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(54) Title: PRODRUGS OF PYRAZOLINE COMPOUNDS, THEIR PREPARATION AND USE AS MEDICAMENTS

(57) Abstract: The present invention relates to prodrugs of pyrazoline compounds, methods for their preparation, medicaments comprising these compounds as well as their use for the preparation of a medicament for the treatment of humans and animals.



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Prodrugs of pyrazoline compounds, their preparation and use as medicaments

The present invention relates to prodrugs of pyrazoline compounds, methods for their preparation, medicaments comprising these compounds as well as their use for the preparation of a medicament for the treatment of humans and animals.

Cannabinoids are compounds, which are derived from the cannabis sativa plant which is commonly known as marijuana. The most active chemical compound of the naturally occurring cannabinoids is tetrahydrocannabinol (THC), particularly Δ^9 -THC.

These naturally occurring cannabinoids as well as their synthetic analogues promote their physiological effects via binding to specific G-coupled receptors, the so-called cannabinoid-receptors.

At present, two distinct types of receptors that bind both the naturally occurring and synthetic cannabinoids have been identified and cloned. These receptors, which are designated CB₁ and CB₂ are involved in a variety of physiological or pathophysiological processes in humans and animals, e. g. processes related to the central nervous system, immune system, cardiovascular system, endocrinous system, respiratory system, the gastrointestinal tract or to reproduction, as described for example, in Hollister, Pharm. Rev. 38, 1986, 1-20; Reny and Singha, Prog. Drug. Res., 36, 71-114, 1991; Consroe and Sandyk, in Marijuana/Cannabinoids, Neurobiology and Neurophysiology, 459, Murphy L. and Barthe A. Eds., CRC Press, 1992.

Therefore, compounds, which have a high binding affinity for these cannabinoid receptors and which are suitable for modulating these receptors are useful in the prevention and/or treatment of cannabinoid-receptor related disorders.

In particular, the CB₁-receptor is involved in many different food-intake related disorders such as bulimia or obesity, including obesity associated with type II diabetes (non-insulin-dependent diabetes) and thus, compounds suitable for

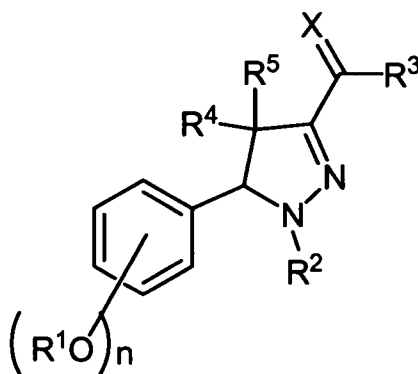
regulating this receptor may be used in the prophylaxis and/or treatment of these disorders.

Thus, it was an object of the present invention to provide novel compounds for use
5 as active substances in medicaments. In particular, these active substances should be suitable for the modulation of cannabinoid receptors, more particularly for the modulation of cannabinoid 1 (CB₁) receptors.

Said object was achieved by providing the prodrugs of pyrazoline compounds of
10 general formula I given below, their stereoisomers, corresponding salts and corresponding solvates thereof.

It has been found that these compounds have a high affinity for cannabinoid
receptors, particularly for the CB₁-receptor, and that they act as modulators e. g.
15 antagonists, inverse agonists or agonists on these receptors. They are therefore suitable for the prophylaxis and/or treatment of various disorders related to the central nervous system, the immune system, the cardiovascular system, the endocrinous system, the respiratory system, the gastrointestinal tract or reproduction in humans and/or animals, preferably humans including infants, children and grown-
20 ups.

Thus, in one of its aspects the present invention relates to prodrugs of pyrazoline compounds of general formula I,



I

wherein

n is 1, 2 or 3;

5 X is O or S;

R¹ represents -C(=O)-OR²³; -C(=O)-OH; -P(=O)-(OR²⁴)₂; -P(=O)-(OH)₂; -C(=O)-NH₂; -C(=O)-NH-R²⁵; -C(=O)-NR²⁶R²⁷; -C(=O)-H; -C(=O)-R²⁸; -S(=O)-R²⁹; -(CHR³⁶)_m-O-C(=O)-R³⁰ with m being 1, 2 or 3; -(CHR³⁶)_o-O-C(=O)-OR³¹ with o being 1, 2 or 3; -
10 (CHR³⁶)_p-O-P(=O)-(OR³²)₂ with p being 1, 2 or 3; -(CHR³⁶)_q-O-C(=O)-NH-R³³ with q being 1, 2 or 3; -(CHR³⁶)_r-O-C(=O)-NR³⁴R³⁵ with r being 1, 2 or 3; -S(=O)₂-R³⁷; -C(=S)-OR³⁸ or -C(=S)-R³⁹;

R² represents an unsubstituted or at least mono-substituted aryl or heteroaryl
15 radical, which may be condensed with an unsubstituted or at least mono-substituted mono- or polycyclic ring system;

or a saturated or unsaturated, unsubstituted or at least mono-substituted, optionally at least one heteroatom as a ring member containing cycloaliphatic radical, which
20 may be condensed with an unsubstituted or at least mono-substituted mono- or polycyclic ring system and/or may be bridged by at least one unsubstituted or at least mono-substituted alkylene group;

R³ an unsubstituted or at least mono-substituted aryl or heteroaryl radical, which
25 may be condensed with an unsubstituted or at least mono-substituted mono- or polycyclic ring system;

a saturated or unsaturated, unsubstituted or at least mono-substituted, optionally at least one heteroatom as a ring member containing cycloaliphatic radical, which may
30 be condensed with an unsubstituted or at least mono-substituted mono- or polycyclic ring system and/or may be bridged by at least one unsubstituted or at least mono-substituted alkylene group;

a saturated or unsaturated, unsubstituted or at least mono-substituted, optionally at least one heteroatom as a ring member containing cycloaliphatic radical which together with a saturated or unsaturated, unsubstituted or at least mono-substituted, optionally at least one heteroatom as a ring member containing cycloaliphatic radical
5 forms a saturated or unsaturated, unsubstituted or at least mono-substituted, optionally at least one heteroatom as a ring member containing spirocyclic residue via a common ring atom;

a -O-R⁶ moiety; a -NR⁷R⁸ moiety or a -NR⁹-O-R¹⁰ moiety;

10

R⁴ represents H; F; Cl; Br; I; -CN; -NO₂; -NC; -OH; -NH₂; -SH; -C(=O)-H; -C(=O)-OH; -C(=O)-OR¹⁷;

a saturated or unsaturated, unsubstituted or at least mono-substituted aliphatic
15 radical;

a saturated or unsaturated, unsubstituted or at least mono-substituted, optionally at least one heteroatom as a ring member containing cycloaliphatic radical, which may be condensed with an unsubstituted or at least mono-substituted mono- or polycyclic
20 ring system and/or may be bonded via an unsubstituted or at least mono-substituted alkylene group, alkenylene group or alkynylene group and/or may be bridged by at least one unsubstituted or at least mono-substituted alkylene group;

an unsubstituted or at least mono-substituted aryl or heteroaryl radical, which may be
25 condensed with an unsubstituted or at least mono-substituted mono- or polycyclic ring system and/or may be bonded via an optionally at least mono-substituted alkylene group, alkenylene group or alkynylene group;

a -O-R¹¹ moiety; a -S-R¹² moiety; a -NH-R¹³ moiety or a -NR¹⁴R¹⁵ moiety;

30

R⁵ represents H; F; Cl; Br; I; -CN; -NO₂; -NC; -OH; -NH₂; -SH; -C(=O)-H; -C(=O)-OH; -C(=O)-OR¹⁷;

a saturated or unsaturated, unsubstituted or at least mono-substituted aliphatic radical;

a saturated or unsaturated, unsubstituted or at least mono-substituted, optionally at least one heteroatom as a ring member containing cycloaliphatic radical, which may be condensed with an unsubstituted or at least mono-substituted mono- or polycyclic ring system and/or may be bonded via an unsubstituted or at least mono-substituted alkylene group, alkenylene group or alkynylene group and/or may be bridged by at least one unsubstituted or at least mono-substituted alkylene group;

an unsubstituted or at least mono-substituted aryl or heteroaryl radical, which may be condensed with an unsubstituted or at least mono-substituted mono- or polycyclic ring system and/or may be bonded via an unsubstituted or at least mono-substituted alkylene group, alkenylene group or alkynylene group;

a -O-R¹¹ moiety; a -S-R¹² moiety; a -NH-R¹³ moiety or a -NR¹⁴R¹⁵ moiety;

or R⁴ and R⁵ together with the bridging carbon atom form a saturated or unsaturated, unsubstituted or at least mono-substituted, optionally at least one heteroatom as a ring member containing cycloaliphatic radical;

R⁶ represents a hydrogen atom;

a saturated or unsaturated, unsubstituted or at least mono-substituted aliphatic radical;

a saturated or unsaturated, unsubstituted or at least mono-substituted, optionally at least one heteroatom as a ring member containing cycloaliphatic radical, which may be condensed with an unsubstituted or at least mono-substituted mono- or polycyclic ring system and/or may be bonded via an unsubstituted or at least mono-substituted alkylene group, alkenylene group or alkynylene group and/or may be bridged by at least one unsubstituted or at least mono-substituted alkylene group;

an unsubstituted or at least mono-substituted aryl or heteroaryl radical, which may be

condensed with an unsubstituted or at least mono-substituted mono- or polycyclic ring system and/or may be bonded via an unsubstituted or at least mono-substituted alkylene group, alkenylene group or alkynylene group;

- 5 a -P(=O)(OR¹⁶)₂ moiety; a -C(=O)-OR¹⁷ moiety; a -C(=O)-NH-R¹⁸ moiety or a -C(=O)-R¹⁹ moiety;

R⁷ and R⁸, independently of one another, in each case represent a hydrogen atom;

- 10 a saturated or unsaturated, unsubstituted or at least mono-substituted aliphatic radical;

- a saturated or unsaturated, unsubstituted or at least mono-substituted, optionally at least one heteroatom as a ring member containing cycloaliphatic radical, which may
15 be condensed with an unsubstituted or at least mono-substituted mono- or polycyclic ring system and/or may be bonded via an unsubstituted or at least mono-substituted alkylene group, alkenylene group or alkynylene group and/or may be bridged by at least one unsubstituted or at least mono-substituted alkylene group;

- 20 a saturated or unsaturated, unsubstituted or at least mono-substituted, optionally at least one heteroatom as a ring member containing cycloaliphatic radical which together with a saturated or unsaturated, unsubstituted or at least mono-substituted, optionally at least one heteroatom as a ring member containing cycloaliphatic radical forms a saturated or unsaturated, unsubstituted or at least mono-substituted,
25 optionally at least one heteroatom as a ring member containing spirocyclic residue via a common ring atom;

- an unsubstituted or at least mono-substituted aryl or heteroaryl radical, which may be condensed with an unsubstituted or at least mono-substituted mono- or polycyclic
30 ring system and/or may be bonded via an unsubstituted or at least mono-substituted alkylene group, alkenylene group or alkynylene group;

a -P(=O)(OR¹⁶)₂ moiety; a -C(=O)-OR¹⁷ moiety; a -C(=O)-NH-R¹⁸ moiety; a -C(=O)-R¹⁹ moiety; a -S(=O)₂-R²⁰ moiety; or a -NR²¹R²² moiety;

R^9 represents hydrogen or a saturated or unsaturated, unsubstituted or at least mono-substituted aliphatic radical;

5 R^{10} , R^{11} , R^{12} , R^{13} , R^{14} , R^{15} and R^{20} , independently of one another, in each case represent a saturated or unsaturated, unsubstituted or at least mono-substituted aliphatic radical;

10 a saturated or unsaturated, unsubstituted or at least mono-substituted, optionally at least one heteroatom as a ring member containing cycloaliphatic radical, which may be condensed with an unsubstituted or at least mono-substituted mono- or polycyclic ring system and/or may be bonded via an unsubstituted or at least mono-substituted alkylene group, alkenylene group or alkynylene group and/or may be bridged by at least one unsubstituted or at least mono-substituted alkylene group;

15 or an unsubstituted or at least mono-substituted aryl or heteroaryl radical, which may be condensed with an unsubstituted or at least mono-substituted mono- or polycyclic ring system and/or may be bonded via an unsubstituted or at least mono-substituted alkylene group, alkenylene group or alkynylene group;

20 R^{16} , R^{17} , R^{18} , R^{19} , R^{23} , R^{24} , R^{25} , R^{26} , R^{27} , R^{28} , R^{29} , R^{30} , R^{31} , R^{32} , R^{33} , R^{34} , R^{35} , R^{37} and R^{38} , independently of one another, in each case represent a saturated or unsaturated, unsubstituted or at least mono-substituted aliphatic radical;

25 or an unsubstituted or at least mono-substituted aryl or heteroaryl radical, which may be condensed with an unsubstituted or at least mono-substituted mono- or polycyclic ring system and/or may be bonded via an unsubstituted or at least mono-substituted alkylene group, alkenylene group or alkynylene group;

30 R^{21} and R^{22} , independently of one another, in each case represent a hydrogen atom;

a saturated or unsaturated, unsubstituted or at least mono-substituted aliphatic radical;

a saturated or unsaturated, unsubstituted or at least mono-substituted, optionally at least one heteroatom as a ring member containing cycloaliphatic radical, which may be condensed with an unsubstituted or at least mono-substituted mono- or polycyclic ring system and/or may be bonded via an unsubstituted or at least mono-substituted alkylene group, alkenylene group or alkynylene group;

a saturated or unsaturated, unsubstituted or at least mono-substituted, optionally at least one heteroatom as a ring member containing cycloaliphatic radical which together with a saturated or unsaturated, unsubstituted or at least mono-substituted, optionally at least one heteroatom as a ring member containing cycloaliphatic radical forms a saturated or unsaturated, unsubstituted or at least mono-substituted, optionally at least one heteroatom as a ring member containing spirocyclic residue via a common ring atom;

or an unsubstituted or at least mono-substituted aryl or heteroaryl radical, which may be condensed with an unsubstituted or at least mono-substituted mono- or polycyclic ring system and/or may be bonded via an unsubstituted or at least mono-substituted alkylene group, alkenylene group or alkynylene group;

and R^{36} represents a hydrogen atom or a saturated or unsaturated, unsubstituted or at least mono-substituted aliphatic radical;

optionally in form of one of its stereoisomers, preferably enantiomers or diastereomers, a racemate or in form of a mixture of at least two of its stereoisomers, preferably enantiomers and/or diastereomers, in any mixing ratio, or a corresponding N-oxide thereof, or a physiologically acceptable salt thereof, or a corresponding solvate thereof.

If one or more of the residues R^1 to R^{39} represents or comprises an aryl or heteroaryl radical, which may be substituted, unless defined otherwise, preferably said aryl or heteroaryl radical may optionally be substituted with 1, 2, 3, 4 or 5 substituent(s)

independently selected from the group consisting of -C₁₋₆-perfluoralkyl, -C₁₋₆-alkyl substituted with one or more methoxy and/or ethoxy groups, -C₁₋₆-alkyl, -C₁₋₆-alkyl substituted with one or more hydroxy groups, -C₁₋₆-alkyl substituted with one or more chlorine atoms, -O-C₁₋₆-alkyl, -O-C₁₋₆-alkyl substituted with one or more methoxy and/or ethoxy groups, -S-C₁₋₆-alkyl, -C(=O)-OH, -C(=O)-O-C₁₋₆-alkyl, -O-C(=O)-C₁₋₆-alkyl, F, Cl, Br, I, -CN, -OCF₃, -O-C₂F₅, -O-C₃F₇, -O-C₄F₉, -SCF₃, -SCF₃, -SCF₂H, -SCFH₂, -OH, -SH, -SO₃H, -NH-C(=O)-C₁₋₆-alkyl, -N(C₁₋₆-alkyl)-C(=O)-C₁₋₆-alkyl, -NO₂, -CHO, -C(=O)-C₁₋₆-alkyl, -C(=O)-C₁₋₆-perfluoroalkyl, -C(=S)-NH-C₁₋₆-alkyl, -CF₂H, -CFH₂, -C(=O)-NR^AR^B, -C(=O)-NH-NR^CR^D, -S(=O)-C₁₋₆-alkyl, -S(=O)₂-C₁₋₆-alkyl, -S(=O)₂-phenyl, -S(=O)-phenyl, -(C₁₋₅-alkylene)-S-C₁₋₆-alkyl, -(C₁₋₅-alkylene)-S(=O)-C₁₋₆-alkyl, -(C₁₋₅-alkylene)-S(=O)₂-C₁₋₆-alkyl, -NR^ER^F, -(C₁₋₅-alkylene)-NR^ER^F, -S(=O)-NH₂, -S(=O)₂-NH-C₁₋₆-alkyl, -S(=O)₂-NH-phenyl, -NH-S(=O)₂-C₁₋₆-alkyl, -O-S(=O)-C₁₋₆-alkyl-, -O-S(=O)-phenyl, -O-S(=O)-benzyl, -O-S(=O)₂-C₁₋₆-alkyl-, -O-S(=O)₂-phenyl, -O-S(=O)₂-benzyl, -N⁺(C₁₋₆-alkyl)₃, -O-phenyl, -O-benzyl, -S-phenyl, -S-benzyl, -C(=O)-O-benzyl, -C(=O)-O-phenyl, -C(=O)-benzyl, -C(=O)-phenyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, pyrrolidinyl, piperidinyl, phenyl, thiophenyl and benzyl;

whereby in each case the cyclic moieties cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, pyrrolidinyl, piperidinyl, phenyl, thiophenyl and benzyl can optionally be substituted with 1, 2, 3, 4 or 5 substituent(s) independently selected from the group consisting of F, Cl, Br, I, -OH, -CF₃, -CN, -NO₂, -C₁₋₆-alkyl, -O-C₁₋₆-alkyl, -O-CF₃ and -S-CF₃ and

whereby R^A, R^B, R^E and R^F, independently of one another, represent hydrogen or -C₁₋₆-alkyl or R^A and R^B in each case together with the bridging nitrogen atom form a radical selected from the group consisting of pyrrolidinyl, imidazolidinyl, piperazinyl, piperidinyl, thiomorpholinyl, morpholinyl, azepanyl and diazepanyl which may be at least mono-substituted with one or more identical or different C₁₋₆ alkyl radicals

and whereby R^C and R^D, independently of one another, represent hydrogen, -C₁₋₆-alkyl, -C(=O)-O-C₁₋₆-alkyl, C₃₋₈-cycloalkyl, -(C₁₋₅-alkylene)-C₃₋₈-cycloalkyl, -(C₁₋₆-alkylene)-O-C₁₋₆-alkyl or -C₁₋₆-alkyl substituted with one or more hydroxy groups or R^C and R^D in each case together with the bridging nitrogen atom form a radical

selected from the group consisting of pyrrolidinyl, imidazolidinyl, piperazinyl, piperidinyl, thiomorpholinyl, morpholinyl, azepanyl and diazepanyl which may be at least mono-substituted with one or more substituents independently selected from the group consisting -C₁₋₆-alkyl, -C(=O)-C₁₋₆-alkyl, -C(=O)-O-C₁₋₆-alkyl, -C(=O)-NH-C₁₋₆-alkyl, -C(=S)-NH-C₁₋₆-alkyl, oxo (=O), -C₁₋₆-alkyl substituted with one or more hydroxy groups, -(C₁₋₆-alkylene)-O-C₁₋₆-alkyl and -C(=O)-NH₂.

More preferably said aryl and heteroaryl radicals may optionally be substituted with 1, 2, 3, 4 or 5 substituent(s) independently selected from the group consisting of -CF₃, -C₂F₅, -C₃F₇, -C₄F₉, -CH₂Cl, -CHCl₂, -C₂H₄Cl, methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, 2-butyl, tert-butyl, n-pentyl, 2-pentyl, n-hexyl, -CH₂-OH, -CH₂-CH₂-OH, -CH₂-CH₂-CH₂-OH, -O-CH₂-O-CH₃, -O-CH₂-CH₂-O-CH₃, -O-CH₂-O-C₂H₅, -C(OCH₃)(C₂H₅)₂, -C(OCH₃)(CH₃)₂, -O-CH₃, -O-C₂H₅, -O-CH₂-CH₂-CH₃, -O-CH(CH₃)₂, -O-CH₂-CH₂-CH₂-CH₃, -O-C(CH₃)₃, -S-CH₃, -S-C₂H₅, -S-CH₂-CH₂-CH₃, -S-CH(CH₃)₂, -S-CH₂-CH₂-CH₂-CH₃, -S-C(CH₃)₃, -C(=O)-OH, -C(=O)-O-CH₃, -C(=O)-O-C₂H₅, -C(=O)-O-C₃H₇, -C(=O)-O-C(CH₃)₃, -O-C(=O)-CH₃, -O-C(=O)-C₂H₅, -O-C(=O)-CH(CH₃)₂, -O-C(=O)-CH₂-CH₂-CH₃, -O-C(=O)-C(CH₃)₃, F, Cl, Br, I, -CN, -OCF₃, -O-C₂F₅, -O-C₃F₇, -O-C₄F₉, -SCF₃, -SCF₂H, -SCFH₂, -OH, -SH, -SO₃H, -NH-C(=O)-CH₃, -NH-C(=O)-C₂H₅, -NH-C(=O)-C(CH₃)₃, -NO₂, -CHO, -C(=O)-CH₃, -C(=O)-C₂H₅, -C(=O)-C(CH₃)₃, -C(=O)-CF₃, -C(=O)-C₂F₅, -C(=O)-C₃F₇, -C(=S)-NH-CH₃, -C(=S)-NH-C₂H₅, -CF₂H, -CFH₂, -C(=O)-NH₂, -C(=O)-NH-CH₃, -C(=O)-NH-C₂H₅, -C(=O)-NH-C₃H₇, -C(=O)-N(CH₃)₂, -C(=O)-N(C₂H₅)₂, -C(=O)-NH-NH-CH₃, -C(=O)-NH-NH-C₂H₅, -C(=O)-NH-NH₂, -C(=O)-NH-N(CH₃)₂, -S(=O)-CH₃, -S(=O)-C₂H₅, -S(=O)-C₃H₇, -S(=O)₂-CH₃, -S(=O)₂-C₂H₅, -S(=O)₂-C₃H₇, -S(=O)₂-phenyl, -NH₂, -NH-CH₃, -NH-C₂H₅, -N(CH₃)₂, -N(C₂H₅)₂, -CH₂-N(CH₃)₂, -(CH₂)-morpholinyl, -(CH₂)-piperidinyl, -(CH₂)-piperazinyl, -(CH₂)-N(C₂H₅)₂, -CH₂-N(C₃H₇)₂, -CH₂-N(C₄H₉)₂, -CH₂-N(CH₃)(C₂H₅), -S(=O)-NH₂, -S(=O)₂-NH-CH₃, -S(=O)₂-NH-phenyl, -NH-S(=O)₂-CH₃, -N⁺(CH₃)₃, -N⁺(C₂H₅)₃, -N⁺[C(CH₃)₃]₃, -S(=O)-C(CH₃)₃, -S(=O)₂-C(CH₃)₃, -O-S(=O)-CH₃, -O-S(=O)-C₂H₅, -O-S(=O)-C₃H₇, -O-S(=O)-C(CH₃)₃, -O-S(=O)₂-CH₃, -O-S(=O)₂-C₂H₅, -O-S(=O)₂-C₃H₇, -O-S(=O)₂-C(CH₃)₃, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, pyrrolidinyl, piperidinyl, phenyl, thiophenyl and benzyl, whereby said thiophenyl radical can be substituted with 1, 2 or 3 substituent(s) independently selected from the group consisting of F, Cl, Br, methyl, ethyl and n-propyl.

Preferred aryl radicals which are optionally at least mono-substituted are phenyl and naphthyl (1- and 2-naphthyl).

- 5 Preferably the heteroatoms which are present as ring members in the heteroaryl radical may, unless defined otherwise, independently be selected from the group consisting of nitrogen, oxygen and sulfur. More preferably a heteroaryl radical is 5- to 14-membered and may comprise 1, 2, 3 or 4 heteroatoms independently selected from the group consisting of nitrogen, oxygen and sulfur.

10

Preferred heteroaryl radicals which are unsubstituted or at least mono-substituted are pyridinyl, furyl (furanyl), thienyl (thiophenyl), pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, imidazolyl, pyrazolyl, oxadiazolyl, thiadiazolyl, triazolyl, pyridazinyl, indolyl, isoindolyl, pyrimidinyl, pyrazinyl, quinolinyl, isoquinolinyl, benzo[b]furanyl, benzo[b]thiophenyl, benzo[2,1,3]thiadiazolyl, [1,2,3]-benzothiadiazolyl, [2,1,3]-benzoxadiazolyl, [1,2,3]-benzoxadiazolyl, benzoxazolyl, benzthiazolyl, benzisoxazolyl, benzisothiazolyl, imidazo[2,1-b]thiazolyl, 2H-chromenyl, pyranyl, indazolyl and quinazolinyl.

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- 20 Preferred aryl and heteroaryl radicals which are condensed with a mono- or polycyclic ring system are [1,3]-benzodioxolyl, [1,4]-benzodioxanyl, [1,2,3,4]-tetrahydronaphthyl, (2,3)-dihydro-1H-cyclopenta[b]indolyl, [1,2,3,4]-tetrahydroquinolinyl, [1,2,3,4]-tetrahydroisoquinolinyl, [1,2,3,4]-tetrahydroquinazolinyl and [3,4]-dihydro-2H-benzo[1,4]oxazinyl.

25

If one or more of the residues R^1 to R^{39} represents or comprises a saturated or unsaturated, optionally at least one heteroatom as a ring member containing cycloaliphatic radical, preferably a C_{3-18} cycloaliphatic radical, a heterocyclic ring, preferably a 4- to 10-membered heterocyclic ring, a C_{3-16} cycloalkyl radical, a C_{4-16} cycloalkenyl radical, a C_{4-16} heterocycloalkyl radical, or a C_{5-16} heterocycloalkenyl radical, which may be substituted, unless defined otherwise, preferably said cycloaliphatic radical, heterocyclic ring, C_{3-16} cycloalkyl radical, C_{4-16} cycloalkenyl radical, C_{4-16} heterocycloalkyl radical, or C_{5-16} heterocycloalkenyl radical, may in each case optionally be substituted with 1, 2, 3, 4 or 5 substituent(s) independently

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selected from the group consisting of oxo (=O), thioxo (=S), -C₁₋₆-perfluoroalkyl, -C₁₋₆-alkyl, -C₁₋₆-alkyl substituted with one or more hydroxy groups, -C₁₋₆-alkyl substituted with one or more chlorine atoms, -C₁₋₆-alkyl substituted with one or more methoxy and/or ethoxy groups, -O-C₁₋₆-alkyl, -O-C₁₋₆-alkyl substituted with one or more methoxy and/or ethoxy groups, -S-C₁₋₆-alkyl, -C(=O)-OH, -C(=O)-O-C₁₋₆-alkyl, -O-C(=O)-C₁₋₆-alkyl, F, Cl, Br, I, -CN, -OCF₃, -O-C₂F₅, -O-C₃F₇, -O-C₄F₉, -SCF₃, -SCF₂H, -SCFH₂, -OH, -SH, -SO₃H, -NH-C(=O)-C₁₋₆-alkyl, -N(C₁₋₆-alkyl)-C(=O)-C₁₋₆-alkyl, -NO₂, -CHO, -C(=O)-C₁₋₆-alkyl, -C(=O)-C₁₋₆-perfluoroalkyl, -C(=S)-NH-C₁₋₆-alkyl, -CF₂H, -CFH₂, -C(=O)-NR^AR^B, -C(=O)-NH-NR^CR^D, -S(=O)-C₁₋₆-alkyl, -S(=O)₂-C₁₋₆-alkyl, -S(=O)₂-phenyl, -(C₁₋₅-alkylene)-S-C₁₋₆-alkyl, -(C₁₋₅-alkylene)-S(=O)-C₁₋₆-alkyl, -(C₁₋₅-alkylene)-S(=O)₂-C₁₋₆-alkyl, -NR^ER^F, -(C₁₋₅-alkylene)-NR^ER^F, -S(=O)-NH₂, -S(=O)₂-NH-C₁₋₆-alkyl, -S(=O)₂-NH-phenyl, -NH-S(=O)₂-C₁₋₆-alkyl, -O-Benzyl, -O-Phenyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, pyrrolidinyl, piperidinyl, phenyl, thiophenyl and benzyl;

whereby in each case the cyclic moieties cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, pyrrolidinyl, piperidinyl, phenyl, thiophenyl and benzyl can optionally be substituted with 1, 2, 3, 4 or 5 substituent(s) independently selected from the group consisting of F, Cl, Br, I, -OH, -CF₃, -CN, -NO₂, -C₁₋₆-alkyl, -O-C₁₋₆-alkyl, -O-CF₃ and -S-CF₃ and

whereby R^A, R^B, R^E and R^F, independently of one another, represent hydrogen or -C₁₋₆-alkyl or R^A and R^B in each case together with the bridging nitrogen atom form a radical selected from the group consisting of pyrrolidinyl, imidazolidinyl, piperazinyl, piperidinyl, thiomorpholinyl, morpholinyl, azepanyl and diazepanyl which may be at least mono-substituted with one or more identical or different C₁₋₆ alkyl radicals

and whereby R^C and R^D, independently of one another, represent hydrogen, -C₁₋₆-alkyl, -C(=O)-O-C₁₋₆-alkyl, C₃₋₈-cycloalkyl, -(C₁₋₅-alkylene)-C₃₋₈-cycloalkyl, -(C₁₋₆-alkylene)-O-C₁₋₆-alkyl or -C₁₋₆-alkyl substituted with one or more hydroxy groups or R^C and R^D in each case together with the bridging nitrogen atom form a radical selected from the group consisting of pyrrolidinyl, imidazolidinyl, piperazinyl, piperidinyl, thiomorpholinyl, morpholinyl, azepanyl and diazepanyl which may be at least mono-substituted with one or more substituents independently selected from

the group consisting of $-C_{1-6}$ -alkyl, $-C(=O)-C_{1-6}$ -alkyl, $-C(=O)-O-C_{1-6}$ -alkyl, $-C(=O)-NH-C_{1-6}$ -alkyl, $-C(=S)-NH-C_{1-6}$ -alkyl, oxo ($=O$), $-C_{1-6}$ -alkyl substituted with one or more hydroxy groups, $-(C_{1-6}$ -alkylene)- $O-C_{1-6}$ -alkyl and $-C(=O)-NH_2$.

- 5 More preferably said cycloaliphatic radicals, heterocyclic rings, C_{3-16} cycloalkyl radicals, C_{4-16} cycloalkenyl radicals, C_{4-16} heterocycloalkyl radicals, or C_{5-16} heterocycloalkenyl radicals, may optionally be substituted with 1, 2, 3, 4 or 5 substituent(s) independently selected from the group consisting of oxo ($=O$), thioxo ($=S$), $-CF_3$, $-C_2F_5$, $-C_3F_7$, $-C_4F_9$, $-CH_2Cl$, $-CHCl_2$, $-C_2H_4Cl$, methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, 2-butyl, tert-butyl, n-pentyl, 2-pentyl, n-hexyl, $-CH_2-OH$, $-CH_2-CH_2-OH$, $-CH_2-CH_2-CH_2-OH$, $-O-CH_2-O-CH_3$, $-O-CH_2-CH_2-O-CH_3$, $-O-CH_2-O-C_2H_5$, $-C(OCH_3)(C_2H_5)_2$, $-C(OCH_3)(CH_3)_2$, $-O-CH_3$, $-O-C_2H_5$, $-O-CH_2-CH_2-CH_3$, $-O-CH(CH_3)_2$, $-O-CH_2-CH_2-CH_2-CH_3$, $-O-C(CH_3)_3$, $-S-CH_3$, $-S-C_2H_5$, $-S-CH_2-CH_2-CH_3$, $-S-CH(CH_3)_2$, $-S-CH_2-CH_2-CH_2-CH_3$, $-S-C(CH_3)_3$, $-C(=O)-OH$, $-C(=O)-O-CH_3$, $-C(=O)-O-C_2H_5$, $-C(=O)-O-C_3H_7$, $-C(=O)-O-C(CH_3)_3$, $-O-C(=O)-CH_3$, $-O-C(=O)-C_2H_5$, $-O-C(=O)-CH(CH_3)_2$, $-O-C(=O)-CH_2-CH_2-CH_3$, $-O-C(=O)-C(CH_3)_3$, F, Cl, Br, I, $-CN$, $-OCF_3$, $-O-C_2F_5$, $-O-C_3F_7$, $-O-C_4F_9$, $-SCF_3$, $-SCF_2H$, $-SCFH_2$, $-OH$, $-SH$, $-SO_3H$, $-NH-C(=O)-CH_3$, $-NH-C(=O)-C_2H_5$, $-NH-C(=O)-C(CH_3)_3$, $-NO_2$, $-CHO$, $-C(=O)-CH_3$, $-C(=O)-C_2H_5$, $-C(=O)-C(CH_3)_3$, $-C(=O)-CF_3$, $-C(=O)-C_2F_5$, $-C(=O)-C_3F_7$, $-C(=S)-NH-CH_3$, $-C(=S)-NH-C_2H_5$, $-CF_2H$, $-CFH_2$, $-C(=O)-NH_2$, $-C(=O)-NH-CH_3$, $-C(=O)-NH-C_2H_5$, $-C(=O)-NH-C_3H_7$, $-C(=O)-N(CH_3)_2$, $-C(=O)-N(C_2H_5)_2$, $-C(=O)-NH-NH-CH_3$, $-C(=O)-NH-NH-C_2H_5$, $-C(=O)-NH-NH_2$, $-C(=O)-NH-N(CH_3)_2$, $-S(=O)-CH_3$, $-S(=O)-C_2H_5$, $-S(=O)-C_3H_7$, $-S(=O)_2-CH_3$, $-S(=O)_2-C_2H_5$, $-S(=O)_2-C_3H_7$, $-S(=O)_2$ -phenyl, $-NH_2$, $-NH-CH_3$, $-NH-C_2H_5$, $-N(CH_3)_2$, $-N(C_2H_5)_2$, $-CH_2-N(CH_3)_2$, $-(CH_2)$ -morpholinyl, $-(CH_2)$ -piperidinyl, $-(CH_2)$ -piperazinyl, $-(CH_2)-N(C_2H_5)_2$, $-CH_2-N(C_3H_7)_2$, $-CH_2-N(C_4H_9)_2$, $-CH_2-N(CH_3)(C_2H_5)$, $-S(=O)-NH_2$, $-S(=O)_2-NH-CH_3$, $-S(=O)_2-NH$ -phenyl, $-NH-S(=O)_2-CH_3$, $-O$ -Benzyl, $-O$ -Phenyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, pyrrolidinyl, piperidinyl, phenyl, thiophenyl and benzyl, whereby said thiophenyl radical can be substituted with 1, 2 or 3 substituents independently selected from the group consisting of F, Cl, Br, methyl, ethyl and n-propyl.

If one or more of the residues R^1 to R^{39} represents or comprises a cycloaliphatic radical, preferably a C_{3-16} cycloaliphatic radical, which contains one or more heteroatoms as ring members, unless defined otherwise, each of these heteroatoms

may preferably be selected from the group consisting of nitrogen, oxygen and sulfur. More preferably a cycloaliphatic group may optionally contain 1, 2, 3 or 4 heteroatom(s) independently selected from the group consisting of N, O and S as ring members.

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Suitable saturated or unsaturated, optionally at least one heteroatom as ring member containing cycloaliphatic radicals may preferably be selected from the group consisting of cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, cyclooctyl, cyclononyl, cyclodecyl, cycloundecyl, cyclododecyl, cyclotridecyl, cyclotetradecyl, cyclopentenyl, cyclohexenyl, cycloheptenyl, cyclooctenyl, pyrrolidinyl, piperidinyl, piperazinyl, homopiperazinyl, morpholinyl, aziridinyl, azetidiny, imidazolidinyl, thiomorpholinyl, pyrazolidinyl, tetrahydrofuranyl, tetrahydrothiophenyl, azepanyl, diazepanyl, azocanyl, (2,5)-dihydrofuranyl, (2,5)-dihydrothiophenyl, (2,3)-dihydrofuranyl, (2,3)-dihydrofuranyl, (2,5)-dihydro-1H-pyrrolyl, (2,3)-dihydro-1H-pyrrolyl, tetrahydrothiopyranyl, tetrahydropyranyl, (3,4)-dihydro-2H-pyranyl, (3,4)-dihydro-2H-thiopyranyl, (1,2,3,6)-tetrahydropyridinyl, (1,2,3,4)-tetrahydropyridinyl, (1,2,5,6)-tetrahydropyridinyl, [1,3]-oxazinanyl, hexahydropyrimidinyl, (5,6)-dihydro-4H-pyrimidinyl, oxazolidinyl, (1,3)-dioxanyl, (1,4)-dioxanyl and (1,3)-dioxolanyl.

Suitable saturated or unsaturated, optionally at least one heteroatom as ring member containing cycloaliphatic radicals which are condensed with an unsubstituted or at least mono-substituted mono- or polycyclic ring system may preferably be selected from the group consisting of indolinyl, isoindolinyl, decahydronaphthyl, (1,2,3,4)-tetrahydroquinolinyl, (1,2,3,4)-tetrahydroisoquinolinyl, (1,2,3,4)-tetrahydronaphthyl, octahydro-cyclopenta[c]pyrrolyl, (1,3,4,7,9a)-hexahydro-2H-quinolizinyl, (1,2,3,5,6,8a)-hexahydro-indolizinyl, decahydroquinolinyl, dodecahydro-carbazolyl, 9H-carbazolyl, decahydroisoquinolinyl, (6,7)-dihydro-4H-thieno[3,2-c]pyridinyl, (2,3)-dihydro-1H-benzo[de]isoquinolinyl and (1,2,3,4)-tetrahydroquinoxazlinyl.

Preferably a cycloaliphatic radical, a C₃₋₁₆ cycloalkyl radical, a C₄₋₁₆ cycloalkenyl radical, a C₄₋₁₆ heterocycloalkyl radical or a C₅₋₁₆ heterocycloalkenyl radical may be bridged by 1, 2 or 3 unsubstituted or at least mono-substituted alkylene group(s).

Suitable saturated or unsaturated, optionally at least one heteroatom as ring member containing cycloaliphatic radicals which are bridged by at least one unsubstituted or at least mono-substituted alkylene group may preferably be selected from the group consisting of adamantyl, bicyclo[2.2.1]heptyl, bicyclo[3.1.1]heptyl, norbornenyl and 8-
5 aza-bicyclo[3.2.1]octyl.

A suitable saturated or unsaturated, optionally at least one heteroatom as ring member containing cycloaliphatic radical which together with a saturated or unsaturated, unsubstituted or at least mono-substituted cycloaliphatic radical forms a
10 spirocyclic residue via a common ring atom is 8-aza-spiro[4.5]decanyl.

A mono- or polycyclic ring system according to the present invention - if not defined otherwise - means a mono- or polycyclic hydrocarbon ring system, preferably a mono- or bicyclic ring system, that may be saturated, unsaturated or aromatic. Each
15 of its different rings may show a different degree of saturation, i.e. they may be saturated, unsaturated or aromatic. Optionally each of the rings of the mono- or bicyclic ring system may contain one or more, preferably 1, 2 or 3, heteroatom(s) as ring member(s), which may be identical or different and which can preferably be selected from the group consisting of nitrogen, oxygen and sulfur. The rings of the
20 mono- or bicyclic ring system are preferably 5-, 6- or 7-membered.

The term "condensed" according to the present invention means that a ring or ring system is attached to another ring or ring system, whereby the terms "annulated" or "annelated" are also used by those skilled in the art to designate this kind of
25 attachment.

If one or more of the residues R^1 to R^{39} comprises a mono- or polycyclic ring system, which may be substituted, unless defined otherwise, preferably said mono- or polycyclic ring system may optionally be substituted with 1, 2, 3, 4 or 5 substituent(s)
30 independently selected from the group consisting of oxo (=O), thioxo (=S), -C₁₋₆-perfluoralkyl, -C₁₋₆-alkyl, -C₁₋₆-alkyl substituted with one or more hydroxy groups, -C₁₋₆-alkyl substituted with one or more chlorine atoms, -C₁₋₆-alkyl substituted with one or more methoxy and/or ethoxy groups, -O-C₁₋₆-alkyl, -O-C₁₋₆-alkyl substituted with one or more methoxy and/or ethoxy groups, -S-C₁₋₆-alkyl, -C(=O)-OH, -C(=O)-O-C₁₋₆-

alkyl, -O-C(=O)-C₁₋₆-alkyl, F, Cl, Br, I, -CN, -OCF₃, -O-C₂F₅, -O-C₃F₇, -O-C₄F₉, -SCF₃,
 -SCF₂H, -SCFH₂, -OH, -SH, -SO₃H, -NH-C(=O)-C₁₋₆-alkyl, -N(C₁₋₆-alkyl)-C(=O)-C₁₋₆-
 alkyl, -NO₂, -CHO, -C(=O)-C₁₋₆-alkyl, -C(=O)-C₁₋₆-perfluoroalkyl, -C(=S)-NH-C₁₋₆-alkyl,
 -CF₂H, -CFH₂, -C(=O)-NR^AR^B, -C(=O)-NH-NR^CR^D, -S(=O)-C₁₋₆-alkyl, -S(=O)₂-C₁₋₆-
 5 alkyl, -S(=O)₂-phenyl, -(C₁₋₅-alkylene)-S-C₁₋₆-alkyl, -(C₁₋₅-alkylene)-S(=O)-C₁₋₆-alkyl, -
 (C₁₋₅-alkylene)-S(=O)₂-C₁₋₆-alkyl, -NR^ER^F, -(C₁₋₅-alkylene)-NR^ER^F, -S(=O)-NH₂, -
 S(=O)₂-NH-C₁₋₆-alkyl, -S(=O)₂-NH-phenyl, -NH-S(=O)₂-C₁₋₆-alkyl, cyclopropyl,
 cyclobutyl, cyclopentyl, cyclohexyl, pyrrolidinyl, piperidinyl, phenyl, thiophenyl and
 benzyl;

10 whereby in each case the cyclic moieties cyclopropyl, cyclobutyl, cyclopentyl,
 cyclohexyl, pyrrolidinyl, piperidinyl, phenyl, thiophenyl and benzyl can optionally be
 substituted with 1, 2, 3, 4 or 5 substituent(s) independently selected from the group
 consisting of F, Cl, Br, I, -OH, -CF₃, -CN, -NO₂, -C₁₋₆-alkyl, -O-C₁₋₆-alkyl, -O-CF₃ and -
 15 S-CF₃ and

whereby R^A, R^B, R^E and R^F, independently of one another, represent hydrogen or -C₁₋₆-
 6-alkyl or R^A and R^B in each case together with the bridging nitrogen atom form a
 radical selected from the group consisting of pyrrolidinyl, imidazolidinyl, piperazinyl,
 20 piperidinyl, thiomorpholinyl, morpholinyl, azepanyl and diazepanyl which may be at
 least mono-substituted with one or more identical or different C₁₋₆ alkyl radicals

and whereby R^C and R^D, independently of one another, represent hydrogen, -C₁₋₆-
 alkyl, -C(=O)-O-C₁₋₆-alkyl, C₃₋₈-cycloalkyl, -(C₁₋₅-alkylene)-C₃₋₈-cycloalkyl, -(C₁₋₆-
 25 alkylene)-O-C₁₋₆-alkyl or -C₁₋₆-alkyl substituted with one or more hydroxy groups or
 R^C and R^D in each case together with the bridging nitrogen atom form a radical
 selected from the group consisting of pyrrolidinyl, imidazolidinyl, piperazinyl,
 piperidinyl, thiomorpholinyl, morpholinyl, azepanyl and diazepanyl which may be at
 least mono-substituted with one or more substituents independently selected from
 30 the group consisting -C₁₋₆-alkyl, -C(=O)-C₁₋₆-alkyl, -C(=O)-O-C₁₋₆-alkyl, -C(=O)-NH-C₁₋₆-
 6-alkyl, -C(=S)-NH-C₁₋₆-alkyl, oxo (=O), -C₁₋₆-alkyl substituted with one or more
 hydroxy groups, -(C₁₋₆-alkylene)-O-C₁₋₆-alkyl and -C(=O)-NH₂.

More preferably said mono- or polycyclic ring system may optionally be substituted with 1, 2, 3, 4 or 5 substituent(s) independently selected from the group consisting of oxo (=O), thioxo (=S), -CF₃, -C₂F₅, -C₃F₇, -C₄F₉, -CH₂Cl, -CHCl₂, -C₂H₄Cl, methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, 2-butyl, tert-butyl, n-pentyl, 2-pentyl, n-hexyl, -CH₂-OH, -CH₂-CH₂-OH, -CH₂-CH₂-CH₂-OH, -O-CH₂-O-CH₃, -O-CH₂-CH₂-O-CH₃, -O-CH₂-O-C₂H₅, -C(OCH₃)(C₂H₅)₂, -C(OCH₃)(CH₃)₂, -O-CH₃, -O-C₂H₅, -O-CH₂-CH₂-CH₃, -O-CH(CH₃)₂, -O-CH₂-CH₂-CH₂-CH₃, -O-C(CH₃)₃, -S-CH₃, -S-C₂H₅, -S-CH₂-CH₂-CH₃, -S-CH(CH₃)₂, -S-CH₂-CH₂-CH₂-CH₃, -S-C(CH₃)₃, -C(=O)-OH, -C(=O)-O-CH₃, -C(=O)-O-C₂H₅, -C(=O)-O-C₃H₇, -C(=O)-O-C(CH₃)₃, -O-C(=O)-CH₃, -O-C(=O)-C₂H₅, -O-C(=O)-CH(CH₃)₂, -O-C(=O)-CH₂-CH₂-CH₃, -O-C(=O)-C(CH₃)₃, F, Cl, Br, I, -CN, -OCF₃, -O-C₂F₅, -O-C₃F₇, -O-C₄F₉, -SCF₃, -SCF₂H, -SCFH₂, -OH, -SH, -SO₃H, -NH-C(=O)-CH₃, -NH-C(=O)-C₂H₅, -NH-C(=O)-C(CH₃)₃, -NO₂, -CHO, -C(=O)-CH₃, -C(=O)-C₂H₅, -C(=O)-C(CH₃)₃, -C(=O)-CF₃, -C(=O)-C₂F₅, -C(=O)-C₃F₇, -C(=S)-NH-CH₃, -C(=S)-NH-C₂H₅, -CF₂H, -CFH₂, -C(=O)-NH₂, -C(=O)-NH-CH₃, -C(=O)-NH-C₂H₅, -C(=O)-NH-C₃H₇, -C(=O)-N(CH₃)₂, -C(=O)-N(C₂H₅)₂, -C(=O)-NH-NH-CH₃, -C(=O)-NH-NH-C₂H₅, -C(=O)-NH-NH₂, -C(=O)-NH-N(CH₃)₂, -S(=O)-CH₃, -S(=O)-C₂H₅, -S(=O)-C₃H₇, -S(=O)₂-CH₃, -S(=O)₂-C₂H₅, -S(=O)-C₃H₇, -S(=O)₂-phenyl, -NH₂, -NH-CH₃, -NH-C₂H₅, -N(CH₃)₂, -N(C₂H₅)₂, -CH₂-N(CH₃)₂, -(CH₂)-morpholinyl, -(CH₂)-piperidinyl, -(CH₂)-piperazinyl, -(CH₂)-N(C₂H₅)₂, -CH₂-N(C₃H₇)₂, -CH₂-N(C₄H₉)₂, -CH₂-N(CH₃)(C₂H₅), -S(=O)-NH₂, -S(=O)₂-NH-CH₃, -S(=O)₂-NH-phenyl, -NH-S(=O)₂-CH₃, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, pyrrolidinyl, piperidinyl, phenyl, thiophenyl and benzyl, whereby said thiophenyl radical can be substituted with 1, 2 or 3 substituents independently selected from the group consisting of F, Cl, Br, methyl, ethyl and n-propyl.

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If one or more of the residues R¹ to R³⁹ represent or comprise a saturated or unsaturated, unsubstituted or at least mono-substituted aliphatic radical, preferably a C₁₋₁₆ aliphatic radical, said aliphatic radical may be linear or branched.

30 Preferably aliphatic radicals, C₁₋₁₆ alkyl radicals, C₂₋₁₆ alkenyl radical and C₂₋₁₆ alkynyl radicals, unless defined otherwise, may optionally be substituted with 1, 2, 3, 4, 5, 6, 7, 8 or 9 substituents independently selected from the group consisting of -OH, -SH, F, Cl, Br, I, -O-C₁₋₆-alkyl, -OCF₃, -O-C₂F₅, -O-C₃F₇, -O-C₄F₉, -O-phenyl, -O-benzyl, -S-C₁₋₆-alkyl, -S-phenyl, -S-benzyl, -CF₃, -C₂F₅, -C₃F₇, -C₄F₉, -NH₂, -NH-C₁₋₆-alkyl, -

- $N(C_{1-6}\text{-alkyl})_2$, -NH-phenyl, -NH-benzyl, -N($C_{1-6}\text{-alkyl}$)-phenyl, -N($C_{1-6}\text{-alkyl}$)-benzyl, -
 $N^+(C_{1-6}\text{-alkyl})_3$, -C(=O)-OH, -C(=O)-O- $C_{1-6}\text{-alkyl}$, -C(=O)-O-benzyl, -C(=O)-O-phenyl, -
 C(=O)-NH₂, -C(=O)-NH- $C_{1-6}\text{-alkyl}$, -C(=O)-N($C_{1-6}\text{-alkyl}$)₂, -C(=O)-NH-phenyl, -C(=O)-
 NH-benzyl, -CN, -NO₂, -S(=O)-NH₂, -CHO, -C(=O)- $C_{1-6}\text{-alkyl}$, -O-C(=O)- $C_{1-6}\text{-alkyl}$, -
 5 C(=O)-benzyl, -C(=O)-phenyl, -S(=O)- $C_{1-6}\text{-alkyl}$, -S(=O)₂- $C_{1-6}\text{-alkyl}$, -S(=O)-phenyl, -
 S(=O)₂-phenyl, -S(=O)-benzyl, -S(=O)-phenyl, -O-S(=O)- $C_{1-6}\text{-alkyl}$ -, -O-S(=O)-phenyl,
 -O-S(=O)-benzyl, -O-S(=O)₂- $C_{1-6}\text{-alkyl}$ -, -O-S(=O)₂-phenyl, -O-S(=O)₂-benzyl, -NH-
 S(=O)- $C_{1-6}\text{-alkyl}$, -NH-C(=O)-O- $C_{1-6}\text{-alkyl}$ and -NH-C(=O)- $C_{1-6}\text{-alkyl}$;
- 10 whereby in each case the cyclic moieties phenyl and benzyl can optionally be
 substituted with 1, 2, 3, 4 or 5 substituent(s) independently selected from the group
 consisting of F, Cl, Br, I, -OH, -C(=O)-OH, -C(=O)-O- $C_{1-6}\text{-alkyl}$, -C(=O)-H, -C(=O)- $C_{1-6}\text{-alkyl}$,
 $-CF_3$, -CN, -NO₂, - $C_{1-6}\text{-alkyl}$, -O- $C_{1-6}\text{-alkyl}$, -O- CF_3 and -S- CF_3 .
- 15 More preferably aliphatic radicals, C_{1-16} alkyl radicals, C_{2-16} alkenyl radical and C_{2-16}
 alkynyl radicals may optionally be substituted with 1, 2, 3, 4, 5, 6, 7, 8 or 9
 substituents independently selected from the group consisting of -OH, F, Cl, Br, I, -O-
 CH₃, -O-C₂H₅, -O-CH₂-CH₂-CH₃, -O-CH(CH₃)₂, -O-CH₂-CH₂-CH₂-CH₃, -O-C(CH₃)₃, -
 S-CH₃, -S-C₂H₅, -S-CH₂-CH₂-CH₃, -S-CH(CH₃)₂, -S-CH₂-CH₂-CH₂-CH₃, -S-C(CH₃)₃, -
 20 NH₂, -NH-CH₃, -NH-C₂H₅, -N(CH₃)₂, -N(C₂H₅)₂, -N⁺(CH₃)₃, -N⁺(C₂H₅)₃, -N⁺[C(CH₃)₃]₃, -
 CN, -NO₂, -S(=O)-CH₃, -S(=O)-C₂H₅, -S(=O)-C₃H₇, -S(=O)-C(CH₃)₃, -S(=O)₂-CH₃, -
 S(=O)₂-C₂H₅, -S(=O)₂-C₃H₇, -S(=O)₂-C(CH₃)₃, -O-S(=O)-CH₃, -O-S(=O)-C₂H₅, -O-
 S(=O)-C₃H₇, -O-S(=O)-C(CH₃)₃, -O-S(=O)₂-CH₃, -O-S(=O)₂-C₂H₅, -O-S(=O)₂-C₃H₇, -
 O-S(=O)₂-C(CH₃)₃, -NH-C(=O)-CH₃, -NH-C(=O)-C₂H₅, -NH-C(=O)-C(CH₃)₃, -NH-
 25 C(=O)-O-CH₃, -NH-C(=O)-O-C₂H₅, -NH-C(=O)-O-C(CH₃)₃, -C(=O)-NH₂, -C(=O)-NH-
 CH₃, -C(=O)-NH-C₂H₅, -C(=O)-NH-C(CH₃)₃, -C(=O)-N(CH₃)₂, -C(=O)-N(C₂H₅)₂, -
 C(=O)-OH, -C(=O)-O-CH₃, -C(=O)-O-C₂H₅, -C(=O)-O-C(CH₃)₃, -C(=O)-CH₃, -C(=O)-
 C₂H₅ and -C(=O)-C(CH₃)₃.
- 30 Suitable alkyl radicals, preferably C_{1-16} alkyl radicals, are selected from the group
 consisting of methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, sec-butyl, tert-butyl,
 n-pentyl, 2-pentyl, 3-pentyl, neo-pentyl, n-hexyl, 2-hexyl, 3-hexyl, n-heptyl, 2-heptyl,
 3-heptyl, 4-heptyl, n-octyl, 2-octyl, 3-octyl, 4-octyl, 2-(6-methyl)-heptyl, 2-(5-methyl)-
 heptyl, 2-(5-methyl)-hexyl, 2-(4-methyl)-hexyl, 2-(7-methyl)-octyl, 2-(6-methyl)-octyl,

n-nonyl, n-decyl, n-undecyl, n-dodecyl, n-tridecyl, n-tetradecyl, n-pentadecyl and n-hexadecyl.

Suitable at least mono-substituted alkyl radicals are selected from the group consisting of -CF₃, -CH₂F, -CF₂H, -CH₂-O-CH₃, -C₂F₅, -CH₂-CH₂-F, -CH₂-CN, -CH₂-OH, -CH₂-CH₂-CN, -CH₂-CH₂-OH, -CH₂-CH₂-OCH₃, -CH₂-CH₂-CH₂-CN, -CH₂-CH₂-CH₂-OH, -CH₂-CH₂-CH₂-O-CH₃, -CH₂-CH₂-CH₂-CH₂-O-CH₃, -CH₂-NH₂, -CH₂-N(CH₃)₂, -CH₂-N(C₂H₅)₂, -CH₂-CH-NH₂, -CH₂-CH₂-N(CH₃)₂, -CH₂-CH₂-N(C₂H₅)₂, -CH₂-CH₂-CH₂-NH₂, -CH₂-CH₂-CH₂-N(CH₃)₂ and -CH₂-CH₂-CH₂-N(C₂H₅)₂.

An alkenyl radical according to the present invention comprises at least one carbon-carbon double bond. Suitable alkenyl radicals, preferably C₂₋₁₆ alkenyl radicals, are selected from the group consisting of vinyl, n-propenyl, n-butenyl, n-pentenyl, n-hexenyl, n-heptenyl, n-octenyl, n-nonenyl, n-decenyl, n-undecenyl, n-dodecenyl, n-tridecenyl, n-tetradecenyl, n-pentadecenyl and n-hexadecenyl.

An alkynyl radical comprises at least one carbon-carbon triple bond. Suitable alkynyl radicals, preferably C₂₋₁₆ alkynyl radicals, are selected from the group consisting of ethynyl, propynyl, n-butylnyl, n-pentynyl, n-hexynyl, n-octynyl, n-nonylnyl, n-decynyl, n-undecynyl, n-dodecynyl, n-tridecynyl, n-tetradecynyl, n-pentadecynyl and n-hexadecynyl.

If any of the substituents represents an alkylene group, an alkenylene group or an alkynylene group, which may be substituted, said alkylene group, alkenylene group or alkynylene group may - if not defined otherwise - be unsubstituted or substituted by one or more substituents, preferably unsubstituted or substituted with 1, 2 or 3 substituent(s). Said substituent(s) may preferably be selected independently from the group consisting of -O-C₁₋₆-alkyl, -S-C₁₋₆-alkyl, -F, Cl, Br, I, -CN, -CF₃, -OCF₃, -SCF₃, -OH, -SH, -SO₃H, -NH₂, -NH(C₁₋₆-alkyl), -N(C₁₋₆-alkyl)₂ and phenyl. More preferably said substituent(s) may be selected from the group consisting of -F, Cl, Br, I, -CN, -CF₃, -OCF₃, -SCF₃, -OH, -SH, -SO₃H, -NH₂, -NH-CH₃, -N(CH₃)₂, -O-CH₃ and -O-C₂H₅. An alkenylene group comprises at least one carbon-carbon double bond, an alkynylene group comprises at least one carbon-carbon triple bond.

Suitable alkylene groups, preferably C₁₋₅-alkylene groups, include -(CH₂)-, -CH(CH₃)-, -CH(phenyl)-, -(CH₂)₂-, -(CH₂)₃-, -(CH₂)₄-, -(CH₂)₅- and -(CH₂)₆-, suitable alkenylene groups, preferably C₂₋₅-alkenylene groups, include -CH=CH-, -CH₂-CH=CH- and -CH=CH-CH₂- and suitable alkynylene groups, preferably C₂₋₅-alkynylene groups, include -C≡C-, -CH₂-C≡C- and -C≡C-CH₂-.

