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(71) Applicant (for all designated States except US): **BAYER SCHERING PHARMA AKTIENGESELLSCHAFT** [DE/DE]; Müllerstrasse 178, 13353 Berlin (DE).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **BRÄUER, Nico** [DE/DE]; Westendstr. 2 a, 07743 Jena (DE). **BUCHMANN, Bernd** [DE/DE]; Erdmannstr. 44, 16540 Hohen Neuendorf (DE). **KOPPITZ, Marcus** [DE/DE]; Scharnhorststr. 28, 10115 Berlin (DE). **TER LAAK, Antonius**

[NL/DE]; Hedwigstr. 11, 12159 Berlin (DE). **LANGER, Gernot** [DE/DE]; Mainstr. 32, 14612 Falkensee (DE). **LINDENTHAL, Bernhard** [DE/DE]; Wilkestr. 19, 13507 Berlin (DE). **PETERS, Olaf** [DE/DE]; Langenhainer Str. 8, 99891 Tabarz (DE). **WINTERMANTEL, Tim** [DE/DE]; Monbijouplatz 9, 10178 Berlin (DE).

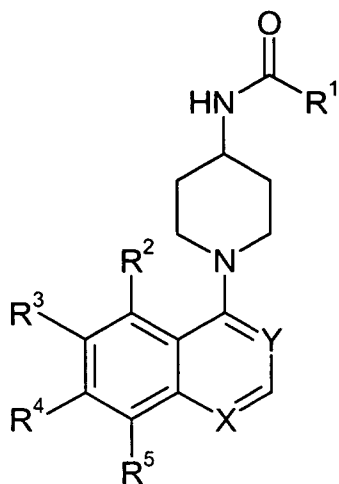
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(54) Title: N-(1-HETARYLPIPERIDIN-4-YL)(HET)ARYLAMIDES AS EP₂ RECEPTOR MODULATORS



(I)

(57) Abstract: The present invention relates to (het)aryl-3-[(het)arylpiperidin-4-yl]amides, to processes for their preparation and to their use for producing pharmaceutical compositions for treatment of disorders and indications connected to the EP₂ receptor.

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N-(1-Hetarylpiperidin-4-yl)(het)arylamides as EP₂ receptor modulators

The present invention relates to N-(1-hetarylpiperidin-4-yl)(het)arylamides as EP₂ receptor modulators, to processes for their preparation and to their use as medicaments.

It has long been known that prostaglandins are the key molecules in the processes of female reproductive biology, such as the regulation of ovulation, of fertilization, of nidation, of decidualization (e.g. placentation) and of menstruation. Prostaglandins also play an important role in pathological changes in the reproductive tract, including menorrhagia, dysmenorrhea, endometriosis and cancer. So far the mechanism by which prostaglandins bring about these changes has not been fully elucidated. Recent findings indicate that prostaglandins, their receptors and the signal transduction pathways thereof are involved in processes such as angiogenesis, apoptosis, proliferation and in inflammatory/anti-inflammatory and immunological processes.

The effects of the prostaglandins are mediated by their G-protein-coupled receptors, which are located on the cell surface. Prostaglandin E₂ (PGE₂) is of particular interest, as it achieves extremely varied cellular effects by binding to functionally different receptor subtypes, namely the EP₁, EP₂, EP₃ and EP₄ receptors. The receptor subtypes to which prostaglandin E₂ binds appear to be of particular interest for the receptor-mediated effects that play a role in fertility regulation. Thus, it has been shown that the reproductive functions in EP₂ knock-out mice (EP₂^{-/-}), i.e. mice that no longer carry the PGE₂ receptor subtype EP₂, are affected adversely, and that these animals have a smaller litter size (Matsumoto et al., 2001, *Biology of Reproduction* 64, 1557-1565). It has also been shown that these EP₂ knock-out mice (Hizaki et al. *Proc Natl Acad Sci U.S.A.* 1999 Aug 31; 96(18), 10501-10506) have markedly reduced cumulus expansion and pronounced subfertility, which demonstrates the significance of the prostaglandin EP₂ receptor for this process. The EP₂ receptor is accordingly an important target for the development of medicinal products for the regulation of female fertility. The existence of 4 subclasses of the PGE₂ receptor offers the

possibility of targeted development of compounds with selective action. At present, however, hardly any selective EP₂ receptor ligands that bind to the EP₂ subtypes of the PGE₂ receptor are known, as most of the known compounds also bind to the other PGE₂ receptor subtypes, for example the EP₄ receptor.

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EP₂ receptor antagonists are described for example in application US2005059742 (Jabbour, Medical Research Council). A method is claimed in which an EP₂ and/or an EP₄ antagonist can be used for the treatment of menorrhagia and dysmenorrhea. AH6809 is disclosed as an antagonist of the EP₂ or EP₄ receptor; no other specific antagonists and no new compounds are disclosed.

In an earlier application of the same group (EP 1467738), EP₂ or EP₄ antagonists are claimed for the treatment of pathological states, such as uterine carcinoma, myoma and endometriosis. Again, no new compounds are disclosed.

Ono Pharmaceutical claims, in application WO03/016254, the production of benzene acid or saturated carboxylic acid derivatives, which are substituted with aryl or heterocycles, among other things as PGE₂ receptor antagonists. The disclosed compounds are claimed for the treatment of a large number of diseases, including allergic diseases, Alzheimer's disease, pain, miscarriage, menstrual pains, menorrhagia and dysmenorrhea, endometriosis, bone diseases, ischemia etc. However, the compounds described are characterized by especially high affinity for the EP₃ receptor. In another application (WO04/032964), novel compounds are described, which are also characterized by particularly high affinity for the EP₃ receptor, and also find application as EP₂ antagonists, for the treatment and prophylaxis of allergic diseases.

Application WO04/39807 to Merck Frosst, Canada, discloses the production of pyridopyrrolizines and pyridoindolizines. These compounds are, however, characterized by good binding to the PGD₂ receptor, this receptor being another subtype of the prostaglandin receptor.

Naphthalene derivatives are disclosed as EP₄ receptor ligands by the SmithKline Beecham Corporation in application US2004102508. The claimed compounds find application for the treatment or prophylaxis of pain, allergic reactions and neurodegenerative diseases.

EP₄ antagonists (γ -lactams) are claimed in application WO03/103604 (Applied Research Systems). The compounds bind approx. 60-times better to the EP₄ receptor than to the EP₂ receptor and are claimed among other things for the treatment of premature labor, dysmenorrhea, asthma, infertility or fertility disorders. The same company claims, in applications WO03/053923 (substituted pyrrolidines) or WO03/035064 (substituted pyrazolidiones), compounds for the treatment of diseases that are associated with prostaglandins, for example infertility, hypertension and osteoporosis. The compounds bind to the EP₄ and the EP₂ receptor subtypes. Application WO03/037433 claims ω -cycloalkyl, 17 heteroaryl-prostaglandin derivatives as EP₂ receptor antagonists, in particular for the treatment of raised intraocular pressure.

Application WO03/064391 (Pfizer Products) describes metabolites of [3-[[N-(4-tert-butylbenzyl)(pyridin-3-ylsulfonyl)amino]methyl]acetic acid, which inhibit the binding of [³H] prostaglandin-E₂ to the EP₂ receptor. The use of these metabolites for the treatment of osteoporosis is disclosed.

Tani et al. claim, in application US2005124577, 8-azaprostaglandin derivatives for the treatment of immunologic diseases, allergic diseases, premature labor, miscarriage etc. The compounds bind to the EP₂ and EP₄ receptors.

European patent EP 1306087 describes EP₂ receptor agonists, which find application in the treatment of erectile dysfunction. The same structural class is described in European patent EP 860430, which claims use thereof for the production of a medicinal product for the treatment of immunologic diseases, asthma and miscarriage. Application WO04/32965 describes EP₂ receptor

agonists that are used for the treatment and prevention of diseases resulting from organ failure due to ischemia. WO04/009117 describes EP₂ and EP₄ receptor agonists for the treatment of diseases caused by uterine contraction, for example menstrual pains.

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Applications WO 03/74483 and WO03/09872 describe agonists that bind equally to the EP₂ receptor and to the EP₄ receptor (Ono Pharmaceuticals).

The agonists of the EP₂ and of the EP₄ receptor are often described in connection with the treatment of osteoporosis (WO99/19300, US2003/0166631, 10 WO03/77910, WO03/45371, WO 03/74483 and WO03/09872) and for the treatment of glaucoma (WO04/37813, WO04/37786, WO04/19938, WO03/103772, WO03/103664, US6747037, US6410591, WO03/40123, WO03/47513, WO03/47417).

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In patent application WO04/12656, EP₂ receptor agonists are claimed in connection with inflammation.

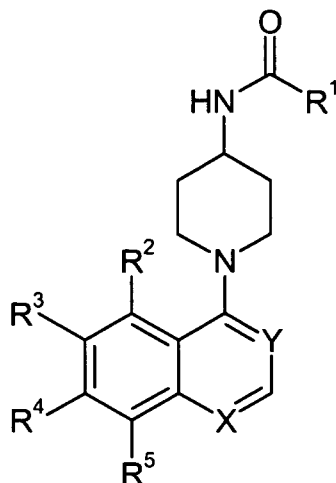
In patent application WO03/77919, EP₄ receptor agonists are claimed for the 20 fertility treatment.

To date, however, there are no known selective EP₂ receptor agonists and antagonists which regulate the processes that are ultimately responsible for nidation and decidualization and thus contribute to the promotion or inhibition of 25 fertility.

This leads to the problem of providing stable and effective compounds that bind selectively to the EP₂ receptor, for the development of new medicaments.

30 It has now been found that, surprisingly, compounds of the general formula I

- 5 -



(I)

where

5

X, Y, are each independently a nitrogen radical or a CH group,
with the prerequisite that at least one of the X and Y groups
is a nitrogen radical,

10 R¹ is a 5-12-membered mono- or bicyclic aryl or heteroaryl ring which
is unsubstituted or optionally mono- or polysubstituted,

R²-R⁵ are each independently hydrogen, halogen, cyano,
or an OR⁶, OC(O)R⁶, S(O)_nR⁶ where n = 0, 1, 2, SO₂NHR⁶,
15 SO₂NHC(O)R⁶, NR⁶R⁷, NHC(O)R⁶, CH₂NR⁶R⁷, CH₂NHC(O)R⁶,
C(OH)R⁶R⁷, C(O)R⁶, CO₂R⁶, C(O)NR⁶R⁷ group,
a C₁-C₆-alkyl group which may be unsubstituted or optionally
substituted,
a C₃-C₁₀-cycloalkyl ring which may be unsubstituted or optionally
20 substituted,
a C₂-C₆-alkenyl or C₂-C₆-alkynyl group which may be unsubstituted
or optionally substituted,
a 5-12-membered mono- or bicyclic aryl or heteroaryl ring which
may be unsubstituted or optionally substituted,

25

- R^6, R^7 are each independently hydrogen, C_1 - C_6 -alkyl, C_3 - C_{10} -cycloalkyl, a 5-12-membered mono- or bicyclic aryl or heteroaryl ring, where the alkyl, cycloalkyl and (hetero)aryl groups may be unsubstituted or optionally substituted, or
- 5 R^6, R^7 together form a 3-8-membered ring, and the isomers, salts and the cyclodextrin clathrates thereof, overcome the known disadvantages and to be able to achieve a better selectivity for the EP_2 receptor and hence a better efficacy and longer action time.
- 10

- The saturated, unbranched C_1 - C_4 -alkyl substituents specified under R^9 and R^{10} are, for example, a methyl, ethyl, *n*-propyl, *n*-butyl group, and the branched C_3 - C_4 -alkyl groups are an isopropyl, isobutyl, *sec*-butyl, *tert*-butyl group.
- 15 The alkyl groups may optionally be mono- or polysubstituted by halogen atoms (e.g. fluorine, chlorine or bromine), and also by cyano, hydroxyl, amino and carboxyl groups.

- The saturated unbranched C_1 - C_6 -alkyl substituents specified under R^2 to R^7 are, for example, a methyl, ethyl, *n*-propyl, *n*-butyl, *n*-pentyl, *n*-hexyl group, and the branched C_3 - C_6 -alkyl groups are an isopropyl, isobutyl, *sec*-butyl, *tert*-butyl, isopentyl, neopentyl, 2-methylpentyl, 2,2-dimethylbutyl, 2,3-dimethylbutyl group.
- 20

- The alkyl groups may optionally be mono- or polysubstituted by halogen atoms (e.g. fluorine, chlorine or bromine), and also by cyano, hydroxyl, amino, carboxyl groups or an optionally mono- or polysubstituted 5-6-membered aryl or heteroaryl radical.
- 25

- Examples of a 5-6-membered aryl radical include the following: cyclopentadienyl, phenyl.
- 30 The 5-6-membered heteroaryl groups may be a pyridyl, pyrimidyl, furanyl, thiophenyl, oxazolyl, isoxazolyl, thiazolyl, pyrrolyl, pyrazolyl, pyrazinyl, pyridazinyl, triazolyl, tetrazolyl or imidazolyl group bonded via one of the substitutable positions.

The C₂-C₆-alkenyl substituents in R² to R⁵ or the C₂-C₄-alkenyl substituent in R⁹ and R¹⁰ are each straight-chain or branched, meaning, for example, the following radicals:

5

Vinyl, allyl, homoallyl, (*E*)-but-2-enyl, (*Z*)-but-2-enyl, pent-4-enyl, (*E*)-pent-3-enyl, (*Z*)-pent-3-enyl, (*E*)-pent-2-enyl, (*Z*)-pent-2-enyl, 2-methylvinyl, 3-methylbut-3-enyl, 2-methylbut-3-enyl, (*E*)-2-methylbut-2-enyl, (*Z*)-2-methylbut-2-enyl, 2-ethylprop-2-enyl, hex-5-enyl, (*E*)-hex-4-enyl, (*Z*)-hex-4-enyl, (*E*)-hex-3-enyl, (*Z*)-hex-3-enyl, (*E*)-hex-2-enyl, (*Z*)-hex-2-enyl, 1-methylpent-4-enyl, (*E*)-1-methylpent-3-enyl, (*Z*)-1-methylpent-3-enyl, 1-ethylbut-3-enyl, (*E*)-1-methylpent-2-enyl, (*Z*)-1-methylpent-2-enyl.

The alkenyl groups may optionally be mono- or polysubstituted by halogen atoms (e.g. fluorine, chlorine or bromine), by cyano, carboxyl groups, or an optionally mono- or polysubstituted 5-6-membered aryl or heteroaryl radical. Examples of a 5-6-membered aryl radical include the following: cyclopentadienyl, phenyl.

The 5-6-membered heteroaryl groups may be a pyridyl, pyrimidyl, furanyl, thiophenyl, oxazolyl, isoxazolyl, thiazolyl, pyrrolyl, pyrazolyl, pyrazinyl, pyridazinyl, triazolyl, tetrazolyl or imidazolyl group bonded via one of the substitutable positions.

The C₂-C₆-alkynyl substituents in R² to R⁵ and the C₂-C₄-alkynyl substituents R⁹ and R¹⁰ are each straight-chain or branched, meaning, for example, the following radicals: ethynyl, prop-1-ynyl, but-1-ynyl, but-2-ynyl, pent-1-ynyl, hex-1-ynyl.

The alkynyl groups may optionally be monosubstituted by halogen atoms (e.g. fluorine, chlorine or bromine), cyano, carboxyl groups or an optionally mono- or polysubstituted 5-6-membered aryl or heteroaryl radical.

Examples of a 5-6-membered aryl radical include the following: cyclopentadienyl, phenyl.

The 5-6-membered heteroaryl groups may be a pyridyl, pyrimidyl, furanyl, thiophenyl, oxazolyl, isoxazolyl, thiazolyl, pyrrolyl, pyrazolyl, pyrazinyl, pyridazinyl, triazolyl, tetrazolyl or imidazolyl group bonded via one of the substitutable positions.

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Halogen is understood to mean the following: fluorine, chlorine, bromine, iodine.

The C₃-C₁₀-cycloalkyl specified under R²-R⁷ includes monocyclic alkyl rings such as cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl or cycloheptyl, or cyclooctyl, but also bicyclic rings, for example decahydronaphthalene, tricyclic rings or bridged rings, for example adamantanyl.

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The cycloalkyl groups may optionally be mono- to disubstituted by halogen atoms (e.g. fluorine, chlorine or bromine), and also by cyano, hydroxyl, amino, carboxyl groups.

15

The C₃-C₆-cycloalkyl specified under R⁹ and R¹⁰ includes monocyclic alkyl rings such as cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl.

20

The cycloalkyl groups may optionally be mono- to disubstituted by halogen atoms (e.g. fluorine, chlorine or bromine), and also by cyano, hydroxyl, amino and carboxyl groups.

25

The 5-12-membered mono- or bicyclic aryl or heteroaryl radical which is optionally mono- or polysubstituted, for example by halogen, and is specified in R¹, R² to R⁵ and R⁶ and R⁷ is understood to mean 5-12-membered ring systems which, instead of the carbon, may contain one or more identical or different heteroatoms, such as oxygen, nitrogen or sulfur, in the ring, may be mono- or bicyclic and may additionally each be benzofused and may be bonded to the skeleton via one of the possible bonding sites.

30

Examples of a 5-12-membered mono- or bicyclic aryl radical include the following: cyclopentadienyl, phenyl, troyl, cyclooctadienyl, indenyl, naphthyl, azulenyl, biphenyl.

- 5 The 5-12-membered mono- or bicyclic heteroaryl groups may be a pyridinyl, pyrimidinyl, quinolinyl, isoquinolinyl, phthalazinyl, quinazolinyl, quinoxalinyl, cinnolinyl, benzofuranyl, benzothiophenyl, 1,3-benzodioxolyl, benzimidazolyl
2,1,3-benzothiadiazolyl, indolyl, furanyl, thiophenyl, oxazolyl, isoxazolyl, thiazolyl, pyrrolyl, pyrazolyl, pyrazinyl, pyridazinyl, carbazolyl, fluorenyl, 9-oxo-
10 fluorenyl, triazolyl, tetrazolyl or imidazolyl group bonded via one of the substitutable positions.

The 5-6-membered aryl or heteroaryl radical which may optionally be mono- or disubstituted by fluorine, chlorine, trifluoromethyl and is specified in R⁹ and R¹⁰
15 is understood to mean 5-6-membered ring systems which, instead of the carbon, may contain one or more identical or different heteroatoms, such as oxygen, nitrogen or sulfur, in the ring and are bonded to the skeleton via one of the possible bonding sties.

- 20 Examples of a 5-6-membered aryl radical include the following:
cyclopentadienyl, phenyl.

The 5-6-membered heteroaryl groups may be a pyridyl, pyrimidyl, furanyl, thiophenyl, oxazolyl, isoxazolyl, thiazolyl, pyrrolyl, pyrazolyl, pyrazinyl,
25 pyridazinyl, triazolyl, tetrazolyl or imidazolyl group bonded via one of the substitutable positions.

The 3-8-membered ring which can be formed by ring closure of R⁶ and R⁷ or R⁹ and R¹⁰ may be a cycloalkyl or a nitrogen-containing heterocycle. Examples of a
30 3-8-membered cycloalkyl ring include, for example, the following: cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl or cycloheptyl, cyclooctyl.

Examples of a 3-8-membered nitrogen-containing heterocycle include, for example, the following: aziridinyl, azetidiny, pyrrolidinyl, piperidinyl, piperazinyl, morpholinyl, azepanyl, [1, 4]-diazepanyl.

- 5 The free alcohols of the inventive compounds may also be present as esters and are thus prodrugs of the physiological compounds of the general formula I which, in the organism, metabolize to compounds of the general formula I.

10 Suitable compounds are listed, for example, in Hans Bundgaard (ed.), Design of Prodrugs, Elsevier, Amsterdam 1985.

When an acidic function is present, suitable salts are the physiologically compatible salts of organic and inorganic bases, for example the readily soluble alkali metal and alkaline earth metal salts, and also N-methylglucamine, dimethylglucamine, ethylglucamine, lysine, 1,6-hexadiazine, ethanolamine, 15 glucosamine, sarcosine, serinol, tris(hydroxymethyl)aminomethane, aminopropanediol, Sovak base, 1-amino-2,3,4-butanetriol.

When a basic function is present, useful methods for the formation of 20 physiologically compatible salts of the inventive compounds of the general formula I are methods known to those skilled in the art; useful inorganic acids include hydrochloric acid, hydrobromic acid, sulfuric acid and phosphoric acid, nitric acid; useful carboxylic acids include acetic acid, propionic acid, hexanoic acid, octanoic acid, decanoic acid, oleic acid, stearic acid, maleic acid, fumaric 25 acid, succinic acid, benzoic acid, ascorbic acid, oxalic acid, salicylic acid, tartaric acid, citric acid, lactic acid, glycolic acid, malic acid, mandelic acid, cinnamic acid, glutamic acid, aspartic acid; useful sulfonic acids include methanesulfonic acid, ethanesulfonic acid, toluenesulfonic acid, benzenesulfonic acid and naphthalenesulfonic acid.

30

Preference is given to the compounds of the general formula I where

- X is a nitrogen radical,
- Y is a CH group,
- 5 R¹ is a 5-12-membered mono- or bicyclic aryl or heteroaryl ring which is unsubstituted or optionally mono- or polysubstituted,
- R² is hydrogen, fluorine, chlorine, a trifluoromethyl group,
- 10 R³-R⁵ are each independently hydrogen, halogen, cyano, or an OR⁶, OC(O)R⁶, S(O)_nR⁶ where n = 0, 1, 2, SO₂NHR⁶, SO₂NHC(O)R⁶, NR⁶R⁷, NHC(O)R⁶, CH₂NR⁶R⁷, CH₂NHC(O)R⁶, C(OH)R⁶R⁷, C(O)R⁶, CO₂R⁶, C(O)NR⁶R⁷ group, a C₁-C₆-alkyl group which may be unsubstituted or substituted,
- 15 a C₃-C₁₀-cycloalkyl ring which may be unsubstituted or substituted, a C₂-C₆-alkenyl or C₂-C₆-alkynyl group which may be unsubstituted or substituted, a 5-12-membered mono- or bicyclic aryl or heteroaryl ring which may be unsubstituted or substituted,
- 20 R⁶, R⁷ are each independently hydrogen, C₁-C₆-alkyl, C₃-C₁₀-cycloalkyl, a 5-12-membered mono- or bicyclic aryl or heteroaryl ring, where the alkyl, cycloalkyl, aryl and (hetero)aryl groups may be unsubstituted or optionally substituted, or
- 25 R⁶, R⁷ together form a 3-8-membered ring.

Likewise preferred are the compounds of the general formula I where

- 30 X and Y are each a nitrogen radical,
- R¹ is a 5-12-membered mono- or bicyclic aryl or heteroaryl ring which is unsubstituted or optionally mono- or polysubstituted,

- R^2 is hydrogen, fluorine, chlorine, a trifluoromethyl group,
- R^3 - R^5 are each independently hydrogen, halogen, cyano,
 5 or an OR^6 , $OC(O)R^6$, $S(O)_nR^6$ where $n = 0, 1, 2$, SO_2NHR^6 ,
 $SO_2NHC(O)R^6$, NR^6R^7 , $NHC(O)R^6$, $CH_2NR^6R^7$, $CH_2NHC(O)R^6$,
 $C(OH)R^6R^7$, $C(O)R^6$, CO_2R^6 , $C(O)NR^6R^7$ group,
 a C_1 - C_6 -alkyl group which may be unsubstituted or substituted,
 a C_3 - C_{10} -cycloalkyl ring which may be unsubstituted or substituted,
 10 a C_2 - C_6 -alkenyl or C_2 - C_6 -alkynyl group which may be unsubstituted
 or substituted,
 a 5-12-membered mono- or bicyclic aryl or heteroaryl ring which
 may be unsubstituted or substituted,
- 15 R^6 , R^7 are each independently hydrogen, C_1 - C_6 -alkyl, C_3 - C_{10} -cycloalkyl, a
 5-12-membered mono- or bicyclic aryl or heteroaryl ring, where the
 alkyl, cycloalkyl, aryl and (hetero)aryl groups may be unsubstituted
 or optionally substituted, or
- 20 R^6 , R^7 together form a 3-8-membered ring.

Likewise preferred are the compounds of the general formula I where

- 25 X is a CH group,
- Y is a nitrogen radical,
- R^1 is a 5-12-membered mono- or bicyclic aryl or heteroaryl ring which
 may be unsubstituted or optionally mono- or polysubstituted,
 30 R^2 is hydrogen, fluorine, chlorine, a trifluoromethyl group,
- R^3 - R^5 are each independently hydrogen, halogen, cyano,

- or an OR^6 , $OC(O)R^6$, $S(O)_nR^6$ where $n = 0, 1, 2$, SO_2NHR^6 ,
 $SO_2NHC(O)R^6$, NR^6R^7 , $NHC(O)R^6$, $CH_2NR^6R^7$, $CH_2NHC(O)R^6$,
 $C(OH)R^6R^7$, $C(O)R^6$, CO_2R^6 , $C(O)NR^6R^7$ group,
 a C_1 - C_6 -alkyl group which may be unsubstituted or substituted,
 5 a C_3 - C_{10} -cycloalkyl ring which may be unsubstituted or substituted,
 a C_2 - C_6 -alkenyl or C_2 - C_6 -alkynyl group which may be unsubstituted
 or substituted,
 a 5-12-membered mono- or bicyclic aryl or heteroaryl ring which
 may be unsubstituted or substituted,
 10 R^6, R^7 are each independently hydrogen, C_1 - C_6 -alkyl, C_3 - C_{10} -cycloalkyl, a
 5-12-membered mono- or bicyclic aryl or heteroaryl ring, where the
 alkyl, cycloalkyl, aryl and (hetero)aryl groups may be unsubstituted
 or optionally substituted, or
 15 R^6, R^7 together form a 3-8-membered ring.

Likewise preferred are the compounds of the general formula I where

- 20 X is a nitrogen radical,
 Y is a CH group,
 25 R^1 is a 5-12-membered mono- or bicyclic aryl or heteroaryl ring which
 may be unsubstituted or optionally mono- to trisubstituted,
 where the ring is a phenyl, thiophenyl, furanyl, pyrrolyl, oxazolyl,
 isoxazolyl, thiazolyl, imidazolyl, pyridyl, pyrazolyl, pyrimidinyl,
 triazolyl, pyrazinyl or pyridazinyl, tetrazolyl, naphthyl, indolyl,
 benzofuranyl, benzothiophenyl, 1,3-benzodioxolyl, benzimidazolyl,
 30 quinolinyl, isoquinolinyl, quinazolinyl, phthalazinyl, quinoxaliny,
 cinnolinyl radical,
 R^2 is hydrogen, fluorine, chlorine, a trifluoromethyl group,

- R^3 - R^5 are each independently hydrogen, halogen, cyano, or an OR^6 , $OC(O)R^6$, $S(O)_nR^6$ where $n = 0, 1, 2$, SO_2NHR^6 , $SO_2NHC(O)R^6$, NR^6R^7 , $NHC(O)R^6$, $CH_2NR^6R^7$, $CH_2NHC(O)R^6$, $C(OH)R^6R^7$, $C(O)R^6$, CO_2R^6 , $C(O)NR^6R^7$ group, a C_1 - C_6 -alkyl group which may be unsubstituted or substituted, a C_3 - C_{10} -cycloalkyl ring which may be unsubstituted or substituted, a C_2 - C_6 -alkenyl or C_2 - C_6 -alkynyl group which may be unsubstituted or substituted, a 5-12-membered mono- or bicyclic aryl or heteroaryl ring which may be unsubstituted or substituted,
- R^6 , R^7 are each independently hydrogen, C_1 - C_6 -alkyl, C_3 - C_{10} -cycloalkyl, a 5-12-membered mono- or bicyclic aryl or heteroaryl ring, where the alkyl, cycloalkyl, aryl and (hetero)aryl groups may be unsubstituted or optionally substituted, or
- R^6 , R^7 together form a 3-8-membered ring.
- Likewise preferred are the compounds of the general formula I where
- X and Y are each a nitrogen radical,
- R^1 is a 5-12-membered mono- or bicyclic aryl or heteroaryl ring which may optionally be unsubstituted or mono- to trisubstituted, where the ring is a phenyl, thiophenyl, furanyl, pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, imidazolyl, pyridyl, pyrazolyl, pyrimidinyl, triazolyl, pyrazinyl or pyridazinyl or tetrazolyl, naphthyl, indolyl, benzofuranyl, benzothiophenyl, 1,3-benzodioxolyl, benzimidazolyl, quinolinyl, isoquinolinyl, quinazolinyl, phthalazinyl, quinoxalinyl, cinnolinyl radical,
- R^2 is hydrogen, fluorine, chlorine, a trifluoromethyl group,

- R^3 - R^5 are each independently hydrogen, halogen, cyano,
 or an OR^6 , $OC(O)R^6$, $S(O)_nR^6$ where $n = 0, 1, 2$, SO_2NHR^6 ,
 $SO_2NHC(O)R^6$, NR^6R^7 , $NHC(O)R^6$, $CH_2NR^6R^7$, $CH_2NHC(O)R^6$,
 5 $C(OH)R^6R^7$, $C(O)R^6$, CO_2R^6 , $C(O)NR^6R^7$ group,
 a C_1 - C_6 -alkyl group which may be unsubstituted or substituted,
 a C_3 - C_{10} -cycloalkyl ring which may be unsubstituted or substituted,
 a C_2 - C_6 -alkenyl or C_2 - C_6 -alkynyl group which may be unsubstituted
 or substituted,
 10 a 5-12-membered mono- or bicyclic aryl or heteroaryl ring which
 may be unsubstituted or substituted,
- R^6 , R^7 are each independently hydrogen, C_1 - C_6 -alkyl, C_3 - C_{10} -cycloalkyl, a
 5-12-membered mono- or bicyclic aryl or heteroaryl ring, where the
 15 alkyl, cycloalkyl, aryl and (hetero)aryl groups may be unsubstituted
 or optionally substituted, or
- R^6 , R^7 together form a 3-8-membered ring.
- 20 Likewise preferred are the compounds of the general formula I where
- X is a CH group,
- Y is a nitrogen radical,
- 25 R^1 is a 5-12-membered mono- or bicyclic aryl or heteroaryl ring which
 may be unsubstituted or optionally mono- to trisubstituted,
 where the ring is a phenyl, thiophenyl, furanyl, pyrrolyl, oxazolyl,
 isoxazolyl, thiazolyl, imidazolyl, pyridyl, pyrazolyl, pyrimidinyl,
 30 triazolyl, pyrazinyl, pyridazinyl or tetrazolyl, naphthyl, indolyl,
 benzofuranyl, benzothiophenyl, 1,3-benzodioxolyl, benzimidazolyl,
 quinolinyl, isoquinolinyl, quinazoliny, phthalazinyl, quinoxaliny,
 cinnolinyl radical,

- R^2 is hydrogen, fluorine, chlorine, a trifluoromethyl group,
- R^3 - R^5 are each independently hydrogen, halogen, cyano,
 5 or an OR^6 , $OC(O)R^6$, $S(O)_nR^6$ where $n = 0, 1, 2$, SO_2NHR^6 ,
 $SO_2NHC(O)R^6$, NR^6R^7 , $NHC(O)R^6$, $CH_2NR^6R^7$, $CH_2NHC(O)R^6$,
 $C(OH)R^6R^7$, $C(O)R^6$, CO_2R^6 , $C(O)NR^6R^7$ group,
 a C_1 - C_6 -alkyl group which may be unsubstituted or substituted,
 a C_3 - C_{10} -cycloalkyl ring which may be unsubstituted or substituted,
 10 a C_2 - C_6 -alkenyl or C_2 - C_6 -alkynyl group which may be unsubstituted
 or substituted,
 a 5-12-membered mono- or bicyclic aryl or heteroaryl ring which
 may be unsubstituted or substituted,
- 15 R^6, R^7 are each independently hydrogen, C_1 - C_6 -alkyl, C_3 - C_{10} -cycloalkyl, a
 5-12-membered mono- or bicyclic aryl or heteroaryl ring, where the
 alkyl, cycloalkyl, aryl and (hetero)aryl groups may be unsubstituted
 or optionally substituted, or
- 20 R^6, R^7 together form a 3-8-membered ring.

