin-2-yl, pyrrolidin-3-yl, (S)-pyrrolidin-3-yl, (R)-pyrrolidin-3-yl, 2-fluoropyrrolidin-1-yl, (S)-2-fluoropyrrolidin-1-yl, (R)-2-fluoropyrrolidin-1-yl, 3-fluoropyrrolidin-1-yl, (S)-3-fluoropyrrolidin-1-yl, 30 (R)-3-fluoropyrrolidin-1-yl, 2,2-difluoropyrrolidin-1-yl, 3,3-difluoropyrrolidin-1-yl, 2-methylpyrrolidin-1-yl, (S)-2-methylpyrrolidin-1-yl, (R)-2-methylpyrrolidin-1-yl, 3-methylpyrrolidin-1-yl, (S)-3-methylpyrrolidin-1-yl, (R)-3-methylpyrrolidin-1-yl,

1-methylpyrrolidin-2-yl, (S)-1-methylpyrrolidin-2-yl, (R)-1-methylpyrrolidin-2-yl,  
1-methylpyrrolidin-3-yl, (S)-1-methylpyrrolidin-3-yl, (R)-1-methylpyrrolidin-3-yl,  
2,2-dimethylpyrrolidin-1-yl, 3,3-dimethylpyrrolidin-1-yl, 2-trifluoromethylpyrrolidin-  
1-yl, (S)-2-trifluoromethylpyrrolidin-1-yl, (R)-2-trifluoromethylpyrrolidin-1-yl,  
5 3-trifluoromethylpyrrolidin-1-yl, (S)-3-trifluoromethylpyrrolidin-1-yl,  
(R)-3-trifluoromethylpyrrolidin-1-yl, 2-oxopyrrolidin-1-yl, 2-oxo-oxazolidin-3-yl,  
piperidin-1-yl, 2-methylpiperidin-1-yl, (S)-2-methylpiperidin-1-yl and  
(R)-2-methylpiperidin-1-yl.

- 10 6. The compound as claimed in any of the claims 3 to 5, wherein the radical R<sup>a</sup><sup>1</sup>  
carries 1, 2, 3 or 4 fluorine atoms.
- 15 7. The compound as claimed in claim 1 wherein Ar is unsubstituted or carries one  
radical R<sup>a</sup>, which is selected from the group consisting of (CH<sub>2</sub>)<sub>v</sub>CF<sub>3</sub>, (CH<sub>2</sub>)<sub>v</sub>CHF<sub>2</sub>,  
15 (CH<sub>2</sub>)<sub>v</sub>CH<sub>2</sub>F, O(CH<sub>2</sub>)<sub>v</sub>CF<sub>3</sub>, O(CH<sub>2</sub>)<sub>v</sub>CHF<sub>2</sub> and O(CH<sub>2</sub>)<sub>v</sub>CH<sub>2</sub>F, with v being 0, 1, 2 or  
3.
- 20 8. The compound as claimed in claim 1, wherein Ar is unsubstituted or carries one  
radical R<sup>a</sup>, which is selected from 5- or 6-membered heteroaromatic radicals  
having as ring member 1 heteroatom selected from O, S and N and which may  
further have 1, 2 or 3 nitrogen atoms as ring members, and wherein the 5- or  
6-membered heteroaromatic radical may carry 1, 2 or 3 substituents selected  
from halogen, NO<sub>2</sub>, NH<sub>2</sub>, OH, CN, C<sub>1</sub>-C<sub>6</sub>-alkyl, fluorinated C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>3</sub>-C<sub>6</sub>-  
25 cycloalkyl, fluorinated C<sub>3</sub>-C<sub>6</sub>-cycloalkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, fluorinated C<sub>1</sub>-C<sub>6</sub>-alkoxy,  
C<sub>1</sub>-C<sub>6</sub>-hydroxyalkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy-C<sub>2</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-hydroxyalkoxy, C<sub>1</sub>-C<sub>4</sub>-alkoxy-  
C<sub>2</sub>-C<sub>4</sub>-alkoxy, C<sub>1</sub>-C<sub>6</sub>-alkylcarbonyl, fluorinated C<sub>1</sub>-C<sub>6</sub>-alkylcarbonyl, C<sub>1</sub>-C<sub>6</sub>-  
30 alkylamino, di-C<sub>1</sub>-C<sub>6</sub>-alkylamino, C<sub>1</sub>-C<sub>6</sub>-alkylaminocarbonyl, di-C<sub>1</sub>-C<sub>6</sub>-alkyl-  
aminocarbonyl, C<sub>1</sub>-C<sub>6</sub>-alkylcarbonylamino, fluorinated C<sub>1</sub>-C<sub>6</sub>-alkylcarbonylamino,  
C<sub>1</sub>-C<sub>6</sub>-alkylcarbonyloxy, fluorinated C<sub>1</sub>-C<sub>6</sub>-alkylcarbonyloxy, C<sub>1</sub>-C<sub>6</sub>-alkoxycarbonyl,  
C<sub>1</sub>-C<sub>6</sub>-alkylthio, fluorinated C<sub>1</sub>-C<sub>6</sub>-alkylthio, C<sub>1</sub>-C<sub>6</sub>-alkylsulfinyl, fluorinated C<sub>1</sub>-C<sub>6</sub>-  
alkylsulfinyl, C<sub>1</sub>-C<sub>6</sub>-alkylsulfonyl and fluorinated C<sub>1</sub>-C<sub>6</sub>-alkylsulfonyl.