Preferred are prodrugs of pyrazoline compounds of general formula I given above, wherein

X is O or S;

n is 1, 2 or 3;

R¹ represents -C(=O)-OR²³; -C(=O)-OH; -P(=O)-(OR²⁴)₂; -P(=O)-(OH)₂; -C(=O)-NH₂; -C(=O)-NH-R²⁵; -C(=O)-NR²⁶R²⁷; -C(=O)-H; -C(=O)-R²⁸; -S(=O)-R²⁹; -(CHR³⁶)_m-O-C(=O)-R³⁰ with m being 1, 2 or 3; -(CHR³⁶)_o-O-C(=O)-OR³¹ with o being 1, 2 or 3; -(CHR³⁶)_p-O-P(=O)-(OR³²)₂ with p being 1, 2 or 3; -(CHR³⁶)_q-O-C(=O)-NH-R³³ with q being 1, 2 or 3; or -(CHR³⁶)_r-O-C(=O)-NR³⁴R³⁵ with r being 1, 2 or 3; -S(=O)₂-R³⁷; -C(=S)-OR³⁸ or -C(=S)-R³⁹;

R² represents an unsubstituted or at least mono-substituted 6- or 10-membered aryl radical, which may be condensed with an unsubstituted or at least mono-substituted mono- or polycyclic ring system;

an unsubstituted or at least mono-substituted 5- to 14-membered heteroaryl radical, which may be condensed with an unsubstituted or at least mono-substituted mono- or polycyclic ring system;

an unsubstituted or at least mono-substituted C₃₋₁₆ cycloalkyl radical or C₄₋₁₆ cycloalkenyl radical, which in each case may be condensed with an unsubstituted or at least mono-substituted mono- or polycyclic ring system and/or may be bridged by at least one unsubstituted or at least mono-substituted C₁₋₅ alkylene group;

or an unsubstituted or at least mono-substituted C₄₋₁₆ heterocycloalkyl radical or C₅₋₁₆

heterocycloalkenyl radical, which in each case may be condensed with an unsubstituted or at least mono-substituted mono- or polycyclic ring system and/or may be bridged by at least one unsubstituted or at least mono-substituted C₁₋₅ alkylene group;

5

R³ represents an unsubstituted or at least mono-substituted 6- or 10-membered aryl radical, which may be condensed with an unsubstituted or at least mono-substituted mono- or polycyclic ring system;

10 an unsubstituted or at least mono-substituted 5- to 14-membered heteroaryl radical, which may be condensed with an unsubstituted or at least mono-substituted mono- or polycyclic ring system;

an unsubstituted or at least mono-substituted C₃₋₁₆ cycloalkyl radical or C₄₋₁₆ cycloalkenyl radical, which in each case may be condensed with an unsubstituted or at least mono-substituted mono- or polycyclic ring system and/or may be bridged by at least one unsubstituted or at least mono-substituted C₁₋₅ alkylene group;

15 an unsubstituted or at least mono-substituted C₄₋₁₆ heterocycloalkyl radical or C₅₋₁₆ heterocycloalkenyl radical, which in each case may be condensed with an unsubstituted or at least mono-substituted mono- or polycyclic ring system and/or may be bridged by at least one unsubstituted or at least mono-substituted C₁₋₅ alkylene group;

25 an unsubstituted or at least mono-substituted C₃₋₁₆ cycloalkyl radical, C₄₋₁₆ cycloalkenyl radical, C₄₋₁₆ heterocycloalkyl radical or C₅₋₁₆ heterocycloalkenyl radical which together with an unsubstituted or at least mono-substituted C₃₋₁₆ cycloalkyl radical, C₄₋₁₆ cycloalkenyl radical, C₄₋₁₆ heterocycloalkyl radical or C₅₋₁₆ heterocycloalkenyl radical forms an unsubstituted or at least mono-substituted spirocyclic residue via a common ring atom;

30

a -O-R⁶ moiety; a -NR⁷R⁸ moiety or a -NR⁹-O-R¹⁰ moiety;

R⁴ represents H; F; Cl; Br; I; -CN; -NO₂; -NC; -OH; -NH₂; -SH; -C(=O)-H; -C(=O)-OH; -C(=O)-OR¹⁷;

an unsubstituted or at least mono-substituted C₁₋₁₆ alkyl radical,

5 C₂₋₁₆ alkenyl radical or C₂₋₁₆ alkynyl radical;

an unsubstituted or at least mono-substituted C₃₋₁₆ cycloalkyl radical or C₄₋₁₆ cycloalkenyl radical, which in each case may be condensed with an unsubstituted or at least mono-substituted mono- or polycyclic ring system and/or may be bonded via

10 an unsubstituted or at least mono-substituted C₁₋₅ alkylene group, C₂₋₅ alkenylene group or C₂₋₅ alkynylene group and/or may be bridged by at least one unsubstituted or at least mono-substituted C₁₋₅ alkylene group;

an unsubstituted or at least mono-substituted C₄₋₁₆ heterocycloalkyl radical or C₅₋₁₆

15 heterocycloalkenyl radical, which in each case may be condensed with an unsubstituted or at least mono-substituted mono- or polycyclic ring system and/or may be bonded via an unsubstituted or at least mono-substituted C₁₋₅ alkylene group, C₂₋₅ alkenylene group or C₂₋₅ alkynylene group and/or may be bridged by at least one unsubstituted or at least mono-substituted C₁₋₅ alkylene group;

20 an unsubstituted or at least mono-substituted 6- or 10-membered aryl radical, which may be condensed with an unsubstituted or at least mono-substituted mono- or polycyclic ring system and/or may be bonded via an unsubstituted or at least mono-substituted C₁₋₅ alkylene group, C₂₋₅ alkenylene group or C₂₋₅ alkynylene group;

25 or an unsubstituted or at least mono-substituted 5- to 14-membered heteroaryl radical, which may be condensed with an unsubstituted or at least mono-substituted mono- or polycyclic ring system and/or may be bonded via an unsubstituted or at least mono-substituted C₁₋₅ alkylene group, C₂₋₅ alkenylene group or C₂₋₅ alkynylene group;

30 a -O-R¹¹ moiety; a -S-R¹² moiety; a -NH-R¹³ moiety or a -NR¹⁴R¹⁵ moiety;

R⁵ represents H; F; Cl; Br; I; -CN; -NO₂; -NC; -OH; -NH₂; -SH; -C(=O)-H; -C(=O)-OH; -C(=O)-OR¹⁷;

an unsubstituted or at least mono-substituted C₁₋₁₆ alkyl radical,

5 C₂₋₁₆ alkenyl radical or C₂₋₁₆ alkynyl radical;

an unsubstituted or at least mono-substituted C₃₋₁₆ cycloalkyl radical or C₄₋₁₆ cycloalkenyl radical, which in each case may be condensed with an unsubstituted or at least mono-substituted mono- or polycyclic ring system and/or may be bonded via

10 an unsubstituted or at least mono-substituted C₁₋₅ alkylene group, C₂₋₅ alkenylene group or C₂₋₅ alkynylene group and/or may be bridged by at least one unsubstituted or at least mono-substituted C₁₋₅ alkylene group;

an unsubstituted or at least mono-substituted C₄₋₁₆ heterocycloalkyl radical or C₅₋₁₆

15 heterocycloalkenyl radical, which in each case may be condensed with an unsubstituted or at least mono-substituted mono- or polycyclic ring system and/or may be bonded via an unsubstituted or at least mono-substituted C₁₋₅ alkylene group, C₂₋₅ alkenylene group or C₂₋₅ alkynylene group and/or may be bridged by at least one unsubstituted or at least mono-substituted C₁₋₅ alkylene group;

20 an unsubstituted or at least mono-substituted 6- or 10-membered aryl radical, which may be condensed with an unsubstituted or at least mono-substituted mono- or polycyclic ring system and/or may be bonded via an unsubstituted or at least mono-substituted C₁₋₅ alkylene group, C₂₋₅ alkenylene group or C₂₋₅ alkynylene group;

25 or an unsubstituted or at least mono-substituted 5- to 14-membered heteroaryl radical, which may be condensed with an unsubstituted or at least mono-substituted mono- or polycyclic ring system and/or may be bonded via an unsubstituted or at least mono-substituted C₁₋₅ alkylene group, C₂₋₅ alkenylene group or C₂₋₅ alkynylene group;

30 -O-R¹¹ moiety; a -S-R¹² moiety; a -NH-R¹³ moiety or a -NR¹⁴R¹⁵ moiety;

or R⁴ and R⁵ together with the bridging carbon atom form an unsubstituted or at least mono-substituted C₃₋₁₆ cycloalkyl radical or C₄₋₁₆ cycloalkenyl radical;

R⁶ represents a hydrogen atom;

an unsubstituted or at least mono-substituted C₁₋₁₆ alkyl radical, C₂₋₁₆ alkenyl radical or C₂₋₁₆ alkynyl radical;

an unsubstituted or at least mono-substituted C₃₋₁₆ cycloalkyl radical or C₄₋₁₆ cycloalkenyl radical, which in each case may be condensed with an unsubstituted or at least mono-substituted mono- or polycyclic ring system and/or may be bridged by at least one unsubstituted or at least mono-substituted C₁₋₅ alkylene group and/or may be bonded via an unsubstituted or at least mono-substituted C₁₋₅ alkylene group, C₂₋₅ alkenylene group or C₂₋₅ alkynylene group;

an unsubstituted or at least mono-substituted C₄₋₁₆ heterocycloalkyl radical or C₅₋₁₆ heterocycloalkenyl radical, which in each case may be condensed with an unsubstituted or at least mono-substituted mono- or polycyclic ring system and/or may be bridged by at least one unsubstituted or at least mono-substituted C₁₋₅ alkylene group and/or may be bonded via an unsubstituted or at least mono-substituted C₁₋₅ alkylene group, C₂₋₅ alkenylene group or C₂₋₅ alkynylene group;

an unsubstituted or at least mono-substituted 6- or 10-membered aryl radical, which may be condensed with an unsubstituted or at least mono-substituted mono- or polycyclic ring system and/or may be bonded via an unsubstituted or at least mono-substituted C₁₋₅ alkylene group, C₂₋₅ alkenylene group or C₂₋₅ alkynylene group;

an unsubstituted or at least mono-substituted 5- to 14-membered heteroaryl radical, which may be condensed with an unsubstituted or at least mono-substituted mono- or polycyclic ring system and/or may be bonded via an unsubstituted or at least mono-substituted C₁₋₅ alkylene group, C₂₋₅ alkenylene group or C₂₋₅ alkynylene group;

a -P(=O)(OR¹⁶)₂ moiety; a -C(=O)-OR¹⁷ moiety; a -C(=O)-NH-R¹⁸ moiety or a -C(=O)-R¹⁹ moiety;

R⁷ and R⁸, independently of one another, in each case represent a hydrogen atom;

an unsubstituted or at least mono-substituted C₁₋₁₆ alkyl radical, C₂₋₁₆ alkenyl radical or C₂₋₁₆ alkynyl radical;

an unsubstituted or at least mono-substituted C₃₋₁₆ cycloalkyl radical or C₄₋₁₆ cycloalkenyl radical, which in each case may be condensed with an unsubstituted or at least mono-substituted mono- or polycyclic ring system and/or may be bridged by at least one unsubstituted or at least mono-substituted C₁₋₅ alkylene group and/or may be bonded via an unsubstituted or at least mono-substituted C₁₋₅ alkylene group, C₂₋₅ alkenylene group or C₂₋₅ alkynylene group;

an unsubstituted or at least mono-substituted C₄₋₁₆ heterocycloalkyl radical or C₅₋₁₆ heterocycloalkenyl radical, which in each case may be condensed with an unsubstituted or at least mono-substituted mono- or polycyclic ring system and/or may be bridged by at least one unsubstituted or at least mono-substituted C₁₋₅ alkylene group and/or may be bonded via an unsubstituted or at least mono-substituted C₁₋₅ alkylene group, C₂₋₅ alkenylene group or C₂₋₅ alkynylene group;

an unsubstituted or at least mono-substituted C₃₋₁₆ cycloalkyl radical, C₄₋₁₆ cycloalkenyl radical, C₄₋₁₆ heterocycloalkyl radical or C₅₋₁₆ heterocycloalkenyl radical which together with an unsubstituted or at least mono-substituted C₃₋₁₆ cycloalkyl radical, C₄₋₁₆ cycloalkenyl radical, C₄₋₁₆ heterocycloalkyl radical or C₅₋₁₆ heterocycloalkenyl radical forms an unsubstituted or at least mono-substituted spirocyclic residue via a common ring atom;

an unsubstituted or at least mono-substituted 6- or 10-membered aryl radical, which may be condensed with an unsubstituted or at least mono-substituted mono- or polycyclic ring system and/or may be bonded via an unsubstituted or at least mono-substituted C₁₋₅ alkylene group, C₂₋₅ alkenylene group or C₂₋₅ alkynylene group;

an unsubstituted or at least mono-substituted 5- to 14-membered heteroaryl radical, which may be condensed with an unsubstituted or at least mono-substituted mono- or polycyclic ring system and/or may be bonded via an unsubstituted or at least mono-substituted C₁₋₅ alkylene group, C₂₋₅ alkenylene group or C₂₋₅ alkynylene group;

5

a -P(=O)(OR¹⁶)₂ moiety; a -C(=O)-OR¹⁷ moiety; a -C(=O)-NH-R¹⁸ moiety; a -C(=O)-R¹⁹ moiety; a -S(=O)₂-R²⁰ moiety; or a -NR²¹R²² moiety;

R⁹ represents a hydrogen atom or an unsubstituted or at least mono-substituted C₁₋₁₆ alkyl radical, C₂₋₁₆ alkenyl radical or C₂₋₁₆ alkynyl radical;

10

R¹⁰, R¹¹, R¹², R¹³, R¹⁴, R¹⁵ and R²⁰, independently of one another, in each case represent an unsubstituted or at least mono-substituted C₁₋₁₆ alkyl radical, C₂₋₁₆ alkenyl radical or C₂₋₁₆ alkynyl radical;

15

an unsubstituted or at least mono-substituted C₃₋₁₆ cycloalkyl radical or C₄₋₁₆ cycloalkenyl radical, which in each case may be condensed with an unsubstituted or at least mono-substituted mono- or polycyclic ring system and/or may be bridged by at least one unsubstituted or at least mono-substituted C₁₋₅ alkylene group and/or may be bonded via an unsubstituted or at least mono-substituted C₁₋₅ alkylene group, C₂₋₅ alkenylene group or C₂₋₅ alkynylene group;

20

an unsubstituted or at least mono-substituted C₄₋₁₆ heterocycloalkyl radical or C₅₋₁₆ heterocycloalkenyl radical, which in each case may be condensed with an unsubstituted or at least mono-substituted mono- or polycyclic ring system and/or may be bridged by at least one unsubstituted or at least mono-substituted C₁₋₅ alkylene group and/or may be bonded via an unsubstituted or at least mono-substituted C₁₋₅ alkylene group, C₂₋₅ alkenylene group or C₂₋₅ alkynylene group;

25

an unsubstituted or at least mono-substituted 6- or 10-membered aryl radical, which may be condensed with an unsubstituted or at least mono-substituted mono- or polycyclic ring system and/or may be bonded via an unsubstituted or at least mono-substituted C₁₋₅ alkylene group, C₂₋₅ alkenylene group or C₂₋₅ alkynylene group;

30

or an unsubstituted or at least mono-substituted 5- to 14-membered heteroaryl radical, which may be condensed with an unsubstituted or at least mono-substituted mono- or polycyclic ring system and/or may be bonded via an unsubstituted or at least mono-substituted C₁₋₅ alkylene group, C₂₋₅ alkenylene group or C₂₋₅ alkynylene group;

R¹⁶, R¹⁷, R¹⁸, R¹⁹, R²³, R²⁴, R²⁵, R²⁶, R²⁷, R²⁸, R²⁹, R³⁰, R³¹, R³², R³³, R³⁴, R³⁵, R³⁷ and R³⁸, independently of one another, in each case represent an unsubstituted or at least mono-substituted C₁₋₁₆ alkyl radical, C₂₋₁₆ alkenyl radical or C₂₋₁₆ alkynyl radical;

or an unsubstituted or at least mono-substituted 6- or 10-membered aryl radical, which may be condensed with an unsubstituted or at least mono-substituted mono- or polycyclic ring system and/or may be bonded via an unsubstituted or at least mono-substituted C₁₋₅ alkylene group, C₂₋₅ alkenylene group or C₂₋₅ alkynylene group;

or an unsubstituted or at least mono-substituted 5- to 14-membered heteroaryl radical, which may be condensed with an unsubstituted or at least mono-substituted mono- or polycyclic ring system and/or may be bonded via an unsubstituted or at least mono-substituted C₁₋₅ alkylene group, C₂₋₅ alkenylene group or C₂₋₅ alkynylene group;

R²¹ and R²², independently of one another, in each case represent a hydrogen atom;

an unsubstituted or at least mono-substituted C₁₋₁₆ alkyl radical, C₂₋₁₆ alkenyl radical or C₂₋₁₆ alkynyl radical;

an unsubstituted or at least mono-substituted C₃₋₁₆ cycloalkyl radical or C₄₋₁₆ cycloalkenyl radical, which in each case may be condensed with an unsubstituted or at least mono-substituted mono- or polycyclic ring system and/or may be bonded via an unsubstituted or at least mono-substituted C₁₋₅ alkylene group, C₂₋₅ alkenylene group or C₂₋₅ alkynylene group;

an unsubstituted or at least mono-substituted C₄₋₁₆ heterocycloalkyl radical or C₅₋₁₆

heterocycloalkenyl radical, which in each case may be condensed with an unsubstituted or at least mono-substituted mono- or polycyclic ring system and/or may be bonded via an unsubstituted or at least mono-substituted C₁₋₅ alkylene group, C₂₋₅ alkenylene group or C₂₋₅ alkynylene group;

5

an unsubstituted or at least mono-substituted C₃₋₁₆ cycloalkyl radical, C₄₋₁₆ cycloalkenyl radical, C₄₋₁₆ heterocycloalkyl radical or C₅₋₁₆ heterocycloalkenyl radical which together with an unsubstituted or at least mono-substituted C₃₋₁₆ cycloalkyl radical, C₄₋₁₆ cycloalkenyl radical, C₄₋₁₆ heterocycloalkyl radical or C₅₋₁₆

10 heterocycloalkenyl radical forms an unsubstituted or at least mono-substituted spirocyclic residue via a common ring atom;

an unsubstituted or at least mono-substituted 6- or 10-membered aryl radical, which may be condensed with an unsubstituted or at least mono-substituted mono- or polycyclic ring system and/or may be bonded via an unsubstituted or at least mono-substituted C₁₋₅ alkylene group, C₂₋₅ alkenylene group or C₂₋₅ alkynylene group;

15

or an unsubstituted or at least mono-substituted 5- to 14-membered heteroaryl radical, which may be condensed with an unsubstituted or at least mono-substituted mono- or polycyclic ring system and/or may be bonded via an unsubstituted or at least mono-substituted C₁₋₅ alkylene group, C₂₋₅ alkenylene group or C₂₋₅ alkynylene group;

20

and R³⁶ represents a hydrogen atom or a saturated or unsaturated, unsubstituted or at least mono-substituted aliphatic radical;

25

whereby

the rings of the aforementioned ring system are in each case independently of one another 5- 6- or 7-membered and may in each case independently of one another optionally contain 1, 2 or 3 heteroatom(s) independently selected from the group consisting of nitrogen, oxygen and sulfur;

30

the aforementioned heteroaryl radicals in each case optionally contain 1, 2, 3 or 4 heteroatom(s) independently selected from the group consisting of nitrogen, oxygen and sulfur as ring member(s);

- 5 the aforementioned heterocycloalkyl radicals and heterocycloalkenyl radicals in each case optionally contain 1, 2, 3 or 4 heteroatom(s) independently selected from the group consisting of nitrogen, oxygen and sulfur as ring member(s);

optionally in form of one of its stereoisomers, preferably enantiomers or

- 10 diastereomers, a racemate or in form of a mixture of at least two of its stereoisomers, preferably enantiomers and/or diastereomers, in any mixing ratio, or a corresponding N-oxide thereof, or a physiologically acceptable salt thereof, or a corresponding solvate thereof.

- 15 Also preferred are prodrugs of pyrazoline compounds of general formula I given above, wherein

n is 1, 2 or 3;

- 20 X is S or O;

- R¹ represents -C(=O)-OR²³; -C(=O)-OH; -P(=O)-(OR²⁴)₂; -P(=O)-(OH)₂; -C(=O)-NH₂; -C(=O)-NH-R²⁵; -C(=O)-NR²⁶R²⁷; -C(=O)-H; -C(=O)-R²⁸; -S(=O)-R²⁹; -(CHR³⁶)_m-O-C(=O)-R³⁰ with m being 1, 2 or 3; -(CHR³⁶)_o-O-C(=O)-OR³¹ with o being 1, 2 or 3; -
25 (CHR³⁶)_p-O-P(=O)-(OR³²)₂ with p being 1, 2 or 3; -(CHR³⁶)_q-O-C(=O)-NH-R³³ with q being 1, 2 or 3; -(CHR³⁶)_r-O-C(=O)-NR³⁴R³⁵ with r being 1, 2 or 3; -S(=O)₂-R³⁷; -C(=S)-OR³⁸ or -C(=S)-R³⁹;

- R² represents a radical selected from the group consisting of phenyl,
30 naphthyl, pyridinyl, furyl (furanyl), thienyl (thiophenyl), pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, imidazolyl, pyrazolyl, oxadiazolyl, thiadiazolyl, triazolyl, pyridazinyl, indolyl, isoindolyl, pyrimidinyl, pyrazinyl, quinolinyl, isoquinolinyl, benzo[b]furanyl, benzo[b]thiophenyl, benzo[2,1,3]thiadiazolyl, [1,2,3]-benzothiadiazolyl, [2,1,3]-benzoxadiazolyl, [1,2,3]-benzoxadiazolyl, benzoxazolyl,

benzthiazolyl, benzisoxazolyl, benzisothiazolyl, imidazo[2,1-b]thiazolyl, 2H-chromenyl, pyranyl, indazolyl, quinazolinyl, [1,3]-benzodioxolyl, [1,4]-benzodioxanyl, [1,2,3,4]-tetrahydronaphthyl, (2,3)-dihydro-1H-cyclopenta[b]indolyl, [1,2,3,4]-tetrahydroquinolyl, [1,2,3,4]-tetrahydroisoquinolyl, [1,2,3,4]-tetrahydroquinazolinyl and [3,4]-dihydro-2H-benzo[1,4]oxazinyl, which in each case is optionally bonded to the pyrazoline compound of general formula I via the aromatic or heteroaromatic part of the aforementioned radicals and may optionally be substituted with 1, 2, 3, 4 or 5 substituent(s) independently selected from the group consisting of -CF₃, -CH₂-Cl, methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, 2-butyl, tert-butyl, n-pentyl, 2-pentyl, n-hexyl, -O-CH₃, -O-C₂H₅, -O-CH₂-CH₂-CH₃, -O-CH(CH₃)₂, -O-CH₂-CH₂-CH₂-CH₃, -O-C(CH₃)₃, -S-CH₃, -S-C₂H₅, -S-CH₂-CH₂-CH₃, -S-CH(CH₃)₂, -S-CH₂-CH₂-CH₂-CH₃, -S-C(CH₃)₃, F, Cl, Br, I, -CN, -OCF₃, -SCF₃, -SCF₂H, -SCFH₂, -OH, -SH, -NO₂, -CHO, -C(=O)-CH₃, -C(=O)-C₂H₅, -C(=O)-C(CH₃)₃, -CF₂H, -CFH₂, -C(=O)-NH₂, -C(=O)-NH-CH₃, -C(=O)-NH-C₂H₅, -C(=O)-NH-C₃H₇, -C(=O)-N(CH₃)₂, -C(=O)-N(C₂H₅)₂, -S(=O)-CH₃, -S(=O)-C₂H₅, -S(=O)-C₃H₇, -S(=O)₂-CH₃, -S(=O)₂-C₂H₅, -S(=O)₂-C₃H₇, -NH₂, -NH-CH₃, -NH-C₂H₅, -N(CH₃)₂, -N(C₂H₅)₂ and thiophenyl, whereby the thiophenyl radical can be substituted with 1, 2 or 3 substituents independently selected from the group consisting of F, Cl, Br, methyl, ethyl and n-propyl;

or a radical selected from the group consisting of cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, cyclooctyl, cyclononyl, cyclodecyl, cycloundecyl, cyclododecyl, cyclotridecyl, cyclotetradecyl, cyclopentenyl, cyclohexenyl, cycloheptenyl, cyclooctenyl, pyrrolidinyl, piperidinyl, piperazinyl, homopiperazinyl, morpholinyl, aziridinyl, azetidyl, imidazolidinyl, thiomorpholinyl, pyrazolidinyl, tetrahydrofuranyl, tetrahydrothiophenyl, azepanyl, diazepanyl, azocanyl, (2,5)-dihydrofuranyl, (2,5)-dihydrothiophenyl, (2,3)-dihydrofuranyl, (2,3)-dihydrothiophenyl, (2,5)-dihydro-1H-pyrrolyl, (2,3)-dihydro-1H-pyrrolyl, tetrahydrothiopyranyl, tetrahydropyranyl, (3,4)-dihydro-2H-pyranyl, (3,4)-dihydro-2H-thiopyranyl, (1,2,3,6)-tetrahydropyridinyl, (1,2,3,4)-tetrahydropyridinyl, (1,2,5,6)-tetrahydropyridinyl, [1,3]-oxazinanyl, hexahydropyrimidinyl, (5,6)-dihydro-4H-pyrimidinyl, oxazolidinyl, (1,3)-dioxanyl, (1,4)-dioxanyl, (1,3)-dioxolanyl, indolyl, isoindolyl, decahydronaphthyl, (1,2,3,4)-tetrahydroquinolyl, (1,2,3,4)-tetrahydroisoquinolyl, octahydro-cyclopenta[c]pyrrolyl, (1,3,4,7,9a)-hexahydro-2H-quinoliziny, (1,2,3,5,6,8a)-

hexahydro-indoliziny, decahydroquinoliny, dodecahydro-carbazoly, 9H-carbazoly, decahydroisoquinoliny, (6,7)-dihydro-4H-thieno[3,2-c]pyridiny, (2,3)-dihydro-1H-benzo[de]isoquinoliny, (1,2,3,4)-tetrahydroquinoxaziny, adamantyl, bicyclo[2.2.1]heptyl, bicyclo[3.1.1]heptyl and norborneny,

- 5 which in each case is optionally bonded to the pyrazoline compound of general formula I via the (hetero)cycloaliphatic part of the aforementioned radicals and may optionally be substituted with 1, 2, 3, 4 or 5 substituent(s) independently selected from the group consisting of oxo (=O), thioxo (=S), -CF₃, methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, 2-butyl, tert-butyl, n-pentyl, 2-pentyl, n-hexyl, -O-CH₃, -O-
- 10 C₂H₅, -O-CH₂-CH₂-CH₃, -O-CH(CH₃)₂, -O-CH₂-CH₂-CH₂-CH₃, -O-C(CH₃)₃, -S-CH₃, -S-C₂H₅, -S-CH₂-CH₂-CH₃, -S-CH(CH₃)₂, -S-CH₂-CH₂-CH₂-CH₃, -S-C(CH₃)₃, F, Cl, Br, I, -CN, -OCF₃, -SCF₃, -SCF₂H, -SCFH₂, -OH, -SH, -NO₂, -CHO, -C(=O)-CH₃, -C(=O)-C₂H₅, -C(=O)-C(CH₃)₃, -CF₂H, -CFH₂, -C(=O)-NH₂, -C(=O)-NH-CH₃, -C(=O)-NH-C₂H₅, -C(=O)-NH-C₃H₇, -C(=O)-N(CH₃)₂, -C(=O)-N(C₂H₅)₂, -S(=O)-CH₃, -S(=O)-C₂H₅, -S(=O)-C₃H₇, -S(=O)₂-CH₃, -S(=O)₂-C₂H₅, -S(=O)₂-C₃H₇, -NH₂, -NH-CH₃, -NH-C₂H₅, -N(CH₃)₂ and -N(C₂H₅)₂;
- 15

- R³ represents a radical selected from the group consisting of (2,3)-dihydro-1H-cyclopenta[b]indolyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl,
- 20 cyclooctyl, cyclononyl, cyclodecyl, cycloundecyl, cyclododecyl, cyclotridecyl, cyclotetradecyl, cyclopentenyl, cyclohexenyl, cycloheptenyl, cyclooctenyl, pyrrolidiny, piperidiny, piperaziny, homopiperaziny, morpholiny, aziridiny, azetidiny, imidazolidiny, thiomorpholiny, pyrazolidiny, tetrahydrofurany, tetrahydrothiophenyl, azepanyl, diazepanyl, azocanyl, (2,5)-dihydrofurany, (2,5)-dihydrothiophenyl, (2,3)-
- 25 dihydrofurany, (2,3)-dihydrofurany, (2,5)-dihydro-1H-pyrrolyl, (2,3)-dihydro-1H-pyrrolyl, tetrahydrothiopyranyl, tetrahydropyranyl, (3,4)-dihydro-2H-pyranyl, (3,4)-dihydro-2H-thiopyranyl, (1,2,3,6)-tetrahydropyridiny, (1,2,3,4)-tetrahydropyridiny, (1,2,5,6)-tetrahydropyridiny, [1,3]-oxazinanyl, hexahydropyrimidiny, (5,6)-dihydro-4H-pyrimidiny, oxazolidiny, (1,3)-dioxanyl, (1,4)-dioxanyl, (1,3)-dioxolanyl, indoliny,
- 30 isoindoliny, decahydronaphthyl, (1,2,3,4)-tetrahydroquinoliny, (1,2,3,4)-tetrahydroisoquinoliny, octahydro-cyclopenta[c]pyrrolyl, (1,3,4,7,9a)-hexahydro-2H-quinoliziny, (1,2,3,5,6,8a)-hexahydro-indoliziny, decahydroquinoliny, dodecahydro-carbazoly, 9H-carbazoly, decahydroisoquinoliny, (6,7)-dihydro-4H-thieno[3,2-c]pyridiny, (2,3)-dihydro-1H-benzo[de]isoquinoliny, (1,2,3,4)-tetrahydroquinoxaziny,

adamantyl, bicyclo[2.2.1]heptyl, bicyclo[3.1.1]heptyl, norbornenyl, 8-aza-bicyclo[3.2.1]octyl and 8-aza-spiro[4.5]decanyl, which may optionally be substituted with 1, 2, 3, 4 or 5 substituent(s) independently selected from the group consisting of oxo (=O), thioxo (=S), -CF₃, methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, 2-butyl, tert-butyl, n-pentyl, 2-pentyl, n-hexyl, -O-CH₃, -O-C₂H₅, -O-CH₂-CH₂-CH₃, -O-CH(CH₃)₂, -O-CH₂-CH₂-CH₂-CH₃, -O-C(CH₃)₃, -O-CH₂-O-CH₃, -O-CH₂-CH₂-O-CH₃, -O-CH₂-O-C₂H₅, -C(OCH₃)(C₂H₅)₂, -C(OCH₃)(CH₃)₂, -S-CH₃, -S-C₂H₅, -S-CH₂-CH₂-CH₃, -S-CH(CH₃)₂, -S-CH₂-CH₂-CH₂-CH₃, -S-C(CH₃)₃, F, Cl, Br, I, -CN, -OCF₃, -SCF₃, -SCF₂H, -SCFH₂, -OH, -SH, -NO₂, -CHO, -C(=O)-CH₃, -C(=O)-C₂H₅, -C(=O)-C(CH₃)₃, -C(=O)-OH, -C(=O)-O-CH₃, -C(=O)-O-C₂H₅, -C(=O)-O-C(CH₃)₃, -CF₂H, -CFH₂, -C(=O)-NH₂, -C(=O)-NH-CH₃, -C(=O)-NH-C₂H₅, -C(=O)-NH-C₃H₇, -C(=O)-N(CH₃)₂, -C(=O)-N(C₂H₅)₂, -S(=O)-CH₃, -S(=O)-C₂H₅, -S(=O)-C₃H₇, -S(=O)₂-CH₃, -S(=O)₂-C₂H₅, -S(=O)₂-C₃H₇, -NH₂, -NH-CH₃, -NH-C₂H₅, -N(CH₃)₂, -N(C₂H₅)₂, -O-Benzyl, benzyl, cyclopentyl, cyclohexyl, pyrrolidinyl and piperidinyl;

a -O-R⁶ moiety; a -NR⁷R⁸ moiety or a -NR⁹-O-R¹⁰ moiety;

R⁴ represents H; F; Cl; Br; I; -CN; -NO₂; -NC; -OH; -NH₂; -SH; -C(=O)-H; -C(=O)-OH; -C(=O)-OR¹⁷;

a radical selected from the group consisting of methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, sec-butyl, tert-butyl, n-pentyl, 2-pentyl, 3-pentyl, neo-pentyl, n-hexyl, 2-hexyl, 3-hexyl, n-heptyl, 2-heptyl, 3-heptyl, 4-heptyl, n-octyl, 2-octyl, 3-octyl and 4-octyl, which may optionally be substituted with 1, 2, 3, 4, 5, 6, 7, 8 or 9 substituent(s) independently selected from the group consisting of -OH, F, Cl, Br, I, -O-CH₃, -O-C₂H₅, -O-CH₂-CH₂-CH₃, -O-CH(CH₃)₂, -O-CH₂-CH₂-CH₂-CH₃, -O-C(CH₃)₃, -NH₂, -NH-CH₃, -NH-C₂H₅, -N(CH₃)₂, -N(C₂H₅)₂, -CN and -NO₂;

a radical selected from the group consisting of phenyl, naphthyl, pyridinyl, furyl (furanyl), thienyl (thiophenyl), pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, imidazolyl, pyrazolyl, oxadiazolyl, thiadiazolyl, triazolyl, pyridazinyl, indolyl and isoindolyl, which may be bonded via a -(CH₂)-, -(CH₂)-(CH₂)-, -(CH₂)-(CH₂)-(CH₂)- or -CH=CH-group and/or may optionally be substituted with 1, 2, 3, 4 or 5 substituent(s) independently selected from the group consisting of -CF₃, methyl, ethyl, n-propyl,

isopropyl, n-butyl, isobutyl, 2-butyl, tert-butyl, n-pentyl, 2-pentyl, n-hexyl, -O-CH₃, -O-C₂H₅, -O-CH₂-CH₂-CH₃, -O-CH(CH₃)₂, -O-CH₂-CH₂-CH₂-CH₃, -O-C(CH₃)₃, -S-CH₃, -S-C₂H₅, -S-CH₂-CH₂-CH₃, -S-CH(CH₃)₂, -S-CH₂-CH₂-CH₂-CH₃, -S-C(CH₃)₃, F, Cl, Br, I, -CN, -OCF₃, -SCF₃, -SCF₂H, -SCFH₂, -OH, -SH, -NO₂, -CHO, -C(=O)-CH₃, -C(=O)-C₂H₅, -C(=O)-C(CH₃)₃, -CF₂H, -CFH₂, -C(=O)-NH₂, -C(=O)-NH-CH₃, -C(=O)-NH-C₂H₅, -C(=O)-NH-C₃H₇, -C(=O)-N(CH₃)₂, -C(=O)-N(C₂H₅)₂, -S(=O)-CH₃, -S(=O)-C₂H₅, -S(=O)-C₃H₇, -S(=O)₂-CH₃, -S(=O)₂-C₂H₅, -S(=O)₂-C₃H₇, -NH₂, -NH-CH₃, -NH-C₂H₅, -N(CH₃)₂ and -N(C₂H₅)₂;

a radical selected from the group consisting of cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, cyclooctyl, cyclononyl, cyclodecyl, cyclopentenyl, cyclohexenyl, cycloheptenyl, cyclooctenyl, pyrrolidiny, piperidiny, piperazinyl, homopiperazinyl, morpholinyl, aziridiny, azetidiny, imidazolidiny, thiomorpholinyl, pyrazolidiny, tetrahydrofuranyl, tetrahydrothiophenyl, azepanyl and diazepanyl, which may optionally be substituted with 1, 2, 3, 4 or 5 substituent(s) independently selected from the group consisting of oxo (=O), thioxo (=S), -CF₃, methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, 2-butyl, tert-butyl, n-pentyl, 2-pentyl, n-hexyl, -O-CH₃, -O-C₂H₅, -O-CH₂-CH₂-CH₃, -O-CH(CH₃)₂, -O-CH₂-CH₂-CH₂-CH₃, -O-C(CH₃)₃, -S-CH₃, -S-C₂H₅, -S-CH₂-CH₂-CH₃, -S-CH(CH₃)₂, -S-CH₂-CH₂-CH₂-CH₃, -S-C(CH₃)₃, F, Cl, Br, I, -CN, -OCF₃, -SCF₃, -SCF₂H, -SCFH₂, -OH, -SH, -NO₂, -CHO, -C(=O)-CH₃, -C(=O)-C₂H₅, -C(=O)-C(CH₃)₃, -CF₂H, -CFH₂, -C(=O)-NH₂, -C(=O)-NH-CH₃, -C(=O)-NH-C₂H₅, -C(=O)-NH-C₃H₇, -C(=O)-N(CH₃)₂, -C(=O)-N(C₂H₅)₂, -S(=O)-CH₃, -S(=O)-C₂H₅, -S(=O)-C₃H₇, -S(=O)₂-CH₃, -S(=O)₂-C₂H₅, -S(=O)₂-C₃H₇, -NH₂, -NH-CH₃, -NH-C₂H₅, -N(CH₃)₂ and -N(C₂H₅)₂;

a -O-R¹¹ moiety; a -S-R¹² moiety, a -NH-R¹³ moiety or a -NR¹⁴R¹⁵ moiety;

R⁵ represents H, F; Cl; Br; I; -CN; -NO₂; -NC; -OH; -NH₂; -SH; -C(=O)-H; -C(=O)-OH; -C(=O)-OR¹⁷;

a radical selected from the group consisting of methyl, -CF₃, -CH₂F, -CF₂H, -C₂F₅, ethyl, -CH₂-CN, -CH₂-OH, n-propyl, isopropyl, -CH₂-CH₂-CN, -CH₂-CH₂-OH, n-butyl, -CH₂-CH₂-CH₂-CN, -CH₂-CH₂-CH₂-OH, isobutyl, sec-butyl, tert-butyl, n-pentyl, 2-

pentyl, 3-pentyl, neo-pentyl, n-hexyl, 2-hexyl and 3-hexyl;

a radical selected from the group consisting of cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, cyclooctyl, cyclononyl, cyclodecyl, cyclopentenyl, cyclohexenyl, cycloheptenyl, cyclooctenyl, pyrrolidinyl, piperidinyl, piperazinyl, homopiperazinyl, morpholinyl, aziridinyl, azetidiny, imidazolidinyl, thiomorpholinyl, pyrazolidinyl, tetrahydrofuranyl, tetrahydrothiophenyl, azepanyl and diazepanyl;

a radical selected from the group consisting of phenyl, naphthyl, pyridinyl, furyl (furanlyl), thienyl (thiophenyl) and pyrrolyl, which may be bonded via a $-(CH_2)-$ group and/or may optionally be substituted with 1, 2, 3, 4 or 5 substituent(s) independently selected from the group consisting of $-CF_3$, methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, 2-butyl, tert-butyl, n-pentyl, 2-pentyl, n-hexyl, $-O-CH_3$, $-O-C_2H_5$, F, Cl and Br;

a $-O-R^{11}$ moiety; a $-S-R^{12}$ moiety, a $-NH-R^{13}$ moiety or a $-NR^{14}R^{15}$ moiety;

R^4 and R^5 together with the bridging carbon atom form a radical selected from the group consisting of cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, cyclooctyl, cyclononyl, cyclodecyl, cycloundecyl, cyclododecyl, cyclotridecyl, cyclotetradecyl, cyclopentenyl, cyclohexenyl, cycloheptenyl, and cyclooctenyl, which may optionally be substituted with 1, 2, 3, 4 or 5 substituent(s) independently selected from the group consisting of oxo ($=O$), thioxo ($=S$), $-CF_3$, methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, 2-butyl, tert-butyl, n-pentyl, 2-pentyl, n-hexyl, $-O-CH_3$, $-O-C_2H_5$, $-O-CH_2-CH_2-CH_3$, $-O-CH(CH_3)_2$, $-O-CH_2-CH_2-CH_2-CH_3$, $-O-C(CH_3)_3$, $-S-CH_3$, $-S-C_2H_5$, $-S-CH_2-CH_2-CH_3$, $-S-CH(CH_3)_2$, $-S-CH_2-CH_2-CH_2-CH_3$, $-S-C(CH_3)_3$, F, Cl, Br, I, $-CN$, $-OCF_3$, $-SCF_3$, $-SCF_2H$, $-SCFH_2$, $-OH$, $-SH$, $-NO_2$, $-CHO$, $-C(=O)-CH_3$, $-C(=O)-C_2H_5$, $-C(=O)-C(CH_3)_3$, $-CF_2H$, $-CFH_2$, $-C(=O)-NH_2$, $-C(=O)-NH-CH_3$, $-C(=O)-NH-C_2H_5$, $-C(=O)-NH-C_3H_7$, $-C(=O)-N(CH_3)_2$, $-C(=O)-N(C_2H_5)_2$, $-S(=O)-CH_3$, $-S(=O)-C_2H_5$, $-S(=O)-C_3H_7$, $-S(=O)_2-CH_3$, $-S(=O)_2-C_2H_5$, $-S(=O)_2-C_3H_7$, $-NH_2$, $-NH-CH_3$, $-NH-C_2H_5$, $-N(CH_3)_2$ and $-N(C_2H_5)_2$;

R^6 represents a hydrogen atom; a radical selected from the group consisting of methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, sec-butyl, tert-butyl, n-pentyl, 2-pentyl, 3-pentyl, neo-pentyl, n-hexyl, 2-hexyl, 3-hexyl, n-heptyl, 2-heptyl, 3-heptyl, 4-

heptyl, n-octyl, 2-octyl, 3-octyl, 4-octyl vinyl, n-propenyl, n-butenyl, n-pentenyl, n-hexenyl, ethinyl, propinyl, n-butenyl, n-pentynyl and n-hexynyl, which may optionally be substituted with 1, 2, 3, 4, 5, 6, 7, 8 or 9 substituent(s) independently selected from the group consisting of -OH, F, Cl, Br, I, -O-CH₃, -O-C₂H₅, -O-CH₂-CH₂-CH₃, -O-CH(CH₃)₂, -O-CH₂-CH₂-CH₂-CH₃, -O-C(CH₃)₃, -NH₂, -NH-CH₃, -NH-C₂H₅, -N(CH₃)₂, -N(C₂H₅)₂, -CN, -NO, -NH-C(=O)-CH₃, -NH-C(=O)-C₂H₅, -NH-C(=O)-C(CH₃)₃, -NH-C(=O)-O-CH₃, -NH-C(=O)-O-C₂H₅, -NH-C(=O)-O-C(CH₃)₃, -C(=O)-NH-CH₃, -C(=O)-NH-C₂H₅, -C(=O)-NH-C(CH₃)₃, -C(=O)-N(CH₃)₂, -C(=O)-N(C₂H₅)₂, -C(=O)-OH, -C(=O)-O-CH₃, -C(=O)-O-C₂H₅, -C(=O)-O-C(CH₃)₃, -C(=O)-CH₃, -C(=O)-C₂H₅ and -C(=O)-C(CH₃)₃;

a radical selected from the group consisting of cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, cyclooctyl, cyclononyl, cyclodecyl, cyclopentenyl, cyclohexenyl, cycloheptenyl, cyclooctenyl, pyrrolidinyl, piperidinyl, piperazinyl, homopiperazinyl, morpholinyl, aziridinyl, azetidiny, imidazolidinyl, thiomorpholinyl, pyrazolidinyl, tetrahydrofuranyl, tetrahydrothiophenyl, azepanyl, 8-azabicyclo[3.2.1]octyl and diazepanyl, which may be bonded via a -(CH₂)-, -(CH₂)-(CH₂)-, -(CH₂)-(CH₂)-(CH₂)- or -CH=CH-group and/or may optionally be substituted with 1, 2, 3, 4 or 5 substituent(s) independently selected from the group consisting of oxo (=O), thio (=S), -CF₃, methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, 2-butyl, tert-butyl, n-pentyl, 2-pentyl, n-hexyl, -O-CH₃, -O-C₂H₅, -O-CH₂-CH₂-CH₃, -O-CH(CH₃)₂, -O-CH₂-CH₂-CH₂-CH₃, -O-C(CH₃)₃, -S-CH₃, -S-C₂H₅, -S-CH₂-CH₂-CH₃, -S-CH(CH₃)₂, -S-CH₂-CH₂-CH₂-CH₃, -S-C(CH₃)₃, F, Cl, Br, I, -CN, -OCF₃, -SCF₃, -SCF₂H, -SCFH₂, -OH, -SH, -NO₂, -CHO, -C(=O)-CH₃, -C(=O)-C₂H₅, -C(=O)-C(CH₃)₃, -CF₂H, -CFH₂, -C(=O)-NH₂, -C(=O)-NH-CH₃, -C(=O)-NH-C₂H₅, -C(=O)-NH-C₃H₇, -C(=O)-N(CH₃)₂, -C(=O)-N(C₂H₅)₂, -S(=O)-CH₃, -S(=O)-C₂H₅, -S(=O)-C₃H₇, -S(=O)₂-CH₃, -S(=O)₂-C₂H₅, -S(=O)₂-C₃H₇, -NH₂, -NH-CH₃, -NH-C₂H₅, -N(CH₃)₂ and -N(C₂H₅)₂;

a radical selected from the group consisting of phenyl, naphthyl, pyridinyl, furyl (furanyl), thienyl (thiophenyl), pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, imidazolyl, pyrazolyl, oxadiazolyl, thiadiazolyl, triazolyl, pyridazinyl, indolyl and isoindolyl, which may be bonded via a -(CH₂)-, -(CH₂)-(CH₂)-, -(CH₂)-(CH₂)-(CH₂)- or -CH=CH-group and/or may optionally be substituted with 1, 2, 3, 4 or 5 substituent(s)

independently selected from the group consisting of -CF₃, methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, 2-butyl, tert-butyl, n-pentyl, 2-pentyl, n-hexyl, -O-CH₃, -O-C₂H₅, -O-CH₂-CH₂-CH₃, -O-CH(CH₃)₂, -O-CH₂-CH₂-CH₂-CH₃, -O-C(CH₃)₃, -S-CH₃, -S-C₂H₅, -S-CH₂-CH₂-CH₃, -S-CH(CH₃)₂, -S-CH₂-CH₂-CH₂-CH₃, -S-C(CH₃)₃, F, Cl, Br, I, -CN, -OCF₃, -SCF₃, -SCF₂H, -SCFH₂, -OH, -SH, -NO₂, -CHO, -C(=O)-CH₃, -C(=O)-C₂H₅, -C(=O)-C(CH₃)₃, -CF₂H, -CFH₂, -C(=O)-NH₂, -C(=O)-NH-CH₃, -C(=O)-NH-C₂H₅, -C(=O)-NH-C₃H₇, -C(=O)-N(CH₃)₂, -C(=O)-N(C₂H₅)₂, -S(=O)-CH₃, -S(=O)-C₂H₅, -S(=O)-C₃H₇, -S(=O)₂-CH₃, -S(=O)₂-C₂H₅, -S(=O)₂-C₃H₇, -NH₂, -NH-CH₃, -NH-C₂H₅, -N(CH₃)₂, -N(C₂H₅)₂, -O-C(=O)-CH₃, -O-C(=O)-C₂H₅, -O-C(=O)-CH(CH₃)₂, -O-C(=O)-CH₂-CH₂-CH₃, -CH₂-N(CH₃)₂, -(CH₂)-N(C₂H₅)₂, -CH₂-N(C₃H₇)₂, -CH₂-N(C₄H₉)₂, -CH₂-N(CH₃)(C₂H₅) and -(CH₂)-morpholinyl;

a -P(=O)(OR¹⁶)₂ moiety; a -C(=O)-OR¹⁷ moiety; a -C(=O)-NH-R¹⁸ moiety or a -C(=O)-R¹⁹ moiety;

R⁷ and R⁸, independently of another, in each case represent a hydrogen atom;

a radical selected from the group consisting of methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, sec-butyl, tert-butyl, n-pentyl, 2-pentyl, 3-pentyl, neo-pentyl, n-hexyl, 2-hexyl, 3-hexyl, n-heptyl, 2-heptyl, 3-heptyl, 4-heptyl, n-octyl, 2-octyl, 3-octyl, 4-octyl, 2-(6-methyl)-heptyl, 2-(5-methyl)-heptyl, 2-(5-methyl)-hexyl, 2-(4-methyl)-hexyl, 2-(7-methyl)-octyl; 2-(6-methyl)-octyl, vinyl, n-propenyl, n-butenyl, n-pentenyl, n-hexenyl, ethinyl, propinyl, n-butenyl, n-pentinyl and n-hexinyl, which may optionally be substituted with 1, 2, 3, 4, 5, 6, 7, 8 or 9 substituent(s) independently selected from the group consisting of -OH, F, Cl, Br, I, -O-CH₃, -O-C₂H₅, -O-CH₂-CH₂-CH₃, -O-CH(CH₃)₂, -O-CH₂-CH₂-CH₂-CH₃, -O-C(CH₃)₃, -NH₂, -NH-CH₃, -NH-C₂H₅, -N(CH₃)₂, -N(C₂H₅)₂, -CN and -NO₂;

a radical selected from the group consisting of (1,2,3,4)-tetrahydronaphthyl, (2,3)-dihydro-1H-cyclopenta[b]indolyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, cyclooctyl, cyclononyl, cyclodecyl, cycloundecyl, cyclododecyl, cyclotridecyl, cyclotetradecyl, cyclopentenyl, cyclohexenyl, cycloheptenyl, cyclooctenyl, pyrrolidinyl, piperidinyl, piperazinyl, homopiperazinyl, morpholinyl, aziridinyl, azetidiny, imidazolidinyl, thiomorpholinyl, pyrazolidinyl, tetrahydrofuranyl,

tetrahydrothiophenyl, azepanyl, diazepanyl, azocanyl, (2,5)-dihydrofuranyl, (2,5)-
 dihydrothiophenyl, (2,3)-dihydrofuranyl, (2,3)-dihydrofuranyl, (2,5)-dihydro-1H-
 pyrrolyl, (2,3)-dihydro-1H-pyrrolyl, tetrahydrothiopyranyl, tetrahydropyranyl, (3,4)-
 dihydro-2H-pyranyl, (3,4)-dihydro-2H-thiopyranyl, (1,2,3,6)-tetrahydropyridinyl,
 5 (1,2,3,4)-tetrahydropyridinyl, (1,2,5,6)-tetrahydropyridinyl, [1,3]-oxazinanyl,
 hexahydropyrimidinyl, (5,6)-dihydro-4H-pyrimidinyl, oxazolidinyl, (1,3)-dioxanyl, (1,4)-
 dioxanyl, (1,3)-dioxolanyl, indolanyl, isoindolanyl, decahydronaphthyl, (1,2,3,4)-
 tetrahydroquinolinyl, (1,2,3,4)-tetrahydroisoquinolinyl, octahydro-
 cyclopenta[c]pyrrolyl, (1,3,4,7,9a)-hexahydro-2H-quinoliziny, (1,2,3,5,6,8a)-
 10 hexahydro-indoliziny, decahydroquinolinyl, dodecahydro-carbazolyl, 9H-carbazolyl,
 decahydroisoquinolinyl, (6,7)-dihydro-4H-thieno[3,2-c]pyridinyl, (2,3)-dihydro-1H-
 benzo[de]isoquinolinyl, (1,2,3,4)-tetrahydroquinoxazlinyl, adamantyl,
 bicyclo[2.2.1]heptyl, bicyclo[3.1.1]heptyl, norbornenyl, 8-aza-bicyclo[3.2.1]octyl and
 8-aza-spiro[4.5]decanyl, which may be bonded via a $-(CH_2)-$, $-(CH_2)-(CH_2)-$, $-(CH_2)-$
 15 $(CH_2)-(CH_2)-$ or $-CH=CH-$ group and/or may optionally be substituted with 1, 2, 3, 4 or
 5 substituent(s) independently selected from the group consisting of oxo ($=O$), thioxo
 ($=S$), $-CF_3$, methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, 2-butyl, tert-butyl, n-
 pentyl, 2-pentyl, n-hexyl, $-O-CH_3$, $-O-C_2H_5$, $-O-CH_2-CH_2-CH_3$, $-O-CH(CH_3)_2$, $-O-CH_2-$
 $CH_2-CH_2-CH_3$, $-O-C(CH_3)_3$, $-O-CH_2-O-CH_3$, $-O-CH_2-CH_2-O-CH_3$, $-O-CH_2-O-C_2H_5$, -
 20 $C(OCH_3)(C_2H_5)_2$, $-C(OCH_3)(CH_3)_2$, $-S-CH_3$, $-S-C_2H_5$, $-S-CH_2-CH_2-CH_3$, $-S-CH(CH_3)_2$, -
 $S-CH_2-CH_2-CH_2-CH_3$, $-S-C(CH_3)_3$, F, Cl, Br, I, $-CN$, $-OCF_3$, $-SCF_3$, $-SCF_2H$, $-SCFH_2$, -
 OH , $-SH$, $-NO_2$, $-CHO$, $-C(=O)-CH_3$, $-C(=O)-C_2H_5$, $-C(=O)-C(CH_3)_3$, $-C(=O)-OH$, -
 $C(=O)-O-CH_3$, $-C(=O)-O-C_2H_5$, $-C(=O)-O-C(CH_3)_3$, $-CF_2H$, $-CFH_2$, $-C(=O)-NH_2$, -
 $C(=O)-NH-CH_3$, $-C(=O)-NH-C_2H_5$, $-C(=O)-NH-C_3H_7$, $-C(=O)-N(CH_3)_2$, $-C(=O)-$
 25 $N(C_2H_5)_2$, $-S(=O)-CH_3$, $-S(=O)-C_2H_5$, $-S(=O)-C_3H_7$, $-S(=O)_2-CH_3$, $-S(=O)_2-C_2H_5$, -
 $S(=O)_2-C_3H_7$, $-NH_2$, $-NH-CH_3$, $-NH-C_2H_5$, $-N(CH_3)_2$, $-N(C_2H_5)_2$, $-O-Benzyl$, benzyl,
 cyclopentyl, cyclohexyl, pyrrolidinyl and piperidinyl;

a radical selected from the group consisting of phenyl, naphthyl, pyridinyl, furyl
 30 (furanyl), thienyl (thiophenyl), pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl,
 imidazolyl, pyrazolyl, oxadiazolyl, thiadiazolyl, triazolyl, pyridazinyl, indolyl and
 isoindolyl, which may be bonded via a $-(CH_2)-$, $-(CH_2)-(CH_2)-$, $-(CH_2)-(CH_2)-(CH_2)-$ or
 $-CH=CH-$ group and/or may optionally be substituted with 1, 2, 3, 4 or 5 substituent(s)
 independently selected from the group consisting of $-CF_3$, methyl, ethyl, n-propyl,

- isopropyl, n-butyl, isobutyl, 2-butyl, tert-butyl, n-pentyl, 2-pentyl, n-hexyl, -O-CH₃, -O-C₂H₅, -O-CH₂-CH₂-CH₃, -O-CH(CH₃)₂, -O-CH₂-CH₂-CH₂-CH₃, -O-C(CH₃)₃, -S-CH₃, -S-C₂H₅, -S-CH₂-CH₂-CH₃, -S-CH(CH₃)₂, -S-CH₂-CH₂-CH₂-CH₃, -S-C(CH₃)₃, F, Cl, Br, I, -CN, -OCF₃, -SCF₃, -SCF₂H, -SCFH₂, -OH, -SH, -NO₂, -CHO, -C(=O)-CH₃, -C(=O)-C₂H₅, -C(=O)-C(CH₃)₃, -CF₂H, -CFH₂, -C(=O)-NH₂, -C(=O)-NH-CH₃, -C(=O)-NH-C₂H₅, -C(=O)-NH-C₃H₇, -C(=O)-N(CH₃)₂, -C(=O)-N(C₂H₅)₂, -S(=O)-CH₃, -S(=O)-C₂H₅, -S(=O)-C₃H₇, -S(=O)₂-CH₃, -S(=O)₂-C₂H₅, -S(=O)₂-C₃H₇, -NH₂, -NH-CH₃, -NH-C₂H₅, -N(CH₃)₂ and -N(C₂H₅)₂;
- 5 a -P(=O)(OR¹⁶)₂ moiety; a -C(=O)-OR¹⁷ moiety; a -C(=O)-NH-R¹⁸ moiety; a -C(=O)-R¹⁹ moiety; a -S(=O)₂-R²⁰ moiety; or a -NR²¹R²² moiety;

R⁹ represents hydrogen or an alkyl radical selected from the group consisting of methyl, ethyl and n-propyl.

- 15 R¹⁰, R¹¹, R¹², R¹³, R¹⁴, R¹⁵ and R²⁰, independently of another, in each case represent a radical selected from the group consisting of methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, sec-butyl, tert-butyl, n-pentyl, 2-pentyl, 3-pentyl, neo-pentyl, n-hexyl, 2-hexyl, 3-hexyl, n-heptyl, 2-heptyl, 3-heptyl, 4-heptyl, n-octyl, 2-octyl, 3-octyl, 4-octyl, 2-(6-methyl)-heptyl, 2-(5-methyl)-heptyl, 2-(5-methyl)-hexyl, 2-(4-methyl)-hexyl, 2-(7-methyl)-octyl; 2-(6-methyl)-octyl, vinyl, n-propenyl, n-butenyl, n-pentenyl, n-hexenyl, ethinyl, propinyl, n-butinyl, n-pentinyl and n-hexinyl, which may optionally be substituted with 1, 2, 3, 4, 5, 6, 7, 8 or 9 substituent(s) independently selected from the group consisting of -OH, F, Cl, Br, I, -O-CH₃, -O-C₂H₅, -O-CH₂-CH₂-CH₃, -O-CH(CH₃)₂, -O-CH₂-CH₂-CH₂-CH₃, -O-C(CH₃)₃, -NH₂, -NH-CH₃, -NH-C₂H₅, -N(CH₃)₂, -N(C₂H₅)₂, -CN and -NO₂;
- 25

- a radical selected from the group consisting of cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, cyclooctyl, cyclononyl, cyclodecyl, cyclopentenyl, cyclohexenyl, cycloheptenyl, cyclooctenyl, pyrrolidinyl, piperidinyl, piperazinyl, homopiperazinyl, morpholinyl, aziridinyl, azetidiny, imidazolidinyl, thiomorpholinyl, pyrazolidinyl, tetrahydrofuranyl, tetrahydrothiophenyl, azepanyl, 8-azabicyclo[3.2.1]octyl and diazepanyl, which may be bonded via a -(CH₂)-, -(CH₂)-(CH₂)-, -(CH₂)-(CH₂)-(CH₂)- or -CH=CH-group and/or may optionally be substituted with 1,
- 30

2, 3, 4 or 5 substituent(s) independently selected from the group consisting of oxo (=O), thioxo (=S), -CF₃, methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, 2-butyl, tert-butyl, n-pentyl, 2-pentyl, n-hexyl, -O-CH₃, -O-C₂H₅, -O-CH₂-CH₂-CH₃, -O-CH(CH₃)₂, -O-CH₂-CH₂-CH₂-CH₃, -O-C(CH₃)₃, -S-CH₃, -S-C₂H₅, -S-CH₂-CH₂-CH₃, -S-CH(CH₃)₂, -S-CH₂-CH₂-CH₂-CH₃, -S-C(CH₃)₃, F, Cl, Br, I, -CN, -OCF₃, -SCF₃, -SCF₂H, -SCFH₂, -OH, -SH, -NO₂, -CHO, -C(=O)-CH₃, -C(=O)-C₂H₅, -C(=O)-C(CH₃)₃, -CF₂H, -CFH₂, -C(=O)-NH₂, -C(=O)-NH-CH₃, -C(=O)-NH-C₂H₅, -C(=O)-NH-C₃H₇, -C(=O)-N(CH₃)₂, -C(=O)-N(C₂H₅)₂, -S(=O)-CH₃, -S(=O)-C₂H₅, -S(=O)-C₃H₇, -S(=O)₂-CH₃, -S(=O)₂-C₂H₅, -S(=O)₂-C₃H₇, -NH₂, -NH-CH₃, -NH-C₂H₅, -N(CH₃)₂ and -N(C₂H₅)₂;

or a radical selected from the group consisting of phenyl, naphthyl, pyridinyl, furyl (furanyl), thienyl (thiophenyl), pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, imidazolyl, pyrazolyl, oxadiazolyl, thiadiazolyl, triazolyl, pyridazinyl, indolyl and isoindolyl, which may be bonded via a -(CH₂)-, -(CH₂)-(CH₂)-, -(CH₂)-(CH₂)-(CH₂)- or -CH=CH-group and/or may optionally be substituted with 1, 2, 3, 4 or 5 substituent(s) independently selected from the group consisting of -CF₃, methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, 2-butyl, tert-butyl, n-pentyl, 2-pentyl, n-hexyl, -O-CH₃, -O-C₂H₅, -O-CH₂-CH₂-CH₃, -O-CH(CH₃)₂, -O-CH₂-CH₂-CH₂-CH₃, -O-C(CH₃)₃, -S-CH₃, -S-C₂H₅, -S-CH₂-CH₂-CH₃, -S-CH(CH₃)₂, -S-CH₂-CH₂-CH₂-CH₃, -S-C(CH₃)₃, F, Cl, Br, I, -CN, -OCF₃, -SCF₃, -SCF₂H, -SCFH₂, -OH, -SH, -NO₂, -CHO, -C(=O)-CH₃, -C(=O)-C₂H₅, -C(=O)-C(CH₃)₃, -CF₂H, -CFH₂, -C(=O)-NH₂, -C(=O)-NH-CH₃, -C(=O)-NH-C₂H₅, -C(=O)-NH-C₃H₇, -C(=O)-N(CH₃)₂, -C(=O)-N(C₂H₅)₂, -S(=O)-CH₃, -S(=O)-C₂H₅, -S(=O)-C₃H₇, -S(=O)₂-CH₃, -S(=O)₂-C₂H₅, -S(=O)₂-C₃H₇, -NH₂, -NH-CH₃, -NH-C₂H₅, -N(CH₃)₂ and -N(C₂H₅)₂;

R¹⁶, R¹⁷, R¹⁸, R¹⁹, R²³, R²⁴, R²⁵, R²⁶, R²⁷, R²⁸, R²⁹, R³⁰, R³¹, R³², R³³, R³⁴, R³⁵, R³⁷ and R³⁸, independently of one another, in each case represent

a radical selected from the group consisting of methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, sec-butyl, tert-butyl, n-pentyl, 2-pentyl, 3-pentyl, neo-pentyl, n-hexyl, 2-hexyl, 3-hexyl, n-heptyl, 2-heptyl, 3-heptyl, 4-heptyl, n-octyl, 2-octyl, 3-octyl, 4-octyl, vinyl, n-propenyl, n-butenyl, n-pentenyl, n-hexenyl, ethinyl, propinyl, n-butylnyl, n-pentynyl and n-hexynyl, which may optionally be substituted with 1, 2, 3, 4, 5, 6, 7, 8 or 9 substituent(s) independently selected from the group consisting of -OH, -SH, F,

Cl, Br, I, -O-C₁₋₆-alkyl, -OCF₃, -O-C₂F₅, -O-C₃F₇, -O-C₄F₉, -O-phenyl, -O-benzyl, -S-C₁₋₆-alkyl, -S-phenyl, -S-benzyl, -CF₃, -C₂F₅, -C₃F₇, -C₄F₉, -NH₂, -NH-C₁₋₆-alkyl, -N(C₁₋₆-alkyl)₂, -NH-phenyl, -NH-benzyl, -N(C₁₋₆-alkyl)-phenyl, -N(C₁₋₆-alkyl)-benzyl, -N⁺(C₁₋₆-alkyl)₃, -C(=O)-OH, -C(=O)-O-C₁₋₆-alkyl, -C(=O)-O-benzyl, -C(=O)-O-phenyl, -

5 C(=O)-NH₂, -C(=O)-NH-C₁₋₆-alkyl, -C(=O)-N(C₁₋₆-alkyl)₂, -C(=O)-NH-phenyl, -C(=O)-NH-benzyl, -CN, -NO₂, -S(=O)-NH₂, -CHO, -C(=O)-C₁₋₆-alkyl, -C(=O)-benzyl, -C(=O)-phenyl, -O-C(=O)-C₁₋₆-alkyl, -S(=O)-C₁₋₆-alkyl, -S(=O)₂-C₁₋₆-alkyl, -S(=O)-phenyl, -S(=O)₂-phenyl, -S(=O)-benzyl, -S(=O)-phenyl, -O-S(=O)-C₁₋₆-alkyl-, -O-S(=O)-phenyl, -O-S(=O)-benzyl, -O-S(=O)₂-C₁₋₆-alkyl-, -O-S(=O)₂-phenyl, -O-S(=O)₂-benzyl, -NH-

10 S(=O)-C₁₋₆-alkyl, -NH-C(=O)-O-C₁₋₆-alkyl and -NH-C(=O)-C₁₋₆-alkyl; whereby in each case the cyclic moieties phenyl and benzyl can optionally be substituted with 1, 2, 3, 4 or 5 substituent(s) independently selected from the group consisting of F, Cl, Br, I, -OH, -C(=O)-OH, -C(=O)-O-C₁₋₆-alkyl, -C(=O)-H, -C(=O)-C₁₋₆-alkyl, -CF₃, -CN, -NO₂, -C₁₋₆-alkyl, -O-C₁₋₆-alkyl, -O-CF₃ and -S-CF₃.

15 or a radical selected from the group consisting of phenyl, naphthyl, pyridinyl, furyl (furanyl), thienyl (thiophenyl), pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, imidazolyl, pyrazolyl, oxadiazolyl, thiadiazolyl, triazolyl, pyridazinyl, indolyl and isoindolyl, which may be bonded via a -(CH₂)-, -(CH₂)-(CH₂)-, -(CH₂)-(CH₂)-(CH₂)- or

20 -CH=CH-group and/or may optionally be substituted with 1, 2, 3, 4 or 5 substituent(s) independently selected from the group consisting of -CF₃, methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, 2-butyl, tert-butyl, n-pentyl, 2-pentyl, n-hexyl, -O-CH₃, -O-C₂H₅, -O-CH₂-CH₂-CH₃, -O-CH(CH₃)₂, -O-CH₂-CH₂-CH₂-CH₃, -O-C(CH₃)₃, -S-CH₃, -S-C₂H₅, -S-CH₂-CH₂-CH₃, -S-CH(CH₃)₂, -S-CH₂-CH₂-CH₂-CH₃, -S-C(CH₃)₃, F, Cl, Br, I, -CN, -OCF₃, -SCF₃, -SCF₂H, -SCFH₂, -OH, -SH, -NO₂, -CHO, -C(=O)-CH₃, -C(=O)-C₂H₅, -C(=O)-C(CH₃)₃, -CF₂H, -CFH₂, -C(=O)-NH₂, -C(=O)-NH-CH₃, -C(=O)-NH-C₂H₅, -C(=O)-NH-C₃H₇, -C(=O)-N(CH₃)₂, -C(=O)-N(C₂H₅)₂, -S(=O)-CH₃, -S(=O)-C₂H₅, -S(=O)-C₃H₇, -S(=O)₂-CH₃, -S(=O)₂-C₂H₅, -S(=O)₂-C₃H₇, -NH₂, -NH-CH₃, -NH-C₂H₅, -N(CH₃)₂, -N(C₂H₅)₂, -O-C(=O)-CH₃, -O-C(=O)-C₂H₅, -O-C(=O)-CH(CH₃)₂, -O-C(=O)-

30 CH₂-CH₂-CH₃, -CH₂-N(CH₃)₂, -(CH₂)-N(C₂H₅)₂, -CH₂-N(C₃H₇)₂, -CH₂-N(C₄H₉)₂, -CH₂-N(CH₃)(C₂H₅) and -(CH₂)-morpholinyl;

R²¹ and R²², independently of another, in each case represent hydrogen;

a radical selected from the group consisting of methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, sec-butyl, tert-butyl, n-pentyl, 2-pentyl, 3-pentyl, neo-pentyl, n-hexyl, 2-hexyl, 3-hexyl, n-heptyl, 2-heptyl, 3-heptyl, 4-heptyl, n-octyl, 2-octyl, 3-octyl, 4-octyl, 2-(6-methyl)-heptyl, 2-(5-methyl)-heptyl, 2-(5-methyl)-hexyl, 2-(4-methyl)-hexyl, 2-(7-methyl)-octyl; 2-(6-methyl)-octyl, vinyl, n-propenyl, n-butenyl, n-pentenyl, n-hexenyl, ethinyl, propinyl, n-butinyl, n-pentinyl and n-hexinyl, which may optionally be substituted with 1, 2, 3, 4, 5, 6, 7, 8 or 9 substituent(s) independently selected from the group consisting of -OH, F, Cl, Br, I, -O-CH₃, -O-C₂H₅, -O-CH₂-CH₂-CH₃, -O-CH(CH₃)₂, -O-CH₂-CH₂-CH₂-CH₃, -O-C(CH₃)₃, -NH₂, -NH-CH₃, -NH-C₂H₅, -N(CH₃)₂, -N(C₂H₅)₂, -CN and -NO₂;

a radical selected from the group consisting of (2,3)-dihydro-1H-cyclopenta[b]indolyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, cyclooctyl, cyclononyl, cyclodecyl, cycloundecyl, cyclododecyl, cyclotridecyl, cyclotetradecyl, cyclopentenyl, cyclohexenyl, cycloheptenyl, cyclooctenyl, pyrrolidinyl, piperidinyl, piperazinyl, homopiperazinyl, morpholinyl, aziridinyl, azetidiny, imidazolidinyl, thiomorpholinyl, pyrazolidinyl, tetrahydrofuranyl, tetrahydrothiophenyl, azepanyl, diazepanyl, azocanyl, (2,5)-dihydrofuranyl, (2,5)-dihydrothiophenyl, (2,3)-dihydrofuranyl, (2,3)-dihydrofuranyl, (2,5)-dihydro-1H-pyrrolyl, (2,3)-dihydro-1H-pyrrolyl, tetrahydrothiopyranyl, tetrahydropyranyl, (3,4)-dihydro-2H-pyranyl, (3,4)-dihydro-2H-thiopyranyl, (1,2,3,6)-tetrahydropyridinyl, (1,2,3,4)-tetrahydropyridinyl, (1,2,5,6)-tetrahydropyridinyl, [1,3]-oxazinanyl, hexahydropyrimidinyl, (5,6)-dihydro-4H-pyrimidinyl, oxazolidinyl, (1,3)-dioxanyl, (1,4)-dioxanyl, (1,3)-dioxolanyl, indolinyl, isoindolinyl, decahydronaphthyl, (1,2,3,4)-tetrahydroquinolinyl, (1,2,3,4)-tetrahydroisoquinolinyl, octahydro-cyclopenta[c]pyrrolyl, (1,3,4,7,9a)-hexahydro-2H-quinoliziny, (1,2,3,5,6,8a)-hexahydro-indoliziny, decahydroquinolinyl, dodecahydro-carbazolyl, 9H-carbazolyl, decahydroisoquinolinyl, (6,7)-dihydro-4H-thieno[3,2-c]pyridinyl, (2,3)-dihydro-1H-benzo[de]isoquinolinyl, (1,2,3,4)-tetrahydroquinoxazlinyl, adamantyl, bicyclo[2.2.1]heptyl, bicyclo[3.1.1]heptyl, norbornenyl, 8-aza-bicyclo[3.2.1]octyl and 8-aza-spiro[4.5]decanyl, which may be bonded via a -(CH₂)-, -(CH₂)-(CH₂)-, -(CH₂)-(CH₂)-(CH₂)- or -CH=CH-group and/or may optionally be substituted with 1, 2, 3, 4 or 5 substituent(s) independently selected from the group consisting of oxo (=O), thioxo (=S), -CF₃, methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, 2-butyl, tert-butyl, n-pentyl, 2-pentyl, n-hexyl, -O-CH₃, -O-C₂H₅, -O-CH₂-CH₂-

CH₃, -O-CH(CH₃)₂, -O-CH₂-CH₂-CH₂-CH₃, -O-C(CH₃)₃, -O-CH₂-O-CH₃, -O-CH₂-CH₂-O-CH₃, -O-CH₂-O-C₂H₅, -C(OCH₃)(C₂H₅)₂, -C(OCH₃)(CH₃)₂, -S-CH₃, -S-C₂H₅, -S-CH₂-CH₂-CH₃, -S-CH(CH₃)₂, -S-CH₂-CH₂-CH₂-CH₃, -S-C(CH₃)₃, F, Cl, Br, I, -CN, -OCF₃, -SCF₃, -SCF₂H, -SCFH₂, -OH, -SH, -NO₂, -CHO, -C(=O)-CH₃, -C(=O)-C₂H₅, -C(=O)-C(CH₃)₃, -C(=O)-OH, -C(=O)-O-CH₃, -C(=O)-O-C₂H₅, -C(=O)-O-C(CH₃)₃, -CF₂H, -CFH₂, -C(=O)-NH₂, -C(=O)-NH-CH₃, -C(=O)-NH-C₂H₅, -C(=O)-NH-C₃H₇, -C(=O)-N(CH₃)₂, -C(=O)-N(C₂H₅)₂, -S(=O)-CH₃, -S(=O)-C₂H₅, -S(=O)-C₃H₇, -S(=O)₂-CH₃, -S(=O)₂-C₂H₅, -S(=O)₂-C₃H₇, -NH₂, -NH-CH₃, -NH-C₂H₅, -N(CH₃)₂, -N(C₂H₅)₂, cyclopentyl, cyclohexyl, pyrrolidinyl and piperidinyl;

or a radical selected from the group consisting of phenyl, naphthyl, pyridinyl, furyl (furanyl), thienyl (thiophenyl), pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, imidazolyl, pyrazolyl, oxadiazolyl, thiadiazolyl, triazolyl, pyridazinyl, indolyl and isoindolyl, which may be bonded via a -(CH₂)-, -(CH₂)-(CH₂)-, -(CH₂)-(CH₂)-(CH₂)- or -CH=CH-group and/or may optionally be substituted with 1, 2, 3, 4 or 5 substituent(s) independently selected from the group consisting of -CF₃, methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, 2-butyl, tert-butyl, n-pentyl, 2-pentyl, n-hexyl, -O-CH₃, -O-C₂H₅, -O-CH₂-CH₂-CH₃, -O-CH(CH₃)₂, -O-CH₂-CH₂-CH₂-CH₃, -O-C(CH₃)₃, -S-CH₃, -S-C₂H₅, -S-CH₂-CH₂-CH₃, -S-CH(CH₃)₂, -S-CH₂-CH₂-CH₂-CH₃, -S-C(CH₃)₃, F, Cl, Br, I, -CN, -OCF₃, -SCF₃, -SCF₂H, -SCFH₂, -OH, -SH, -NO₂, -CHO, -C(=O)-CH₃, -C(=O)-C₂H₅, -C(=O)-C(CH₃)₃, -CF₂H, -CFH₂, -C(=O)-NH₂, -C(=O)-NH-CH₃, -C(=O)-NH-C₂H₅, -C(=O)-NH-C₃H₇, -C(=O)-N(CH₃)₂, -C(=O)-N(C₂H₅)₂, -S(=O)-CH₃, -S(=O)-C₂H₅, -S(=O)-C₃H₇, -S(=O)₂-CH₃, -S(=O)₂-C₂H₅, -S(=O)₂-C₃H₇, -NH₂, -NH-CH₃, -NH-C₂H₅, -N(CH₃)₂ and -N(C₂H₅)₂;

and R³⁶ represents a radical selected from the group consisting of methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, sec-butyl, tert-butyl, n-pentyl, 2-pentyl, 3-pentyl, neo-pentyl, n-hexyl, 2-hexyl, 3-hexyl, n-heptyl, 2-heptyl, 3-heptyl, 4-heptyl, n-octyl, 2-octyl, 3-octyl, 4-octyl, vinyl, n-propenyl, n-butenyl, n-pentenyl, n-hexenyl, ethinyl, propinyl, n-butylinyl, n-pentynyl and n-hexynyl, which may optionally be substituted with 1, 2, 3, 4, 5, 6, 7, 8 or 9 substituent(s) independently selected from the group consisting of -OH, -SH, F, Cl, Br, I, -O-C₁₋₆-alkyl, -OCF₃, -O-C₂F₅, -O-C₃F₇, -O-C₄F₉, -O-phenyl, -O-benzyl, -S-C₁₋₆-alkyl, -S-phenyl, -S-benzyl, -CF₃, -C₂F₅, -C₃F₇, -C₄F₉, -NH₂, -NH-C₁₋₆-alkyl, -N(C₁₋₆-alkyl)₂, -NH-phenyl, -NH-benzyl, -N(C₁₋₆-alkyl)-

phenyl, -N(C₁₋₆-alkyl)-benzyl, -N⁺(C₁₋₆-alkyl)₃, -C(=O)-OH, -C(=O)-O-C₁₋₆-alkyl, -C(=O)-O-benzyl, -C(=O)-O-phenyl, -C(=O)-NH₂, -O-C(=O)-C₁₋₆-alkyl, -C(=O)-NH-C₁₋₆-alkyl, -C(=O)-N(C₁₋₆-alkyl)₂, -C(=O)-NH-phenyl, -C(=O)-NH-benzyl, -CN, -NO₂, -S(=O)-NH₂, -CHO, -C(=O)-C₁₋₆-alkyl, -C(=O)-benzyl, -C(=O)-phenyl, -S(=O)-C₁₋₆-alkyl, -S(=O)₂-C₁₋₆-alkyl, -S(=O)-phenyl, -S(=O)₂-phenyl, -S(=O)-benzyl, -S(=O)-phenyl, -O-S(=O)-C₁₋₆-alkyl-, -O-S(=O)-phenyl, -O-S(=O)-benzyl, -O-S(=O)₂-C₁₋₆-alkyl-, -O-S(=O)₂-phenyl, -O-S(=O)₂-benzyl, -NH-S(=O)-C₁₋₆-alkyl, -NH-C(=O)-O-C₁₋₆-alkyl and -NH-C(=O)-C₁₋₆-alkyl; whereby in each case the cyclic moieties phenyl and benzyl can optionally be substituted with 1, 2, 3, 4 or 5 substituent(s) independently selected from the group consisting of F, Cl, Br, I, -OH, -C(=O)-OH, -C(=O)-O-C₁₋₆-alkyl, -C(=O)-H, -C(=O)-C₁₋₆-alkyl, -CF₃, -CN, -NO₂, -C₁₋₆-alkyl, -O-C₁₋₆-alkyl, -O-CF₃ and -S-CF₃;

optionally in form of one of its stereoisomers, preferably enantiomers or diastereomers, a racemate or in form of a mixture of at least two of its stereoisomers, preferably enantiomers and/or diastereomers, in any mixing ratio, or a corresponding N-oxide thereof, or a physiologically acceptable salt thereof, or a corresponding solvate thereof.