Likewise preferred are compounds of the general formula I where

- 25 X is a nitrogen radical,
- Y is a CH group,
- R^1 is a 5-12-membered mono- or bicyclic aryl or heteroaryl ring which
 may be unsubstituted or optionally mono- to trisubstituted,
 30 where the ring is a phenyl, thiophenyl, furanyl, pyrrolyl, oxazolyl,
 isoxazolyl, thiazolyl, imidazolyl, pyridyl, pyrazolyl, pyrimidinyl,
 triazolyl, pyrazinyl or pyridazinyl, tetrazolyl, naphthyl, indolyl,
 benzofuranyl, benzothiophenyl, 1,3-benzodioxolyl, benzimidazolyl,

quinolinyl, isoquinolinyl, quinazolinyl, phthalazinyl, quinoxalinyl, cinnolinyl radical,

R^2 is hydrogen, fluorine, chlorine, a trifluoromethyl group,

5

R^3 - R^5 are each independently hydrogen, halogen, cyano, or an OR^6 , $OC(O)R^6$, $S(O)_nR^6$ where $n = 0, 1, 2$, SO_2NHR^6 , $SO_2NHC(O)R^6$, NR^6R^7 , $NHC(O)R^6$, $CH_2NR^6R^7$, $CH_2NHC(O)R^6$, $C(OH)R^6R^7$, $C(O)R^6$, CO_2R^6 , $C(O)NR^6R^7$ group,

10

a C_1 - C_6 -alkyl group which may be unsubstituted or substituted, a C_3 - C_{10} -cycloalkyl ring which may be unsubstituted or substituted, a C_2 - C_6 -alkenyl group which may be unsubstituted or substituted, a C_2 - C_6 -alkynyl group, which may be unsubstituted or substituted, a 5-12-membered mono- or bicyclic aryl or heteroaryl ring which is unsubstituted or optionally mono- or polysubstituted,

15

where the substituents may be selected from the group of

- halogen,
- C_1 - C_4 -alkyl which may be unsubstituted or optionally substituted,
- $-OR^9$, $-OC(O)R^9$, $-S(O)_nR^9$ where $n = 0, 1, 2$, $-SO_2NHR^9$, $-SO_2NHC(O)R^9$, NR^9R^{10} , $-NHC(O)R^9$, $-CN$, $-CO_2-R^9$, $-C(O)-N-R^9R^{10}$, $-C(O)R^9$, $-C(OH)R^9R^{10}$,

20

where the 5-12-membered mono- or bicyclic aryl or heteroaryl ring may, for example, but not exclusively, be a quinolinyl, isoquinolinyl, phthalazinyl, quinazolinyl, quinoxalinyl, cinnolinyl, benzothiophenyl, 1,3-benzodioxolyl, 2,1,3-benzothiadiazolyl, phenyl, pyridinyl, pyrimidinyl, furanyl, thiophenyl, oxazolyl, isoxazolyl, thiazolyl, pyrrolyl, pyrazolyl, imidazolyl, pyrazinyl, pyridazinyl, triazolyl, tetrazolyl, naphthyl, indolyl, benzofuranyl or benzimidazolyl group,

25

30

R^6 , R^7 are each independently hydrogen, a C_1 - C_6 -alkyl group which may be unsubstituted or optionally up to pentahalogenated,

a C₃-C₁₀-cycloalkyl radical,
 a 5-12-membered mono- or bicyclic aryl or heteroaryl ring which is
 unsubstituted or optionally mono- or polysubstituted,

where the substituents may be selected from the group of

- 5
- halogen,
 - cyano,
 - R⁹, -OR⁹, -OC(O)R⁹, -S(O)_nR⁹ where n = 0, 1, 2,
 -SO₂NHR⁹, NR⁹R¹⁰, -NHC(O)R⁹, -CO₂-R⁹, -C(O)-N-
 R⁹R¹⁰,

10 where the 5-12-membered mono- or bicyclic aryl or heteroaryl ring
 may, for example, but not exclusively, be a quinoliny, isoquinoliny,
 phthalaziny, quinazoliny, quinoxaliny, cinnoliny, benzothiopheny,
 1,3-benzodioxoly, 2,1,3-benzothiadiazoly, phenyl, pyridiny,
 pyrimidiny, furany, thiopheny, oxazolyl, isoxazolyl, thiazolyl,
 15 pyrroly, pyrazolyl, imidazolyl, pyraziny, pyridaziny, triazolyl,
 tetrazolyl, naphthyl, indolyl, benzofurany or benzimidazolyl group,
 or

R⁶, R⁷ together form a 3-8-membered ring,

20

R⁹, R¹⁰ are each independently hydrogen,

25

- a C₁-C₄-alkyl group which may be unsubstituted or
 optionally up to pentafluorinated,
- a C₂-C₄-alkenyl group which may be unsubstituted or
 optionally up to trifluorinated,
- a C₂-C₄-alkynyl group which may be unsubstituted or
 optionally monofluorinated,
- a C₃-C₆-cycloalkyl group,
- a 5-6-membered aryl or heteroaryl ring which may,

30

for example, but not exclusively, be a phenyl,
 pyridiny, pyrimidiny, furany, thiopheny, oxazolyl,
 isoxazolyl, thiazolyl, pyrroly, pyrazolyl, imidazolyl,
 pyraziny, pyridaziny, triazolyl, tetrazolyl ring, which

may be unsubstituted or optionally up to disubstituted by fluorine, chlorine, trifluoromethyl, or

R⁹, R¹⁰ together form a 3-8-membered ring.

5

Likewise preferred are compounds of the general formula I where

X and Y are each a nitrogen radical,

10 R¹ is a 5-12-membered mono- or bicyclic aryl or heteroaryl ring which may be unsubstituted or optionally mono- to trisubstituted, where the ring is a phenyl, thiophenyl, furanyl, pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, imidazolyl, pyridyl, pyrazolyl, pyrimidinyl, triazolyl, pyrazinyl or pyridazinyl, tetrazolyl, naphthyl, indolyl, 15 benzofuranyl, benzothiophenyl, 1,3-benzodioxolyl, benzimidazolyl, quinolinyl, isoquinolinyl, quinazolinyl, phthalazinyl, quinoxalinyl, cinnolinyl radical,

R² is hydrogen, fluorine, chlorine, a trifluoromethyl group,

20

R³-R⁵ are each independently hydrogen, halogen, cyano, or an OR⁶, OC(O)R⁶, S(O)_nR⁶ where n = 0, 1, 2, SO₂NHR⁶, SO₂NHC(O)R⁶, NR⁶R⁷, NHC(O)R⁶, CH₂NR⁶R⁷, CH₂NHC(O)R⁶, C(OH)R⁶R⁷, C(O)R⁶, CO₂R⁶, C(O)NR⁶R⁷ group, 25 a C₁-C₆-alkyl group which may be unsubstituted or substituted, a C₃-C₁₀-cycloalkyl ring which may be unsubstituted or substituted, a C₂-C₆-alkenyl group which may be unsubstituted or substituted, a C₂-C₆-alkynyl group, which may be unsubstituted or substituted, a 5-12-membered mono- or bicyclic aryl or heteroaryl ring which is 30 unsubstituted or optionally mono- or polysubstituted,

where the substituents may be selected from the group of

- halogen,

- C₁-C₄-alkyl which may be unsubstituted or optionally substituted,
- OR⁹, -OC(O)R⁹, -S(O)_nR⁹ where n = 0, 1, 2,
-SO₂NHR⁹, -SO₂NHC(O)R⁹, NR⁹R¹⁰, -NHC(O)R⁹,
-CN, -CO₂-R⁹, -C(O)-N-R⁹R¹⁰, -C(O)R⁹, -C(OH)R⁹R¹⁰,

5

where the 5-12-membered mono- or bicyclic aryl or heteroaryl ring may, for example, but not exclusively, be a quinolinyl, isoquinolinyl, phthalazinyl, quinazolinyl, quinoxalinyl, cinnolinyl, benzothiophenyl, 1,3-benzodioxolyl, 2,1,3-benzothiadiazolyl, phenyl, pyridinyl,
10 pyrimidinyl, furanyl, thiophenyl, oxazolyl, isoxazolyl, thiazolyl, pyrrolyl, pyrazolyl, imidazolyl, pyrazinyl, pyridazinyl, triazolyl, tetrazolyl, naphthyl, indolyl, benzofuranyl or benzimidazolyl group,

10

R⁶, R⁷

are each independently hydrogen,

15

a C₁-C₆-alkyl group which may be unsubstituted or optionally up to pentahalogenated,

a C₃-C₁₀-cycloalkyl radical,

a 5-12-membered mono- or bicyclic aryl or heteroaryl ring which is unsubstituted or optionally mono- or polysubstituted,

20

where the substituents may be selected from the group of

- halogen,
- cyano,
- R⁹, -OR⁹, -OC(O)R⁹, -S(O)_nR⁹ where n = 0, 1, 2,
-SO₂NHR⁹, NR⁹R¹⁰, -NHC(O)R⁹, -CO₂-R⁹, -C(O)-N-
25 R⁹R¹⁰,

25

where the 5-12-membered mono- or bicyclic aryl or heteroaryl ring may, for example, but not exclusively, be a quinolinyl, isoquinolinyl, phthalazinyl, quinazolinyl, quinoxalinyl, cinnolinyl, benzothiophenyl, 1,3-benzodioxolyl, 2,1,3-benzothiadiazolyl, phenyl, pyridinyl,
30 pyrimidinyl, furanyl, thiophenyl, oxazolyl, isoxazolyl, thiazolyl, pyrrolyl, pyrazolyl, imidazolyl, pyrazinyl, pyridazinyl, triazolyl, tetrazolyl, naphthyl, indolyl, benzofuranyl or benzimidazolyl group,
or

30

- R^6, R^7 together form a 3-8-membered ring,
- R^9, R^{10} are each independently hydrogen,
- 5
- a C₁-C₄-alkyl group which may be unsubstituted or optionally up to pentafluorinated,
 - a C₂-C₄-alkenyl group which may be unsubstituted or optionally up to trifluorinated,
 - a C₂-C₄-alkynyl group which may be unsubstituted or optionally monofluorinated,
- 10
- a C₃-C₆-cycloalkyl group,
 - a 5-6-membered aryl or heteroaryl ring which may, for example, but not exclusively, be a phenyl, pyridinyl, pyrimidinyl, furanyl, thiophenyl, oxazolyl, isoxazolyl, thiazolyl, pyrrolyl, pyrazolyl, imidazolyl, pyrazinyl, pyridazinyl, triazolyl, tetrazolyl ring, which may be unsubstituted or optionally up to disubstituted by fluorine, chlorine, trifluoromethyl, or
- 15
- 20 R^9, R^{10} together form a 3-8-membered ring.

Likewise preferred are compounds of the general formula I where

- X is a CH group,
- 25 Y is a nitrogen radical,
- R^1 is a 5-12-membered mono- or bicyclic aryl or heteroaryl ring which may be unsubstituted or optionally mono- to trisubstituted,
- 30 where the ring is a phenyl, thiophenyl, furanyl, pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, imidazolyl, pyridyl, pyrazolyl, pyrimidinyl, triazolyl, pyrazinyl or pyridazinyl, tetrazolyl, naphthyl, indolyl, benzofuranyl, benzothiophenyl, 1,3-benzodioxolyl, benzimidazolyl,

quinolinyl, isoquinolinyl, quinazolinyl, phthalazinyl, quinoxalinyl, cinnolinyl radical,

R^2 is hydrogen, fluorine, chlorine, a trifluoromethyl group,

5

R^3 - R^5 are each independently hydrogen, halogen, cyano, or an OR^6 , $OC(O)R^6$, $S(O)_nR^6$ where $n = 0, 1, 2$, SO_2NHR^6 , $SO_2NHC(O)R^6$, NR^6R^7 , $NHC(O)R^6$, $CH_2NR^6R^7$, $CH_2NHC(O)R^6$, $C(OH)R^6R^7$, $C(O)R^6$, CO_2R^6 , $C(O)NR^6R^7$ group,

10

a C_1 - C_6 -alkyl group which may be unsubstituted or substituted, a C_3 - C_{10} -cycloalkyl ring which may be unsubstituted or substituted, a C_2 - C_6 -alkenyl group which may be unsubstituted or substituted, a C_2 - C_6 -alkynyl group, which may be unsubstituted or substituted, a 5-12-membered mono- or bicyclic aryl or heteroaryl ring which is unsubstituted or optionally mono- or polysubstituted,

15

where the substituents may be selected from the group of

- halogen,
- C_1 - C_4 -alkyl which may be unsubstituted or optionally substituted,
- $-OR^9$, $-OC(O)R^9$, $-S(O)_nR^9$ where $n = 0, 1, 2$, $-SO_2NHR^9$, $-SO_2NHC(O)R^9$, NR^9R^{10} , $-NHC(O)R^9$, $-CN$, $-CO_2-R^9$, $-C(O)-N-R^9R^{10}$, $-C(O)R^9$, $-C(OH)R^9R^{10}$,

20

where the 5-12-membered mono- or bicyclic aryl or heteroaryl ring may, for example, but not exclusively, be a quinolinyl, isoquinolinyl, phthalazinyl, quinazolinyl, quinoxalinyl, cinnolinyl, benzothiophenyl, 1,3-benzodioxolyl, 2,1,3-benzothiadiazolyl, phenyl, pyridinyl, pyrimidinyl, furanyl, thiophenyl, oxazolyl, isoxazolyl, thiazolyl, pyrrolyl, pyrazolyl, imidazolyl, pyrazinyl, pyridazinyl, triazolyl, tetrazolyl, naphthyl, indolyl, benzofuranyl or benzimidazolyl group,

25

30

R^6 , R^7 are each independently hydrogen, a C_1 - C_6 -alkyl group which may be unsubstituted or optionally up to pentahalogenated,

a C₃-C₁₀-cycloalkyl radical,
a 5-12-membered mono- or bicyclic aryl or heteroaryl ring which is optionally unsubstituted or mono- or polysubstituted,

where the substituents may be selected from the group of

- 5
- halogen,
 - cyano,
 - R⁹, -OR⁹, -OC(O)R⁹, -S(O)_nR⁹ where n = 0, 1, 2, -SO₂NHR⁹, NR⁹R¹⁰, -NHC(O)R⁹, -CO₂-R⁹, -C(O)-N-R⁹R¹⁰,

10 where the 5-12-membered mono- or bicyclic aryl or heteroaryl ring may, for example, but not exclusively, be a quinolinyl, isoquinolinyl, phthalazinyl, quinazolinyl, quinoxalinyl, cinnolinyl, benzothiophenyl, 1,3-benzodioxolyl, 2,1,3-benzothiadiazolyl, phenyl, pyridinyl, pyrimidinyl, furanyl, thiophenyl, oxazolyl, isoxazolyl, thiazolyl, 15 pyrrolyl, pyrazolyl, imidazolyl, pyrazinyl, pyridazinyl, triazolyl, tetrazolyl, naphthyl, indolyl, benzofuranyl or benzimidazolyl group, or

R⁶, R⁷ together form a 3-8-membered ring,

20

R⁹, R¹⁰ are each independently hydrogen,

25

- a C₁-C₄-alkyl group which may be unsubstituted or optionally up to pentafluorinated,
- a C₂-C₄-alkenyl group which may be unsubstituted or optionally up to trifluorinated,
- a C₂-C₄-alkynyl group which may be unsubstituted or optionally monofluorinated,
- a C₃-C₆-cycloalkyl group,
- a 5-6-membered aryl or heteroaryl ring which may,

30

for example, but not exclusively, be a phenyl, pyridinyl, pyrimidinyl, furanyl, thiophenyl, oxazolyl, isoxazolyl, thiazolyl, pyrrolyl, pyrazolyl, imidazolyl, pyrazinyl, pyridazinyl, triazolyl, tetrazolyl ring, which

may be unsubstituted or optionally up to disubstituted by fluorine, chlorine, trifluoromethyl, or

R^9, R^{10} together form a 3-8-membered ring.

5

Likewise preferred are compounds of the general formula I where

X is a nitrogen radical,

10 Y is a CH group,

R^1 is a 5-12-membered mono- or bicyclic aryl or heteroaryl ring which may be unsubstituted or optionally mono- to trisubstituted, where the substituents may be selected from the group of halogen, 15 $-R^6$, $-OR^6$, $-OC(O)R^6$, $-S(O)_nR^6$ where $n = 0, 1, 2$, $-SO_2NHR^6$, $-SO_2NHC(O)R^6$, NR^6R^7 , $-NHC(O)R^6$, $-NO_2$, $-CN$, $-CO_2R^6$, $-C(O)-N-R^6R^7$, $-C(O)R^6$, $-C(OH)R^6R^7$ and where the ring is a phenyl, thiophenyl, furanyl, pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, imidazolyl, pyridyl, pyrazolyl, pyrimidinyl, 20 triazolyl, pyrazinyl or pyridazinyl, tetrazolyl, naphthyl, indolyl, benzofuranyl, benzothiophenyl, 1,3-benzodioxolyl, benzimidazolyl, quinolinyl, isoquinolinyl, quinazolinyl, phthalazinyl, quinoxalinyl, cinnolinyl radical,

25 R^2 is hydrogen, fluorine, chlorine, a trifluoromethyl group,

R^3-R^5 are each independently hydrogen, halogen, cyano, or an OR^6 , $OC(O)R^6$, $S(O)_nR^6$ where $n = 0, 1, 2$, SO_2NHR^6 , $SO_2NHC(O)R^6$, NR^6R^7 , $NHC(O)R^6$, $CH_2NR^6R^7$, $CH_2NHC(O)R^6$, 30 $C(OH)R^6R^7$, $C(O)R^6$, CO_2R^6 , $C(O)NR^6R^7$ group, a C_1-C_6 -alkyl group which may be unsubstituted or substituted, a C_3-C_{10} -cycloalkyl ring which may be unsubstituted or substituted, a C_2-C_6 -alkenyl group which may be unsubstituted or substituted,

a C₂-C₆-alkynyl group, which may be unsubstituted or substituted,
 a 5-12-membered mono- or bicyclic aryl or heteroaryl ring which is
 unsubstituted or optionally mono- or polysubstituted,

where the substituents may be selected from the group of

- 5
- halogen,
 - C₁-C₄-alkyl which may be unsubstituted or optionally substituted,
 - OR⁹, -OC(O)R⁹, -S(O)_nR⁹ where n = 0, 1, 2,
 -SO₂NHR⁹, -SO₂NHC(O)R⁹, NR⁹R¹⁰, -NHC(O)R⁹,
- 10
- CN, -CO₂-R⁹, -C(O)-N-R⁹R¹⁰, -C(O)R⁹, -C(OH)R⁹R¹⁰,

where the 5-12-membered mono- or bicyclic aryl or heteroaryl ring
 may, for example, but not exclusively, be a quinolinyl, isoquinolinyl,
 phthalazinyl, quinazolinyl, quinoxalinyl, cinnolinyl, benzothiophenyl,
 1,3-benzodioxolyl, 2,1,3-benzothiadiazolyl, phenyl, pyridinyl,
 15 pyrimidinyl, furanyl, thiophenyl, oxazolyl, isoxazolyl, thiazolyl,
 pyrrolyl, pyrazolyl, imidazolyl, pyrazinyl, pyridazinyl, triazolyl,
 tetrazolyl, naphthyl, indolyl, benzofuranyl or benzimidazolyl group,

R⁶, R⁷

20

are each independently hydrogen,
 a C₁-C₆-alkyl group which may be unsubstituted or optionally up to
 pentahalogenated,
 a C₃-C₁₀-cycloalkyl radical,
 a 5-12-membered mono- or bicyclic aryl or heteroaryl ring which is
 unsubstituted or optionally mono- or polysubstituted,

25

where the substituents may be selected from the group of

- halogen,
- cyano,
- R⁹, -OR⁹, -OC(O)R⁹, -S(O)_nR⁹ where n = 0, 1, 2,
 -SO₂NHR⁹, NR⁹R¹⁰, -NHC(O)R⁹, -CO₂-R⁹, -C(O)-N-

30

- R⁹R¹⁰,

where the 5-12-membered mono- or bicyclic aryl or heteroaryl ring
 may, for example, but not exclusively, be a quinolinyl, isoquinolinyl,
 phthalazinyl, quinazolinyl, quinoxalinyl, cinnolinyl, benzothiophenyl,

- 1,3-benzodioxolyl, 2,1,3-benzothiadiazolyl, phenyl, pyridinyl, pyrimidinyl, furanyl, thiophenyl, oxazolyl, isoxazolyl, thiazolyl, pyrrolyl, pyrazolyl, imidazolyl, pyrazinyl, pyridazinyl, triazolyl, tetrazolyl, naphthyl, indolyl, benzofuranyl or benzimidazolyl group, or
- 5
- R^6, R^7 together form a 3-8-membered ring,
- R^9, R^{10} are each independently hydrogen,
- 10
- a C_1 - C_4 -alkyl group which may be unsubstituted or optionally up to pentafluorinated,
 - a C_2 - C_4 -alkenyl group which may be unsubstituted or optionally up to trifluorinated,
 - a C_2 - C_4 -alkynyl group which may be unsubstituted or optionally monofluorinated,
 - a C_3 - C_6 -cycloalkyl group,
 - a 5-6-membered aryl or heteroaryl ring which may, for example, but not exclusively, be a phenyl, pyridinyl, pyrimidinyl, furanyl, thiophenyl, oxazolyl, isoxazolyl, thiazolyl, pyrrolyl, pyrazolyl, imidazolyl, pyrazinyl, pyridazinyl, triazolyl, tetrazolyl ring, which may be unsubstituted or optionally up to disubstituted by fluorine, chlorine, trifluoromethyl, or
- 15
- 20
- 25 R^9, R^{10} together form a 3-8-membered ring.

Likewise preferred are compounds of the general formula I where

- X and Y are each a nitrogen radical,
- 30
- R^1 is a 5-12-membered mono- or bicyclic aryl or heteroaryl ring which may be unsubstituted or optionally mono- to trisubstituted,

where the substituents may be selected from the group of halogen, $-R^6$, $-OR^6$, $-OC(O)R^6$, $-S(O)_nR^6$ where $n = 0, 1, 2$, $-SO_2NHR^6$, $-SO_2NHC(O)R^6$, NR^6R^7 , $-NHC(O)R^6$, $-NO_2$, $-CN$, $-CO_2-R^6$, $-C(O)-N-R^6R^7$, $-C(O)R^6$, $-C(OH)R^6R^7$ and

5 where the ring is a phenyl, thiophenyl, furanyl, pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, imidazolyl, pyridyl, pyrazolyl, pyrimidinyl, triazolyl, pyrazinyl or pyridazinyl, tetrazolyl, naphthyl, indolyl, benzofuranyl, benzothiophenyl, 1,3-benzodioxolyl, benzimidazolyl, quinolinyl, isoquinolinyl, quinazoliny, phthalazinyl, quinoxaliny, cinnoliny radical,

10

R^2 is hydrogen, fluorine, chlorine, a trifluoromethyl group,

R^3-R^5 are each independently hydrogen, halogen, cyano, or an OR^6 , $OC(O)R^6$, $S(O)_nR^6$ where $n = 0, 1, 2$, SO_2NHR^6 , $SO_2NHC(O)R^6$, NR^6R^7 , $NHC(O)R^6$, $CH_2NR^6R^7$, $CH_2NHC(O)R^6$, $C(OH)R^6R^7$, $C(O)R^6$, CO_2R^6 , $C(O)NR^6R^7$ group, a C_1-C_6 -alkyl group which may be unsubstituted or substituted, a C_3-C_{10} -cycloalkyl ring which may be unsubstituted or substituted, a C_2-C_6 -alkenyl group which may be unsubstituted or substituted, a C_2-C_6 -alkynyl group, which may be unsubstituted or substituted, a 5-12-membered mono- or bicyclic aryl or heteroaryl ring which is unsubstituted or optionally mono- or polysubstituted,

15

20

where the substituents may be selected from the group of

25

- halogen,
- C_1-C_4 -alkyl which may be unsubstituted or optionally substituted,
- $-OR^9$, $-OC(O)R^9$, $-S(O)_nR^9$ where $n = 0, 1, 2$, $-SO_2NHR^9$, $-SO_2NHC(O)R^9$, NR^9R^{10} , $-NHC(O)R^9$, $-CN$, $-CO_2-R^9$, $-C(O)-N-R^9R^{10}$, $-C(O)R^9$, $-C(OH)R^9R^{10}$,

30

where the 5-12-membered mono- or bicyclic aryl or heteroaryl ring may, for example, but not exclusively, be a quinolinyl, isoquinolinyl, phthalazinyl, quinazoliny, quinoxaliny, cinnoliny, benzothiophenyl,

1,3-benzodioxolyl, 2,1,3-benzothiadiazolyl, phenyl, pyridinyl, pyrimidinyl, furanyl, thiophenyl, oxazolyl, isoxazolyl, thiazolyl, pyrrolyl, pyrazolyl, imidazolyl, pyrazinyl, pyridazinyl, triazolyl, tetrazolyl, naphthyl, indolyl, benzofuranyl or benzimidazolyl group,

5

 R^6, R^7

are each independently hydrogen,

a C₁-C₆-alkyl group which may be unsubstituted or optionally up to pentahalogenated,

a C₃-C₁₀-cycloalkyl radical,

10

a 5-12-membered mono- or bicyclic aryl or heteroaryl ring which is unsubstituted or optionally mono- or polysubstituted,

where the substituents may be selected from the group of

15

- halogen,
- cyano,
- R⁹, -OR⁹, -OC(O)R⁹, -S(O)_nR⁹ where n = 0, 1, 2, -SO₂NHR⁹, NR⁹R¹⁰, -NHC(O)R⁹, -CO₂-R⁹, -C(O)-N-R⁹R¹⁰,

where the 5-12-membered mono- or bicyclic aryl or heteroaryl ring may, for example, but not exclusively, be a quinoliny, isoquinoliny, phthalazinyl, quinazoliny, quinoxaliny, cinnoliny, benzothiophenyl, 1,3-benzodioxolyl, 2,1,3-benzothiadiazolyl, phenyl, pyridinyl, pyrimidinyl, furanyl, thiophenyl, oxazolyl, isoxazolyl, thiazolyl, pyrrolyl, pyrazolyl, imidazolyl, pyrazinyl, pyridazinyl, triazolyl, tetrazolyl, naphthyl, indolyl, benzofuranyl or benzimidazolyl group,

25

or

 R^6, R^7

together form a 3-8-membered ring,

 R^9, R^{10}

are each independently hydrogen,

30

- a C₁-C₄-alkyl group which may be unsubstituted or optionally up to pentafluorinated,
- a C₂-C₄-alkenyl group which may be unsubstituted or optionally up to trifluorinated,

- 5
- a C₂-C₄-alkynyl group which may be unsubstituted or optionally monofluorinated,
 - a C₃-C₆-cycloalkyl group,
 - a 5-6-membered aryl or heteroaryl ring which may, for example, but not exclusively, be a phenyl, pyridinyl, pyrimidinyl, furanyl, thiophenyl, oxazolyl, isoxazolyl, thiazolyl, pyrrolyl, pyrazolyl, imidazolyl, pyrazinyl, pyridazinyl, triazolyl, tetrazolyl ring, which may be unsubstituted or optionally up to disubstituted
- 10
- by fluorine, chlorine, trifluoromethyl, or

R⁹, R¹⁰ together form a 3-8-membered ring.

Likewise preferred are compounds of the general formula I where

15

X is a CH group,

Y is a nitrogen radical,

20

R¹ is a 5-12-membered mono- or bicyclic aryl or heteroaryl ring which may be unsubstituted or optionally mono- to trisubstituted, where the substituents may be selected from the group of halogen, -R⁶, -OR⁶, -OC(O)R⁶, -S(O)_nR⁶ where n = 0, 1, 2, -SO₂NHR⁶, -SO₂NHC(O)R⁶, NR⁶R⁷, -NHC(O)R⁶, -NO₂, -CN, -CO₂-R⁶, -C(O)-N-R⁶R⁷, -C(O)R⁶, -C(OH)R⁶R⁷ and

25

30

where the ring is a phenyl, thiophenyl, furanyl, pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, imidazolyl, pyridyl, pyrazolyl, pyrimidinyl, triazolyl, pyrazinyl or pyridazinyl, tetrazolyl, naphthyl, indolyl, benzofuranyl, benzothiophenyl, 1,3-benzodioxolyl, benzimidazolyl, quinolinyl, isoquinolinyl, quinazolinyl, phthalazinyl, quinoxalinyl, cinnolinyl radical,

R² is hydrogen, fluorine, chlorine, a trifluoromethyl group,

- R^3 - R^5 are each independently hydrogen, halogen, cyano,
 or an OR^6 , $OC(O)R^6$, $S(O)_nR^6$ where $n = 0, 1, 2$, SO_2NHR^6 ,
 $SO_2NHC(O)R^6$, NR^6R^7 , $NHC(O)R^6$, $CH_2NR^6R^7$, $CH_2NHC(O)R^6$,
 5 $C(OH)R^6R^7$, $C(O)R^6$, CO_2R^6 , $C(O)NR^6R^7$ group,
 a C_1 - C_6 -alkyl group which may be unsubstituted or substituted,
 a C_3 - C_{10} -cycloalkyl ring which may be unsubstituted or substituted,
 a C_2 - C_6 -alkenyl group which may be unsubstituted or substituted,
 a C_2 - C_6 -alkynyl group, which may be unsubstituted or substituted,
 10 a 5-12-membered mono- or bicyclic aryl or heteroaryl ring which is
 unsubstituted or optionally mono- or polysubstituted,
 where the substituents may be selected from the group of
- halogen,
 - C_1 - C_4 -alkyl which may be unsubstituted or optionally
 15 substituted,
 - $-OR^9$, $-OC(O)R^9$, $-S(O)_nR^9$ where $n = 0, 1, 2$,
 $-SO_2NHR^9$, $-SO_2NHC(O)R^9$, NR^9R^{10} , $-NHC(O)R^9$,
 $-CN$, $-CO_2-R^9$, $-C(O)-N-R^9R^{10}$, $-C(O)R^9$, $-C(OH)R^9R^{10}$,
- where the 5-12-membered mono- or bicyclic aryl or heteroaryl ring
 20 may, for example, but not exclusively, be a quinolinyl, isoquinolinyl,
 phthalazinyl, quinazolinyl, quinoxalinyl, cinnolinyl, benzothiophenyl,
 1,3-benzodioxolyl, 2,1,3-benzothiadiazolyl, phenyl, pyridinyl,
 pyrimidinyl, furanyl, thiophenyl, oxazolyl, isoxazolyl, thiazolyl,
 pyrrolyl, pyrazolyl, imidazolyl, pyrazinyl, pyridazinyl, triazolyl,
 25 tetrazolyl, naphthyl, indolyl, benzofuranyl or benzimidazolyl group,
- R^6 , R^7 are each independently hydrogen,
 a C_1 - C_6 -alkyl group which may be unsubstituted or optionally up to
 pentahalogenated,
 30 a C_3 - C_{10} -cycloalkyl radical,
 a 5-12-membered mono- or bicyclic aryl or heteroaryl ring which is
 unsubstituted or optionally mono- or polysubstituted,
 where the substituents may be selected from the group of

- halogen,
- cyano,
- R^9 , $-OR^9$, $-OC(O)R^9$, $-S(O)_nR^9$ where $n = 0, 1, 2$,
 $-SO_2NHR^9$, NR^9R^{10} , $-NHC(O)R^9$, $-CO_2-R^9$, $-C(O)-N-$
 R^9R^{10} ,

5

where the 5-12-membered mono- or bicyclic aryl or heteroaryl ring may, for example, but not exclusively, be a quinolinyl, isoquinolinyl, phthalazinyl, quinazolinyl, quinoxalinyl, cinnolinyl, benzothiophenyl, 1,3-benzodioxolyl, 2,1,3-benzothiadiazolyl, phenyl, pyridinyl,
 10 pyrimidinyl, furanyl, thiophenyl, oxazolyl, isoxazolyl, thiazolyl, pyrrolyl, pyrazolyl, imidazolyl, pyrazinyl, pyridazinyl, triazolyl, tetrazolyl, naphthyl, indolyl, benzofuranyl or benzimidazolyl group,
 or

15 R^6, R^7 together form a 3-8-membered ring,

R^9, R^{10} are each independently hydrogen,

20

- a C_1-C_4 -alkyl group which may be unsubstituted or optionally up to pentafluorinated,
- a C_2-C_4 -alkenyl group which may be unsubstituted or optionally up to trifluorinated,
- a C_2-C_4 -alkynyl group which may be unsubstituted or optionally monofluorinated,
- a C_3-C_6 -cycloalkyl group,
- a 5-6-membered aryl or heteroaryl ring which may,
 25 for example, but not exclusively, be a phenyl, pyridinyl, pyrimidinyl, furanyl, thiophenyl, oxazolyl, isoxazolyl, thiazolyl, pyrrolyl, pyrazolyl, imidazolyl, pyrazinyl, pyridazinyl, triazolyl, tetrazolyl ring, which
 30 may be unsubstituted or optionally up to disubstituted by fluorine, chlorine, trifluoromethyl, or

R^9, R^{10} together form a 3-8-membered ring.