9. The compound as claimed in claim 8, wherein Ar carries one heteroaromatic radical R<sup>a</sup>, which is selected from furanyl, thienyl, pyrrolyl, pyrazolyl, imidazolyl, oxazolyl, isoxazolyl, [1,3,4]-thiadiazolyl, [1,2,4]-triazolyl, [1,2,3]-triazolyl and tetrazolyl, where the heteroaromatic radical may be unsubstituted or may carry 1 to 3 substituents selected from halogen, C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy, fluorinated C<sub>1</sub>-C<sub>4</sub>-alkyl and fluorinated C<sub>1</sub>-C<sub>4</sub>-alkoxy.  
5
10. The compound as claimed in any of the preceding claims, wherein Ar is phenyl.
- 10 11. The compound as claimed in claim 10, wherein Ar carries one radical R<sup>a</sup> in the 4-position of the phenyl ring.
12. The compound as claimed in any of the preceding claims, wherein X is CH.
- 15 13. The compound as claimed in any of the preceding claims, wherein R<sup>9</sup> is hydrogen, methoxy or methyl.
14. The compound as claimed in any of the preceding claims, wherein R<sup>9</sup> is located at the 2-position relative to the 1-position of the nitrogen ring atom and to the 20 3-position of the -NR<sup>3</sup>-SO<sub>2</sub>-Ar group.
15. The compound as claimed in any of the preceding claims, wherein R<sup>1</sup> is H, methyl, n-propyl, fluorinated C<sub>2</sub>-C<sub>3</sub>-alkyl or 1-propen-3-yl
- 25 16. The compound as claimed in any of the preceding claims, wherein R<sup>1a</sup> is hydrogen or C<sub>1</sub>-C<sub>4</sub>-alkyl.
17. The compound as claimed in any of the preceding claims, wherein R<sup>2a</sup> is hydrogen.  
30
18. The compound as claimed in any of the preceding claims, wherein R<sup>2</sup> is hydrogen.

19. The compound as claimed in any of the preceding claims, wherein R<sup>8a</sup> is hydrogen.
- 5 20. The compound as claimed in any of the preceding claims, wherein R<sup>8</sup> is hydrogen.
21. The compound as claimed in any of claims 1 to 15 and 18-20, wherein R<sup>2a</sup> and R<sup>1a</sup> together form an alkylene group (CH<sub>2</sub>)<sub>n</sub> with n being 2, 3 or 4.  
10
22. The compound as claimed in any one of claims 1 to 15, 17, 18 or 20, wherein R<sup>8a</sup> and R<sup>1a</sup> together form an alkylene group (CH<sub>2</sub>)<sub>s</sub> with s being 2 or 3.
23. The compound as claimed in any of claims 1 to 14 and 17 to 20, wherein R<sup>1</sup> and R<sup>1a</sup> together form an alkylene group (CH<sub>2</sub>)<sub>r</sub> with r being 3, 4 or 5.  
15
24. The compound as claimed in any one of the preceding claims, wherein R<sup>1a</sup>, R<sup>2</sup>, R<sup>2a</sup>, R<sup>8</sup> and R<sup>8a</sup> are each H, R<sup>1</sup> is propyl, R<sup>9</sup> is methoxy and Ar is as defined in any of claims 1 to 11.  
20
25. The compound as claimed in any one of the preceding claims, wherein R<sup>1a</sup>, R<sup>2</sup>, R<sup>2a</sup>, R<sup>8</sup> and R<sup>8a</sup> are H, R<sup>1</sup> is propyl, R<sup>9</sup> is methyl and Ar is as defined in any of claims 1 to 11.
26. A pharmaceutical composition comprising at least one compound of the formula I or a pharmaceutically acceptable salt thereof as claimed in any one of the preceding claims, optionally together with at least one physiologically acceptable carrier or auxiliary substance.  
25
27. A method for treating a medical disorder susceptible to treatment with a dopamine D3 receptor ligand, said method comprising administering an effective amount of at least one compound of the formula I or a pharmaceutically  
30

acceptable salt thereof as claimed in any one of the preceding claims 1 to 25 to a subject in need thereof.

28. The method as claimed in claim 27, wherein the medical disorder is a disease of  
5 the central nervous system.
29. The use of a compound of the formula I or a pharmaceutically acceptable salt  
thereof as claimed in any one of the preceding claims 1 to 25 for preparing a  
pharmaceutical composition for the treatment of a medical disorder susceptible to  
10 treatment with a dopamine D3 receptor ligand.
30. The use as claimed in claim 29, wherein the medical disorder is a disease of the  
central nervous system.

**INTERNATIONAL SEARCH REPORT**

International application No  
PCT/EP2007/053633

A. CLASSIFICATION OF SUBJECT MATTER
INV. C07D213/76 C07D239/69 A61K31/4439 A61K31/506 A61P25/16
A61P25/24 A61P25/28

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, CHEM ABS Data, BIOSIS, BEILSTEIN Data**

**C. DOCUMENTS CONSIDERED TO BE RELEVANT**

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A	LASZLOVSZKY I ET AL: "SUBSTITUTED PHENOXYALKYLPIPERAZINES AS DOPAMINE D3 RECEPTOR LIGANDS" PHARMAZIE, DIE, GOVI VERLAG, ESCHBORN, DE, vol. 56, no. 4, 2001, pages 287-289, XP001093755 ISSN: 0031-7144 the whole document ----- -/-	1-30

Further documents are listed in the continuation of Box C.

See patent family annex.

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Date of the actual completion of the international search

Date of mailing of the international search report

2 July 2007

12/07/2007

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## INTERNATIONAL SEARCH REPORT

International application No  
PCT/EP2007/053633

## C(Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT

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**INTERNATIONAL SEARCH REPORT**

Information on patent family members

International application No

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