More preferred are prodrugs of pyrazoline compounds of general formula I given above, wherein

n is 1;

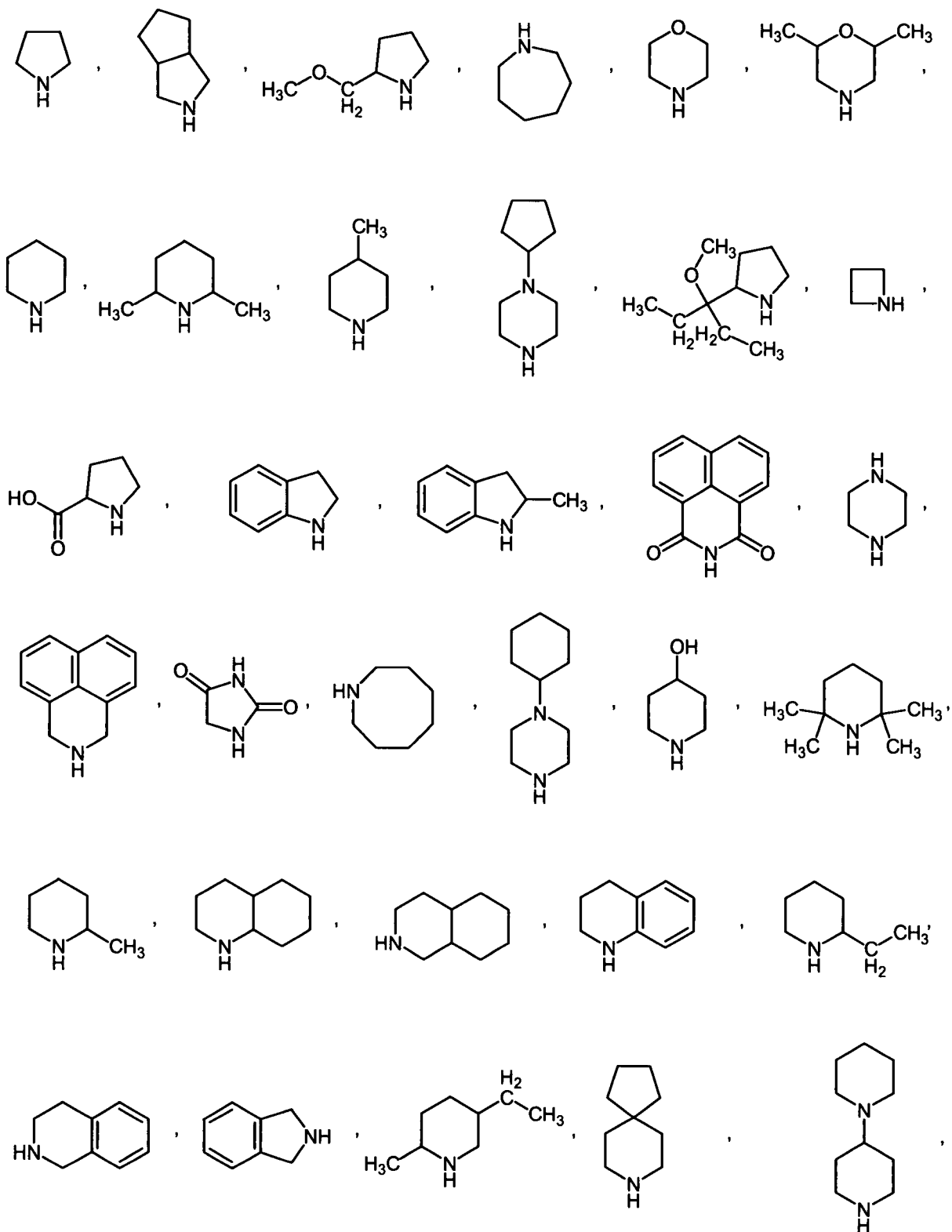
X is O or S;

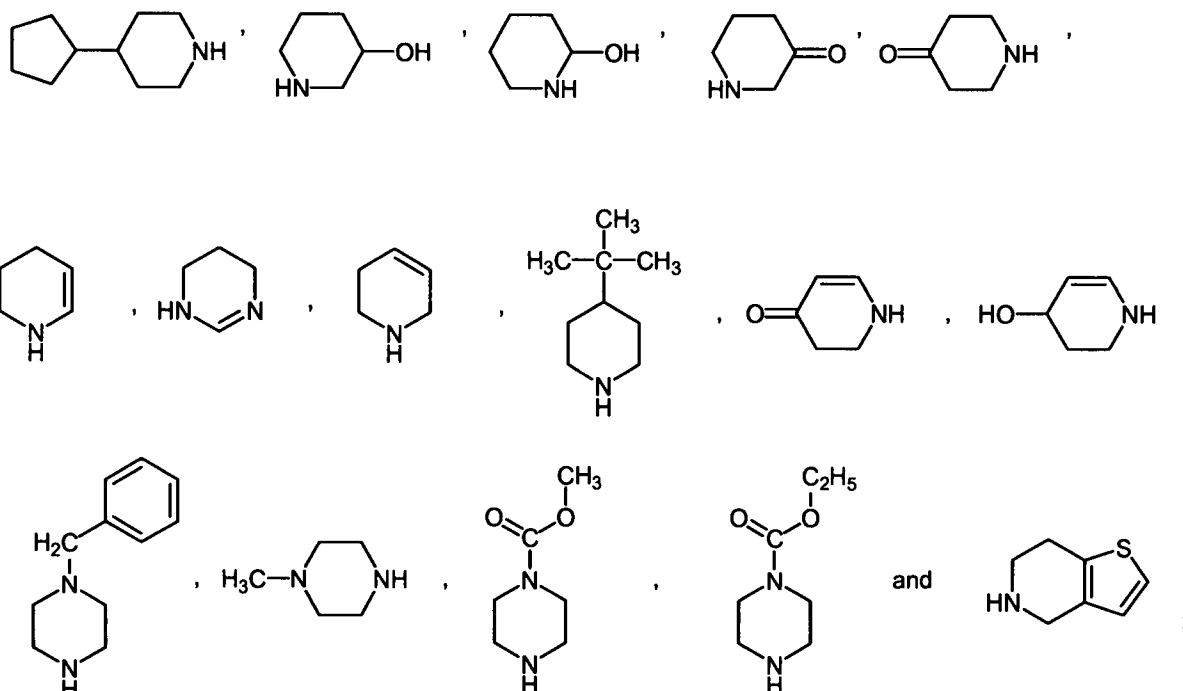
R¹ represents -C(=O)-OR²³; -C(=O)-OH; -P(=O)-(OR²⁴)₂; -P(=O)-(OH)₂; -C(=O)-NH₂; -C(=O)-NH-R²⁵; -C(=O)-NR²⁶R²⁷; -C(=O)-H; -C(=O)-R²⁸; -S(=O)-R²⁹; -(CHR³⁶)_m-O-C(=O)-R³⁰ with m being 1, 2 or 3; -(CHR³⁶)_o-O-C(=O)-OR³¹ with o being 1, 2 or 3; -(CHR³⁶)_p-O-P(=O)-(OR³²)₂ with p being 1, 2 or 3; -(CHR³⁶)_q-O-C(=O)-NH-R³³ with q being 1, 2 or 3; -(CHR³⁶)_r-O-C(=O)-NR³⁴R³⁵ with r being 1, 2 or 3; -S(=O)₂-R³⁷; -C(=S)-OR³⁸ or -C(=S)-R³⁹;

R² represents a radical selected from the group consisting of phenyl, naphthyl, pyridinyl, furyl (furanyl), thienyl (thiophenyl), pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, imidazolyl, pyrazolyl, oxadiazolyl, thiadiazolyl, triazolyl, pyridazinyl, indolyl, isoindolyl, pyrimidinyl, pyrazinyl, quinolinyl, isoquinolinyl, benzo[b]furanyl, benzo[b]thiophenyl, benzo[2,1,3]thiadiazolyl, [1,2,3]-benzothiadiazolyl, [2,1,3]-benzoxadiazolyl, [1,2,3]-benzoxadiazolyl, benzoxazolyl, benzthiazolyl, benzisoxazolyl, benzisothiazolyl and imidazo[2,1-b]thiazolyl, which may optionally be substituted with 1, 2, 3, 4 or 5 substituent(s) independently selected from the group consisting of -CF₃, methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, 2-butyl, tert-butyl, n-pentyl, 2-pentyl, n-hexyl, -OH, -O-CH₃, -O-C₂H₅, F, Cl, Br, I, -CN, -OCF₃, -SCF₃, -SCF₂H and -SCFH₂;

or a radical selected from the group consisting of cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, cyclooctyl, cyclononyl, cyclopentenyl, cyclohexenyl, cycloheptenyl, cyclooctenyl, pyrrolidinyl, piperidinyl, piperazinyl, homopiperazinyl, morpholinyl, aziridinyl, azetidiny, imidazolidinyl, thiomorpholinyl, pyrazolidinyl, tetrahydrofuranyl, tetrahydrothiophenyl, azepanyl and diazepanyl, which may optionally be substituted with 1, 2, 3, 4 or 5 substituent(s) independently selected from the group consisting of -CF₃, methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, 2-butyl, tert-butyl, n-pentyl, 2-pentyl, n-hexyl, F, Cl, Br, I, -CN, -OCF₃, -SCF₃, -SCF₂H and -SCFH₂;

R³ represents a radical selected from the group consisting of





which is in each case bonded to the pyrazoline compound of general formula I in any position of the cyclic part of the aforementioned radicals including the NH-groups,
 5 preferably said radicals are bonded to the pyrazoline compound of general formula I at the nitrogen atom of the cyclic part of the aforementioned radicals;

a -O-R⁶ moiety, a -NR⁷R⁸ moiety or a -NR⁹-O-R¹⁰ moiety;

R⁴ represents H; F; Cl; Br; I; -CN; -NO₂; -NC; -OH; -NH₂; -SH; -C(=O)-H; -C(=O)-OH; -C(=O)-OR¹⁷;

a radical selected from the group consisting of methyl, -CF₃, -CH₂F, -CF₂H, -C₂F₅, ethyl, -CH₂-CN, -CH₂-OH, n-propyl, isopropyl, -CH₂-CH₂-CN, -CH₂-CH₂-OH, n-butyl, -CH₂-CH₂-CH₂-CN, -CH₂-CH₂-CH₂-OH, isobutyl, sec-butyl, tert-butyl, n-pentyl, 2-pentyl, 3-pentyl, neo-pentyl, n-hexyl, 2-hexyl and 3-hexyl;

a radical selected from the group consisting of phenyl, naphthyl, pyridinyl, furyl (furanyl), thienyl (thiophenyl) and pyrrolyl, which may be bonded via a $-(CH_2)-$ group and/or may optionally be substituted with 1, 2, 3, 4 or 5 substituent(s) independently selected from the group consisting of $-CF_3$, methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, 2-butyl, tert-butyl, n-pentyl, 2-pentyl, n-hexyl, $-O-CH_3$, $-O-C_2H_5$, F, Cl and Br;

a $-O-R^{11}$ moiety; a $-S-R^{12}$ moiety, a $-NH-R^{13}$ moiety or a $-NR^{14}R^{15}$ moiety;

R^5 represents H; F; Cl; Br; $-C(=O)-OH$; $-C(=O)-OR^{17}$; or an alkyl radical selected from the group consisting of methyl, ethyl, n-propyl, isopropyl and n-butyl;

or R^4 and R^5 together with the bridging carbon atom form a radical selected from the group consisting of cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, cyclooctyl, cyclopentenyl, cyclohexenyl, cycloheptenyl and cyclooctenyl;

R^6 represents a hydrogen atom; a radical selected from the group consisting of methyl, $-CF_3$, $-CH_2F$, $-CF_2H$, $-CH_2-O-CH_3$, $-C_2F_5$, $-CH_2-CH_2-F$, ethyl, $-CH_2-CN$, $-CH_2-OH$, n-propyl, isopropyl, $-CH_2-CH_2-CN$, $-CH_2-CH_2-OH$, $-CH_2-CH_2-OCH_3$, n-butyl, $-CH_2-CH_2-CH_2-CN$, $-CH_2-CH_2-CH_2-OH$, $-CH_2-CH_2-CH_2-O-CH_3$, isobutyl, sec-butyl, tert-butyl, n-pentyl, $-CH_2-CH_2-CH_2-CH_2-O-CH_3$, 2-pentyl, 3-pentyl, neo-pentyl, n-hexyl, 2-hexyl, 3-hexyl, n-heptyl and n-octyl;

a radical selected from the group consisting of cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, pyrrolidinyl, piperidinyl, piperazinyl, homopiperazinyl, morpholinyl, imidazolidinyl, azepanyl, 8-aza-bicyclo[3.2.1]octyl and diazepanyl, which may be bonded via a $-(CH_2)-$, $-(CH_2)-(CH_2)-$, $-(CH_2)-(CH_2)-(CH_2)-$ or $-CH=CH-$ group and/or may optionally be substituted with 1, 2, 3, 4 or 5 substituent(s) independently selected from the group consisting of oxo ($=O$), thioxo ($=S$), methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, 2-butyl, tert-butyl, n-pentyl, 2-pentyl, n-hexyl, $-O-CH_3$, $-O-C_2H_5$, $-O-CH_2-CH_2-CH_3$, $-O-CH(CH_3)_2$, $-O-CH_2-CH_2-CH_2-CH_3$ and $-O-C(CH_3)_3$;

a radical selected from the group consisting of pyridinyl, furyl (furanyl), thienyl (thiophenyl), pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl and imidazolyl, which may be bonded via a $-(CH_2)-$, $-(CH_2)-(CH_2)-$, $-(CH_2)-(CH_2)-(CH_2)-$ or $-CH=CH-$ group

and/or may optionally be substituted with 1, 2, 3, 4 or 5 substituent(s) independently selected from the group consisting of methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, 2-butyl, tert-butyl, n-pentyl, 2-pentyl, n-hexyl, -O-CH₃, -O-C₂H₅, -O-CH₂-CH₂-CH₃, -O-CH(CH₃)₂, -O-CH₂-CH₂-CH₂-CH₃, -O-C(CH₃)₃, F, Cl, Br, I, -O-C(=O)-CH₃, -O-C(=O)-C₂H₅, -O-C(=O)-CH(CH₃)₂, -O-C(=O)-CH₂-CH₂-CH₃, -CH₂-N(CH₃)₂, -(CH₂)-N(C₂H₅)₂, -CH₂-N(C₃H₇)₂, -CH₂-N(C₄H₉)₂, -CH₂-N(CH₃)(C₂H₅) and -(CH₂)-morpholinyl;

a -P(=O)(OR¹⁶)₂ moiety; a -C(=O)-OR¹⁷ moiety; a -C(=O)-NH-R¹⁸ moiety or a -C(=O)-R¹⁹ moiety.

R⁷ and R⁸, independently of another, in each case represent a hydrogen atom;

a radical selected from the group consisting of methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, sec-butyl, tert-butyl, n-pentyl, 2-pentyl, 3-pentyl, neo-pentyl, n-hexyl, 2-hexyl, 3-hexyl, n-heptyl, 2-heptyl, 3-heptyl, 4-heptyl, n-octyl, 2-octyl, 3-octyl, 4-octyl, 2-(6-methyl)-heptyl, 2-(5-methyl)-heptyl, 2-(5-methyl)-hexyl, 2-(4-methyl)-hexyl, 2-(7-methyl)-octyl; 2-(6-methyl)-octyl, -CH₂-NH₂, -CH₂-N(CH₃)₂, -CH₂-CH-NH₂, -CH₂-CH₂-N(CH₃)₂, -CH₂-CH₂-N(C₂H₅)₂, -CH₂-CH₂-CH₂-NH₂, -CH₂-CH₂-CH₂-N(CH₃)₂ and -CH₂-CH₂-CH₂-N(C₂H₅)₂;

a radical selected from the group consisting of morpholinyl, piperidinyl, pyrrolidinyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, cyclooctyl, cyclononyl, cyclodecyl, cycloundecyl, cyclododecyl, cyclotridecyl, cyclotetradecyl, [1,2,3,4]-tetrahydronaphthyl and bicyclo[2.2.1]heptyl, which may be bonded via a -(CH₂)-, -(CH₂)-(CH₂)-, -(CH₂)-(CH₂)-(CH₂)- or -CH=CH-group and/or may optionally be substituted with 1, 2, 3, 4 or 5 substituent(s) independently selected from the group consisting of -OH, -O-CH₃, -O-C₂H₅, -O-Benzyl, benzyl, methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, 2-butyl, tert-butyl, n-pentyl, 2-pentyl and n-hexyl;

a radical selected from the group consisting of phenyl, naphthyl, pyridinyl, furyl (furanyl), thienyl (thiophenyl) and triazolyl, which may be bonded via a -(CH₂)-, -(CH₂)-(CH₂)-, -(CH₂)-(CH₂)-(CH₂)- or -CH=CH-group and/or may optionally be

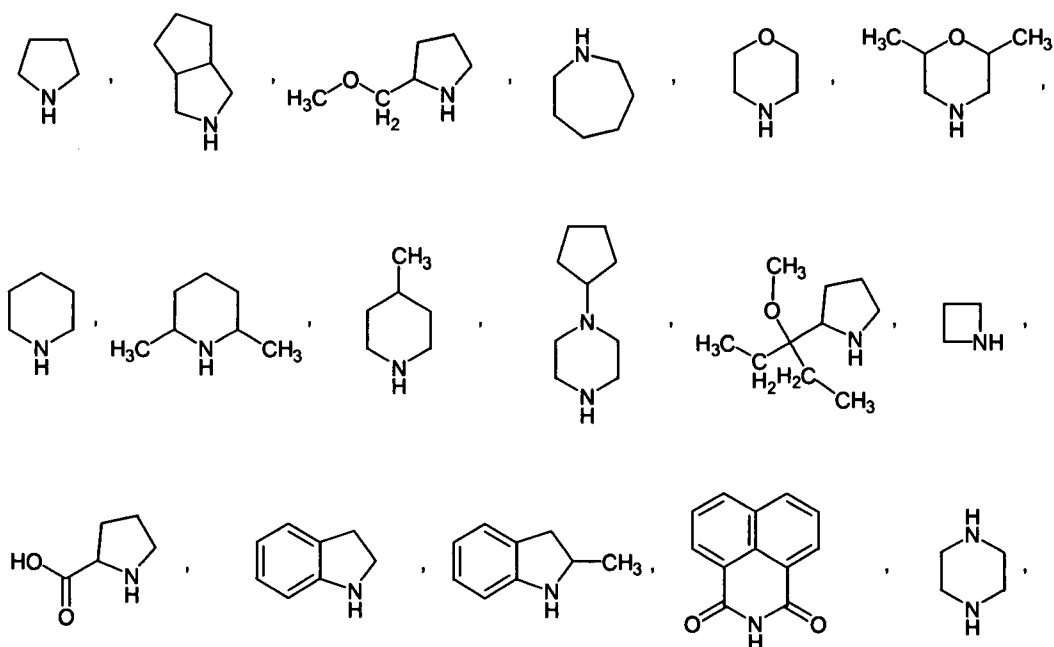
substituted with 1, 2, 3, 4 or 5 substituent(s) independently selected from the group consisting of -CF₃, methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, 2-butyl, tert-butyl, n-pentyl, 2-pentyl, n-hexyl, F, Cl and Br;

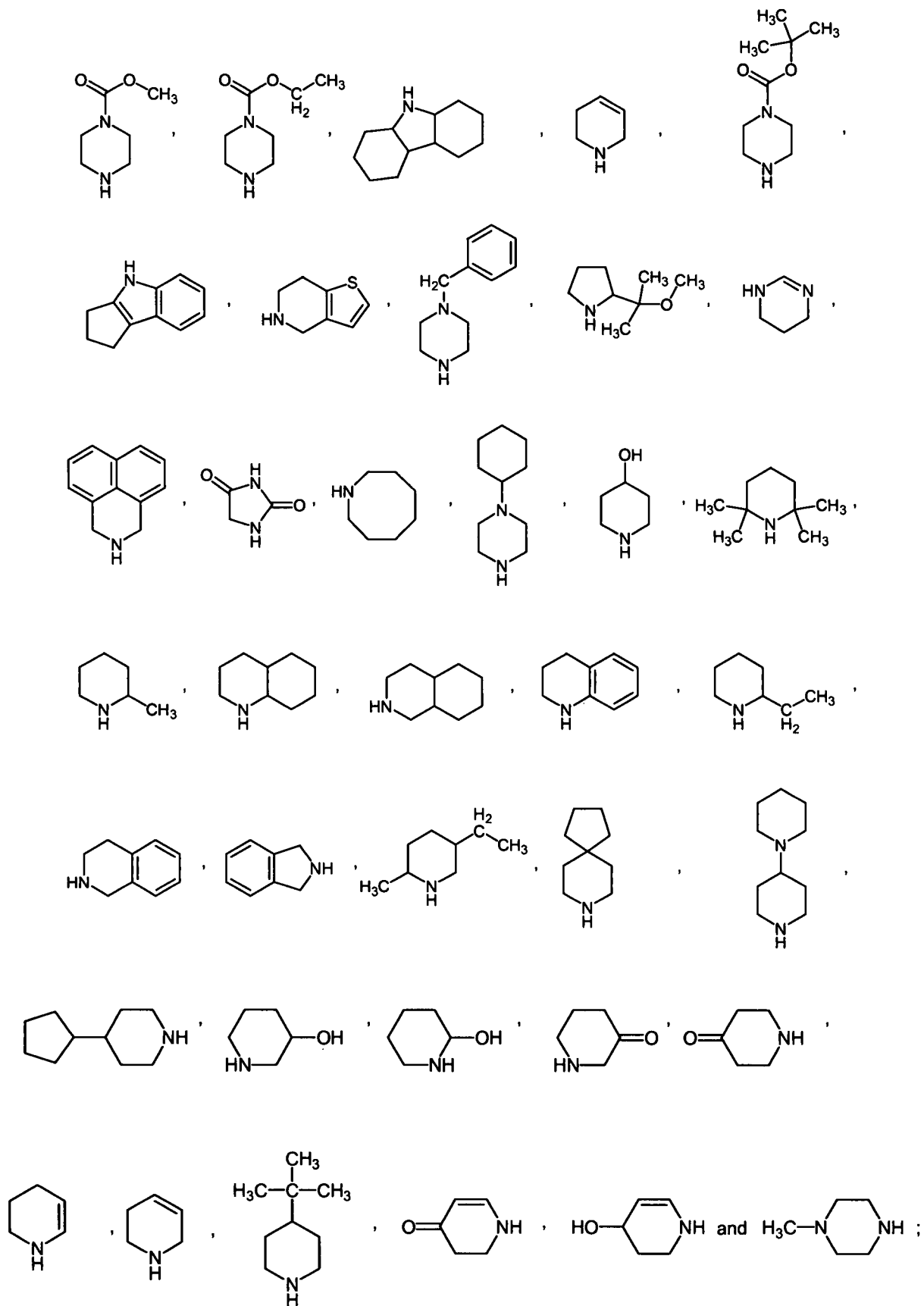
5 a -S(=O)₂-R²⁰ moiety;

a -NR²¹R²² moiety;

a radical selected from the group consisting of

10





which is in each case bonded to the pyrazoline compound of general formula I in any position of the cyclic part of the aforementioned radicals including the NH-groups, optionally via a $-(CH_2)-$ or $-(CH_2)-(CH_2)-$ group, preferably said radicals are bonded to the pyrazoline compound of general formula I at the nitrogen atom of the cyclic part of the aforementioned radicals;

R^9 represents hydrogen;

R^{10} , R^{11} , R^{12} , R^{13} , R^{14} , R^{15} and R^{20} , independently of another, in each case represent a radical selected from the group consisting of methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, sec-butyl, tert-butyl, n-pentyl, 2-pentyl, 3-pentyl, neopentyl, n-hexyl, 2-hexyl, 3-hexyl, n-heptyl, 2-heptyl, 3-heptyl, 4-heptyl, n-octyl, 2-octyl, 3-octyl, 4-octyl, 2-(6-methyl)-heptyl, 2-(5-methyl)-heptyl, 2-(5-methyl)-hexyl, 2-(4-methyl)-hexyl, 2-(7-methyl)-octyl; 2-(6-methyl)-octyl, vinyl, n-propenyl, n-butenyl, n-pentenyl, n-hexenyl, ethinyl, propinyl, n-butynyl, n-pentynyl and n-hexynyl, which may optionally be substituted with 1, 2, 3, 4, 5, 6, 7, 8 or 9 substituent(s) independently selected from the group consisting of $-OH$, F , Cl , Br , I , $-O-CH_3$, $-O-C_2H_5$, $-O-CH_2-CH_2-CH_3$, $-O-CH(CH_3)_2$, $-O-CH_2-CH_2-CH_2-CH_3$, $-O-C(CH_3)_3$, $-NH_2$, $-NH-CH_3$, $-NH-C_2H_5$, $-N(CH_3)_2$, $-N(C_2H_5)_2$, $-CN$ and $-NO_2$;

a radical selected from the group consisting of cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, cyclooctyl, cyclononyl, cyclodecyl, cyclopentenyl, cyclohexenyl, cycloheptenyl, cyclooctenyl, pyrrolidinyl, piperidinyl, piperazinyl, homopiperazinyl, morpholinyl, aziridinyl, azetidiny, imidazolidinyl, thiomorpholinyl, pyrazolidinyl, tetrahydrofuranyl, tetrahydrothiophenyl, azepanyl, 8-azabicyclo[3.2.1]octyl and diazepanyl, which may be bonded via a $-(CH_2)-$, $-(CH_2)-(CH_2)-$, $-(CH_2)-(CH_2)-(CH_2)-$ or $-CH=CH-$ group and/or may optionally be substituted with 1, 2, 3, 4 or 5 substituent(s) independently selected from the group consisting of oxo ($=O$), thioxo ($=S$), $-CF_3$, methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, 2-butyl, tert-butyl, n-pentyl, 2-pentyl, n-hexyl, $-O-CH_3$, $-O-C_2H_5$, $-O-CH_2-CH_2-CH_3$, $-O-CH(CH_3)_2$, $-O-CH_2-CH_2-CH_2-CH_3$, $-O-C(CH_3)_3$, $-S-CH_3$, $-S-C_2H_5$, $-S-CH_2-CH_2-CH_3$, $-S-CH(CH_3)_2$, $-S-CH_2-CH_2-CH_2-CH_3$, $-S-C(CH_3)_3$, F , Cl , Br , I , $-CN$, $-OCF_3$, $-SCF_3$, $-SCF_2H$, $-SCFH_2$, $-OH$, $-SH$, $-NO_2$, $-CHO$, $-C(=O)-CH_3$, $-C(=O)-C_2H_5$, $-C(=O)-C(CH_3)_3$,

-CF₂H, -CFH₂, -C(=O)-NH₂, -C(=O)-NH-CH₃, -C(=O)-NH-C₂H₅, -C(=O)-NH-C₃H₇, -C(=O)-N(CH₃)₂, -C(=O)-N(C₂H₅)₂, -S(=O)-CH₃, -S(=O)-C₂H₅, -S(=O)-C₃H₇, -S(=O)₂-CH₃, -S(=O)₂-C₂H₅, -S(=O)₂-C₃H₇, -NH₂, -NH-CH₃, -NH-C₂H₅, -N(CH₃)₂ and -N(C₂H₅)₂;

5

or a radical selected from the group consisting of phenyl, naphthyl, pyridinyl, furyl (furanyl), thienyl (thiophenyl), pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, imidazolyl, pyrazolyl, oxadiazolyl, thiadiazolyl, triazolyl, pyridazinyl, indolyl and isoindolyl, which may be bonded via a -(CH₂)-, -(CH₂)-(CH₂)-, -(CH₂)-(CH₂)-(CH₂)- or -CH=CH-group and/or may optionally be substituted with 1, 2, 3, 4 or 5 substituent(s) independently selected from the group consisting of -CF₃, methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, 2-butyl, tert-butyl, n-pentyl, 2-pentyl, n-hexyl, -O-CH₃, -O-C₂H₅, -O-CH₂-CH₂-CH₃, -O-CH(CH₃)₂, -O-CH₂-CH₂-CH₂-CH₃, -O-C(CH₃)₃, -S-CH₃, -S-C₂H₅, -S-CH₂-CH₂-CH₃, -S-CH(CH₃)₂, -S-CH₂-CH₂-CH₂-CH₃, -S-C(CH₃)₃, F, Cl, Br, I, -CN, -OCF₃, -SCF₃, -SCF₂H, -SCFH₂, -OH, -SH, -NO₂, -CHO, -C(=O)-CH₃, -C(=O)-C₂H₅, -C(=O)-C(CH₃)₃, -CF₂H, -CFH₂, -C(=O)-NH₂, -C(=O)-NH-CH₃, -C(=O)-NH-C₂H₅, -C(=O)-NH-C₃H₇, -C(=O)-N(CH₃)₂, -C(=O)-N(C₂H₅)₂, -S(=O)-CH₃, -S(=O)-C₂H₅, -S(=O)-C₃H₇, -S(=O)₂-CH₃, -S(=O)₂-C₂H₅, -S(=O)₂-C₃H₇, -NH₂, -NH-CH₃, -NH-C₂H₅, -N(CH₃)₂ and -N(C₂H₅)₂;

20

R¹⁶, R¹⁷, R¹⁸, R¹⁹, R²³, R²⁴, R²⁵, R²⁶, R²⁷, R²⁸, R²⁹, R³⁰, R³¹, R³², R³³, R³⁴, R³⁵, R³⁷ and R³⁸, independently of one another, in each case represent a radical selected from the group consisting of methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, sec-butyl, tert-butyl, n-pentyl, 2-pentyl, 3-pentyl, neo-pentyl, n-hexyl, 2-hexyl, 3-hexyl, n-heptyl, 2-heptyl, 3-heptyl, 4-heptyl, n-octyl, 2-octyl, 3-octyl, 4-octyl, vinyl, n-propenyl, n-butenyl, n-pentenyl, n-hexenyl, ethinyl, propinyl, n-butylinyl, n-pentinylinyl and n-hexinylinyl, which may optionally be substituted with 1, 2, 3, 4, 5, 6, 7, 8 or 9 substituent(s) independently selected from the group consisting of -OH, F, Cl, Br, I, -O-CH₃, -O-C₂H₅, -O-CH₂-CH₂-CH₃, -O-CH(CH₃)₂, -O-CH₂-CH₂-CH₂-CH₃, -O-C(CH₃)₃, -S-CH₃, -S-C₂H₅, -S-CH₂-CH₂-CH₃, -S-CH(CH₃)₂, -S-CH₂-CH₂-CH₂-CH₃, -S-C(CH₃)₃, -NH₂, -NH-CH₃, -NH-C₂H₅, -N(CH₃)₂, -N(C₂H₅)₂, -N⁺(CH₃)₃, -N⁺(C₂H₅)₃, -N⁺[C(CH₃)₃]₃, -CN, -NO₂, -S(=O)-CH₃, -S(=O)-C₂H₅, -S(=O)-C₃H₇, -S(=O)-C(CH₃)₃, -S(=O)₂-CH₃, -S(=O)₂-C₂H₅, -S(=O)₂-C₃H₇, -S(=O)₂-C(CH₃)₃, -O-S(=O)-CH₃, -O-S(=O)-C₂H₅, -O-S(=O)-C₃H₇, -O-S(=O)-C(CH₃)₃, -O-S(=O)₂-CH₃, -O-S(=O)₂-C₂H₅, -O-S(=O)₂-C₃H₇, -O-

30

S(=O)₂-C(CH₃)₃, -O-C(=O)-CH₃, -O-C(=O)-C₂H₅, -O-C(=O)-CH(CH₃)₂, -O-C(=O)-CH₂-CH₂-CH₃, -NH-C(=O)-CH₃, -NH-C(=O)-C₂H₅, -NH-C(=O)-C(CH₃)₃, -NH-C(=O)-O-CH₃, -NH-C(=O)-O-C₂H₅, -NH-C(=O)-O-C(CH₃)₃, -C(=O)-NH₂, -C(=O)-NH-CH₃, -C(=O)-NH-C₂H₅, -C(=O)-NH-C(CH₃)₃, -C(=O)-N(CH₃)₂, -C(=O)-N(C₂H₅)₂, -C(=O)-OH, -
 5 C(=O)-O-CH₃, -C(=O)-O-C₂H₅, -C(=O)-O-C(CH₃)₃, -C(=O)-CH₃, -C(=O)-C₂H₅ and -C(=O)-C(CH₃)₃;

or a radical selected from the group consisting of phenyl, naphthyl, pyridinyl, furyl (furanyl), thienyl (thiophenyl), pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl,
 10 imidazolyl, pyrazolyl, oxadiazolyl, thiadiazolyl, triazolyl, pyridazinyl, indolyl and isoindolyl, which may be bonded via a -(CH₂)-, -(CH₂)-(CH₂)-, -(CH₂)-(CH₂)-(CH₂)- or -CH=CH-group and/or may optionally be substituted with 1, 2, 3, 4 or 5 substituent(s) independently selected from the group consisting of -CF₃, methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, 2-butyl, tert-butyl, n-pentyl, 2-pentyl, n-hexyl, -O-CH₃, -O-
 15 C₂H₅, -O-CH₂-CH₂-CH₃, -O-CH(CH₃)₂, -O-CH₂-CH₂-CH₂-CH₃, -O-C(CH₃)₃, -S-CH₃, -S-C₂H₅, -S-CH₂-CH₂-CH₃, -S-CH(CH₃)₂, -S-CH₂-CH₂-CH₂-CH₃, -S-C(CH₃)₃, F, Cl, Br, I, -CN, -OCF₃, -SCF₃, -SCF₂H, -SCFH₂, -OH, -SH, -NO₂, -CHO, -C(=O)-CH₃, -C(=O)-C₂H₅, -C(=O)-C(CH₃)₃, -CF₂H, -CFH₂, -C(=O)-NH₂, -C(=O)-NH-CH₃, -C(=O)-NH-C₂H₅, -C(=O)-NH-C₃H₇, -C(=O)-N(CH₃)₂, -C(=O)-N(C₂H₅)₂, -S(=O)-CH₃, -S(=O)-C₂H₅,
 20 -S(=O)-C₃H₇, -S(=O)₂-CH₃, -S(=O)₂-C₂H₅, -S(=O)₂-C₃H₇, -NH₂, -NH-CH₃, -NH-C₂H₅, -N(CH₃)₂, -N(C₂H₅)₂, -O-C(=O)-CH₃, -O-C(=O)-C₂H₅, -O-C(=O)-CH(CH₃)₂, -O-C(=O)-CH₂-CH₂-CH₃, -CH₂-N(CH₃)₂, -(CH₂)-N(C₂H₅)₂, -CH₂-N(C₃H₇)₂, -CH₂-N(C₄H₉)₂, -CH₂-N(CH₃)(C₂H₅) and -(CH₂)-morpholinyl;

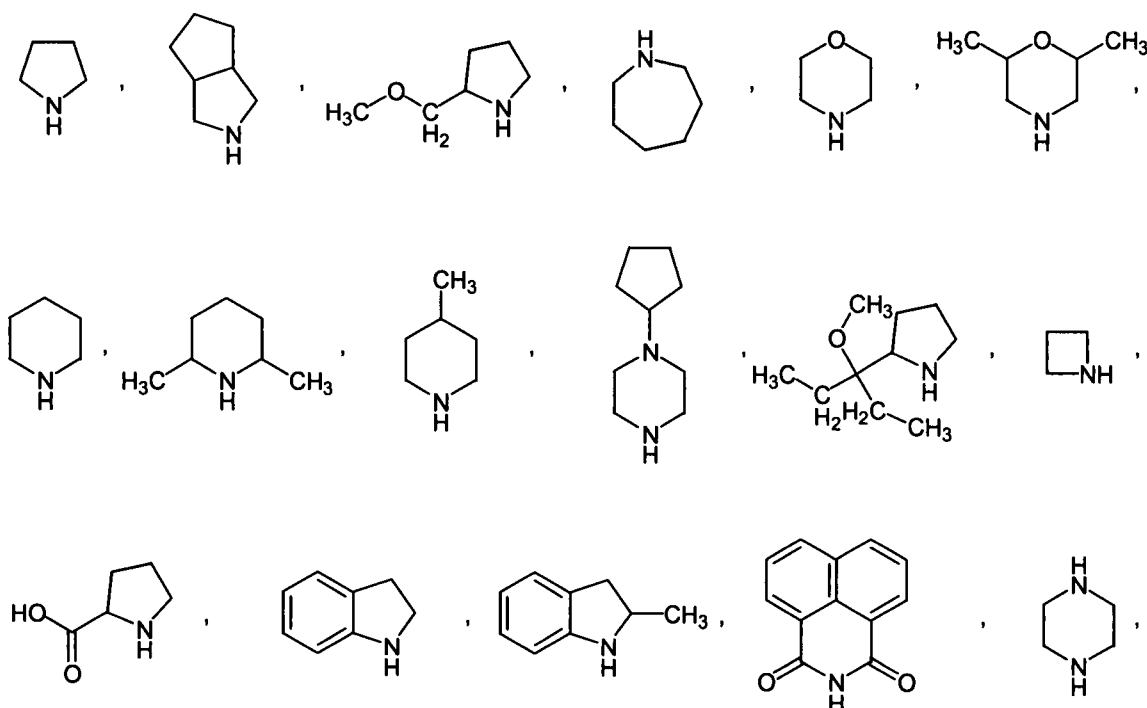
25 R²¹ and R²², independently of another, in each case represent hydrogen;

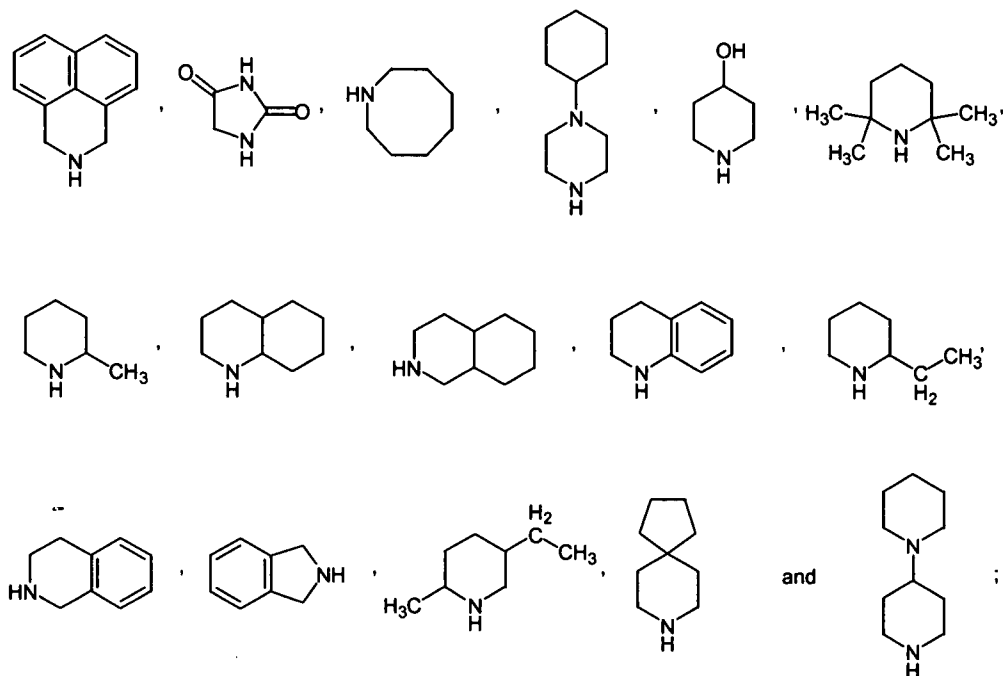
a radical selected from the group consisting of methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, sec-butyl, tert-butyl, n-pentyl, 2-pentyl, 3-pentyl, neo-pentyl, n-hexyl, 2-hexyl, 3-hexyl, n-heptyl, 2-heptyl, 3-heptyl, 4-heptyl, n-octyl, 2-octyl, 3-octyl, 4-octyl,
 30 2-(6-methyl)-heptyl, 2-(5-methyl)-heptyl, 2-(5-methyl)-hexyl, 2-(4-methyl)-hexyl, 2-(7-methyl)-octyl; 2-(6-methyl)-octyl, -CH₂-NH₂, -CH-N(CH₃)₂, -CH₂-CH-NH₂, -CH₂-CH₂-N(CH₃)₂, -CH₂-CH₂-N(C₂H₅)₂, -CH₂-CH₂-CH₂-NH₂, -CH₂-CH₂-CH₂-N(CH₃)₂ and -CH₂-CH₂-CH₂-N(C₂H₅)₂;

a radical selected from the group consisting of cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, cyclooctyl, cyclononyl, cyclodecyl, cycloundecyl, cyclododecyl, cyclotridecyl, cyclotetradecyl and bicyclo[2.2.1]heptyl, which may be bonded via a $-(CH_2)-$, $-(CH_2)-(CH_2)-$, $-(CH_2)-(CH_2)-(CH_2)-$ or $-CH=CH-$ group and/or
 5 may optionally be substituted with 1, 2, 3, 4 or 5 substituent(s) independently selected from the group consisting of $-OH$, methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, 2-butyl, tert-butyl, n-pentyl, 2-pentyl and n-hexyl;

a radical selected from the group consisting of phenyl, naphthyl, pyridinyl, furyl (furanyl), thienyl (thiophenyl) and triazolyl, which may be bonded via a $-(CH_2)-$, $-(CH_2)-(CH_2)-$, $-(CH_2)-(CH_2)-(CH_2)-$ or $-CH=CH-$ group and/or may optionally be substituted with 1, 2, 3, 4 or 5 substituent(s) independently selected from the group consisting of $-CF_3$, methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, 2-butyl, tert-butyl, n-pentyl, 2-pentyl, n-hexyl, F, Cl and Br;

or a radical selected from the group consisting of





which is in each case bonded to the pyrazoline compound of general formula I in any position of the cyclic part of the aforementioned radicals including the NH-groups, preferably said radicals are bonded to the pyrazoline compound of general formula I at the nitrogen atom of the cyclic part of the aforementioned radicals;

and R^{36} represent a radical selected from the group consisting of methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, sec-butyl, tert-butyl, n-pentyl, 2-pentyl, 3-pentyl, neo-pentyl, n-hexyl, 2-hexyl, 3-hexyl, n-heptyl, 2-heptyl, 3-heptyl, 4-heptyl, n-octyl, 2-octyl, 3-octyl, 4-octyl, vinyl, n-propenyl, n-butenyl, n-pentenyl, n-hexenyl, ethinyl, propinyl, n-butynyl, n-pentynyl and n-hexynyl, which may optionally be substituted with 1, 2, 3, 4, 5, 6, 7, 8 or 9 substituent(s) independently selected from the group consisting of -OH, F, Cl, Br, I, -O-CH₃, -O-C₂H₅, -O-CH₂-CH₂-CH₃, -O-CH(CH₃)₂, -O-CH₂-CH₂-CH₂-CH₃, -O-C(CH₃)₃, -S-CH₃, -S-C₂H₅, -S-CH₂-CH₂-CH₃, -S-CH(CH₃)₂, -S-CH₂-CH₂-CH₂-CH₃, -S-C(CH₃)₃, -NH₂, -NH-CH₃, -NH-C₂H₅, -N(CH₃)₂, -N(C₂H₅)₂, -N⁺(CH₃)₃, -N⁺(C₂H₅)₃, -N⁺[C(CH₃)₃]₃, -CN, -NO₂, -S(=O)-CH₃, -S(=O)-C₂H₅, -S(=O)-C₃H₇, -S(=O)-C(CH₃)₃, -S(=O)₂-CH₃, -S(=O)₂-C₂H₅, -S(=O)₂-C₃H₇, -S(=O)₂-C(CH₃)₃, -O-S(=O)-CH₃, -O-S(=O)-C₂H₅, -O-S(=O)-C₃H₇, -O-C(=O)-CH₃, -O-C(=O)-C₂H₅, -O-C(=O)-CH(CH₃)₂, -O-C(=O)-CH₂-CH₂-CH₃, -O-S(=O)-C(CH₃)₃, -O-S(=O)₂-CH₃, -O-S(=O)₂-C₂H₅, -O-S(=O)₂-C₃H₇, -O-S(=O)₂-C(CH₃)₃, -NH-C(=O)-CH₃, -NH-C(=O)-

C₂H₅, -NH-C(=O)-C(CH₃)₃, -NH-C(=O)-O-CH₃, -NH-C(=O)-O-C₂H₅, -NH-C(=O)-O-C(CH₃)₃, -C(=O)-NH₂, -C(=O)-NH-CH₃, -C(=O)-NH-C₂H₅, -C(=O)-NH-C(CH₃)₃, -C(=O)-N(CH₃)₂, -C(=O)-N(C₂H₅)₂, -C(=O)-OH, -C(=O)-O-CH₃, -C(=O)-O-C₂H₅, -C(=O)-O-C(CH₃)₃, -C(=O)-CH₃, -C(=O)-C₂H₅ and -C(=O)-C(CH₃)₃;

5

optionally in form of one of its stereoisomers, preferably enantiomers or diastereomers, a racemate or in form of a mixture of at least two of its stereoisomers, preferably enantiomers and/or diastereomers, in any mixing ratio, or a corresponding N-oxide thereof, or a physiologically acceptable salt thereof, or a corresponding

10 solvate thereof.

Even more preferred are prodrugs of pyrazoline compounds of general formula I given above, wherein

15 n is 1;

X is O or S;

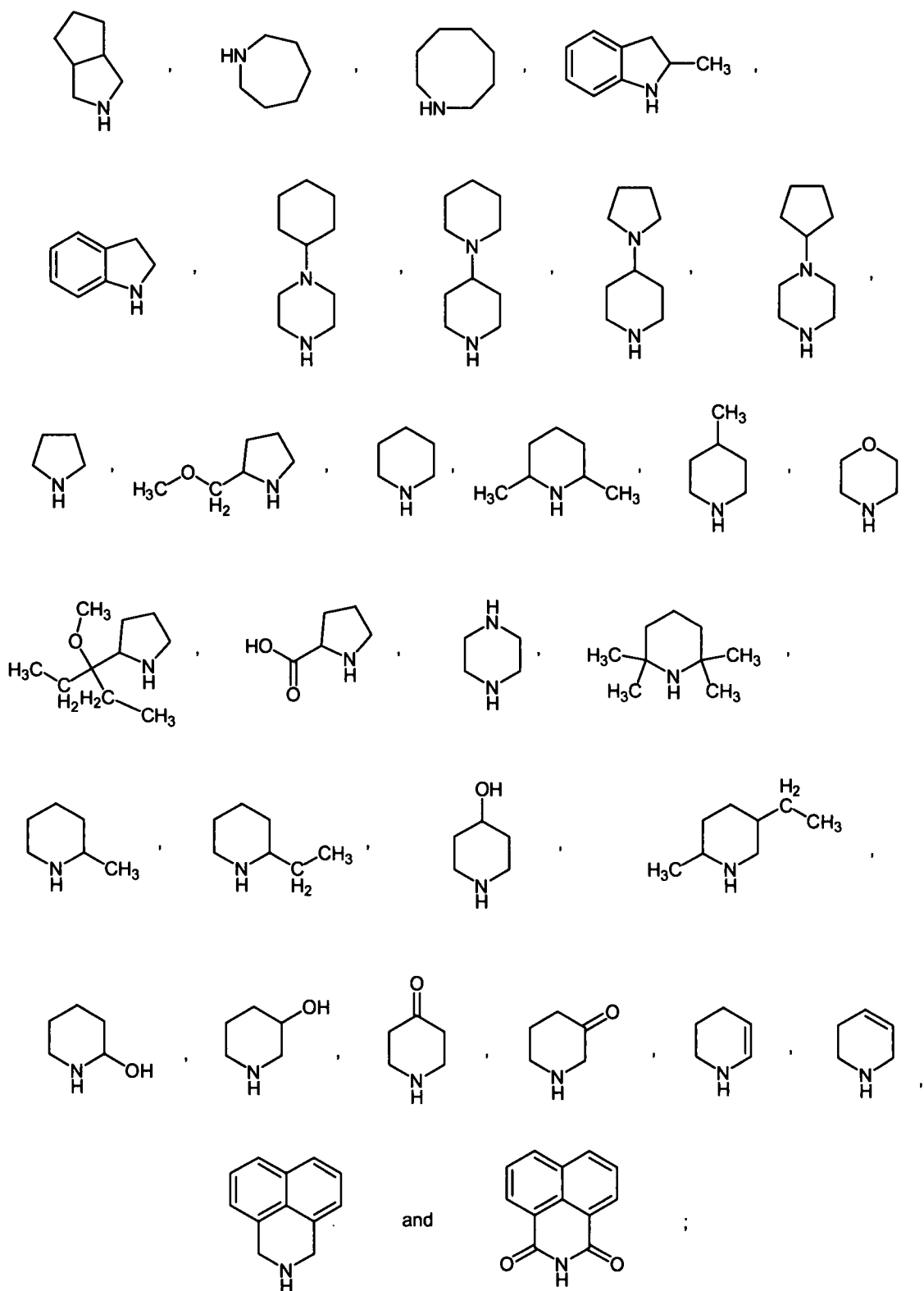
R¹ represents -C(=O)-OR²³; -C(=O)-OH; -P(=O)-(OR²⁴)₂; -P(=O)-(OH)₂; -C(=O)-NH₂; -C(=O)-NH-R²⁵; -C(=O)-NR²⁶R²⁷; -C(=O)-H; -C(=O)-R²⁸; -S(=O)-R²⁹; -(CHR³⁶)_m-O-C(=O)-R³⁰ with m being 1, 2 or 3; -(CHR³⁶)_o-O-C(=O)-OR³¹ with o being 1, 2 or 3; -(CHR³⁶)_p-O-P(=O)-(OR³²)₂ with p being 1, 2 or 3; -(CHR³⁶)_q-O-C(=O)-NH-R³³ with q being 1, 2 or 3; -(CHR³⁶)_r-O-C(=O)-NR³⁴R³⁵ with r being 1, 2 or 3; -S(=O)₂-R³⁷; -C(=S)-OR³⁸ or -C(=S)-R³⁹;

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25

R² represents a phenyl radical, which may be substituted with 1, 2, 3, 4 or 5 substituent(s) independently selected from the group consisting of -OH, -O-CH₃, -O-C₂H₅, F, Cl, Br and I;

R³ represents a radical selected from the group consisting of



5 which is in each case bonded to the pyrazoline compound of general formula I in any position of the cyclic part of the aforementioned radicals including the NH-groups,

preferably said radicals are bonded to the pyrazoline compound of general formula I at the nitrogen atom of the cyclic part of the aforementioned radicals;

a -OR⁶-moiety or a -NR⁷R⁸ moiety;

5

R⁴ represents H; F; Cl; Br; I; -OH, -CN; -C(=O)-H; -C(=O)-OH; -C(=O)-OR¹⁷;

a radical selected from the group consisting of methyl, -CF₃, -CH₂F, -CF₂H, -C₂F₅, ethyl, -CH₂-CN, -CH₂-OH, n-propyl, isopropyl, -CH₂-CH₂-CN, -CH₂-CH₂-OH, n-butyl, -
10 CH₂-CH₂-CH₂-CN, -CH₂-CH₂-CH₂-OH, isobutyl, sec-butyl, tert-butyl, n-pentyl, 2-pentyl, 3-pentyl, neo-pentyl, n-hexyl, 2-hexyl and 3-hexyl;

a benzyl radical or a -O-R¹¹ moiety;

15 R⁵ represents H; F; Cl; Br; -C(=O)-OH; -C(=O)-OR¹⁷; or a radical selected from the group consisting of methyl, ethyl, n-propyl, iso-propyl and n-butyl;

or R⁴ and R⁵ together with the bridging carbon atom form a radical selected from the group consisting of cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl,
20 cyclooctyl, cyclopentenyl, cyclohexenyl, cycloheptenyl and cyclooctenyl;

R⁶ represents a hydrogen atom; a radical selected from the group consisting of methyl, ethyl, n-propyl, isopropyl, n-butyl and tert-butyl or a radical selected from the group consisting of cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl and cycloheptyl;

25

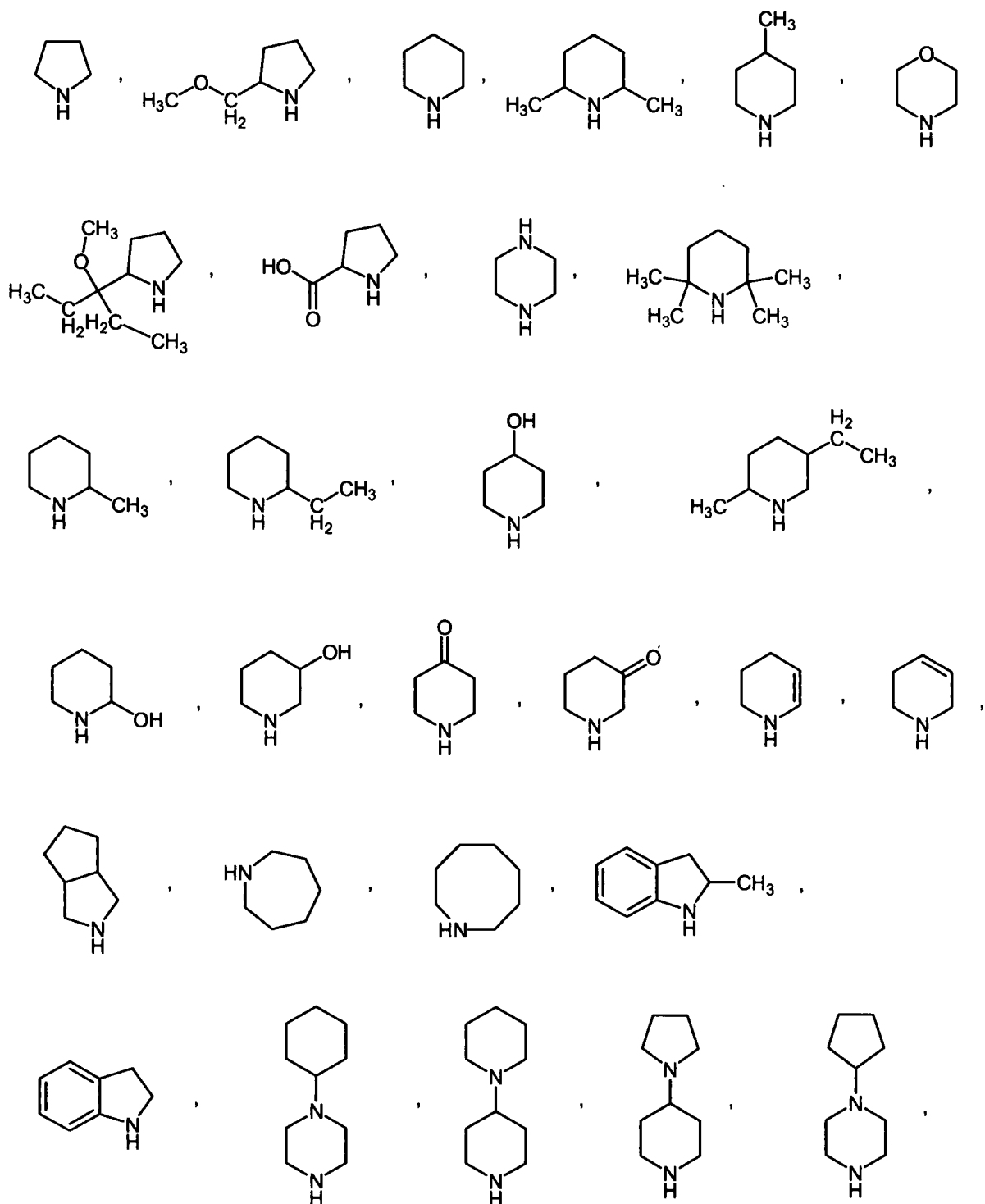
R⁷ represents a hydrogen atom or a radical selected from the group consisting of methyl, ethyl, n-propyl, isopropyl, n-butyl, sec-butyl and tert-butyl;

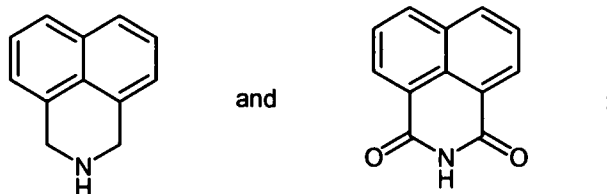
R⁸ represents a radical selected from the group consisting of [1,2,3,4]-
30 tetrahydronaphthyl, bicyclo[2.2.1]heptyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, cyclooctyl, cyclononyl, cyclodecyl, cycloundecyl and cyclododecyl, which may be bonded via a -(CH₂)-, -(CH₂)-(CH₂)- or -(CH₂)-(CH₂)-(CH₂)-group and/or substituted with 1, 2, 3 or 4 substituents selected from the group

consisting of methyl, ethyl, n-propyl, isopropyl, n-butyl, sec-butyl, tert-butyl, -OH, -O-CH₃ and -O-C₂H₅;

or a radical selected from the group consisting of

5





which is in each case bonded to the pyrazoline compound of general formula I in any position of the cyclic part of the aforementioned radicals including the NH-groups, preferably said radicals are bonded to the pyrazoline compound of general formula I at the nitrogen atom of the cyclic part of the aforementioned radicals;

R¹¹ represents a radical selected from the group consisting of methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, sec-butyl, tert-butyl, n-pentyl, 2-pentyl, 3-pentyl and neopentyl;

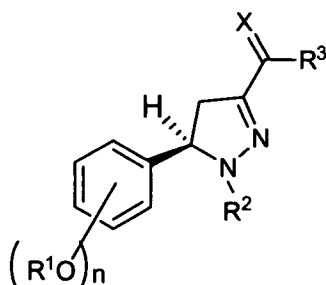
R¹⁶, R¹⁷, R¹⁸, R¹⁹, R²³, R²⁴, R²⁵, R²⁶, R²⁷, R²⁸, R²⁹, R³⁰, R³¹, R³², R³³, R³⁴, R³⁵, R³⁷ and R³⁸, independently of one another, in each case represent a

radical selected from the group consisting of methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, sec-butyl, tert-butyl, n-pentyl, 2-pentyl, 3-pentyl, neo-pentyl and n-hexyl, which may optionally be substituted with 1, 2, 3, 4, 5, 6, 7, 8 or 9 substituent(s) independently selected from the group consisting of -OH, -O-CH₃, -O-C₂H₅, -O-CH₂-CH₂-CH₃, -O-CH(CH₃)₂, -O-CH₂-CH₂-CH₂-CH₃, -O-C(CH₃)₃, -S-CH₃, -S-C₂H₅, -S-CH₂-CH₂-CH₃, -S-CH(CH₃)₂, -S-CH₂-CH₂-CH₂-CH₃, -S-C(CH₃)₃, -NH₂, -NH-CH₃, -NH-C₂H₅, -N(CH₃)₂, -N(C₂H₅)₂, -N⁺(CH₃)₃, -N⁺(C₂H₅)₃, -N⁺[C(CH₃)₃]₃, -S(=O)-CH₃, -S(=O)-C₂H₅, -S(=O)-C₃H₇, -S(=O)-C(CH₃)₃, -S(=O)₂-CH₃, -S(=O)₂-C₂H₅, -S(=O)₂-C₃H₇, -S(=O)₂-C(CH₃)₃, -O-S(=O)-CH₃, -O-S(=O)-C₂H₅, -O-S(=O)-C₃H₇, -O-S(=O)-C(CH₃)₃, -O-S(=O)₂-CH₃, -O-S(=O)₂-C₂H₅, -O-S(=O)₂-C₃H₇, -O-S(=O)₂-C(CH₃)₃, -NH-C(=O)-CH₃, -O-C(=O)-CH₃, -O-C(=O)-C₂H₅, -O-C(=O)-CH(CH₃)₂, -O-C(=O)-CH₂-CH₂-CH₃, -NH-C(=O)-C₂H₅, -NH-C(=O)-C(CH₃)₃, -NH-C(=O)-O-CH₃, -NH-C(=O)-O-C₂H₅, -NH-C(=O)-O-C(CH₃)₃, -C(=O)-NH₂, -C(=O)-NH-CH₃, -C(=O)-NH-C₂H₅, -C(=O)-NH-C(CH₃)₃, -C(=O)-N(CH₃)₂, -C(=O)-N(C₂H₅)₂, -C(=O)-OH, -C(=O)-O-CH₃, -C(=O)-O-C₂H₅, -C(=O)-O-C(CH₃)₃, -C(=O)-CH₃, -C(=O)-C₂H₅ and -C(=O)-C(CH₃)₃;

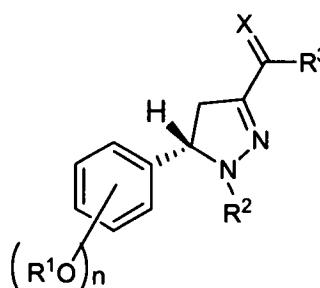
and R³⁶ represent a radical selected from the group consisting of methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, sec-butyl, tert-butyl, n-pentyl, 2-pentyl, 3-pentyl, neo-pentyl and n-hexyl, which may optionally be substituted with 1, 2, 3, 4, 5, 6, 7, 8 or 9 substituent(s) independently selected from the group consisting of -OH, -O-CH₃,
 5 -O-C₂H₅, -O-CH₂-CH₂-CH₃, -O-CH(CH₃)₂, -O-CH₂-CH₂-CH₂-CH₃, -O-C(CH₃)₃, -S-CH₃, -S-C₂H₅, -S-CH₂-CH₂-CH₃, -S-CH(CH₃)₂, -S-CH₂-CH₂-CH₂-CH₃, -S-C(CH₃)₃, -NH₂, -NH-CH₃, -NH-C₂H₅, -N(CH₃)₂, -N(C₂H₅)₂, -N⁺(CH₃)₃, -N⁺(C₂H₅)₃, -N⁺[C(CH₃)₃]₃, -S(=O)-CH₃, -S(=O)-C₂H₅, -S(=O)-C₃H₇, -S(=O)-C(CH₃)₃, -S(=O)₂-CH₃, -S(=O)₂-C₂H₅, -S(=O)₂-C₃H₇, -S(=O)₂-C(CH₃)₃, -O-S(=O)-CH₃, -O-S(=O)-C₂H₅, -O-S(=O)-C₃H₇, -O-S(=O)-C(CH₃)₃, -O-S(=O)₂-CH₃, -O-S(=O)₂-C₂H₅, -O-S(=O)₂-C₃H₇, -O-S(=O)₂-C(CH₃)₃, -NH-C(=O)-CH₃, -NH-C(=O)-C₂H₅, -NH-C(=O)-C(CH₃)₃, -NH-C(=O)-O-CH₃, -NH-C(=O)-O-C₂H₅, -NH-C(=O)-O-C(CH₃)₃, -C(=O)-NH₂, -C(=O)-NH-CH₃, -C(=O)-NH-C₂H₅, -C(=O)-NH-C(CH₃)₃, -O-C(=O)-CH₃, -O-C(=O)-C₂H₅, -O-C(=O)-CH(CH₃)₂, -O-C(=O)-CH₂-CH₂-CH₃, -C(=O)-N(CH₃)₂, -C(=O)-N(C₂H₅)₂, -C(=O)-OH, -C(=O)-O-CH₃, -C(=O)-O-C₂H₅, -C(=O)-O-C(CH₃)₃, -C(=O)-CH₃, -C(=O)-C₂H₅ and -C(=O)-C(CH₃)₃;

optionally in form of one of its stereoisomers, preferably enantiomers or diastereomers, a racemate or in form of a mixture of at least two of its stereoisomers,
 20 preferably enantiomers and/or diastereomers, in any mixing ratio, or a corresponding N-oxide thereof, or a physiologically acceptable salt thereof, or a corresponding solvate thereof.