Likewise preferred are compounds of the general formula I where

- 5 X is a nitrogen radical,
- Y is a CH group,
- 10 R¹ is a 5-12-membered mono- or bicyclic aryl or heteroaryl ring which may be unsubstituted or optionally mono- to trisubstituted, where the substituents may be selected from the group of halogen, -R⁶, -OR⁶, -OC(O)R⁶, -S(O)_nR⁶ where n = 0, 1, 2, -SO₂NHR⁶, -SO₂NHC(O)R⁶, NR⁶R⁷, -NHC(O)R⁶, -NO₂, -CN, -CO₂-R⁶, -C(O)-N-R⁶R⁷, -C(O)R⁶, -C(OH)R⁶R⁷ and where the ring is a phenyl, thiophenyl, furanyl, pyrrolyl, oxazolyl, 15 isoxazolyl, thiazolyl, imidazolyl, pyridyl, pyrazolyl, pyrimidinyl, triazolyl, pyrazinyl or pyridazinyl, tetrazolyl, naphthyl, indolyl, benzofuranyl, benzothiophenyl, 1,3-benzodioxolyl, benzimidazolyl, quinolinyl, isoquinolinyl, quinazoliny, phthalazinyl, quinoxaliny, cinnolinyl radical,
- 20 R² is hydrogen, fluorine, chlorine, a trifluoromethyl group,
- R³-R⁵ are each independently hydrogen, fluorine, chlorine, bromine, cyano, 25 or an OR⁶, OC(O)R⁶, S(O)_nR⁶ where n = 0, 1, 2, SO₂NHR⁶, SO₂NHC(O)R⁶, NR⁶R⁷, NHC(O)R⁶, CH₂NR⁶R⁷, CH₂NHC(O)R⁶, C(OH)R⁶R⁷, C(O)R⁶, CO₂R⁶, C(O)NR⁶R⁷ group, a C₁-C₆-alkyl group which may be unsubstituted or substituted, a C₃-C₁₀-cycloalkyl ring which may be unsubstituted or substituted, 30 a C₂-C₆-alkenyl group which may be unsubstituted or substituted, a C₂-C₆-alkynyl group, which may be unsubstituted or substituted, a 5-6-membered aryl or heteroaryl ring which is unsubstituted or optionally mono- or polysubstituted,

where the substituents may be selected from the group of

- halogen,
- C₁-C₄-alkyl which may be unsubstituted or optionally substituted,
- 5 - -OR⁹, -OC(O)R⁹, -S(O)_nR⁹ where n = 0, 1, 2,
-SO₂NHR⁹, -SO₂NHC(O)R⁹, NR⁹R¹⁰, -NHC(O)R⁹,
-CN, -CO₂-R⁹, -C(O)-N-R⁹R¹⁰, -C(O)R⁹, -C(OH)R⁹R¹⁰,

where the 5-6-membered aryl or heteroaryl ring may, for example, but not exclusively, be a phenyl, pyridinyl, pyrimidinyl, furanyl, thiophenyl, oxazolyl, isoxazolyl, thiazolyl, pyrrolyl, pyrazolyl, imidazolyl, pyrazinyl, pyridazinyl, triazolyl, tetrazolyl group,

R⁶, R⁷ are each independently hydrogen, a C₁-C₄-alkyl group which may be unsubstituted or optionally up to pentahalogenated, a C₃-C₆-cycloalkyl radical, a 5-6-membered aryl or heteroaryl ring which is unsubstituted or optionally mono- or polysubstituted,

where the substituents may be selected from the group of

- 20 - halogen,
- cyano,
- R⁹, -OR⁹, -OC(O)R⁹, -S(O)_nR⁹ where n = 0, 1, 2,
-SO₂NHR⁹, NR⁹R¹⁰, -NHC(O)R⁹, -CO₂-R⁹, -C(O)-N-R⁹R¹⁰,

where the 5-6-membered aryl or heteroaryl ring may, for example, but not exclusively, be a phenyl, pyridinyl, pyrimidinyl, furanyl, thiophenyl, oxazolyl, isoxazolyl, thiazolyl, pyrrolyl, pyrazolyl, imidazolyl, pyrazinyl, pyridazinyl, triazolyl, tetrazolyl group, or

R⁶, R⁷ together form a 3-8-membered ring,

R⁹, R¹⁰ are each independently hydrogen,

- a C₁-C₄-alkyl group which may be unsubstituted or optionally up to pentafluorinated,
- a C₂-C₄-alkenyl group which may be unsubstituted or optionally up to trifluorinated,
- 5 - a C₂-C₄-alkynyl group which may be unsubstituted or optionally monofluorinated,
- a C₃-C₆-cycloalkyl group,
- a 5-6-membered aryl or heteroaryl ring which may, for example, but not exclusively, be a phenyl, pyridinyl, pyrimidinyl, furanyl, thiophenyl, oxazolyl, 10 isoxazolyl, thiazolyl, pyrrolyl, pyrazolyl, imidazolyl, pyrazinyl, pyridazinyl, triazolyl, tetrazolyl ring, which may be unsubstituted or optionally up to disubstituted by fluorine, chlorine, trifluoromethyl, or

15

R⁹, R¹⁰ together form a 3-8-membered ring.

Likewise preferred are compounds of the general formula I where

20 X and Y are each a nitrogen radical,

R¹ is a 5-12-membered mono- or bicyclic aryl or heteroaryl ring which may be unsubstituted or optionally mono- to trisubstituted, where the substituents may be selected from the group of halogen, 25 -R⁶, -OR⁶, -OC(O)R⁶, -S(O)_nR⁶ where n = 0, 1, 2, -SO₂NHR⁶, -SO₂NHC(O)R⁶, NR⁶R⁷, -NHC(O)R⁶, -NO₂, -CN, -CO₂-R⁶, -C(O)-N-R⁶R⁷, -C(O)R⁶, -C(OH)R⁶R⁷ and where the ring is a phenyl, thiophenyl, furanyl, pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, imidazolyl, pyridyl, pyrazolyl, pyrimidinyl, 30 triazolyl, pyrazinyl or pyridazinyl, tetrazolyl, naphthyl, indolyl, benzofuranyl, benzothiophenyl, 1,3-benzodioxolyl, benzimidazolyl, quinolinyl, isoquinolinyl, quinazoliny, phthalazinyl, quinoxalinyl, cinnolinyl radical,

- R^2 is hydrogen, fluorine, chlorine, a trifluoromethyl group,
- R^3 - R^5 are each independently hydrogen, halogen, cyano,
 5 or an OR^6 , $OC(O)R^6$, $S(O)_nR^6$ where $n = 0, 1, 2$, SO_2NHR^6 ,
 $SO_2NHC(O)R^6$, NR^6R^7 , $NHC(O)R^6$, $CH_2NR^6R^7$, $CH_2NHC(O)R^6$,
 $C(OH)R^6R^7$, $C(O)R^6$, CO_2R^6 , $C(O)NR^6R^7$ group,
 a C_1 - C_6 -alkyl group which may be unsubstituted or substituted,
 a C_3 - C_{10} -cycloalkyl ring which may be unsubstituted or substituted,
 10 a C_2 - C_6 -alkenyl group which may be unsubstituted or substituted,
 a C_2 - C_6 -alkynyl group, which may be unsubstituted or substituted,
 a 5-6-membered aryl or heteroaryl ring which is unsubstituted or
 optionally mono- or polysubstituted,
 where the substituents may be selected from the group of
 15 - halogen,
 - C_1 - C_4 -alkyl which may be unsubstituted or optionally
 substituted,
 - OR^9 , $OC(O)R^9$, $S(O)_nR^9$ where $n = 0, 1, 2$,
 SO_2NHR^9 , $SO_2NHC(O)R^9$, NR^9R^{10} , $NHC(O)R^9$,
 20 -CN, CO_2R^9 , $C(O)N-R^9R^{10}$, $C(O)R^9$, $C(OH)R^9R^{10}$,
 where the 5-6-membered aryl or heteroaryl ring may, for example,
 but not exclusively, be a phenyl, pyridinyl, pyrimidinyl, furanyl,
 thiophenyl, oxazolyl, isoxazolyl, thiazolyl, pyrrolyl, pyrazolyl,
 imidazolyl, pyrazinyl, pyridazinyl, triazolyl, tetrazolyl group,
 25
- R^6 , R^7 are each independently hydrogen,
 a C_1 - C_4 -alkyl group which may be unsubstituted or optionally up to
 pentahalogenated,
 an unsubstituted C_3 - C_6 -cycloalkyl radical,
 30 a 5-6-membered aryl or heteroaryl ring which is unsubstituted or
 optionally mono- or polysubstituted,
 where the substituents may be selected from the group of
 - halogen,

- cyano,
- R^9 , $-OR^9$, $-OC(O)R^9$, $-S(O)_nR^9$ where $n = 0, 1, 2$,
 $-SO_2NHR^9$, NR^9R^{10} , $-NHC(O)R^9$, $-CO_2-R^9$, $-C(O)-N-$
 R^9R^{10} ,

5 where the 5-6-membered aryl or heteroaryl ring may, for example, but not exclusively, be a phenyl, pyridinyl, pyrimidinyl, furanyl, thiophenyl, oxazolyl, isoxazolyl, thiazolyl, pyrrolyl, pyrazolyl, imidazolyl, pyrazinyl, pyridazinyl, triazolyl, tetrazolyl group, or

10 R^6, R^7 together form a 3-8-membered ring,

R^9, R^{10} are each independently hydrogen,

- a C_1-C_4 -alkyl group which may be unsubstituted or optionally up to pentafluorinated,
- 15 - a C_2-C_4 -alkenyl group which may be unsubstituted or optionally up to trifluorinated,
- a C_2-C_4 -alkynyl group which may be unsubstituted or optionally monofluorinated,
- a C_3-C_6 -cycloalkyl group,
- 20 - a 5-6-membered aryl or heteroaryl ring which may, for example, but not exclusively, be a phenyl, pyridinyl, pyrimidinyl, furanyl, thiophenyl, oxazolyl, isoxazolyl, thiazolyl, pyrrolyl, pyrazolyl, imidazolyl, pyrazinyl, pyridazinyl, triazolyl, tetrazolyl ring, which
- 25 may be unsubstituted or optionally up to disubstituted by fluorine, chlorine, trifluoromethyl, or

R^9, R^{10} together form a 3-8-membered ring.

30 Likewise preferred are compounds of the general formula I where

X is a CH group,

- Y is a nitrogen radical,
- R¹ is a 5-12-membered mono- or bicyclic aryl or heteroaryl ring which may be unsubstituted or optionally mono- to trisubstituted,
 5 where the substituents may be selected from the group of halogen, -R⁶, -OR⁶, -OC(O)R⁶, -S(O)_nR⁶ where n = 0, 1, 2, -SO₂NHR⁶, -SO₂NHC(O)R⁶, NR⁶R⁷, -NHC(O)R⁶, -NO₂, -CN, -CO₂-R⁶, -C(O)-N-R⁶R⁷, -C(O)R⁶, -C(OH)R⁶R⁷ and
 10 where the ring is a phenyl, thiophenyl, furanyl, pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, imidazolyl, pyridyl, pyrazolyl, pyrimidinyl, triazolyl, pyrazinyl or pyridazinyl, tetrazolyl, naphthyl, indolyl, benzofuranyl, benzothiophenyl, 1,3-benzodioxolyl, benzimidazolyl, quinolinyl, isoquinolinyl, quinazolinyl, phthalazinyl, quinoxalinyl, cinnolinyl radical,
- 15 R² is hydrogen, fluorine, chlorine, a trifluoromethyl group,
- R³-R⁵ are each independently hydrogen, halogen, cyano,
 20 or an OR⁶, OC(O)R⁶, S(O)_nR⁶ where n = 0, 1, 2, SO₂NHR⁶, SO₂NHC(O)R⁶, NR⁶R⁷, NHC(O)R⁶, CH₂NR⁶R⁷, CH₂NHC(O)R⁶, C(OH)R⁶R⁷, C(O)R⁶, CO₂R⁶, C(O)NR⁶R⁷ group,
 25 a C₁-C₆-alkyl group which may be unsubstituted or substituted, a C₃-C₁₀-cycloalkyl ring which may be unsubstituted or substituted, a C₂-C₆-alkenyl group which may be unsubstituted or substituted, a C₂-C₆-alkynyl group, which may be unsubstituted or substituted,
 30 a 5-6-membered aryl or heteroaryl ring which is unsubstituted or optionally mono- or polysubstituted,
 where the substituents may be selected from the group of
 - halogen,
 - C₁-C₄-alkyl which may be unsubstituted or optionally substituted,

- $-OR^9$, $-OC(O)R^9$, $-S(O)_nR^9$ where $n = 0, 1, 2$,
- $-SO_2NHR^9$, $-SO_2NHC(O)R^9$, NR^9R^{10} , $-NHC(O)R^9$,
- $-CN$, $-CO_2-R^9$, $-C(O)-N-R^9R^{10}$, $-C(O)R^9$, $-C(OH)R^9R^{10}$,

5

where the 5-6-membered aryl or heteroaryl ring may, for example, but not exclusively, be a phenyl, pyridinyl, pyrimidinyl, furanyl, thiophenyl, oxazolyl, isoxazolyl, thiazolyl, pyrrolyl, pyrazolyl, imidazolyl, pyrazinyl, pyridazinyl, triazolyl, tetrazolyl group,

 R^6, R^7

10

are each independently hydrogen,
 a C_1 - C_4 -alkyl group which may be unsubstituted or optionally up to pentahalogenated,
 a C_3 - C_6 -cycloalkyl radical,
 a 5-6-membered aryl or heteroaryl ring which is unsubstituted or optionally mono- or polysubstituted,

15

where the substituents may be selected from the group of

- halogen,
- cyano,
- R^9 , $-OR^9$, $-OC(O)R^9$, $-S(O)_nR^9$ where $n = 0, 1, 2$,
- $-SO_2NHR^9$, NR^9R^{10} , $-NHC(O)R^9$, $-CO_2-R^9$, $-C(O)-N-R^9R^{10}$,

20

where the 5-6-membered aryl or heteroaryl ring may, for example, but not exclusively, be a phenyl, pyridinyl, pyrimidinyl, furanyl, thiophenyl, oxazolyl, isoxazolyl, thiazolyl, pyrrolyl, pyrazolyl, imidazolyl, pyrazinyl, pyridazinyl, triazolyl, tetrazolyl group, or

25

 R^6, R^7

together form a 3-8-membered ring,

 R^9, R^{10}

30

are each independently hydrogen,

- a C_1 - C_4 -alkyl group which may be unsubstituted or optionally up to pentafluorinated,
- a C_2 - C_4 -alkenyl group which may be unsubstituted or optionally up to trifluorinated,

- 5
- a C₂-C₄-alkynyl group which may be unsubstituted or optionally monofluorinated,
 - a C₃-C₆-cycloalkyl group,
 - a 5-6-membered aryl or heteroaryl ring which may, for example, but not exclusively, be a phenyl, pyridinyl, pyrimidinyl, furanyl, thiophenyl, oxazolyl, isoxazolyl, thiazolyl, pyrrolyl, pyrazolyl, imidazolyl, pyrazinyl, pyridazinyl, triazolyl, tetrazolyl ring, which may be unsubstituted or optionally up to substituted by fluorine, chlorine, trifluoromethyl, or
- 10

R⁹, R¹⁰ together form a 3-8-membered ring.

15 The following compounds according to the present invention are very particularly preferred:

- 2-Bromo-N-[1-(7-chloro-quinolin-4-yl)-piperidin-4-yl]-benzamide
- N-[1-(7-Chloro-quinolin-4-yl)-piperidin-4-yl]-2-fluoro-benzamide
- N-[1-(7-Chloro-quinolin-4-yl)-piperidin-4-yl]-2,4-difluoro-benzamide

20

- 2-Chloro-N-[1-(7-chloro-quinolin-4-yl)-piperidin-4-yl]-benzamide
- 3-Bromo-N-[1-(7-chloro-quinolin-4-yl)-piperidin-4-yl]-benzamide
- 3-Chloro-N-[1-(7-chloro-quinolin-4-yl)-piperidin-4-yl]-benzamide
- N-[1-(7-Chloro-quinolin-4-yl)-piperidin-4-yl]-3-methoxy-benzamide
- N-[1-(7-Chloro-quinolin-4-yl)-piperidin-4-yl]-3-methyl-benzamide

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- N-[1-(7-Chloro-quinolin-4-yl)-piperidin-4-yl]-4-fluoro-benzamide
- N-[1-(7-Chloro-quinolin-4-yl)-piperidin-4-yl]-2-iodo-benzamide
- N-[1-(7-Chloro-quinolin-4-yl)-piperidin-4-yl]-3-nitro-benzamide
- N-[1-(7-Chloro-quinolin-4-yl)-piperidin-4-yl]-2,5-difluoro-benzamide
- N-[1-(7-Chloro-quinolin-4-yl)-piperidin-4-yl]-3,4-difluoro-benzamide

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- N-[1-(7-Chloro-quinolin-4-yl)-piperidin-4-yl]-3,5-difluoro-benzamide
- N-[1-(7-Chloro-quinolin-4-yl)-piperidin-4-yl]-3-cyano-benzamide
- N-[1-(7-Chloro-quinolin-4-yl)-piperidin-4-yl]-2,3-difluoro-benzamide
- N-[1-(7-Chloro-quinolin-4-yl)-piperidin-4-yl]-2,4,5-trifluoro-benzamide

- 2,4,6-Trichloro-N-[1-(7-chloro-quinolin-4-yl)-piperidin-4-yl]-benzamide
- N-[1-(7-Chloro-quinolin-4-yl)-piperidin-4-yl]-4-methyl-benzamide
- N-[1-(7-Chloro-quinolin-4-yl)-piperidin-4-yl]-2,3,4-trifluoro-benzamide
- N-[1-(7-Chloro-quinolin-4-yl)-piperidin-4-yl]-2-fluoro-3-trifluoromethyl-
5 benzamide
- 2-Chloro-N-[1-(7-chloro-quinolin-4-yl)-piperidin-4-yl]-nicotinamide
- N-[1-(7-Chloro-quinolin-4-yl)-piperidin-4-yl]-2,3-dimethyl-benzamide
- 3-Bromo-thiophene-2-carboxylic acid [1-(7-chloro-quinolin-4-yl)-piperidin-
4-yl]-amide
- 10 • 2,3-Dichloro-N-[1-(7-chloro-quinolin-4-yl)-piperidin-4-yl]-benzamide
- 5-Nitro-furan-2-carboxylic acid [1-(7-chloro-quinolin-4-yl)-piperidin-4-yl]-
amide
- 2-Chloro-N-[1-(7-chloro-quinolin-4-yl)-piperidin-4-yl]-4-nitro-benzamide
- N-[1-(7-Chloro-quinolin-4-yl)-piperidin-4-yl]-2,4,6-trifluoro-benzamide
- 15 • 3-Chloro-thiophene-2-carboxylic acid [1-(7-chloro-quinolin-4-yl)-piperidin-
4-yl]-amide
- 2,5-Dichloro-N-[1-(7-chloro-quinolin-4-yl)-piperidin-4-yl]-nicotinamide
- 2,5-Dichloro-thiophene-3-carboxylic acid [1-(7-chloro-quinolin-4-yl)-
piperidin-4-yl]-amide
- 20 • Acetic acid 3-[1-(7-chloro-quinolin-4-yl)-piperidin-4-ylcarbamoyl]-phenyl
ester
- 2,3-Dichloro-N-(1-quinolin-4-yl-piperidin-4-yl)-benzamide
- 2,3-Dichloro-N-[1-(7-trifluoromethyl-quinolin-4-yl)-piperidin-4-yl]-
benzamide
- 25 • 2,3-Dichloro-N-[1-(8-chloro-quinolin-4-yl)-piperidin-4-yl]-benzamide
- N-[1-(7-Bromo-quinolin-4-yl)-piperidin-4-yl]-2,3-dichloro-benzamide
- 2,3-Dichloro-N-[1-(7-chloro-quinazolin-4-yl)-piperidin-4-yl]-benzamide
- 2,3-Dichloro-N-[1-(7-fluoro-quinolin-4-yl)-piperidin-4-yl]-benzamide
- 2,3-Dichloro-N-[1-(7-methoxy-quinolin-4-yl)-piperidin-4-yl]-benzamide
- 30 • 2,3-Dichloro-N-[1-(7-cyano-quinolin-4-yl)-piperidin-4-yl]-benzamide
- 2,3-Dichloro-N-[1-(7-thiophen-2-yl-quinolin-4-yl)-piperidin-4-yl]-benzamide
- 2,3-Dichloro-N-[1-(7-phenyl-quinolin-4-yl)-piperidin-4-yl]-benzamide

- N-[1-(8-Bromo-quinolin-4-yl)-piperidin-4-yl]-2,3-dichloro-benzamide
- 2,3-Dichloro-N-[1-(8-fluoro-quinolin-4-yl)-piperidin-4-yl]-benzamide
- 2,3-Dichloro-N-[1-(6-trifluoromethyl-quinolin-4-yl)-piperidin-4-yl]-benzamide
- 5 • 2,3-Dichloro-N-[1-(6-chloro-quinolin-4-yl)-piperidin-4-yl]-benzamide
- 2,3-Dichloro-N-(1-isoquinolin-1-yl-piperidin-4-yl)-benzamide
- 2,3-Dichloro-N-[1-(8-trifluoromethyl-quinolin-4-yl)-piperidin-4-yl]-benzamide
- 10 • N-[1-(5,7-Bis-trifluoromethyl-quinolin-4-yl)-piperidin-4-yl]-2,3-dichloro-benzamide
- 2,3-Dichloro-N-[1-(6,8-difluoro-quinolin-4-yl)-piperidin-4-yl]-benzamide
- 2,3-Dichloro-N-[1-(7-morpholin-4-yl-quinolin-4-yl)-piperidin-4-yl]-benzamide
- 2,3-Dichloro-N-[1-(7-phenylamino-quinolin-4-yl)-piperidin-4-yl]-benzamide
- 15 • 2,3-Dichloro-N-[1-(7-phenylethynyl-quinolin-4-yl)-piperidin-4-yl]-benzamide
- 1H-Indole-3-carboxylic acid (1-quinolin-4-yl-piperidin-4-yl)-amide
- 3-Bromo-thiophene-2-carboxylic acid (1-quinolin-4-yl-piperidin-4-yl)-amide
- 20 • 2-Fluoro-6-iodo-N-(1-quinolin-4-yl-piperidin-4-yl)-benzamide
- 6-Methoxy-2-(2,3,4-trimethoxy-phenyl)-quinoline-4-carboxylic acid (1-quinolin-4-yl-piperidin-4-yl)-amide
- 1-Methyl-1H-indole-3-carboxylic acid (1-quinolin-4-yl-piperidin-4-yl)-amide
- 4-Pyrrol-1-yl-N-(1-quinolin-4-yl-piperidin-4-yl)-benzamide
- 25 • 3-{4-[4-(2,3-Dichloro-benzoylamino)-piperidin-1-yl]-quinolin-7-yl}-benzoic acid methyl ester
- 4-{4-[4-(2,3-Dichloro-benzoylamino)-piperidin-1-yl]-quinolin-7-yl}-benzoic acid methyl ester
- 2,3-Dichloro-N-[1-(6-fluoro-quinolin-4-yl)-piperidin-4-yl]-benzamide
- 30 • 5-Phenyl-2H-pyrazole-3-carboxylic acid (1-quinolin-4-yl-piperidin-4-yl)-amide
- 3-Chloro-2-methyl-N-(1-quinolin-4-yl-piperidin-4-yl)-benzamide

- 4-Phenoxy-N-(1-quinolin-4-yl-piperidin-4-yl)-benzamide
- 2-Chloro-3-methyl-N-(1-quinolin-4-yl-piperidin-4-yl)-benzamide
- 2-Bromo-N-[1-(7-chloro-quinazolin-4-yl)-piperidin-4-yl]-benzamide
- N-[1-(7-Chloro-quinazolin-4-yl)-piperidin-4-yl]-2-fluoro-benzamide
- 5 • N-[1-(7-Chloro-quinazolin-4-yl)-piperidin-4-yl]-2,4-difluoro-benzamide
- 2-Chloro-N-[1-(7-chloro-quinazolin-4-yl)-piperidin-4-yl]-benzamide
- 3-Bromo-N-[1-(7-chloro-quinazolin-4-yl)-piperidin-4-yl]-benzamide
- 3-Chloro-N-[1-(7-chloro-quinazolin-4-yl)-piperidin-4-yl]-benzamide
- N-[1-(7-Chloro-quinazolin-4-yl)-piperidin-4-yl]-3-methoxy-benzamide
- 10 • N-[1-(7-Chloro-quinazolin-4-yl)-piperidin-4-yl]-3-methyl-benzamide
- N-[1-(7-Chloro-quinazolin-4-yl)-piperidin-4-yl]-4-fluoro-benzamide
- N-[1-(7-Chloro-quinazolin-4-yl)-piperidin-4-yl]-2-iodo-benzamide
- N-[1-(7-Chloro-quinazolin-4-yl)-piperidin-4-yl]-3-nitro-benzamide
- N-[1-(7-Chloro-quinazolin-4-yl)-piperidin-4-yl]-2,5-difluoro-benzamide
- 15 • N-[1-(7-Chloro-quinazolin-4-yl)-piperidin-4-yl]-3,4-difluoro-benzamide
- N-[1-(7-Chloro-quinazolin-4-yl)-piperidin-4-yl]-3,5-difluoro-benzamide
- N-[1-(7-Chloro-quinazolin-4-yl)-piperidin-4-yl]-3-cyano-benzamide
- N-[1-(7-Chloro-quinazolin-4-yl)-piperidin-4-yl]-2,3-difluoro-benzamide
- N-[1-(7-Chloro-quinazolin-4-yl)-piperidin-4-yl]-2,4,5-trifluoro-benzamide
- 20 • 2,4,6-Trichloro-N-[1-(7-chloro-quinazolin-4-yl)-piperidin-4-yl]-benzamide
- N-[1-(7-Chloro-quinazolin-4-yl)-piperidin-4-yl]-4-methyl-benzamide
- N-[1-(7-Chloro-quinazolin-4-yl)-piperidin-4-yl]-2,3,4-trifluoro-benzamide
- N-[1-(7-Chloro-quinazolin-4-yl)-piperidin-4-yl]-2-fluoro-3-trifluoromethyl-benzamide
- 25 • 2-Chloro-N-[1-(7-chloro-quinazolin-4-yl)-piperidin-4-yl]-nicotinamide
- N-[1-(7-Chloro-quinazolin-4-yl)-piperidin-4-yl]-2,3-dimethyl-benzamide
- 3-Bromo-thiophene-2-carboxylic acid [1-(7-chloro-quinazolin-4-yl)-piperidin-4-yl]-amide
- 2,3-Dichloro-N-[1-(7-chloro-quinazolin-4-yl)-piperidin-4-yl]-benzamide
- 30 • 5-Nitro-furan-2-carboxylic acid [1-(7-chloro-quinazolin-4-yl)-piperidin-4-yl]-amide
- 2-Chloro-N-[1-(7-chloro-quinazolin-4-yl)-piperidin-4-yl]-4-nitro-benzamide

- N-[1-(7-Chloro-quinazolin-4-yl)-piperidin-4-yl]-2,4,6-trifluoro-benzamide
- 3-Chloro-thiophene-2-carboxylic acid [1-(7-chloro-quinazolin-4-yl)-piperidin-4-yl]-amide
- 2,5-Dichloro-N-[1-(7-chloro-quinazolin-4-yl)-piperidin-4-yl]-nicotinamide
- 5 • 2,5-Dichloro-thiophene-3-carboxylic acid [1-(7-chloro-quinazolin-4-yl)-piperidin-4-yl]-amide
- Acetic acid 3-[1-(7-chloro-quinazolin-4-yl)-piperidin-4-ylcarbamoyl]-phenyl ester
- 2,3-Dichloro-N-(1-quinazolin-4-yl-piperidin-4-yl)-benzamide
- 10 • 2,3-Dichloro-N-[1-(7-trifluoromethyl-quinazolin-4-yl)-piperidin-4-yl]-benzamide
- 2,3-Dichloro-N-[1-(8-chloro-quinazolin-4-yl)-piperidin-4-yl]-benzamide
- N-[1-(7-Bromo-quinazolin-4-yl)-piperidin-4-yl]-2,3-dichloro-benzamide
- 2,3-Dichloro-N-[1-(7-fluoro-quinazolin-4-yl)-piperidin-4-yl]-benzamide
- 15 • 2,3-Dichloro-N-[1-(7-methoxy-quinazolin-4-yl)-piperidin-4-yl]-benzamide
- 2,3-Dichloro-N-[1-(7-cyano-quinazolin-4-yl)-piperidin-4-yl]-benzamide
- 2,3-Dichloro-N-[1-(7-thiophen-2-yl-quinazolin-4-yl)-piperidin-4-yl]-benzamide
- 2,3-Dichloro-N-[1-(7-phenyl-quinazolin-4-yl)-piperidin-4-yl]-benzamide
- 20 • N-[1-(8-Bromo-quinazolin-4-yl)-piperidin-4-yl]-2,3-dichloro-benzamide
- 2,3-Dichloro-N-[1-(8-fluoro-quinazolin-4-yl)-piperidin-4-yl]-benzamide
- 2,3-Dichloro-N-[1-(6-trifluoromethyl-quinazolin-4-yl)-piperidin-4-yl]-benzamide
- 2,3-Dichloro-N-[1-(6-chloro-quinazolin-4-yl)-piperidin-4-yl]-benzamide
- 25 • 2,3-Dichloro-N-[1-(8-trifluoromethyl-quinazolin-4-yl)-piperidin-4-yl]-benzamide
- N-[1-(5,7-Bis-trifluoromethyl-quinazolin-4-yl)-piperidin-4-yl]-2,3-dichloro-benzamide
- 2,3-Dichloro-N-[1-(6,8-difluoro-quinazolin-4-yl)-piperidin-4-yl]-benzamide
- 30 • 2,3-Dichloro-N-[1-(7-morpholin-4-yl-quinazolin-4-yl)-piperidin-4-yl]-benzamide