The compounds of general formula I can also be present in form of the following
 25 enantiomers of general formula If and/or Ig,



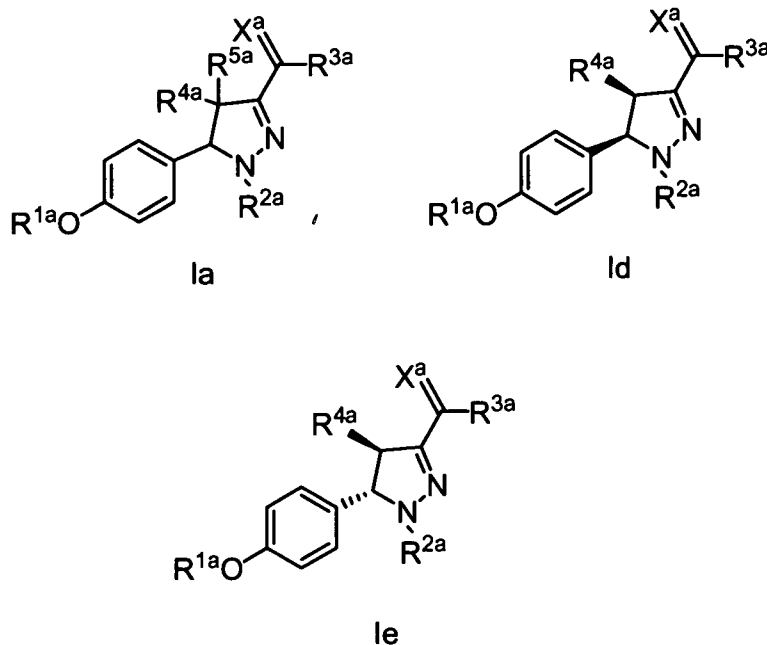
If



Ig

which can be substituted in each case with the aforementioned substituents.

Even more preferred are prodrugs of pyrazoline compounds of general formulae Ia, Id or le,



5

Ia,

wherein

X^a is O or S;

10

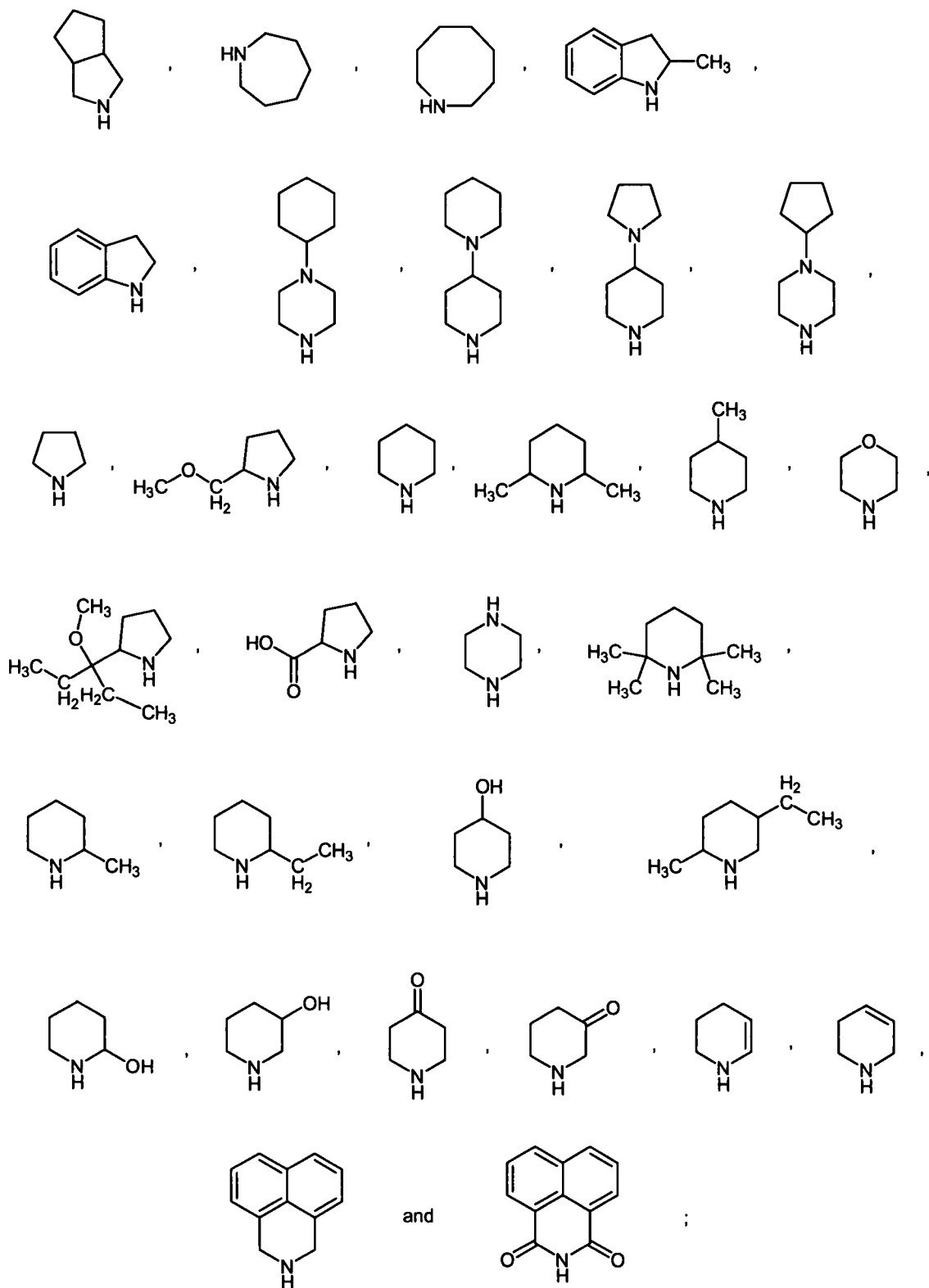
R^{1a} represents $-C(=O)-OR^{23a}$; $-C(=O)-OH$; $-P(=O)-(OR^{24a})_2$; $-P(=O)-(OH)_2$; $-C(=O)-NH_2$; $-C(=O)-NH-R^{25a}$; $-C(=O)-NR^{26a}R^{27a}$; $-C(=O)-H$; $-C(=O)-R^{28a}$; $-S(=O)-R^{29a}$; $-(CHR^{36a})_m-O-C(=O)-R^{30a}$ with m being 1, 2 or 3; $-(CHR^{36a})_o-O-C(=O)-OR^{31a}$ with o being 1, 2 or 3; $-(CHR^{36a})_p-O-P(=O)-(OR^{32a})_2$ with p being 1, 2 or 3; $-(CHR^{36a})_q-O-C(=O)-NH-R^{33a}$ with q being 1, 2 or 3; $-(CHR^{36a})_r-O-C(=O)-NR^{34a}R^{35a}$ with r being 1, 2 or 3; $-S(=O)_2-R^{37a}$; $-C(=S)-OR^{38a}$; or $-C(=S)-R^{39a}$;

15

R^{2a} represents a phenyl radical, which may be substituted with 1, 2, 3, 4 or 5 substituent(s) independently selected from the group consisting of $-OH$, $-O-CH_3$, $-O-C_2H_5$, F, Cl, Br and I;

20

R^{3a} represents a radical selected from the group consisting of



which is in each case bonded to the pyrazoline compound of general formula I in any position of the cyclic part of the aforementioned radicals including the NH-groups, preferably said radicals are bonded to the pyrazoline compound of general formula I at the nitrogen atom of the cyclic part of the aforementioned radicals;

5

a -OR^{6a}-moiety or a -NR^{7a}R^{8a} moiety;

R^{4a} represents H; F; Cl; Br; I; -OH, -CN; -C(=O)-H; -C(=O)-OH; -C(=O)-OR^{17a};

10 a radical selected from the group consisting of methyl, -CF₃, -CH₂F, -CF₂H, -C₂F₅, ethyl, -CH₂-CN, -CH₂-OH, n-propyl, isopropyl, -CH₂-CH₂-CN, -CH₂-CH₂-OH, n-butyl, -CH₂-CH₂-CH₂-CN, -CH₂-CH₂-CH₂-OH, isobutyl, sec-butyl, tert-butyl, n-pentyl, 2-pentyl, 3-pentyl, neo-pentyl, n-hexyl, 2-hexyl and 3-hexyl;

15 a benzyl radical or a -O-R^{11a} moiety;

R^{5a} represents H; F; Cl; Br; -C(=O)-OH; -C(=O)-OR^{17a}; or a radical selected from the group consisting of methyl, ethyl, n-propyl, iso-propyl and n-butyl;

20 or R^{4a} and R⁵ together with the bridging carbon atom form a radical selected from the group consisting of cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, cyclooctyl, cyclopentenyl, cyclohexenyl, cycloheptenyl and cyclooctenyl;

R^{6a} represents a hydrogen atom; a radical selected from the group consisting of methyl, ethyl, n-propyl, isopropyl, n-butyl and tert-butyl or a radical selected from the group consisting of cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl and cycloheptyl;

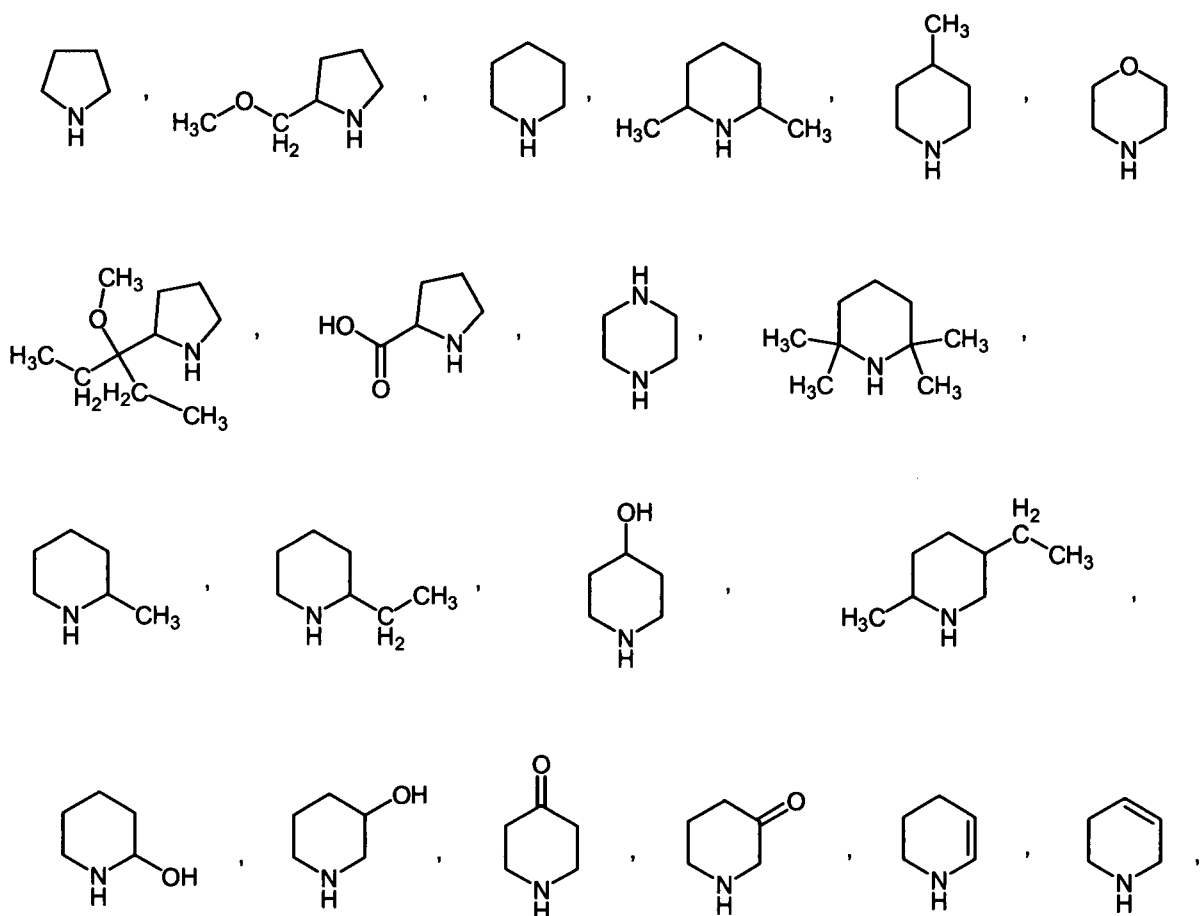
R^{7a} represents a hydrogen atom or a radical selected from the group consisting of methyl, ethyl, n-propyl, isopropyl, n-butyl, sec-butyl and tert-butyl;

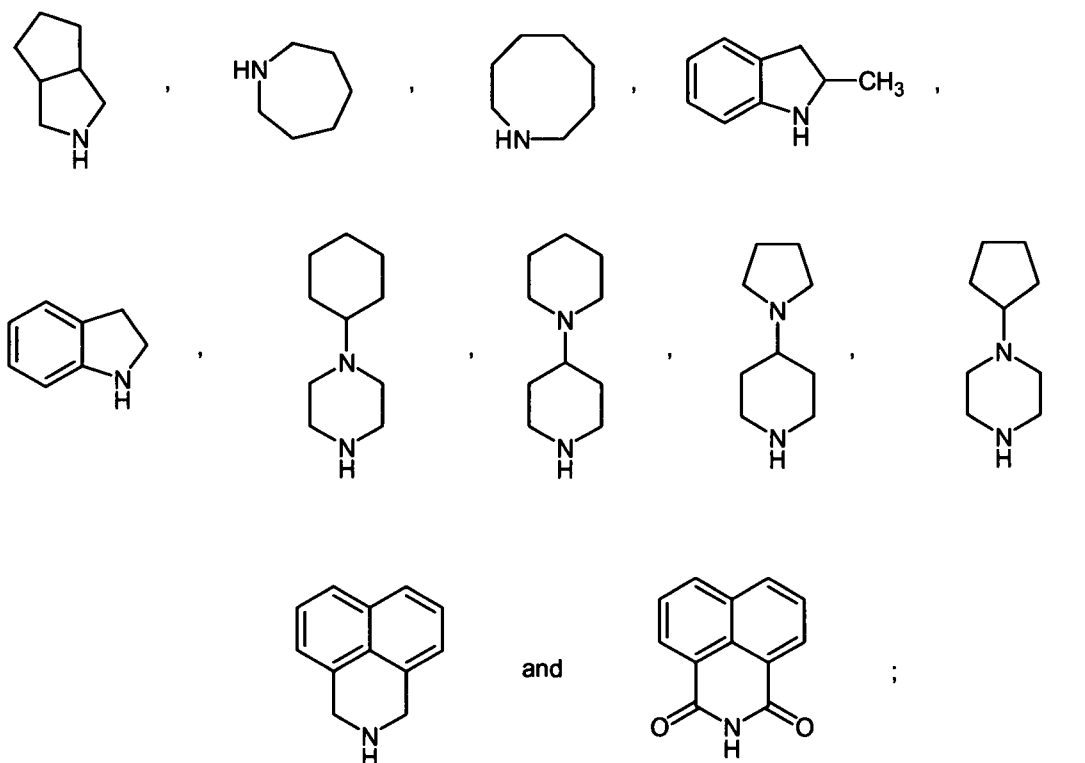
30

R^{8a} represents a radical selected from the group consisting of [1,2,3,4]-tetrahydronaphthyl, bicyclo[2.2.1]heptyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, cyclooctyl, cyclononyl, cyclodecyl, cycloundecyl and cyclododecyl, which may be bonded via a -(CH₂)-, -(CH₂)-(CH₂)- or -(CH₂)-(CH₂)-

(CH₂)-group and/or substituted with 1, 2, 3 or 4 substituents selected from the group consisting of methyl, ethyl, n-propyl, isopropyl, n-butyl, sec-butyl, tert-butyl, -OH, -O-CH₃ and -O-C₂H₅;

5 or a radical selected from the group consisting of





which is in each case bonded to the pyrazoline compound of general formula I in any
 5 position of the cyclic part of the aforementioned radicals including the NH-groups,
 preferably said radicals are bonded to the pyrazoline compound of general formula I
 at the nitrogen atom of the cyclic part of the aforementioned radicals;

R^{11a} represents a radical selected from the group consisting of methyl, ethyl, n-
 10 propyl, isopropyl, n-butyl, isobutyl, sec-butyl, tert-butyl, n-pentyl, 2-pentyl, 3-pentyl
 and neo-pentyl;

R^{16a} , R^{17a} , R^{18a} , R^{19a} , R^{23a} , R^{24a} , R^{25a} , R^{26a} , R^{27a} , R^{28a} , R^{29a} , R^{30a} , R^{31a} , R^{32a} , R^{33a} ,
 R^{34a} , R^{35a} , R^{37a} and R^{38a} , independently of one another, in each

15 case represent a radical selected from the group consisting of methyl, ethyl, n-propyl,
 isopropyl, n-butyl, isobutyl, sec-butyl, tert-butyl, n-pentyl, 2-pentyl, 3-pentyl, neo-
 pentyl and n-hexyl, which may optionally be substituted with 1, 2, 3, 4, 5, 6, 7, 8 or 9
 substituent(s) independently selected from the group consisting of -OH, -O-CH₃, -O-
 C₂H₅, -O-CH₂-CH₂-CH₃, -O-CH(CH₃)₂, -O-CH₂-CH₂-CH₂-CH₃, -O-C(CH₃)₃, -S-CH₃, -
 20 S-C₂H₅, -S-CH₂-CH₂-CH₃, -S-CH(CH₃)₂, -S-CH₂-CH₂-CH₂-CH₃, -S-C(CH₃)₃, -NH₂, -
 NH-CH₃, -NH-C₂H₅, -N(CH₃)₂, -N(C₂H₅)₂, -N⁺(CH₃)₃, -N⁺(C₂H₅)₃, -N⁺[C(CH₃)₃]₃, -

S(=O)-CH₃, -S(=O)-C₂H₅, -S(=O)-C₃H₇, -S(=O)-C(CH₃)₃, -S(=O)₂-CH₃, -S(=O)₂-C₂H₅,
 -S(=O)₂-C₃H₇, -S(=O)₂-C(CH₃)₃, -O-S(=O)-CH₃, -O-S(=O)-C₂H₅, -O-S(=O)-C₃H₇, -O-
 S(=O)-C(CH₃)₃, -O-S(=O)₂-CH₃, -O-S(=O)₂-C₂H₅, -O-S(=O)₂-C₃H₇, -O-S(=O)₂-
 C(CH₃)₃, -O-C(=O)-CH₃, -O-C(=O)-C₂H₅, -O-C(=O)-CH(CH₃)₂, -O-C(=O)-CH₂-CH₂-
 5 CH₃, -NH-C(=O)-CH₃, -NH-C(=O)-C₂H₅, -NH-C(=O)-C(CH₃)₃, -NH-C(=O)-O-CH₃, -
 NH-C(=O)-O-C₂H₅, -NH-C(=O)-O-C(CH₃)₃, -C(=O)-NH₂, -C(=O)-NH-CH₃, -C(=O)-NH-
 C₂H₅, -C(=O)-NH-C(CH₃)₃, -C(=O)-N(CH₃)₂, -C(=O)-N(C₂H₅)₂, -C(=O)-OH, -C(=O)-O-
 CH₃, -C(=O)-O-C₂H₅, -C(=O)-O-C(CH₃)₃, -C(=O)-CH₃, -C(=O)-C₂H₅ and -C(=O)-
 C(CH₃)₃;

10

and R^{36a} represent a radical selected from the group consisting of methyl, ethyl, n-
 propyl, isopropyl, n-butyl, isobutyl, sec-butyl, tert-butyl, n-pentyl, 2-pentyl, 3-pentyl,
 neo-pentyl and n-hexyl, which may optionally be substituted with 1, 2, 3, 4, 5, 6, 7, 8

15 -O-C₂H₅, -O-CH₂-CH₂-CH₃, -O-CH(CH₃)₂, -O-CH₂-CH₂-CH₂-CH₃, -O-C(CH₃)₃, -S-
 CH₃, -S-C₂H₅, -S-CH₂-CH₂-CH₃, -S-CH(CH₃)₂, -S-CH₂-CH₂-CH₂-CH₃, -S-C(CH₃)₃, -
 NH₂, -NH-CH₃, -NH-C₂H₅, -N(CH₃)₂, -N(C₂H₅)₂, -N⁺(CH₃)₃, -N⁺(C₂H₅)₃, -N⁺[C(CH₃)₃]₃, -
 S(=O)-CH₃, -S(=O)-C₂H₅, -S(=O)-C₃H₇, -S(=O)-C(CH₃)₃, -S(=O)₂-CH₃, -S(=O)₂-C₂H₅,
 -S(=O)₂-C₃H₇, -S(=O)₂-C(CH₃)₃, -O-S(=O)-CH₃, -O-S(=O)-C₂H₅, -O-S(=O)-C₃H₇, -O-
 20 S(=O)-C(CH₃)₃, -O-S(=O)₂-CH₃, -O-S(=O)₂-C₂H₅, -O-S(=O)₂-C₃H₇, -O-S(=O)₂-
 C(CH₃)₃, -NH-C(=O)-CH₃, -NH-C(=O)-C₂H₅, -NH-C(=O)-C(CH₃)₃, -NH-C(=O)-O-CH₃, -
 NH-C(=O)-O-C₂H₅, -NH-C(=O)-O-C(CH₃)₃, -C(=O)-NH₂, -C(=O)-NH-CH₃, -C(=O)-
 NH-C₂H₅, -C(=O)-NH-C(CH₃)₃, -C(=O)-N(CH₃)₂, -C(=O)-N(C₂H₅)₂, -C(=O)-OH, -
 C(=O)-O-CH₃, -O-C(=O)-CH₃, -O-C(=O)-C₂H₅, -O-C(=O)-CH(CH₃)₂, -O-C(=O)-CH₂-
 25 CH₂-CH₃, -C(=O)-O-C₂H₅, -C(=O)-O-C(CH₃)₃, -C(=O)-CH₃, -C(=O)-C₂H₅ and -C(=O)-
 C(CH₃)₃;

optionally in form of one of its stereoisomers, preferably enantiomers or
 diastereomers, a racemate or in form of a mixture of at least two of its stereoisomers,
 30 preferably enantiomers and/or diastereomers, in any mixing ratio, or a corresponding
 N-oxide thereof, or a physiologically acceptable salt thereof, or a corresponding
 solvate thereof.

Most particularly preferred are prodrugs of pyrazoline compounds of general formula I selected from the group consisting of

[1] tert-butyl 4-(1-(2,4-dichlorophenyl)-4-methyl-3-(piperidin-1-ylcarbamoyl)-4,5-dihydro-1H-pyrazol-5-yl)phenyl carbonate

[2] 4-(1-(2,4-dichlorophenyl)-4-methyl-3-(piperidin-1-ylcarbamoyl)-4,5-dihydro-1H-pyrazol-5-yl)phenyl dimethyl phosphate

[3] methyl 2-(4-(1-(2,4-dichlorophenyl)-4-methyl-3-(piperidin-1-ylcarbamoyl)-4,5-dihydro-1H-pyrazol-5-yl)phenoxy)acetate

[4] (4-(1-(2,4-dichlorophenyl)-4-methyl-3-(piperidin-1-ylcarbamoyl)-4,5-dihydro-1H-pyrazol-5-yl)phenoxy)methyl pivalate

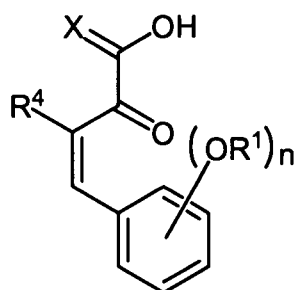
[5] 4-(1-(2,4-dichlorophenyl)-4-methyl-3-(piperidin-1-ylcarbamoyl)-4,5-dihydro-1H-pyrazol-5-yl)phenyl ethylcarbamate and

[6] tert-butyl 4-(1-(2,4-dichlorophenyl)-3-(hexahydrocyclopenta[c]pyrrol-2(1H)-ylcarbamoyl)-4-methyl-4,5-dihydro-1H-pyrazol-5-yl)phenyl carbonate;

optionally in form of one of its stereoisomers, preferably enantiomers or diastereomers, a racemate or in form of a mixture of at least two of its stereoisomers, preferably enantiomers and/or diastereomers, in any mixing ratio, or a corresponding N-oxide thereof, or a physiologically acceptable salt thereof, or a corresponding solvate thereof.

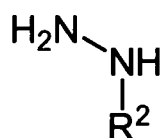
In another aspect the present invention also provides a process for the preparation of prodrugs of pyrazoline compounds of general formula I given above,

wherein R⁵ represents hydrogen, characterized in that at least one compound of general formula II,



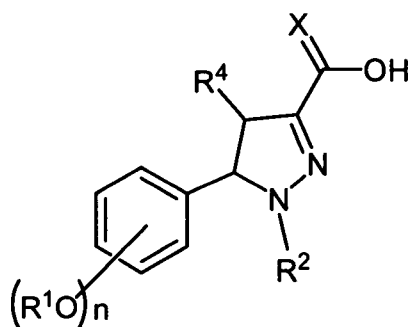
II,

wherein R^1 , X, n and R^4 have the meaning given above and R^1 can also represent a hydrogen atom or a protecting group, preferably a protecting group selected from the group consisting of benzyl, methyl, trimethylsilyl, tert-butyldimethylsilyl and tert-butyl-
 5 butyldiphenylsilyl, is reacted with at least one compound of general formula III,



III,

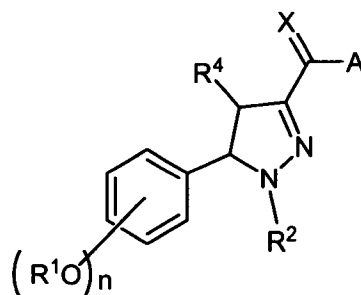
or a corresponding salt thereof, wherein R^2 has the meaning according given above,
 10 in a reaction medium, optionally in an inert atmosphere, optionally in the presence of at least one acid, to yield at least one compound of general formula IV,



IV,

wherein R^1 , X, n, R^2 and R^4 have the meaning given above and R^1 can also
 15 represent a hydrogen atom or a protecting group, preferably a protecting group selected from the group consisting of benzyl, methyl, trimethylsilyl, tert-butyldimethylsilyl and tert-butyl-
 butyldiphenylsilyl, which is optionally isolated and/or purified,

and at least one compound of general formula IV is reacted with an activating agent in a reaction medium, optionally in an inert atmosphere, to yield at least one compound of general formula V,



5

V,

wherein R¹, X, n, R² and R⁴ have the meaning given above, A represents a leaving group and R¹ can also represent a hydrogen atom or a protecting group, preferably a protecting group selected from the group consisting of benzyl, methyl, trimethylsilyl, tert-butyldimethylsilyl and tert-butyldiphenylsilyl, which is optionally purified and/or isolated,

and at least one compound of general formula V is reacted with at least one compound of general formula R³-H, wherein R³ has the meaning given above, in a reaction medium, optionally in an inert atmosphere, optionally in the presence of at least one base selected from the group consisting of diisopropylethylamine, triethylamine, pyridine, dimethylaminopyridine and N-methylmorpholine, to yield at least one compound of general formula I, wherein R¹, R², n, X, R³ and R⁴ have the meaning given above, R¹ can also represent a hydrogen atom or a protecting group, preferably a protecting group selected from the group consisting of benzyl, trimethylsilyl, methyl, tert-butyldimethylsilyl and tert-butyldiphenylsilyl, and R⁵ represents hydrogen, which is optionally purified and/or isolated;

or at least one compound of general formula IV is reacted with at least one compound of general formula R³-H, wherein R³ represents a -NR⁷R⁸ moiety, whereby R⁷ and R⁸ have the meaning given above, in a reaction medium, in the presence of at least one coupling agent, optionally in the presence of at least one base, to yield at least one compound of general formula I, wherein R¹, R², n, X and R⁴ have the

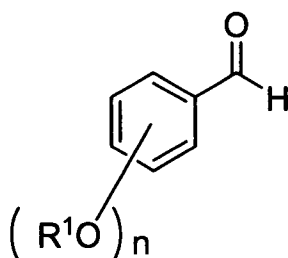
meaning given above, R^1 can also represent a hydrogen atom or a protecting group, preferably a protecting group selected from the group consisting of benzyl, methyl, trimethylsilyl, tert-butyldimethylsilyl and tert-butyldiphenylsilyl, R^3 represents a $-NR^7R^8$ moiety and R^5 represents hydrogen, which is optionally purified and/or isolated;

and optionally at least one compound of general formula I, wherein R^1 represents a hydrogen atom and R^2 , R^3 , n , X and R^4 have the meaning given above and R^5 represents a hydrogen atom, is reacted with at least one compound of general formula R^1-X , wherein R^1 has the meaning given above and X represents a leaving group, preferably a leaving group selected from the group consisting of chlorine and bromine, in a reaction medium, optionally in the presence of at least one base,

or with at least one compound of general formula R^1-OH , wherein R^1 has the meaning according given above, in a reaction medium, in the presence of at least one coupling agent, optionally in the presence of at least one base,

to yield at least one compound of general formula I, wherein R^1 , R^2 , R^3 , X , n and R^4 have the meaning given above and R^5 represents hydrogen, which is optionally purified and/or isolated.

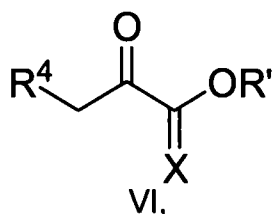
In another aspect the present invention also provides a process for the preparation of prodrugs of pyrazoline compounds of general formula I given above, wherein R^5 represents hydrogen, characterized in that at least one compound of general formula VII,



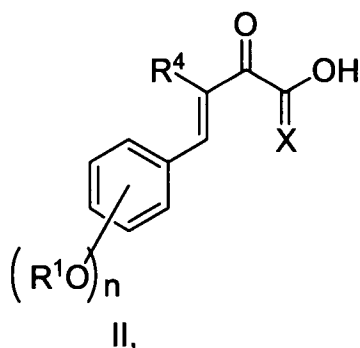
VII,

wherein R^1 and n have the meaning given above and R^1 can also represent hydrogen or a protecting group, preferably a protecting group selected from the group

consisting of benzyl, trimethylsilyl, methyl, tert-butyldimethylsilyl and tert-butyldiphenylsilyl, is reacted with at least one compound of general formula VI,

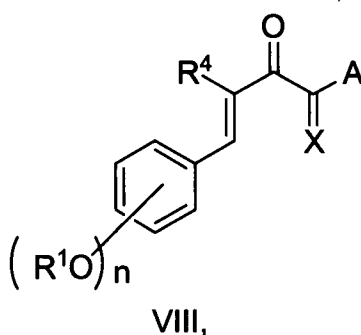


wherein R⁴ and X have the meaning given above and R' represents a linear or branched C₁₋₆-alkyl radical, a potassium cation or a sodium cation, in a reaction medium, optionally in an inert atmosphere, optionally in the presence of at least one base, to yield at least one compound of general formula II,



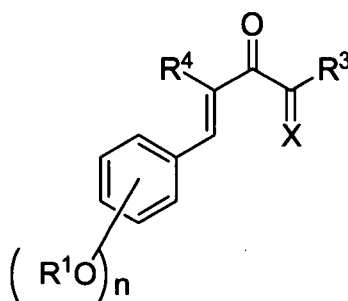
wherein R¹, X, n and R⁴ have the meaning given above and R¹ can also represent a hydrogen atom or a protecting group, preferably a protecting group selected from the group consisting of benzyl, methyl, trimethylsilyl, tert-butyldimethylsilyl and tert-butyldiphenylsilyl, which is optionally purified and/or isolated,

and at least one compound of general formula II is reacted with an activating agent in a reaction medium, optionally in an inert atmosphere, to yield at least one compound of general formula VIII,



wherein R^1 , X, n and R^4 have the meaning given above, A represents a leaving group and R^1 can also represent a hydrogen atom or a protecting group, preferably a protecting group selected from the group consisting of benzyl, trimethylsilyl, methyl, tert-butyldimethylsilyl and tert-butyldiphenylsilyl, which is optionally purified and/or isolated,

and at least one compound of general formula VIII is reacted with at least one compound of general formula R^3 -H, wherein R^3 has the meaning given above, in a reaction medium, optionally in an inert atmosphere, optionally in the presence of at least one base selected from the group consisting of diisopropylethylamine, triethylamine, pyridine, dimethylaminopyridine and N-methylmorpholine, to yield at least one compound of general formula IX,

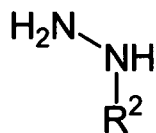


IX,

wherein R^1 , n, X, R^3 and R^4 have the meaning given above and R^1 can also represent a hydrogen atom or a protecting group, preferably a protecting group selected from the group consisting of benzyl, methyl, trimethylsilyl, tert-butyldimethylsilyl and tert-butyldiphenylsilyl, which is optionally purified and/or isolated;

or at least one compound of general formula II is reacted with at least one compound of general formula R^3 -H, wherein R^3 represents a $-NR^7R^8$ moiety, whereby R^7 and R^8 have the meaning given above, in a reaction medium, in the presence of at least one coupling agent, optionally in the presence of at least one base, to yield at least one compound of general formula IX, wherein R^3 represents a $-NR^7R^8$ moiety, which is optionally purified and/or isolated,

and at least one compound of general formula IX is reacted with at least one compound of general formula III,



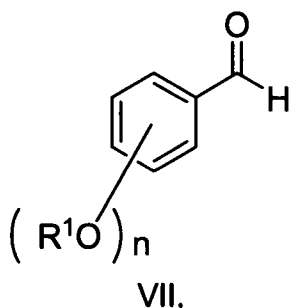
III,

- 5 wherein R^2 has the meaning given above, in a reaction medium, optionally in an inert atmosphere, optionally in the presence of at least one acid, to yield at least one compound of general formula I, wherein R^1 , n , X , R^2 , R^3 and R^4 have the meaning given above, R^5 represents hydrogen and R^1 can also represent a hydrogen atom or a protecting group, preferably a protecting group selected from the group consisting of benzyl, methyl, trimethylsilyl, tert-butyldimethylsilyl and tert-butyldiphenylsilyl,
10 which is optionally purified and/or isolated;

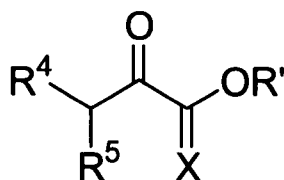
- and optionally at least one compound of general formula I, wherein R^1 represents a hydrogen atom and n , R^2 , R^3 , X and R^4 have the meaning given above and R^5
15 represents hydrogen, is reacted with at least one compound of general formula $\text{R}^1\text{-X}$, wherein R^1 has the meaning given above and X represents a leaving group, preferably a leaving group selected from the group consisting of chlorine and bromine, in a reaction medium, optionally in the presence of at least one base,
- 20 or with at least one compound of general formula $\text{R}^1\text{-OH}$, wherein R^1 has the meaning given above, in a reaction medium, in the presence of at least one coupling agent, optionally in the presence of at least one base,

- to yield at least one compound of general formula I, wherein R^1 , n , R^2 , R^3 , X and R^4
25 have the meaning given above and R^5 represents hydrogen, which is optionally purified and/or isolated.

In another aspect the present invention also provides a process for the preparation of prodrugs of pyrazoline compounds of general formula I given above, wherein R⁵ is unlike hydrogen, characterized in that at least one compound of general VII,



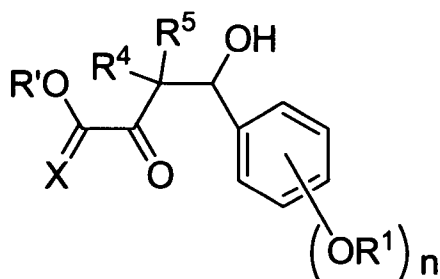
- 5 wherein R¹ and n have the meaning given above and R¹ can also represent a hydrogen atom or a protecting group, preferably a protecting group selected from the group consisting of benzyl, methyl, trimethylsilyl, tert-butyldimethylsilyl and tert-butyldiphenylsilyl, is reacted with at least one compound of general formula VIb,



10

wherein R⁴, R⁵ and X have the meaning given above; R⁵ is unlike hydrogen and R' represents a linear or branched C₁₋₆-alkyl radical, a potassium cation or a sodium cation, in a reaction medium, optionally in an inert atmosphere, optionally in the presence of at least one base, to yield at least one compound of general formula XXXI,

15

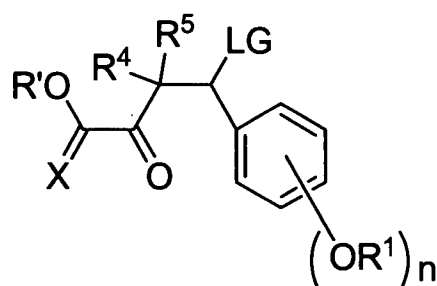


XXXXI

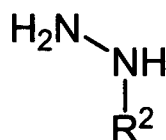
- 20 wherein R¹, n, R⁴, R⁵ and X have the meaning given above, R⁵ is unlike hydrogen, R¹ can also represent a hydrogen atom or a protecting group, preferably a protecting

group selected from the group consisting of benzyl, methyl, trimethylsilyl, tert-butyl dimethylsilyl and tert-butyl diphenylsilyl, and R' represents a linear or branched C₁₋₆-alkyl radical, a potassium cation or a sodium cation,

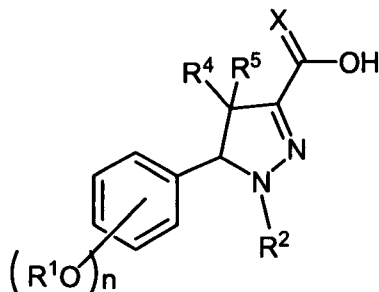
- 5 and at least one compound of general formula XXXXI is reacted with a reagent, that transforms a hydroxyl group into a leaving group, preferably with a reagent selected from the group consisting of methanesulfonylchloride and toluolsulfonylchloride, in a reaction medium, optionally in the presence of at least one base, preferably in the presence of at least one base selected from the group consisting of triethylamine,
 10 diisopropylethylamine, pyridine and N-methylmorpholine, to yield a compound of general formula XXXXII,



- wherein R¹, n, R⁴, R⁵ and X have the meaning given above, R⁵ is unlike hydrogen, R¹ can also represent a hydrogen atom or a protecting group, preferably a protecting
 15 group selected from the group consisting of benzyl, methyl, trimethylsilyl, tert-butyl dimethylsilyl and tert-butyl diphenylsilyl, R' represents a linear or branched C₁₋₆-alkyl radical, a potassium cation or a sodium cation, and LG is a leaving group, preferably mesyl or tosyl;
- 20 and at least one compound of general formula XXXXII is reacted with at least one compound of general formula III,



or a corresponding salt thereof, wherein R^2 has the meaning given above, in a reaction medium, optionally in an inert atmosphere, optionally in the presence of at least one acid, to yield at least one compound of general formula IVb,



5

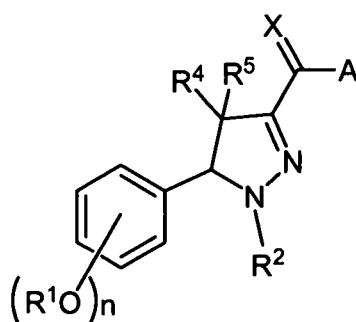
IVb,

wherein R^1 , n , X , R^2 , R^4 and R^5 have the meaning given above, R^1 can also represent a hydrogen atom or a protecting group, preferably a protecting group selected from the group consisting of benzyl, methyl, trimethylsilyl, tert-

10 butyldimethylsilyl and tert-butyldiphenylsilyl, and R^5 is unlike hydrogen, which is optionally isolated and/or purified,

and at least one compound of general formula IVb is reacted with an activating agent in a reaction medium, optionally in an inert atmosphere, to yield at least one

15 compound of general formula Vb,



Vb,

wherein R^1 , n , X , R^2 , R^4 and R^5 have the meaning given above, R^1 can also represent a hydrogen atom or a protecting group, preferably a protecting group selected from the group consisting of benzyl, trimethylsilyl, methyl, tert-

20 butyldimethylsilyl and tert-butyldiphenylsilyl, R^5 is unlike hydrogen and A represents a leaving group, which is optionally purified and/or isolated,

and at least one compound of general formula Vb is reacted with at least one compound of general formula R^3-H , wherein R^3 has the meaning given above, in a reaction medium, optionally in an inert atmosphere, optionally in the presence of at least one base selected from the group consisting of diisopropylethylamine, triethylamine, pyridine, dimethylaminopyridine and N-methylmorpholine, to yield at least one compound of general formula I, wherein R^1 , n, R^2 , X, R^3 , R^4 and R^5 have the meaning given above, R^1 can also represent a hydrogen atom or a protecting group, preferably a protecting group selected from the group consisting of benzyl, methyl, trimethylsilyl, tert-butyldimethylsilyl and tert-butyldiphenylsilyl, and R^5 is unlike hydrogen, which is optionally purified and/or isolated;

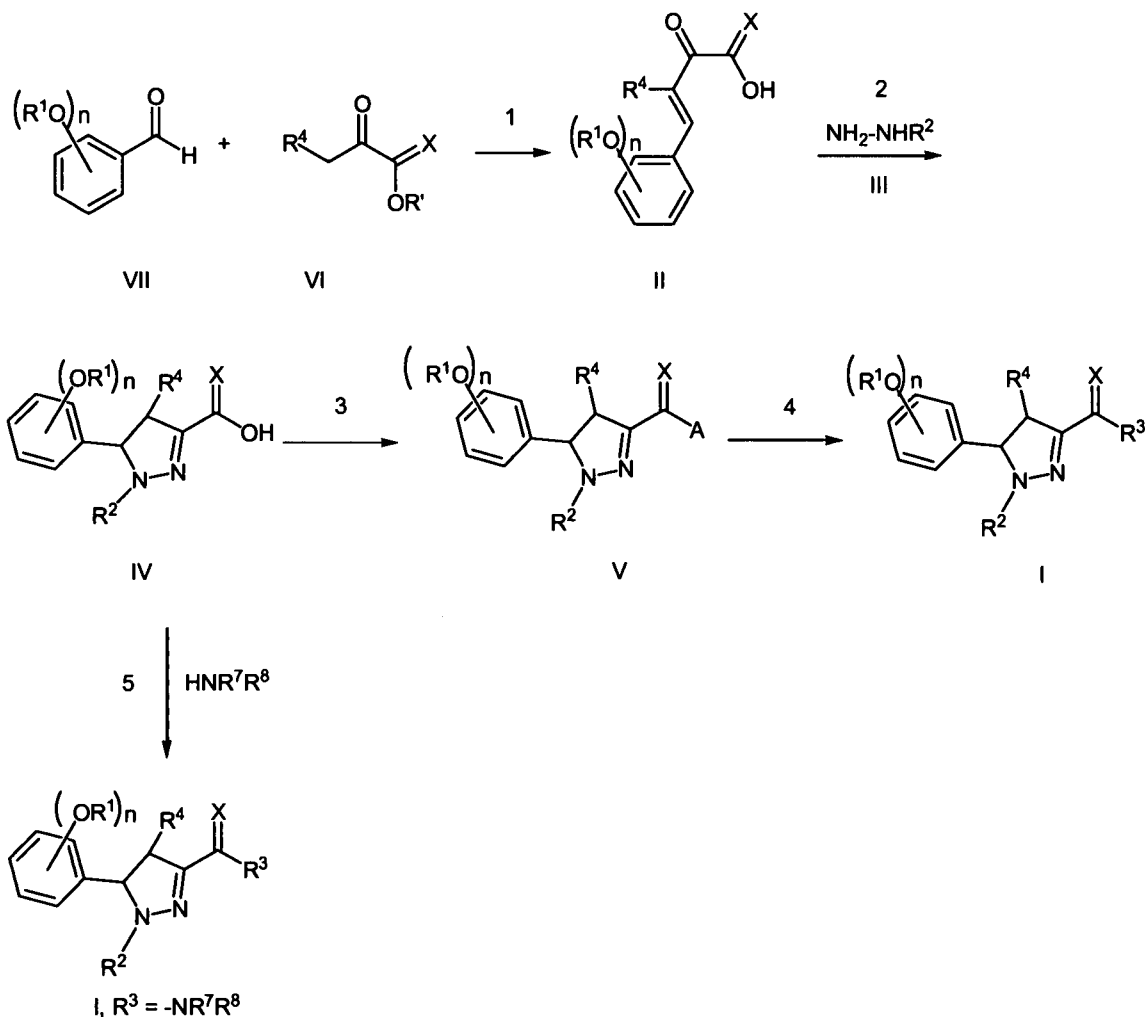
or at least one compound of general formula IVb is reacted with at least one compound of general formula R^3-H , wherein R^3 represents a $-NR^7R^8$ moiety, whereby R^7 and R^8 have the meaning given above, in a reaction medium, in the presence of at least one coupling agent, optionally in the presence of at least one base, to yield at least one compound of general formula I, wherein R^1 , n, R^2 , X, R^4 and R^5 have the meaning given above, R^1 can also represent a hydrogen atom or a protecting group, preferably a protecting group selected from the group consisting of benzyl, methyl, trimethylsilyl, tert-butyldimethylsilyl and tert-butyldiphenylsilyl, R^3 represents a $-NR^7R^8$ moiety and R^5 is unlike hydrogen, which is optionally purified and/or isolated;

and optionally at least one compound of general formula I, wherein R^1 represents a hydrogen atom and n, R^2 , X, R^3 , R^5 and R^4 have the meaning according given above and R^5 is unlike hydrogen, is reacted with at least one compound of general formula R^1-X , wherein R^1 has the meaning given above and X represents a leaving group, preferably a leaving group selected from the group consisting of chlorine and bromine, in a reaction medium, optionally in the presence of at least one base,

or with at least one compound of general formula R^1-OH , wherein R^1 has the meaning given above, in a reaction medium, in the presence of at least one coupling agent, optionally in the presence of at least one base,

to yield at least one compound of general formula I, wherein R^1 , n , R^2 , R^3 , R^5 , X and R^4 have the meaning given above and R^5 is unlike hydrogen, which is optionally purified and/or isolated.

5 The inventive process is also illustrated in scheme I given below:



Scheme I.

In step 1 a compound of general formula VI is reacted with a compound of general formula VII in a protic reaction medium, preferably in a reaction medium selected from the group consisting of methanol, ethanol, isopropanol, n-butanol, water and mixtures thereof, in the presence of at least one base, preferably in the presence of an alkali metal hydroxide such as sodium hydroxide or potassium hydroxide or an alkali metal methoxide such as sodium methoxide, as described, for example, in Synthetic Communications, 26(11), 2229-33, (1996). The respective description is hereby incorporated by reference and forms part of the disclosure. Reaction temperature as well as the duration of the reaction may vary over a broad range.

Preferred reaction temperatures range from -10 °C to the boiling point of the reaction medium. Suitable reaction times may vary for example from several minutes to several hours.

5 Preferably the reaction between a compound of general formula VI and general formula VII can also be carried out under acid catalysed conditions, more preferably by refluxing the above mentioned compounds in dichloromethane in the presence of copper(II)trifluoromethanesulfonate as described, for example, in Synlett, (1), 147-149, 2001. The respective description is hereby incorporated by reference and forms
10 part of the disclosure.

In step 2 a compound of general formula II is reacted with a compound of general formula III in a reaction medium, preferably in a reaction medium selected from the group consisting of methanol, ethanol, isopropanol, n-butanol, diethylether, tert-butyl-
15 methylether, dioxane, Tetrahydrofuran or mixtures of at least two of these afore mentioned reaction media. Also preferably, said reaction may be carried out in the presence of an acid, whereby the acid may be organic such as acetic acid and/or inorganic such as hydrochloric acid. Alternatively the reaction may also be carried out in the presence of a base such as piperidine, piperazine, sodium hydroxide,
20 potassium hydroxide, sodium methoxide or sodium ethoxide or mixtures of at least two of these bases.

Reaction temperature as well as the duration of the reaction may vary over a broad range. Suitable reaction temperatures range from room temperature, i.e.
25 approximately 25 °C to the boiling point of the reaction medium. Suitable reaction times may vary for example from several minutes to several hours. This reaction preferably leads to racemates of compounds of general formula IV, wherein the phenyl substituent and R⁴ are located cis to one another on the pyrazoline ring. The respective enantiomers can be separated by conventional methods including chiral
30 HPLC or resolution via the formation of diastereomeric salts and thus leading to compounds of general formula IV with an ee > 99 %. The configuration of compounds of general formula IV is maintained during the subsequent reaction steps, thus leading to enantiomerically pure compounds of general formula I (ee > 99 %). In step 2 racemates of compounds of general formula IV, wherein the phenyl

substituent and R^4 are located trans to one another on the pyrazoline ring, are also formed, albeit in a low yield.

In step 3 the carboxylic group of the compound of general formula IV may be
5 activated for further reactions by the introduction of a suitable leaving group according to conventional methods well known to those skilled in the art. Preferably the compounds of general formula IV are transferred into an acid chloride, an acid anhydride, a mixed anhydride, a C_{1-4} alkyl ester or an activated ester such as p-nitrophenylester. Suitable activating agent therefore are selected from the group
10 consisting of thionyl chloride, oxalyl chloride and ethylchloroformate.

If said activated compound of general formula V is an acid chloride, wherein A represents a chlorine atom, that compound is preferably prepared by the reaction of the corresponding acid of general formula IV with thionyl chloride or oxalyl chloride,
15 whereby said chlorinating agent is also used as the reaction medium, in the presence of at least one base, preferably in the presence of a base selected from the group consisting of triethylamine, N-methylmorpholine, pyridine, dimethylaminopyridine and diisopropylethylamine. Also preferably an additional reaction medium may be used. Suitable reaction media include hydrocarbons such as benzene, toluene or xylene,
20 halogenated hydrocarbons such as dichloromethane, chloroform or carbon tetrachloride, ethers such as diethyl ether, dioxane, Tetrahydrofuran or dimethoxyethane or dimethylformamide and mixtures thereof. More preferably toluene in the presence of a catalytic amount of dimethylformamide is used as reaction medium. Preferred reaction temperature range from 0° C to the boiling point
25 of the solvent and reaction times vary from several minutes to several hours.

If said activated compound of general formula V is a mixed anhydride, wherein A represents $-O-C(=O)-O-C_2H_5$, said anhydride may preferably be prepared, for example, by reaction of the corresponding acid of general formula IV with
30 ethylchloroformate in the presence of a base such as triethylamine, pyridine or diisopropylethylamine, in a suitable solvent such as dichloromethane, optionally in an inert atmosphere, at a temperature between -50 °C and 50 °C.

In step 4 the reaction between a compound of general formula V with a compound of general formula $H-R^3$ to yield a compound of general formula I, wherein R^3 represents a $-NR^7R^8$ moiety, is preferably carried out in the presence of a base such as triethylamine in a reaction medium such as methylenchloride. The temperature is preferably in the range from 0 °C to the boiling point of the reaction medium. The reaction time may vary over a broad range, e.g. from several hours to several days.

Alternatively the reaction of a compound of general formula V with a compound of general formula $H-R^3$ to yield compounds of general formula I may be carried out according to conventional methods well known to those skilled in the art, e.g. from Pascual, A., J. Prakt Chem., 1999, 341(7), 695-700; Lin, S. et al., Heterocycles, 2001, 55(2), 265-277; Rao, P. et al., J. Org. Chem., 2000, 65(22), 7323-7344, Pearson D.E and Buehler, C.A., Synthesis, 1972, 533-542 and references cited therein. The respective descriptions are hereby incorporated by reference and form part of the present disclosure.

Preferably said reaction is carried out in the presence of a Lewis acid, which is preferably selected from the group consisting of $FeCl_3$, $ZnCl_2$ and $AlCl_3$, in a suitable reaction medium such as toluene, benzene, Tetrahydrofuran or similar reaction media. The temperature is preferably in the range from 0° C to the boiling point of the reaction medium, more preferably from 15 to 25 °C. The reaction time may vary over a broad range, e.g. from several minutes to several hours.

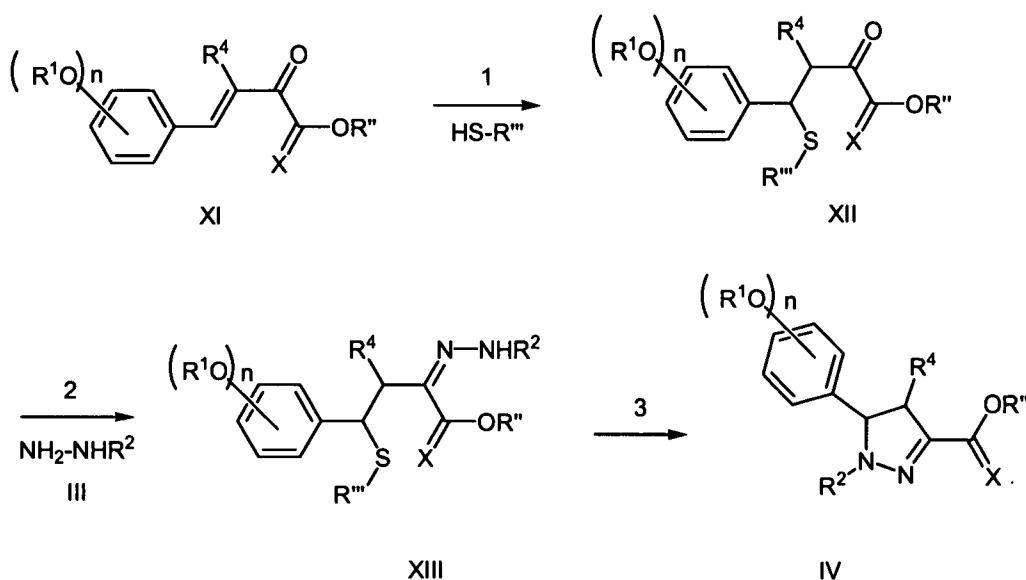
In step 5 a compound of general formula IV is reacted with a compound of general formula $H-R^3$, wherein R^3 represents a $-NR^7R^8$ moiety, in a reaction medium, preferably in a reaction medium selected from the group consisting of diethylether, Tetrahydrofuran, acetonitrile, methanol, ethanol, (1,2)-dichlorethane, dimethylformamide, dichlormethane and mixtures thereof, in the presence of at least one coupling agent, preferably in the presence of a coupling agent selected from the group consisting of 1-benzotriazolyloxy-tris-(dimethylamino)-phosphonium hexafluorophosphate (BOP), dicyclohexylcarbodiimide (DCC), N'-(3-dimethylaminopropyl)-N-ethylcarbodiimide (EDCI), diisopropylcarbodiimide, 1,1'-carbonyl-diimidazole (CDI), N-[(dimethylamino)-1H-1, 2, 3-triazolo[4, 5-b]pyridino-1-ylmethylene]-N-methylmethanaminium hexafluorophosphate N-oxid (HATU), O-

(benzotriazol-1-yl)-N,N,N',N'-tetramethyluronium hexafluorophosphate (HBTU), O-(benzotriazol-1-yl)-N,N,N',N'-tetramethyluronium-tetrafluoroborate (TBTU), 1-hydroxy-benzotriazole (HOBt) and 1-hydroxy-7-azabenzotriazole (HOAt), optionally in the presence of a base, preferably in the presence of a base selected from the group consisting of pyridine, dimethylaminopyridine, N-methylmorpholine, triethylamine and diisopropylethylamine to yield a compound of general formula I, wherein R³ represents a -NR⁷R⁸ moiety.

Preferably said reaction is carried out in the presence of EDCI and HOBt, optionally in the presence of N-methylmorpholine or triethylamine, in an aprotic reaction medium such as dimethylformamide or Tetrahydrofuran, at a temperature between 20 °C and 30 °C for 15 to 24 hours as described in Tetrahedron Lett. 2004, 45, 4977. The respective description is hereby incorporated by reference and forms part of the disclosure. Polymer-supported EDCI (P-EDCI) can also suitably be used for this process instead of EDCI as described in Tetrahedron Lett. 1998, 39, 1487 and Tetrahedron Lett. 2002, 43, 7685. The respective descriptions are hereby incorporated by reference and form part of the disclosure.

Alternatively said reaction can be carried out by using HBTU in the presence of a base such as diisopropylethylamine in an aprotic solvent, such as acetonitrile, preferably at a temperature between 20 and 30 °C for 15 to 24 hours.

A further inventive process to obtain compounds of general formula IV is illustrated in scheme II given below.



Scheme II

In step 1 a compound of general formula XI, wherein R^1 , n , R^4 and X have the meaning given above and R'' represents a hydrogen atom or a C_{1-6} -alkyl radical, is reacted with a compound of general formula $HS-R'''$, wherein R''' represents an unsubstituted or at least mono-substituted phenyl radical, in a reaction medium, preferably in an dry aprotic reaction medium, more preferably in toluene, optionally in the presence of an organic base, preferably in the presence of an organic base selected from the group consisting of triethylamine, pyridine, diisopropylethylamine, dimethylaminopyridine and N-methylmorpholine, preferably at a temperature between - 50 °C and 50 °C, preferably for 4 to 24 hours, to yield a compound of general formula XII, wherein R^1 , n , R^4 , R'' , R''' and X have the meaning given above.

In step 2 a compound of general formula XII is reacted with a compound of general formula III, wherein R^2 has the meaning given above, in a reaction medium, preferably in a protic reaction medium, more preferably in methanol, optionally in the presence of an inorganic base, preferably in the presence of $KHSO_4$, preferably at a temperature between 0 °C and 100 °C, preferably for 4 to 15 hours, to yield a compound of general formula XIII, wherein R^1 , n , R^2 , R^4 , R'' , R''' and X have the meaning given above.

In step 3 the compound of general formula XIII is cyclized intramolecularly in a reaction medium, preferably in a dry aprotic reaction medium, more preferably in dimethylformamide, preferably under an inert atmosphere, in the presence of a base, preferably in the presence of a metal hydride salt, more preferably in the presence of sodium hydride and/or potassium hydride to yield a compound of general formula IV. If R'' represents a C_{1-6} -alkyl radical, the compound of general formula IV, wherein R'' represents a hydrogen atom, is obtained after saponification of the cyclized compound according to methods known to those skilled in the art.

The sequence illustrated in scheme 1 is also described in, for example, Tetrahedron 2005, 81, 5235 - 5240 and Tetrahedron Asymmetry 2001, 12, 1923 - 1928. The respective descriptions are hereby incorporated by reference and form part of the disclosure.

XIV + NH₂NHR² (III) → IV

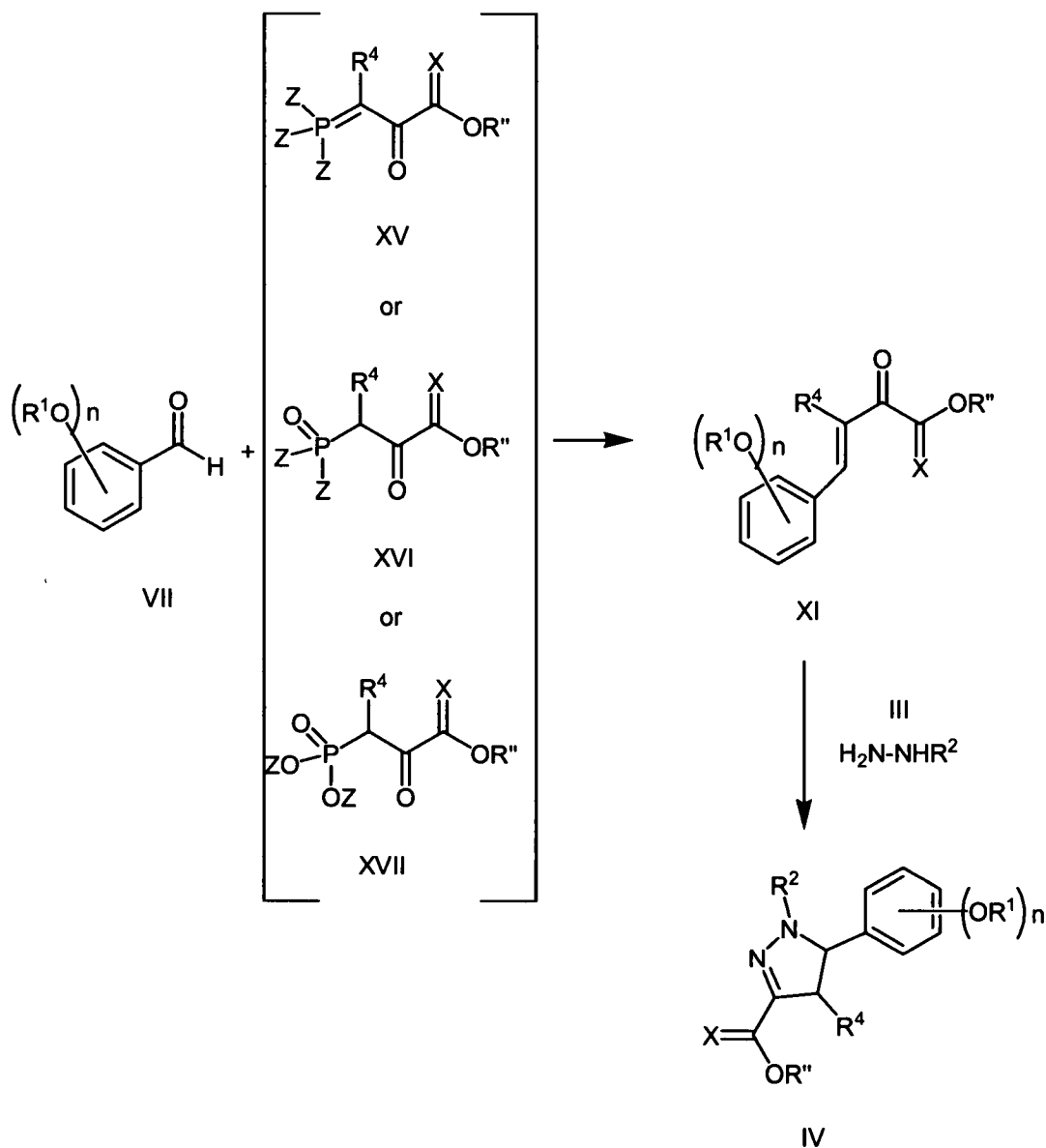
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in the art.

A compound of general formula IV can also be obtained by the process described in
 5 scheme IV.

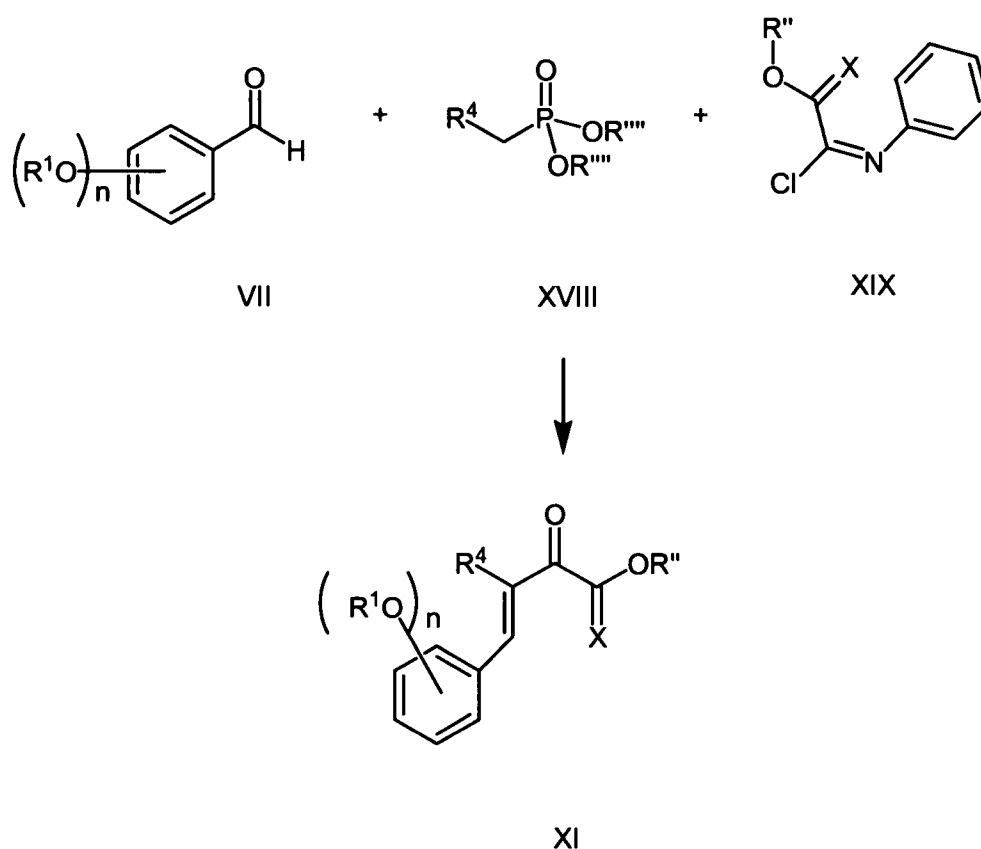


Scheme IV

An aldehyde of general formula VII, wherein R^1 and n have the meaning given above, is reacted with either a phosphonium ylide of general formula XV, a
 10 phosphine oxide of general formula XVI or a phosphonate of general formula XVII, wherein in each case R^4 and X have the meaning given above, R'' represents a hydrogen atom or a C_{1-6} -alkyl radical and Z represents an unsubstituted or at least mono-substituted phenyl radical, in a reaction medium, preferably in an aprotic

reaction medium, more preferably in Tetrahydrofuran, optionally in the presence of at least one base, preferably in the presence of a base selected from the group consisting of potassium tert-butyldat, n-butyllithium, sodium hydride and lithium diisopropylamide, to yield a compound of general formula XI which is reacted with a compound of general formula III, wherein R^2 has the meaning given above, and cyclized intramolecularly to yield a compound of general formula IV as described above. The process is also described in Tetrahedron 1994, 50 (44), 12727 - 12742 and Zhurnal Obshchei Khimii 1986, 56 (2), 347 - 353. The respective descriptions are hereby incorporated by reference and form part of the disclosure. If R'' represents a C_{1-6} -alkyl radical, the compound of general formula IV, wherein R'' represents a hydrogen atom, is obtained after saponification of the cyclized compound according to methods known to those skilled in the art.

Another method for the preparation of compounds of general formula XI is illustrated in scheme V below.



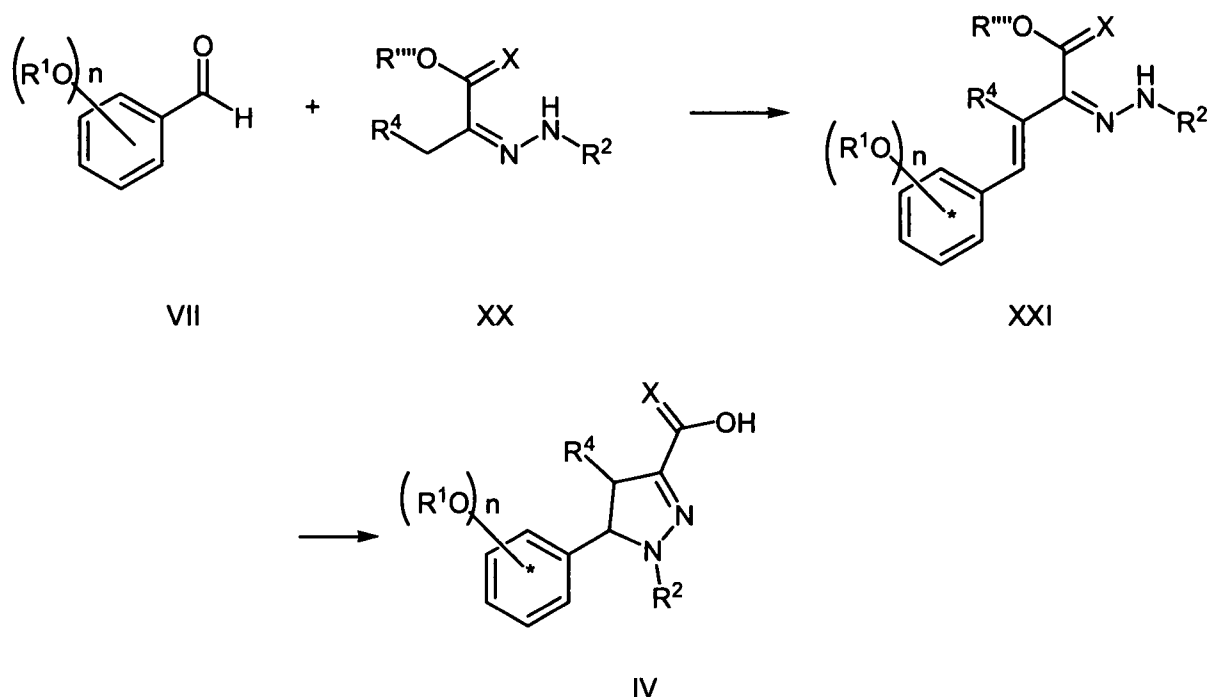
Scheme V

A compound of general formula VII, wherein R^1 and n have the meaning given above, is reacted with a phosphonate of general formula XVIII, wherein R^4 has the

meaning given above and R''' represents a C₁₋₆-alkyl radical, preferably an ethyl radical, and a compound of general formula XIX, wherein X has the meaning given above and R'' represents a hydrogen atom or a C₁₋₆-alkyl radical to yield a compound of general formula XI, wherein R¹, n, R⁴, X and R'' have the meaning given above.

- 5 The process is described in J. Chem. Soc. Perkin Trans 1, 1995, 741-742. Preferably the reaction is carried out by the addition of phosphonate of general formula XVIII to a solution of n-butyllithium in a dry reaction medium, preferably in Tetrahydrofuran, at a temperature between -100 °C and - 50 °C, followed by the addition of N-phenylalcoxycarbonylacetimidoyl chloride of general formula XIX and aldehyde of
10 general formula VII and stirring at a temperature between 0 °C and 30 °C for several hours. The respective description is hereby incorporated by reference and forms part of the disclosure.

- 15 A compound of general formula IV can also be obtained according to the process described in scheme VI.



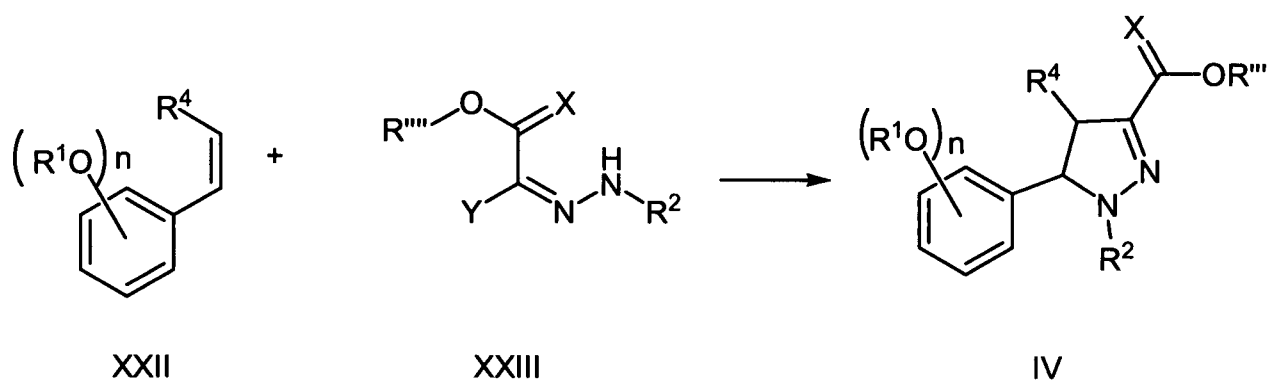
Scheme VI

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A compound of general formula VII, wherein R¹ and n have the meaning given above, is reacted with a compound of general formula XX, wherein R², R⁴ and X have the meaning given above and R''' represents a C₁₋₆-alkyl radical, is reacted in a

reaction medium, preferably in ethanol, in the presence of a base, preferably sodium acetate, at a temperature between 30 °C and 90 °C, or in a reaction medium, preferably in ethanol, in the presence of glacial acetic acid at a temperature between 0 °C and 50 °C to yield a compound of general formula XXI, wherein R¹, n, R², R⁴ and X have the meaning given above and R^{'''} represents a C₁₋₆-alkyl radical. The compound of general formula XXI is converted into the compound of general formula IV in a reaction medium, preferably in ethanol and/or water, in the presence of an acid, preferably in the presence of hydrochloric acid, at a temperature between 50 °C and 120 °C to yield a compound of general formula IV. The process is described in J. Chem. Engineering Data 1984, 29(2), 225 - 229 and Indian J. Chem. 27B, 1988, 3, 245-249. The respective descriptions are hereby incorporated by reference and form part of the disclosure.

A compound of general formula IV can also be obtained according to the process described in scheme VII. Said process is also described in WO88/005046. The respective description is hereby incorporated by reference and forms part of the disclosure.

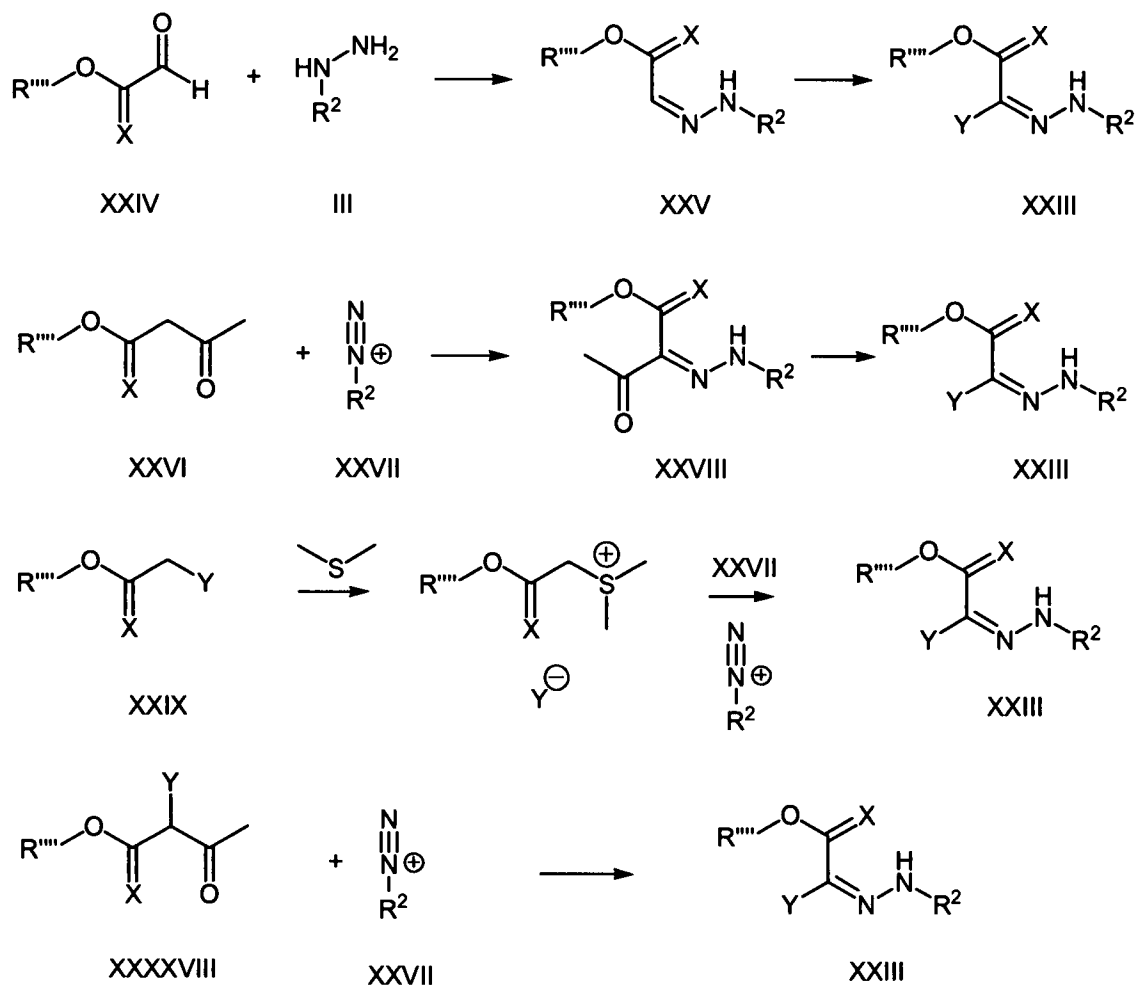


Scheme VII

A compound of general formula XXII, wherein R¹, n and R⁴ have the meaning given above, is reacted with a compound of general formula XXIII, wherein R² and X have the meaning given above, R^{'''} represents a C₁₋₆-alkyl radical and Y represents a chlorine or bromine atom, in a reaction medium, preferably in an aprotic or protic reaction medium, more preferably in toluene and/or chloroform and/or ethanol, in the presence of a base, preferably an organic base, more preferably an organic base

selected from the group consisting of triethylamine, pyridine, diisopropylethylamine, dimethylaminopyridine, 1,4-diazabicyclo[2.2.2]octane and N-methylmorpholine, at a temperature between 0 °C and 150 °C to yield a compound of general formula IV. If regioisomers are obtained during the reaction, these regioisomers can be separated
5 by conventional chromatographic techniques. The compound of general formula IV, wherein R''' represents a C₁₋₆-alkyl radical is converted into the corresponding acid by using standard methods which are known to those skilled in the art. The process is disclosed in Bull. Chem. Soc. Japan 1984, 57 (3), 787 - 790 and Chem. Lett. 1982, 543 - 546. The respective descriptions are hereby incorporated by reference and
10 form part of the disclosure. The method as depicted in scheme VII is stereospecific. Thus, using (E)-olefins of general formula XII compounds of general formula IV are obtained, wherein the radicals R¹, n and R⁴ on the pyrazoline ring are orientated trans to one another. Starting from (Z)-olefins the respective pyrazolines with cis-configuration are obtained. The racemates of pyrazoline compounds with either
15 trans- or cis-configuration can be separated by conventional methods including chiral HPLC separation and separation via the formation of diastereomeric salts. Thus, enantiomerically pure compounds are obtained (ee > 99%). The configuration of compounds of general formula IV is maintained during the subsequent reaction steps, thus leading to enantiomerically pure compounds of general formula I (ee > 99
20 %).

The compound of general formula XXIII can be prepared according to the processes described in scheme VIII.



Scheme VIII

The compound of general formula XXIV, wherein X has the meaning given above and R''' represents a C₁₋₆-alkyl radical, is reacted in a reaction medium, preferably in a mixture of water and ethanol, in the presence of at least one acid, preferably in the presence of acetic acid, at a temperature between 70 °C and 120 °C with a compound of general formula III, wherein R² has the meaning given above, to yield a compound of general formula XXV, wherein R² and X have the meaning given above and R''' represents a C₁₋₆-alkyl radical, which is reacted with N-chloro-succinimide or N-bromo-succinimide in a reaction medium, preferably in an aprotic reaction medium, more preferably in dimethylformamide, at a temperature between 0 °C and 30 °C, to yield a compound of general formula XXIII. The process is described in Synth. Commun. 2001, 31(1), 111 - 115 and Tetrahedron 1994, 50 (25), 7543 - 7556. The respective descriptions are hereby incorporated by reference and form part of the disclosure.

The compound of general formula XXIII can also be prepared by the reaction of a compound of general formula XXVI, wherein X has the meaning given above and R^{'''} represents a C₁₋₆-alkyl radical, with a compound of general formula XXVII, wherein R² has the meaning given above and subsequent bromination of the resulting compound of general formula XXVIII, wherein R² and X have the meaning given above and R^{'''} represents a C₁₋₆-alkyl radical, by using bromine in the presence of acetic acid as described in Synthesis 1975, 333 and J. Chem. Soc. Perkin Trans. 1, 1977, 2092. The diazonium salt of general formula XXVII can preferably be obtained by the addition of an aqueous solution of sodium nitrite to a compound of general formula R²-NH₂ in aqueous hydrochloride acid, wherein R² has the meaning given above. Alternatively this transformation can also be achieved in the presence of a compound of general formula XXVI by adjusting the pH of the reaction medium to 4 by the addition of sodium acetate at a temperature between 0 °C and 30 °C.

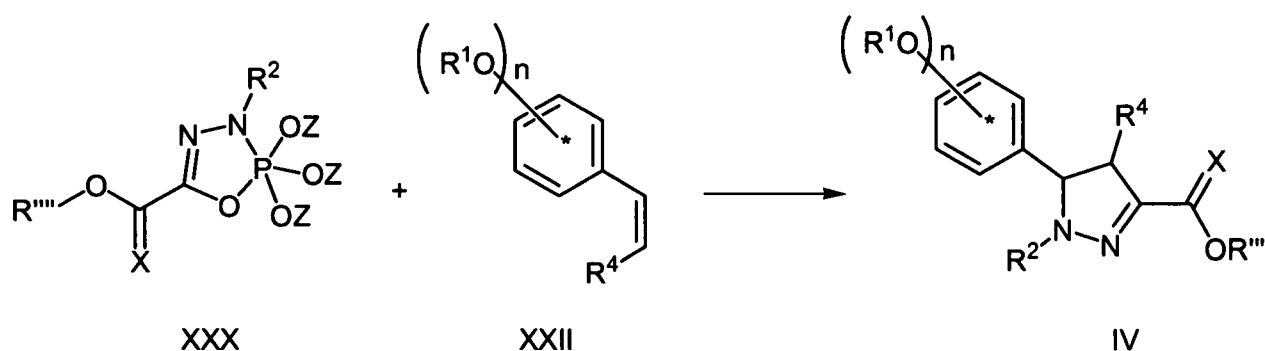
The respective descriptions are hereby incorporated by reference and form part of the disclosure.

The compound of general formula XXIII can also be prepared by the reaction of a compound of general formula XXIX, wherein X has the meaning given above, R^{'''} represents a C₁₋₆-alkyl radical and Y represents a chlorine or bromine atom, with dimethylsulfide, in a reaction medium, preferably in ethanol, at a temperature between 70 °C and 120 °C. Optionally the dimethylsulfonium salt is isolated and further reacted with a compound of general formula XXVII, wherein R² has the meaning given above, in the presence of sodium acetate and acetic acid at a temperature between 0 °C and 30 °C as described in Heterocycles 1991, 32(6), 1101 - 1107. The respective description is hereby incorporated by reference and forms part of the disclosure.

The compound of general formula XXIII can also be prepared by the reaction of a compound of general formula XXXXVIII, wherein X has the meaning given above, R^{'''} represents a C₁₋₆-alkyl radical and Y represents a leaving group, preferably a leaving group selected from the group consisting of chlorine and bromine, with a compound of general formula XXVII, wherein R² has the meaning given above, in the presence of a protic solvent, preferably in the presence of a protic solvent selected from the group consisting of methanol and ethanol, or in the presence of an aprotic

solvent, preferably in the presence of tetrahydrofuran, in the presence of a base, preferably in the presence of sodium acetate, or in the presence of an acid, preferably in the presence of acetic acid. The method is described in J. Chem. Soc. Perkin Transaction 1 1998, 24, 4103-4106; Tetrahedron Asymmetry 2000, 11(9), 1975-1983; Tetrahedron 1998, 54(49), 14859-14868; Synthesis 1996, 9, 1076-1078 and Synthesis 1995, 12, 1483-1484. The respective descriptions are hereby incorporated by reference and form part of the disclosure.

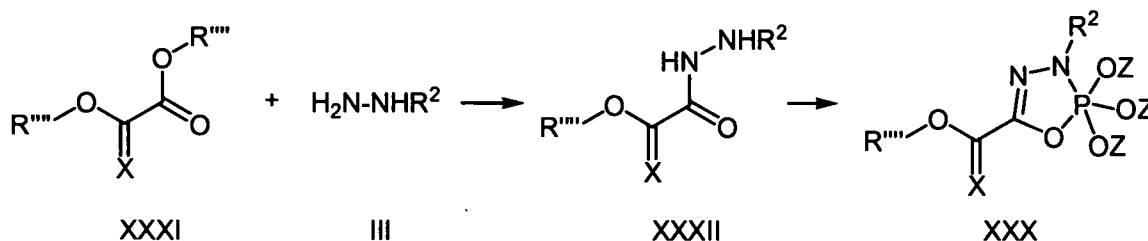
Another method for the preparation of a compound of general formula IV is described in scheme IX.



Scheme IX

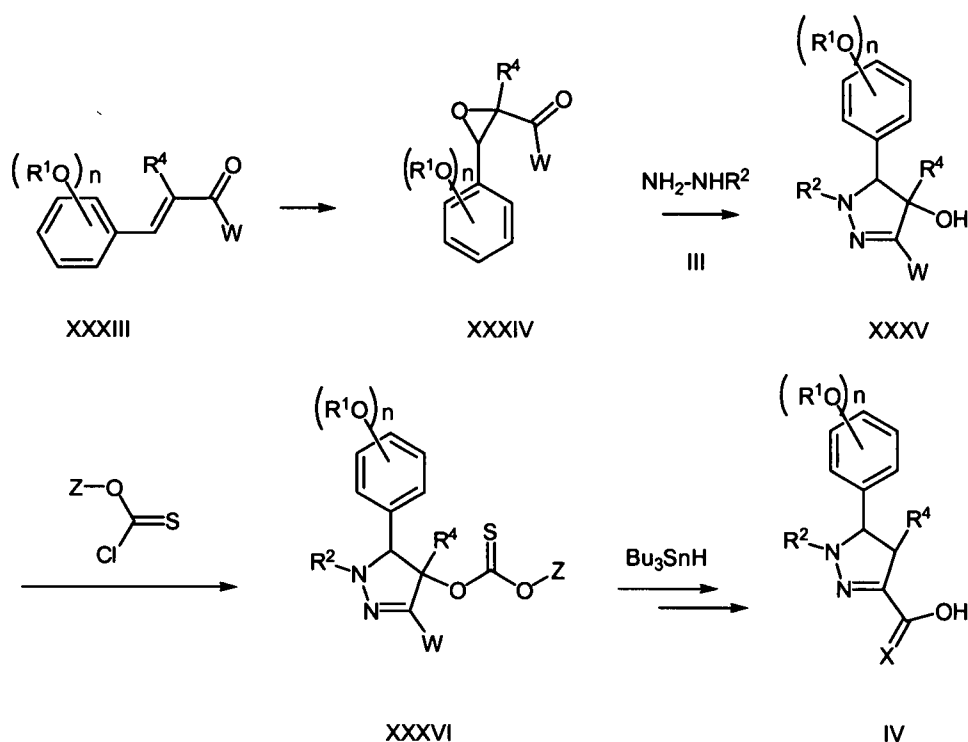
A compound of general formula XXX, wherein R^2 and X have the meaning given above, Z represents an unsubstituted or at least mono-substituted phenyl radical, preferably an unsubstituted phenyl radical, and R''' represents a C_{1-6} -alkyl radical, preferably an ethyl radical, is reacted with a compound of general formula XXII, wherein R^1 , n and R^4 have the meaning given above, in a reaction medium, preferably in xylene, at a temperature between 50 °C and 200 °C for 2 to 30 hours to yield a compound of general formula IV. The process is described in Chem. Lett. 1983, 507 - 510 and Bull. Chem. Soc. Japan 1984, 57(9), 2689 - 2690. The respective descriptions are hereby incorporated by reference and form part of the disclosure. The compound of general formula IV, wherein R''' represents a C_{1-6} -alkyl radical, is converted into the corresponding acid by using standard methods which are known to those skilled in the art.

A process for the preparation of a compound of general formula XXX is described in scheme X.



Scheme X

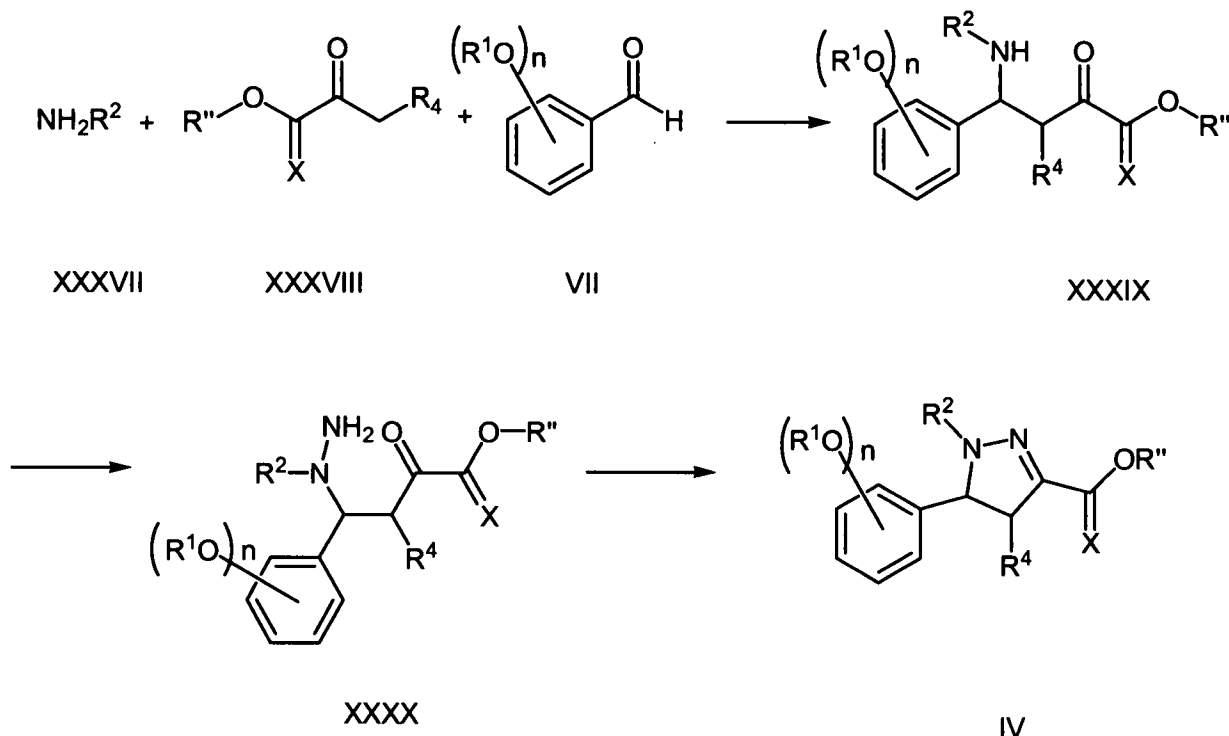
- 5 A compound of general formula XXXI, wherein X has the meaning given above and R'''' is a C_{1-6} -alkyl radical, is reacted with a compound of general formula III, wherein R^2 has the meaning given above, to yield a compound of general formula XXXII, wherein R^2 and X have the meaning given above and R''' represents a C_{1-6} -alkyl radical. Subsequently, the compound of general formula XXXII is reacted with
- 10 phosphorous pentachloride or $POCl_3$ in a reaction medium, preferably in toluene, at a temperature between $0^\circ C$ and $50^\circ C$, followed by the addition of a phenolic compound, preferably O-trimethylsilyl-p-cresol, in refluxing toluene to yield a compound of general formula XXX.
- 15 Another method for the preparation of a compound of general formula IV is described in scheme XI.



Scheme XI

A compound of general formula XXXIII, wherein R^1 , n and R^4 have the meaning given above and W represents $-C(=X)-OH$, $-C(=X)-OR'''$ or $-CN$, whereby X has the meaning given above and R''' represents a C_{1-6} -alkyl radical, is converted to a
5 compound of general formula XXXIV, wherein R^1 , n , R^4 and W have the meaning given above, by means of epoxidation with a reagent selected from the group consisting of perbenzoic acid, preferably *m*-chloro-perbenzoic acid, sodium peroxocarbonate, hydrogen peroxide, dioxirane and hydroperoxide. The compound of general formula XXIV is reacted with a compound of general formula III, wherein
10 R^2 has the meaning given above, in a reaction medium, preferably in ethanol, to yield a compound of general formula XXXV, wherein R^1 , n , R^2 , W and R^4 have the meaning given above. Subsequently, the compound of general formula XXXV is converted into the corresponding xanthate of general formula XXXVI, wherein R^1 , n , R^2 , W and R^4 have the meaning given above, by reaction with an unsubstituted or at
15 least mono-substituted phenylthionochloroformate of general formula $ZO-C(=S)-Cl$, wherein Z represents an unsubstituted or at least mono-substituted phenyl radical. The compound of general formula XXXVI is reacted with tributyltinhydride optionally followed by saponification and/or hydrolysis to yield a compound of general formula IV, wherein R^1 , n , R^2 , X and R^4 have the meaning given above. The process is
20 described in Synlett 1990, 11, 705-706. The respective description is hereby incorporated by reference and forms part of the disclosure.

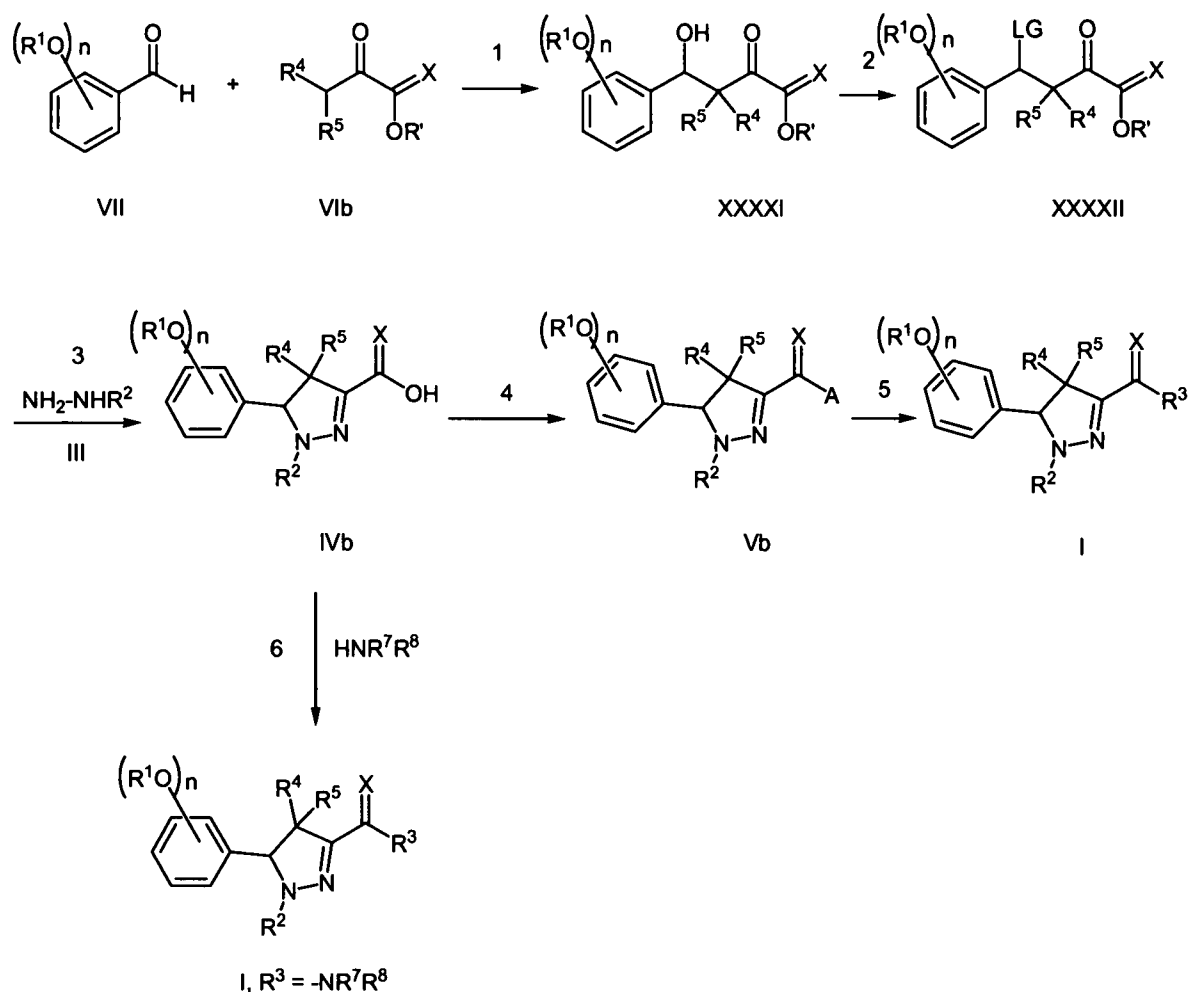
Yet another method for the preparation of a compound of general formula IV is
25 described in scheme XII.



Scheme XII

A compound of general formula XXXVII, wherein R^2 has the meaning given above, is
 5 reacted with a compound of general formula XXXVIII, wherein R^4 and X have the
 meaning given above and R'' represents a hydrogen atom or a C_{1-6} -alkyl radical, and
 a compound of general formula VII, wherein R^1 and n have the meaning given
 above, to yield a compound of general formula XXXIX, wherein R^1 , n , R^2 , R^4 and X
 10 have the meaning given above and R'' represents a hydrogen atom or a C_{1-6} -alkyl
 radical. The compound of general formula XXXIX is converted into the compound of
 general formula XXXX by using O-substituted hydroxylamines according to the
 method described in J. Org. Chem. 2002, 67, 6237 - 6239. The respective
 description is hereby incorporated by reference and forms part of the disclosure.
 Alternatively, this transformation can be achieved by using nitrites and subsequent
 15 reduction according to methods known to those skilled in the art. Upon cyclization of
 a compound of general formula XXXX according to the methods described above a
 compound of general formula IV is obtained. If R'' represents a C_{1-6} -alkyl radical, the
 compound of general formula IV, wherein R'' represents hydrogen, is obtained after
 saponification of the cyclized compound according to methods known to those skilled
 20 in the art.

In case R^5 is unlike hydrogen the reaction sequence given in Scheme I is adapted as follows.



Scheme XIII

5

In step 1 a compound of general formula VIb or a corresponding enolate of said compound is reacted with a compound of general formula VII in a reaction medium, preferably in a protic reaction medium, more preferably in a reaction medium selected from the group consisting of methanol, ethanol, isopropanol, n-butanol, water and mixtures thereof, in the presence of at least one base, preferably in the presence of an alkali metal hydroxide such as sodium hydroxide or potassium hydroxide or an alkali metal methoxide such as sodium methoxide or in the presence of lithium diisopropylamide in an aprotic solvent, preferably in tetrahydrofuran.

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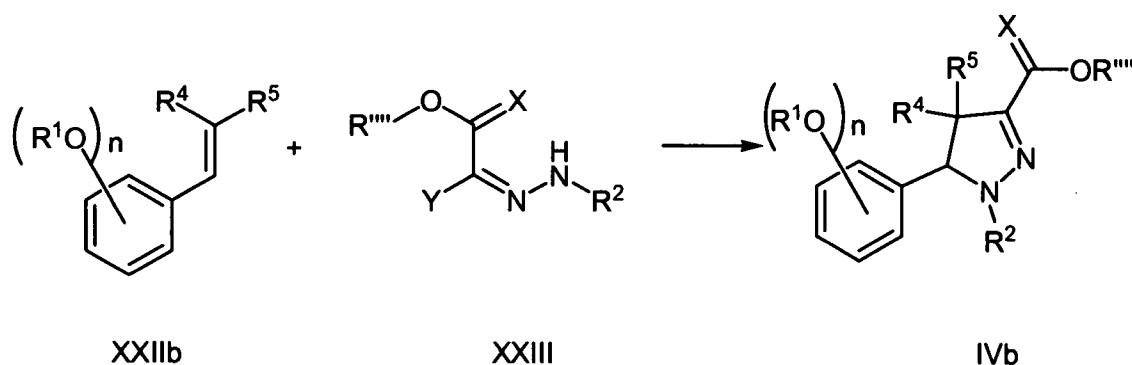
In step 2 a compound of general formula XXXXI is transformed into a compound of general formula XXXXII which contains a good leaving group LG, preferably a leaving

group selected from the group consisting of mesyl and tosyl, using conventional methods known to those skilled in the art.

In step 3 a compound of general formula XXXXII is reacted with a compound of
 5 general formula III in a reaction medium, preferably in a reaction medium selected from the group consisting of methanol, ethanol, isopropanol, n-butanol, diethylether, tert-butyl-methylether, dioxane, tetrahydrofuran or mixtures of at least two of these
 afore mentioned reaction media. Also preferably, said reaction may be carried out in the presence of an acid, whereby the acid may be organic such as acetic acid and/or
 10 inorganic such as hydrochloric acid. Alternatively the reaction may also be carried out in the presence of a base such as piperidine, piperazine, sodium hydroxide, potassium hydroxide, sodium methoxide or sodium ethoxide or mixtures of at least
 two of these bases. Reaction temperature as well as the duration of the reaction may vary over a broad range. Suitable reaction temperatures range from room
 15 temperature, i.e. approximately 25 °C to the boiling point of the reaction medium. Suitable reaction times may vary for example from several minutes to several hours.

Step 4 can be carried out as described for step 3, scheme I; step 5 can be carried out as described for step 4, scheme I and step 6 can be carried out as described for step
 20 5, scheme 1.

The compounds of general formula I, wherein R⁵ is unlike hydrogen, can also be obtained by the reaction sequence described in scheme XIV.

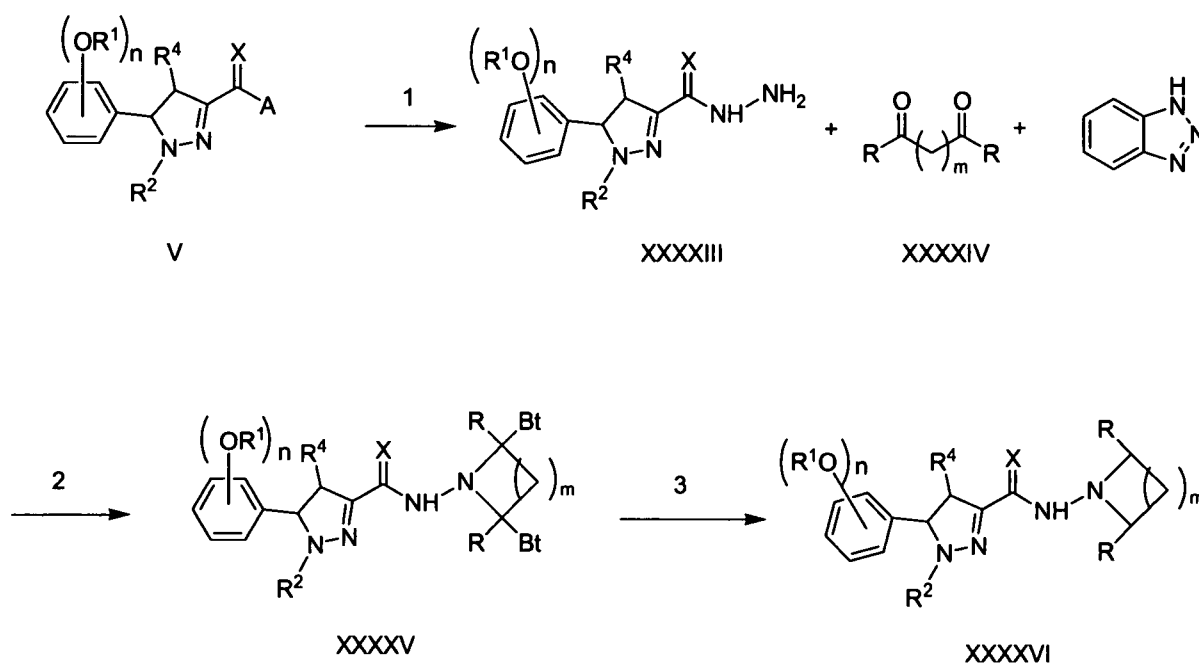


Scheme XIV

The reaction is carried out as described for the analogues reaction depicted in scheme VII. If a mixture of regioisomers is obtained, said regioisomers can be separated by standard methods known to those skilled in the art, e. g. chromatographic methods or crystallization. The process is disclosed in Bull. Chem.

5 Soc. Japan 1984, 57 (3), 787 - 790. The respective description is hereby incorporated by reference and forms part of the disclosure.

The compounds of general formula I, wherein R^3 represents $-NR^7R^8$, can also be obtained by the reaction sequence described in scheme XV.



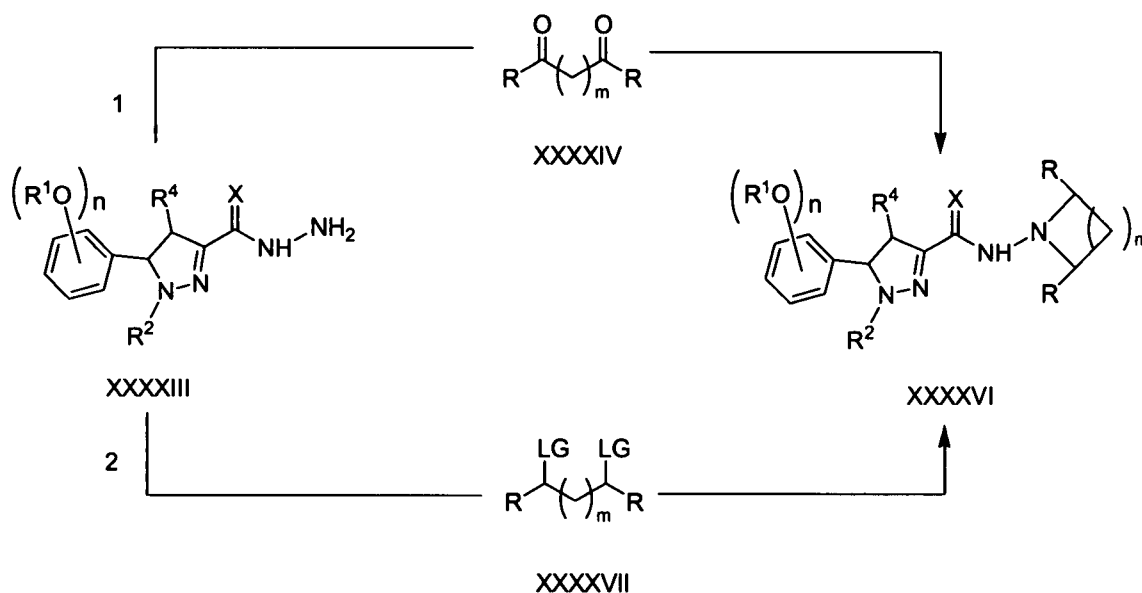
Scheme XV.

In step 1 a compound of general formula V is reacted with hydrazine hydrate in the presence of an aprotic or protic solvent, preferably in the presence of ethanol, at reflux temperature to yield a compound of general formula XXXXIII, wherein R^1 , n , R^2 , R^4 and X have the meaning as defined above.

In step 2 a compound of general formula XXXXIII is reacted with a compound of general formula XXXXIV, wherein R represents a hydrogen atom or a linear or branched C_{1-12} alkyl radical and m is 0, 1, 2, 3, 4, 5, 6, 7, 8, 9 or 10, in the presence of benzotriazole to yield a compound of general formula XXXXV, wherein R, m, n, R^1 , R^2 , R^4 and X have the meaning as defined above and Bt represents a benzotriazolyl

radical. A compound of general formula XXXXV can be transformed into a compound of general formula XXXXVI, wherein R, m, n, R¹, R², R⁴ and X have the meaning as defined above, in the presence of a reducing agent, preferably in the presence of sodium borohydride, in the presence of an aprotic solvent, preferably in the presence of tetrahydrofuran. Alternatively, the benzotriazole moiety in compounds of general formula XXXXV can be replaced by a linear or branched C₁₋₁₀ alkyl group via reaction with the respective alkyl Grignard reagents. The process is disclosed J. Org. Chem. 1990, 55, 3205-3209. The respective description is hereby incorporated by reference and forms part of the disclosure.

The compounds of general formula I, wherein R³ represents -NR⁷R⁸, can also be obtained by the reaction sequence described in scheme XVI.



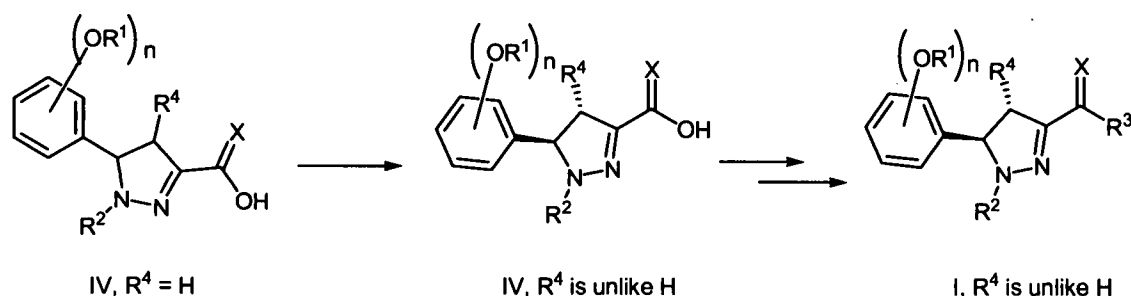
Scheme XVI.

In step 1 a compound of general formula XXXXIII is reacted with a compound of general formula XXXXIV, wherein R represents a hydrogen atom or a linear or branched C₁₋₁₂ alkyl radical and m is 0, 1, 2, 3, 4, 5, 6, 7, 8, 9 or 10, in the presence of a reducing agent, preferably in the presence of a reducing agent selected from the group consisting of sodium borohydride, sodium cyanoborohydride or triacetoxyborohydride, to yield a compound of general formula XXXXVI, wherein R, n, m, R¹, R², R⁴ and X have the meaning as defined above.

In step 2 a compound of general formula XXXXIII is reacted with a compound of

general formula XXXXVII, wherein R represents a hydrogen atom or a linear or branched C₁₋₁₂ alkyl radical, m is 0, 1, 2, 3, 4, 5, 6, 7, 8, 9 or 10 and LG represents a leaving group, preferably a leaving group selected from the group consisting of chlorine and bromine, more preferably LG represents bromine, in the presence of a base, preferably in the presence of potassium carbonate, to yield a compound of general formula XXXXVI, wherein R, n, m, R¹, R², R⁴ and X have the meaning as defined above.

The compounds of general formula I can also be obtained by the reaction sequence described in scheme XVII.



Scheme XVII.

A compound of general formula IV, wherein R⁴ represents hydrogen and R¹, n, R² and X have the above defined meaning, is transformed into a compound of general formula IV, wherein R⁴ is unlike hydrogen and R¹, n, R², R⁴ and X have the above defined meaning, in the presence of a base, preferably in the presence of a base selected from the group consisting of sodium hydride, lithium diisopropylamide and lithium hexamethyldisilazide, in the presence of an aprotic solvent, preferably in the presence of an aprotic solvent selected from the group consisting of tetrahydrofuran, dichloromethane and chloroform, and in the presence of a compound of general formula R⁴-X, wherein R⁴ has the above defined meaning, preferably R⁴ represents a linear or branched C₁₋₁₀ alkyl radical or CN, and X represents a leaving group, preferably a leaving group selected from the group consisting of bromine and iodine. The compounds of general formula IV, wherein R⁴ is unlike hydrogen, are obtained as racemates, and can be separated by conventional methods including HPLC and separation via formation of diastereomeric salts. The compounds of general formula

IV can be transformed into compounds of general formula I while retaining the absolute configuration of the compounds of general formula I.

A compound of general formula IV, wherein both R^4 and R^5 are different from hydrogen and R^1 , n , R^4 , R^2 and X have the above defined meaning, can be prepared from compounds of general formula IV, wherein R^5 is hydrogen, R^4 is unlike hydrogen and R^1 , n , R^4 , R^2 and X have the above defined meaning, and a compound of general formula R^5-X , wherein R^5 has the above defined meaning, preferably R^5 represents a linear or branched C_{1-10} alkyl radical or CN, and X represents a leaving group, preferably a leaving group selected from the group consisting of bromine and iodine, by applying the same method as described in scheme XVII.

Compounds of general formula I, wherein X represents O, can be transformed in the corresponding compound, wherein X represents S, by reacting the first compound with a dithiaphosphetane, preferably with 2,4-bis(4-methoxyphenyl)-1,3,2,4-dithiadiphosphetan-2,4-disulfide (Lawesson reagent) or phosphorous pentasulfide, in a reaction medium, preferably in a reaction medium selected from the group consisting of toluene, xylene, acetonitrile, dichloromethane and dimethylformamide, at a temperature between 50 °C to 150 °C.

A compound of general formula I, wherein R^1 represents a hydrogen atom and n , R^2 , X , R^3 , R^5 and R^4 have the meaning according given above, is reacted with at least one compound of general formula R^1-X , wherein R^1 has the meaning given above and X represents a leaving group, preferably a leaving group selected from the group consisting of chlorine and bromine, more preferably with at least one compound of general formula R^1-X selected from the group consisting of $Cl-P(=O)-(OR^{24})_2$, $Cl-C(=O)-OR^{23}$, $R^{25}-N=C=O$, $Cl-C(=O)-R^{28}$, $Cl-S(=O)-R^{29}$, $Cl-(CHR^{36})_m-O-C(=O)-R^{30}$, $Cl-(CHR^{36})_o-O-C(=O)-OR^{31}$, $Cl-(CHR^{36})_p-O-P(=O)-(OR^{32})_2$, $Cl-(CHR^{36})_q-O-C(=O)-NH-R^{33}$, $Cl-(CHR^{36})_r-O-C(=O)-NR^{34}R^{35}$, $Cl-C(=S)-OR^{38}$, $Cl-C(=S)-R^{39}$ and $Cl-S(=O)_2-R^{37}$ whereby R^{24} , R^{23} , R^{25} , R^{38} , R^{29} , R^{36} , m , R^{30} , o , R^{31} , p , R^{32} , q , R^{33} , r , R^{34} , R^{35} , R^{38} , R^{39} and R^{37} have the meaning as defined above, in a reaction medium, preferably in a reaction medium selected from the group consisting of toluene, dichloromethane, diethyl ether and tetrahydrofuran, optionally in the presence of at least one base, preferably in the presence of at least one base selected from the group consisting of

pyridine, triethylamine, isopropylethylamine, morpholine, N-methyl-morpholine, sodium hydroxide, sodium carbonate, potassium hydroxide and potassium carbonate, preferably at a temperature between -15°C and $+110^{\circ}\text{C}$, to yield a compound of general formula I, wherein n , R^2 , X , R^3 , R^5 and R^4 have the meaning according given above and R^1 represents $-\text{P}(=\text{O})-(\text{OR}^{24})_2$, $-\text{C}(=\text{O})-\text{OR}^{23}$, $-\text{C}(=\text{O})-\text{NH}-\text{R}^{25}$, $-\text{C}(=\text{O})-\text{R}^{28}$, $-\text{S}(=\text{O})-\text{R}^{29}$, $-(\text{CHR}^{36})_m-\text{O}-\text{C}(=\text{O})-\text{R}^{30}$, $-(\text{CHR}^{36})_o-\text{O}-\text{C}(=\text{O})-\text{OR}^{31}$, $-(\text{CHR}^{36})_p-\text{O}-\text{P}(=\text{O})-(\text{OR}^{32})_2$, $-(\text{CHR}^{36})_q-\text{O}-\text{C}(=\text{O})-\text{NH}-\text{R}^{33}$, $-(\text{CHR}^{36})_r-\text{O}-\text{C}(=\text{O})-\text{NR}^{34}\text{R}^{35}$, $-\text{C}(=\text{S})-\text{OR}^{38}$, $-\text{C}(=\text{S})-\text{R}^{39}$ and $-\text{S}(=\text{O})_2-\text{R}^{37}$.

The process is inter alia described in Drugs of the Future 1991, 16, 443; J. Med. Chem. 1987, 30, 2008; J. Med. Chem. 1989, 32, 2503; J. Org. Chem. 1978, 43, 4797; Tetrahedron Lett. 1977, 1571 and J. Pharm. Sci. 1968, 57, 774. The respective parts of description are hereby incorporated by reference and form part of the disclosure.

The afore mentioned reactions involving the synthesis of the 4,5-dihydro-pyrazole ring or the reaction of a compound comprising said ring are preferably carried out under an inert atmosphere, preferably under a nitrogen or argon atmosphere, to avoid oxidation of the ring-system.

During some synthetic reactions described above the protection of sensitive or reactive groups may be necessary and/or desirable. This can be performed by using conventional protective groups like those described in Protective groups in Organic Chemistry, ed. J. F. W. McOmie, Plenum Press, 1973; T.W. Greene & P.G.M. Wuts and Protective Groups in Organic Chemistry, John Wiley & sons, 1991. The respective parts of the description is hereby incorporated by reference and forms part of the disclosure. The protective groups may be eliminated when convenient by means well-known to those skilled in the art.

If the prodrugs of pyrazoline compounds of general formula I are obtained in form of a mixture of stereoisomers, particularly enantiomers or diastereomers, said mixtures may be separated by standard procedures known to those skilled in the art, e.g. chromatographic methods or crystallization with chiral reagents. It is also possible to obtain pure stereoisomers via stereoselective synthesis.

In a further aspect the present invention also provides a process for the preparation of salts of prodrugs of pyrazoline compounds of general formula I and stereoisomers thereof, wherein at least one compound of general formula I having at least one basic group is reacted with at least one inorganic and/or organic acid, preferably in the presence of a suitable reaction medium. Suitable reaction media include, for example, any of the ones given above. Suitable inorganic acids include hydrochloric acid, hydrobromic acid, phosphoric acid, sulfuric acid, nitric acid, suitable organic acids are e.g. citric acid, maleic acid, fumaric acid, tartaric acid, or derivatives thereof, p-toluenesulfonic acid, methanesulfonic acid or camphersulfonic acid.

In yet a further aspect the present invention also provides a process for the preparation of salts of prodrugs of pyrazoline compounds of general formula I or stereoisomers thereof, wherein at least one compound of general formula I having at least one acidic group is reacted with one or more suitable bases, preferably in the presence of a suitable reaction medium. Suitable bases are e.g. hydroxides, carbonates or alkoxides, which include suitable cations, derived e.g. from alkaline metals, alkaline earth metals or organic cations, e.g. $[\text{NH}_n\text{R}_{4-n}]^+$, wherein n is 0, 1, 2, 3 or 4 and R represents a branched or unbranched C_{1-4} -alkyl-radical. Suitable reaction media are, for example, any of the ones given above.

In the presence of several acidic or basic groups, mono- or poly-salts may be formed. Compounds of the formula I having an acidic group, for example a free carboxyl group, and a basic group, for example an amino group, may also be present in the form of inner salts, i.e., in zwitterionic form, or a part of the molecule may be present in the form of an inner salt and another part in the form of a normal salt.

Solvates, preferably hydrates, of the prodrugs of pyrazoline compounds of general formula I, of corresponding stereoisomers, of corresponding N-oxides or of corresponding salts thereof may also be obtained by standard procedures known to those skilled in the art.

Prodrugs of pyrazoline compounds of general formula I, which comprise nitrogen-atom containing saturated, unsaturated or aromatic rings may also be obtained in the form of their N-oxides by methods well known to those skilled in the art.

- 5 The purification and isolation of the inventive prodrugs of pyrazoline compounds of general formula I, of a corresponding stereoisomer, or salt, or N-oxide, or solvate or any intermediate thereof may, if required, be carried out by conventional methods known to those skilled in the art, e.g. chromatographic methods or recrystallization.
- 10 The prodrugs of pyrazoline compounds of general formula I given above, their stereoisomers, corresponding N-oxides, corresponding salts thereof and corresponding solvates are toxicologically acceptable and are therefore suitable as pharmaceutical active substances for the preparation of medicaments.
- 15 It has been found that the prodrugs of pyrazoline compounds of general formula I given above, stereoisomers thereof, N-oxides thereof, corresponding salts and corresponding solvates have a high affinity to cannabinoid receptors, particularly cannabinoid 1 (CB₁)-receptors, i.e. they are selective ligands for the CB₁-receptor and act as modulators, e.g. antagonists, inverse agonists or agonists, on these
- 20 receptors. In particular, these pyrazoline compounds show little or no development of tolerance during treatment, particularly with respect to food intake, i.e. if the treatment is interrupted for a given period of time and then continued afterwards, the inventively used pyrazoline compounds will again show the desired effect. After ending the treatment with the pyrazoline compounds, the positive influence on the
- 25 body weight is found to continue.

Furthermore, these prodrugs of pyrazoline compounds show relatively weak Herg channel affinity, thus a low risk of prolongation of the QT-interval is to be expected for these compounds.

30

In summary, the inventively used 4-substituted pyrazoline compounds are distinguished by a broad spectrum of beneficial effects, while at the same time showing relatively little undesired effects, i.e. effects which do not positively contribute to or even interfere with the well being of the patient.

Thus, an other aspect of the present invention relates to a medicament comprising at least one prodrug of pyrazoline compounds of general formula I including the aforementioned excluded compounds, optionally in form of one of its stereoisomers, preferably enantiomers or diastereomers, a racemate or in form of a mixture of at least two of its stereoisomers, preferably enantiomers and/or diastereomers, in any mixing ratio, or a corresponding N-oxide thereof, or a physiologically acceptable salt thereof, or a corresponding solvate thereof, and optionally at least one physiologically acceptable auxiliary agent.

Preferably said medicament is suitable for the modulation (regulation) of cannabinoid-receptors, preferably cannabinoid 1 (CB₁) receptors, for the prophylaxis and/or treatment of disorders of the central nervous system, disorders of the immune system, disorders of the cardiovascular system, disorders of the endocrinous system, disorders of the respiratory system, disorders of the gastrointestinal tract or reproductive disorders.

Particularly preferably said medicament is suitable for the prophylaxis and/or treatment of psychosis.

Also particularly preferably said medicament is suitable for the prophylaxis and/or treatment of food intake disorders, preferably bulimia, anorexia, cachexia, obesity and/or type II diabetes mellitus (non-insuline dependent diabetes mellitus), more preferably obesity. The inventive medicament also seems to be active in the prophylaxis and/or treatment of appetency disorders, e.g. the pyrazoline compounds of general formula I also reduce the desire for sweets.

Also particularly preferably said medicament is suitable for the prophylaxis and/or treatment of cancer, preferably for the prophylaxis and/or treatment of one or more types of cancer selected from the group consisting of brain cancer, bone cancer, lip cancer, mouth cancer, esophageal cancer, stomach cancer, liver cancer, bladder cancer, pancreas cancer, ovary cancer, cervical cancer, lung cancer, breast cancer, skin cancer, colon cancer, bowel cancer and prostate cancer, more preferably for the

prophylaxis and/or treatment of one or more types of cancer selected from the group consisting of colon cancer, bowel cancer and prostate cancer.

Particularly preferably said medicament is suitable for the prophylaxis and/or
5 treatment of alcohol abuse and/or alcohol addiction, nicotine abuse and/or nicotine addiction, drug abuse and/or drug addiction and/or medicament abuse and/or medicament addiction, preferably drug abuse and/or drug addiction and/or nicotine abuse and/or nicotine addiction.

10 Thus the inventive medicament is active in the treatment of abstinence, craving reduction and relapse prevention of alcohol intake. The inventive medicament can also be used in the prophylaxis and/or treatment of smoking addiction, cessation and/or dependence including treatment for craving reduction and relapse prevention of tobacco smoking.

15 Medicaments and/or drugs, which are frequently the subject of misuse include opioids, barbiturates, cannabis, cocaine, amphetamines, phencyclidine, hallucinogens and benzodiazepines.

20 The medicament is also suitable for the prophylaxis and/or treatment of one or more disorders selected from the group consisting of bone disorders, preferably osteoporosis (e.g. osteoporosis associated with a genetic predisposition, sex hormone deficiency, or ageing), cancer-associated bone disease or Paget's disease of bone; schizophrenia, anxiety, depression, epilepsy, neurodegenerative disorders, cerebellar disorders, spinocerebellar disorders, cognitive disorders, cranial trauma,
25 head trauma, stroke, panic attacks, peripheral neuropathy, inflammation, glaucoma, migraine, Morbus Parkinson, Morbus Huntington, Morbus Alzheimer, Raynaud's disease, tremblement disorders, compulsive disorders, senile dementia, thymic disorders, tardive dyskinesia, bipolar disorders, medicament-induced movement
30 disorders, dystonia, endotoxemic shock, hemorrhagic shock, hypotension, insomnia, immunologic disorders, sclerotic plaques, vomiting, diarrhoea, asthma, memory disorders, pruritus, pain, or for potentiation of the analgesic effect of narcotic and non-narcotic analgesics, or for influencing intestinal transit.

The medicament is also suitable for the prophylaxis and/or treatment of one or more disorders selected from the group consisting of dementia and related disorders, preferably for the prophylaxis and/or treatment of one or more types of dementia selected from the group consisting of memory loss, vascular dementia, mild cognitive impairment, frontotemporal dementia and Pick's disease; binge eating disorders; juvenile obesity; drug induced obesity; atypical depression; behavioural addictions; attention deficit disorders; Tourette's syndrome; suppression of reward-related behaviours; e. g. conditioned place avoidance such as suppression of cocaine- and morphine induced conditioned place preference; impulsivity; sexual dysfunction; preferably for the prophylaxis and/or treatment of one or more types of sexual dysfunction selected from the group consisting of erectile difficulty and female sexual dysfunction; seizure disorders; nausea; emesis; neuroinflammatory disease, preferably for the prophylaxis and/or treatment of one or more types of neuroinflammatory diseases selected from the group consisting of multiple sclerosis, demyelination related disorders, Guillan-Barré syndrome, viral encephalitis and cerebrovascular accidents; neurological disorders; muscle spasticity; traumatic brain injury; spinal cord injury; inflammation and immunomodulatory disorders, preferably for the treatment and/or prophylaxis of one or more types of inflammation and immunomodulatory disorders selected from the group consisting of cutaneous T-cell lymphoma, rheumatoid arthritis, systemic lupus erythematosus, sepsis, sarcoidosis, idiopathic pulmonary fibrosis, bronchopulmonary dysplasia, retinal disease, scleroderma, renal ischemia, myocardial infarction, cerebral ischemia, nephritis, hepatitis, glomerulonephritis, cryptogenic fibrosing aveolitis, psoriasis, transplant rejection, atopic dermatitis, vasculitis, allergy, seasonal allergic rhinitis, Crohn's disease, inflammatory bowel disease, reversible airway obstruction, adult respiratory distress syndrome, chronic obstructive pulmonary disease and bronchitis; cerebral apoplexy; craniocerebral trauma; neuropathic pain disorders; gastric ulcers; atherosclerosis and liver cirrhosis.

Another aspect of the present invention is the use of at least one prodrug of a pyrazoline compound of general formula I given above as suitable active substances, optionally in form of one of the stereoisomers, preferably enantiomers or diastereomers, a racemate or in form of a mixture of at least two of the stereoisomers, preferably enantiomers and/or diastereomers, in any mixing ratio, or a

corresponding N-oxide thereof, or a corresponding salt thereof, or a corresponding solvate thereof, and optionally one or more pharmaceutically acceptable excipients, for the preparation of a medicament for the modulation of cannabinoid-receptors, preferably cannabinoid 1 (CB₁) receptors, for the prophylaxis and/or treatment of disorders of the central nervous system, disorders of the immune system, disorders of the cardiovascular system, disorders of the endocrinous system, disorders of the respiratory system, disorders of the gastrointestinal tract or reproductive disorders.

Particularly preferred is the use of at least one of the respective prodrugs of pyrazoline compounds, optionally in form of one of the stereoisomers, preferably enantiomers or diastereomers, a racemate or in form of a mixture of at least two of the stereoisomers, preferably enantiomers and/or diastereomers, in any mixing ratio, or a corresponding N-oxide thereof, or a corresponding salt thereof, or a corresponding solvate thereof, and optionally one or more pharmaceutically acceptable excipients, for the preparation of a medicament for the prophylaxis and/or treatment of psychosis.

Also particularly preferred is the use of at least one of the respective prodrugs of pyrazoline compounds, optionally in form of one of the stereoisomers, preferably enantiomers or diastereomers, a racemate or in form of a mixture of at least two of the stereoisomers, preferably enantiomers and/or diastereomers, in any mixing ratio, or a corresponding N-oxide thereof, or a corresponding salt thereof, or a corresponding solvate thereof, and optionally one or more pharmaceutically acceptable excipients, for the preparation of a medicament for the prophylaxis and/or treatment of food intake disorders, preferably bulimia, anorexia, cachexia, obesity and/or type II diabetes mellitus (non-insuline dependent diabetes mellitus), more preferably obesity.

Also particularly preferred is the use of at least one of the pyrazoline compounds as defined herein and optionally one or more pharmaceutically acceptable excipients, for the preparation of a medicament for the treatment of metabolic syndrome.

The metabolic syndrome and definitions thereof are described in detail by Eckel et al., The Lancet, Vol. 365 (2005), 1415-1428, included herewith by reference. One of

the respective definitions was established by the WHO in 1998 (as described in Alberti et al., Diabet. Med. 1998, 15, pages 539-53, the respective description thereof is herewith incorporated by reference and forms part of the present disclosure). The other, more widely accepted, definition of the metabolic syndrome was established
5 by the Adult Treatment Panel (ATP III) of the US National Cholesterol Education Program (NCEP) in 2001, as described in JAMA 2001; 285; 2486-97, the respective description thereof is herewith incorporated by reference and forms part of the present disclosure.

10 The metabolic syndrome is characterized by an interaction of several physiological parameters such as triglycerides, lipids, blood pressure, glucose levels and insuline levels.

Even though obesity may play a critical role in the development of metabolic
15 syndrome, many of its aspects are weight independent, especially some lipid parameters. Especially the positive influence on the weight independent aspects of the metabolic syndrome (see e.g. Pagotto and Pasquali, The Lancet, Vol. 365 (2005), 1363, 1364, included herewith by reference) like some blood parameters, especially lipid parameters is one of the major and surprising advantages of the
20 inventively used substituted pyrazoline compounds.

Another aspect of the invention is the use of one or more pyrazoline compounds as defined herein for the manufacture of a medicament for improvent of cardiovascular and/or metabolic risk factors, such as one or more of the following factors:

25 Elevated triglycerides, whereby elevated levels of triglycerides are preferably understood as being > 150 mg/dl,

Low HDL cholesterol, whereby low levels of HDL cholesterol are preferably
30 understood as being < 40 mg/dl in men and < 50 mg/dl in women,

Hypertension, whereby Hypertension is preferably understood as being > 130/85 mmHg,

Impaired fasting glucose, whereby impaired fasting glucose levels are preferably understood as being > 110 mg/dl,

Insulin resistance

5

Dyslipidemia.

Another aspect of the invention is the use of one or more pyrazoline compounds as defined herein for the manufacture of a medicament for the treatment of the weight independent aspects of metabolic syndrome.

10

Another aspect of the invention is a method for improving cardiovascular and/or metabolic risk factors, such as one or more of the following factors:

15

Elevated triglycerides, whereby elevated levels of triglycerides are preferably understood as being > 150 mg/dl,

Low HDL cholesterol, whereby low levels of HDL cholesterol are preferably understood as being < 40 mg/dl in men and < 50 mg/dl in women,

20

Hypertension, whereby hypertension is preferably understood as being > 130/85 mmHg,

Impaired fasting glucose, whereby impaired fasting glucose levels are preferably understood as being > 110 mg/dl,

25

Insulin resistance

Dyslipidemia,

30

in a subject, preferably a human.

Another aspect of the invention is a method for treating of the weight independent aspects of metabolic syndrome.

Also particularly preferred is the use of at least one of the respective prodrugs of pyrazoline compounds, optionally in form of one of the stereoisomers, preferably enantiomers or diastereomers, a racemate or in form of a mixture of at least two of the stereoisomers, preferably enantiomers and/or diastereomers, in any mixing ratio, or a corresponding N-oxide thereof, or a corresponding salt thereof, or a corresponding solvate thereof, and optionally one or more pharmaceutically acceptable excipients, for the preparation of a medicament for the prophylaxis and/or treatment of cancer, preferably for the prophylaxis and/or treatment of one or more types of cancer selected from the group consisting of brain cancer, bone cancer, lip cancer, mouth cancer, esophageal cancer, stomach cancer, liver cancer, bladder cancer, pancreas cancer, ovary cancer, cervical cancer, lung cancer, breast cancer, skin cancer, colon cancer, bowel cancer and prostate cancer, more preferably for the prophylaxis and/or treatment of one or more types of cancer selected from the group consisting of colon cancer, bowel cancer and prostate cancer.