- 2,3-Dichloro-N-[1-(7-phenylamino-quinazolin-4-yl)-piperidin-4-yl]-benzamide
- 2,3-Dichloro-N-[1-(7-phenylethynyl-quinazolin-4-yl)-piperidin-4-yl]-benzamide
- 5 • 1H-Indole-3-carboxylic acid (1-quinazolin-4-yl-piperidin-4-yl)-amide
- 3-Bromo-thiophene-2-carboxylic acid (1-quinazolin-4-yl-piperidin-4-yl)-amide
- 2-Fluoro-6-iodo-N-(1-quinazolin-4-yl-piperidin-4-yl)-benzamide
- 6-Methoxy-2-(2,3,4-trimethoxy-phenyl)-quinoline-4-carboxylic acid (1-quinazolin-4-yl-piperidin-4-yl)-amide
- 10 • 1-Methyl-1H-indole-3-carboxylic acid (1-quinazolin-4-yl-piperidin-4-yl)-amide
- 4-Pyrrol-1-yl-N-(1-quinazolin-4-yl-piperidin-4-yl)-benzamide
- 3-{4-[4-(2,3-Dichloro-benzoylamino)-piperidin-1-yl]-quinazolin-7-yl}-benzoic acid methyl ester
- 15 • 4-{4-[4-(2,3-Dichloro-benzoylamino)-piperidin-1-yl]-quinazolin-7-yl}-benzoic acid methyl ester
- 2,3-Dichloro-N-[1-(6-fluoro-quinazolin-4-yl)-piperidin-4-yl]-benzamide
- 5-Phenyl-2H-pyrazole-3-carboxylic acid (1-quinazolin-4-yl-piperidin-4-yl)-amide
- 20 • 3-Chloro-2-methyl-N-(1-quinazolin-4-yl-piperidin-4-yl)-benzamide
- 4-Phenoxy-N-(1-quinazolin-4-yl-piperidin-4-yl)-benzamide
- 2-Chloro-3-methyl-N-(1-quinazolin-4-yl-piperidin-4-yl)-benzamide
- 2-Bromo-N-[1-(6-chloro-isoquinolin-1-yl)-piperidin-4-yl]-benzamide
- 25 • N-[1-(6-Chloro-isoquinolin-1-yl)-piperidin-4-yl]-2-fluoro-benzamide
- N-[1-(6-Chloro-isoquinolin-1-yl)-piperidin-4-yl]-2,4-difluoro-benzamide
- 2-Chloro-N-[1-(6-chloro-isoquinolin-1-yl)-piperidin-4-yl]-benzamide
- 3-Bromo-N-[1-(6-chloro-isoquinolin-1-yl)-piperidin-4-yl]-benzamide
- 3-Chloro-N-[1-(6-chloro-isoquinazolin-1-yl)-piperidin-4-yl]-benzamide
- 30 • N-[1-(6-Chloro-isoquinolin-1-yl)-piperidin-4-yl]-3-methoxy-benzamide
- N-[1-(6-Chloro-isoquinolin-1-yl)-piperidin-4-yl]-3-methyl-benzamide
- N-[1-(6-Chloro-isoquinolin-1-yl)-piperidin-4-yl]-4-fluoro-benzamide

- N-[1-(6-Chloro-isoquinolin-1-yl)-piperidin-4-yl]-2-iodo-benzamide
- N-[1-(6-Chloro-isoquinolin-1-yl)-piperidin-4-yl]-3-nitro-benzamide
- N-[1-(6-Chloro-isoquinolin-1-yl)-piperidin-4-yl]-2,5-difluoro-benzamide
- N-[1-(6-Chloro-isoquinolin-1-yl)-piperidin-4-yl]-3,4-difluoro-benzamide
- 5 • N-[1-(6-Chloro-isoquinolin-1-yl)-piperidin-4-yl]-3,5-difluoro-benzamide
- N-[1-(6-Chloro-isoquinolin-1-yl)-piperidin-4-yl]-3-cyano-benzamide
- N-[1-(6-Chloro-isoquinolin-1-yl)-piperidin-4-yl]-2,3-difluoro-benzamide
- N-[1-(6-Chloro-isoquinolin-1-yl)-piperidin-4-yl]-2,4,5-trifluoro-benzamide
- 2,4,6-Trichloro-N-[1-(6-chloro-isoquinolin-1-yl)-piperidin-4-yl]-benzamide
- 10 • N-[1-(6-Chloro-isoquinolin-1-yl)-piperidin-4-yl]-4-methyl-benzamide
- N-[1-(6-Chloro-isoquinolin-1-yl)-piperidin-4-yl]-2,3,4-trifluoro-benzamide
- N-[1-(6-Chloro-isoquinolin-1-yl)-piperidin-4-yl]-2-fluoro-3-trifluoromethyl-benzamide
- 2-Chloro-N-[1-(6-chloro-isoquinolin-1-yl)-piperidin-4-yl]-nicotinamide
- 15 • N-[1-(6-Chloro-isoquinolin-1-yl)-piperidin-4-yl]-2,3-dimethyl-benzamide
- 3-Bromo-thiophene-2-carboxylic acid [1-(6-chloro-isoquinolin-1-yl)-piperidin-4-yl]-amide
- 2,3-Dichloro-N-[1-(6-chloro-isoquinolin-1-yl)-piperidin-4-yl]-benzamide
- 5-Nitro-furan-2-carboxylic acid [1-(6-chloro-isoquinolin-1-yl)-piperidin-4-yl]-amide
- 20 • 2-Chloro-N-[1-(6-chloro-isoquinolin-1-yl)-piperidin-4-yl]-4-nitro-benzamide
- N-[1-(6-Chloro-isoquinolin-1-yl)-piperidin-4-yl]-2,4,6-trifluoro-benzamide
- 3-Chloro-thiophene-2-carboxylic acid [1-(6-chloro-isoquinolin-1-yl)-piperidin-4-yl]-amide
- 25 • 2,5-Dichloro-N-[1-(6-chloro-isoquinolin-1-yl)-piperidin-4-yl]-nicotinamide
- 2,5-Dichloro-thiophene-3-carboxylic acid [1-(6-chloro-isoquinolin-1-yl)-piperidin-4-yl]-amide
- Acetic acid 3-[1-(6-chloro-isoquinolin-1-yl)-piperidin-4-ylcarbamoyl]-phenyl ester
- 30 • 2,3-Dichloro-N-(1-isoquinolin-1-yl-piperidin-4-yl)-benzamide
- 2,3-Dichloro-N-[1-(6-trifluoromethyl-isoquinolin-1-yl)-piperidin-4-yl]-benzamide

- 2,3-Dichloro-N-[1-(5-chloro-isoquinolin-1-yl)-piperidin-4-yl]-benzamide
- N-[1-(6-Bromo-isoquinolin-1-yl)-piperidin-4-yl]-2,3-dichloro-benzamide
- 2,3-Dichloro-N-[1-(6-fluoro-isoquinolin-1-yl)-piperidin-4-yl]-benzamide
- 2,3-Dichloro-N-[1-(6-methoxy-isoquinolin-1-yl)-piperidin-4-yl]-benzamide
- 5 • 2,3-Dichloro-N-[1-(6-cyano-isoquinolin-1-yl)-piperidin-4-yl]-benzamide
- 2,3-Dichloro-N-[1-(6-thiophen-2-yl-isoquinolin-1-yl)-piperidin-4-yl]-benzamide
- 2,3-Dichloro-N-[1-(6-phenyl-isoquinolin-1-yl)-piperidin-4-yl]-benzamide
- N-[1-(5-Bromo-isoquinolin-1-yl)-piperidin-4-yl]-2,3-dichloro-benzamide
- 10 • 2,3-Dichloro-N-[1-(5-fluoro-isoquinolin-1-yl)-piperidin-4-yl]-benzamide
- 2,3-Dichloro-N-[1-(7-trifluoromethyl-isoquinolin-1-yl)-piperidin-4-yl]-benzamide
- 2,3-Dichloro-N-[1-(7-chloro-isoquinolin-1-yl)-piperidin-4-yl]-benzamide
- 2,3-Dichloro-N-[1-(5-trifluoromethyl-isoquinolin-1-yl)-piperidin-4-yl]-benzamide
- 15 • N-[1-(6,8-Bis-trifluoromethyl-isoquinolin-1-yl)-piperidin-4-yl]-2,3-dichloro-benzamide
- 2,3-Dichloro-N-[1-(5,7-difluoro-isoquinolin-1-yl)-piperidin-4-yl]-benzamide
- 2,3-Dichloro-N-[1-(6-morpholin-4-yl-isoquinolin-1-yl)-piperidin-4-yl]-benzamide
- 20 • 2,3-Dichloro-N-[1-(6-phenylamino-isoquinolin-1-yl)-piperidin-4-yl]-benzamide
- 2,3-Dichloro-N-[1-(6-phenylethynyl-isoquinolin-1-yl)-piperidin-4-yl]-benzamide
- 25 • 1H-Indole-3-carboxylic acid (1-isoquinolin-1-yl-piperidin-4-yl)-amide
- 3-Bromo-thiophene-2-carboxylic acid (1-isoquinolin-1-yl-piperidin-4-yl)-amide
- 2-Fluoro-6-iodo-N-(1-isoquinolin-1-yl-piperidin-4-yl)-benzamide
- 6-Methoxy-2-(2,3,4-trimethoxy-phenyl)-quinoline-4-carboxylic acid (1-isoquinolin-1-yl-piperidin-4-yl)-amide
- 30 • 1-Methyl-1H-indole-3-carboxylic acid (1-isoquinolin-1-yl-piperidin-4-yl)-amide

- 4-Pyrrol-1-yl-N-(1-isoquinolin-1-yl-piperidin-4-yl)-benzamide
- 3-{1-[4-(2,3-Dichloro-benzoylamino)-piperidin-1-yl]-isoquinolin-6-yl}-benzoic acid methyl ester
- 4-{1-[4-(2,3-Dichloro-benzoylamino)-piperidin-1-yl]-isoquinolin-6-yl}-benzoic acid methyl ester
- 2,3-Dichloro-N-[1-(7-fluoro-isoquinolin-1-yl)-piperidin-4-yl]-benzamide
- 5-Phenyl-2H-pyrazole-3-carboxylic acid (1-isoquinolin-1-yl-piperidin-4-yl)-amide
- 3-Chloro-2-methyl-N-(1-isoquinolin-1-yl-piperidin-4-yl)-benzamide
- 4-Phenoxy-N-(1-isoquinolin-1-yl-piperidin-4-yl)-benzamide
- 2-Chloro-3-methyl-N-(1-isoquinolin-1-yl-piperidin-4-yl)-benzamide.

The present invention provides for the use of the inventive compounds for the production of medicaments which comprise at least one of the compounds of formula I.

The present invention likewise provides medicaments which comprise the inventive compounds with suitable formulation and carrier substances.

Compared with the known prostaglandin E₂ ligands, the novel EP₂ agonists and antagonists are notable for greater selectivity and stability.

The present invention provides medicaments for treatment and prophylaxis of disorders which include fertility disorders, infectious diseases, cancer, viral infections, cardiovascular disorders, elevated intraocular pressure, glaucoma, disorders of the skeletal system, angiogenic disorders, abnormalities of uterine contraction, pain, neuroinflammatory disorders, immunomodulatory infections and nephrological disorders.

Fertility disorders are understood to mean disorders leading to no ovulation taking place, to nidation of a fertilized oocyte not taking place and no decidualization taking place; infectious diseases are understood to mean diseases caused by unicellular parasites; cancer is understood to mean solid

tumors and leukemia; viral infections are understood to mean, for example, cytomegalus infections, hepatitis, hepatitis B and C and HIV disorders; immunomodulatory infections are understood to mean, for example, bird flu; cardiovascular disorders are understood to mean ischemic reperfusion disorder, stenoses, arterioscleroses and restenoses; angiogenic disorders are understood to mean, for example, endometriosis and fibrosis; elevated intraocular pressure is understood to mean glaucoma; abnormalities of uterine contraction are understood to mean, for example, menstrual complaints; disorders of the skeletal system are understood to mean osteoporosis; neuroinflammatory disorders are understood to mean multiple sclerosis, Alzheimer's disease, pain; and nephrological disorders are understood to mean glomerulonephritis.

The present invention likewise provides medicaments for treatment and prophylaxis of the disorders listed above, which comprise at least one compound of the general formula I, and also medicaments comprising suitable formulation and carrier substances.

For use of the compounds according to the invention as medicaments, they are converted to the form of a pharmaceutical product which, in addition to the active ingredient, comprises pharmaceutical, organic or inorganic inert carrier materials suitable for enteral or parenteral administration, for example water, gelatin, gum arabic, lactose, starch, magnesium stearate, talc, vegetable oils, polyalkylene glycols etc. The pharmaceutical products may be in solid form, for example as tablets, coated tablets, suppositories, capsules, in semisolid form, for example as ointments, creams, gels, suppositories, emulsions, or in liquid form, for example as solutions, suspensions or emulsions.

If appropriate, they comprise excipients intended to function, for example, as fillers, binders, disintegrants, lubricants, solvents, solubilizers, masking flavors, dye, emulsifiers. Excipient types in the context of the invention are, for example, saccharides (mono-, di-, tri-, oligo- and/or polysaccharides), fats, waxes, oils, hydrocarbons, anionic, nonionic, cationic natural, synthetic or semisynthetic surfactants. If appropriate, they additionally comprise excipients such as

preservatives, stabilizers, wetting agents or emulsifiers; salts to alter the osmotic pressure or buffers.

The present invention likewise provides these pharmaceutical products.

- 5 Aerosol solutions are appropriately produced for inhalation.

Particularly suitable for oral administration are tablets, coated tablets or capsules with talc and/or carbohydrate carriers or binders, for example lactose, corn starch or potato starch. Use is also possible in liquid form, for example as
10 fluid to which a sweetener is added where appropriate. For oral administration of such compounds, clathrates are likewise also suitable; examples include the clathrates with alpha-, beta-, gamma-cyclodextrin, or else beta-hydroxypropylcyclodextrin.

- 15 Sterile, injectable, aqueous or oily solutions are used for parenteral administration. Solutions for injection or suspensions are particularly suitable; especially aqueous solutions of the active compounds in polyethoxylated castor oil are suitable.

- 20 Suppositories, tampons or intrauterine devices, for example, are suitable and customary for vaginal administration.

For intraarticular injection, it is possible to use appropriately formulated crystal
25 suspensions.

For intramuscular injection, it is possible to use aqueous and oily solutions for injection or suspensions and corresponding depot preparations.

- 30 For rectal administration, it is possible to use the novel compounds in the form of suppositories, capsules, solutions (for example in the form of enemas) and ointments both for systemic and for local therapy.

For pulmonary administration of the novel compounds, they can be used in the form of aerosols and inhalations.

5 For local administration on eyes, the external auditory canal, middle ear, nasal cavity and paranasal sinuses, the novel compounds may be used as drops, ointments and tinctures in appropriate pharmaceutical formulations.

10 For topical administration, formulations in gels, ointments, greasy ointments, creams, pastes, powder, milk and tinctures are possible. The dosage of the compounds of the general formula I in these formulations should be 0.01% - 20% in order to achieve a sufficient pharmacological effect.

15 Carrier systems which can also be used are surface-active excipients such as salts of bile acids or animal or vegetable phospholipids, but also mixtures thereof, and liposomes or constituents thereof.

20 The dosage of the active ingredients may vary depending on the route of administration, age and weight of the patient, nature and severity of the disorder to be treated and similar factors. The treatment can be effected in single doses or as a large number of doses over a prolonged period. The daily dose is 0.5-1000 mg, preferably 50-200 mg, it being possible for the dose to be given as a single dose to be administered once or divided into 2 or more daily doses.

25 The above-described formulations and administration forms likewise form part of the subject matter of the present invention.

30 The inventive compounds can be administered by any conventional method including oral and parenteral methods, for example by subcutaneous or intramuscular injections. Enteral, parenteral, vaginal and oral administration likewise form part of the subject matter of the present invention.

The inventive compounds of the general formula I bind to the EP₂ receptor and have agonistic or antagonistic action. It can be determined by an agonism test

(see example 1.2.1 of the biological examples) or by an antagonism test (see example 1.2.2 of the biological examples) whether agonistic or antagonistic action is present.

- 5 Antagonists are understood to mean those molecules which bind to their corresponding receptors and typically compete with the naturally occurring ligand of the receptor for the binding to the receptor and which inhibit the initiation of the signal transduction pathway coupled to the receptor.
- 10 Receptor antagonists typically bind selectively to their particular receptor and not to other receptors. They normally have a higher binding affinity than the natural ligand. Even though antagonists which have a higher affinity for the receptor than the natural ligand are preferred, it is likewise possible to use antagonists with a lower affinity.

15

The antagonists preferably bind reversibly to their corresponding receptors.

20

The EP₂ receptor antagonist has a preferential affinity for the EP₂ receptor over any other EP receptor. The antagonism is measured in the presence of the natural agonist (PGE₂).

- Agonists are understood to mean those molecules which bind to their corresponding receptors and typically compete with the naturally occurring ligand of the receptor for the binding to the receptor and which stimulate the initiation of the signal transduction pathway coupled to the receptor. Agonists may also promote the binding of the natural ligand.
- 25

- Receptor agonists typically bind selectively to their particular receptor and not to other receptors. They normally have a higher binding affinity than the natural ligand. Even though agonists which have a higher affinity for the receptor than the natural ligand are preferred, it is likewise possible to use agonists with a lower affinity. The agonists preferably bind reversibly to their corresponding receptors.
- 30

Agonists are tested via the initiation of the signal transduction and/or physiological action mediated the corresponding receptor.

5 Ligands refer to the compounds or low molecular weight substances which bind to a receptor. Their binding is typically reversible. The binding of a ligand to the corresponding receptor activates or inactivates the signal transduction pathway coupled to the receptor. In this manner, the ligand imparts its intracellular action. Ligands are understood to mean agonists and antagonists of a receptor.

10

The substance according to example 25 exhibits no inhibition in the cellular agonism test ($EC_{50} > 19 \mu\text{M}$), but good efficacy in the antagonism test ($IC_{50} = 0.2 \mu\text{M}$).

15 The present invention likewise provides for the use of the inventive substances as EP_2 receptor agonists for the treatment of disorders caused by disruptions in the signal transduction chain in which the EP_2 receptor is involved, for example pain and fertility disorders, and which are likewise suitable for fertility control.

20 The inventive compounds of the general formula I have profertile action. In the preovulatory antral follicle, the oocyte is surrounded by cumulus cells which form a dense ring of cells around the oocyte. After the peak of the lutenizing hormone (LH peak), a series of processes is activated and leads to a great morphological change in this ring of cumulus cells. The cumulus cells form an extracellular
25 matrix which leads to so-called cumulus expansion (Vanderhyden *et al.* Dev Biol. 1990 Aug; 140(2):307-317). This cumulus expansion is an important part of the ovulatory process and of the subsequent possibility of fertilization.

30 In cumulus expansion, prostaglandins, and here prostaglandin E_2 whose synthesis is induced by the LH peak, are of crucial significance. Prostanoid EP_2 knockout mice (Hizaki *et al.*, Proc Natl Acad Sci USA 1999 Aug 31; 96(18):10501-6) exhibit markedly reduced cumulus expansion and severe

subfertility, which demonstrates the significance of the prostanoid EP₂ receptor for this process.

The inventive substances have inhibitory effects in cumulus expansion tests.

5

The present invention provides for the use of the inventive substances for fertility control.

While the EP₂ receptor antagonist AH 6809 suppresses the expansion of the cumulus by only about 20% at a concentration of 100-200 µM, an almost 50% suppression of cumulus expansion can be achieved at one tenth of the concentration in the presence of the substance according to example 25. In these tests, the test substances compete with the natural EP₂ receptor agonist PGE₂.

15

The present invention provides for the use of the inventive substances for the inhibition of cumulus expansion and hence of fertilization for contraception.

Prostaglandins play an important role in angiogenesis (Sales, Jabbour, 2003, Reproduction 126, 559-567).

Endometriosis is a chronic disorder caused by impairments of the blood vessels. About 10% of women regularly suffer from chronic bleeding during menstruation, caused by changes in the blood vessels of the endometrium. In addition, structural differences in the blood vessels have been observed, for example incomplete formation of the smooth muscle cell layer (Abberton *et al.*, 1999, Hum. Reprod. 14, 1072-1079). Since blood loss during menstruation is controlled partly by the constriction of the blood vessels, it is obvious that the defects in the smooth muscle structure make a substantial contribution to the bleeding.

25
30

The present invention provides for the use of the substances of the general formula I for the treatment of endometriosis.

Prostaglandins play an important role in uterus contraction; excessively strong contractions are responsible for menstrual pains (Sales, Jabbour, 2003, *Reproduction* 126, 559-567).

5

The present invention provides for the use of the substances of the general formula I for the treatment of menstrual pains.

Prostaglandins play an important role in the development and course of various
10 cancers (S. W. Han, *Biochemical and Biophysical Research Communications* 314 (2004) 1093-1099; S.-H. Chang; *Cancer Research* 65 (2005); 4496-9; M. D. Castellone, *Science* 310 (2005) 1504 – 1510).

The present invention provides for the use of the substances of the general
15 formula I for the treatment and prevention of cancers.

EP₂ receptor agonists and antagonists also play a significant role in the regulation of the intraocular pressure. It has been shown that EP₂ receptors in particular are present in a high concentration in the vessels of the trabecular
20 meshwork (TM) of the eye. Tears leave the eye via the TM and Schlemm's canal; EP₂ receptor agonists influence the dynamics of the tear fluid by stimulating the efflux of the tear fluid and thus lead to a decrease in the intraocular pressure (W. Kamphuis *et al.*, *Current Eye Res.* 2004, 29, 17-26).
The present invention provides for the use of the inventive substances for the
25 treatment of elevated intraocular pressure, as in the case of disorders including glaucoma.

Prostaglandins also play an important role in the processes which counteract osteoporosis. The present invention therefore provides for the use of the
30 inventive substances for the treatment of osteoporosis.

The immunomodulatory action of PGE₂ has already been known for some time. For instance, it influences cytokine production in dendritic cells (DCs). IL-1 β and

TNF- α stimulate cytokine production (IL-12) in DCs, which results in the secretion of IL-12, and also promoted development of the type 1 T-helper cells (Th1). DCs which are stimulated by IL-1 β and TNF- α in the presence of PGE₂ exhibit impaired cytokine production (IL-12) and promoted development of the type 2 T-helper cells (Th2) (Hilkens CM *et al.*, J. Immunol. 156: 1722-1727, 1996).

Peripheral blood mononuclear cells (PBMCs) of multiple sclerosis patients require higher PGE₂ levels for the stimulation of the advantageous cytokine secretion. Dore-Duffy *et al.* (E. Clin. Immunol. Immunopathol. 61: 119-128, 1990) have been able to show that monocytes of MS patients reacted less sensitively to the PGE₂-mediated increases in the cAMP level (mediated by the EP₂ or EP₄ receptor). These observations led to the conclusion that MS patients require higher PGE₂ levels in order that advantageous, immunomodulatory responses can be achieved.

Ruddle *et al.* (J. Exp. Med. 172(4): 1193-1200, 1990) state firstly that TNF- α -producing T cells and TNF- α itself play an important role in autoimmune disorders of the central nervous system.

INF- γ promotes a deterioration in the MS (Panitch *et al.*, J. Neuroimmunol. 46 (1-2): 155-164), so a reduction in Th-1 cytokine expression, such as that of INF- γ , should be advantageous for MS patients. The cytokine expression of Th-2 should remain unchanged thereby. PGE₂ and PGE₂ agonists ensure lowered Th-1 cytokine expression and therefore have an advantageous effect on MS patients, and are likewise suitable for the treatment of other autoimmune disorders.

The present invention provides for the use of the inventive substances for the treatment of multiple sclerosis and other autoimmune disorders.

Reinold *et al.* (J. Clin. Invest. 115, 673-679 (2005)) describe PGE₂ receptors of the EP₂ subtype as the key signaling elements in inflammatory hyperalgesia.

Mice which no longer have this receptor ($EP_2^{-/-}$) experience no spinal inflammatory pain. There are indications that inflammatory, enhanced pain sensitivity can be treated by modulating EP_2 receptors in a controlled manner.

- 5 The present invention provides for the use of the inventive substances for the treatment of inflammatory hyperalgesia.

Where the preparation of the starting compounds is not described, these can be prepared in a known manner or analogously to known compounds or processes
10 described here. It is likewise possible to perform all reactions described here in parallel reactors or by means of combinatorial techniques.

The salts are prepared in a customary manner by admixing a solution of the compound of the formula I with the equivalent amount or an excess of a base or
15 acid, which may be in solution, and removing the precipitate or working up the solution in a customary manner.

The invention thus also relates to medicaments based on the compounds of the general formula I and the customary excipients or carriers.
20

The inventive compounds of the general formula I can be prepared as described in the examples. By an analogous procedure using reagents homologous to the reagents described in the examples, it is possible to obtain the further
25 compounds of the general formula I.

Proceeding from the compounds of the general formula IVa-c, it is possible to prepare the inventive compounds of the general formula I by reacting with N-piperidin-4-ylheteroarylamides of the general formula V by processes known to those skilled in the art. It is likewise possible to prepare the inventive
30 compounds of the general formula I by converting compounds of the general formula IVa-c to compounds of the general formula IIIa-c and then formula IIa-c by processes known to those skilled in the art. By an analogous procedure using

reagents homologous to the reagents described in the examples, it is possible to obtain the further compounds of the general formula I.

5 The R^2-R^5 radicals of the compounds of the general formula I obtained in this way can be converted further by methods known to those skilled in the art to various functional groups and hence further compounds of the general formula I.

10 For example, a bromide can be replaced by means of palladium(0)-catalyzed reactions by an aryl or heteroaryl ring, a substituted alkene or alkyne, amine or a cyano group.

15 A carboxyl function or cyano group functioning as R^2-R^5 , or an amine can, for example, be converted by methods known to those skilled in the art to esters and amides of the general formula I.

20 It is likewise possible, for example, to convert ester functions or a cyano group in compounds of the general formula I, after reduction to the aldehyde, by methods known to those skilled in the art, to further olefins or secondary alcohols substituted by alkyl or aryl radicals. It is likewise possible to convert a cyano group in compounds of the general formula I, by methods known to those skilled in the art, to ketones which are substituted by alkyl or aryl radicals and can then be reduced to the corresponding secondary alcohols or else, by methods known to those skilled in the art, may be converted to tertiary alcohols substituted by alkyl or aryl radicals.

25 The exemplary reactions just described of the R^2-R^5 radicals in the inventive compounds of the general formula I can be performed in the same manner by a person skilled in the art on compounds of the general formula IIa-c and IIIa-c. 30 The compounds of the general formula IIa-c and IIIa-c thus obtained can then be converted to those of the formula I as described.

The compounds of the general formula IVa-c used to prepare the inventive compounds of the general formula I can be prepared by processes known to those skilled in the art depending on the X and Y radicals.

5 In the case that X = CH and Y = nitrogen, this is done by processes known to those skilled in the art, for example proceeding from the phthalides of the general formula X via the 2-carboxymethylbenzoic acids of the general formula IX and alkoxymethylideneisochromane-1,3-diones of the general formula VIII to give the isoquinolinones of the general formula VII and further to those
10 compounds of the general formula IVc.

In the case that X and Y = each nitrogen, this is done by processes known to those skilled in the art, for example proceeding from 2-aminobenzoic acids of the general formula XII via the quinazolinones of the general formula XI and
15 further to those compounds of the general formula IVb.

In the case that X = nitrogen and Y = CH, this is done by processes known to those skilled in the art proceeding from anilines of the general formula XVII via the compounds of the general formula XVI and the 3-carboxyquinolines of the
20 general formula XV to give those of the general formula XIV. These are converted by processes known to those skilled in the art to quinolines of the general formula XIII and further to those compounds of the general formula IVa.

The N-piperidin-4-ylheteroaryl amides of the general formula V used to prepare
25 the inventive compounds of the general formula I can be prepared by methods known to those skilled in the art proceeding from tert-butyl 4-aminopiperidine-1-carboxylate via the tert-butyl 4-{{heteroarylcarbonyl}amino}piperidine-1-carboxylate of the general formula VI.

30 Frequently used abbreviations:

sat.	saturated
EA	ethyl acetate

Cx	cyclohexane
DMF	N,N-dimethylformamide
equiv.	equivalents
DMAP	4-dimethylaminopyridine
5 PdCl ₂ dppf	dichloro(1,1'-bis(diphenylphosphine)ferrocene)palladium
DMA	N,N-dimethylacetamide
Pd(OAc) ₂	palladium acetate
K ₄ Fe(CN) ₆	potassium hexacyanoferrate(II)

10 The examples which follow serve to illustrate the invention in detail:

General procedure 1

The corresponding N-piperidin-4-ylheteroarylamide V (1 equiv.) is initially charged in n-butanol (10 ml/mmol), admixed with 1 equiv. of the appropriate chlorine compound IVa-c, with 2 equiv. of triethylamine and with 0.1 equiv. of DMAP, and stirred under reflux until the reaction is complete or has stopped. After cooling to room temperature, the reaction mixture is admixed with EA, washed with sat. sodium chloride solution and concentrated on a rotary evaporator. The purification is effected by column chromatography on silica gel with a Cx/EA eluent and gives rise to the inventive compounds I. According to this general reaction procedure, it is possible, for example, to synthesize the following compounds: 25, 33-39, 43-50.

General procedure 2

25 The appropriate amine IIa-c (1 equiv.) is initially charged and admixed with DMF (2 ml/mmol). To this end, the acylating agent (1.1 equiv.) in DMF (2 ml/mmol) and DMAP (1.1 equiv.) in DMF (1 ml/mmol) are added successively, and the mixture is stirred under reflux until the reaction is complete or has stopped. For workup, the mixture is cooled, admixed with methanol (5 ml/mmol) and concentrated under reduced pressure. The residue is purified by preparative HPLC-MS or by column chromatography on silica gel with a Cx/EA eluent and gives rise to the inventive compounds I. According to this general reaction

procedure, it is possible, for example, to synthesize the following compounds: 1-32.