Also particularly preferred is the use of at least one of the respective prodrugs of pyrazoline compounds, optionally in form of one of the stereoisomers, preferably enantiomers or diastereomers, a racemate or in form of a mixture of at least two of the stereoisomers, preferably enantiomers and/or diastereomers, in any mixing ratio, or a corresponding N-oxide thereof, or a corresponding salt thereof, or a corresponding solvate thereof, and optionally one or more pharmaceutically acceptable excipients, for the preparation of a medicament for the prophylaxis and/or treatment of alcohol abuse and/or alcohol addiction, nicotine abuse and/or nicotine addiction, drug abuse and/or drug addiction and/or medicament abuse and/or medicament addiction, preferably drug abuse and/or drug addiction and/or nicotine abuse and/or nicotine addiction.

Also particularly preferred is the use of at least one of the of the respective prodrugs of pyrazoline compounds, optionally in form of one of the stereoisomers, preferably enantiomers or diastereomers, a racemate or in form of a mixture of at least two of the stereoisomers, preferably enantiomers and/or diastereomers, in any mixing ratio, or a corresponding solvate thereof, and optionally one or more pharmaceutically acceptable excipients, for the preparation of a medicament for the prophylaxis and/or

treatment of one or more disorders selected from the group consisting of dementia and related disorders, preferably for the prophylaxis and/or treatment of one or more types of dementia selected from the group consisting of memory loss, vascular dementia, mild cognitive impairment, frontotemporal dementia and Pick's disease; 5 binge eating disorders; juvenile obesity; drug induced obesity; atypical depression; behavioural addictions; attention deficit disorders; Tourette's syndrome; suppression of reward-related behaviours; e. g. conditioned place avoidance such as suppression of cocaine- and morphine induced conditioned place preference; impulsivity; sexual dysfunction; preferably for the prophylaxis and/or treatment of one or more types of 10 sexual dysfunction selected from the group consisting of erectile difficulty and female sexual dysfunction; seizure disorders; nausea; emesis; neuroinflammatory disease, preferably for the prophylaxis and/or treatment of one or more types of neuroinflammatory diseases selected from the group consisting of multiple sclerosis, demyelination related 15 disorders, Guillan-Barré syndrome, viral encephalitis and cerebrovascular accidents; neurological disorders; muscle spasticity; traumatic brain injury; spinal cord injury; inflammation and immunomodulatory disorders, preferably for the treatment and/or prophylaxis of one or more types of inflammation and immunomodulatory disorders selected from the group consisting of cutaneous T-cell lymphoma, rheumatoid 20 arthritis, systemic lupus erythematosus, sepsis, sarcoidosis, idiopathic pulmonary fibrosis, bronchopulmonary dysplasia, retinal disease, scleroderma, renal ischemia, myocardial infarction, cerebral ischemia, nephritis, hepatitis, glomerulonephritis, cryptogenic fibrosing aveolitis, psoriasis, transplant rejection, atopic dermatitis, vasculitis, allergy, seasonal allergic rhinitis, Crohn's disease, inflammatory bowel 25 disease, reversible airway obstruction, adult respiratory distress syndrome, chronic obstructive pulmonary disease and bronchitis; cerebral apoplexy; craniocerebral trauma; neuropathic pain disorders; gastric ulcers; atherosclerosis and liver cirrhosis.

Medicaments/drugs, which are frequently the subject of misuse include opioids, 30 barbiturates, cannabis, cocaine, amphetamines, phencyclidine, hallucinogens and benzodiazepines.

Also preferred is the use of at least one of the respective prodrugs of pyrazoline compounds, optionally in form of one of the stereoisomers, preferably enantiomers or

diastereomers, a racemate or in form of a mixture of at least two of the stereoisomers, preferably enantiomers and/or diastereomers, in any mixing ratio, or a corresponding N-oxide thereof, or a corresponding salt thereof, or a corresponding solvate thereof, and optionally one or more pharmaceutically acceptable excipients, for the preparation of a medicament for the prophylaxis and/or treatment of one or more disorders selected from the group consisting of bone disorders, preferably osteoporosis (e.g. osteoporosis associated with a genetic predisposition, sex hormone deficiency, or ageing), cancer-associated bone disease or Paget's disease of bone; schizophrenia, anxiety, depression, epilepsy, neurodegenerative disorders, cerebella disorders, spinocerebellar disorders, cognitive disorders, cranial trauma, head trauma, stroke, panic attacks, peripheral neuropathy, inflammation, glaucoma, migraine, Morbus Parkinson, Morbus Huntington, Morbus Alzheimer, Raynaud's disease, tremblement disorders, compulsive disorders, senile dementia, thymic disorders, tardive dyskinesia, bipolar disorders, medicament-induced movement disorders, dystonia, endotoxemic shock, hemorrhagic shock, hypotension, insomnia, immunologic disorders, sclerotic plaques, vomiting, diarrhoea, asthma, memory disorders, pruritus, pain, or for potentiation of the analgesic effect of narcotic and non-narcotic analgesics, or for influencing intestinal transit.

Dementia is a disease characterized by the progressive deterioration in cognitive and social adaptive functions that can eventually interfere with the patient's ability to live independently. Dementia also constitutes of impairment in short- and long-term memory plus additional symptoms, such as problems with abstract thinking, judgment, or personality. An estimated 18 million patients suffer from dementia worldwide. The most common forms of dementia include Alzheimer's disease and vascular dementia. Other forms are frontotemporal dementia and Pick's disease.

Dementia can also be of vascular origin. Vascular dementia (atherosclerotic cerebrovascular disease) is considered to be the second most common dementia of late life, affecting approximately 10-15% of all cases. AD and vascular dementia can exist in isolation or together (mixed dementia). In vascular dementia, atherosclerotic changes in cerebral vessels can lead to reduced local blood flow that results in multiple small strokes (multi-infarct dementia). Vascular dementia is

pharmacologically treated by stroke prophylaxis, and by treatment of the cognitive deficit.

Alzheimer's disease (AD), the most common and important form of dementia, is a neurodegenerative disorder that is characterized by progressive impairment of cognitive functions, such as abstract reasoning and memory. Currently, an estimated 2 million people in the United States and 12 million worldwide are afflicted by this disease. Due to increasing life expectancy, it is predicted that there will be over 100 million AD patients worldwide by the year 2050. AD is one of the most prevalent illnesses in the elderly. The majority of AD patients are in their sixties or older. More than 5% of all persons over the age of 70 have significant memory loss due to AD.

AD is mainly characterized through a gradual development of forgetfulness. In further advanced disease stages, other failures in cerebral function become increasingly apparent. This includes impairment of speech, writing, and arithmetic skills. Visiospatial orientation, such as parking the car, dressing properly, and giving and understanding directions to a location, can become defective or impaired. In late stage disease, patients forget how to use common objects and tools while retaining necessary motor power and co-ordination for these activities.

Schizophrenia is characterized by profound disruption in cognition and emotion, affecting the most fundamental human attributes: language, thought, perception, affect, and sense of self. Positive symptoms include psychotic manifestations, such as hearing internal voices or experiencing other sensations not connected to an obvious source (hallucinations) and assigning unusual significance or meaning to normal events or holding fixed false personal beliefs (delusions). Negative symptoms are characterized by affective flattening and lack of initiative or goals (avolition), loss of usual interests or pleasures (anhedonia), disturbances of sleep and eating, dysphoric mood (depressed, anxious, irritable, or angry mood) and difficulty concentrating or focusing attention.

Major depression is a multifaceted disorder characterized by primarily by dysphoric mood and loss of interest or pleasure in activities that were once enjoyable. Other physical and psychological symptoms include inability to concentrate, motor

disturbances (psychomotor retardation or agitation), feelings of worthlessness, inappropriate guilt, thoughts of suicide, and disturbances in appetite and sleep.

Anxiety disorders are a group of syndromes that include generalized anxiety disorder, panic disorder, phobias, obsessive-compulsive disorder, and post traumatic stress disorder. Although each disorder has its own distinct features, all share common symptoms of excessive worrying, intense fears and dread, hypervigilance and/or somatic symptoms, in the absence of a dangerous situation.

Normal sexual function requires, among others, the ability to achieve and maintain penile erection. Major anatomic structures of the penis that are involved in erectile function include the corpus cavernosum, corpus spinosum, and the tunica albuginea (a collagenous sheath that surrounds each corpus). The corpora are composed of a mass of smooth muscle (trabecula) which contains a network of endothelial-lined vessels (lacunar spaces). Penile tumescence and erection is caused by relaxation of the arteries and corporal smooth muscles, while closing emissary veins, leading to increased blood flow into the lacunar network. Central and peripheral innervation contributes to regulation of the erectile response.

Erectile dysfunction (ED) may result from failure to initiate, fill, or store adequate blood volume within the lacunar network of the penis. Depending on the underlying dysfunction, ED may be vasculogenic, neurogenic, endocrinologic, diabetic, psychogenic, or medication-related.

ED affects 10-25% of middle-aged and elderly men, and has a profound impact on the well-being of affected men. It is currently treated using PDE5 inhibitors such as vardenafil, tadalafil, and sildenafil. Intraurethral alprostadil (prostaglandin E1) may be used in patients that fail on oral agents. In addition, vacuum constriction devices (VCD) are a well-established, noninvasive therapy.

Female sexual dysfunction (FSD) is highly prevalent, age-related, and progressive. It affects 30 to 50% of women. FSD denotes a range of medical problems and is categorized according to disorders of (1) desire, (2) arousal, (3) orgasm and (4) sexual pain, and symptoms include diminished vaginal lubrication, pain and

discomfort with intercourse, decreased arousal, and difficulty achieving orgasm. On a molecular level, vasoactive intestinal peptide (VIP), nitric oxide (NO), and sex hormones such as estrogens and androgens have been suggested to be important in female sexual function. Current treatment approaches include estrogen replacement therapy, methyl testosterone, PDE5 inhibitors such as sildenafil, the NO-donor L-arginine, prostaglandin E₁, phentolamine, and the dopamine agonists apomorphine.

The medicament according to the present invention may be in any form suitable for the application to humans and/or animals, preferably humans including infants, children and adults and can be produced by standard procedures known to those skilled in the art. The medicament can be produced by standard procedures known to those skilled in the art, e.g. from the table of contents of "Pharmaceutics: The Science of Dosage Forms", Second Edition, Aulton, M.E. (Ed. Churchill Livingstone, Edinburgh (2002); "Encyclopedia of Pharmaceutical Technology", Second Edition, Swarbrick, J. and Boylan J.C. (Eds.), Marcel Dekker, Inc. New York (2002); "Modern Pharmaceutics", Fourth Edition, Banker G.S. and Rhodes C.T. (Eds.) Marcel Dekker, Inc. New York 2002 y "The Theory and Practice of Industrial Pharmacy", Lachman L., Lieberman H. And Kanig J. (Eds.), Lea & Febiger, Philadelphia (1986). The respective descriptions are hereby incorporated by reference and form part of the disclosure. The composition of the medicament may vary depending on the route of administration.

The medicament of the present invention may for example be administered parentally in combination with conventional injectable liquid carriers, such as water or suitable alcohols. Conventional pharmaceutical excipients for injection, such as stabilising agents, solubilizing agents, and buffers, may be included in such injectable compositions. These medicaments may for example be injected intramuscularly, intraperitoneally, or intravenously.

Medicaments according to the present invention may also be formulated into orally administrable compositions containing one or more physiologically compatible carriers or excipients, in solid or liquid form. These compositions may contain conventional ingredients such as binding agents, fillers, lubricants, and acceptable wetting agents. The compositions may take any convenient form, such as tablets,

pellets, granules, capsules, lozenges, aqueous or oily solutions, suspensions, emulsions, or dry powdered forms suitable for reconstitution with water or other suitable liquid medium before use, for immediate or retarded release. The multiparticulate forms, such as pellets or granules, may e.g. be filled into a capsule, compressed into tablets or suspended in a suitable liquid.

Suitable controlled release formulations, materials and methods for their preparation are known from the prior art, e.g. from the table of contents of "Modified-Release Drug Delivery Technology", Rathbone, M.J. Hadgraft, J. and Roberts, M.S. (Eds.), Marcel Dekker, Inc., New York (2002); "Handbook of Pharmaceutical Controlled Release Technology", Wise, D.L. (Ed.), Marcel Dekker, Inc. New York, (2000); "Controlled Drug Delivery", Vol. I, Basic Concepts, Bruck, S.D. (Ed.), CRD Press Inc., Boca Raton (1983) y de Takada, K. and Yoshikawa, H., "Oral Drug Delivery", Encyclopedia of Controlled Drug Delivery, Mathiowitz, E. (Ed.), John Wiley & Sons, Inc., New York (1999), Vol. 2, 728-742; Fix, J., "Oral drug delivery, small intestine and colon", Encyclopedia of Controlled Drug Delivery, Mathiowitz, E. (Ed.), John Wiley & Sons, Inc., New York (1999), Vol. 2, 698-728. The respective descriptions are hereby incorporated by reference and form part of the disclosure.

Medicaments according to the present invention may also comprise an enteric coating, so that their dissolution is dependent on pH-value. Due to said coating the medicament can pass the stomach undissolved and the respective prodrugs of pyrazoline compound of general formula I is liberated in the intestinal tract. Preferably the enteric coating is soluble at a pH value of 5 to 7.5. Suitable materials and methods for the preparation are known from the prior art.

Typically, the medicaments according to the present invention may contain 1-60 % by weight of one or more prodrugs of pyrazoline compounds as defined herein and 40-99 % by weight of one or more auxiliary substances (additives).

The liquid oral forms for administration may also contain certain additives such as sweeteners, flavoring, preservatives, and emulsifying agents. Non-aqueous liquid

compositions for oral administration may also be formulated, containing edible oils. Such liquid compositions may be conveniently encapsulated in e.g., gelatin capsules in a unit dosage amount.

- 5 The compositions of the present invention may also be administered topically or via a suppository.

The daily dosage for humans and animals may vary depending on factors that have their basis in the respective species or other factors, such as age, sex, weight or
10 degree of illness and so forth. The daily dosage for humans may preferably be in the range from 1 to 2000, preferably 1 to 1500, more preferably 1 to 1000, even more preferably 1 to 150 milligrams of active substance to be administered during one or several intakes per day.

Pharmacological Methods

I. In-vitro determination of affinity to CB₁/CB₂-Receptors

5 a)

The in-vitro determination of the affinity of the inventive 4-substituted pyrazoline compounds to CB₁/CB₂-Rezeptors is carried out as described in the publication of Ruth A. Ross, Heather C. Brockie et al., "Agonist-inverse agonist characterisation at CB₁ and CB₂ cannabinoid receptors of L-759633, L759656 and AM630", British Journal of Pharmacology, 126, 665-672, (1999), whereby
10 the transfected human CB₁ and CB₂ receptors of Receptor Biology, Inc. are used. The radioligand used for both receptors is [³H]-CP55940. The respective parts of the description is hereby incorporated by reference and forms part of the present disclosure.

15

b)

Rat cerebellum CB₁ binding

Binding affinity to CB₁ receptor was evaluated according to a modification of the method described by Govaerts et al., Eur J Pharmac Sci 23, 233-243 (2004). The
20 respective parts of the description is hereby incorporated by reference and forms part of the present disclosure.

25

Briefly, cerebellum from male wistar rats (250-300g) were carefully dissected on ice and homogenates were prepared with Potter-Helveheim in a cold 50 mM Tris-HCl solution containing 5 mM MgCl₂, 1 mM EDTA and 0.25 M sucrose, pH 7.4. The
25 suspension was centrifuged at 1,000 x g for 5 minutes. The supernatants were collected and centrifuged 50,000 x g for 15 minutes. The resulting pellets were then resuspended in Tris-HCl buffer without sucrose, homogenized and incubated for 15 min at 37°C in an orbital shaker bath and centrifuged again at 50,000 x g for 15 min.
30 Pellets were weighted, resuspended in Tris-HCl buffer without sucrose, homogenized with Ultraturrax at 13,500 rpm for 3 x 5 seconds and alicuoted in 0.9 ml volumes in Eppendorf tubes. Alicuotes were centrifuged at 20,800 x g for 5 minutes, supernatants discarded and pellets were frozen at -80°C until use. Total protein concentration was determined using the Bio-Rad Lowry method based kit.

Competitive binding experiments were performed in presence of 1 nM [³H]-CP 55,940 in siliconized glass tubes containing 100 µg protein/tube resuspended in 1ml final volume of 50 mM Tris-HCl, 5 mM MgCl₂, 1 mM EDTA, 0.5% (w/v) bovine serum albumin, pH 7.4. Compounds were present at various concentrations and the non specific binding was determined in the presence of 10 µM HU-210. After 1 hour incubation at 30°C, the suspension was rapidly filtered through 0.5% PEI pre-treated GF/B fiber filters on a 96-well harvester and washed 3 times with 3 ml ice-cold binding buffer without bovine serum albumin. Radioactivity on filters was measured with Wallac Winspectral 1414 counter by liquid scintillation in 6 ml Ecoscint H (National Diagnostics, U.K.). Assays were made in triplicates.

Binding data were analyzed by non-linear regression with the software GraphPad Prism Version 3.03.

II. In-vivo bioassay system for determination of cannabinoid activity

Mouse tetrad model

Substances with affinity for cannabinoid receptors are known to produce a wide range of pharmacological effects. It is also known that intravenous administration of a substance with affinity for cannabinoid receptors in mice produces analgesia , hypothermia, sedation and catalepsy. Individually, none of these effects can be considered as proof that a tested substance has affinity for cannabinoid-receptors, since all of these effects are common for various classes of centrally active agents. However, substances, which show all of these effects, i.e. substances that are active in this so-called tetrad model are considered to have affinity for the cannabinoid receptors. It has further been shown that cannabinoid receptor antagonists are highly effective in blocking the effects of a cannabinoid agonist in the mouse tetrad model.

The tetrad model is described, for example, in the publication of A. C. Howlett et al, International Union of Pharmacology XXVII. Classification of Cannabinoid Receptors, Pharmacol Rev 54, 161-202 , 2002 and David R. Compton et al., „In-vivo Characterization of a Specific Cannabinoid Receptor Antagonist (SR141716A)

:Inhibition of Tetrahydrocannabinol- induced Responses and Apparent Agonist Activity", J. Pharmacol. Exp. Ther. 277 , 2, 586-594, 1996. The corresponding parts of the descriptions are hereby incorporated by reference.

5 **Material and Methods**

Male NMRI mice with a weight of 20-30 g (Harlan, Barcelona, Spain) are used in all of the following experiments.

10 Before testing in the behavioural procedures given below, mice are acclimatised to the experimental setting. Pre-treatment control values are determined for analgesia hot plate latency (in seconds), rectal temperature, sedation and catalepsy.

In order to determine the agonistic activity of the substance to be tested, the mice are
15 injected intravenously with the substance to be tested or the vehicle alone. 15 minutes after injection, latency in hot plate analgesia is measured.
Rectal temperature, sedation and catalepsy are measured 20 minutes after injection.

In order to determine the antagonistic activity the identical procedure is used as for
20 the determination of the agonistic effects, but with the difference that the substance to be evaluated for its antagonistic activity is injected 5 minutes before the intravenous injection of 1.25 mg/kg Win-55,212 a known cannabinoid-receptor agonist.

25 **Hot plate analgesia**

The hot plate analgesia is determined according to the method described in Woolfe D. et al. „The evaluation of analgesic action of pethidine hydrochloride (Demerol)", J. Pharmacol. Exp. Ther. 80, 300-307, 1944. The respective description is hereby
30 incorporated by reference and forms part of the present disclosure.

The mice are placed on a hot plate (Harvard Analgesimeter) at 55 ± 0.5 °C until they show a painful sensation by licking their paws or jumping and the time for these sensations to occur is recorded. This reading is considered the basal value (B). The

maximum time limit the mice are allowed to remain on the hot plate in absence of any painful response is 40 seconds in order to prevent skin damage. This period is called the cut-off time (PC).

- 5 Fifteen minutes after the administration of the substance to be tested, the mice are again placed on the hot plate and the afore described procedure is repeated. This period is called the post-treatment reading (PT).

The degree of analgesia is calculated from the formula :

10

$$\% \text{ MPE of Analgesia} = (PT - B) / (PC - B) \times 100$$

MPE = Maximum possible effect.

15 **Determination of sedation and ataxia**

Sedation and ataxia is determined according to the method described in Desmet L. K. C. et al. „Anticonvulsive properties of Cinarizine and Flunarizine in Rats and Mice“, *Arzneim. -Forsch. (Frug Res)* 25, 9, 1975. The respective description is hereby
20 incorporated by reference and forms part of the present disclosure.

The chosen scoring system is

0: no ataxia;

25 1: doubtful;

2: obvious calmness and quiet;

3 pronounced ataxia;

prior to as well as after treatment.

30

The percentage of sedation is determined according to the formula:

$$\% \text{ of sedation} = \text{arithmetic mean} / 3 \times 100$$

Hypothermia:

Hypothermia is determined according to the method described in David R. Compton et al. „In-vivo Characterization of a Specific Cannabinoid Receptor Antagonist (SR141716A) Inhibition of Tetrahydrocannabinol- induced Responses and Apparent Agonist Activity“, J. Pharmacol Exp Ther. 277 , 2, 586-594, 1996. The respective description is hereby incorporated by reference and forms part of the present disclosure.

The base-line rectal temperatures are determined with a thermometer (Yello Springs Instruments Co., Panlabs) and a thermistor probe inserted to 25mm before the administration of the substance to be tested. Rectal temperature is again measured 20 minutes after the administration of the substances to be tested. The temperature difference is calculated for each animal, whereby differences of ≥ 2 °C are considered to represent activity.

Catalepsy:

Catalepsy is determined according to the method described in Alpermann H. G. et al. „Pharmacological effects of Hoe 249: A new potential antidepressant“, Drugs Dev. Res. 25, 267-282. 1992. The respective description is hereby incorporated by reference and forms part of the present disclosure.

The cataleptic effect of the substance to be tested is evaluated according to the duration of catalepsy, whereby the animals are placed head downwards with their kinlegs upon the top of the wooden block.

The chosen scoring system is:

Catalepsy for:
more than 60 seconds = 6; 50 -60 seconds = 5, 40-50 seconds = 4, 30-40 seconds = 3, 20-30 seconds = 2, 5-10 seconds = 1, and less than 5 seconds =0.

The percentage of catalepsy is determined according to the following formula:

% Catalepsy = arithmetic mean / 6 X 100

5 **III. In vivo testing for antiobesic activity**

a) Accute Treatment

10 Normally handled rats were habituated to a reversed cycle 12/12h, and the tested compound as well as saline was acutely orally administered. After administration the cumulated food intake (g) was measured at 6 h and 24 h. Following that the difference in body weight between control and compound treated animals was measured. This is a variation of the test according to Colombo et al. as described below.

15

b) Long-term Treatment

The in-vivo testing for antiobesic activity of the inventive pyrazoline compounds is carried out as described in the publication of G. Colombo et al., „Appetite Suppression and Weight Loss after the Cannabinoid Antagonist SR 141716“; Life Sciences, 63 (8), 113-117, (1998). The respective part of the description is hereby
20 incorporated by reference and forms part of the present disclosure.

IV. In vivo testing for antidepressant activity

25 The in-vivo testing for antidepressant activity of the inventive pyrazoline compounds in the water despair test is carried out as described in the publication of E.T. Tzavara et al., „The CB1 receptor antagonist SR141716A selectively increases monoaminergic neurotransmission in the medial prefrontal cortex: implications for therapeutic actions“; Br. J. Pharmacol. 2003, 138(4):544:53. The respective part of
30 the description is hereby incorporated by reference and forms part of the present disclosure.

The present invention is illustrated below with the aid of examples. These illustrations are given solely by way of example and do not limit the general spirit of the present invention.

5 **V. In vitro determination of antagonism to CB1-receptor**

Membrane preparation:

Chinese hamster ovary (CHO) cells stably expressing recombinant human cannabinoid 1 receptor (CB1) were cultured in nutrient mixture Ham's F 12
10 supplemented with 10 % heat-inactivated fetal bovine serum, 2 mM L-glutamine, 50 U/ml penicillin, 50 U/ml streptomycin and 0.5 mg/ml geneticin. In order to obtain cells, culture flasks were washed twice with phosphate buffered saline and scraped. Then, cells were collected by centrifugation (200 x g, 10 min) and stored dry at -80°C. Cells were homogenized in ice-cold 20 mM HEPES, 10 mM EDTA (pH 7.5) and
15 centrifuged at 40,000 x g for 15 min at 4°C. The pellet was resuspended in 20 mM HEPES, 0.1 mM EDTA (pH 7.5) and centrifuged for 15 min at 4°C. The final pellet was resuspended in 20 mM HEPES, 0.1 mM EDTA (pH 7.5), and divided in aliquots and stored at -80°C until use.

20 **[³⁵S]GTP γ S binding assay:**

The reaction was performed in 96-well plates. Membranes (15 μ g protein/well) were incubated for 60 min at 30 °C in buffer (50 mM HEPES, 100 mM KCl, 5 mM MgCl₂, 1 mM EDTA, 0.1 % wt/vol bovine serum albumin, 5 μ M GDP, saponin (10 μ g/ml), 0.5 nM [³⁵S]GTP γ S, pH 7.4) with compound at 1 μ M final concentration in either the
25 absence or presence of dose response curve of agonist WIN 55,212-2 between 3 nM and 3 μ M. The incubation was terminated by rapid filtration through Millipore Multiscreen glass fiber FB, and rinsed two-times with ice-cold assay buffer. Filter plates were dried and 30 μ l of scintillation liquid was added. Radioactivity was determined using Wallac Microbeta Trilux. Each experiment was performed at least
30 in duplicate. A WIN 55,212-2 dose-response either alone or in the presence of Rimonabant (1 μ M) was systematically performed.

Calculations:

The average of basal [³⁵S]GTPγS binding was subtracted from all binding data. In order to compare the antagonism results from one screening campaign to another one, the difference between the maximal agonist effect of WIN 55,212-2 alone, and the maximal antagonism effect due to WIN 55,212-2 plus Rimonabant (1 μM) was defined as 100 %.

Further methods:

Alcohol Intake

The following protocol may be used to evaluate the effects of alcohol intake in alcohol preferring (P) female rats (e.g. bred at Indiana University) with an extensive drinking history. The following reference provides detailed a description of P rats: Lumeng, L, et al., "Different sensitivities to ethanol in alcohol-preferring and-nonpreferring rats," *Pharmacol, Biochem Behav.*, 16, 125-130 (1982).

Female rats are given 2 hours of access to alcohol (10% v/v and water, 2-bottle choice) daily at the onset of the dark cycle. The rats are maintained on a reverse cycle to facilitate experimenter interactions. The animals are initially assigned to four groups equated for alcohol intakes: Group 1-vehicle; Group 2-positive control (e. g. 5.6 mg/kg AM251; Group3-low dose test compound; and Group 4-high dose of test compound. Test compounds are generally mixed into a vehicle of 30% (w/v) - cyclodextrin in distilled water at a volume of 1-2 ml/kg. Vehicle injections are given to all groups for the first two days of the experiment. This is followed by 2 days of drug injections (to the appropriate groups) and a final day of vehicle injections. On the drug injection days, drugs are given sc 30 minutes prior to a 2-hour alcohol access period. Alcohol intake for all animals is measured during the test period and a comparison is made between drug and vehicle-treated animals to determine effects of the compounds on alcohol drinking behavior.

Additional drinking studies can be done utilizing female C57Bl/6 mice (Charles River). Several studies have shown that this strain of mice will readily consume alcohol with little to no manipulation required (Middaugh et al., "Ethanol Consumption by C57BU6 Mice : Influence of Gender and Procedural Variables" *Alcohol*, 17

(3), 175-183, 1999; Le et al., "Alcohol Consumption by C57BL/6, BALB/c, and DBA/2 Mice in a Limited Access Paradigm" *Pharmacology Biochemistry and Behavior*, 47, 375-378, 1994).

5 For example, upon arrival mice are individually housed and given unlimited access to powdered rat chow, water and a 10 % (w/v) alcohol solution. After 2-3 weeks of unlimited access, water is restricted for 20 hours and alcohol is restricted to only 2 hours access daily. This is done in a manner that the access period was the last 2 hours of the dark part of the light cycle.

10

Once drinking behavior is stabilized, testing can commence. Mice are considered stable when the average alcohol consumption for 3 days is 20% of the average for all 3 days. Day 1 of test consists of all mice receiving vehicle injection (sc or ip). Thirty to 120 minutes post injection access is given to alcohol and water. Alcohol consumption

15 for that day is calculated (g/kg) and groups are assigned so that all groups have equivocal alcohol intake. On day 2 and 3, mice are injected with vehicle or drug and the same protocol as the previous day is followed. Day 4 is wash out and no injections are given. Data is analyzed using repeated measures ANOVA. Change in water or alcohol consumption is compared back to vehicle for each day of the test.

20

Positive results would be interpreted as a compound that was able to significantly reduce alcohol consumption while having no effect on water

Oxygen Consumption Methods:

25

Whole body oxygen consumption is measured using an indirect calorimeter (Oxymax from Columbus Instruments, Columbus, OH) in male Sprague Dawley rats (if another rat strain or female rats are used, it will be specified). Rats (e.g. 300-380 g body weight) are placed in the calorimeter chambers and the chambers are placed in activity monitors. These studies are done during the light cycle. Prior to the

30 measurement of oxygen consumption, the rats are fed standard chow ad libitum.

During the measurement of oxygen consumption, food is not available. Basal pre-dose oxygen consumption and ambulatory activity are measured every 10 minutes for 2.5 to 3 hours. At the end of the basal pre-dosing period, the chambers are opened and the animals are administered a single dose of compound (the usual dose

range is 0.001 to 10 mg/kg) by oral gavage (or other route of administration as specified, i. e. , sc, ip, iv). Drugs are prepared in methylcellulose, water or other specified vehicle (examples include PEG400, 30% beta-cyclo dextran and propylene glycol). Oxygen consumption and ambulatory activity are measured every 10 minutes
5 for an additional 1-6 hours post-dosing.

The Oxymax calorimeter software calculates the oxygen consumption (ml/kg/h) based on the flow rate of air through the chambers and difference in oxygen content at inlet and output ports. The activity monitors have 15 infrared light beams spaced
10 one inch apart on each axis, ambulatory activity is recorded when two consecutive beams are broken and the results are recorded as counts.

Resting oxygen consumption, during pre-and post-dosing, is calculated by averaging the 10-min⁰² consumption values, excluding periods of high ambulatory activity
15 (ambulatory activity count > 100) and excluding the first 5 values of the pre-dose period and the first value from the post-dose period. Change in oxygen consumption is reported as percent and is calculated by dividing the post-dosing resting oxygen consumption by the pre-dose oxygen consumption *100. Experiments will typically be done with n = 4-6 rats and results reported are mean +/-SEM.

20 Interpretation :

An increase in oxygen consumption of > 10% is considered a positive result. Historically, vehicle-treated rats have no change in oxygen consumption from pre-dose basal.

25 Nicotine Dependence

An intravenous nicotine self-administration model or place preference model may be used to assess the effects of a test compound on nicotine dependence (see, e.g.,
30 Vastola, et al. Physiol. Behav. 77:107-114, 2002; Brower, et al., Brain Res. 930:12-20, 2002).

Place Preference

Sprague-Dawley rats are used in this study (Vastola, et al., 2002). Animals are housed in a temperature-controlled, 12h/12h illumination cycle with ad libitum access to food and water. Conditioning and testing are conducted in a chamber divided into two compartments with a door separating the two compartments. Behavior of the animals is recorded by video camera.

Animals are habituated to the injection procedure for several days. The animals are then placed into the test chamber and given free access to both compartments. The initial preference for a particular compartment is determined. For the conditioning trials, animals are injected with nicotine and restricted to the nonpreferred compartment, or the animals are injected with saline and restricted to the preferred compartment. On test day, the door separating the compartments is removed, the animal is placed in the center of the chamber and allowed to move freely between compartments. Time spent in each compartment is scored. Preferential occupancy of the nicotine compartment follows from the conditioned reinforcing effects of nicotine.

Self-administration

Self-administration in animals is a predictor of a compound's abuse potential in humans. Modifications to this procedure may also be used to identify compounds that prevent or block the reinforcing properties of drugs that have abuse potential. A compound that extinguishes the self-administration of a drug may prevent that drug's abuse or its dependence.

Sprague-Dawley rats are used in this study. Initially, animals are housed in a temperature-controlled, 12h/12h illumination cycle with ad libitum access to food and water. The animals are then implanted with jugular catheters which exit through the animal's back, and each animal is placed in an individual operant chamber (Brower, et al., 2002). The catheters are connected to a computer-driven syringe pump which is located outside of the chamber. The chamber contains two levers with a green light located above each lever. The light is illuminated when nicotine is available.

In a self-administration test, animals are placed in the operant chambers and the levers are randomly designated as an active and inactive lever. Each response on

the active lever produces an infusion of nicotine. Presses on the inactive lever have no effect, but are also recorded. Animals are then trained to self-administer nicotine over a set period of time by having drag access during each daily session.

Illumination of the chamber house light signals the beginning of the session and the availability of nicotine. When the session ends, the house light is turned off. Initially, a nicotine infusion occurs with every press of the active lever. Once lever-pressing behavior has been established, the number of presses to produce a nicotine infusion is increased. After stable nicotine self-administration is obtained, the effect of a test compound on the nicotine-reinforced behavior may be evaluated. Administration of this test compound prior to the session can either potentiate, extinguish, or produce no change to the self-administering behavior. Tests are conducted every two days, and the order of the administration of the test compound doses is controlled.

ALZHEIMER/DEMENTIA EXPERIMENTS

Morris Water Maze Task

The Morris water maze is a behavioral in vivo test to measure spatial orientation learning and memory through a complex learning task. It is highly suitable for testing compounds that enhance learning and memory. A circular water tank or pool (diameter 2 m, height 0.7 m) is filled with water, and a 10 cm² platform is placed 1-1.5 cm below the water surface at a defined location within the pool. The escape platform is not visible for an animal swimming in the water tank. For the experiment, a rat or mouse is placed into the pool to swim freely.

The animals have the task to localize the submerged platform, and the time and distance required for successful retrieval is measured. Multiple extra-maze cues are provided by the furniture in the room, including desks, computer equipment, a second water tank, the presence of the experimenter, and by a radio on a shelf that is playing softly.

Before administration of the test compound, animals are usually trained in the task 4 times a day for 5 days. Test compounds are administered orally or intraperitoneally on the day of the experiment at a defined time (e.g., 30 minutes before the first swim

test). Control animals are dosed with the corresponding vehicle not containing test compound. Active compounds yield shorter times and distances to localize the platform (i.e., the better the animal remembers the location of the platform, the shorter the distance covered and the faster the platform is reached).

5

The test can also be carried out using transgenic or cognitively impaired animals. Cognitive impairment is induced either by old age or experimentally through brain lesions, such as bilateral lesions of the entorhinal cortex in rats. Such lesions can be induced by intracerebral injections of the excitotoxin ibotenic acid.

10

Object Recognition Task

The object recognition task is used to assess the effects of compounds on the cognitive performance of rodents. A rat is placed in an open field, in which two identical objects are located. The rats inspects both objects during the initial trial of the test. After a certain retention interval (e.g., 24 hours), a second trial is carried out. Here, one of the two objects used in the first trial (the 'familiar' object) and a novel object are placed in the open field, and the inspection time at each of the objects is measured. Good retention is reflected by higher exploration times towards the novel compared with the 'familiar' object.

20

Administration of the putative cognition enhancer prior to the first trial predominantly allows assessment of the effects on acquisition, and on the consolidation processes. Administration of the test compound after the first trial allows to assess the effects on consolidation processes, whereas administration before the second trial allows to measure effects on retrieval processes.

25

Passive Avoidance Task

The passive avoidance task assesses memory performance in rats and mice. The inhibitory avoidance uses an apparatus consisting of a box with two compartments separated by a guillotine door that can be operated by the experimenter. One compartment is illuminated with bright light, and the other compartment is dark. A threshold of 2 cm separates the two compartments when the guillotine door is

30

raised. When the door is open, the illumination in the dark compartment is about 2 lux. The light intensity is about 500 lux at the center of the floor of the light compartment.

5 Two habituation sessions, one shock session, and a retention session are given, separated by inter-session intervals of 24 hours. During the habituation sessions and the retention session, the rat is allowed to explore the apparatus for 300 seconds. The rat is placed in the light compartment, facing the wall opposite to the guillotine door. After an accommodation period of 15 seconds, the guillotine door is opened so
10 that all parts of the apparatus can be visited freely. Rats normally avoid brightly lit areas and will enter the dark compartment within a few seconds.

In the shock session, the guillotine door between the compartments is lowered as soon as the rat has entered the dark compartment with all paws, and a scrambled 1
15 mA footshock is administered for 2 seconds. Then the rat is removed from the apparatus and returned into its home cage. The procedure during the retention session is identical to that of the habituation sessions.

The step-through latency, that is, the first latency of entering the dark compartment
20 (in seconds) during the retention session is an index of the memory performance of the animal: a better retention is assumed if the latency to enter the dark compartment is longer. A test compound is given 30 minutes before the shock session, together with 1 mg/kg scopolamine. Scopolamine impairs the memory performance during the retention session 24 hours later. If the test compound increases the enter latency
25 compared with the scopolamine-treated controls, it is considered to possess cognition enhancing activity. T-maze Spontaneous Alternation Task

The T-maze spontaneous alternation task (TeMCAT) assesses the spatial memory performance in mice. The start arm and the two goal arms of the T-maze are
30 provided with guillotine doors that can be operated manually by the experimenter. A mouse is put into the start arm at the beginning of training. In the first trial, either the left or right goal arm is blocked by lowering the respective guillotine door (forced trial).

After the mouse has been released from the start arm, it will explore the maze, eventually entering the open goal arm, and return to the start position, where it will be confined for 5 seconds, by lowering the guillotine door. Then, the animal can choose freely between the left and right goal arm (all guillotine-doors opened) during 14 additional trials (free choice trials). As soon as a mouse has entered one goal arm, the other arm is closed. The mouse eventually returns to the start arm and is free to visit whichever arm it wants after having been confined to the start arm for 5 seconds. After completion of 14 free choice trials in one session, the animal is removed from the maze.

Out of the 14 trials the alternations in percent are calculated. This percentage and the total time needed to complete the first forced trial and the subsequent 14 free choice trials (in seconds) is analyzed. In addition, cognitive deficits can be induced by injection of scopolamine 30 minutes before the start of the training session. A cognition enhancer, administered before the training session, will at least partially, antagonize the scopolamine-induced reduction in the spontaneous alternation rate.

Depression Model

A forced swim or tail suspension model may be used to assess the efficacy of antidepressant compounds (see , e.g., Porsolt, et al., Nature 266:730-732, 1977; Stem, et al., Psychopharmacology 85:367-370, 1985).

Forced Swim Test

Rats or mice are placed in a cylinder filled with water 23-25 °C from which no escape is possible. Initially, animals struggle and try to escape, but eventually adopt a characteristic immobile posture and make no further attempts to escape except for small movements needed their head above water. Animals are dosed with a compound and the activity (swimming or climbing) or immobility is measured by an observer. The immobility is considered by some to reflect a 'behavioral despair' in which animals cease to struggle to escape the aversive situation. A wide variety of

clinically used antidepressants (TCAs, MAOIs, SSRIs, atypicals) decrease immobility in this test and has a good predictive validity in that it detects antidepressants with different mechanisms of action but its construct validity is weak. At least two distinct active behavioral patterns are produced by pharmacologically selective

antidepressant drugs. Serotonin-selective reuptake inhibitors increase swimming behavior, whereas drugs acting primarily to increase extracellular levels of norepinephrine or dopamine increase climbing behavior. There are false positives (psychostimulants) but relatively few false negatives ([beta]-adrenergic agonists).

The test is sensitive to muscle-relaxant (benzodiazepines) and sedative

(neuroleptics) effects, leading to enhanced immobility. False positives and false negatives can often be screened by measuring if the compound produces locomotor stimulation or sedation.

Tail Suspension Test

When suspended by the tail, mice will initially struggle and try to escape and then alternate between active escape attempts and immobility. In this test, animals are dosed with a compound and the immobility is measured by an observer for 6 min.

Porsolt describes the immobile behavior as 'behavioral despair' which animals cease

to struggle to escape the aversive situation. A large variety of clinically antidepressants (tricyclics, MAOIs, SSRIs, and atypicals) reduce immobility in this model. The test has a good predictive validity for antidepressant activity and works for most antidepressant classes including but has some false positives

(psychostimulants). The test is sensitive to muscle-relaxant (benzodiazepines) and

sedative (neuroleptics) effects, which lead to enhanced immobility. False positives and false negatives can often be screened by measuring if the compound produces locomotor stimulation or sedation. Strain differences in the tail suspension test have been found in mice. The tail suspension test has some face validity but its construct validity is rather weak.

Schizophrenia Model

A prepulse inhibition model may be used to assess the efficacy of antipsychotic compounds (see Swerdlow and Geyer, Schizophrenia Bulletin 24: 285-301, 1998).

Prepulse Inhibition

Prepulse inhibition is the process whereby a relatively mild stimulus, the prepulse, suppresses the response to a strong, startle-eliciting stimulus when the prepulse precedes the startle stimulus by a brief duration (about 10 to 500 milliseconds). Prepulse inhibition is a cross-species phenomenon (ie, it is present in mammals ranging from mice to humans), yet it is relatively absent among schizophrenic patients. The deficit in PPI in schizophrenic patients is thought to reflect the loss of sensorimotor gating that may lead to sensory flooding and cognitive fragmentation. In this test, mice or rats are administered compounds and individually placed into a holder on a transducer platform to measure whole body startle. The holder is housed in a startle chamber with background white noise. Following a brief habituation period, animals are given multiple trials of a weak auditory prepulse stimuli, followed by a strong auditory startle stimulus. Four types of trials are given: prepulse plus startle, prepulse alone, startle alone, and no stimulation. PPI is measured as the amount of inhibition of startle following the prepulse and is expressed as the percentage of basic startle. As a control, measurements are taken in the no stimulation and prepulse alone trials. PPI is considered a test with good predictive, face and construct validity for schizophrenia. Putative antipsychotics can be tested alone to determine if they enhance PPI. Alternately, antipsychotics can be screened to determine if they block various agents that disrupt PPI (apomorphine, d-amphetamine, PCP, ketamine, DOI). Finally, mutant mice with or without drugs can be screened using the PPI procedure.

Anxiety Model

An elevated plus maze model may be used to assess the efficacy of anxiolytic compounds (see Pellow and File, *Pharm. Biochem. Behav.* 24, 525-529, 1986).

Elevated Plus Maze

The elevated plus maze is widely used as an anxiety paradigm that examines the conflict between the drive to explore and the aversiveness of heights and open

spaces of rats or mice. The maze is a cross made up of two open and two closed arms that is raised above the ground. The combination of light, the open arms, and the height is thought to produce unconditioned fear or anxiety responses in mice or rats. The test apparatus is an open top maze constructed of opaque plastic with

alternating open and enclosed arms. For rats, each arm is 45-55 cm long and 8-12 cm wide, with the sides of the enclosed arms 35-45 cm high, the juncture approximately 10 x 10 cm, and the maze is elevated 45-55 cm above the floor. The mouse elevated plus maze consists of two closed arms (15 x 6 x 30 cm) and two open arms (1 x 6 x 30 cm) forming a cross, with a quadrangular center (6 x 6cm).

The maze is placed 50 cm above the floor. Testing is performed in a room free of noise and distraction. On test days animals are administered drug or vehicle. If a pretreatment period is necessary, the animals are returned to the home cage for the duration of the pretreatment time; otherwise, the animals are placed in a clear plastic holding chamber singly or with cage mates for 1-10 minutes prior to test time. Rats are then placed in the center of the maze always oriented in the same direction, either consistently facing an open arm or an enclosed arm. For 5-10 minutes, entries into each arm and the time spent in each arm are recorded by the observer(s) or by videotape or a computer receiving input from a video camera mounted above the maze. To count as an entry, all four paws must be inside the arm. If necessary, additional measures of anxiety-related behaviors will be recorded, i.e., time spent motionless, time spent in the center, time spent grooming, and the number of rears, stretching postures or feces produced. Following testing the animals are returned to the home cages. When animals are placed in the center of the maze, they spend most of their time in the closed arms, avoiding the open arms. Anxiolytic drugs, such as benzodiazepines, will increase the amount of time animals spend in the open arms. The test is also sensitive to anxiogenic drugs, which lends strong support for its predictive validity.

Erectile Dysfunction

Drugs affecting erectile function may be tested by measuring the effect on apomorphine- evoked increases in intracavernous pressure in the awake rat as described by Andersson, et al., (J. Urol. 161 : 1707-17] 2, 1999). One end of a polyethylene tubing is implanted into the cavernosal space of the penis of male

Sprague-Dawley rats. After recovery from the surgery, intracavernous pressure is recorded using a pressure transducer connected to a multichannel pen-recorder. Erections are induced by administration of apomorphine (100-250 ug/kg s.c.) with or without test compound, and the results are compared for the treated group and the non-treated group.

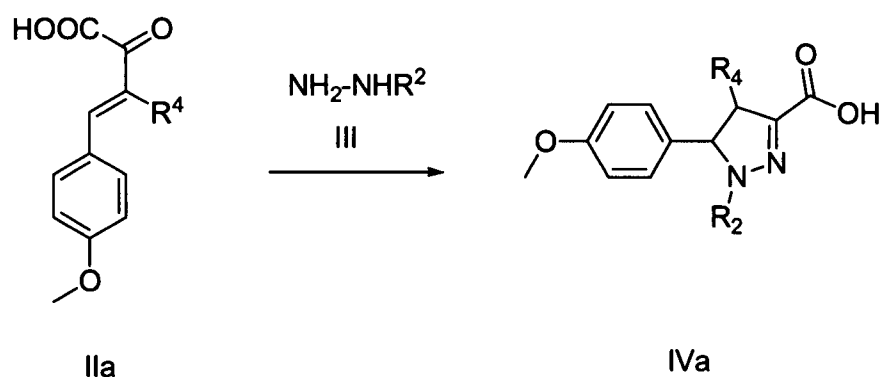
Female Sexual Dysfunction

Systems to test compounds for the treatment of female sexual dysfunction include in vitro and in situ models using vaginal or clitoral smooth muscle preparations, histological evaluation, and vaginal blood flow assessments. In vivo studies of sexual responses focus on behavioral paradigms involving lordotic posturing and receptivity, as well as indices of motivation using a dual chamber pacing method (see, e.g., Hale, et al., Int. J. Impot. Res. 15 Suppl 5: S75-79, 2003).

The present invention is illustrated below with the aid of examples. These illustrations are given solely by way of example and do not limit the general spirit of the present invention.

Examples

Preparation of compounds of general formula IVa



A suspension of a compound of general formula III (1 equivalent) in glacial acetic acid (40 equivalents) was heated at 80 °C under nitrogen atmosphere. When the suspension became a solution, a solution of acid of general formula IIa (1 equivalent)

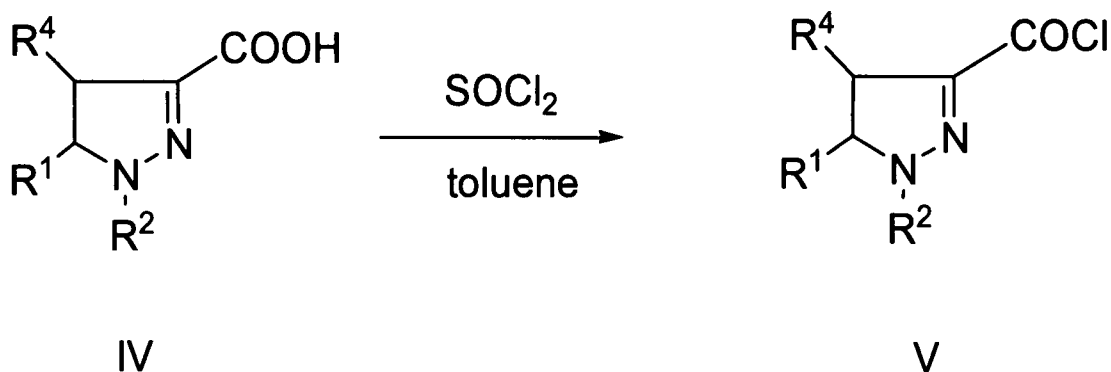
in acetic acid (20 equivalents) was added and the solution was left stirring at 80 °C for 2 h. The reaction mixture was allowed to crystallise at 0 - 4 °C overnight after partial evaporation of acetic acid. The beige solid formed was filtered off under vacuum through a sintered funnel (porosity 4) and washed several times with water.

5 This crystallization process led to the major diastereomeric acid with *cis* configuration. The yield range for the cyclization with hydrazine to obtain the main diastereomer was around 46 – 80%.

The reaction mixture can also be cooled down to room temperature and poured
10 through an addition funnel into water which is cooled in an ice bath with magnetically stirring. The addition must be slow and at least double volume of water per volume of acetic acid is required. A precipitate should form, but in the case a gum starts to form, it should be filtered and the rest of the material should be poured into another large volume of water. The solid obtained is suspended in water several times and
15 filtered off until the pH of the water was above 3. This solid also corresponds to the *cis* form.

Alternatively, the dark mixture can be extracted with dichloromethane washed
thoroughly with H₂O, dried over Na₂SO₄ and evaporated to dryness. Recrystallisation
20 of the crude material from toluene (3 to 4 mL of toluene per gram of material) allows the recovery of the major diastereomer with *cis* configuration.

Preparation of compounds of general formula Va

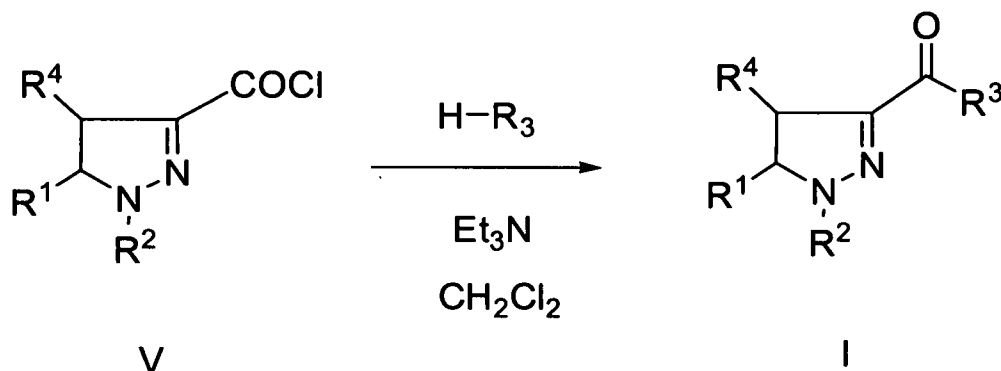


A compound of general formula IVa (15 mmol) was dissolved in 120 mL of dry toluene and thionyl chloride (18 mmol) was added. The mixture was heated to 80 °C

for 2.5 hours. The solvent was removed under reduced pressure and the resulting crude residue was used without any further purification.

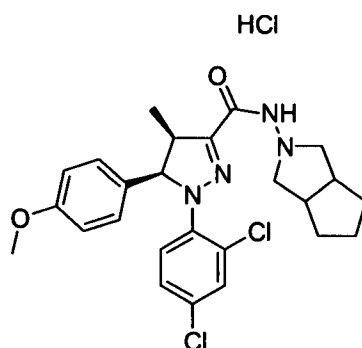
Preparation of compounds of general formula Ic

5



A compound of general formula $\text{R}^3\text{-H}$ (5.6 mmol) and triethylamine (4 mL) were dissolved in dichloromethane (25 mL) under nitrogen atmosphere. The resulting mixture was cooled to 0°C and a solution of a compound of general formula Va (4.6 mmol) in dichloromethane (15 mL) was added dropwise. The resulting reaction mixture was stirred at room temperature (approximately 25 °C) overnight, washed with water, saturated aqueous solution of sodium bicarbonate and again with water, dried over sodium sulfate, filtered and evaporated to dryness in a rotavapor. The residue was crystallized from ethanol, ethyl acetate or acetone. The crystallized solid was removed via filtration and the mother liquors were concentrated to yield a second fraction of crystallized product. The two fractions were combined to give the desired product (yield range: 60-80 %). Alternatively, a solution of 2 N HCl in diethyl ether or 2.8 N in ethanol was added to form the respective hydrochloride, which was collected by filtration.

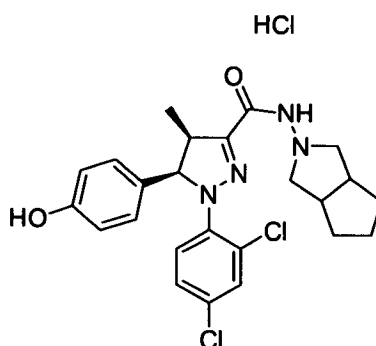
Preparation of compound A: *cis*-1-(2,4-dichlorophenyl)-N-(hexahydrocyclopenta[c]pyrrol-2(1H)-yl)-5-(4-methoxyphenyl)-4-methyl-4,5-dihydro-1H-pyrazole-3-carboxamide hydrochloride



¹H NMR (300 MHz, CHLOROFORM-*d*) δ ppm 0.94 (d, *J*=7.32 Hz, 3 H) 1.78 (m, 6 H) 3.03 (br. S., 2 H) 3.74 (s, 3 H) 3.66 – 3.88 (m, 3 H) 3.99 (br. S., 2 H) 5.86 (d, *J*=11.86 Hz, 1 H) 6.75 (d, *J*=8.50 Hz, 2 H) 6.96 (d, *J*=8.50 Hz, 2 H) 7.12 (dd, *J*=8.64, 2.20 Hz, 1 H) 7.25 (d, *J*=2.20 Hz, 1 H) 7.33 (d, *J*=8.64 Hz, 1 H) 9.58 (br. S., 1 H)
MS (M+H)⁺: 487

Preparation of Compound B: *cis*-1-(2,4-dichlorophenyl)-N-(hexahydrocyclopenta[*c*]pyrrol-2(1H)-yl)-5-(4-hydroxyphenyl)-4-methyl-4,5-dihydro-1H-pyrazole-3-carboxamide hydrochloride

Compound A (0.82 mmol) was dissolved in 10 mL dichloromethane. A solution of 1 M BBr₃ (5 eq.) in dichloromethane was added at 0 °C and the reaction was stirred overnight at ambient temperature. The solid formed was filtered off and the solvent was evaporated. A solution of 2 N HCl/diethyl ether was added to form the hydrochloride.



¹H NMR (300 MHz, METHANOL-*d*₄) δ ppm 0.95 (d, *J*=7.18 Hz, 3 H) 1.65 (br. S., 2 H) 1.79 (br. S., 4 H) 2.95 (br. S., 2 H) 3.11 (dd, *J*=16.48, 10.03 Hz, 2 H) 3.81 (dd, *J*=11.43, 7.18 Hz, 1 H) 4.03 (m, 2 H) 5.90 (d, *J*=11.43 Hz, 1 H) 6.64 (d, *J*=8.06 Hz, 2 H)

H) 6.95 (d, $J=8.06$ Hz, 2 H) 7.20 (m, 1 H) 7.39 (d, $J=2.20$ Hz, 1 H) 7.42 (d, $J=8.79$ Hz, 1 H)

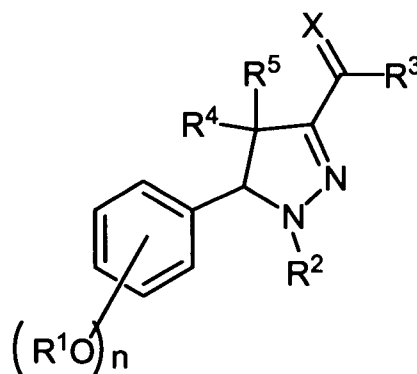
MS (M+H)⁺: 473

- 5 Example compound 1-6 can be prepared according to the methods described above. For example example compound 1 can be prepared from compound B by reaction with di-tert-butyl dicarbonate.

[1]	tert-butyl 4-(1-(2,4-dichlorophenyl)-4-methyl-3-(piperidin-1-ylcarbamoyl)-4,5-dihydro-1H-pyrazol-5-yl)phenyl carbonate
[2]	4-(1-(2,4-dichlorophenyl)-4-methyl-3-(piperidin-1-ylcarbamoyl)-4,5-dihydro-1H-pyrazol-5-yl)phenyl dimethyl phosphate
[3]	methyl 2-(4-(1-(2,4-dichlorophenyl)-4-methyl-3-(piperidin-1-ylcarbamoyl)-4,5-dihydro-1H-pyrazol-5-yl)phenoxy)acetate
[4]	(4-(1-(2,4-dichlorophenyl)-4-methyl-3-(piperidin-1-ylcarbamoyl)-4,5-dihydro-1H-pyrazol-5-yl)phenoxy)methyl pivalate
[5]	4-(1-(2,4-dichlorophenyl)-4-methyl-3-(piperidin-1-ylcarbamoyl)-4,5-dihydro-1H-pyrazol-5-yl)phenyl ethylcarbamate
[6]	tert-butyl 4-(1-(2,4-dichlorophenyl)-3-(hexahydrocyclopenta[c]pyrrol-2(1H)-ylcarbamoyl)-4-methyl-4,5-dihydro-1H-pyrazol-5-yl)phenyl carbonate

Claims:

- 5 1. A prodrug of a pyrazoline compound of general formula I,



I

wherein

10

n is 1, 2 or 3;

X is O or S;

15

R¹ represents -C(=O)-OR²³; -C(=O)-OH; -P(=O)-(OR²⁴)₂; -P(=O)-(OH)₂; -C(=O)-NH₂; -C(=O)-NH-R²⁵; -C(=O)-NR²⁶R²⁷; -C(=O)-H; -C(=O)-R²⁸; -S(=O)-R²⁹; -(CHR³⁶)_m-O-C(=O)-R³⁰ with m being 1, 2 or 3; -(CHR³⁶)_o-O-C(=O)-OR³¹ with o being 1, 2 or 3; -(CHR³⁶)_p-O-P(=O)-(OR³²)₂ with p being 1, 2 or 3; -(CHR³⁶)_q-O-C(=O)-NH-R³³ with q being 1, 2 or 3; -
 20 (CHR³⁶)_r-O-C(=O)-NR³⁴R³⁵ with r being 1, 2 or 3; -S(=O)₂-R³⁷; -C(=S)-OR³⁸ or -C(=S)-R³⁹;

R² represents an unsubstituted or at least mono-substituted aryl or heteroaryl radical, which may be condensed with an unsubstituted or at least mono-substituted mono- or polycyclic ring system;

25

or a saturated or unsaturated, unsubstituted or at least mono-

substituted, optionally at least one heteroatom as a ring member containing cycloaliphatic radical, which may be condensed with an unsubstituted or at least mono-substituted mono- or polycyclic ring system and/or may be bridged by at least one unsubstituted or at least mono-substituted alkylene group;

R^3 an unsubstituted or at least mono-substituted aryl or heteroaryl radical, which may be condensed with an unsubstituted or at least mono-substituted mono- or polycyclic ring system;

a saturated or unsaturated, unsubstituted or at least mono-substituted, optionally at least one heteroatom as a ring member containing cycloaliphatic radical, which may be condensed with an unsubstituted or at least mono-substituted mono- or polycyclic ring system and/or may be bridged by at least one unsubstituted or at least mono-substituted alkylene group;

a saturated or unsaturated, unsubstituted or at least mono-substituted, optionally at least one heteroatom as a ring member containing cycloaliphatic radical which together with a saturated or unsaturated, unsubstituted or at least mono-substituted, optionally at least one heteroatom as a ring member containing cycloaliphatic radical forms a saturated or unsaturated, unsubstituted or at least mono-substituted, optionally at least one heteroatom as a ring member containing spirocyclic residue via a common ring atom;

a $-O-R^6$ moiety; a $-NR^7R^8$ moiety or a $-NR^9-O-R^{10}$ moiety;

R^4 represents H; F; Cl; Br; I; $-CN$; $-NO_2$; $-NC$; $-OH$; $-NH_2$; $-SH$; $-C(=O)-H$; $-C(=O)-OH$; $-C(=O)-OR^{17}$;

a saturated or unsaturated, unsubstituted or at least mono-substituted aliphatic radical;

a saturated or unsaturated, unsubstituted or at least mono-substituted, optionally at least one heteroatom as a ring member containing cycloaliphatic radical, which may be condensed with an unsubstituted or at least mono-substituted mono- or polycyclic ring system and/or may be bonded via an unsubstituted or at least mono-substituted alkylene group, alkenylene group or alkynylene group and/or may be bridged by at least one unsubstituted or at least mono-substituted alkylene group;

an unsubstituted or at least mono-substituted aryl or heteroaryl radical, which may be condensed with an unsubstituted or at least mono-substituted mono- or polycyclic ring system and/or may be bonded via an optionally at least mono-substituted alkylene group, alkenylene group or alkynylene group;

a -O-R¹¹ moiety; a -S-R¹² moiety; a -NH-R¹³ moiety or a -NR¹⁴R¹⁵ moiety;

R⁵ represents H; F; Cl; Br; I; -CN; -NO₂; -NC; -OH; -NH₂; -SH; -C(=O)-H; -C(=O)-OH; -C(=O)-OR¹⁷;

a saturated or unsaturated, unsubstituted or at least mono-substituted aliphatic radical;

a saturated or unsaturated, unsubstituted or at least mono-substituted, optionally at least one heteroatom as a ring member containing cycloaliphatic radical, which may be condensed with an unsubstituted or at least mono-substituted mono- or polycyclic ring system and/or may be bonded via an unsubstituted or at least mono-substituted alkylene group, alkenylene group or alkynylene group and/or may be bridged by at least one unsubstituted or at least mono-substituted alkylene group;

an unsubstituted or at least mono-substituted aryl or heteroaryl radical, which may be condensed with an unsubstituted or at least mono-substituted mono- or polycyclic ring system and/or may be bonded via

an unsubstituted or at least mono-substituted alkylene group,
alkenylene group or alkynylene group;

a -O-R¹¹ moiety; a -S-R¹² moiety; a -NH-R¹³ moiety or a -NR¹⁴R¹⁵
moiety;

or R⁴ and R⁵ together with the bridging carbon atom form a saturated or
unsaturated, unsubstituted or at least mono-substituted, optionally at least one
heteroatom as a ring member containing cycloaliphatic radical;

R⁶ represents a hydrogen atom;

a saturated or unsaturated, unsubstituted or at least mono-substituted
aliphatic radical;

a saturated or unsaturated, unsubstituted or at least mono-substituted,
optionally at least one heteroatom as a ring member containing
cycloaliphatic radical, which may be condensed with an unsubstituted or
at least mono-substituted mono- or polycyclic ring system and/or may
be bonded via an unsubstituted or at least mono-substituted alkylene
group, alkenylene group or alkynylene group and/or may be bridged by
at least one unsubstituted or at least mono-substituted alkylene group;

an unsubstituted or at least mono-substituted aryl or heteroaryl radical,
which may be condensed with an unsubstituted or at least mono-
substituted mono- or polycyclic ring system and/or may be bonded via
an unsubstituted or at least mono-substituted alkylene group,
alkenylene group or alkynylene group;

a -P(=O)(OR¹⁶)₂ moiety; a -C(=O)-OR¹⁷ moiety; a -C(=O)-NH-R¹⁸ moiety
or a -C(=O)-R¹⁹ moiety;

R⁷ and R⁸, independently of one another, in each case represent a hydrogen
atom;

a saturated or unsaturated, unsubstituted or at least mono-substituted aliphatic radical;

5 a saturated or unsaturated, unsubstituted or at least mono-substituted, optionally at least one heteroatom as a ring member containing cycloaliphatic radical, which may be condensed with an unsubstituted or at least mono-substituted mono- or polycyclic ring system and/or may be bonded via an unsubstituted or at least mono-substituted alkylene group, alkenylene group or alkynylene group and/or may be bridged by
10 at least one unsubstituted or at least mono-substituted alkylene group;

a saturated or unsaturated, unsubstituted or at least mono-substituted, optionally at least one heteroatom as a ring member containing
15 cycloaliphatic radical which together with a saturated or unsaturated, unsubstituted or at least mono-substituted, optionally at least one heteroatom as a ring member containing cycloaliphatic radical forms a saturated or unsaturated, unsubstituted or at least mono-substituted, optionally at least one heteroatom as a ring member containing
20 spirocyclic residue via a common ring atom;

an unsubstituted or at least mono-substituted aryl or heteroaryl radical, which may be condensed with an unsubstituted or at least mono-substituted mono- or polycyclic ring system and/or may be bonded via
25 an unsubstituted or at least mono-substituted alkylene group, alkenylene group or alkynylene group;

a $-P(=O)(OR^{16})_2$ moiety; a $-C(=O)-OR^{17}$ moiety; a $-C(=O)-NH-R^{18}$ moiety; a $-C(=O)-R^{19}$ moiety; a $-S(=O)_2-R^{20}$ moiety; or a $-NR^{21}R^{22}$
30 moiety;

R^9 represents hydrogen or a saturated or unsaturated, unsubstituted or at least mono-substituted aliphatic radical;

R^{10} , R^{11} , R^{12} , R^{13} , R^{14} , R^{15} and R^{20} , independently of one another, in each case represent a saturated or unsaturated, unsubstituted or at least mono-substituted aliphatic radical;

5 a saturated or unsaturated, unsubstituted or at least mono-substituted, optionally at least one heteroatom as a ring member containing cycloaliphatic radical, which may be condensed with an unsubstituted or at least mono-substituted mono- or polycyclic ring system and/or may be bonded via an unsubstituted or at least mono-substituted alkylene group, alkenylene group or alkynylene group and/or may be bridged by
10 at least one unsubstituted or at least mono-substituted alkylene group;

or an unsubstituted or at least mono-substituted aryl or heteroaryl radical, which may be condensed with an unsubstituted or at least
15 mono-substituted mono- or polycyclic ring system and/or may be bonded via an unsubstituted or at least mono-substituted alkylene group, alkenylene group or alkynylene group;

R^{16} , R^{17} , R^{18} , R^{19} , R^{23} , R^{24} , R^{25} , R^{26} , R^{27} , R^{28} , R^{29} , R^{30} , R^{31} , R^{32} , R^{33} , R^{34} , R^{35} ,
20 R^{37} and R^{38} , independently of one another, in each case represent a saturated or unsaturated, unsubstituted or at least mono-substituted aliphatic radical;

or an unsubstituted or at least mono-substituted aryl or heteroaryl radical, which may be condensed with an unsubstituted or at least
25 mono-substituted mono- or polycyclic ring system and/or may be bonded via an unsubstituted or at least mono-substituted alkylene group, alkenylene group or alkynylene group;

30 R^{21} and R^{22} , independently of one another, in each case represent a hydrogen atom;

a saturated or unsaturated, unsubstituted or at least mono-substituted aliphatic radical;

a saturated or unsaturated, unsubstituted or at least mono-substituted, optionally at least one heteroatom as a ring member containing cycloaliphatic radical, which may be condensed with an unsubstituted or at least mono-substituted mono- or polycyclic ring system and/or may be bonded via an unsubstituted or at least mono-substituted alkylene group, alkenylene group or alkynylene group;

a saturated or unsaturated, unsubstituted or at least mono-substituted, optionally at least one heteroatom as a ring member containing cycloaliphatic radical which together with a saturated or unsaturated, unsubstituted or at least mono-substituted, optionally at least one heteroatom as a ring member containing cycloaliphatic radical forms a saturated or unsaturated, unsubstituted or at least mono-substituted, optionally at least one heteroatom as a ring member containing spirocyclic residue via a common ring atom;

or an unsubstituted or at least mono-substituted aryl or heteroaryl radical, which may be condensed with an unsubstituted or at least mono-substituted mono- or polycyclic ring system and/or may be bonded via an unsubstituted or at least mono-substituted alkylene group, alkenylene group or alkynylene group;

and R^{36} represents a hydrogen atom or a saturated or unsaturated, unsubstituted or at least mono-substituted aliphatic radical;

optionally in form of one of its stereoisomers, preferably enantiomers or diastereomers, a racemate or in form of a mixture of at least two of its stereoisomers, preferably enantiomers and/or diastereomers, in any mixing ratio, or a corresponding N-oxide thereof, or a physiologically acceptable salt thereof, or a corresponding solvate thereof.

2. A compound according to claim 1, characterized in that

n is 1, 2 or 3;

5 X is O or S;

10 R¹ represents -C(=O)-OR²³; -C(=O)-OH; -P(=O)-(OR²⁴)₂; -P(=O)-(OH)₂; -C(=O)-NH₂; -C(=O)-NH-R²⁵; -C(=O)-NR²⁶R²⁷; -C(=O)-H; -C(=O)-R²⁸; -S(=O)-R²⁹; -(CHR³⁶)_m-O-C(=O)-R³⁰ with m being 1, 2 or 3; -(CHR³⁶)_o-O-C(=O)-OR³¹ with o being 1, 2 or 3; -(CHR³⁶)_p-O-P(=O)-(OR³²)₂ with p being 1, 2 or 3; -(CHR³⁶)_q-O-C(=O)-NH-R³³ with q being 1, 2 or 3; or -(CHR³⁶)_r-O-C(=O)-NR³⁴R³⁵ with r being 1, 2 or 3; -S(=O)₂-R³⁷; -C(=S)-OR³⁸ or -C(=S)-R³⁹;

15 R² represents an unsubstituted or at least mono-substituted 6- or 10-membered aryl radical, which may be condensed with an unsubstituted or at least mono-substituted mono- or polycyclic ring system;

20 an unsubstituted or at least mono-substituted 5- to 14-membered heteroaryl radical, which may be condensed with an unsubstituted or at least mono-substituted mono- or polycyclic ring system;

25 an unsubstituted or at least mono-substituted C₃₋₁₆ cycloalkyl radical or C₄₋₁₆ cycloalkenyl radical, which in each case may be condensed with an unsubstituted or at least mono-substituted mono- or polycyclic ring system and/or may be bridged by at least one unsubstituted or at least mono-substituted C₁₋₅ alkylene group;

30 or an unsubstituted or at least mono-substituted C₄₋₁₆ heterocycloalkyl radical or C₅₋₁₆ heterocycloalkenyl radical, which in each case may be condensed with an unsubstituted or at least mono-substituted mono- or polycyclic ring system and/or may be bridged by at least one unsubstituted or at least mono-substituted C₁₋₅ alkylene group;

R^3 represents an unsubstituted or at least mono-substituted 6- or 10-membered aryl radical, which may be condensed with an unsubstituted or at least mono-substituted mono- or polycyclic ring system;

an unsubstituted or at least mono-substituted 5- to 14-membered heteroaryl radical, which may be condensed with an unsubstituted or at least mono-substituted mono- or polycyclic ring system;

an unsubstituted or at least mono-substituted C_{3-16} cycloalkyl radical or C_{4-16} cycloalkenyl radical, which in each case may be condensed with an unsubstituted or at least mono-substituted mono- or polycyclic ring system and/or may be bridged by at least one unsubstituted or at least mono-substituted C_{1-5} alkylene group;

an unsubstituted or at least mono-substituted C_{4-16} heterocycloalkyl radical or C_{5-16} heterocycloalkenyl radical, which in each case may be condensed with an unsubstituted or at least mono-substituted mono- or polycyclic ring system and/or may be bridged by at least one unsubstituted or at least mono-substituted C_{1-5} alkylene group;

an unsubstituted or at least mono-substituted C_{3-16} cycloalkyl radical, C_{4-16} cycloalkenyl radical, C_{4-16} heterocycloalkyl radical or C_{5-16} heterocycloalkenyl radical which together with an unsubstituted or at least mono-substituted C_{3-16} cycloalkyl radical, C_{4-16} cycloalkenyl radical, C_{4-16} heterocycloalkyl radical or C_{5-16} heterocycloalkenyl radical forms an unsubstituted or at least mono-substituted spirocyclic residue via a common ring atom;

a $-O-R^6$ moiety; a $-NR^7R^8$ moiety or a $-NR^9-O-R^{10}$ moiety;

R^4 represents H; F; Cl; Br; I; -CN; -NO₂; -NC; -OH; -NH₂; -SH; -C(=O)-H; -C(=O)-OH; -C(=O)-OR¹⁷;

an unsubstituted or at least mono-substituted C₁₋₁₆ alkyl radical,
C₂₋₁₆ alkenyl radical or C₂₋₁₆ alkynyl radical;

an unsubstituted or at least mono-substituted C₃₋₁₆ cycloalkyl radical or
C₄₋₁₆ cycloalkenyl radical, which in each case may be condensed with
an unsubstituted or at least mono-substituted mono- or polycyclic ring
system and/or may be bonded via an unsubstituted or at least mono-
substituted C₁₋₅ alkylene group, C₂₋₅ alkenylene group or C₂₋₅ alkynylene
group and/or may be bridged by at least one unsubstituted or at least
mono-substituted C₁₋₅ alkylene group;

an unsubstituted or at least mono-substituted C₄₋₁₆ heterocycloalkyl
radical or C₅₋₁₆ heterocycloalkenyl radical, which in each case may be
condensed with an unsubstituted or at least mono-substituted mono- or
polycyclic ring system and/or may be bonded via an unsubstituted or at
least mono-substituted C₁₋₅ alkylene group, C₂₋₅ alkenylene group or C₂₋₅
alkynylene group and/or may be bridged by at least one unsubstituted or
at least mono-substituted C₁₋₅ alkylene group;

an unsubstituted or at least mono-substituted 6- or 10-membered aryl
radical, which may be condensed with an unsubstituted or at least
mono-substituted mono- or polycyclic ring system and/or may be
bonded via an unsubstituted or at least mono-substituted C₁₋₅ alkylene
group, C₂₋₅ alkenylene group or C₂₋₅ alkynylene group;

or an unsubstituted or at least mono-substituted 5- to 14-membered
heteroaryl radical, which may be condensed with an unsubstituted or at
least mono-substituted mono- or polycyclic ring system and/or may be
bonded via an unsubstituted or at least mono-substituted C₁₋₅ alkylene
group, C₂₋₅ alkenylene group or C₂₋₅ alkynylene group;

a -O-R¹¹ moiety; a -S-R¹² moiety; a -NH-R¹³ moiety or a -NR¹⁴R¹⁵
moiety;

R⁵ represents H; F; Cl; Br; I; -CN; -NO₂; -NC; -OH; -NH₂; -SH; -C(=O)-H; -C(=O)-OH; -C(=O)-OR¹⁷;

an unsubstituted or at least mono-substituted C₁₋₁₆ alkyl radical,
C₂₋₁₆ alkenyl radical or C₂₋₁₆ alkynyl radical;

an unsubstituted or at least mono-substituted C₃₋₁₆ cycloalkyl radical or C₄₋₁₆ cycloalkenyl radical, which in each case may be condensed with an unsubstituted or at least mono-substituted mono- or polycyclic ring system and/or may be bonded via an unsubstituted or at least mono-substituted C₁₋₅ alkylene group, C₂₋₅ alkenylene group or C₂₋₅ alkynylene group and/or may be bridged by at least one unsubstituted or at least mono-substituted C₁₋₅ alkylene group;

an unsubstituted or at least mono-substituted C₄₋₁₆ heterocycloalkyl radical or C₅₋₁₆ heterocycloalkenyl radical, which in each case may be condensed with an unsubstituted or at least mono-substituted mono- or polycyclic ring system and/or may be bonded via an unsubstituted or at least mono-substituted C₁₋₅ alkylene group, C₂₋₅ alkenylene group or C₂₋₅ alkynylene group and/or may be bridged by at least one unsubstituted or at least mono-substituted C₁₋₅ alkylene group;

an unsubstituted or at least mono-substituted 6- or 10-membered aryl radical, which may be condensed with an unsubstituted or at least mono-substituted mono- or polycyclic ring system and/or may be bonded via an unsubstituted or at least mono-substituted C₁₋₅ alkylene group, C₂₋₅ alkenylene group or C₂₋₅ alkynylene group;

or an unsubstituted or at least mono-substituted 5- to 14-membered heteroaryl radical, which may be condensed with an unsubstituted or at least mono-substituted mono- or polycyclic ring system and/or may be bonded via an unsubstituted or at least mono-substituted C₁₋₅ alkylene group, C₂₋₅ alkenylene group or C₂₋₅ alkynylene group;

-O-R¹¹ moiety; a -S-R¹² moiety; a -NH-R¹³ moiety or a -NR¹⁴R¹⁵ moiety;

or R⁴ and R⁵ together with the bridging carbon atom form an unsubstituted or at least mono-substituted C₃₋₁₆ cycloalkyl radical or C₄₋₁₆ cycloalkenyl radical;

R⁶ represents a hydrogen atom;

an unsubstituted or at least mono-substituted C₁₋₁₆ alkyl radical, C₂₋₁₆ alkenyl radical or C₂₋₁₆ alkynyl radical;

an unsubstituted or at least mono-substituted C₃₋₁₆ cycloalkyl radical or C₄₋₁₆ cycloalkenyl radical, which in each case may be condensed with an unsubstituted or at least mono-substituted mono- or polycyclic ring system and/or may be bridged by at least one unsubstituted or at least mono-substituted C₁₋₅ alkylene group and/or may be bonded via an unsubstituted or at least mono-substituted C₁₋₅ alkylene group, C₂₋₅ alkenylene group or C₂₋₅ alkynylene group;

an unsubstituted or at least mono-substituted C₄₋₁₆ heterocycloalkyl radical or C₅₋₁₆ heterocycloalkenyl radical, which in each case may be condensed with an unsubstituted or at least mono-substituted mono- or polycyclic ring system and/or may be bridged by at least one unsubstituted or at least mono-substituted C₁₋₅ alkylene group and/or may be bonded via an unsubstituted or at least mono-substituted C₁₋₅ alkylene group, C₂₋₅ alkenylene group or C₂₋₅ alkynylene group;

an unsubstituted or at least mono-substituted 6- or 10-membered aryl radical, which may be condensed with an unsubstituted or at least mono-substituted mono- or polycyclic ring system and/or may be bonded via an unsubstituted or at least mono-substituted C₁₋₅ alkylene group, C₂₋₅ alkenylene group or C₂₋₅ alkynylene group;

an unsubstituted or at least mono-substituted 5- to 14-membered heteroaryl radical, which may be condensed with an unsubstituted or at least mono-substituted mono- or polycyclic ring system and/or may be bonded via an unsubstituted or at least mono-substituted C₁₋₅ alkylene group, C₂₋₅ alkenylene group or C₂₋₅ alkynylene group;

a -P(=O)(OR¹⁶)₂ moiety; a -C(=O)-OR¹⁷ moiety; a -C(=O)-NH-R¹⁸ moiety or a -C(=O)-R¹⁹ moiety;

R⁷ and R⁸, independently of one another, in each case represent a hydrogen atom;

an unsubstituted or at least mono-substituted C₁₋₁₆ alkyl radical, C₂₋₁₆ alkenyl radical or C₂₋₁₆ alkynyl radical;

an unsubstituted or at least mono-substituted C₃₋₁₆ cycloalkyl radical or C₄₋₁₆ cycloalkenyl radical, which in each case may be condensed with an unsubstituted or at least mono-substituted mono- or polycyclic ring system and/or may be bridged by at least one unsubstituted or at least mono-substituted C₁₋₅ alkylene group and/or may be bonded via an unsubstituted or at least mono-substituted C₁₋₅ alkylene group, C₂₋₅ alkenylene group or C₂₋₅ alkynylene group;

an unsubstituted or at least mono-substituted C₄₋₁₆ heterocycloalkyl radical or C₅₋₁₆ heterocycloalkenyl radical, which in each case may be condensed with an unsubstituted or at least mono-substituted mono- or polycyclic ring system and/or may be bridged by at least one unsubstituted or at least mono-substituted C₁₋₅ alkylene group and/or may be bonded via an unsubstituted or at least mono-substituted C₁₋₅ alkylene group, C₂₋₅ alkenylene group or C₂₋₅ alkynylene group;

an unsubstituted or at least mono-substituted C₃₋₁₆ cycloalkyl radical, C₄₋₁₆ cycloalkenyl radical, C₄₋₁₆ heterocycloalkyl radical or C₅₋₁₆ heterocycloalkenyl radical which together with an unsubstituted or at

least mono-substituted C₃₋₁₆ cycloalkyl radical, C₄₋₁₆ cycloalkenyl radical, C₄₋₁₆ heterocycloalkyl radical or C₅₋₁₆ heterocycloalkenyl radical forms an unsubstituted or at least mono-substituted spirocyclic residue via a common ring atom;

5

an unsubstituted or at least mono-substituted 6- or 10-membered aryl radical, which may be condensed with an unsubstituted or at least mono-substituted mono- or polycyclic ring system and/or may be bonded via an unsubstituted or at least mono-substituted C₁₋₅ alkylene group, C₂₋₅ alkenylene group or C₂₋₅ alkynylene group;

10

an unsubstituted or at least mono-substituted 5- to 14-membered heteroaryl radical, which may be condensed with an unsubstituted or at least mono-substituted mono- or polycyclic ring system and/or may be bonded via an unsubstituted or at least mono-substituted C₁₋₅ alkylene group, C₂₋₅ alkenylene group or C₂₋₅ alkynylene group;

15

a -P(=O)(OR¹⁶)₂ moiety; a -C(=O)-OR¹⁷ moiety; a -C(=O)-NH-R¹⁸ moiety; a -C(=O)-R¹⁹ moiety; a -S(=O)₂-R²⁰ moiety; or a -NR²¹R²² moiety;

20

R⁹ represents a hydrogen atom or an unsubstituted or at least mono-substituted C₁₋₁₆ alkyl radical, C₂₋₁₆ alkenyl radical or C₂₋₁₆ alkynyl radical;

25

R¹⁰, R¹¹, R¹², R¹³, R¹⁴, R¹⁵ and R²⁰, independently of one another, in each case represent an unsubstituted or at least mono-substituted C₁₋₁₆ alkyl radical, C₂₋₁₆ alkenyl radical or C₂₋₁₆ alkynyl radical;

30

an unsubstituted or at least mono-substituted C₃₋₁₆ cycloalkyl radical or C₄₋₁₆ cycloalkenyl radical, which in each case may be condensed with an unsubstituted or at least mono-substituted mono- or polycyclic ring system and/or may be bridged by at least one unsubstituted or at least mono-substituted C₁₋₅ alkylene group and/or may be bonded via an

unsubstituted or at least mono-substituted C₁₋₅ alkylene group, C₂₋₅ alkenylene group or C₂₋₅ alkynylene group;

an unsubstituted or at least mono-substituted C₄₋₁₆ heterocycloalkyl radical or C₅₋₁₆ heterocycloalkenyl radical, which in each case may be condensed with an unsubstituted or at least mono-substituted mono- or polycyclic ring system and/or may be bridged by at least one unsubstituted or at least mono-substituted C₁₋₅ alkylene group and/or may be bonded via an unsubstituted or at least mono-substituted C₁₋₅ alkylene group, C₂₋₅ alkenylene group or C₂₋₅ alkynylene group;

an unsubstituted or at least mono-substituted 6- or 10-membered aryl radical, which may be condensed with an unsubstituted or at least mono-substituted mono- or polycyclic ring system and/or may be bonded via an unsubstituted or at least mono-substituted C₁₋₅ alkylene group, C₂₋₅ alkenylene group or C₂₋₅ alkynylene group;

or an unsubstituted or at least mono-substituted 5- to 14-membered heteroaryl radical, which may be condensed with an unsubstituted or at least mono-substituted mono- or polycyclic ring system and/or may be bonded via an unsubstituted or at least mono-substituted C₁₋₅ alkylene group, C₂₋₅ alkenylene group or C₂₋₅ alkynylene group;

R¹⁶, R¹⁷, R¹⁸, R¹⁹, R²³, R²⁴, R²⁵, R²⁶, R²⁷, R²⁸, R²⁹, R³⁰, R³¹, R³², R³³, R³⁴, R³⁵, R³⁷ and R³⁸, independently of one another, in each case represent an unsubstituted or at least mono-substituted C₁₋₁₆ alkyl radical, C₂₋₁₆ alkenyl radical or C₂₋₁₆ alkynyl radical;

or an unsubstituted or at least mono-substituted 6- or 10-membered aryl radical, which may be condensed with an unsubstituted or at least mono-substituted mono- or polycyclic ring system and/or may be bonded via an unsubstituted or at least mono-substituted C₁₋₅ alkylene group, C₂₋₅ alkenylene group or C₂₋₅ alkynylene group;

or an unsubstituted or at least mono-substituted 5- to 14-membered heteroaryl radical, which may be condensed with an unsubstituted or at least mono-substituted mono- or polycyclic ring system and/or may be bonded via an unsubstituted or at least mono-substituted C₁₋₅ alkylene group, C₂₋₅ alkenylene group or C₂₋₅ alkynylene group;

R²¹ and R²², independently of one another, in each case represent a hydrogen atom;

an unsubstituted or at least mono-substituted C₁₋₁₆ alkyl radical, C₂₋₁₆ alkenyl radical or C₂₋₁₆ alkynyl radical;

an unsubstituted or at least mono-substituted C₃₋₁₆ cycloalkyl radical or C₄₋₁₆ cycloalkenyl radical, which in each case may be condensed with an unsubstituted or at least mono-substituted mono- or polycyclic ring system and/or may be bonded via an unsubstituted or at least mono-substituted C₁₋₅ alkylene group, C₂₋₅ alkenylene group or C₂₋₅ alkynylene group;

an unsubstituted or at least mono-substituted C₄₋₁₆ heterocycloalkyl radical or C₅₋₁₆ heterocycloalkenyl radical, which in each case may be condensed with an unsubstituted or at least mono-substituted mono- or polycyclic ring system and/or may be bonded via an unsubstituted or at least mono-substituted C₁₋₅ alkylene group, C₂₋₅ alkenylene group or C₂₋₅ alkynylene group;

an unsubstituted or at least mono-substituted C₃₋₁₆ cycloalkyl radical, C₄₋₁₆ cycloalkenyl radical, C₄₋₁₆ heterocycloalkyl radical or C₅₋₁₆ heterocycloalkenyl radical which together with an unsubstituted or at least mono-substituted C₃₋₁₆ cycloalkyl radical, C₄₋₁₆ cycloalkenyl radical, C₄₋₁₆ heterocycloalkyl radical or C₅₋₁₆ heterocycloalkenyl radical forms an unsubstituted or at least mono-substituted spirocyclic residue via a common ring atom;

an unsubstituted or at least mono-substituted 6- or 10-membered aryl radical, which may be condensed with an unsubstituted or at least mono-substituted mono- or polycyclic ring system and/or may be bonded via an unsubstituted or at least mono-substituted C₁₋₅ alkylene group, C₂₋₅ alkenylene group or C₂₋₅ alkynylene group;

or an unsubstituted or at least mono-substituted 5- to 14-membered heteroaryl radical, which may be condensed with an unsubstituted or at least mono-substituted mono- or polycyclic ring system and/or may be bonded via an unsubstituted or at least mono-substituted C₁₋₅ alkylene group, C₂₋₅ alkenylene group or C₂₋₅ alkynylene group;

and R³⁶ represents a hydrogen atom or a saturated or unsaturated, unsubstituted or at least mono-substituted aliphatic radical;

whereby

the rings of the aforementioned ring system are in each case independently of one another 5- 6- or 7-membered and may in each case independently of one another optionally contain 1, 2 or 3 heteroatom(s) independently selected from the group consisting of nitrogen, oxygen and sulfur;

the aforementioned heteroaryl radicals in each case optionally contain 1, 2, 3 or 4 heteroatom(s) independently selected from the group consisting of nitrogen, oxygen and sulfur as ring member(s);

the aforementioned heterocycloalkyl radicals and heterocycloalkenyl radicals in each case optionally contain 1, 2, 3 or 4 heteroatom(s) independently selected from the group consisting of nitrogen, oxygen and sulfur as ring member(s);

optionally in form of one of its stereoisomers, preferably enantiomers or diastereomers, a racemate or in form of a mixture of at least two of its stereoisomers, preferably enantiomers and/or diastereomers, in any mixing

ratio, or a corresponding N-oxide thereof, or a physiologically acceptable salt thereof, or a corresponding solvate thereof.

3. A compound according claim 1 or 2, characterized in that

X is S or O;

R¹ represents -C(=O)-OR²³; -C(=O)-OH; -P(=O)-(OR²⁴)₂; -P(=O)-(OH)₂; -C(=O)-NH₂; -C(=O)-NH-R²⁵; -C(=O)-NR²⁶R²⁷; -C(=O)-H; -C(=O)-R²⁸; -S(=O)-R²⁹; -(CHR³⁶)_m-O-C(=O)-R³⁰ with m being 1, 2 or 3; -(CHR³⁶)_o-O-C(=O)-OR³¹ with o being 1, 2 or 3; -(CHR³⁶)_p-O-P(=O)-(OR³²)₂ with p being 1, 2 or 3; -(CHR³⁶)_q-O-C(=O)-NH-R³³ with q being 1, 2 or 3; -(CHR³⁶)_r-O-C(=O)-NR³⁴R³⁵ with r being 1, 2 or 3; -S(=O)₂-R³⁷; -C(=S)-OR³⁸ or -C(=S)-R³⁹;

R² represents a radical selected from the group consisting of phenyl, naphthyl, pyridinyl, furyl (furanyl), thienyl (thiophenyl), pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, imidazolyl, pyrazolyl, oxadiazolyl, thiadiazolyl, triazolyl, pyridazinyl, indolyl, isoindolyl, pyrimidinyl, pyrazinyl, quinolinyl, isoquinolinyl, benzo[b]furanlyl, benzo[b]thiophenyl, benzo[2,1,3]thiadiazolyl, [1,2,3]-benzothiadiazolyl, [2,1,3]-benzoxadiazolyl, [1,2,3]-benzoxadiazolyl, benzoxazolyl, benzthiazolyl, benzisoxazolyl, benzisothiazolyl, imidazo[2,1-b]thiazolyl, 2H-chromenyl, pyranlyl, indazolyl, quinazolinyl, [1,3]-benzodioxolyl, [1,4]-benzodioxanyl, [1,2,3,4]-tetrahydronaphthyl, (2,3)-dihydro-1H-cyclopenta[b]indolyl, [1,2,3,4]-tetrahydroquinolinyl, [1,2,3,4]-tetrahydroisoquinolinyl, [1,2,3,4]-tetrahydroquinazolinyl and [3,4]-dihydro-2H-benzo[1,4]oxazinyl, which in each case is optionally bonded to the pyrazoline compound of general formula I via the aromatic or heteroaromatic part of the aforementioned radicals and may optionally be substituted with 1, 2, 3, 4 or 5 substituent(s) independently selected from the group consisting of -CF₃, -CH₂-Cl, methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, 2-butyl, tert-butyl, n-pentyl, 2-pentyl, n-hexyl, -O-CH₃, -O-C₂H₅, -O-CH₂-CH₂-CH₃, -O-CH(CH₃)₂, -O-CH₂-CH₂-CH₂-CH₃, -O-C(CH₃)₃, -S-CH₃, -S-

C_2H_5 , $-S-CH_2-CH_2-CH_3$, $-S-CH(CH_3)_2$, $-S-CH_2-CH_2-CH_2-CH_3$, $-S-$
 $C(CH_3)_3$, F, Cl, Br, I, $-CN$, $-OCF_3$, $-SCF_3$, $-SCF_2H$, $-SCFH_2$, $-OH$, $-SH$, $-$
 NO_2 , $-CHO$, $-C(=O)-CH_3$, $-C(=O)-C_2H_5$, $-C(=O)-C(CH_3)_3$, $-CF_2H$, $-CFH_2$,
 $-C(=O)-NH_2$, $-C(=O)-NH-CH_3$, $-C(=O)-NH-C_2H_5$, $-C(=O)-NH-C_3H_7$, $-$
 $C(=O)-N(CH_3)_2$, $-C(=O)-N(C_2H_5)_2$, $-S(=O)-CH_3$, $-S(=O)-C_2H_5$, $-S(=O)-$
 C_3H_7 , $-S(=O)_2-CH_3$, $-S(=O)_2-C_2H_5$, $-S(=O)_2-C_3H_7$, $-NH_2$, $-NH-CH_3$, $-NH-$
 C_2H_5 , $-N(CH_3)_2$, $-N(C_2H_5)_2$ and thiophenyl, whereby the thiophenyl
radical can be substituted with 1, 2 or 3 substituents independently
selected from the group consisting of F, Cl, Br, methyl, ethyl and n-
propyl;

or a radical selected from the group consisting of cyclopropyl,
cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, cyclooctyl, cyclononyl,
cyclodecyl, cycloundecyl, cyclododecyl, cyclotridecyl, cyclotetradecyl,
cyclopentenyl, cyclohexenyl, cycloheptenyl, cyclooctenyl, pyrrolidinyl,
piperidinyl, piperazinyl, homopiperazinyl, morpholinyl, aziridinyl,
azetidiny, imidazolidinyl, thiomorpholinyl, pyrazolidinyl,
tetrahydrofuranyl, tetrahydrothiophenyl, azepanyl, diazepanyl, azocanyl,
(2,5)-dihydrofuranyl, (2,5)-dihydrothiophenyl, (2,3)-dihydrofuranyl, (2,3)-
dihydrofuranyl, (2,5)-dihydro-1H-pyrrolyl, (2,3)-dihydro-1H-pyrrolyl,
tetrahydrothiopyranyl, tetrahydropyranyl, (3,4)-dihydro-2H-pyranyl,
(3,4)-dihydro-2H-thiopyranyl, (1,2,3,6)-tetrahydropyridinyl, (1,2,3,4)-
tetrahydropyridinyl, (1,2,5,6)-tetrahydropyridinyl, [1,3]-oxazinanyl,
hexahydropyrimidinyl, (5,6)-dihydro-4H-pyrimidinyl, oxazolidinyl, (1,3)-
dioxanyl, (1,4)-dioxanyl, (1,3)-dioxolanyl, indolinyl, isoindolinyl,
decahydronaphthyl, (1,2,3,4)-tetrahydroquinolinyl, (1,2,3,4)-
tetrahydroisoquinolinyl, octahydro-cyclopenta[c]pyrrolyl, (1,3,4,7,9a)-
hexahydro-2H-quinoliziny, (1,2,3,5,6,8a)-hexahydro-indoliziny,
decahydroquinolinyl, dodecahydro-carbazolyl, 9H-carbazolyl,
decahydroisoquinolinyl, (6,7)-dihydro-4H-thieno[3,2-c]pyridinyl, (2,3)-
dihydro-1H-benzo[de]isoquinolinyl, (1,2,3,4)-tetrahydroquinoxaziny,
adamantyl, bicyclo[2.2.1]heptyl, bicyclo[3.1.1]heptyl and norbornenyl,
which in each case is optionally bonded to the pyrazoline compound of
general formula I via the (hetero)cycloaliphatic part of the

aforementioned radicals and may optionally be substituted with 1, 2, 3, 4 or 5 substituent(s) independently selected from the group consisting of oxo (=O), thioxo (=S), -CF₃, methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, 2-butyl, tert-butyl, n-pentyl, 2-pentyl, n-hexyl, -O-CH₃, -O-C₂H₅, -O-CH₂-CH₂-CH₃, -O-CH(CH₃)₂, -O-CH₂-CH₂-CH₂-CH₃, -O-C(CH₃)₃, -S-CH₃, -S-C₂H₅, -S-CH₂-CH₂-CH₃, -S-CH(CH₃)₂, -S-CH₂-CH₂-CH₂-CH₃, -S-C(CH₃)₃, F, Cl, Br, I, -CN, -OCF₃, -SCF₃, -SCF₂H, -SCFH₂, -OH, -SH, -NO₂, -CHO, -C(=O)-CH₃, -C(=O)-C₂H₅, -C(=O)-C(CH₃)₃, -CF₂H, -CFH₂, -C(=O)-NH₂, -C(=O)-NH-CH₃, -C(=O)-NH-C₂H₅, -C(=O)-NH-C₃H₇, -C(=O)-N(CH₃)₂, -C(=O)-N(C₂H₅)₂, -S(=O)-CH₃, -S(=O)-C₂H₅, -S(=O)-C₃H₇, -S(=O)₂-CH₃, -S(=O)₂-C₂H₅, -S(=O)₂-C₃H₇, -NH₂, -NH-CH₃, -NH-C₂H₅, -N(CH₃)₂ and -N(C₂H₅)₂;

R³ represents a radical selected from the group consisting of (2,3)-dihydro-1H-cyclopenta[b]indolyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, cyclooctyl, cyclononyl, cyclodecyl, cycloundecyl, cyclododecyl, cyclotridecyl, cyclotetradecyl, cyclopentenyl, cyclohexenyl, cycloheptenyl, cyclooctenyl, pyrrolidinyl, piperidinyl, piperazinyl, homopiperazinyl, morpholinyl, aziridinyl, azetidiny, imidazolidinyl, thiomorpholinyl, pyrazolidinyl, tetrahydrofuranyl, tetrahydrothiophenyl, azepanyl, diazepanyl, azocanyl, (2,5)-dihydrofuranyl, (2,5)-dihydrothiophenyl, (2,3)-dihydrofuranyl, (2,3)-dihydrothiophenyl, (2,5)-dihydro-1H-pyrrolyl, (2,3)-dihydro-1H-pyrrolyl, tetrahydrothiopyranyl, tetrahydropyranyl, (3,4)-dihydro-2H-pyranyl, (3,4)-dihydro-2H-thiopyranyl, (1,2,3,6)-tetrahydropyridinyl, (1,2,3,4)-tetrahydropyridinyl, (1,2,5,6)-tetrahydropyridinyl, [1,3]-oxazinanyl, hexahydropyrimidinyl, (5,6)-dihydro-4H-pyrimidinyl, oxazolidinyl, (1,3)-dioxanyl, (1,4)-dioxanyl, (1,3)-dioxolanyl, indolyl, isoindolyl, decahydronaphthyl, (1,2,3,4)-tetrahydroquinolyl, (1,2,3,4)-tetrahydroisoquinolyl, octahydro-cyclopenta[c]pyrrolyl, (1,3,4,7,9a)-hexahydro-2H-quinoliziny, (1,2,3,5,6,8a)-hexahydro-indoliziny, decahydroquinolyl, dodecahydro-carbazolyl, 9H-carbazolyl, decahydroisoquinolyl, (6,7)-dihydro-4H-thieno[3,2-c]pyridinyl, (2,3)-dihydro-1H-benzo[de]isoquinolyl, (1,2,3,4)-tetrahydroquinoxaziny,

adamantyl, bicyclo[2.2.1]heptyl, bicyclo[3.1.1]heptyl, norbornenyl, 8-
 aza-bicyclo[3.2.1]octyl and 8-aza-spiro[4.5]decanyl, which may
 optionally be substituted with 1, 2, 3, 4 or 5 substituent(s) independently
 selected from the group consisting of oxo (=O), thioxo (=S), -CF₃,
 methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, 2-butyl, tert-butyl, n-
 pentyl, 2-pentyl, n-hexyl, -O-CH₃, -O-C₂H₅, -O-CH₂-CH₂-CH₃, -O-
 CH(CH₃)₂, -O-CH₂-CH₂-CH₂-CH₃, -O-C(CH₃)₃, -O-CH₂-O-CH₃, -O-CH₂-
 CH₂-O-CH₃, -O-CH₂-O-C₂H₅, -C(OCH₃)(C₂H₅)₂, -C(OCH₃)(CH₃)₂, -S-
 CH₃, -S-C₂H₅, -S-CH₂-CH₂-CH₃, -S-CH(CH₃)₂, -S-CH₂-CH₂-CH₂-CH₃, -
 S-C(CH₃)₃, F, Cl, Br, I, -CN, -OCF₃, -SCF₃, -SCF₂H, -SCFH₂, -OH, -SH,
 -NO₂, -CHO, -C(=O)-CH₃, -C(=O)-C₂H₅, -C(=O)-C(CH₃)₃, -C(=O)-OH, -
 C(=O)-O-CH₃, -C(=O)-O-C₂H₅, -C(=O)-O-C(CH₃)₃, -CF₂H, -CFH₂, -
 C(=O)-NH₂, -C(=O)-NH-CH₃, -C(=O)-NH-C₂H₅, -C(=O)-NH-C₃H₇, -
 C(=O)-N(CH₃)₂, -C(=O)-N(C₂H₅)₂, -S(=O)-CH₃, -S(=O)-C₂H₅, -S(=O)-
 C₃H₇, -S(=O)₂-CH₃, -S(=O)₂-C₂H₅, -S(=O)₂-C₃H₇, -NH₂, -NH-CH₃, -NH-
 C₂H₅, -N(CH₃)₂, -N(C₂H₅)₂, -O-Benzyl, benzyl, cyclopentyl, cyclohexyl,
 pyrrolidinyl and piperidinyl;

a -O-R⁶ moiety; a -NR⁷R⁸ moiety or a -NR⁹-O-R¹⁰ moiety;

R⁴ represents H; F; Cl; Br; I; -CN; -NO₂; -NC; -OH; -NH₂; -SH; -C(=O)-H; -
 C(=O)-OH; -C(=O)-OR¹⁷;

a radical selected from the group consisting of methyl, ethyl, n-propyl,
 isopropyl, n-butyl, isobutyl, sec-butyl, tert-butyl, n-pentyl, 2-pentyl, 3-
 pentyl, neo-pentyl, n-hexyl, 2-hexyl, 3-hexyl, n-heptyl, 2-heptyl, 3-heptyl,
 4-heptyl, n-octyl, 2-octyl, 3-octyl and 4-octyl, which may optionally be
 substituted with 1, 2, 3, 4, 5, 6, 7, 8 or 9 substituent(s) independently
 selected from the group consisting of -OH, F, Cl, Br, I, -O-CH₃, -O-C₂H₅,
 -O-CH₂-CH₂-CH₃, -O-CH(CH₃)₂, -O-CH₂-CH₂-CH₂-CH₃, -O-C(CH₃)₃, -
 NH₂, -NH-CH₃, -NH-C₂H₅, -N(CH₃)₂, -N(C₂H₅)₂, -CN and -NO₂;

a radical selected from the group consisting of phenyl, naphthyl,
 pyridinyl, furyl (furanyl), thienyl (thiophenyl), pyrrolyl, oxazolyl,

isoxazolyl, thiazolyl, isothiazolyl, imidazolyl, pyrazolyl, oxadiazolyl, thiadiazolyl, triazolyl, pyridazinyl, indolyl and isoindolyl, which may be bonded via a $-(CH_2)-$, $-(CH_2)-(CH_2)-$, $-(CH_2)-(CH_2)-(CH_2)-$ or $-CH=CH-$ group and/or may optionally be substituted with 1, 2, 3, 4 or 5

5 substituent(s) independently selected from the group consisting of $-CF_3$, methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, 2-butyl, tert-butyl, n-pentyl, 2-pentyl, n-hexyl, $-O-CH_3$, $-O-C_2H_5$, $-O-CH_2-CH_2-CH_3$, $-O-CH(CH_3)_2$, $-O-CH_2-CH_2-CH_2-CH_3$, $-O-C(CH_3)_3$, $-S-CH_3$, $-S-C_2H_5$, $-S-CH_2-CH_2-CH_3$, $-S-CH(CH_3)_2$, $-S-CH_2-CH_2-CH_2-CH_3$, $-S-C(CH_3)_3$, F, Cl, Br, I, $-CN$, $-OCF_3$, $-SCF_3$, $-SCF_2H$, $-SCFH_2$, $-OH$, $-SH$, $-NO_2$, $-CHO$, $-C(=O)-CH_3$, $-C(=O)-C_2H_5$, $-C(=O)-C(CH_3)_3$, $-CF_2H$, $-CFH_2$, $-C(=O)-NH_2$, $-C(=O)-NH-CH_3$, $-C(=O)-NH-C_2H_5$, $-C(=O)-NH-C_3H_7$, $-C(=O)-N(CH_3)_2$, $-C(=O)-N(C_2H_5)_2$, $-S(=O)-CH_3$, $-S(=O)-C_2H_5$, $-S(=O)-C_3H_7$, $-S(=O)_2-CH_3$, $-S(=O)_2-C_2H_5$, $-S(=O)_2-C_3H_7$, $-NH_2$, $-NH-CH_3$, $-NH-C_2H_5$, $-N(CH_3)_2$ and $-N(C_2H_5)_2$;

10

15

a radical selected from the group consisting of cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, cyclooctyl, cyclononyl, cyclodecyl, cyclopentenyl, cyclohexenyl, cycloheptenyl, cyclooctenyl, pyrrolidinyl, piperidinyl, piperazinyl, homopiperazinyl, morpholinyl, aziridinyl, azetidiny, imidazolidinyl, thiomorpholinyl, pyrazolidinyl, tetrahydrofuranyl, tetrahydrothiophenyl, azepanyl and diazepanyl, which may optionally be substituted with 1, 2, 3, 4 or 5 substituent(s)

20 independently selected from the group consisting of oxo ($=O$), thioxo ($=S$), $-CF_3$, methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, 2-butyl, tert-butyl, n-pentyl, 2-pentyl, n-hexyl, $-O-CH_3$, $-O-C_2H_5$, $-O-CH_2-CH_2-CH_3$, $-O-CH(CH_3)_2$, $-O-CH_2-CH_2-CH_2-CH_3$, $-O-C(CH_3)_3$, $-S-CH_3$, $-S-C_2H_5$, $-S-CH_2-CH_2-CH_3$, $-S-CH(CH_3)_2$, $-S-CH_2-CH_2-CH_2-CH_3$, $-S-C(CH_3)_3$, F, Cl, Br, I, $-CN$, $-OCF_3$, $-SCF_3$, $-SCF_2H$, $-SCFH_2$, $-OH$, $-SH$, $-NO_2$, $-CHO$, $-C(=O)-CH_3$, $-C(=O)-C_2H_5$, $-C(=O)-C(CH_3)_3$, $-CF_2H$, $-CFH_2$, $-C(=O)-NH_2$, $-C(=O)-NH-CH_3$, $-C(=O)-NH-C_2H_5$, $-C(=O)-NH-C_3H_7$, $-C(=O)-N(CH_3)_2$, $-C(=O)-N(C_2H_5)_2$, $-S(=O)-CH_3$, $-S(=O)-C_2H_5$, $-S(=O)-C_3H_7$, $-S(=O)_2-CH_3$, $-S(=O)_2-C_2H_5$, $-S(=O)_2-C_3H_7$, $-NH_2$, $-NH-CH_3$, $-NH-C_2H_5$, $-N(CH_3)_2$ and $-N(C_2H_5)_2$;

25

30

a -O-R¹¹ moiety; a -S-R¹² moiety, a -NH-R¹³ moiety or a -NR¹⁴R¹⁵ moiety;

5 R⁵ represents H, F; Cl; Br; I; -CN; -NO₂; -NC; -OH; -NH₂; -SH; -C(=O)-H; -C(=O)-OH; -C(=O)-OR¹⁷;

10 a radical selected from the group consisting of methyl, -CF₃, -CH₂F, -CF₂H, -C₂F₅, ethyl, -CH₂-CN, -CH₂-OH, n-propyl, isopropyl, -CH₂-CH₂-CN, -CH₂-CH₂-OH, n-butyl, -CH₂-CH₂-CH₂-CN, -CH₂-CH₂-CH₂-OH, isobutyl, sec-butyl, tert-butyl, n-pentyl, 2-pentyl, 3-pentyl, neo-pentyl, n-hexyl, 2-hexyl and 3-hexyl;

15 a radical selected from the group consisting of cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, cyclooctyl, cyclononyl, cyclodecyl, cyclopentenyl, cyclohexenyl, cycloheptenyl, cyclooctenyl, pyrrolidinyl, piperidinyl, piperazinyl, homopiperazinyl, morpholinyl, aziridinyl, azetidiny, imidazolidinyl, thiomorpholinyl, pyrazolidinyl, tetrahydrofuranyl, tetrahydrothiophenyl, azepanyl and diazepanyl;

20 a radical selected from the group consisting of phenyl, naphthyl, pyridinyl, furyl (furanyl), thienyl (thiophenyl) and pyrrolyl, which may be bonded via a -(CH₂)-group and/or may optionally be substituted with 1, 2, 3, 4 or 5 substituent(s) independently selected from the group
25 consisting of -CF₃, methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, 2-butyl, tert-butyl, n-pentyl, 2-pentyl, n-hexyl, -O-CH₃, -O-C₂H₅, F, Cl and Br;

30 a -O-R¹¹ moiety; a -S-R¹² moiety, a -NH-R¹³ moiety or a -NR¹⁴R¹⁵ moiety;

R⁴ and R⁵ together with the bridging carbon atom form a radical selected from the group consisting of cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, cyclooctyl, cyclononyl, cyclodecyl, cycloundecyl,

cyclododecyl, cyclotridecyl, cyclotetradecyl, cyclopentenyl, cyclohexenyl, cycloheptenyl, and cyclooctenyl, which may optionally be substituted with 1, 2, 3, 4 or 5 substituent(s) independently selected from the group consisting of oxo (=O), thioxo (=S), -CF₃, methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, 2-butyl, tert-butyl, n-pentyl, 2-pentyl, n-hexyl, -O-CH₃, -O-C₂H₅, -O-CH₂-CH₂-CH₃, -O-CH(CH₃)₂, -O-CH₂-CH₂-CH₂-CH₃, -O-C(CH₃)₃, -S-CH₃, -S-C₂H₅, -S-CH₂-CH₂-CH₃, -S-CH(CH₃)₂, -S-CH₂-CH₂-CH₂-CH₃, -S-C(CH₃)₃, F, Cl, Br, I, -CN, -OCF₃, -SCF₃, -SCF₂H, -SCFH₂, -OH, -SH, -NO₂, -CHO, -C(=O)-CH₃, -C(=O)-C₂H₅, -C(=O)-C(CH₃)₃, -CF₂H, -CFH₂, -C(=O)-NH₂, -C(=O)-NH-CH₃, -C(=O)-NH-C₂H₅, -C(=O)-NH-C₃H₇, -C(=O)-N(CH₃)₂, -C(=O)-N(C₂H₅)₂, -S(=O)-CH₃, -S(=O)-C₂H₅, -S(=O)-C₃H₇, -S(=O)₂-CH₃, -S(=O)₂-C₂H₅, -S(=O)₂-C₃H₇, -NH₂, -NH-CH₃, -NH-C₂H₅, -N(CH₃)₂ and -N(C₂H₅)₂;

R⁶ represents a hydrogen atom; a radical selected from the group consisting of methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, sec-butyl, tert-butyl, n-pentyl, 2-pentyl, 3-pentyl, neo-pentyl, n-hexyl, 2-hexyl, 3-hexyl, n-heptyl, 2-heptyl, 3-heptyl, 4-heptyl, n-octyl, 2-octyl, 3-octyl, 4-octyl vinyl, n-propenyl, n-butenyl, n-pentenyl, n-hexenyl, ethinyl, propinyl, n-butenyl, n-pentynyl and n-hexynyl, which may optionally be substituted with 1, 2, 3, 4, 5, 6, 7, 8 or 9 substituent(s) independently selected from the group consisting of -OH, F, Cl, Br, I, -O-CH₃, -O-C₂H₅, -O-CH₂-CH₂-CH₃, -O-CH(CH₃)₂, -O-CH₂-CH₂-CH₂-CH₃, -O-C(CH₃)₃, -NH₂, -NH-CH₃, -NH-C₂H₅, -N(CH₃)₂, -N(C₂H₅)₂, -CN, -NO, -NH-C(=O)-CH₃, -NH-C(=O)-C₂H₅, -NH-C(=O)-C(CH₃)₃, -NH-C(=O)-O-CH₃, -NH-C(=O)-O-C₂H₅, -NH-C(=O)-O-C(CH₃)₃, -C(=O)-NH-CH₃, -C(=O)-NH-C₂H₅, -C(=O)-NH-C(CH₃)₃, -C(=O)-N(CH₃)₂, -C(=O)-N(C₂H₅)₂, -C(=O)-OH, -C(=O)-O-CH₃, -C(=O)-O-C₂H₅, -C(=O)-O-C(CH₃)₃, -C(=O)-CH₃, -C(=O)-C₂H₅ and -C(=O)-C(CH₃)₃;

a radical selected from the group consisting of cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, cyclooctyl, cyclononyl, cyclodecyl, cyclopentenyl, cyclohexenyl, cycloheptenyl, cyclooctenyl, pyrrolidiny, piperidiny, piperaziny, homopiperaziny, morpholiny, aziridiny,

azetidiny, imidazolidiny, thiomorpholinyl, pyrazolidiny,
 tetrahydrofuranyl, tetrahydrothiophenyl, azepanyl, 8-aza-
 bicyclo[3.2.1]octyl and diazepanyl, which may be bonded via a $-(CH_2)-$, $-(CH_2)-(CH_2)-$, $-(CH_2)-(CH_2)-(CH_2)-$ or $-CH=CH-$ group and/or may
 5 optionally be substituted with 1, 2, 3, 4 or 5 substituent(s) independently
 selected from the group consisting of oxo ($=O$), thioxo ($=S$), $-CF_3$,
 methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, 2-butyl, tert-butyl, n-
 pentyl, 2-pentyl, n-hexyl, $-O-CH_3$, $-O-C_2H_5$, $-O-CH_2-CH_2-CH_3$, $-O-$
 $CH(CH_3)_2$, $-O-CH_2-CH_2-CH_2-CH_3$, $-O-C(CH_3)_3$, $-S-CH_3$, $-S-C_2H_5$, $-S-$
 10 $CH_2-CH_2-CH_3$, $-S-CH(CH_3)_2$, $-S-CH_2-CH_2-CH_2-CH_3$, $-S-C(CH_3)_3$, F, Cl,
 Br, I, $-CN$, $-OCF_3$, $-SCF_3$, $-SCF_2H$, $-SCFH_2$, $-OH$, $-SH$, $-NO_2$, $-CHO$, $-$
 $C(=O)-CH_3$, $-C(=O)-C_2H_5$, $-C(=O)-C(CH_3)_3$, $-CF_2H$, $-CFH_2$, $-C(=O)-NH_2$, $-$
 $C(=O)-NH-CH_3$, $-C(=O)-NH-C_2H_5$, $-C(=O)-NH-C_3H_7$, $-C(=O)-N(CH_3)_2$, $-$
 $C(=O)-N(C_2H_5)_2$, $-S(=O)-CH_3$, $-S(=O)-C_2H_5$, $-S(=O)-C_3H_7$, $-S(=O)_2-CH_3$,
 15 $-S(=O)_2-C_2H_5$, $-S(=O)_2-C_3H_7$, $-NH_2$, $-NH-CH_3$, $-NH-C_2H_5$, $-N(CH_3)_2$ and $-$
 $N(C_2H_5)_2$;

a radical selected from the group consisting of phenyl, naphthyl,
 pyridinyl, furyl (furanyl), thienyl (thiophenyl), pyrrolyl, oxazolyl,
 20 isoxazolyl, thiazolyl, isothiazolyl, imidazolyl, pyrazolyl, oxadiazolyl,
 thiadiazolyl, triazolyl, pyridazinyl, indolyl and isoindolyl, which may be
 bonded via a $-(CH_2)-$, $-(CH_2)-(CH_2)-$, $-(CH_2)-(CH_2)-(CH_2)-$ or $-CH=CH-$
 group and/or may optionally be substituted with 1, 2, 3, 4 or 5
 substituent(s) independently selected from the group consisting of $-CF_3$,
 25 methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, 2-butyl, tert-butyl, n-
 pentyl, 2-pentyl, n-hexyl, $-O-CH_3$, $-O-C_2H_5$, $-O-CH_2-CH_2-CH_3$, $-O-$
 $CH(CH_3)_2$, $-O-CH_2-CH_2-CH_2-CH_3$, $-O-C(CH_3)_3$, $-S-CH_3$, $-S-C_2H_5$, $-S-$
 $CH_2-CH_2-CH_3$, $-S-CH(CH_3)_2$, $-S-CH_2-CH_2-CH_2-CH_3$, $-S-C(CH_3)_3$, F, Cl,
 Br, I, $-CN$, $-OCF_3$, $-SCF_3$, $-SCF_2H$, $-SCFH_2$, $-OH$, $-SH$, $-NO_2$, $-CHO$, $-$
 30 $C(=O)-CH_3$, $-C(=O)-C_2H_5$, $-C(=O)-C(CH_3)_3$, $-CF_2H$, $-CFH_2$, $-C(=O)-NH_2$, $-$
 $C(=O)-NH-CH_3$, $-C(=O)-NH-C_2H_5$, $-C(=O)-NH-C_3H_7$, $-C(=O)-N(CH_3)_2$, $-$
 $C(=O)-N(C_2H_5)_2$, $-S(=O)-CH_3$, $-S(=O)-C_2H_5$, $-S(=O)-C_3H_7$, $-S(=O)_2-CH_3$,
 $-S(=O)_2-C_2H_5$, $-S(=O)_2-C_3H_7$, $-NH_2$, $-NH-CH_3$, $-NH-C_2H_5$, $-N(CH_3)_2$, $-$
 $N(C_2H_5)_2$, $-O-C(=O)-CH_3$, $-O-C(=O)-C_2H_5$, $-O-C(=O)-CH(CH_3)_2$, $-O-$

$C(=O)-CH_2-CH_2-CH_3$, $-CH_2-N(CH_3)_2$, $-(CH_2)-N(C_2H_5)_2$, $-CH_2-N(C_3H_7)_2$, $-CH_2-N(C_4H_9)_2$, $-CH_2-N(CH_3)(C_2H_5)$ and $-(CH_2)$ -morpholinyl;

a $-P(=O)(OR^{16})_2$ moiety; a $-C(=O)-OR^{17}$ moiety; a $-C(=O)-NH-R^{18}$ moiety
 or a $-C(=O)-R^{19}$ moiety;

R^7 and R^8 , independently of another, in each case represent a hydrogen atom;

a radical selected from the group consisting of methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, sec-butyl, tert-butyl, n-pentyl, 2-pentyl, 3-pentyl, neo-pentyl, n-hexyl, 2-hexyl, 3-hexyl, n-heptyl, 2-heptyl, 3-heptyl, 4-heptyl, n-octyl, 2-octyl, 3-octyl, 4-octyl, 2-(6-methyl)-heptyl, 2-(5-methyl)-heptyl, 2-(5-methyl)-hexyl, 2-(4-methyl)-hexyl, 2-(7-methyl)-octyl; 2-(6-methyl)-octyl, vinyl, n-propenyl, n-butenyl, n-pentenyl, n-hexenyl, ethinyl, propinyl, n-butenyl, n-pentynyl and n-hexynyl, which may optionally be substituted with 1, 2, 3, 4, 5, 6, 7, 8 or 9 substituent(s) independently selected from the group consisting of $-OH$, F , Cl , Br , I , $-O-CH_3$, $-O-C_2H_5$, $-O-CH_2-CH_2-CH_3$, $-O-CH(CH_3)_2$, $-O-CH_2-CH_2-CH_2-CH_3$, $-O-C(CH_3)_3$, $-NH_2$, $-NH-CH_3$, $-NH-C_2H_5$, $-N(CH_3)_2$, $-N(C_2H_5)_2$, $-CN$ and $-NO_2$;

a radical selected from the group consisting of (1,2,3,4)-tetrahydronaphthyl, (2,3)-dihydro-1H-cyclopenta[b]indolyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, cyclooctyl, cyclononyl, cyclodecyl, cycloundecyl, cyclododecyl, cyclotridecyl, cyclotetradecyl, cyclopentenyl, cyclohexenyl, cycloheptenyl, cyclooctenyl, pyrrolidinyl, piperidinyl, piperazinyl, homopiperazinyl, morpholinyl, aziridinyl, azetidyl, imidazolidinyl, thiomorpholinyl, pyrazolidinyl, tetrahydrofuranyl, tetrahydrothiophenyl, azepanyl, diazepanyl, azocanyl, (2,5)-dihydrofuranyl, (2,5)-dihydrothiophenyl, (2,3)-dihydrofuranyl, (2,3)-dihydrothiophenyl, (2,5)-dihydro-1H-pyrrolyl, (2,3)-dihydro-1H-pyrrolyl, tetrahydrothiopyranyl, tetrahydropyranyl, (3,4)-dihydro-2H-pyranyl, (3,4)-dihydro-2H-thiopyranyl, (1,2,3,6)-tetrahydropyridinyl, (1,2,3,4)-tetrahydropyridinyl, (1,2,5,6)-tetrahydropyridinyl, [1,3]-oxazinanyl,

hexahydropyrimidinyl, (5,6)-dihydro-4H-pyrimidinyl, oxazolidinyl, (1,3)-
 dioxanyl, (1,4)-dioxanyl, (1,3)-dioxolanyl, indolinyl, isoindolinyl,
 decahydronaphthyl, (1,2,3,4)-tetrahydroquinolinyl, (1,2,3,4)-
 tetrahydroisoquinolinyl, octahydro-cyclopenta[c]pyrrolyl, (1,3,4,7,9a)-
 5 hexahydro-2H-quinoliziny, (1,2,3,5,6,8a)-hexahydro-indoliziny,
 decahydroquinolinyl, dodecahydro-carbazolyl, 9H-carbazolyl,
 decahydroisoquinolinyl, (6,7)-dihydro-4H-thieno[3,2-c]pyridinyl, (2,3)-
 dihydro-1H-benzo[de]isoquinolinyl, (1,2,3,4)-tetrahydroquinoxazlinyl,
 adamantyl, bicyclo[2.2.1]heptyl, bicyclo[3.1.1]heptyl, norbornenyl, 8-
 10 aza-bicyclo[3.2.1]octyl and 8-aza-spiro[4.5]decanyl, which may be
 bonded via a $-(CH_2)-$, $-(CH_2)-(CH_2)-$, $-(CH_2)-(CH_2)-(CH_2)-$ or $-CH=CH-$
 group and/or may optionally be substituted with 1, 2, 3, 4 or 5
 substituent(s) independently selected from the group consisting of oxo
 ($=O$), thioxo ($=S$), $-CF_3$, methyl, ethyl, n-propyl, isopropyl, n-butyl,
 15 isobutyl, 2-butyl, tert-butyl, n-pentyl, 2-pentyl, n-hexyl, $-O-CH_3$, $-O-C_2H_5$,
 $-O-CH_2-CH_2-CH_3$, $-O-CH(CH_3)_2$, $-O-CH_2-CH_2-CH_2-CH_3$, $-O-C(CH_3)_3$, $-O-$
 CH_2-O-CH_3 , $-O-CH_2-CH_2-O-CH_3$, $-O-CH_2-O-C_2H_5$, $-C(OCH_3)(C_2H_5)_2$, $-$
 $C(OCH_3)(CH_3)_2$, $-S-CH_3$, $-S-C_2H_5$, $-S-CH_2-CH_2-CH_3$, $-S-CH(CH_3)_2$, $-S-$
 $CH_2-CH_2-CH_2-CH_3$, $-S-C(CH_3)_3$, F, Cl, Br, I, $-CN$, $-OCF_3$, $-SCF_3$, $-$
 20 SCF_2H , $-SCFH_2$, $-OH$, $-SH$, $-NO_2$, $-CHO$, $-C(=O)-CH_3$, $-C(=O)-C_2H_5$, $-$
 $C(=O)-C(CH_3)_3$, $-C(=O)-OH$, $-C(=O)-O-CH_3$, $-C(=O)-O-C_2H_5$, $-C(=O)-O-$
 $C(CH_3)_3$, $-CF_2H$, $-CFH_2$, $-C(=O)-NH_2$, $-C(=O)-NH-CH_3$, $-C(=O)-NH-C_2H_5$,
 $-C(=O)-NH-C_3H_7$, $-C(=O)-N(CH_3)_2$, $-C(=O)-N(C_2H_5)_2$, $-S(=O)-CH_3$, $-$
 $S(=O)-C_2H_5$, $-S(=O)-C_3H_7$, $-S(=O)_2-CH_3$, $-S(=O)_2-C_2H_5$, $-S(=O)_2-C_3H_7$, $-$
 25 NH_2 , $-NH-CH_3$, $-NH-C_2H_5$, $-N(CH_3)_2$, $-N(C_2H_5)_2$, $-O-Benzyl$, benzyl,
 cyclopentyl, cyclohexyl, pyrrolidinyl and piperidinyl;

a radical selected from the group consisting of phenyl, naphthyl,
 pyridinyl, furyl (furanyl), thienyl (thiophenyl), pyrrolyl, oxazolyl,
 30 isoxazolyl, thiazolyl, isothiazolyl, imidazolyl, pyrazolyl, oxadiazolyl,
 thiadiazolyl, triazolyl, pyridazinyl, indolyl and isoindolyl, which may be
 bonded via a $-(CH_2)-$, $-(CH_2)-(CH_2)-$, $-(CH_2)-(CH_2)-(CH_2)-$ or $-CH=CH-$
 group and/or may optionally be substituted with 1, 2, 3, 4 or 5
 substituent(s) independently selected from the group consisting of $-CF_3$,

methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, 2-butyl, tert-butyl, n-pentyl, 2-pentyl, n-hexyl, -O-CH₃, -O-C₂H₅, -O-CH₂-CH₂-CH₃, -O-CH(CH₃)₂, -O-CH₂-CH₂-CH₂-CH₃, -O-C(CH₃)₃, -S-CH₃, -S-C₂H₅, -S-CH₂-CH₂-CH₃, -S-CH(CH₃)₂, -S-CH₂-CH₂-CH₂-CH₃, -S-C(CH₃)₃, F, Cl, Br, I, -CN, -OCF₃, -SCF₃, -SCF₂H, -SCFH₂, -OH, -SH, -NO₂, -CHO, -C(=O)-CH₃, -C(=O)-C₂H₅, -C(=O)-C(CH₃)₃, -CF₂H, -CFH₂, -C(=O)-NH₂, -C(=O)-NH-CH₃, -C(=O)-NH-C₂H₅, -C(=O)-NH-C₃H₇, -C(=O)-N(CH₃)₂, -C(=O)-N(C₂H₅)₂, -S(=O)-CH₃, -S(=O)-C₂H₅, -S(=O)-C₃H₇, -S(=O)₂-CH₃, -S(=O)₂-C₂H₅, -S(=O)₂-C₃H₇, -NH₂, -NH-CH₃, -NH-C₂H₅, -N(CH₃)₂ and -N(C₂H₅)₂;

a -P(=O)(OR¹⁶)₂ moiety; a -C(=O)-OR¹⁷ moiety; a -C(=O)-NH-R¹⁸ moiety; a -C(=O)-R¹⁹ moiety; a -S(=O)₂-R²⁰ moiety; or a -NR²¹R²² moiety;

R⁹ represents hydrogen or an alkyl radical selected from the group consisting of methyl, ethyl and n-propyl.