General procedure 3

5 The appropriate aryl bromide of the general formula I (1 equiv.) is initially charged in toluene/ethanol (1:1, 20 ml/mmol), admixed with PdCl₂dppf (0.1 equiv.), the appropriate boronic acid (1.5 equiv.) and 2M sodium carbonate solution (2 equiv.), and the mixture is stirred under reflux until the reaction is complete or has stopped. The reaction mixture is concentrated to dryness on a rotary evaporator. The purification is effected by column chromatography on silica gel with a Cx/EA eluent and gives rise to further inventive compounds of the general formula I. According to this general reaction procedure, it is possible, for example, proceeding from compound 36, to synthesize the following examples: 41-42.

15

General procedure 4

The appropriate aryl bromide of the general formula I (1 equiv.) is initially charged in DMA (15 ml/mmol), admixed with Pd(OAc)₂ (0.1 equiv.), K₄Fe(CN)₆ (0.25 equiv.) and 2M sodium carbonate solution (1 equiv.), and the reaction mixture is evacuated and purged with argon repeatedly. Subsequently, the mixture is stirred under reflux until the reaction is complete or has stopped. For workup, sat. sodium bicarbonate solution is added, the mixture is extracted repeatedly with EA, and the combined organic phases are washed with sat. sodium chloride solution, dried over sodium sulfate and concentrated to dryness on a rotary evaporator. The purification is effected by column chromatography on silica gel with a Cx/EA eluent and gives rise to further inventive compounds of the general formula I. According to this general reaction procedure, it is possible, for example, proceeding from compound 36, to synthesize the following example: 40.

30

The products thus obtained are characterized by means of HPLC-MS [Method 1: Aquity UPLC BEH column (2.1 x 50 mm C18 1.7 μm), gradient: start 98% A (water + 0.05% formic acid) + 2% B (acetonitrile + 0.05% formic acid),

within 1.7 min to 10% A + 90% B, 0.2 min isocratic, flow rate: 1.3 ml/min, MW: ES+;

Method 2: Zorbax Extend C18 column (3.0 x 50 mm, 3.5 μ M), gradient: acetonitrile: 2mM ammonium acetate (pH 7.0) = 50: 50, flow rate: 0.5 ml/min, MW: (M+H)⁺,

Method 3: Zorbax Extend C18 column (3.0 x 50 mm, 3.5 μ M), gradient: acetonitrile: 2mM ammonium acetate (pH 7.0) = 45: 55, flow rate: 0.5 ml/min, MW: (M+H)⁺,

Method 4: Hypersil ODS column (4.6 x 250 mm, 5 μ M), gradient: acetonitrile: 2mM ammonium acetate (pH 7.0) = 85: 15, flow rate: 1 ml/min, MW: (M+H)⁺,

Method 5: Hypersil ODS column (4.6 x 250 mm, 5 μ M), gradient: acetonitrile: 2mM ammonium acetate (pH 7.0) = 70: 30, flow rate: 1 ml/min, MW: (M+H)⁺,

Method 6: Hypersil ODS column (4.6 x 250 mm, 5 μ M), gradient: acetonitrile: 2mM ammonium acetate (pH 7.0) = 60: 40, flow rate: 1 ml/min, MW: (M+H)⁺,

Method 7: Hypersil ODS column (4.6 x 250 mm, 5 μ M), gradient: acetonitrile: 2mM ammonium acetate (pH 7.0) = 75: 25, flow rate: 1 ml/min, MW: (M+H)⁺,

Method 8: Hy Purity Elite C18 column (5 μ m, 250 x 4.6 mm), gradient: acetonitrile: ammonium formate (10mM, pH 7.7) from 10:90 to 100:0 (20 min), flow: 1 ml/min, MW: (M+H)⁺,

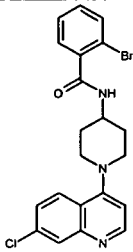
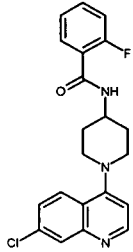
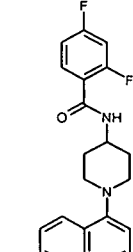
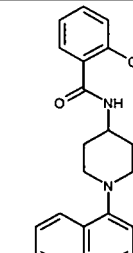
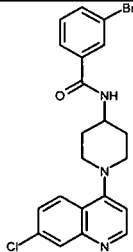
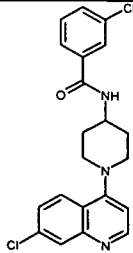
Method 9: Hypersil Gold column (5 μ m, 150 x 4.0 mm), gradient: acetonitrile: ammonium formate (10mM, pH 7.7) from 10:90 to 100:0 (20), flow: 1 ml/min, MW: (M+H)⁺,

Method 10: Hypersil 120ODS column (5 μ m, 150 x 4.0 mm), gradient: acetonitrile: water = 85:15, flow rate: 1 ml/min, MW: (M+H)⁺,

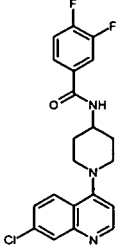
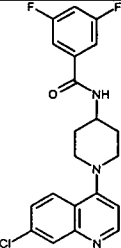
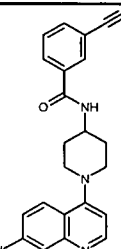
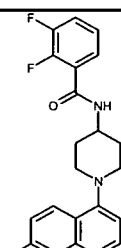
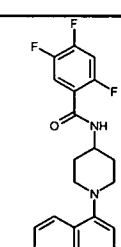
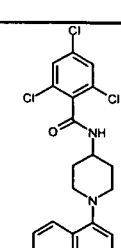
Method 11: Hypersil 120ODS column (5 μ m, 150 x 4.0 mm), gradient: acetonitrile: ammonium formate (10mM, pH 7.7) from 10:90 to 100:0 (20 min), flow: 1 ml/min, MW: (M+H)⁺,

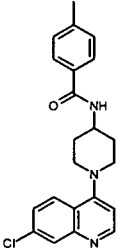
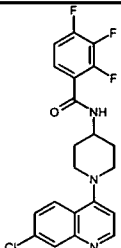
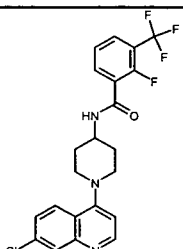
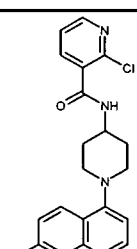
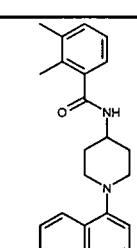
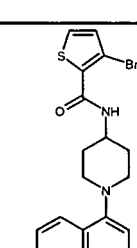
Method 12: Purospher Star RP C18 column (4.6 x 125mm, 5 μ m), gradient: 0.1% aqueous trifluoroacetic acid: 0.1% trifluoroacetic acid in acetonitrile from 95:5 to 5:95 (10 min.), flow: 1 ml/min, MW: (M+H)⁺,

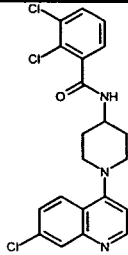
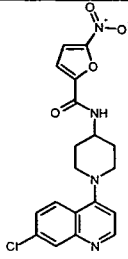
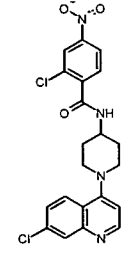
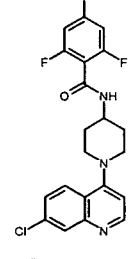
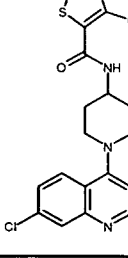
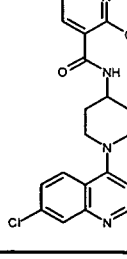
Method 13: Hypersil ODS column (4.6 x 250 mm, 5 μ m), gradient: acetonitrile: 2mM ammonium acetate (pH 7.0) = 80:20, flow rate: 1 ml/min, MW: (M+H)⁺.

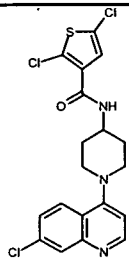
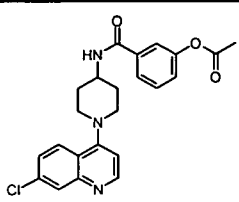
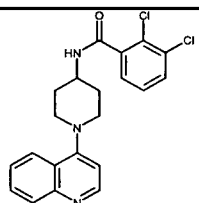
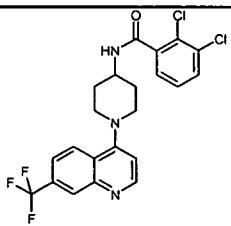
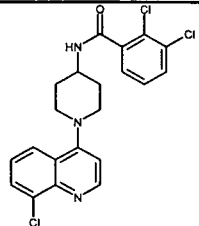
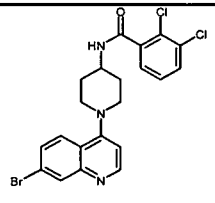
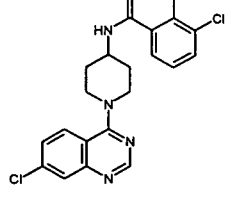
Example	Structure	Name	MW calc.	MW	RT (min., method)
1		2-Bromo-N-[1-(7-chloro-quinolin-4-yl)-piperidin-4-yl]-benzamide	444.758	444	0.83 (1)
2		N-[1-(7-Chloro-quinolin-4-yl)-piperidin-4-yl]-2-fluoro-benzamide	383.852	384	0.82 (1)
3		N-[1-(7-Chloro-quinolin-4-yl)-piperidin-4-yl]-2,4-difluoro-benzamide	401.843	402	0.85 (1)
4		2-Chloro-N-[1-(7-chloro-quinolin-4-yl)-piperidin-4-yl]-benzamide	400.307	400	0.82 (1)
5		3-Bromo-N-[1-(7-chloro-quinolin-4-yl)-piperidin-4-yl]-benzamide	444.758	444	0.91 (1)
6		3-Chloro-N-[1-(7-chloro-quinolin-4-yl)-piperidin-4-yl]-benzamide	400.307	400	0.90 (1)

Example	Structure	Name	MW calc.	MW	RT (min., method)
7		N-[1-(7-Chloro-quinolin-4-yl)-piperidin-4-yl]-3-methoxy-benzamide	395.888	396	0.83 (1)
8		N-[1-(7-Chloro-quinolin-4-yl)-piperidin-4-yl]-3-methyl-benzamide	379.889	380	0.92 (1)
9		N-[1-(7-Chloro-quinolin-4-yl)-piperidin-4-yl]-4-fluoro-benzamide	383.852	384	0.83 (1)
10		N-[1-(7-Chloro-quinolin-4-yl)-piperidin-4-yl]-2-iodo-benzamide	491.759	492	0.85 (1)
11		N-[1-(7-Chloro-quinolin-4-yl)-piperidin-4-yl]-3-nitro-benzamide	410.86	411	0.84 (1)
12		N-[1-(7-Chloro-quinolin-4-yl)-piperidin-4-yl]-2,5-difluoro-benzamide	401.843	402	0.85 (1)

Example	Structure	Name	MW calc.	MW	RT (min., method)
13		N-[1-(7-Chloro-quinolin-4-yl)-piperidin-4-yl]-3,4-difluoro-benzamide	401.843	402	0.87 (1)
14		N-[1-(7-Chloro-quinolin-4-yl)-piperidin-4-yl]-3,5-difluoro-benzamide	401.843	402	0.88 (1)
15		N-[1-(7-Chloro-quinolin-4-yl)-piperidin-4-yl]-3-cyano-benzamide	390.872	391	0.81 (1)
16		N-[1-(7-Chloro-quinolin-4-yl)-piperidin-4-yl]-2,3-difluoro-benzamide	401.843	402	0.85 (1)
17		N-[1-(7-Chloro-quinolin-4-yl)-piperidin-4-yl]-2,4,5-trifluoro-benzamide	419.833	0.88	420 (1)
18		2,4,6-Trichloro-N-[1-(7-chloro-quinolin-4-yl)-piperidin-4-yl]-benzamide	469.196	470	0.94 (1)

Example	Structure	Name	MW calc.	MW	RT (min., method)
19		N-[1-(7-Chloro-quinolin-4-yl)-piperidin-4-yl]-4-methyl-benzamide	379.889	380	0.85 (1)
20		N-[1-(7-Chloro-quinolin-4-yl)-piperidin-4-yl]-2,3,4-trifluoro-benzamide	419.833	420	0.88 (1)
21		N-[1-(7-Chloro-quinolin-4-yl)-piperidin-4-yl]-2-fluoro-3-trifluoromethyl-benzamide	451.851	452	0.95 (1)
22		2-Chloro-N-[1-(7-chloro-quinolin-4-yl)-piperidin-4-yl]-nicotinamide	401.295	401	0.72 (1)
23		N-[1-(7-Chloro-quinolin-4-yl)-piperidin-4-yl]-2,3-dimethyl-benzamide	393.916	394	0.88 (1)
24		3-Bromo-thiophene-2-carboxylic acid [1-(7-chloro-quinolin-4-yl)-piperidin-4-yl]-amide	450.786	450	0.88 (1)

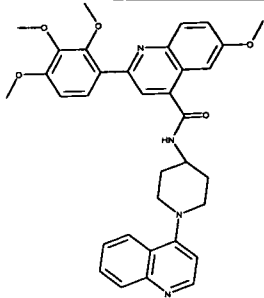
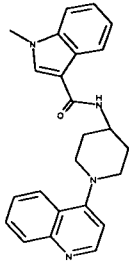
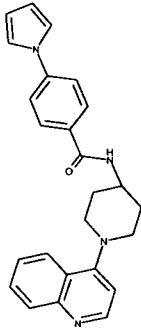
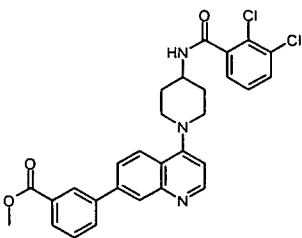
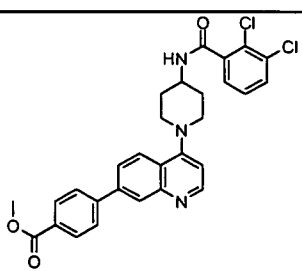
Example	Structure	Name	MW calc.	MW	RT (min., method)
25		2,3-Dichloro-N-[1-(7-chloro-quinolin-4-yl)-piperidin-4-yl]-benzamide	434.752	434	2.87 (2)
26		5-Nitro-furan-2-carboxylic acid [1-(7-chloro-quinolin-4-yl)-piperidin-4-yl]-amide	400.821	401	0.79 (1)
27		2-Chloro-N-[1-(7-chloro-quinolin-4-yl)-piperidin-4-yl]-4-nitro-benzamide	445.304	445	0.86 (1)
28		N-[1-(7-Chloro-quinolin-4-yl)-piperidin-4-yl]-2,4,6-trifluoro-benzamide	419.833	420	0.83 (1)
29		3-Chloro-thiophene-2-carboxylic acid [1-(7-chloro-quinolin-4-yl)-piperidin-4-yl]-amide	406.335	406	0.87 (1)
30		2,5-Dichloro-N-[1-(7-chloro-quinolin-4-yl)-piperidin-4-yl]-nicotinamide	435.739	435	0.83 (1)

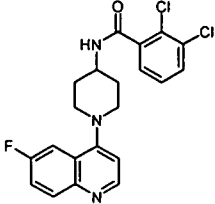
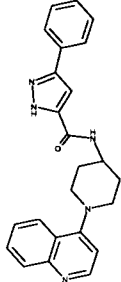
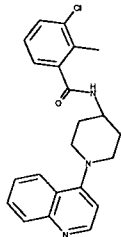
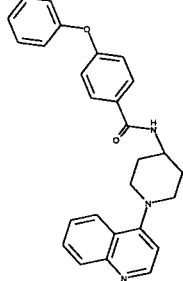
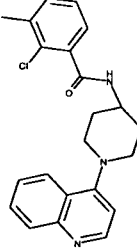
Example	Structure	Name	MW calc.	MW	RT (min., method)
31		2,5-Dichloro-thiophene-3-carboxylic acid [1-(7-chloro-quinolin-4-yl)-piperidin-4-yl]-amide	440.78	440	0.95 (1)
32		Acetic acid 3-[1-(7-chloro-quinolin-4-yl)-piperidin-4-yl]carbamoyl]-phenyl ester	423.899	424	2.24 (3)
33		2,3-Dichloro-N-(1-quinolin-4-yl-piperidin-4-yl)-benzamide	400.307	400	15.23 (8)
34		2,3-Dichloro-N-[1-(7-trifluoromethyl-quinolin-4-yl)-piperidin-4-yl]-benzamide	468.305	468	17.33 (8)
35		2,3-Dichloro-N-[1-(8-chloro-quinolin-4-yl)-piperidin-4-yl]-benzamide	434.752	435	16.04 (8)
36		N-[1-(7-Bromo-quinolin-4-yl)-piperidin-4-yl]-2,3-dichloro-benzamide	479.203	480	17.16 (8)
37		2,3-Dichloro-N-[1-(7-chloro-quinazolin-4-yl)-piperidin-4-yl]-benzamide	435.739	436	0.95 (1)

Example	Structure	Name	MW calc.	MW	RT (min., method)
38		2,3-Dichloro-N-[1-(7-fluoro-quinolin-4-yl)-piperidin-4-yl]-benzamide	418.297	418	12.54 (9)
39		2,3-Dichloro-N-[1-(7-methoxy-quinolin-4-yl)-piperidin-4-yl]-benzamide	430.333	430	12.18 (9)
40		2,3-Dichloro-N-[1-(7-cyano-quinolin-4-yl)-piperidin-4-yl]-benzamide	425.317	425	3.34 (4)
41		2,3-Dichloro-N-[1-(7-thiophen-2-yl-quinolin-4-yl)-piperidin-4-yl]-benzamide	482.433	482	5.14 (4)
42		2,3-Dichloro-N-[1-(7-phenyl-quinolin-4-yl)-piperidin-4-yl]-benzamide	476.405	476	4.55 (10)
43		N-[1-(8-Bromo-quinolin-4-yl)-piperidin-4-yl]-2,3-dichloro-benzamide	479.203	480	13.49 (11)
44		2,3-Dichloro-N-[1-(8-fluoro-quinolin-4-yl)-piperidin-4-yl]-benzamide	418.297	418	12.15 (11)

Example	Structure	Name	MW calc.	MW	RT (min., method)
45		2,3-Dichloro-N-[1-(6-trifluoromethyl-quinolin-4-yl)-piperidin-4-yl]-benzamide	468.305	468	14.41 (11)
46		2,3-Dichloro-N-[1-(6-chloro-quinolin-4-yl)-piperidin-4-yl]-benzamide	434.752	434	14.05 (11)
47		2,3-Dichloro-N-(1-isoquinolin-1-yl)-piperidin-4-yl)-benzamide	400.307	400	5.42 (5)
48		2,3-Dichloro-N-[1-(8-trifluoromethyl-quinolin-4-yl)-piperidin-4-yl]-benzamide	468.305	468	9.47 (6)
49		N-[1-(5,7-Bis-trifluoromethyl-quinolin-4-yl)-piperidin-4-yl]-2,3-dichloro-benzamide	536.303	536	7.07 (7)
50		2,3-Dichloro-N-[1-(6,8-difluoro-quinolin-4-yl)-piperidin-4-yl]-benzamide	436.288	436	6.41 (6)
51		2,3-Dichloro-N-[1-(7-morpholin-4-yl)-quinolin-4-yl]-piperidin-4-yl]-benzamide	485.412	485	20.11 (4)

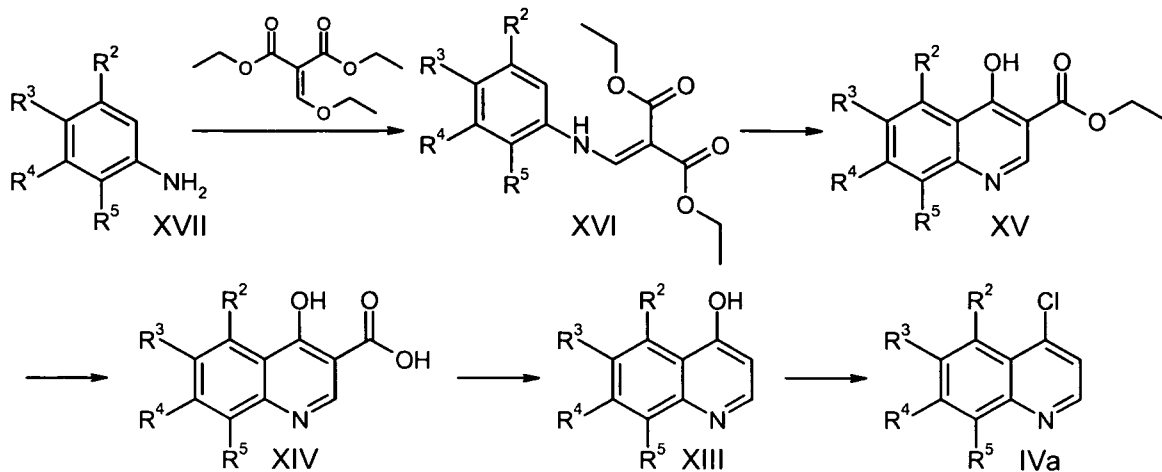
Example	Structure	Name	MW calc.	MW	RT (min., method)
52		2,3-Dichloro-N-[1-(7-phenylamino-quinolin-4-yl)-piperidin-4-yl]-benzamide	491.420	491	23.20 (4)
53		2,3-Dichloro-N-[1-(7-phenylethynyl-quinolin-4-yl)-piperidin-4-yl]-benzamide	500.427	500	8.12 (7)
54		1H-Indole-3-carboxylic acid (1-quinolin-4-yl-piperidin-4-yl)-amide	370.454	371	5.72 (12)
55		3-Bromo-thiophene-2-carboxylic acid (1-quinolin-4-yl-piperidin-4-yl)-amide	416.341	417	6.24 (12)
56		2-Fluoro-6-iodo-N-(1-quinolin-4-yl-piperidin-4-yl)-benzamide	475.299	476	6.05 (12)

Example	Structure	Name	MW calc.	MW	RT (min., method)
57		6-Methoxy-2-(2,3,4-trimethoxy-phenyl)-quinoline-4-carboxylic acid (1-quinolin-4-yl-piperidin-4-yl)-amide	578.666	580	5.87 (12)
58		1-Methyl-1H-indole-3-carboxylic acid (1-quinolin-4-yl-piperidin-4-yl)-amide	384.481	385	6.2 (12)
59		4-Pyrrol-1-yl-N-(1-quinolin-4-yl-piperidin-4-yl)-benzamide	396.492	397	6.73 (12)
60		3-{4-[4-(2,3-Dichloro-benzoylamino)-piperidin-1-yl]-quinolin-7-yl}-benzoic acid methyl ester	534.441	534	15.04 (11)
61		4-{4-[4-(2,3-Dichloro-benzoylamino)-piperidin-1-yl]-quinolin-7-yl}-benzoic acid methyl ester	534.441	534	15.14 (11)

Example	Structure	Name	MW calc.	MW	RT (min., method)
62		2,3-Dichloro-N-[1-(6-fluoro-quinolin-4-yl)-piperidin-4-yl]-benzamide	418.297	418	4.09 (13)
63		5-Phenyl-2H-pyrazole-3-carboxylic acid (1-quinolin-4-yl-piperidin-4-yl)-amide	397.480	398	6.17 (12)
64		3-Chloro-2-methyl-N-(1-quinolin-4-yl-piperidin-4-yl)-benzamide	379.889	381	6.43 (12)
65		4-Phenoxy-N-(1-quinolin-4-yl-piperidin-4-yl)-benzamide	423.514	425	7.03 (12)
66		2-Chloro-3-methyl-N-(1-quinolin-4-yl-piperidin-4-yl)-benzamide	379.889	381	6.19 (12)

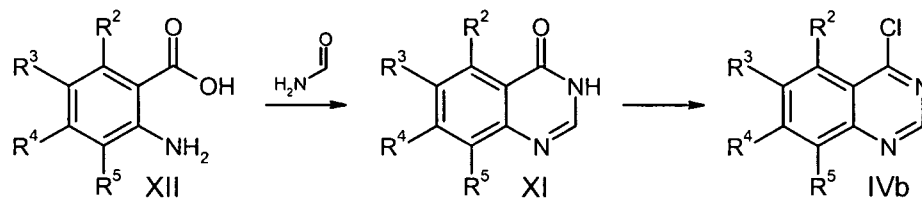
Synthesis schemes

Scheme 1



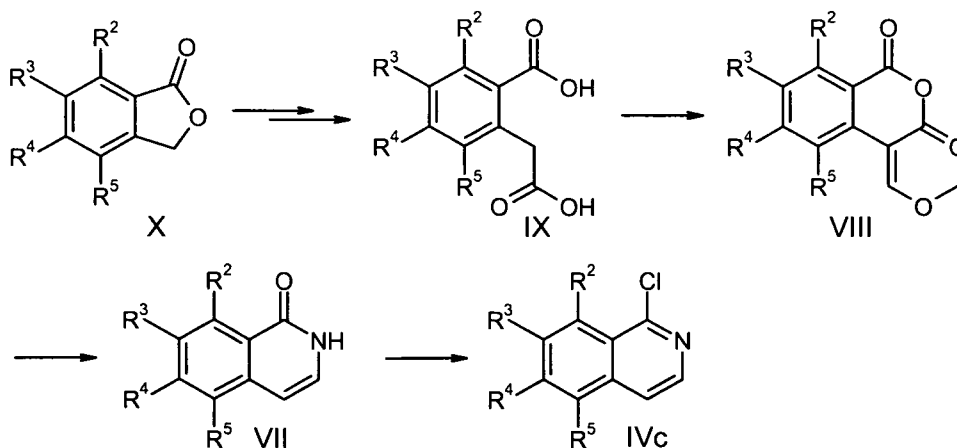
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Scheme 2

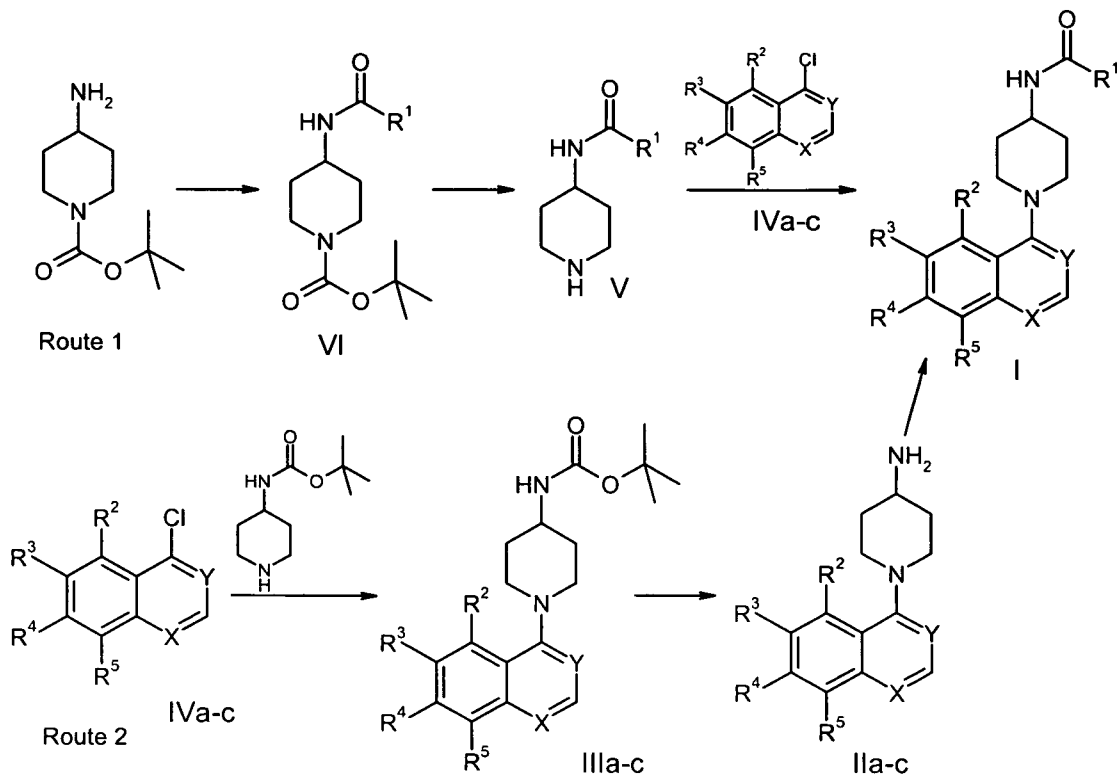


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Scheme 3



Scheme 4



Biological examples:**1. Detection of the antagonism of the human prostaglandin E₂ (subtype EP₂) receptor signal**

5

1.1 Principle of detection

The binding of PGE₂ to the EP₂ subtype of the human PGE₂ receptor induces activation of membrane-associated adenylate cyclases and leads to the formation of cAMP. In the presence of the phosphodiesterase inhibitor IBMX, cAMP which has accumulated due to this stimulation and been released by cell lysis is employed in a competitive detection method. In this assay, the cAMP in the lysate competes with cAMP-XL665 for binding of an Eu cryptate-labeled anti-cAMP antibody.

15 This results, in the absence of cellular cAMP, in a maximum signal which derives from coupling of this antibody to the cAMP-XL665 molecule. After excitation at 337 nm, this results in a FRET (fluorescence resonance energy transfer)-based, long-lived emission signal at 665 nm (and at 620 nm). The two signals are measured in a suitable measuring instrument with a time lag, i.e. after the background fluorescence has declined. Any increase in the low FRET signal caused by prostaglandin E₂ addition (measured as well ratio change = $\text{emission}_{665 \text{ nm}}/\text{emission}_{620 \text{ nm}} * 10\,000$) shows the effect of antagonists.

25

1.2. Detection method**1.2.1 Antagonism assay (data for each well of a 384-well plate):**

The substance solutions (0.75 µl) containing 30% DMSO are introduced into an assay plate and dissolved in 16 µl of a KRSB+IBMX stimulation solution (1 X Krebs-Ringer Bicarbonate Buffer; Sigma-Aldrich # K-4002; including 750 µM 3-isobutyl-1-methylxanthine Sigma-Aldrich # I-7018), and then 15 µl thereof are transferred into a media-free cell culture plate which has been washed with KRSB shortly beforehand.

After preincubation at room temperature (RT) for 30 minutes, 5 μ l of a 4 x PGE₂ solution (11 nM) are added, and incubation is carried out in the presence of the agonist at RT for a further 60 min (volume: ~20 μ l) before the reaction is then stopped by adding 5 μ l of lysis buffer and incubated at RT for a further 20 min
5 (volume: ~25 μ l). The cell lysate is then transferred into a measuring plate and measured in accordance with the manufacturer's information (cyclic AMP kit Cisbio International # 62AMPPEC).

1.2.2 Agonism assay (data for each well of a 384-well plate):

10

The substance solutions (0.75 μ l) containing 30% DMSO are introduced into an assay plate and dissolved in 16 μ l of a KRSB+IBMX stimulation solution (1 X Krebs-Ringer Bicarbonate Buffer; Sigma-Aldrich # K-4002; including 750 μ M 3-isobutyl-1-methylxanthine Sigma-Aldrich # I-7018), and then 15 μ l thereof are
15 transferred into a media-free cell culture plate which has been washed with KRSB shortly beforehand.

After incubation at room temperature (RT; volume: ~15 μ l) for 60 minutes, the reaction is then stopped by adding 5 μ l of lysis buffer and incubated at RT for a further 20 min (volume: ~20 μ l). The cell lysate is then transferred into a
20 measuring plate and measured in accordance with the manufacturer's information (cyclic AMP kit Cisbio International # 62AMPPEC).

2. The EP₂ subtype of the PGE₂ receptor and the preovulatory cumulus expansion

25

2.1. Background:

In the preovulatory antral follicle, the oocyte is surrounded by cumulus cells which form a dense ring of cells around the oocyte. After the LH peak (lutening hormone), a series of processes is activated and leads to a large morphological
30 change in this ring of cells composed of cumulus cells. In this case, the cumulus cells form an extracellular matrix which leads to so-called cumulus expansion (Vanderhyden *et al.* Dev Biol. 1990 Aug;140(2):307-317). This cumulus

expansion is an important component of the ovulatory process and of the subsequent possibility of fertilization.

Prostaglandins, and here prostaglandin E₂, whose synthesis is induced by the LH peak, are of crucial importance in cumulus expansion. Prostanoid EP₂

- 5 knockout mice (Hizaki *et al.* Proc Natl Acad Sci U S A. 1999 Aug 31;96(18):10501-6.) show a markedly reduced cumulus expansion and severe subfertility, demonstrating the importance of the prostanoid EP₂ receptor for this process.

10 2.2 Cumulus expansion assay in vitro

- Folliculogenesis is induced in immature female mice (strain: CD1 (ICR) from Charles River) at an age of 14-18 days by a single dose (intraperitoneally) of 10 I.U. of PMSG (Pregnant Mare Serum Gonadotropin; Sigma G-4877, Batch 15 68H0909). 47-50 hours after the injection, the ovaries are removed and the cumulus-oocyte complexes are removed. The cumulus complex is not yet expanded at this stage.

The cumulus-oocyte complexes are then incubated with prostaglandin E₂ (PGE₂) (1 μM), vehicle control (ethanol) or test substances for 20-24 hours.

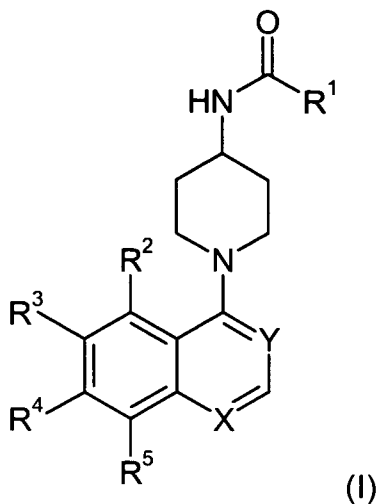
- 20 Medium: alpha-MEM medium with 0.1 mM IBMX, pyruvates (0.23 mM), glutamines (2 mM), pen/strep (100 IU/ml pen. and 100 μg/ml strep.) and HSA (8 mg/ml). Cumulus expansion is then established through the division into four stages (according to Vanderhyden *et al.* Dev Biol. 1990 Aug;140(2):307-317).

- 25 **Table 1:** Examples of the biological efficacy of the inventive compounds (measured by means of cAMP antagonism assay):

Substance according to example	Agonism [ED ₅₀ , μM]	Antagonism [IC ₅₀ , μM]
10	-	1.3
4	>19	1.0
1	>19	0.6
26	>19	2
25	>19	0.2
20	>19	1.6
40	>19	0.9
37	>19	0.6
35	>19	0.1
34	>19	0.2
36	>19	0.1

Claims

1. A compound of the general formula I



5 where

X, Y are each independently a nitrogen radical or a CH group,
with the prerequisite that at least one of the X and Y groups
is a nitrogen radical,

10

R¹ is a 5-12-membered mono- or bicyclic aryl or heteroaryl ring which
is unsubstituted or optionally mono- or polysubstituted,

15

R²-R⁵ are each independently hydrogen, halogen, cyano,
or an OR⁶, OC(O)R⁶, S(O)_nR⁶ where n = 0, 1, 2, SO₂NHR⁶,
SO₂NHC(O)R⁶, NR⁶R⁷, NHC(O)R⁶, CH₂NR⁶R⁷, CH₂NHC(O)R⁶,
C(OH)R⁶R⁷, C(O)R⁶, CO₂R⁶, C(O)NR⁶R⁷ group,
a C₁-C₆-alkyl group which may be unsubstituted or optionally
substituted,

20

a C₃-C₁₀-cycloalkyl ring which may be unsubstituted or optionally
substituted,

a C₂-C₆-alkenyl or C₂-C₆-alkynyl group which may be unsubstituted
or optionally substituted,

25

a 5-12-membered mono- or bicyclic aryl or heteroaryl ring which
may be unsubstituted or optionally substituted,

- 5 R^6, R^7 are each independently hydrogen, C_1 - C_6 -alkyl, C_3 - C_{10} -cycloalkyl, a 5-12-membered mono- or bicyclic aryl or heteroaryl ring, where the alkyl, cycloalkyl and (hetero)aryl groups may be unsubstituted or optionally substituted, or
- R^6, R^7 together form a 3-8-membered ring, and the isomers, salts and the cyclodextrin clathrates thereof.
- 10 2. A compound as claimed in claim 1, where
- X is a nitrogen radical,
- Y is a CH group,
- 15 R^1 is a 5-12-membered mono- or bicyclic aryl or heteroaryl ring which is unsubstituted or optionally mono- or polysubstituted,
- R^2 is hydrogen, fluorine, chlorine, a trifluoromethyl group,
- 20 R^3 - R^5 are each independently hydrogen, halogen, cyano, or an OR^6 , $OC(O)R^6$, $S(O)_nR^6$ where $n = 0, 1, 2$, SO_2NHR^6 , $SO_2NHC(O)R^6$, NR^6R^7 , $NHC(O)R^6$, $CH_2NR^6R^7$, $CH_2NHC(O)R^6$, $C(OH)R^6R^7$, $C(O)R^6$, CO_2R^6 , $C(O)NR^6R^7$ group,
- 25 a C_1 - C_6 -alkyl group which may be unsubstituted or substituted, a C_3 - C_{10} -cycloalkyl ring which may be unsubstituted or substituted,
- a C_2 - C_6 -alkenyl or C_2 - C_6 -alkynyl group which may be unsubstituted or substituted,
- 30 a 5-12-membered mono- or bicyclic aryl or heteroaryl ring which may be unsubstituted or substituted,
- R^6, R^7 are each independently hydrogen, C_1 - C_6 -alkyl, C_3 - C_{10} -cycloalkyl, a 5-12-membered mono- or bicyclic aryl or heteroaryl ring, where the

alkyl, cycloalkyl, aryl and (hetero)aryl groups may be unsubstituted or optionally substituted, or

R^6, R^7 together form a 3-8-membered ring.

5

3. A compound as claimed in claim 1, where

X and Y are each a nitrogen radical,

10 R^1 is a 5-12-membered mono- or bicyclic aryl or heteroaryl ring which is unsubstituted or optionally mono- or polysubstituted,

R^2 is hydrogen, fluorine, chlorine, a trifluoromethyl group,

15 R^3-R^5 are each independently hydrogen, halogen, cyano, or an OR^6 , $OC(O)R^6$, $S(O)_nR^6$ where $n = 0, 1, 2$, SO_2NHR^6 , $SO_2NHC(O)R^6$, NR^6R^7 , $NHC(O)R^6$, $CH_2NR^6R^7$, $CH_2NHC(O)R^6$, $C(OH)R^6R^7$, $C(O)R^6$, CO_2R^6 , $C(O)NR^6R^7$ group, a C_1-C_6 -alkyl group which may be unsubstituted or substituted, 20 a C_3-C_{10} -cycloalkyl ring which may be unsubstituted or substituted, a C_2-C_6 -alkenyl or C_2-C_6 -alkynyl group which may be unsubstituted or substituted, a 5-12-membered mono- or bicyclic aryl or heteroaryl ring which may be unsubstituted or substituted,

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R^6, R^7 are each independently hydrogen, C_1-C_6 -alkyl, C_3-C_{10} -cycloalkyl, a 5-12-membered mono- or bicyclic aryl or heteroaryl ring, where the alkyl, cycloalkyl, aryl and (hetero)aryl groups may be unsubstituted or optionally substituted, or

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R^6, R^7 together form a 3-8-membered ring.

4. A compound as claimed in claim 1, where

- X is a CH group,
- Y is a nitrogen radical,
- 5 R¹ is a 5-12-membered mono- or bicyclic aryl or heteroaryl ring which may be unsubstituted or optionally mono- or polysubstituted,
- R² is hydrogen, fluorine, chlorine, a trifluoromethyl group,
- 10 R³-R⁵ are each independently hydrogen, halogen, cyano, or an OR⁶, OC(O)R⁶, S(O)_nR⁶ where n = 0, 1, 2, SO₂NHR⁶, SO₂NHC(O)R⁶, NR⁶R⁷, NHC(O)R⁶, CH₂NR⁶R⁷, CH₂NHC(O)R⁶, C(OH)R⁶R⁷, C(O)R⁶, CO₂R⁶, C(O)NR⁶R⁷ group,
- 15 a C₁-C₆-alkyl group which may be unsubstituted or substituted, a C₃-C₁₀-cycloalkyl ring which may be unsubstituted or substituted, a C₂-C₆-alkenyl or C₂-C₆-alkynyl group which may be unsubstituted or substituted,
- 20 a 5-12-membered mono- or bicyclic aryl or heteroaryl ring which may be unsubstituted or substituted,
- R⁶, R⁷ are each independently hydrogen, C₁-C₆-alkyl, C₃-C₁₀-cycloalkyl, a 5-12-membered mono- or bicyclic aryl or heteroaryl ring, where the alkyl, cycloalkyl, aryl and (hetero)aryl groups may be unsubstituted
- 25 or optionally substituted, or
- R⁶, R⁷ together form a 3-8-membered ring.

5. A compound as claimed in claims 1 and 2, where

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X is a nitrogen radical,

Y is a CH group,

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R¹ is a 5-12-membered mono- or bicyclic aryl or heteroaryl ring which may be unsubstituted or optionally mono- to trisubstituted, where the ring is a phenyl, thiophenyl, furanyl, pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, imidazolyl, pyridyl, pyrazolyl, pyrimidinyl, triazolyl, pyrazinyl or pyridazinyl, tetrazolyl, naphthyl, indolyl, benzofuranyl, benzothiophenyl, 1,3-benzodioxolyl, benzimidazolyl, quinolinyl, isoquinolinyl, quinazolinyl, phthalazinyl, quinoxaliny, cinnolinyl radical,

R² is hydrogen, fluorine, chlorine, a trifluoromethyl group,

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R³-R⁵ are each independently hydrogen, halogen, cyano, or an OR⁶, OC(O)R⁶, S(O)_nR⁶ where n = 0, 1, 2, SO₂NHR⁶, SO₂NHC(O)R⁶, NR⁶R⁷, NHC(O)R⁶, CH₂NR⁶R⁷, CH₂NHC(O)R⁶, C(OH)R⁶R⁷, C(O)R⁶, CO₂R⁶, C(O)NR⁶R⁷ group, a C₁-C₆-alkyl group which may be unsubstituted or substituted, a C₃-C₁₀-cycloalkyl ring which may be unsubstituted or substituted, a C₂-C₆-alkenyl or C₂-C₆-alkynyl group which may be unsubstituted or substituted, a 5-12-membered mono- or bicyclic aryl or heteroaryl ring which may be unsubstituted or substituted,

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R⁶, R⁷ are each independently hydrogen, C₁-C₆-alkyl, C₃-C₁₀-cycloalkyl, a 5-12-membered mono- or bicyclic aryl or heteroaryl ring, where the alkyl, cycloalkyl, aryl and (hetero)aryl groups may be unsubstituted or optionally substituted, or

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R⁶, R⁷ together form a 3-8-membered ring.

6. A compound according to claims 1 and 3, where

X and Y are each a nitrogen radical,

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- R¹ is a 5-12-membered mono- or bicyclic aryl or heteroaryl ring which may optionally be unsubstituted or mono- to trisubstituted, where the ring is a phenyl, thiophenyl, furanyl, pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, imidazolyl, pyridyl, pyrazolyl, pyrimidinyl, triazolyl, pyrazinyl or pyridazinyl or tetrazolyl, naphthyl, indolyl, benzofuranyl, benzothiophenyl, 1,3-benzodioxolyl, benzimidazolyl, quinolinyl, isoquinolinyl, quinazolinyl, phthalazinyl, quinoxalinyl, cinnolinyl radical,
- R² is hydrogen, fluorine, chlorine, a trifluoromethyl group,
- R³-R⁵ are each independently hydrogen, halogen, cyano, or an OR⁶, OC(O)R⁶, S(O)_nR⁶ where n = 0, 1, 2, SO₂NHR⁶, SO₂NHC(O)R⁶, NR⁶R⁷, NHC(O)R⁶, CH₂NR⁶R⁷, CH₂NHC(O)R⁶, C(OH)R⁶R⁷, C(O)R⁶, CO₂R⁶, C(O)NR⁶R⁷ group, a C₁-C₆-alkyl group which may be unsubstituted or substituted, a C₃-C₁₀-cycloalkyl ring which may be unsubstituted or substituted, a C₂-C₆-alkenyl or C₂-C₆-alkynyl group which may be unsubstituted or substituted,
- a 5-12-membered mono- or bicyclic aryl or heteroaryl ring which may be unsubstituted or substituted,
- R⁶, R⁷ are each independently hydrogen, C₁-C₆-alkyl, C₃-C₁₀-cycloalkyl, a 5-12-membered mono- or bicyclic aryl or heteroaryl ring, where the alkyl, cycloalkyl, aryl and (hetero)aryl groups may be unsubstituted or optionally substituted, or
- R⁶, R⁷ together form a 3-8-membered ring.
7. A compound as claimed in claims 1 and 4, where
- X is a CH group,

- Y is a nitrogen radical,
- R¹ is a 5-12-membered mono- or bicyclic aryl or heteroaryl ring which
 5 may be unsubstituted or optionally mono- to trisubstituted,
 where the ring is a phenyl, thiophenyl, furanyl, pyrrolyl, oxazolyl,
 isoxazolyl, thiazolyl, imidazolyl, pyridyl, pyrazolyl, pyrimidinyl,
 triazolyl, pyrazinyl, pyridazinyl or tetrazolyl, naphthyl, indolyl,
 benzofuranyl, benzothiophenyl, 1,3-benzodioxolyl, benzimidazolyl,
 10 quinolinyl, isoquinolinyl, quinazolinyl, phthalazinyl, quinoxalinyl,
 cinnolinyl radical,
- R² is hydrogen, fluorine, chlorine, a trifluoromethyl group,
- 15 R³-R⁵ are each independently hydrogen, halogen, cyano,
 or an OR⁶, OC(O)R⁶, S(O)_nR⁶ where n = 0, 1, 2, SO₂NHR⁶,
 SO₂NHC(O)R⁶, NR⁶R⁷, NHC(O)R⁶, CH₂NR⁶R⁷, CH₂NHC(O)R⁶,
 C(OH)R⁶R⁷, C(O)R⁶, CO₂R⁶, C(O)NR⁶R⁷ group,
 a C₁-C₆-alkyl group which may be unsubstituted or substituted,
 20 a C₃-C₁₀-cycloalkyl ring which may be unsubstituted or substituted,
 a C₂-C₆-alkenyl or C₂-C₆-alkynyl group which may be unsubstituted
 or substituted,
 a 5-12-membered mono- or bicyclic aryl or heteroaryl ring which
 may be unsubstituted or substituted,
- 25 R⁶, R⁷ are each independently hydrogen, C₁-C₆-alkyl, C₃-C₁₀-cycloalkyl, a
 5-12-membered mono- or bicyclic aryl or heteroaryl ring, where the
 alkyl, cycloalkyl, aryl and (hetero)aryl groups may be unsubstituted
 or optionally substituted, or
- 30 R⁶, R⁷ together form a 3-8-membered ring.

8. A compound as claimed in claims 1, 2 and 5, where

- X is a nitrogen radical,
- Y is a CH group,
- 5 R¹ is a 5-12-membered mono- or bicyclic aryl or heteroaryl ring which may be unsubstituted or optionally mono- to trisubstituted, where the ring is a phenyl, thiophenyl, furanyl, pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, imidazolyl, pyridyl, pyrazolyl, pyrimidinyl, 10 triazolyl, pyrazinyl or pyridazinyl, tetrazolyl, naphthyl, indolyl, benzofuranyl, benzothiophenyl, 1,3-benzodioxolyl, benzimidazolyl, quinoliny, isoquinoliny, quinazoliny, phthalazinyl, quinoxaliny, cinnoliny radical,
- 15 R² is hydrogen, fluorine, chlorine, a trifluoromethyl group,
- R³-R⁵ are each independently hydrogen, halogen, cyano, or an OR⁶, OC(O)R⁶, S(O)_nR⁶ where n = 0, 1, 2, SO₂NHR⁶, SO₂NHC(O)R⁶, NR⁶R⁷, NHC(O)R⁶, CH₂NR⁶R⁷, CH₂NHC(O)R⁶, 20 C(OH)R⁶R⁷, C(O)R⁶, CO₂R⁶, C(O)NR⁶R⁷ group, a C₁-C₆-alkyl group which may be unsubstituted or substituted, a C₃-C₁₀-cycloalkyl ring which may be unsubstituted or substituted, a C₂-C₆-alkenyl group which may be unsubstituted or substituted, a C₂-C₆-alkynyl group, which may be unsubstituted or substituted, 25 a 5-12-membered mono- or bicyclic aryl or heteroaryl ring which is unsubstituted or optionally mono- or polysubstituted, where the substituents may be selected from the group of
- halogen,
 - C₁-C₄-alkyl which may be unsubstituted or optionally substituted,
 - -OR⁹, -OC(O)R⁹, -S(O)_nR⁹ where n = 0, 1, 2, -SO₂NHR⁹, -SO₂NHC(O)R⁹, NR⁹R¹⁰, -NHC(O)R⁹, -CN, -CO₂-R⁹, -C(O)-N-R⁹R¹⁰, -C(O)R⁹, -C(OH)R⁹R¹⁰,
- 30

where the 5-12-membered mono- or bicyclic aryl or heteroaryl ring may, for example, but not exclusively, be a quinolinyl, isoquinolinyl, phthalazinyl, quinazolinyl, quinoxaliny, cinnolinyl, benzothiophenyl, 1,3-benzodioxolyl, 2,1,3-benzothiadiazolyl, phenyl, pyridinyl, 5 pyrimidinyl, furanyl, thiophenyl, oxazolyl, isoxazolyl, thiazolyl, pyrrolyl, pyrazolyl, imidazolyl, pyrazinyl, pyridazinyl, triazolyl, tetrazolyl, naphthyl, indolyl, benzofuranyl or benzimidazolyl group,

R^6, R^7 are each independently hydrogen, 10 a C_1 - C_6 -alkyl group which may be unsubstituted or optionally up to pentahalogenated, a C_3 - C_{10} -cycloalkyl radical, a 5-12-membered mono- or bicyclic aryl or heteroaryl ring which is unsubstituted or optionally mono- or polysubstituted,

15 where the substituents may be selected from the group of

- halogen,
- cyano,
- R^9 , $-OR^9$, $-OC(O)R^9$, $-S(O)_nR^9$ where $n = 0, 1, 2$,
20 $-SO_2NHR^9$, NR^9R^{10} , $-NHC(O)R^9$, $-CO_2-R^9$, $-C(O)-N-R^9R^{10}$,

where the 5-12-membered mono- or bicyclic aryl or heteroaryl ring may, for example, but not exclusively, be a quinolinyl, isoquinolinyl, phthalazinyl, quinazolinyl, quinoxaliny, cinnolinyl, benzothiophenyl, 1,3-benzodioxolyl, 2,1,3-benzothiadiazolyl, phenyl, pyridinyl, 25 pyrimidinyl, furanyl, thiophenyl, oxazolyl, isoxazolyl, thiazolyl, pyrrolyl, pyrazolyl, imidazolyl, pyrazinyl, pyridazinyl, triazolyl, tetrazolyl, naphthyl, indolyl, benzofuranyl or benzimidazolyl group, or

30 R^6, R^7 together form a 3-8-membered ring,

R^9, R^{10} are each independently hydrogen,

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- a C₁-C₄-alkyl group which may be unsubstituted or optionally up to pentafluorinated,
 - a C₂-C₄-alkenyl group which may be unsubstituted or optionally up to trifluorinated,
 - a C₂-C₄-alkynyl group which may be unsubstituted or optionally monofluorinated,
 - a C₃-C₆-cycloalkyl group,
 - a 5-6-membered aryl or heteroaryl ring which may, for example, but not exclusively, be a phenyl, pyridinyl, pyrimidinyl, furanyl, thiophenyl, oxazolyl, isoxazolyl, thiazolyl, pyrrolyl, pyrazolyl, imidazolyl, pyrazinyl, pyridazinyl, triazolyl, tetrazolyl ring, which may be unsubstituted or optionally up to disubstituted by fluorine, chlorine, trifluoromethyl, or
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R⁹, R¹⁰ together form a 3-8-membered ring.

9. A compound as claimed in claims 1, 3 and 6, where

20 X and Y are each a nitrogen radical,

R¹ is a 5-12-membered mono- or bicyclic aryl or heteroaryl ring which may be unsubstituted or optionally mono- to trisubstituted, where the ring is a phenyl, thiophenyl, furanyl, pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, imidazolyl, pyridyl, pyrazolyl, pyrimidinyl, triazolyl, pyrazinyl or pyridazinyl, tetrazolyl, naphthyl, indolyl, benzofuranyl, benzothiophenyl, 1,3-benzodioxolyl, benzimidazolyl, quinolinyl, isoquinolinyl, quinazolinyl, phthalazinyl, quinoxalinyl, cinnolinyl radical,

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R² is hydrogen, fluorine, chlorine, a trifluoromethyl group,

R³-R⁵ are each independently hydrogen, halogen, cyano,

or an OR^6 , OC(O)R^6 , $\text{S(O)}_n\text{R}^6$ where $n = 0, 1, 2$, SO_2NHR^6 ,
 $\text{SO}_2\text{NHC(O)R}^6$, NR^6R^7 , NHC(O)R^6 , $\text{CH}_2\text{NR}^6\text{R}^7$, $\text{CH}_2\text{NHC(O)R}^6$,
 $\text{C(OH)R}^6\text{R}^7$, C(O)R^6 , CO_2R^6 , $\text{C(O)NR}^6\text{R}^7$ group,

5 a C_1 - C_6 -alkyl group which may be unsubstituted or substituted,
 a C_3 - C_{10} -cycloalkyl ring which may be unsubstituted or substituted,
 a C_2 - C_6 -alkenyl group which may be unsubstituted or substituted,
 a C_2 - C_6 -alkynyl group, which may be unsubstituted or substituted,
 a 5-12-membered mono- or bicyclic aryl or heteroaryl ring which is
 unsubstituted or optionally mono- or polysubstituted,

10 where the substituents may be selected from the group of

- halogen,
- C_1 - C_4 -alkyl which may be unsubstituted or optionally substituted,
- OR^9 , OC(O)R^9 , $\text{S(O)}_n\text{R}^9$ where $n = 0, 1, 2$,
- 15 - SO_2NHR^9 , $\text{SO}_2\text{NHC(O)R}^9$, NR^9R^{10} , NHC(O)R^9 ,
- CN , CO_2R^9 , $\text{C(O)-N-R}^9\text{R}^{10}$, C(O)R^9 , $\text{C(OH)R}^9\text{R}^{10}$,

where the 5-12-membered mono- or bicyclic aryl or heteroaryl ring
 may, for example, but not exclusively, be a quinolinyl, isoquinolinyl,
 phthalazinyl, quinazolinyl, quinoxalinyl, cinnolinyl, benzothiophenyl,
 20 1,3-benzodioxolyl, 2,1,3-benzothiadiazolyl, phenyl, pyridinyl,
 pyrimidinyl, furanyl, thiophenyl, oxazolyl, isoxazolyl, thiazolyl,
 pyrrolyl, pyrazolyl, imidazolyl, pyrazinyl, pyridazinyl, triazolyl,
 tetrazolyl, naphthyl, indolyl, benzofuranyl or benzimidazolyl group,

25 R^6 , R^7 are each independently hydrogen,
 a C_1 - C_6 -alkyl group which may be unsubstituted or optionally up to
 pentahalogenated,
 a C_3 - C_{10} -cycloalkyl radical,
 a 5-12-membered mono- or bicyclic aryl or heteroaryl ring which is
 30 unsubstituted or optionally mono- or polysubstituted,

where the substituents may be selected from the group of

- halogen,
- cyano,

- R^9 , $-OR^9$, $-OC(O)R^9$, $-S(O)_nR^9$ where $n = 0, 1, 2$,
 $-SO_2NHR^9$, NR^9R^{10} , $-NHC(O)R^9$, $-CO_2-R^9$, $-C(O)-N-$
 R^9R^{10} ,

5 where the 5-12-membered mono- or bicyclic aryl or heteroaryl ring
 may, for example, but not exclusively, be a quinolinyl, isoquinolinyl,
 phthalazinyl, quinazoliny, quinoxaliny, cinnolinyl, benzothiophenyl,
 1,3-benzodioxolyl, 2,1,3-benzothiadiazolyl, phenyl, pyridinyl,
 pyrimidinyl, furanyl, thiophenyl, oxazolyl, isoxazolyl, thiazolyl,
 pyrrolyl, pyrazolyl, imidazolyl, pyrazinyl, pyridazinyl, triazolyl,
 10 tetrazolyl, naphthyl, indolyl, benzofuranyl or benzimidazolyl group,
 or

R^6, R^7 together form a 3-8-membered ring,

15 R^9, R^{10} are each independently hydrogen,

- a C_1 - C_4 -alkyl group which may be unsubstituted or
 optionally up to pentafluorinated,
- a C_2 - C_4 -alkenyl group which may be unsubstituted or
 optionally up to trifluorinated,
- 20 - a C_2 - C_4 -alkynyl group which may be unsubstituted or
 optionally monofluorinated,
- a C_3 - C_6 -cycloalkyl group,
- a 5-6-membered aryl or heteroaryl ring which may,
 for example, but not exclusively, be a phenyl,
 25 pyridinyl, pyrimidinyl, furanyl, thiophenyl, oxazolyl,
 isoxazolyl, thiazolyl, pyrrolyl, pyrazolyl, imidazolyl,
 pyrazinyl, pyridazinyl, triazolyl, tetrazolyl ring, which
 may be unsubstituted or optionally up to disubstituted
 by fluorine, chlorine, trifluoromethyl, or

30 R^9, R^{10} together form a 3-8-membered ring.

10. A compound according to claims 1, 4 and 7, where

- X is a CH group,
- Y is a nitrogen radical,
- 5 R¹ is a 5-12-membered mono- or bicyclic aryl or heteroaryl ring which may be unsubstituted or optionally mono- to trisubstituted, where the ring is a phenyl, thiophenyl, furanyl, pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, imidazolyl, pyridyl, pyrazolyl, pyrimidinyl, 10 triazolyl, pyrazinyl or pyridazinyl, tetrazolyl, naphthyl, indolyl, benzofuranyl, benzothiophenyl, 1,3-benzodioxolyl, benzimidazolyl, quinolinyl, isoquinolinyl, quinazolinyl, phthalazinyl, quinoxalinyl, cinnolinyl radical,
- 15 R² is hydrogen, fluorine, chlorine, a trifluoromethyl group,
- R³-R⁵ are each independently hydrogen, halogen, cyano, or an OR⁶, OC(O)R⁶, S(O)_nR⁶ where n = 0, 1, 2, SO₂NHR⁶, SO₂NHC(O)R⁶, NR⁶R⁷, NHC(O)R⁶, CH₂NR⁶R⁷, CH₂NHC(O)R⁶, 20 C(OH)R⁶R⁷, C(O)R⁶, CO₂R⁶, C(O)NR⁶R⁷ group, a C₁-C₆-alkyl group which may be unsubstituted or substituted, a C₃-C₁₀-cycloalkyl ring which may be unsubstituted or substituted, a C₂-C₆-alkenyl group which may be unsubstituted or substituted, a C₂-C₆-alkynyl group, which may be unsubstituted or substituted, 25 a 5-12-membered mono- or bicyclic aryl or heteroaryl ring which is unsubstituted or optionally mono- or polysubstituted, where the substituents may be selected from the group of
- halogen,
 - C₁-C₄-alkyl which may be unsubstituted or optionally substituted,
 - -OR⁹, -OC(O)R⁹, -S(O)_nR⁹ where n = 0, 1, 2, -SO₂NHR⁹, -SO₂NHC(O)R⁹, NR⁹R¹⁰, -NHC(O)R⁹, -CN, -CO₂-R⁹, -C(O)-N-R⁹R¹⁰, -C(O)R⁹, -C(OH)R⁹R¹⁰,
- 30

- where the 5-12-membered mono- or bicyclic aryl or heteroaryl ring may, for example, but not exclusively, be a quinolinyl, isoquinolinyl, phthalazinyl, quinazolinyl, quinoxalinyl, cinnolinyl, benzothiophenyl, 1,3-benzodioxolyl, 2,1,3-benzothiadiazolyl, phenyl, pyridinyl, 5 pyrimidinyl, furanyl, thiophenyl, oxazolyl, isoxazolyl, thiazolyl, pyrryl, pyrazolyl, imidazolyl, pyrazinyl, pyridazinyl, triazolyl, tetrazolyl, naphthyl, indolyl, benzofuranyl or benzimidazolyl group,
- R^6, R^7 are each independently hydrogen, 10 a C_1 - C_6 -alkyl group which may be unsubstituted or optionally up to pentahalogenated, a C_3 - C_{10} -cycloalkyl radical, a 5-12-membered mono- or bicyclic aryl or heteroaryl ring which is optionally unsubstituted or mono- or polysubstituted, 15 where the substituents may be selected from the group of
- halogen,
 - cyano,
 - R^9 , $-OR^9$, $-OC(O)R^9$, $-S(O)_nR^9$ where $n = 0, 1, 2$,
20 $-SO_2NHR^9$, NR^9R^{10} , $-NHC(O)R^9$, $-CO_2-R^9$, $-C(O)-N-R^9R^{10}$,
- where the 5-12-membered mono- or bicyclic aryl or heteroaryl ring may, for example, but not exclusively, be a quinolinyl, isoquinolinyl, phthalazinyl, quinazolinyl, quinoxalinyl, cinnolinyl, benzothiophenyl, 1,3-benzodioxolyl, 2,1,3-benzothiadiazolyl, phenyl, pyridinyl, 25 pyrimidinyl, furanyl, thiophenyl, oxazolyl, isoxazolyl, thiazolyl, pyrrol, pyrazolyl, imidazolyl, pyrazinyl, pyridazinyl, triazolyl, tetrazolyl, naphthyl, indolyl, benzofuranyl or benzimidazolyl group, or
- 30 R^6, R^7 together form a 3-8-membered ring,
- R^9, R^{10} are each independently hydrogen,

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- a C₁-C₄-alkyl group which may be unsubstituted or optionally up to pentafluorinated,
 - a C₂-C₄-alkenyl group which may be unsubstituted or optionally up to trifluorinated,
 - a C₂-C₄-alkynyl group which may be unsubstituted or optionally monofluorinated,
 - a C₃-C₆-cycloalkyl group,
 - a 5-6-membered aryl or heteroaryl ring which may, for example, but not exclusively, be a phenyl, pyridinyl, pyrimidinyl, furanyl, thiophenyl, oxazolyl, isoxazolyl, thiazolyl, pyrrolyl, pyrazolyl, imidazolyl, pyrazinyl, pyridazinyl, triazolyl, tetrazolyl ring, which may be unsubstituted or optionally up to disubstituted by fluorine, chlorine, trifluoromethyl, or
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R⁹, R¹⁰ together form a 3-8-membered ring.

11. A compound as claimed in claims 1, 2, 5 and 8, where

20 X is a nitrogen radical,

Y is a CH group,

25 R¹ is a 5-12-membered mono- or bicyclic aryl or heteroaryl ring which may be unsubstituted or optionally mono- to trisubstituted, where the substituents may be selected from the group of halogen, -R⁶, -OR⁶, -OC(O)R⁶, -S(O)_nR⁶ where n = 0, 1, 2, -SO₂NHR⁶, -SO₂NHC(O)R⁶, NR⁶R⁷, -NHC(O)R⁶, -NO₂, -CN, -CO₂-R⁶, -C(O)-N-R⁶R⁷, -C(O)R⁶, -C(OH)R⁶R⁷ and

30 where the ring is a phenyl, thiophenyl, furanyl, pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, imidazolyl, pyridyl, pyrazolyl, pyrimidinyl, triazolyl, pyrazinyl or pyridazinyl, tetrazolyl, naphthyl, indolyl, benzofuranyl, benzothiophenyl, 1,3-benzodioxolyl, benzimidazolyl,

quinolinyl, isoquinolinyl, quinazolinyl, phthalazinyl, quinoxalinyl, cinnolinyl radical,

- 5 R^2 is hydrogen, fluorine, chlorine, a trifluoromethyl group,
- R^3 - R^5 are each independently hydrogen, halogen, cyano, or an OR^6 , $OC(O)R^6$, $S(O)_nR^6$ where $n = 0, 1, 2$, SO_2NHR^6 , $SO_2NHC(O)R^6$, NR^6R^7 , $NHC(O)R^6$, $CH_2NR^6R^7$, $CH_2NHC(O)R^6$, $C(OH)R^6R^7$, $C(O)R^6$, CO_2R^6 , $C(O)NR^6R^7$ group,
- 10 a C_1 - C_6 -alkyl group which may be unsubstituted or substituted, a C_3 - C_{10} -cycloalkyl ring which may be unsubstituted or substituted, a C_2 - C_6 -alkenyl group which may be unsubstituted or substituted, a C_2 - C_6 -alkynyl group, which may be unsubstituted or substituted, a 5-12-membered mono- or bicyclic aryl or heteroaryl ring which is
- 15 unsubstituted or optionally mono- or polysubstituted, where the substituents may be selected from the group of
- halogen,
 - C_1 - C_4 -alkyl which may be unsubstituted or optionally substituted,
 - 20 - $-OR^9$, $-OC(O)R^9$, $-S(O)_nR^9$ where $n = 0, 1, 2$, $-SO_2NHR^9$, $-SO_2NHC(O)R^9$, NR^9R^{10} , $-NHC(O)R^9$, $-CN$, $-CO_2-R^9$, $-C(O)-N-R^9R^{10}$, $-C(O)R^9$, $-C(OH)R^9R^{10}$,
- where the 5-12-membered mono- or bicyclic aryl or heteroaryl ring may, for example, but not exclusively, be a quinolinyl, isoquinolinyl,
- 25 phthalazinyl, quinazolinyl, quinoxalinyl, cinnolinyl, benzothiophenyl, 1,3-benzodioxolyl, 2,1,3-benzothiadiazolyl, phenyl, pyridinyl, pyrimidinyl, furanyl, thiophenyl, oxazolyl, isoxazolyl, thiazolyl, pyrrolyl, pyrazolyl, imidazolyl, pyrazinyl, pyridazinyl, triazolyl, tetrazolyl, naphthyl, indolyl, benzofuranyl or benzimidazolyl group,
- 30 R^6 , R^7 are each independently hydrogen, a C_1 - C_6 -alkyl group which may be unsubstituted or optionally up to pentahalogenated,

a C₃-C₁₀-cycloalkyl radical,
 a 5-12-membered mono- or bicyclic aryl or heteroaryl ring which is
 unsubstituted or optionally mono- or polysubstituted,

where the substituents may be selected from the group of

- 5
- halogen,
 - cyano,
 - R⁹, -OR⁹, -OC(O)R⁹, -S(O)_nR⁹ where n = 0, 1, 2,
 -SO₂NHR⁹, NR⁹R¹⁰, -NHC(O)R⁹, -CO₂-R⁹, -C(O)-N-
 R⁹R¹⁰,

10 where the 5-12-membered mono- or bicyclic aryl or heteroaryl ring
 may, for example, but not exclusively, be a quinoliny, isoquinoliny,
 phthalaziny, quinazoliny, quinoxaliny, cinnoliny, benzothiopheny,
 1,3-benzodioxoly, 2,1,3-benzothiadiazoly, phenyl, pyridiny,
 pyrimidiny, furany, thiopheny, oxazolyl, isoxazolyl, thiazoly,
 15 pyrroly, pyrazoly, imidazolyl, pyraziny, pyridaziny, triazolyl,
 tetrazoly, naphthyl, indoly, benzofurany or benzimidazolyl group,
 or

R⁶, R⁷ together form a 3-8-membered ring,

20

R⁹, R¹⁰ are each independently hydrogen,

25

- a C₁-C₄-alkyl group which may be unsubstituted or
 optionally up to pentafluorinated,
- a C₂-C₄-alkenyl group which may be unsubstituted or
 optionally up to trifluorinated,
- a C₂-C₄-alkynyl group which may be unsubstituted or
 optionally monofluorinated,
- a C₃-C₆-cycloalkyl group,
- a 5-6-membered aryl or heteroaryl ring which may,

30

for example, but not exclusively, be a phenyl,
 pyridiny, pyrimidiny, furany, thiopheny, oxazolyl,
 isoxazolyl, thiazoly, pyrroly, pyrazoly, imidazolyl,
 pyraziny, pyridaziny, triazolyl, tetrazoly ring, which

may be unsubstituted or optionally up to disubstituted by fluorine, chlorine, trifluoromethyl, or

R^9, R^{10} together form a 3-8-membered ring.

5

12. A compound as claimed in claims 1, 3, 6 and 9, where

X and Y are each a nitrogen radical,

10 R^1 is a 5-12-membered mono- or bicyclic aryl or heteroaryl ring which may be unsubstituted or optionally mono- to trisubstituted, where the substituents may be selected from the group of halogen, $-R^6$, $-OR^6$, $-OC(O)R^6$, $-S(O)_nR^6$ where $n = 0, 1, 2$, $-SO_2NHR^6$, $-SO_2NHC(O)R^6$, NR^6R^7 , $-NHC(O)R^6$, $-NO_2$, $-CN$, $-CO_2-R^6$,
 15 $-C(O)-N-R^6R^7$, $-C(O)R^6$, $-C(OH)R^6R^7$ and where the ring is a phenyl, thiophenyl, furanyl, pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, imidazolyl, pyridyl, pyrazolyl, pyrimidinyl, triazolyl, pyrazinyl or pyridazinyl, tetrazolyl, naphthyl, indolyl, benzofuranyl, benzothiophenyl, 1,3-benzodioxolyl, benzimidazolyl,
 20 quinolinyl, isoquinolinyl, quinazolinyl, phthalazinyl, quinoxalinyl, cinnolinyl radical,

R^2 is hydrogen, fluorine, chlorine, a trifluoromethyl group,

25 R^3-R^5 are each independently hydrogen, halogen, cyano, or an OR^6 , $OC(O)R^6$, $S(O)_nR^6$ where $n = 0, 1, 2$, SO_2NHR^6 , $SO_2NHC(O)R^6$, NR^6R^7 , $NHC(O)R^6$, $CH_2NR^6R^7$, $CH_2NHC(O)R^6$, $C(OH)R^6R^7$, $C(O)R^6$, CO_2R^6 , $C(O)NR^6R^7$ group, a C_1-C_6 -alkyl group which may be unsubstituted or substituted,
 30 a C_3-C_{10} -cycloalkyl ring which may be unsubstituted or substituted, a C_2-C_6 -alkenyl group which may be unsubstituted or substituted, a C_2-C_6 -alkynyl group, which may be unsubstituted or substituted,

a 5-12-membered mono- or bicyclic aryl or heteroaryl ring which is unsubstituted or optionally mono- or polysubstituted,

where the substituents may be selected from the group of

- halogen,
- C_1-C_4 -alkyl which may be unsubstituted or optionally substituted,
- $-OR^9$, $-OC(O)R^9$, $-S(O)_nR^9$ where $n = 0, 1, 2$,
 $-SO_2NHR^9$, $-SO_2NHC(O)R^9$, NR^9R^{10} , $-NHC(O)R^9$,
 $-CN$, $-CO_2-R^9$, $-C(O)-N-R^9R^{10}$, $-C(O)R^9$, $-C(OH)R^9R^{10}$,

where the 5-12-membered mono- or bicyclic aryl or heteroaryl ring may, for example, but not exclusively, be a quinolinyl, isoquinolinyl, phthalazinyl, quinazolinyl, quinoxalinyl, cinnolinyl, benzothiophenyl, 1,3-benzodioxolyl, 2,1,3-benzothiadiazolyl, phenyl, pyridinyl, pyrimidinyl, furanyl, thiophenyl, oxazolyl, isoxazolyl, thiazolyl, pyrrolyl, pyrazolyl, imidazolyl, pyrazinyl, pyridazinyl, triazolyl, tetrazolyl, naphthyl, indolyl, benzofuranyl or benzimidazolyl group,

R^6, R^7

are each independently hydrogen,

a C_1-C_6 -alkyl group which may be unsubstituted or optionally up to pentahalogenated,

a C_3-C_{10} -cycloalkyl radical,

a 5-12-membered mono- or bicyclic aryl or heteroaryl ring which is unsubstituted or optionally mono- or polysubstituted,

where the substituents may be selected from the group of

- halogen,
- cyano,
- R^9 , $-OR^9$, $-OC(O)R^9$, $-S(O)_nR^9$ where $n = 0, 1, 2$,
 $-SO_2NHR^9$, NR^9R^{10} , $-NHC(O)R^9$, $-CO_2-R^9$, $-C(O)-N-R^9R^{10}$,

where the 5-12-membered mono- or bicyclic aryl or heteroaryl ring may, for example, but not exclusively, be a quinolinyl, isoquinolinyl, phthalazinyl, quinazolinyl, quinoxalinyl, cinnolinyl, benzothiophenyl, 1,3-benzodioxolyl, 2,1,3-benzothiadiazolyl, phenyl, pyridinyl,

pyrimidinyl, furanyl, thiophenyl, oxazolyl, isoxazolyl, thiazolyl, pyrrolyl, pyrazolyl, imidazolyl, pyrazinyl, pyridazinyl, triazolyl, tetrazolyl, naphthyl, indolyl, benzofuranyl or benzimidazolyl group, or

5

R^6, R^7 together form a 3-8-membered ring,

R^9, R^{10} are each independently hydrogen,

10

- a C_1 - C_4 -alkyl group which may be unsubstituted or optionally up to pentafluorinated,
- a C_2 - C_4 -alkenyl group which may be unsubstituted or optionally up to trifluorinated,
- a C_2 - C_4 -alkynyl group which may be unsubstituted or optionally monofluorinated,
- a C_3 - C_6 -cycloalkyl group,
- a 5-6-membered aryl or heteroaryl ring which may, for example, but not exclusively, be a phenyl, pyridinyl, pyrimidinyl, furanyl, thiophenyl, oxazolyl, isoxazolyl, thiazolyl, pyrrolyl, pyrazolyl, imidazolyl, pyrazinyl, pyridazinyl, triazolyl, tetrazolyl ring, which may be unsubstituted or optionally up to disubstituted by fluorine, chlorine, trifluoromethyl, or

15

20

R^9, R^{10} together form a 3-8-membered ring.

25

13. A compound as claimed in claims 1, 4, 7 and 10, where

X is a CH group,

30

Y is a nitrogen radical,

R^1 is a 5-12-membered mono- or bicyclic aryl or heteroaryl ring which may be unsubstituted or optionally mono- to trisubstituted,

where the substituents may be selected from the group of halogen, $-R^6$, $-OR^6$, $-OC(O)R^6$, $-S(O)_nR^6$ where $n = 0, 1, 2$, $-SO_2NHR^6$, $-SO_2NHC(O)R^6$, NR^6R^7 , $-NHC(O)R^6$, $-NO_2$, $-CN$, $-CO_2-R^6$, $-C(O)-N-R^6R^7$, $-C(O)R^6$, $-C(OH)R^6R^7$ and

5 where the ring is a phenyl, thiophenyl, furanyl, pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, imidazolyl, pyridyl, pyrazolyl, pyrimidinyl, triazolyl, pyrazinyl or pyridazinyl, tetrazolyl, naphthyl, indolyl, benzofuranyl, benzothiophenyl, 1,3-benzodioxolyl, benzimidazolyl, quinolinyl, isoquinolinyl, quinazolinyl, phthalazinyl, quinoxalinyl,
10 cinnolinyl radical,

R^2 is hydrogen, fluorine, chlorine, a trifluoromethyl group,

R^3-R^5 are each independently hydrogen, halogen, cyano,
15 or an OR^6 , $OC(O)R^6$, $S(O)_nR^6$ where $n = 0, 1, 2$, SO_2NHR^6 , $SO_2NHC(O)R^6$, NR^6R^7 , $NHC(O)R^6$, $CH_2NR^6R^7$, $CH_2NHC(O)R^6$, $C(OH)R^6R^7$, $C(O)R^6$, CO_2R^6 , $C(O)NR^6R^7$ group,
20 a C_1-C_6 -alkyl group which may be unsubstituted or substituted, a C_3-C_{10} -cycloalkyl ring which may be unsubstituted or substituted, a C_2-C_6 -alkenyl group which may be unsubstituted or substituted, a C_2-C_6 -alkynyl group, which may be unsubstituted or substituted, a 5-12-membered mono- or bicyclic aryl or heteroaryl ring which is unsubstituted or optionally mono- or polysubstituted,

25 where the substituents may be selected from the group of
- halogen,
- C_1-C_4 -alkyl which may be unsubstituted or optionally substituted,
- $-OR^9$, $-OC(O)R^9$, $-S(O)_nR^9$ where $n = 0, 1, 2$,
- $-SO_2NHR^9$, $-SO_2NHC(O)R^9$, NR^9R^{10} , $-NHC(O)R^9$,
30 $-CN$, $-CO_2-R^9$, $-C(O)-N-R^9R^{10}$, $-C(O)R^9$, $-C(OH)R^9R^{10}$,

where the 5-12-membered mono- or bicyclic aryl or heteroaryl ring may, for example, but not exclusively, be a quinolinyl, isoquinolinyl, phthalazinyl, quinazolinyl, quinoxalinyl, cinnolinyl, benzothiophenyl,

1,3-benzodioxolyl, 2,1,3-benzothiadiazolyl, phenyl, pyridinyl, pyrimidinyl, furanyl, thiophenyl, oxazolyl, isoxazolyl, thiazolyl, pyrrolyl, pyrazolyl, imidazolyl, pyrazinyl, pyridazinyl, triazolyl, tetrazolyl, naphthyl, indolyl, benzofuranyl or benzimidazolyl group,

5

 R^6, R^7

are each independently hydrogen,

a C₁-C₆-alkyl group which may be unsubstituted or optionally up to pentahalogenated,

a C₃-C₁₀-cycloalkyl radical,

10

a 5-12-membered mono- or bicyclic aryl or heteroaryl ring which is unsubstituted or optionally mono- or polysubstituted,

where the substituents may be selected from the group of

15

- halogen,
- cyano,
- R⁹, -OR⁹, -OC(O)R⁹, -S(O)_nR⁹ where n = 0, 1, 2, -SO₂NHR⁹, NR⁹R¹⁰, -NHC(O)R⁹, -CO₂-R⁹, -C(O)-N-R⁹R¹⁰,

where the 5-12-membered mono- or bicyclic aryl or heteroaryl ring may, for example, but not exclusively, be a quinolinyl, isoquinolinyl, phthalazinyl, quinazolinyl, quinoxalinyl, cinnolinyl, benzothiophenyl, 1,3-benzodioxolyl, 2,1,3-benzothiadiazolyl, phenyl, pyridinyl, pyrimidinyl, furanyl, thiophenyl, oxazolyl, isoxazolyl, thiazolyl, pyrrolyl, pyrazolyl, imidazolyl, pyrazinyl, pyridazinyl, triazolyl, tetrazolyl, naphthyl, indolyl, benzofuranyl or benzimidazolyl group,

25

or

 R^6, R^7

together form a 3-8-membered ring,

 R^9, R^{10}

are each independently hydrogen,

30

- a C₁-C₄-alkyl group which may be unsubstituted or optionally up to pentafluorinated,
- a C₂-C₄-alkenyl group which may be unsubstituted or optionally up to trifluorinated,

- 5
- a C₂-C₄-alkynyl group which may be unsubstituted or optionally monofluorinated,
 - a C₃-C₆-cycloalkyl group,
 - a 5-6-membered aryl or heteroaryl ring which may, for example, but not exclusively, be a phenyl, pyridinyl, pyrimidinyl, furanyl, thiophenyl, oxazolyl, isoxazolyl, thiazolyl, pyrrolyl, pyrazolyl, imidazolyl, pyrazinyl, pyridazinyl, triazolyl, tetrazolyl ring, which may be unsubstituted or optionally up to disubstituted
- 10
- by fluorine, chlorine, trifluoromethyl, or

R⁹, R¹⁰ together form a 3-8-membered ring.

14. A compound as claimed in claims 1, 2, 5, 8 and 11, where

15

X is a nitrogen radical,

Y is a CH group,

20 R¹ is a 5-12-membered mono- or bicyclic aryl or heteroaryl ring which may be unsubstituted or optionally mono- to trisubstituted, where the substituents may be selected from the group of halogen, -R⁶, -OR⁶, -OC(O)R⁶, -S(O)_nR⁶ where n = 0, 1, 2, -SO₂NHR⁶, -SO₂NHC(O)R⁶, NR⁶R⁷, -NHC(O)R⁶, -NO₂, -CN, -CO₂-R⁶,

25 -C(O)-N-R⁶R⁷, -C(O)R⁶, -C(OH)R⁶R⁷ and where the ring is a phenyl, thiophenyl, furanyl, pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, imidazolyl, pyridyl, pyrazolyl, pyrimidinyl, triazolyl, pyrazinyl or pyridazinyl, tetrazolyl, naphthyl, indolyl, benzofuranyl, benzothiophenyl, 1,3-benzodioxolyl, benzimidazolyl,

30 quinolinyl, isoquinolinyl, quinazolinyl, phthalazinyl, quinoxalinyl, cinnolinyl radical,

R² is hydrogen, fluorine, chlorine, a trifluoromethyl group,

- R^3 - R^5 are each independently hydrogen, fluorine, chlorine, bromine, cyano,
 or an OR^6 , $OC(O)R^6$, $S(O)_nR^6$ where $n = 0, 1, 2$, SO_2NHR^6 ,
 5 $SO_2NHC(O)R^6$, NR^6R^7 , $NHC(O)R^6$, $CH_2NR^6R^7$, $CH_2NHC(O)R^6$,
 $C(OH)R^6R^7$, $C(O)R^6$, CO_2R^6 , $C(O)NR^6R^7$ group,
 a C_1 - C_6 -alkyl group which may be unsubstituted or substituted,
 a C_3 - C_{10} -cycloalkyl ring which may be unsubstituted or substituted,
 a C_2 - C_6 -alkenyl group which may be unsubstituted or substituted,
 10 a C_2 - C_6 -alkynyl group, which may be unsubstituted or substituted,
 a 5-6-membered aryl or heteroaryl ring which is unsubstituted or
 optionally mono- or polysubstituted,
 where the substituents may be selected from the group of
- halogen,
 - 15 - C_1 - C_4 -alkyl which may be unsubstituted or optionally substituted,
 - OR^9 , $OC(O)R^9$, $S(O)_nR^9$ where $n = 0, 1, 2$,
 SO_2NHR^9 , $SO_2NHC(O)R^9$, NR^9R^{10} , $NHC(O)R^9$,
 CN , CO_2R^9 , $C(O)NR^9R^{10}$, $C(O)R^9$, $C(OH)R^9R^{10}$,
- 20 where the 5-6-membered aryl or heteroaryl ring may, for example,
 but not exclusively, be a phenyl, pyridinyl, pyrimidinyl, furanyl,
 thiophenyl, oxazolyl, isoxazolyl, thiazolyl, pyrrolyl, pyrazolyl,
 imidazolyl, pyrazinyl, pyridazinyl, triazolyl, tetrazolyl group,
- 25 R^6 , R^7 are each independently hydrogen,
 a C_1 - C_4 -alkyl group which may be unsubstituted or optionally up to
 pentahalogenated,
 a C_3 - C_6 -cycloalkyl radical,
 a 5-6-membered aryl or heteroaryl ring which is unsubstituted or
 30 optionally mono- or polysubstituted,
 where the substituents may be selected from the group of
- halogen,
 - cyano,

- R^9 , $-OR^9$, $-OC(O)R^9$, $-S(O)_nR^9$ where $n = 0, 1, 2$,
 $-SO_2NHR^9$, NR^9R^{10} , $-NHC(O)R^9$, $-CO_2-R^9$, $-C(O)-N-$
 R^9R^{10} ,

5 where the 5-6-membered aryl or heteroaryl ring may, for example, but not exclusively, be a phenyl, pyridinyl, pyrimidinyl, furanyl, thiophenyl, oxazolyl, isoxazolyl, thiazolyl, pyrrolyl, pyrazolyl, imidazolyl, pyrazinyl, pyridazinyl, triazolyl, tetrazolyl group, or

10 R^6, R^7 together form a 3-8-membered ring,

R^9, R^{10} are each independently hydrogen,

15

- a C_1-C_4 -alkyl group which may be unsubstituted or optionally up to pentafluorinated,
- a C_2-C_4 -alkenyl group which may be unsubstituted or optionally up to trifluorinated,
- a C_2-C_4 -alkynyl group which may be unsubstituted or optionally monofluorinated,
- a C_3-C_6 -cycloalkyl group,
- a 5-6-membered aryl or heteroaryl ring which may, for example, but not exclusively, be a phenyl, pyridinyl, pyrimidinyl, furanyl, thiophenyl, oxazolyl, isoxazolyl, thiazolyl, pyrrolyl, pyrazolyl, imidazolyl, pyrazinyl, pyridazinyl, triazolyl, tetrazolyl ring, which may be unsubstituted or optionally up to disubstituted by fluorine, chlorine, trifluoromethyl, or

20

25

R^9, R^{10} together form a 3-8-membered ring.

30

15. A compound as claimed in claims 1, 3, 6, 9 and 12, where

X and Y are each a nitrogen radical,

- 5 R^1 is a 5-12-membered mono- or bicyclic aryl or heteroaryl ring which may be unsubstituted or optionally mono- to trisubstituted, where the substituents may be selected from the group of halogen, $-R^6$, $-OR^6$, $-OC(O)R^6$, $-S(O)_nR^6$ where $n = 0, 1, 2$, $-SO_2NHR^6$, $-SO_2NHC(O)R^6$, NR^6R^7 , $-NHC(O)R^6$, $-NO_2$, $-CN$, $-CO_2-R^6$, $-C(O)-N-R^6R^7$, $-C(O)R^6$, $-C(OH)R^6R^7$ and where the ring is a phenyl, thiophenyl, furanyl, pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, imidazolyl, pyridyl, pyrazolyl, pyrimidinyl, triazolyl, pyrazinyl or pyridazinyl, tetrazolyl, naphthyl, indolyl, benzofuranyl, benzothiophenyl, 1,3-benzodioxolyl, benzimidazolyl, quinolinyl, isoquinolinyl, quinazolinyl, phthalazinyl, quinoxalinyl, cinnolinyl radical,
- 10 R^2 is hydrogen, fluorine, chlorine, a trifluoromethyl group,
- 15 R^3-R^5 are each independently hydrogen, halogen, cyano, or an OR^6 , $OC(O)R^6$, $S(O)_nR^6$ where $n = 0, 1, 2$, SO_2NHR^6 , $SO_2NHC(O)R^6$, NR^6R^7 , $NHC(O)R^6$, $CH_2NR^6R^7$, $CH_2NHC(O)R^6$, $C(OH)R^6R^7$, $C(O)R^6$, CO_2R^6 , $C(O)NR^6R^7$ group,
- 20 a C_1-C_6 -alkyl group which may be unsubstituted or substituted, a C_3-C_{10} -cycloalkyl ring which may be unsubstituted or substituted, a C_2-C_6 -alkenyl group which may be unsubstituted or substituted, a C_2-C_6 -alkynyl group, which may be unsubstituted or substituted, a 5-6-membered aryl or heteroaryl ring which is unsubstituted or optionally mono- or polysubstituted,
- 25 where the substituents may be selected from the group of
 - halogen,
 - C_1-C_4 -alkyl which may be unsubstituted or optionally substituted,
 - 30 - $-OR^9$, $-OC(O)R^9$, $-S(O)_nR^9$ where $n = 0, 1, 2$, $-SO_2NHR^9$, $-SO_2NHC(O)R^9$, NR^9R^{10} , $-NHC(O)R^9$, $-CN$, $-CO_2-R^9$, $-C(O)-N-R^9R^{10}$, $-C(O)R^9$, $-C(OH)R^9R^{10}$,

where the 5-6-membered aryl or heteroaryl ring may, for example, but not exclusively, be a phenyl, pyridinyl, pyrimidinyl, furanyl, thiophenyl, oxazolyl, isoxazolyl, thiazolyl, pyrrolyl, pyrazolyl, imidazolyl, pyrazinyl, pyridazinyl, triazolyl, tetrazolyl group,

5

 R^6, R^7

are each independently hydrogen,
a C₁-C₄-alkyl group which may be unsubstituted or optionally up to pentahalogenated,
an unsubstituted C₃-C₆-cycloalkyl radical,
a 5-6-membered aryl or heteroaryl ring which is unsubstituted or optionally mono- or polysubstituted,

10

where the substituents may be selected from the group of

15

- halogen,
- cyano,
- R⁹, -OR⁹, -OC(O)R⁹, -S(O)_nR⁹ where n = 0, 1, 2, -SO₂NHR⁹, NR⁹R¹⁰, -NHC(O)R⁹, -CO₂-R⁹, -C(O)-N-R⁹R¹⁰,

20

where the 5-6-membered aryl or heteroaryl ring may, for example, but not exclusively, be a phenyl, pyridinyl, pyrimidinyl, furanyl, thiophenyl, oxazolyl, isoxazolyl, thiazolyl, pyrrolyl, pyrazolyl, imidazolyl, pyrazinyl, pyridazinyl, triazolyl, tetrazolyl group, or

 R^6, R^7

together form a 3-8-membered ring,

25

 R^9, R^{10}

are each independently hydrogen,

- a C₁-C₄-alkyl group which may be unsubstituted or optionally up to pentafluorinated,
- a C₂-C₄-alkenyl group which may be unsubstituted or optionally up to trifluorinated,
- a C₂-C₄-alkynyl group which may be unsubstituted or optionally monofluorinated,
- a C₃-C₆-cycloalkyl group,

30

or an OR^6 , $OC(O)R^6$, $S(O)_nR^6$ where $n = 0, 1, 2$, SO_2NHR^6 ,
 $SO_2NHC(O)R^6$, NR^6R^7 , $NHC(O)R^6$, $CH_2NR^6R^7$, $CH_2NHC(O)R^6$,
 $C(OH)R^6R^7$, $C(O)R^6$, CO_2R^6 , $C(O)NR^6R^7$ group,

5 a C_1 - C_6 -alkyl group which may be unsubstituted or substituted,
 a C_3 - C_{10} -cycloalkyl ring which may be unsubstituted or substituted,
 a C_2 - C_6 -alkenyl group which may be unsubstituted or substituted,
 a C_2 - C_6 -alkynyl group, which may be unsubstituted or substituted,
 a 5-6-membered aryl or heteroaryl ring which is unsubstituted or
 optionally mono- or polysubstituted,

10 where the substituents may be selected from the group of

- halogen,
- C_1 - C_4 -alkyl which may be unsubstituted or optionally substituted,
- $-OR^9$, $-OC(O)R^9$, $-S(O)_nR^9$ where $n = 0, 1, 2$,
- 15 $-SO_2NHR^9$, $-SO_2NHC(O)R^9$, NR^9R^{10} , $-NHC(O)R^9$,
- $-CN$, $-CO_2-R^9$, $-C(O)-N-R^9R^{10}$, $-C(O)R^9$, $-C(OH)R^9R^{10}$,

where the 5-6-membered aryl or heteroaryl ring may, for example,
 but not exclusively, be a phenyl, pyridinyl, pyrimidinyl, furanyl,
 thiophenyl, oxazolyl, isoxazolyl, thiazolyl, pyrrolyl, pyrazolyl,
 20 imidazolyl, pyrazinyl, pyridazinyl, triazolyl, tetrazolyl group,

R^6, R^7

are each independently hydrogen,

a C_1 - C_4 -alkyl group which may be unsubstituted or optionally up to pentahalogenated,

25 a C_3 - C_6 -cycloalkyl radical,

a 5-6-membered aryl or heteroaryl ring which is unsubstituted or optionally mono- or polysubstituted,

where the substituents may be selected from the group of

- halogen,
- 30 - cyano,
- R^9 , $-OR^9$, $-OC(O)R^9$, $-S(O)_nR^9$ where $n = 0, 1, 2$,
- $-SO_2NHR^9$, NR^9R^{10} , $-NHC(O)R^9$, $-CO_2-R^9$, $-C(O)-N-$
 R^9R^{10} ,

where the 5-6-membered aryl or heteroaryl ring may, for example, but not exclusively, be a phenyl, pyridinyl, pyrimidinyl, furanyl, thiophenyl, oxazolyl, isoxazolyl, thiazolyl, pyrrolyl, pyrazolyl, imidazolyl, pyrazinyl, pyridazinyl, triazolyl, tetrazolyl group, or

5

R^6, R^7 together form a 3-8-membered ring,

R^9, R^{10} are each independently hydrogen,

10

- a C_1 - C_4 -alkyl group which may be unsubstituted or optionally up to pentafluorinated,
- a C_2 - C_4 -alkenyl group which may be unsubstituted or optionally up to trifluorinated,
- a C_2 - C_4 -alkynyl group which may be unsubstituted or optionally monofluorinated,
- a C_3 - C_6 -cycloalkyl group,
- a 5-6-membered aryl or heteroaryl ring which may, for example, but not exclusively, be a phenyl, pyridinyl, pyrimidinyl, furanyl, thiophenyl, oxazolyl, isoxazolyl, thiazolyl, pyrrolyl, pyrazolyl, imidazolyl, pyrazinyl, pyridazinyl, triazolyl, tetrazolyl ring, which may be unsubstituted or optionally up to disubstituted by fluorine, chlorine, trifluoromethyl, or

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R^9, R^{10} together form a 3-8-membered ring.

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17. A compound as claimed in claims 1-16, selected from a group which comprises the following compounds:

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- 2-Bromo-N-[1-(7-chloro-quinolin-4-yl)-piperidin-4-yl]-benzamide
- N-[1-(7-Chloro-quinolin-4-yl)-piperidin-4-yl]-2-fluoro-benzamide
- N-[1-(7-Chloro-quinolin-4-yl)-piperidin-4-yl]-2,4-difluoro-benzamide
- 2-Chloro-N-[1-(7-chloro-quinolin-4-yl)-piperidin-4-yl]-benzamide
- 3-Bromo-N-[1-(7-chloro-quinolin-4-yl)-piperidin-4-yl]-benzamide

- 3-Chloro-N-[1-(7-chloro-quinolin-4-yl)-piperidin-4-yl]-benzamide
- N-[1-(7-Chloro-quinolin-4-yl)-piperidin-4-yl]-3-methoxy-benzamide
- N-[1-(7-Chloro-quinolin-4-yl)-piperidin-4-yl]-3-methyl-benzamide
- N-[1-(7-Chloro-quinolin-4-yl)-piperidin-4-yl]-4-fluoro-benzamide
- 5 • N-[1-(7-Chloro-quinolin-4-yl)-piperidin-4-yl]-2-iodo-benzamide
- N-[1-(7-Chloro-quinolin-4-yl)-piperidin-4-yl]-3-nitro-benzamide
- N-[1-(7-Chloro-quinolin-4-yl)-piperidin-4-yl]-2,5-difluoro-benzamide
- N-[1-(7-Chloro-quinolin-4-yl)-piperidin-4-yl]-3,4-difluoro-benzamide
- N-[1-(7-Chloro-quinolin-4-yl)-piperidin-4-yl]-3,5-difluoro-benzamide
- 10 • N-[1-(7-Chloro-quinolin-4-yl)-piperidin-4-yl]-3-cyano-benzamide
- N-[1-(7-Chloro-quinolin-4-yl)-piperidin-4-yl]-2,3-difluoro-benzamide
- N-[1-(7-Chloro-quinolin-4-yl)-piperidin-4-yl]-2,4,5-trifluoro-benzamide
- 2,4,6-Trichloro-N-[1-(7-chloro-quinolin-4-yl)-piperidin-4-yl]-benzamide
- N-[1-(7-Chloro-quinolin-4-yl)-piperidin-4-yl]-4-methyl-benzamide
- 15 • N-[1-(7-Chloro-quinolin-4-yl)-piperidin-4-yl]-2,3,4-trifluoro-benzamide
- N-[1-(7-Chloro-quinolin-4-yl)-piperidin-4-yl]-2-fluoro-3-trifluoromethyl-benzamide
- 2-Chloro-N-[1-(7-chloro-quinolin-4-yl)-piperidin-4-yl]-nicotinamide
- N-[1-(7-Chloro-quinolin-4-yl)-piperidin-4-yl]-2,3-dimethyl-benzamide
- 20 • 3-Bromo-thiophene-2-carboxylic acid [1-(7-chloro-quinolin-4-yl)-piperidin-4-yl]-amide
- 2,3-Dichloro-N-[1-(7-chloro-quinolin-4-yl)-piperidin-4-yl]-benzamide
- 5-Nitro-furan-2-carboxylic acid [1-(7-chloro-quinolin-4-yl)-piperidin-4-yl]-amide
- 25 • 2-Chloro-N-[1-(7-chloro-quinolin-4-yl)-piperidin-4-yl]-4-nitro-benzamide
- N-[1-(7-Chloro-quinolin-4-yl)-piperidin-4-yl]-2,4,6-trifluoro-benzamide
- 3-Chloro-thiophene-2-carboxylic acid [1-(7-chloro-quinolin-4-yl)-piperidin-4-yl]-amide
- 2,5-Dichloro-N-[1-(7-chloro-quinolin-4-yl)-piperidin-4-yl]-nicotinamide
- 30 • 2,5-Dichloro-thiophene-3-carboxylic acid [1-(7-chloro-quinolin-4-yl)-piperidin-4-yl]-amide

- Acetic acid 3-[1-(7-chloro-quinolin-4-yl)-piperidin-4-ylcarbamoyl]-phenyl ester
- 2,3-Dichloro-N-(1-quinolin-4-yl-piperidin-4-yl)-benzamide
- 2,3-Dichloro-N-[1-(7-trifluoromethyl-quinolin-4-yl)-piperidin-4-yl]-benzamide
- 5
- 2,3-Dichloro-N-[1-(8-chloro-quinolin-4-yl)-piperidin-4-yl]-benzamide
- N-[1-(7-Bromo-quinolin-4-yl)-piperidin-4-yl]-2,3-dichloro-benzamide
- 2,3-Dichloro-N-[1-(7-chloro-quinazolin-4-yl)-piperidin-4-yl]-benzamide
- 2,3-Dichloro-N-[1-(7-fluoro-quinolin-4-yl)-piperidin-4-yl]-benzamide
- 10
- 2,3-Dichloro-N-[1-(7-methoxy-quinolin-4-yl)-piperidin-4-yl]-benzamide
- 2,3-Dichloro-N-[1-(7-cyano-quinolin-4-yl)-piperidin-4-yl]-benzamide
- 2,3-Dichloro-N-[1-(7-thiophen-2-yl-quinolin-4-yl)-piperidin-4-yl]-benzamide
- 2,3-Dichloro-N-[1-(7-phenyl-quinolin-4-yl)-piperidin-4-yl]-benzamide
- N-[1-(8-Bromo-quinolin-4-yl)-piperidin-4-yl]-2,3-dichloro-benzamide
- 15
- 2,3-Dichloro-N-[1-(8-fluoro-quinolin-4-yl)-piperidin-4-yl]-benzamide
- 2,3-Dichloro-N-[1-(6-trifluoromethyl-quinolin-4-yl)-piperidin-4-yl]-benzamide
- 2,3-Dichloro-N-[1-(6-chloro-quinolin-4-yl)-piperidin-4-yl]-benzamide
- 2,3-Dichloro-N-(1-isoquinolin-1-yl-piperidin-4-yl)-benzamide
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- 2,3-Dichloro-N-[1-(8-trifluoromethyl-quinolin-4-yl)-piperidin-4-yl]-benzamide
- N-[1-(5,7-Bis-trifluoromethyl-quinolin-4-yl)-piperidin-4-yl]-2,3-dichloro-benzamide
- 2,3-Dichloro-N-[1-(6,8-difluoro-quinolin-4-yl)-piperidin-4-yl]-benzamide
- 25
- 2,3-Dichloro-N-[1-(7-morpholin-4-yl-quinolin-4-yl)-piperidin-4-yl]-benzamide
- 2,3-Dichloro-N-[1-(7-phenylamino-quinolin-4-yl)-piperidin-4-yl]-benzamide
- 2,3-Dichloro-N-[1-(7-phenylethynyl-quinolin-4-yl)-piperidin-4-yl]-benzamide
- 30
- 1H-Indole-3-carboxylic acid (1-quinolin-4-yl-piperidin-4-yl)-amide
- 3-Bromo-thiophene-2-carboxylic acid (1-quinolin-4-yl-piperidin-4-yl)-amide

- 2-Fluoro-6-iodo-N-(1-quinolin-4-yl-piperidin-4-yl)-benzamide
- 6-Methoxy-2-(2,3,4-trimethoxy-phenyl)-quinoline-4-carboxylic acid (1-quinolin-4-yl-piperidin-4-yl)-amide
- 1-Methyl-1H-indole-3-carboxylic acid (1-quinolin-4-yl-piperidin-4-yl)-amide
- 5 • 4-Pyrrol-1-yl-N-(1-quinolin-4-yl-piperidin-4-yl)-benzamide
- 3-{4-[4-(2,3-Dichloro-benzoylamino)-piperidin-1-yl]-quinolin-7-yl}-benzoic acid methyl ester
- 4-{4-[4-(2,3-Dichloro-benzoylamino)-piperidin-1-yl]-quinolin-7-yl}-benzoic acid methyl ester
- 10 • 2,3-Dichloro-N-[1-(6-fluoro-quinolin-4-yl)-piperidin-4-yl]-benzamide
- 5-Phenyl-2H-pyrazole-3-carboxylic acid (1-quinolin-4-yl-piperidin-4-yl)-amide
- 3-Chloro-2-methyl-N-(1-quinolin-4-yl-piperidin-4-yl)-benzamide
- 4-Phenoxy-N-(1-quinolin-4-yl-piperidin-4-yl)-benzamide
- 15 • 2-Chloro-3-methyl-N-(1-quinolin-4-yl-piperidin-4-yl)-benzamide
- 2-Bromo-N-[1-(7-chloro-quinazolin-4-yl)-piperidin-4-yl]-benzamide
- N-[1-(7-Chloro-quinazolin-4-yl)-piperidin-4-yl]-2-fluoro-benzamide
- N-[1-(7-Chloro-quinazolin-4-yl)-piperidin-4-yl]-2,4-difluoro-benzamide
- 2-Chloro-N-[1-(7-chloro-quinazolin-4-yl)-piperidin-4-yl]-benzamide
- 20 • 3-Bromo-N-[1-(7-chloro-quinazolin-4-yl)-piperidin-4-yl]-benzamide
- 3-Chloro-N-[1-(7-chloro-quinazolin-4-yl)-piperidin-4-yl]-benzamide
- N-[1-(7-Chloro-quinazolin-4-yl)-piperidin-4-yl]-3-methoxy-benzamide
- N-[1-(7-Chloro-quinazolin-4-yl)-piperidin-4-yl]-3-methyl-benzamide
- N-[1-(7-Chloro-quinazolin-4-yl)-piperidin-4-yl]-4-fluoro-benzamide
- 25 • N-[1-(7-Chloro-quinazolin-4-yl)-piperidin-4-yl]-2-iodo-benzamide
- N-[1-(7-Chloro-quinazolin-4-yl)-piperidin-4-yl]-3-nitro-benzamide
- N-[1-(7-Chloro-quinazolin-4-yl)-piperidin-4-yl]-2,5-difluoro-benzamide
- N-[1-(7-Chloro-quinazolin-4-yl)-piperidin-4-yl]-3,4-difluoro-benzamide
- N-[1-(7-Chloro-quinazolin-4-yl)-piperidin-4-yl]-3,5-difluoro-benzamide
- 30 • N-[1-(7-Chloro-quinazolin-4-yl)-piperidin-4-yl]-3-cyano-benzamide
- N-[1-(7-Chloro-quinazolin-4-yl)-piperidin-4-yl]-2,3-difluoro-benzamide
- N-[1-(7-Chloro-quinazolin-4-yl)-piperidin-4-yl]-2,4,5-trifluoro-benzamide

- 2,4,6-Trichloro-N-[1-(7-chloro-quinazolin-4-yl)-piperidin-4-yl]-benzamide
- N-[1-(7-Chloro-quinazolin-4-yl)-piperidin-4-yl]-4-methyl-benzamide
- N-[1-(7-Chloro-quinazolin-4-yl)-piperidin-4-yl]-2,3,4-trifluoro-benzamide
- N-[1-(7-Chloro-quinazolin-4-yl)-piperidin-4-yl]-2-fluoro-3-trifluoromethyl-
5 benzamide
- 2-Chloro-N-[1-(7-chloro-quinazolin-4-yl)-piperidin-4-yl]-nicotinamide
- N-[1-(7-Chloro-quinazolin-4-yl)-piperidin-4-yl]-2,3-dimethyl-benzamide
- 3-Bromo-thiophene-2-carboxylic acid [1-(7-chloro-quinazolin-4-yl)-
piperidin-4-yl]-amide
- 10 • 2,3-Dichloro-N-[1-(7-chloro-quinazolin-4-yl)-piperidin-4-yl]-benzamide
- 5-Nitro-furan-2-carboxylic acid [1-(7-chloro-quinazolin-4-yl)-piperidin-4-yl]-
amide
- 2-Chloro-N-[1-(7-chloro-quinazolin-4-yl)-piperidin-4-yl]-4-nitro-benzamide
- N-[1-(7-Chloro-quinazolin-4-yl)-piperidin-4-yl]-2,4,6-trifluoro-benzamide
- 15 • 3-Chloro-thiophene-2-carboxylic acid [1-(7-chloro-quinazolin-4-yl)-
piperidin-4-yl]-amide
- 2,5-Dichloro-N-[1-(7-chloro-quinazolin-4-yl)-piperidin-4-yl]-nicotinamide
- 2,5-Dichloro-thiophene-3-carboxylic acid [1-(7-chloro-quinazolin-4-yl)-
piperidin-4-yl]-amide
- 20 • Acetic acid 3-[1-(7-chloro-quinazolin-4-yl)-piperidin-4-ylcarbamoyl]-phenyl
ester
- 2,3-Dichloro-N-(1-quinazolin-4-yl-piperidin-4-yl)-benzamide
- 2,3-Dichloro-N-[1-(7-trifluoromethyl-quinazolin-4-yl)-piperidin-4-yl]-
benzamide
- 25 • 2,3-Dichloro-N-[1-(8-chloro-quinazolin-4-yl)-piperidin-4-yl]-benzamide
- N-[1-(7-Bromo-quinazolin-4-yl)-piperidin-4-yl]-2,3-dichloro-benzamide
- 2,3-Dichloro-N-[1-(7-fluoro-quinazolin-4-yl)-piperidin-4-yl]-benzamide
- 2,3-Dichloro-N-[1-(7-methoxy-quinazolin-4-yl)-piperidin-4-yl]-benzamide
- 2,3-Dichloro-N-[1-(7-cyano-quinazolin-4-yl)-piperidin-4-yl]-benzamide
- 30 • 2,3-Dichloro-N-[1-(7-thiophen-2-yl-quinazolin-4-yl)-piperidin-4-yl]-
benzamide
- 2,3-Dichloro-N-[1-(7-phenyl-quinazolin-4-yl)-piperidin-4-yl]-benzamide

- N-[1-(8-Bromo-quinazolin-4-yl)-piperidin-4-yl]-2,3-dichloro-benzamide
- 2,3-Dichloro-N-[1-(8-fluoro-quinazolin-4-yl)-piperidin-4-yl]-benzamide
- 2,3-Dichloro-N-[1-(6-trifluoromethyl-quinazolin-4-yl)-piperidin-4-yl]-benzamide
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 - 2,3-Dichloro-N-[1-(6-chloro-quinazolin-4-yl)-piperidin-4-yl]-benzamide
 - 2,3-Dichloro-N-[1-(8-trifluoromethyl-quinazolin-4-yl)-piperidin-4-yl]-benzamide
 - N-[1-(5,7-Bis-trifluoromethyl-quinazolin-4-yl)-piperidin-4-yl]-2,3-dichloro-benzamide
- 10
 - 2,3-Dichloro-N-[1-(6,8-difluoro-quinazolin-4-yl)-piperidin-4-yl]-benzamide
 - 2,3-Dichloro-N-[1-(7-morpholin-4-yl-quinazolin-4-yl)-piperidin-4-yl]-benzamide
 - 2,3-Dichloro-N-[1-(7-phenylamino-quinazolin-4-yl)-piperidin-4-yl]-benzamide
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 - 2,3-Dichloro-N-[1-(7-phenylethynyl-quinazolin-4-yl)-piperidin-4-yl]-benzamide
 - 1H-Indole-3-carboxylic acid (1-quinazolin-4-yl-piperidin-4-yl)-amide
 - 3-Bromo-thiophene-2-carboxylic acid (1-quinazolin-4-yl-piperidin-4-yl)-amide
- 20
 - 2-Fluoro-6-iodo-N-(1-quinazolin-4-yl-piperidin-4-yl)-benzamide
 - 6-Methoxy-2-(2,3,4-trimethoxy-phenyl)-quinoline-4-carboxylic acid (1-quinazolin-4-yl-piperidin-4-yl)-amide
 - 1-Methyl-1H-indole-3-carboxylic acid (1-quinazolin-4-yl-piperidin-4-yl)-amide
- 25
 - 4-Pyrrol-1-yl-N-(1-quinazolin-4-yl-piperidin-4-yl)-benzamide
 - 3-{4-[4-(2,3-Dichloro-benzoylamino)-piperidin-1-yl]-quinazolin-7-yl}-benzoic acid methyl ester
 - 4-{4-[4-(2,3-Dichloro-benzoylamino)-piperidin-1-yl]-quinazolin-7-yl}-benzoic acid methyl ester
- 30
 - 2,3-Dichloro-N-[1-(6-fluoro-quinazolin-4-yl)-piperidin-4-yl]-benzamide
 - 5-Phenyl-2H-pyrazole-3-carboxylic acid (1-quinazolin-4-yl-piperidin-4-yl)-amide

- 3-Chloro-2-methyl-N-(1-quinazolin-4-yl-piperidin-4-yl)-benzamide
- 4-Phenoxy-N-(1-quinazolin-4-yl-piperidin-4-yl)-benzamide
- 2-Chloro-3-methyl-N-(1-quinazolin-4-yl-piperidin-4-yl)-benzamide
- 2-Bromo-N-[1-(6-chloro-isoquinolin-1-yl)-piperidin-4-yl]-benzamide
- 5 • N-[1-(6-Chloro-isoquinolin-1-yl)-piperidin-4-yl]-2-fluoro-benzamide
- N-[1-(6-Chloro-isoquinolin-1-yl)-piperidin-4-yl]-2,4-difluoro-benzamide
- 2-Chloro-N-[1-(6-chloro-isoquinolin-1-yl)-piperidin-4-yl]-benzamide
- 3-Bromo-N-[1-(6-chloro-isoquinolin-1-yl)-piperidin-4-yl]-benzamide
- 3-Chloro-N-[1-(6-chloro-isoquinazolin-1-yl)-piperidin-4-yl]-benzamide
- 10 • N-[1-(6-Chloro-isoquinolin-1-yl)-piperidin-4-yl]-3-methoxy-benzamide
- N-[1-(6-Chloro-isoquinolin-1-yl)-piperidin-4-yl]-3-methyl-benzamide
- N-[1-(6-Chloro-isoquinolin-1-yl)-piperidin-4-yl]-4-fluoro-benzamide
- N-[1-(6-Chloro-isoquinolin-1-yl)-piperidin-4-yl]-2-iodo-benzamide
- N-[1-(6-Chloro-isoquinolin-1-yl)-piperidin-4-yl]-3-nitro-benzamide
- 15 • N-[1-(6-Chloro-isoquinolin-1-yl)-piperidin-4-yl]-2,5-difluoro-benzamide
- N-[1-(6-Chloro-isoquinolin-1-yl)-piperidin-4-yl]-3,4-difluoro-benzamide
- N-[1-(6-Chloro-isoquinolin-1-yl)-piperidin-4-yl]-3,5-difluoro-benzamide
- N-[1-(6-Chloro-isoquinolin-1-yl)-piperidin-4-yl]-3-cyano-benzamide
- N-[1-(6-Chloro-isoquinolin-1-yl)-piperidin-4-yl]-2,3-difluoro-benzamide
- 20 • N-[1-(6-Chloro-isoquinolin-1-yl)-piperidin-4-yl]-2,4,5-trifluoro-benzamide
- 2,4,6-Trichloro-N-[1-(6-chloro-isoquinolin-1-yl)-piperidin-4-yl]-benzamide
- N-[1-(6-Chloro-isoquinolin-1-yl)-piperidin-4-yl]-4-methyl-benzamide
- N-[1-(6-Chloro-isoquinolin-1-yl)-piperidin-4-yl]-2,3,4-trifluoro-benzamide
- N-[1-(6-Chloro-isoquinolin-1-yl)-piperidin-4-yl]-2-fluoro-3-trifluoromethyl-
- 25 benzamide
- 2-Chloro-N-[1-(6-chloro-isoquinolin-1-yl)-piperidin-4-yl]-nicotinamide
- N-[1-(6-Chloro-isoquinolin-1-yl)-piperidin-4-yl]-2,3-dimethyl-benzamide
- 3-Bromo-thiophene-2-carboxylic acid [1-(6-chloro-isoquinolin-1-yl)-
- piperidin-4-yl]-amide
- 30 • 2,3-Dichloro-N-[1-(6-chloro-isoquinolin-1-yl)-piperidin-4-yl]-benzamide
- 5-Nitro-furan-2-carboxylic acid [1-(6-chloro-isoquinolin-1-yl)-piperidin-4-
- yl]-amide

- 2-Chloro-N-[1-(6-chloro-isoquinolin-1-yl)-piperidin-4-yl]-4-nitro-benzamide
- N-[1-(6-Chloro-isoquinolin-1-yl)-piperidin-4-yl]-2,4,6-trifluoro-benzamide
- 3-Chloro-thiophene-2-carboxylic acid [1-(6-chloro-isoquinolin-1-yl)-piperidin-4-yl]-amide
- 5 • 2,5-Dichloro-N-[1-(6-chloro-isoquinolin-1-yl)-piperidin-4-yl]-nicotinamide
- 2,5-Dichloro-thiophene-3-carboxylic acid [1-(6-chloro-isoquinolin-1-yl)-piperidin-4-yl]-amide
- Acetic acid 3-[1-(6-chloro-isoquinolin-1-yl)-piperidin-4-ylcarbamoyl]-phenyl ester
- 10 • 2,3-Dichloro-N-(1-isoquinolin-1-yl-piperidin-4-yl)-benzamide
- 2,3-Dichloro-N-[1-(6-trifluoromethyl-isoquinolin-1-yl)-piperidin-4-yl]-benzamide
- 2,3-Dichloro-N-[1-(5-chloro-isoquinolin-1-yl)-piperidin-4-yl]-benzamide
- N-[1-(6-Bromo-isoquinolin-1-yl)-piperidin-4-yl]-2,3-dichloro-benzamide
- 15 • 2,3-Dichloro-N-[1-(6-fluoro-isoquinolin-1-yl)-piperidin-4-yl]-benzamide
- 2,3-Dichloro-N-[1-(6-methoxy-isoquinolin-1-yl)-piperidin-4-yl]-benzamide
- 2,3-Dichloro-N-[1-(6-cyano-isoquinolin-1-yl)-piperidin-4-yl]-benzamide
- 2,3-Dichloro-N-[1-(6-thiophen-2-yl-isoquinolin-1-yl)-piperidin-4-yl]-benzamide
- 20 • 2,3-Dichloro-N-[1-(6-phenyl-isoquinolin-1-yl)-piperidin-4-yl]-benzamide
- N-[1-(5-Bromo-isoquinolin-1-yl)-piperidin-4-yl]-2,3-dichloro-benzamide
- 2,3-Dichloro-N-[1-(5-fluoro-isoquinolin-1-yl)-piperidin-4-yl]-benzamide
- 2,3-Dichloro-N-[1-(7-trifluoromethyl-isoquinolin-1-yl)-piperidin-4-yl]-benzamide
- 25 • 2,3-Dichloro-N-[1-(7-chloro-isoquinolin-1-yl)-piperidin-4-yl]-benzamide
- 2,3-Dichloro-N-[1-(5-trifluoromethyl-isoquinolin-1-yl)-piperidin-4-yl]-benzamide
- N-[1-(6,8-Bis-trifluoromethyl-isoquinolin-1-yl)-piperidin-4-yl]-2,3-dichloro-benzamide
- 30 • 2,3-Dichloro-N-[1-(5,7-difluoro-isoquinolin-1-yl)-piperidin-4-yl]-benzamide
- 2,3-Dichloro-N-[1-(6-morpholin-4-yl-isoquinolin-1-yl)-piperidin-4-yl]-benzamide

- 2,3-Dichloro-N-[1-(6-phenylamino-isoquinolin-1-yl)-piperidin-4-yl]-benzamide
 - 2,3-Dichloro-N-[1-(6-phenylethynyl-isoquinolin-1-yl)-piperidin-4-yl]-benzamide
 - 5 • 1H-Indole-3-carboxylic acid (1-isoquinolin-1-yl-piperidin-4-yl)-amide
 - 3-Bromo-thiophene-2-carboxylic acid (1-isoquinolin-1-yl-piperidin-4-yl)-amide
 - 2-Fluoro-6-iodo-N-(1-isoquinolin-1-yl-piperidin-4-yl)-benzamide
 - 6-Methoxy-2-(2,3,4-trimethoxy-phenyl)-quinoline-4-carboxylic acid (1-isoquinolin-1-yl-piperidin-4-yl)-amide
 - 10 • 1-Methyl-1H-indole-3-carboxylic acid (1-isoquinolin-1-yl-piperidin-4-yl)-amide
 - 4-Pyrrol-1-yl-N-(1-isoquinolin-1-yl-piperidin-4-yl)-benzamide
 - 3-{1-[4-(2,3-Dichloro-benzoylamino)-piperidin-1-yl]-isoquinolin-6-yl}-benzoic acid methyl ester
 - 15 • 4-{1-[4-(2,3-Dichloro-benzoylamino)-piperidin-1-yl]-isoquinolin-6-yl}-benzoic acid methyl ester
 - 2,3-Dichloro-N-[1-(7-fluoro-isoquinolin-1-yl)-piperidin-4-yl]-benzamide
 - 5-Phenyl-2H-pyrazole-3-carboxylic acid (1-isoquinolin-1-yl-piperidin-4-yl)-amide
 - 20 • 3-Chloro-2-methyl-N-(1-isoquinolin-1-yl-piperidin-4-yl)-benzamide
 - 4-Phenoxy-N-(1-isoquinolin-1-yl-piperidin-4-yl)-benzamide
 - 2-Chloro-3-methyl-N-(1-isoquinolin-1-yl-piperidin-4-yl)-benzamide
- 25 **18.** The use of the compounds as claimed in claims 1-17 for producing medicaments which comprise at least one of the compounds of the formula I.
- 30 **19.** A medicament as claimed in claim 18 comprising suitable formulation and carrier substances.
- 20.** The use of the medicament as claimed in claim 18 and 19, characterized in that the medicament is used for treatment and prophylaxis of disorders.

21. The use as claimed in claim 20 for treatment and prophylaxis of disorders connected to the EP₂ receptor.
- 5 22. The use as claimed in claim 20 for treatment and prophylaxis of fertility disorders.
23. The use as claimed in claim 20 for treatment and prophylaxis of menstrual pains.
- 10 24. The use as claimed in claim 20 for treatment and prophylaxis of endometriosis.
- 15 25. The use of the compounds as claimed in claims 1-17 for modulation of the EP₂ receptor.
26. The use as claimed in claim 20 for treatment and prophylaxis of pain.
- 20 27. The use of the compounds as claimed in claims 1-17 and of the medicaments as claimed in claim 18 for fertility control.
28. The use as claimed in claim 20 for treatment and prophylaxis of cancer.
- 25 29. The use as claimed in claim 20 for treatment and prophylaxis of osteoporosis.
30. The use of the compounds of the general formula I as claimed in claims 1-17 in the form of a pharmaceutical preparation for enteral, parenteral, vaginal and oral administration.

INTERNATIONAL SEARCH REPORT

International application No
PCT/EP2007/008083

A. CLASSIFICATION OF SUBJECT MATTER

INV. C07D401/04 C07D215/46 C07D401/14 C07D405/14 C07D409/14
 C07D403/04 C07D403/14 A61K31/4709 A61K31/4706 A61K31/472
 A61K31/4725 A61K31/517 A61P19/10

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

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, CHEM ABS Data, WPI Data, BEILSTEIN Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	DATABASE CAPLUS [Online] CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; SHIMADA, JUNICHI ET AL: "Preparation of quinazoline derivatives and other heterocyclic compounds as analgesics" XP002416735 retrieved from STN Database accession no. 1999:690958 Verbindung RN 211320-42-2 (Zwischenverbindung). abstract & WO 99/53924 A1 (KYOWA HAKKO KOGYO CO., LTD., JAPAN) 28 October 1999 (1999-10-28) <div style="text-align: center;">----- -/--</div>	1

Further documents are listed in the continuation of Box C.

See patent family annex.

* Special categories of cited documents :

- *A* document defining the general state of the art which is not considered to be of particular relevance
- *E* earlier document but published on or after the international filing date
- *L* document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- *O* document referring to an oral disclosure, use, exhibition or other means
- *P* document published prior to the international filing date but later than the priority date claimed

- *T* later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
- *X* document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
- *Y* document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.
- *&* document member of the same patent family

Date of the actual completion of the international search

Date of mailing of the international search report

8 January 2008

21/01/2008

Name and mailing address of the ISA/

European Patent Office, P.B. 5818 Patentlaan 2
 NL - 2280 HV Rijswijk
 Tel. (+31-70) 340-2040, Tx. 31 651 epo nl,
 Fax: (+31-70) 340-3016

Authorized officer

Von Daacke, Axel

INTERNATIONAL SEARCH REPORT

International application No
PCT/EP2007/008083

C(Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	DATABASE CAPLUS [Online] CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; SHIMADA, JUNICHI ET AL: "Piperidine derivatives for increasing erythropoiesis." XP002416736 retrieved from STN Database accession no. 1999:409238 Verbindung RN 211320-37-5 (Zwischenverbindung). abstract & JP 11 171774 A (KYOWA HAKKO KOGYO CO., LTD., JAPAN) 29 June 1999 (1999-06-29)	1
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X	US 4 001 422 A (DANILEWICZ JOHN C ET AL) 4 January 1977 (1977-01-04) column 12, line 20 - line 53; example 46	1,18-20, 30
A	EP 1 306 087 A (ONO PHARMACEUTICAL CO [JP]) 2 May 2003 (2003-05-02) the whole document	1-30
A	US 2006/019975 A1 (HUMPHREY JOHN M [US] ET AL) 26 January 2006 (2006-01-26) paragraphs [0002], [0160] - [0164]; claim 1; example 1	1,18-30
A	WO 2005/026149 A (ASTRAZENECA AB [SE]; ASTRAZENECA UK LTD [GB]; BREEZE ALEXANDER LOUIS []) 24 March 2005 (2005-03-24) claims 1-26; example 134	1,18-30

INTERNATIONAL SEARCH REPORT

International application No.
PCT/EP2007/008083

Box No. II Observations where certain claims were found unsearchable (Continuation of item 2 of first sheet)

This international search report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. Claims Nos.:
because they relate to subject matter not required to be searched by this Authority, namely:

Although claims 20 to 30 are at least in part directed to a method of treatment of the human/animal body, the search has been carried out and based on the alleged effects of the compound/composition.
2. Claims Nos.:
because they relate to parts of the international application that do not comply with the prescribed requirements to such an extent that no meaningful international search can be carried out, specifically:
3. Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box No. III Observations where unity of invention is lacking (Continuation of item 3 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

1. As all required additional search fees were timely paid by the applicant, this international search report covers allsearchable claims.
2. As all searchable claims could be searched without effort justifying an additional fees, this Authority did not invite payment of additional fees.
3. As only some of the required additional search fees were timely paid by the applicant, this international search report covers only those claims for which fees were paid, specifically claims Nos.:
4. No required additional search fees were timely paid by the applicant. Consequently, this international search report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

- The additional search fees were accompanied by the applicant's protest and, where applicable, the payment of a protest fee.
- The additional search fees were accompanied by the applicant's protest but the applicable protest fee was not paid within the time limit specified in the invitation.
- No protest accompanied the payment of additional search fees.

INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No

PCT/EP2007/008083

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INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No

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