R¹⁰, R¹¹, R¹², R¹³, R¹⁴, R¹⁵ and R²⁰, independently of another, in each case represent a radical selected from the group consisting of methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, sec-butyl, tert-butyl, n-pentyl, 2-pentyl, 3-pentyl, neo-pentyl, n-hexyl, 2-hexyl, 3-hexyl, n-heptyl, 2-heptyl, 3-heptyl, 4-heptyl, n-octyl, 2-octyl, 3-octyl, 4-octyl, 2-(6-methyl)-heptyl, 2-(5-methyl)-heptyl, 2-(5-methyl)-hexyl, 2-(4-methyl)-hexyl, 2-(7-methyl)-octyl; 2-(6-methyl)-octyl, vinyl, n-propenyl, n-butenyl, n-pentenyl, n-hexenyl, ethinyl, propinyl, n-butylinyl, n-pentynyl and n-hexynyl, which may optionally be substituted with 1, 2, 3, 4, 5, 6, 7, 8 or 9 substituent(s) independently selected from the group consisting of -OH, F, Cl, Br, I, -O-CH₃, -O-C₂H₅, -O-CH₂-CH₂-CH₃, -O-CH(CH₃)₂, -O-CH₂-CH₂-CH₂-CH₃, -O-C(CH₃)₃, -NH₂, -NH-CH₃, -NH-C₂H₅, -N(CH₃)₂, -N(C₂H₅)₂, -CN and -NO₂;

a radical selected from the group consisting of cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, cyclooctyl, cyclononyl, cyclodecyl,

cyclopentenyl, cyclohexenyl, cycloheptenyl, cyclooctenyl, pyrrolidinyl, piperidinyl, piperazinyl, homopiperazinyl, morpholinyl, aziridinyl, azetidiny, imidazolidinyl, thiomorpholinyl, pyrazolidinyl, tetrahydrofuranyl, tetrahydrothiophenyl, azepanyl, 8-aza-bicyclo[3.2.1]octyl and diazepanyl, which may be bonded via a $-(CH_2)-$, $-(CH_2)-(CH_2)-$, $-(CH_2)-(CH_2)-(CH_2)-$ or $-CH=CH-$ group and/or may optionally be substituted with 1, 2, 3, 4 or 5 substituent(s) independently selected from the group consisting of oxo ($=O$), thioxo ($=S$), $-CF_3$, methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, 2-butyl, tert-butyl, n-pentyl, 2-pentyl, n-hexyl, $-O-CH_3$, $-O-C_2H_5$, $-O-CH_2-CH_2-CH_3$, $-O-CH(CH_3)_2$, $-O-CH_2-CH_2-CH_2-CH_3$, $-O-C(CH_3)_3$, $-S-CH_3$, $-S-C_2H_5$, $-S-CH_2-CH_2-CH_3$, $-S-CH(CH_3)_2$, $-S-CH_2-CH_2-CH_2-CH_3$, $-S-C(CH_3)_3$, F, Cl, Br, I, $-CN$, $-OCF_3$, $-SCF_3$, $-SCF_2H$, $-SCFH_2$, $-OH$, $-SH$, $-NO_2$, $-CHO$, $-C(=O)-CH_3$, $-C(=O)-C_2H_5$, $-C(=O)-C(CH_3)_3$, $-CF_2H$, $-CFH_2$, $-C(=O)-NH_2$, $-C(=O)-NH-CH_3$, $-C(=O)-NH-C_2H_5$, $-C(=O)-NH-C_3H_7$, $-C(=O)-N(CH_3)_2$, $-C(=O)-N(C_2H_5)_2$, $-S(=O)-CH_3$, $-S(=O)-C_2H_5$, $-S(=O)-C_3H_7$, $-S(=O)_2-CH_3$, $-S(=O)_2-C_2H_5$, $-S(=O)_2-C_3H_7$, $-NH_2$, $-NH-CH_3$, $-NH-C_2H_5$, $-N(CH_3)_2$ and $-N(C_2H_5)_2$;

or a radical selected from the group consisting of phenyl, naphthyl, pyridinyl, furyl (furanyl), thienyl (thiophenyl), pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, imidazolyl, pyrazolyl, oxadiazolyl, thiadiazolyl, triazolyl, pyridazinyl, indolyl and isoindolyl, which may be bonded via a $-(CH_2)-$, $-(CH_2)-(CH_2)-$, $-(CH_2)-(CH_2)-(CH_2)-$ or $-CH=CH-$ group and/or may optionally be substituted with 1, 2, 3, 4 or 5 substituent(s) independently selected from the group consisting of $-CF_3$, methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, 2-butyl, tert-butyl, n-pentyl, 2-pentyl, n-hexyl, $-O-CH_3$, $-O-C_2H_5$, $-O-CH_2-CH_2-CH_3$, $-O-CH(CH_3)_2$, $-O-CH_2-CH_2-CH_2-CH_3$, $-O-C(CH_3)_3$, $-S-CH_3$, $-S-C_2H_5$, $-S-CH_2-CH_2-CH_3$, $-S-CH(CH_3)_2$, $-S-CH_2-CH_2-CH_2-CH_3$, $-S-C(CH_3)_3$, F, Cl, Br, I, $-CN$, $-OCF_3$, $-SCF_3$, $-SCF_2H$, $-SCFH_2$, $-OH$, $-SH$, $-NO_2$, $-CHO$, $-C(=O)-CH_3$, $-C(=O)-C_2H_5$, $-C(=O)-C(CH_3)_3$, $-CF_2H$, $-CFH_2$, $-C(=O)-NH_2$, $-C(=O)-NH-CH_3$, $-C(=O)-NH-C_2H_5$, $-C(=O)-NH-C_3H_7$, $-C(=O)-N(CH_3)_2$, $-C(=O)-N(C_2H_5)_2$, $-S(=O)-CH_3$, $-S(=O)-C_2H_5$, $-S(=O)-C_3H_7$, $-S(=O)_2-CH_3$,

-S(=O)₂-C₂H₅, -S(=O)₂-C₃H₇, -NH₂, -NH-CH₃, -NH-C₂H₅, -N(CH₃)₂ and -N(C₂H₅)₂;

R¹⁶, R¹⁷, R¹⁸, R¹⁹, R²³, R²⁴, R²⁵, R²⁶, R²⁷, R²⁸, R²⁹, R³⁰, R³¹, R³², R³³, R³⁴, R³⁵,
 5 R³⁷ and R³⁸, independently of one another, in each case represent

a radical selected from the group consisting of methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, sec-butyl, tert-butyl, n-pentyl, 2-pentyl, 3-pentyl, neo-pentyl, n-hexyl, 2-hexyl, 3-hexyl, n-heptyl, 2-heptyl, 3-heptyl, 4-heptyl, n-octyl, 2-octyl, 3-octyl, 4-octyl, vinyl, n-propenyl, n-butenyl, n-pentenyl, n-hexenyl, ethinyl, propinyl, n-butylinyl, n-pentynyl and n-hexynyl, which may optionally be substituted with 1, 2, 3, 4, 5, 6, 7, 8 or 9

substituent(s) independently selected from the group consisting of -OH, -SH, F, Cl, Br, I, -O-C₁₋₆-alkyl, -OCF₃, -O-C₂F₅, -O-C₃F₇, -O-C₄F₉, -O-phenyl, -O-benzyl, -S-C₁₋₆-alkyl, -S-phenyl, -S-benzyl, -CF₃, -C₂F₅, -C₃F₇, -C₄F₉, -NH₂, -NH-C₁₋₆-alkyl, -N(C₁₋₆-alkyl)₂, -NH-phenyl, -NH-benzyl, -N(C₁₋₆-alkyl)-phenyl, -N(C₁₋₆-alkyl)-benzyl, -N⁺(C₁₋₆-alkyl)₃, -C(=O)-OH, -C(=O)-O-C₁₋₆-alkyl, -C(=O)-O-benzyl, -C(=O)-O-phenyl, -C(=O)-NH₂, -C(=O)-NH-C₁₋₆-alkyl, -C(=O)-N(C₁₋₆-alkyl)₂, -C(=O)-NH-phenyl, -C(=O)-NH-benzyl, -CN, -NO₂, -S(=O)-NH₂, -CHO, -C(=O)-C₁₋₆-alkyl, -C(=O)-benzyl, -C(=O)-phenyl, -S(=O)-C₁₋₆-alkyl, -S(=O)₂-C₁₋₆-alkyl, -S(=O)-phenyl, -S(=O)₂-phenyl, -S(=O)-benzyl, -S(=O)-phenyl, -O-S(=O)-C₁₋₆-alkyl-, -O-C(=O)-C₁₋₆-alkyl, -O-S(=O)-phenyl, -O-S(=O)-benzyl, -O-S(=O)₂-C₁₋₆-alkyl-, -O-S(=O)₂-phenyl, -O-S(=O)₂-benzyl, -NH-S(=O)-C₁₋₆-alkyl, -NH-C(=O)-O-C₁₋₆-alkyl and -NH-C(=O)-C₁₋₆-alkyl;

whereby in each case the cyclic moieties phenyl and benzyl can optionally be substituted with 1, 2, 3, 4 or 5 substituent(s) independently selected from the group consisting of F, Cl, Br, I, -OH, -C(=O)-OH, -C(=O)-O-C₁₋₆-alkyl, -C(=O)-H, -C(=O)-C₁₋₆-alkyl, -CF₃, -CN, -NO₂, -C₁₋₆-alkyl, -O-C₁₋₆-alkyl, -O-CF₃ and -S-CF₃.

or a radical selected from the group consisting of phenyl, naphthyl, pyridinyl, furyl (furanyl), thienyl (thiophenyl), pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, imidazolyl, pyrazolyl, oxadiazolyl, thiadiazolyl, triazolyl, pyridazinyl, indolyl and isoindolyl, which may be

bonded via a $-(CH_2)-$, $-(CH_2)-(CH_2)-$, $-(CH_2)-(CH_2)-(CH_2)-$ or $-CH=CH-$ group and/or may optionally be substituted with 1, 2, 3, 4 or 5 substituent(s) independently selected from the group consisting of $-CF_3$, methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, 2-butyl, tert-butyl, n-pentyl, 2-pentyl, n-hexyl, $-O-CH_3$, $-O-C_2H_5$, $-O-CH_2-CH_2-CH_3$, $-O-CH(CH_3)_2$, $-O-CH_2-CH_2-CH_2-CH_3$, $-O-C(CH_3)_3$, $-S-CH_3$, $-S-C_2H_5$, $-S-CH_2-CH_2-CH_3$, $-S-CH(CH_3)_2$, $-S-CH_2-CH_2-CH_2-CH_3$, $-S-C(CH_3)_3$, F, Cl, Br, I, $-CN$, $-OCF_3$, $-SCF_3$, $-SCF_2H$, $-SCFH_2$, $-OH$, $-SH$, $-NO_2$, $-CHO$, $-C(=O)-CH_3$, $-C(=O)-C_2H_5$, $-C(=O)-C(CH_3)_3$, $-CF_2H$, $-CFH_2$, $-C(=O)-NH_2$, $-C(=O)-NH-CH_3$, $-C(=O)-NH-C_2H_5$, $-C(=O)-NH-C_3H_7$, $-C(=O)-N(CH_3)_2$, $-C(=O)-N(C_2H_5)_2$, $-S(=O)-CH_3$, $-S(=O)-C_2H_5$, $-S(=O)-C_3H_7$, $-S(=O)_2-CH_3$, $-S(=O)_2-C_2H_5$, $-S(=O)_2-C_3H_7$, $-NH_2$, $-NH-CH_3$, $-NH-C_2H_5$, $-N(CH_3)_2$, $-N(C_2H_5)_2$, $-O-C(=O)-CH_3$, $-O-C(=O)-C_2H_5$, $-O-C(=O)-CH(CH_3)_2$, $-O-C(=O)-CH_2-CH_2-CH_3$, $-CH_2-N(CH_3)_2$, $-(CH_2)-N(C_2H_5)_2$, $-CH_2-N(C_3H_7)_2$, $-CH_2-N(C_4H_9)_2$, $-CH_2-N(CH_3)(C_2H_5)$ and $-(CH_2)$ -morpholinyl;

R^{21} and R^{22} , independently of another, in each case represent hydrogen;

a radical selected from the group consisting of methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, sec-butyl, tert-butyl, n-pentyl, 2-pentyl, 3-pentyl, neo-pentyl, n-hexyl, 2-hexyl, 3-hexyl, n-heptyl, 2-heptyl, 3-heptyl, 4-heptyl, n-octyl, 2-octyl, 3-octyl, 4-octyl, 2-(6-methyl)-heptyl, 2-(5-methyl)-heptyl, 2-(5-methyl)-hexyl, 2-(4-methyl)-hexyl, 2-(7-methyl)-octyl; 2-(6-methyl)-octyl, vinyl, n-propenyl, n-butenyl, n-pentenyl, n-hexenyl, ethinyl, propinyl, n-butylinyl, n-pentinylinyl and n-hexinylinyl, which may optionally be substituted with 1, 2, 3, 4, 5, 6, 7, 8 or 9 substituent(s) independently selected from the group consisting of $-OH$, F, Cl, Br, I, $-O-CH_3$, $-O-C_2H_5$, $-O-CH_2-CH_2-CH_3$, $-O-CH(CH_3)_2$, $-O-CH_2-CH_2-CH_2-CH_3$, $-O-C(CH_3)_3$, $-NH_2$, $-NH-CH_3$, $-NH-C_2H_5$, $-N(CH_3)_2$, $-N(C_2H_5)_2$, $-CN$ and $-NO_2$;

a radical selected from the group consisting of (2,3)-dihydro-1H-cyclopenta[b]indolyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, cyclooctyl, cyclononyl, cyclodecyl, cycloundecyl,

cyclododecyl, cyclotridecyl, cyclotetradecyl, cyclopentenyl, cyclohexenyl, cycloheptenyl, cyclooctenyl, pyrrolidinyl, piperidinyl, piperazinyl, homopiperazinyl, morpholinyl, aziridinyl, azetidiny, imidazolidinyl, thiomorpholinyl, pyrazolidinyl, tetrahydrofuranyl, tetrahydrothiophenyl, azepanyl, diazepanyl, azocanyl, (2,5)-dihydrofuranyl, (2,5)-dihydrothiophenyl, (2,3)-dihydrofuranyl, (2,3)-dihydrofuranyl, (2,5)-dihydro-1H-pyrrolyl, (2,3)-dihydro-1H-pyrrolyl, tetrahydrothiopyranyl, tetrahydropyranyl, (3,4)-dihydro-2H-pyranyl, (3,4)-dihydro-2H-thiopyranyl, (1,2,3,6)-tetrahydropyridinyl, (1,2,3,4)-tetrahydropyridinyl, (1,2,5,6)-tetrahydropyridinyl, [1,3]-oxazinanyl, hexahydropyrimidinyl, (5,6)-dihydro-4H-pyrimidinyl, oxazolidinyl, (1,3)-dioxanyl, (1,4)-dioxanyl, (1,3)-dioxolanyl, indolinyl, isoindolinyl, decahydronaphthyl, (1,2,3,4)-tetrahydroquinolinyl, (1,2,3,4)-tetrahydroisoquinolinyl, octahydro-cyclopenta[c]pyrrolyl, (1,3,4,7,9a)-hexahydro-2H-quinolizinyl, (1,2,3,5,6,8a)-hexahydro-indolizinyl, decahydroquinolinyl, dodecahydro-carbazolyl, 9H-carbazolyl, decahydroisoquinolinyl, (6,7)-dihydro-4H-thieno[3,2-c]pyridinyl, (2,3)-dihydro-1H-benzo[de]isoquinolinyl, (1,2,3,4)-tetrahydroquinoxazlinyl, adamantyl, bicyclo[2.2.1]heptyl, bicyclo[3.1.1]heptyl, norbornenyl, 8-aza-bicyclo[3.2.1]octyl and 8-aza-spiro[4.5]decanyl, which may be bonded via a $-(CH_2)-$, $-(CH_2)-(CH_2)-$, $-(CH_2)-(CH_2)-(CH_2)-$ or $-CH=CH-$ group and/or may optionally be substituted with 1, 2, 3, 4 or 5 substituent(s) independently selected from the group consisting of oxo ($=O$), thioxo ($=S$), $-CF_3$, methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, 2-butyl, tert-butyl, n-pentyl, 2-pentyl, n-hexyl, $-O-CH_3$, $-O-C_2H_5$, $-O-CH_2-CH_2-CH_3$, $-O-CH(CH_3)_2$, $-O-CH_2-CH_2-CH_2-CH_3$, $-O-C(CH_3)_3$, $-O-CH_2-O-CH_3$, $-O-CH_2-CH_2-O-CH_3$, $-O-CH_2-O-C_2H_5$, $-C(OCH_3)(C_2H_5)_2$, $-C(OCH_3)(CH_3)_2$, $-S-CH_3$, $-S-C_2H_5$, $-S-CH_2-CH_2-CH_3$, $-S-CH(CH_3)_2$, $-S-CH_2-CH_2-CH_2-CH_3$, $-S-C(CH_3)_3$, F, Cl, Br, I, $-CN$, $-OCF_3$, $-SCF_3$, $-SCF_2H$, $-SCFH_2$, $-OH$, $-SH$, $-NO_2$, $-CHO$, $-C(=O)-CH_3$, $-C(=O)-C_2H_5$, $-C(=O)-C(CH_3)_3$, $-C(=O)-OH$, $-C(=O)-O-CH_3$, $-C(=O)-O-C_2H_5$, $-C(=O)-O-C(CH_3)_3$, $-CF_2H$, $-CFH_2$, $-C(=O)-NH_2$, $-C(=O)-NH-CH_3$, $-C(=O)-NH-C_2H_5$, $-C(=O)-NH-C_3H_7$, $-C(=O)-N(CH_3)_2$, $-C(=O)-N(C_2H_5)_2$, $-S(=O)-CH_3$, $-S(=O)-C_2H_5$, $-S(=O)-C_3H_7$, $-S(=O)_2-CH_3$, $-S(=O)_2-C_2H_5$, $-S(=O)_2-C_3H_7$, -

NH₂, -NH-CH₃, -NH-C₂H₅, -N(CH₃)₂, -N(C₂H₅)₂, cyclopentyl, cyclohexyl, pyrrolidinyl and piperidinyl;

or a radical selected from the group consisting of phenyl, naphthyl, pyridinyl, furyl (furanyl), thienyl (thiophenyl), pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, imidazolyl, pyrazolyl, oxadiazolyl, thiadiazolyl, triazolyl, pyridazinyl, indolyl and isoindolyl, which may be bonded via a -(CH₂)-, -(CH₂)-(CH₂)-, -(CH₂)-(CH₂)-(CH₂)- or -CH=CH- group and/or may optionally be substituted with 1, 2, 3, 4 or 5

substituent(s) independently selected from the group consisting of -CF₃, methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, 2-butyl, tert-butyl, n-pentyl, 2-pentyl, n-hexyl, -O-CH₃, -O-C₂H₅, -O-CH₂-CH₂-CH₃, -O-CH(CH₃)₂, -O-CH₂-CH₂-CH₂-CH₃, -O-C(CH₃)₃, -S-CH₃, -S-C₂H₅, -S-CH₂-CH₂-CH₃, -S-CH(CH₃)₂, -S-CH₂-CH₂-CH₂-CH₃, -S-C(CH₃)₃, F, Cl, Br, I, -CN, -OCF₃, -SCF₃, -SCF₂H, -SCFH₂, -OH, -SH, -NO₂, -CHO, -C(=O)-CH₃, -C(=O)-C₂H₅, -C(=O)-C(CH₃)₃, -CF₂H, -CFH₂, -C(=O)-NH₂, -C(=O)-NH-CH₃, -C(=O)-NH-C₂H₅, -C(=O)-NH-C₃H₇, -C(=O)-N(CH₃)₂, -C(=O)-N(C₂H₅)₂, -S(=O)-CH₃, -S(=O)-C₂H₅, -S(=O)-C₃H₇, -S(=O)₂-CH₃, -S(=O)₂-C₂H₅, -S(=O)₂-C₃H₇, -NH₂, -NH-CH₃, -NH-C₂H₅, -N(CH₃)₂ and -N(C₂H₅)₂;

and R³⁶ represents a radical selected from the group consisting of methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, sec-butyl, tert-butyl, n-pentyl, 2-pentyl, 3-pentyl, neo-pentyl, n-hexyl, 2-hexyl, 3-hexyl, n-heptyl, 2-heptyl, 3-heptyl, 4-heptyl, n-octyl, 2-octyl, 3-octyl, 4-octyl, vinyl, n-propenyl, n-butenyl, n-pentenyl, n-hexenyl, ethinyl, propinyl, n-butylinyl, n-pentinylinyl and n-hexinylinyl, which may optionally be substituted with 1, 2, 3, 4, 5, 6, 7, 8 or 9 substituent(s) independently selected from the group consisting of -OH, -SH, F, Cl, Br, I, -O-C₁₋₆-alkyl, -OCF₃, -O-C₂F₅, -O-C₃F₇, -O-C₄F₉, -O-phenyl, -O-benzyl, -S-C₁₋₆-alkyl, -S-phenyl, -S-benzyl, -CF₃, -C₂F₅, -C₃F₇, -C₄F₉, -NH₂, -NH-C₁₋₆-alkyl, -N(C₁₋₆-alkyl)₂, -NH-phenyl, -NH-benzyl, -N(C₁₋₆-alkyl)-phenyl, -O-C(=O)-C₁₋₆-alkyl, -N(C₁₋₆-alkyl)-benzyl, -N⁺(C₁₋₆-alkyl)₃, -C(=O)-OH, -C(=O)-O-C₁₋₆-alkyl, -C(=O)-O-benzyl, -C(=O)-O-phenyl, -C(=O)-NH₂, -C(=O)-NH-C₁₋₆-alkyl, -C(=O)-

$N(C_{1-6}\text{-alkyl})_2$, $-C(=O)\text{-NH-phenyl}$, $-C(=O)\text{-NH-benzyl}$, $-\text{CN}$, $-\text{NO}_2$, $-\text{S}(=O)\text{-NH}_2$, $-\text{CHO}$, $-C(=O)\text{-C}_{1-6}\text{-alkyl}$, $-C(=O)\text{-benzyl}$, $-C(=O)\text{-phenyl}$, $-\text{S}(=O)\text{-C}_{1-6}\text{-alkyl}$, $-\text{S}(=O)_2\text{-C}_{1-6}\text{-alkyl}$, $-\text{S}(=O)\text{-phenyl}$, $-\text{S}(=O)_2\text{-phenyl}$, $-\text{S}(=O)\text{-benzyl}$, $-\text{S}(=O)\text{-phenyl}$, $-\text{O-S}(=O)\text{-C}_{1-6}\text{-alkyl}$, $-\text{O-S}(=O)\text{-phenyl}$, $-\text{O-S}(=O)\text{-benzyl}$, $-\text{O-S}(=O)_2\text{-C}_{1-6}\text{-alkyl}$, $-\text{O-S}(=O)_2\text{-phenyl}$, $-\text{O-S}(=O)_2\text{-benzyl}$, $-\text{NH-S}(=O)\text{-C}_{1-6}\text{-alkyl}$, $-\text{NH-C}(=O)\text{-O-C}_{1-6}\text{-alkyl}$ and $-\text{NH-C}(=O)\text{-C}_{1-6}\text{-alkyl}$; whereby in each case the cyclic moieties phenyl and benzyl can optionally be substituted with 1, 2, 3, 4 or 5 substituent(s) independently selected from the group consisting of F, Cl, Br, I, $-\text{OH}$, $-\text{C}(=O)\text{-OH}$, $-\text{C}(=O)\text{-O-C}_{1-6}\text{-alkyl}$, $-\text{C}(=O)\text{-H}$, $-\text{C}(=O)\text{-C}_{1-6}\text{-alkyl}$, $-\text{CF}_3$, $-\text{CN}$, $-\text{NO}_2$, $-\text{C}_{1-6}\text{-alkyl}$, $-\text{O-C}_{1-6}\text{-alkyl}$, $-\text{O-CF}_3$ and $-\text{S-CF}_3$;

optionally in form of one of its stereoisomers, preferably enantiomers or diastereomers, a racemate or in form of a mixture of at least two of its stereoisomers, preferably enantiomers and/or diastereomers, in any mixing ratio, or a corresponding N-oxide thereof, or a physiologically acceptable salt thereof, or a corresponding solvate thereof.

4. A compound according to one or more of claims 1 to 3, characterized in that

n is 1;

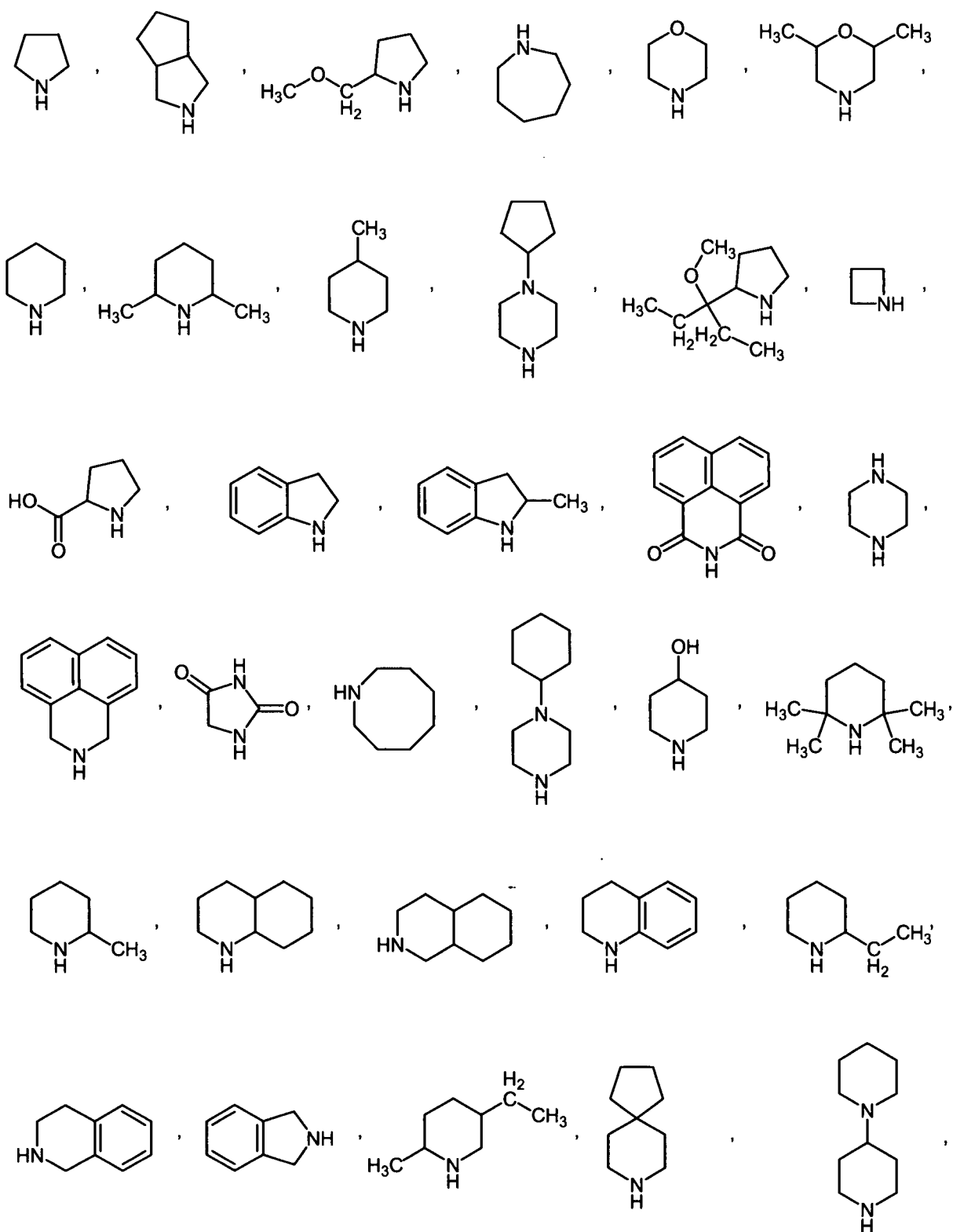
X is O or S;

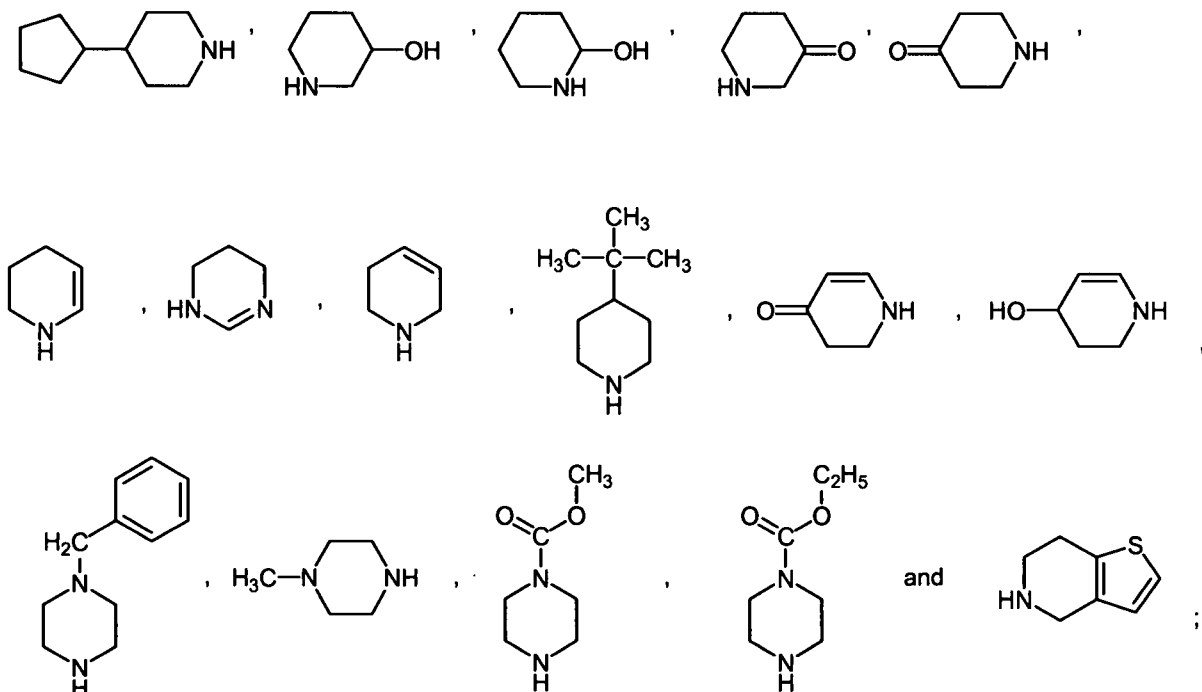
R^1 represents $-\text{C}(=O)\text{-OR}^{23}$; $-\text{C}(=O)\text{-OH}$; $-\text{P}(=O)\text{-(OR}^{24})_2$; $-\text{P}(=O)\text{-(OH)}_2$; $-\text{C}(=O)\text{-NH}_2$; $-\text{C}(=O)\text{-NH-R}^{25}$; $-\text{C}(=O)\text{-NR}^{26}\text{R}^{27}$; $-\text{C}(=O)\text{-H}$; $-\text{C}(=O)\text{-R}^{28}$; $-\text{S}(=O)\text{-R}^{29}$; $-(\text{CHR}^{36})_m\text{-O-C}(=O)\text{-R}^{30}$ with m being 1, 2 or 3; $-(\text{CHR}^{36})_o\text{-O-C}(=O)\text{-OR}^{31}$ with o being 1, 2 or 3; $-(\text{CHR}^{36})_p\text{-O-P}(=O)\text{-(OR}^{32})_2$ with p being 1, 2 or 3; $-(\text{CHR}^{36})_q\text{-O-C}(=O)\text{-NH-R}^{33}$ with q being 1, 2 or 3; $-(\text{CHR}^{36})_r\text{-O-C}(=O)\text{-NR}^{34}\text{R}^{35}$ with r being 1, 2 or 3; $-\text{S}(=O)_2\text{-R}^{37}$; $-\text{C}(=\text{S})\text{-OR}^{38}$ or $-\text{C}(=\text{S})\text{-R}^{39}$;

R² represents a radical selected from the group consisting of phenyl, naphthyl, pyridinyl, furyl (furanyl), thienyl (thiophenyl), pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, imidazolyl, pyrazolyl, oxadiazolyl, thiadiazolyl, triazolyl, pyridazinyl, indolyl, isoindolyl, pyrimidinyl, pyrazinyl, quinolinyl, isoquinolinyl, benzo[b]furanyl, benzo[b]thiophenyl, benzo[2,1,3]thiadiazolyl, [1,2,3]-benzothiadiazolyl, [2,1,3]-benzoxadiazolyl, [1,2,3]-benzoxadiazolyl, benzoxazolyl, benzthiazolyl, benzisoxazolyl, benzisothiazolyl and imidazo[2,1-b]thiazolyl, which may optionally be substituted with 1, 2, 3, 4 or 5 substituent(s) independently selected from the group consisting of -CF₃, methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, 2-butyl, tert-butyl, n-pentyl, 2-pentyl, n-hexyl, -OH, -O-CH₃, -O-C₂H₅, F, Cl, Br, I, -CN, -OCF₃, -SCF₃, -SCF₂H and -SCFH₂;

or a radical selected from the group consisting of cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, cyclooctyl, cyclononyl, cyclopentenyl, cyclohexenyl, cycloheptenyl, cyclooctenyl, pyrrolidinyl, piperidinyl, piperazinyl, homopiperazinyl, morpholinyl, aziridinyl, azetidiny, imidazolidinyl, thiomorpholinyl, pyrazolidinyl, tetrahydrofuranyl, tetrahydrothiophenyl, azepanyl and diazepanyl, which may optionally be substituted with 1, 2, 3, 4 or 5 substituent(s) independently selected from the group consisting of -CF₃, methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, 2-butyl, tert-butyl, n-pentyl, 2-pentyl, n-hexyl, F, Cl, Br, I, -CN, -OCF₃, -SCF₃, -SCF₂H and -SCFH₂;

R^3 represents a radical selected from the group consisting of





which is in each case bonded to the pyrazoline compound of general formula I in any position of the cyclic part of the aforementioned radicals including the NH-groups, preferably said radicals are bonded to the pyrazoline compound of general formula I at the nitrogen atom of the cyclic part of the aforementioned radicals;

a $-O-R^6$ moiety, a $-NR^7R^8$ moiety or a $-NR^9-O-R^{10}$ moiety;

R^4 represents H; F; Cl; Br; I; -CN; -NO₂; -NC; -OH; -NH₂; -SH; -C(=O)-H; -C(=O)-OH; -C(=O)-OR¹⁷;

a radical selected from the group consisting of methyl, -CF₃, -CH₂F, -CF₂H, -C₂F₅, ethyl, -CH₂-CN, -CH₂-OH, n-propyl, isopropyl, -CH₂-CH₂-CN, -CH₂-CH₂-OH, n-butyl, -CH₂-CH₂-CH₂-CN, -CH₂-CH₂-CH₂-OH, isobutyl, sec-butyl, tert-butyl, n-pentyl, 2-pentyl, 3-pentyl, neo-pentyl, n-hexyl, 2-hexyl and 3-hexyl;

a radical selected from the group consisting of phenyl, naphthyl, pyridinyl, furyl (furanyl), thienyl (thiophenyl) and pyrrolyl, which may be

bonded via a $-(CH_2)-$ group and/or may optionally be substituted with 1, 2, 3, 4 or 5 substituent(s) independently selected from the group consisting of $-CF_3$, methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, 2-butyl, tert-butyl, n-pentyl, 2-pentyl, n-hexyl, $-O-CH_3$, $-O-C_2H_5$, F, Cl and Br;

a $-O-R^{11}$ moiety; a $-S-R^{12}$ moiety, a $-NH-R^{13}$ moiety or a $-NR^{14}R^{15}$ moiety;

R^5 represents H; F; Cl; Br; $-C(=O)-OH$; $-C(=O)-OR^{17}$; or an alkyl radical selected from the group consisting of methyl, ethyl, n-propyl, isopropyl and n-butyl;

or R^4 and R^5 together with the bridging carbon atom form a radical selected from the group consisting of cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, cyclooctyl, cyclopentenyl, cyclohexenyl, cycloheptenyl and cyclooctenyl;

R^6 represents a hydrogen atom; a radical selected from the group consisting of methyl, $-CF_3$, $-CH_2F$, $-CF_2H$, $-CH_2-O-CH_3$, $-C_2F_5$, $-CH_2-CH_2-F$, ethyl, $-CH_2-CN$, $-CH_2-OH$, n-propyl, isopropyl, $-CH_2-CH_2-CN$, $-CH_2-CH_2-OH$, $-CH_2-CH_2-OCH_3$, n-butyl, $-CH_2-CH_2-CH_2-CN$, $-CH_2-CH_2-CH_2-OH$, $-CH_2-CH_2-CH_2-O-CH_3$, isobutyl, sec-butyl, tert-butyl, n-pentyl, $-CH_2-CH_2-CH_2-CH_2-O-CH_3$, 2-pentyl, 3-pentyl, neo-pentyl, n-hexyl, 2-hexyl, 3-hexyl, n-heptyl and n-octyl;

a radical selected from the group consisting of cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, pyrrolidinyl, piperidinyl, piperazinyl, homopiperazinyl, morpholinyl, imidazolidinyl, azepanyl, 8-azabicyclo[3.2.1]octyl and diazepanyl, which may be bonded via a $-(CH_2)-$, $-(CH_2)-(CH_2)-$, $-(CH_2)-(CH_2)-(CH_2)-$ or $-CH=CH-$ group and/or may optionally be substituted with 1, 2, 3, 4 or 5 substituent(s) independently selected from the group consisting of oxo ($=O$), thioxo ($=S$), methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, 2-butyl, tert-butyl, n-pentyl, 2-

pentyl, n-hexyl, -O-CH₃, -O-C₂H₅, -O-CH₂-CH₂-CH₃, -O-CH(CH₃)₂, -O-CH₂-CH₂-CH₂-CH₃ and -O-C(CH₃)₃;

a radical selected from the group consisting of pyridinyl, furyl (furanyl), thienyl (thiophenyl), pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl and imidazolyl, which may be bonded via a -(CH₂)-, -(CH₂)-(CH₂)-, -(CH₂)-(CH₂)-(CH₂)- or -CH=CH-group and/or may optionally be substituted with 1, 2, 3, 4 or 5 substituent(s) independently selected from the group consisting of methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, 2-butyl, tert-butyl, n-pentyl, 2-pentyl, n-hexyl, -O-CH₃, -O-C₂H₅, -O-CH₂-CH₂-CH₃, -O-CH(CH₃)₂, -O-CH₂-CH₂-CH₂-CH₃, -O-C(CH₃)₃, F, Cl, Br, I, -O-C(=O)-CH₃, -O-C(=O)-C₂H₅, -O-C(=O)-CH(CH₃)₂, -O-C(=O)-CH₂-CH₂-CH₃, -CH₂-N(CH₃)₂, -(CH₂)-N(C₂H₅)₂, -CH₂-N(C₃H₇)₂, -CH₂-N(C₄H₉)₂, -CH₂-N(CH₃)(C₂H₅) and -(CH₂)-morpholinyl;

a -P(=O)(OR¹⁶)₂ moiety; a -C(=O)-OR¹⁷ moiety; a -C(=O)-NH-R¹⁸ moiety or a -C(=O)-R¹⁹ moiety.

R⁷ and R⁸, independently of another, in each case represent a hydrogen atom;

a radical selected from the group consisting of methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, sec-butyl, tert-butyl, n-pentyl, 2-pentyl, 3-pentyl, neo-pentyl, n-hexyl, 2-hexyl, 3-hexyl, n-heptyl, 2-heptyl, 3-heptyl, 4-heptyl, n-octyl, 2-octyl, 3-octyl, 4-octyl, 2-(6-methyl)-heptyl, 2-(5-methyl)-heptyl, 2-(5-methyl)-hexyl, 2-(4-methyl)-hexyl, 2-(7-methyl)-octyl; 2-(6-methyl)-octyl, -CH₂-NH₂, -CH₂-N(CH₃)₂, -CH₂-CH-NH₂, -CH₂-CH₂-N(CH₃)₂, -CH₂-CH₂-N(C₂H₅)₂, -CH₂-CH₂-CH₂-NH₂, -CH₂-CH₂-CH₂-N(CH₃)₂ and -CH₂-CH₂-CH₂-N(C₂H₅)₂;

a radical selected from the group consisting of morpholinyl, piperidinyl, pyrrolidinyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, cyclooctyl, cyclononyl, cyclodecyl, cycloundecyl, cyclododecyl, cyclotridecyl, cyclotetradecyl, [1,2,3,4]-tetrahydronaphthyl and bicyclo[2.2.1]heptyl, which may be bonded via a -(CH₂)-, -(CH₂)-(CH₂)-,

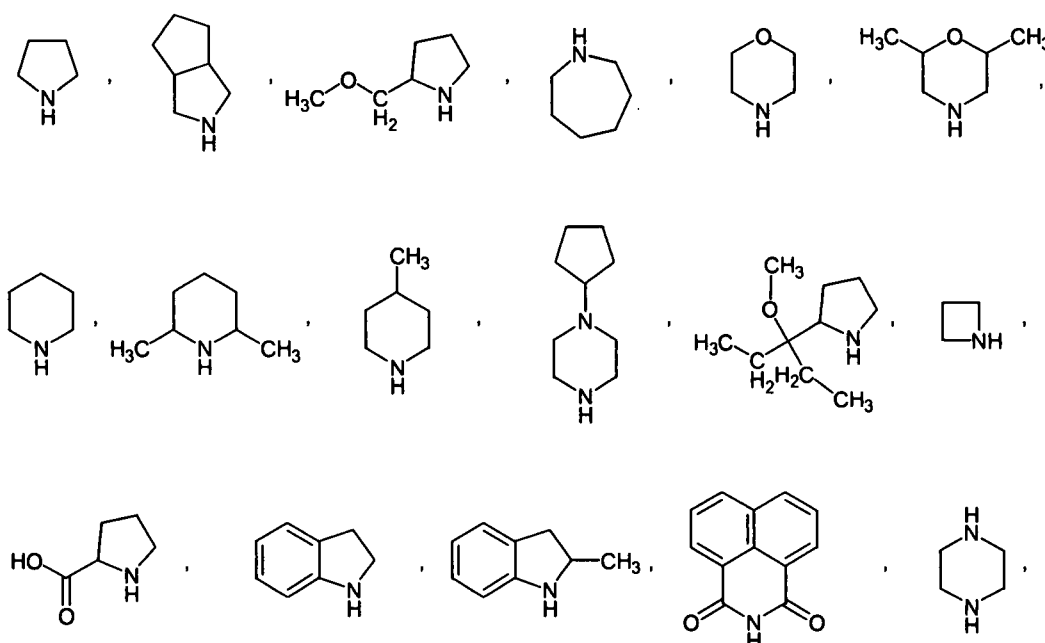
-(CH₂)-(CH₂)-(CH₂)- or -CH=CH-group and/or may optionally be substituted with 1, 2, 3, 4 or 5 substituent(s) independently selected from the group consisting of -OH, -O-CH₃, -O-C₂H₅, -O-Benzyl, benzyl, methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, 2-butyl, tert-butyl, n-pentyl, 2-pentyl and n-hexyl;

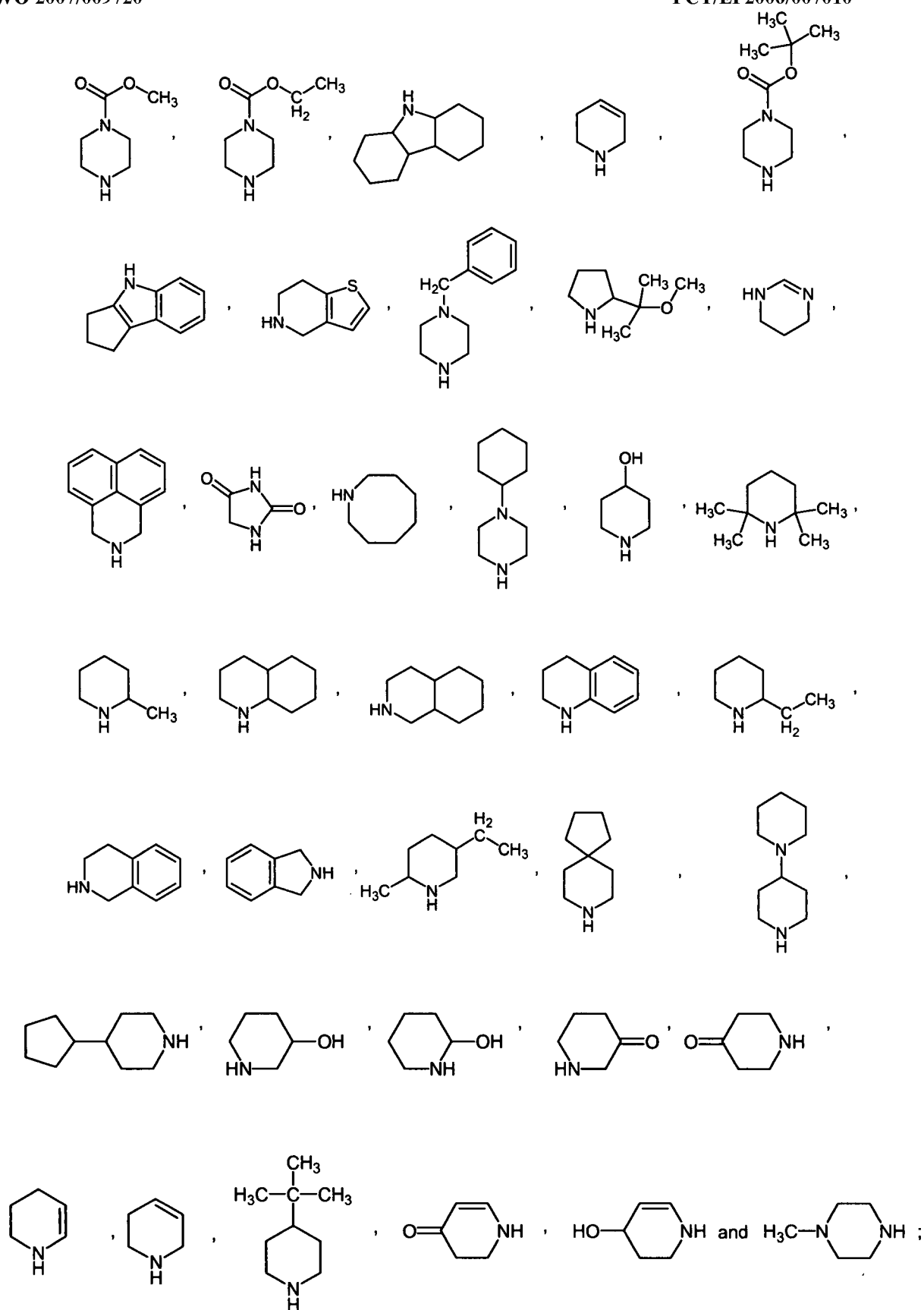
a radical selected from the group consisting of phenyl, naphthyl, pyridinyl, furyl (furanyl), thienyl (thiophenyl) and triazolyl, which may be bonded via a -(CH₂)-, -(CH₂)-(CH₂)-, -(CH₂)-(CH₂)-(CH₂)- or -CH=CH-group and/or may optionally be substituted with 1, 2, 3, 4 or 5 substituent(s) independently selected from the group consisting of -CF₃, methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, 2-butyl, tert-butyl, n-pentyl, 2-pentyl, n-hexyl, F, Cl and Br;

a -S(=O)₂-R²⁰ moiety;

a -NR²¹R²² moiety;

a radical selected from the group consisting of





which is in each case bonded to the pyrazoline compound of general formula I in any position of the cyclic part of the aforementioned radicals including the NH-groups, optionally via a $-(CH_2)-$ or $-(CH_2)-(CH_2)-$ group, preferably said radicals are bonded to the pyrazoline compound of general formula I at the nitrogen atom of the cyclic part of the aforementioned radicals;

R^9 represents hydrogen;

R^{10} , R^{11} , R^{12} , R^{13} , R^{14} , R^{15} and R^{20} , independently of another, in each case represent a radical selected from the group consisting of methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, sec-butyl, tert-butyl, n-pentyl, 2-pentyl, 3-pentyl, neo-pentyl, n-hexyl, 2-hexyl, 3-hexyl, n-heptyl, 2-heptyl, 3-heptyl, 4-heptyl, n-octyl, 2-octyl, 3-octyl, 4-octyl, 2-(6-methyl)-heptyl, 2-(5-methyl)-heptyl, 2-(5-methyl)-hexyl, 2-(4-methyl)-hexyl, 2-(7-methyl)-octyl; 2-(6-methyl)-octyl, vinyl, n-propenyl, n-butenyl, n-pentenyl, n-hexenyl, ethinyl, propinyl, n-butynyl, n-pentynyl and n-hexynyl, which may optionally be substituted with 1, 2, 3, 4, 5, 6, 7, 8 or 9 substituent(s) independently selected from the group consisting of $-OH$, F , Cl , Br , I , $-O-CH_3$, $-O-C_2H_5$, $-O-CH_2-CH_2-CH_3$, $-O-CH(CH_3)_2$, $-O-CH_2-CH_2-CH_2-CH_3$, $-O-C(CH_3)_3$, $-NH_2$, $-NH-CH_3$, $-NH-C_2H_5$, $-N(CH_3)_2$, $-N(C_2H_5)_2$, $-CN$ and $-NO_2$;

a radical selected from the group consisting of cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, cyclooctyl, cyclononyl, cyclodecyl, cyclopentenyl, cyclohexenyl, cycloheptenyl, cyclooctenyl, pyrrolidinyl, piperidinyl, piperazinyl, homopiperazinyl, morpholinyl, aziridinyl, azetidinyl, imidazolidinyl, thiomorpholinyl, pyrazolidinyl, tetrahydrofuranyl, tetrahydrothiophenyl, azepanyl, 8-aza-bicyclo[3.2.1]octyl and diazepanyl, which may be bonded via a $-(CH_2)-$, $-(CH_2)-(CH_2)-$, $-(CH_2)-(CH_2)-(CH_2)-$ or $-CH=CH-$ group and/or may optionally be substituted with 1, 2, 3, 4 or 5 substituent(s) independently selected from the group consisting of oxo ($=O$), thioxo ($=S$), $-CF_3$,

methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, 2-butyl, tert-butyl, n-
 pentyl, 2-pentyl, n-hexyl, -O-CH₃, -O-C₂H₅, -O-CH₂-CH₂-CH₃, -O-
 CH(CH₃)₂, -O-CH₂-CH₂-CH₂-CH₃, -O-C(CH₃)₃, -S-CH₃, -S-C₂H₅, -S-
 CH₂-CH₂-CH₃, -S-CH(CH₃)₂, -S-CH₂-CH₂-CH₂-CH₃, -S-C(CH₃)₃, F, Cl,
 5 Br, I, -CN, -OCF₃, -SCF₃, -SCF₂H, -SCFH₂, -OH, -SH, -NO₂, -CHO, -
 C(=O)-CH₃, -C(=O)-C₂H₅, -C(=O)-C(CH₃)₃, -CF₂H, -CFH₂, -C(=O)-NH₂, -
 C(=O)-NH-CH₃, -C(=O)-NH-C₂H₅, -C(=O)-NH-C₃H₇, -C(=O)-N(CH₃)₂, -
 C(=O)-N(C₂H₅)₂, -S(=O)-CH₃, -S(=O)-C₂H₅, -S(=O)-C₃H₇, -S(=O)₂-CH₃,
 -S(=O)₂-C₂H₅, -S(=O)₂-C₃H₇, -NH₂, -NH-CH₃, -NH-C₂H₅, -N(CH₃)₂ and -
 10 N(C₂H₅)₂;

or a radical selected from the group consisting of phenyl, naphthyl,
 pyridinyl, furyl (furanyl), thienyl (thiophenyl), pyrrolyl, oxazolyl,
 isoxazolyl, thiazolyl, isothiazolyl, imidazolyl, pyrazolyl, oxadiazolyl,
 15 thiadiazolyl, triazolyl, pyridazinyl, indolyl and isoindolyl, which may be
 bonded via a -(CH₂)-, -(CH₂)-(CH₂)-, -(CH₂)-(CH₂)-(CH₂)- or -CH=CH-
 group and/or may optionally be substituted with 1, 2, 3, 4 or 5
 substituent(s) independently selected from the group consisting of -CF₃,
 methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, 2-butyl, tert-butyl, n-
 20 pentyl, 2-pentyl, n-hexyl, -O-CH₃, -O-C₂H₅, -O-CH₂-CH₂-CH₃, -O-
 CH(CH₃)₂, -O-CH₂-CH₂-CH₂-CH₃, -O-C(CH₃)₃, -S-CH₃, -S-C₂H₅, -S-
 CH₂-CH₂-CH₃, -S-CH(CH₃)₂, -S-CH₂-CH₂-CH₂-CH₃, -S-C(CH₃)₃, F, Cl,
 Br, I, -CN, -OCF₃, -SCF₃, -SCF₂H, -SCFH₂, -OH, -SH, -NO₂, -CHO, -
 25 C(=O)-CH₃, -C(=O)-C₂H₅, -C(=O)-C(CH₃)₃, -CF₂H, -CFH₂, -C(=O)-NH₂, -
 C(=O)-NH-CH₃, -C(=O)-NH-C₂H₅, -C(=O)-NH-C₃H₇, -C(=O)-N(CH₃)₂, -
 C(=O)-N(C₂H₅)₂, -S(=O)-CH₃, -S(=O)-C₂H₅, -S(=O)-C₃H₇, -S(=O)₂-CH₃,
 -S(=O)₂-C₂H₅, -S(=O)₂-C₃H₇, -NH₂, -NH-CH₃, -NH-C₂H₅, -N(CH₃)₂ and -
 N(C₂H₅)₂;

30 R¹⁶, R¹⁷, R¹⁸, R¹⁹, R²³, R²⁴, R²⁵, R²⁶, R²⁷, R²⁸, R²⁹, R³⁰, R³¹, R³², R³³, R³⁴, R³⁵,
 R³⁷ and R³⁸, independently of one another, in each case represent a radical
 selected from the group consisting of methyl, ethyl, n-propyl, isopropyl,
 n-butyl, isobutyl, sec-butyl, tert-butyl, n-pentyl, 2-pentyl, 3-pentyl, neo-
 pentyl, n-hexyl, 2-hexyl, 3-hexyl, n-heptyl, 2-heptyl, 3-heptyl, 4-heptyl,

n-octyl, 2-octyl, 3-octyl, 4-octyl, vinyl, n-propenyl, n-butenyl, n-pentenyl, n-hexenyl, ethinyl, propinyl, n-butylnyl, n-pentynyl and n-hexynyl, which may optionally be substituted with 1, 2, 3, 4, 5, 6, 7, 8 or 9 substituent(s) independently selected from the group consisting of -OH, F, Cl, Br, I, -O-CH₃, -O-C₂H₅, -O-CH₂-CH₂-CH₃, -O-CH(CH₃)₂, -O-CH₂-CH₂-CH₂-CH₃, -O-C(CH₃)₃, -S-CH₃, -S-C₂H₅, -S-CH₂-CH₂-CH₃, -S-CH(CH₃)₂, -S-CH₂-CH₂-CH₂-CH₃, -S-C(CH₃)₃, -NH₂, -NH-CH₃, -NH-C₂H₅, -N(CH₃)₂, -N(C₂H₅)₂, -N⁺(CH₃)₃, -N⁺(C₂H₅)₃, -N⁺[C(CH₃)₃]₃, -CN, -NO₂, -S(=O)-CH₃, -S(=O)-C₂H₅, -S(=O)-C₃H₇, -S(=O)-C(CH₃)₃, -S(=O)₂-CH₃, -S(=O)₂-C₂H₅, -S(=O)₂-C₃H₇, -S(=O)₂-C(CH₃)₃, -O-S(=O)-CH₃, -O-S(=O)-C₂H₅, -O-S(=O)-C₃H₇, -O-S(=O)-C(CH₃)₃, -O-S(=O)₂-CH₃, -O-S(=O)₂-C₂H₅, -O-S(=O)₂-C₃H₇, -O-S(=O)₂-C(CH₃)₃, -NH-C(=O)-CH₃, -NH-C(=O)-C₂H₅, -NH-C(=O)-C(CH₃)₃, -O-C(=O)-CH₃, -O-C(=O)-C₂H₅, -O-C(=O)-CH(CH₃)₂, -O-C(=O)-CH₂-CH₂-CH₃, -NH-C(=O)-O-CH₃, -NH-C(=O)-O-C₂H₅, -NH-C(=O)-O-C(CH₃)₃, -C(=O)-NH₂, -C(=O)-NH-CH₃, -C(=O)-NH-C₂H₅, -C(=O)-NH-C(CH₃)₃, -C(=O)-N(CH₃)₂, -C(=O)-N(C₂H₅)₂, -C(=O)-OH, -C(=O)-O-CH₃, -C(=O)-O-C₂H₅, -C(=O)-O-C(CH₃)₃, -C(=O)-CH₃, -C(=O)-C₂H₅ and -C(=O)-C(CH₃)₃;

or a radical selected from the group consisting of phenyl, naphthyl, pyridinyl, furyl (furanyl), thienyl (thiophenyl), pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, imidazolyl, pyrazolyl, oxadiazolyl, thiadiazolyl, triazolyl, pyridazinyl, indolyl and isoindolyl, which may be bonded via a -(CH₂)-, -(CH₂)-(CH₂)-, -(CH₂)-(CH₂)-(CH₂)- or -CH=CH- group and/or may optionally be substituted with 1, 2, 3, 4 or 5 substituent(s) independently selected from the group consisting of -CF₃, methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, 2-butyl, tert-butyl, n-pentyl, 2-pentyl, n-hexyl, -O-CH₃, -O-C₂H₅, -O-CH₂-CH₂-CH₃, -O-CH(CH₃)₂, -O-CH₂-CH₂-CH₂-CH₃, -O-C(CH₃)₃, -S-CH₃, -S-C₂H₅, -S-CH₂-CH₂-CH₃, -S-CH(CH₃)₂, -S-CH₂-CH₂-CH₂-CH₃, -S-C(CH₃)₃, F, Cl, Br, I, -CN, -OCF₃, -SCF₃, -SCF₂H, -SCFH₂, -OH, -SH, -NO₂, -CHO, -C(=O)-CH₃, -C(=O)-C₂H₅, -C(=O)-C(CH₃)₃, -CF₂H, -CFH₂, -C(=O)-NH₂, -C(=O)-NH-CH₃, -C(=O)-NH-C₂H₅, -C(=O)-NH-C₃H₇, -C(=O)-N(CH₃)₂, -C(=O)-N(C₂H₅)₂, -S(=O)-CH₃, -S(=O)-C₂H₅, -S(=O)-C₃H₇, -S(=O)₂-CH₃,

-S(=O)₂-C₂H₅, -S(=O)₂-C₃H₇, -NH₂, -NH-CH₃, -NH-C₂H₅, -N(CH₃)₂, -N(C₂H₅)₂, -O-C(=O)-CH₃, -O-C(=O)-C₂H₅, -O-C(=O)-CH(CH₃)₂, -O-C(=O)-CH₂-CH₂-CH₃, -CH₂-N(CH₃)₂, -(CH₂)-N(C₂H₅)₂, -CH₂-N(C₃H₇)₂, -CH₂-N(C₄H₉)₂, -CH₂-N(CH₃)(C₂H₅) and -(CH₂)-morpholinyl;

5

R²¹ and R²², independently of another, in each case represent hydrogen;

a radical selected from the group consisting of methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, sec-butyl, tert-butyl, n-pentyl, 2-pentyl, 3-pentyl, neo-pentyl, n-hexyl, 2-hexyl, 3-hexyl, n-heptyl, 2-heptyl, 3-heptyl, 4-heptyl, n-octyl, 2-octyl, 3-octyl, 4-octyl, 2-(6-methyl)-heptyl, 2-(5-methyl)-heptyl, 2-(5-methyl)-hexyl, 2-(4-methyl)-hexyl, 2-(7-methyl)-octyl; 2-(6-methyl)-octyl, -CH₂-NH₂, -CH-N(CH₃)₂, -CH₂-CH-NH₂, -CH₂-CH₂-N(CH₃)₂, -CH₂-CH₂-N(C₂H₅)₂, -CH₂-CH₂-CH₂-NH₂, -CH₂-CH₂-CH₂-N(CH₃)₂ and -CH₂-CH₂-CH₂-N(C₂H₅)₂;

15

a radical selected from the group consisting of cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, cyclooctyl, cyclononyl, cyclodecyl, cycloundecyl, cyclododecyl, cyclotridecyl, cyclotetradecyl and bicyclo[2.2.1]heptyl, which may be bonded via a -(CH₂)-, -(CH₂)-(CH₂)-, -(CH₂)-(CH₂)-(CH₂)- or -CH=CH-group and/or may optionally be substituted with 1, 2, 3, 4 or 5 substituent(s) independently selected from the group consisting of -OH, methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, 2-butyl, tert-butyl, n-pentyl, 2-pentyl and n-hexyl;

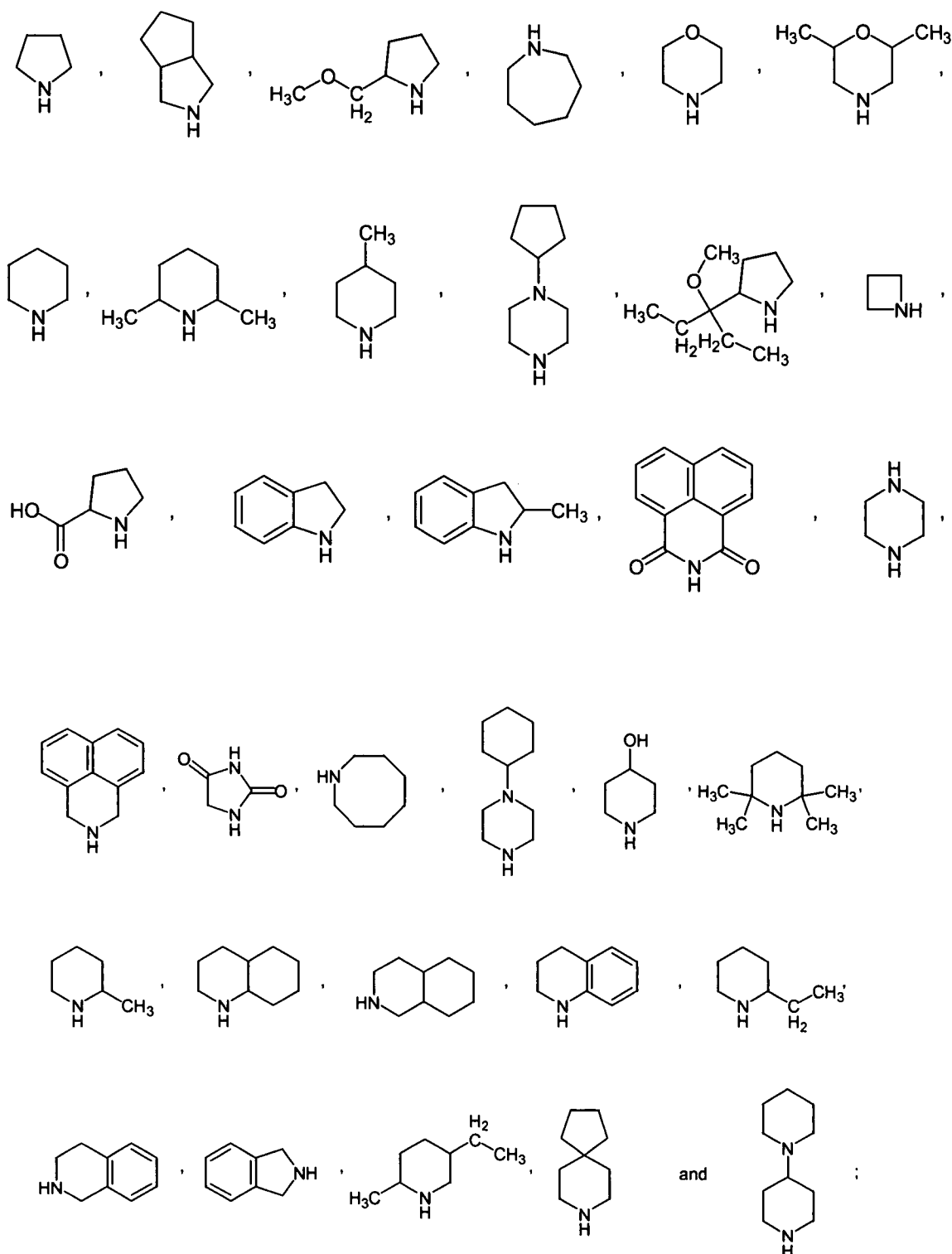
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a radical selected from the group consisting of phenyl, naphthyl, pyridinyl, furyl (furanyl), thienyl (thiophenyl) and triazolyl, which may be bonded via a -(CH₂)-, -(CH₂)-(CH₂)-, -(CH₂)-(CH₂)-(CH₂)- or -CH=CH-group and/or may optionally be substituted with 1, 2, 3, 4 or 5 substituent(s) independently selected from the group consisting of -CF₃, methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, 2-butyl, tert-butyl, n-pentyl, 2-pentyl, n-hexyl, F, Cl and Br;

30

or a radical selected from the group consisting of



5

which is in each case bonded to the pyrazoline compound of general formula I in any position of the cyclic part of the aforementioned radicals

including the NH-groups, preferably said radicals are bonded to the pyrazoline compound of general formula I at the nitrogen atom of the cyclic part of the aforementioned radicals;

and R³⁶ represent a radical selected from the group consisting of methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, sec-butyl, tert-butyl, n-pentyl, 2-pentyl, 3-pentyl, neo-pentyl, n-hexyl, 2-hexyl, 3-hexyl, n-heptyl, 2-heptyl, 3-heptyl, 4-heptyl, n-octyl, 2-octyl, 3-octyl, 4-octyl, vinyl, n-propenyl, n-butenyl, n-pentenyl, n-hexenyl, ethinyl, propinyl, n-butenyl, n-pentynyl and n-hexynyl, which may optionally be substituted with 1, 2, 3, 4, 5, 6, 7, 8 or 9 substituent(s) independently selected from the group consisting of -OH, F, Cl, Br, I, -O-CH₃, -O-C₂H₅, -O-CH₂-CH₂-CH₃, -O-CH(CH₃)₂, -O-CH₂-CH₂-CH₂-CH₃, -O-C(CH₃)₃, -S-CH₃, -S-C₂H₅, -S-CH₂-CH₂-CH₃, -S-CH(CH₃)₂, -S-CH₂-CH₂-CH₂-CH₃, -S-C(CH₃)₃, -NH₂, -NH-CH₃, -NH-C₂H₅, -N(CH₃)₂, -N(C₂H₅)₂, -N⁺(CH₃)₃, -N⁺(C₂H₅)₃, -N⁺[C(CH₃)₃]₃, -CN, -NO₂, -S(=O)-CH₃, -S(=O)-C₂H₅, -S(=O)-C₃H₇, -S(=O)-C(CH₃)₃, -S(=O)₂-CH₃, -S(=O)₂-C₂H₅, -S(=O)₂-C₃H₇, -S(=O)₂-C(CH₃)₃, -O-S(=O)-CH₃, -O-S(=O)-C₂H₅, -O-S(=O)-C₃H₇, -O-S(=O)-C(CH₃)₃, -O-S(=O)₂-CH₃, -O-S(=O)₂-C₂H₅, -O-S(=O)₂-C₃H₇, -O-S(=O)₂-C(CH₃)₃, -NH-C(=O)-CH₃, -NH-C(=O)-C₂H₅, -NH-C(=O)-C(CH₃)₃, -NH-C(=O)-O-CH₃, -NH-C(=O)-O-C₂H₅, -NH-C(=O)-O-C(CH₃)₃, -C(=O)-NH₂, -C(=O)-NH-CH₃, -C(=O)-NH-C₂H₅, -C(=O)-NH-C(CH₃)₃, -C(=O)-N(CH₃)₂, -C(=O)-N(C₂H₅)₂, -C(=O)-OH, -C(=O)-O-CH₃, -C(=O)-O-C₂H₅, -C(=O)-O-C(CH₃)₃, -O-C(=O)-CH₃, -O-C(=O)-C₂H₅, -O-C(=O)-CH(CH₃)₂, -O-C(=O)-CH₂-CH₂-CH₃, -C(=O)-CH₃, -C(=O)-C₂H₅ and -C(=O)-C(CH₃)₃;

optionally in form of one of its stereoisomers, preferably enantiomers or diastereomers, a racemate or in form of a mixture of at least two of its stereoisomers, preferably enantiomers and/or diastereomers, in any mixing ratio, or a corresponding N-oxide thereof, or a physiologically acceptable salt thereof, or a corresponding solvate thereof.

5. A compound according to one or more of claims 1 to 4, characterized in that

5

n is 1;

X is O or S;

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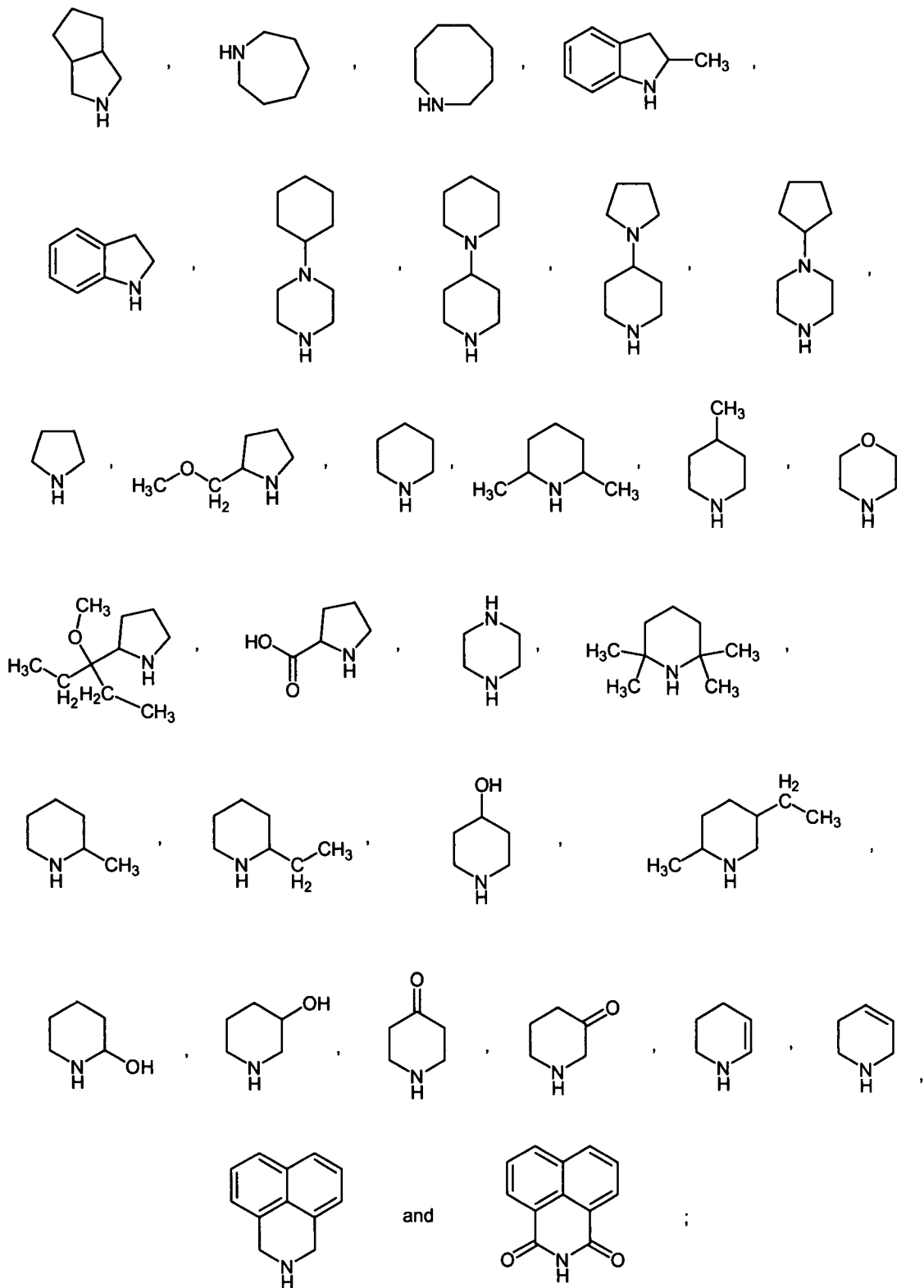
R¹ represents -C(=O)-OR²³; -C(=O)-OH; -P(=O)-(OR²⁴)₂; -P(=O)-(OH)₂; -C(=O)-NH₂; -C(=O)-NH-R²⁵; -C(=O)-NR²⁶R²⁷; -C(=O)-H; -C(=O)-R²⁸; -S(=O)-R²⁹; -(CHR³⁶)_m-O-C(=O)-R³⁰ with m being 1, 2 or 3; -(CHR³⁶)_o-O-C(=O)-OR³¹ with o being 1, 2 or 3; -(CHR³⁶)_p-O-P(=O)-(OR³²)₂ with p being 1, 2 or 3; -(CHR³⁶)_q-O-C(=O)-NH-R³³ with q being 1, 2 or 3; -(CHR³⁶)_r-O-C(=O)-NR³⁴R³⁵ with r being 1, 2 or 3; -S(=O)₂-R³⁷; -C(=S)-OR³⁸ or -C(=S)-R³⁹;

15

20

R² represents a phenyl radical, which may be substituted with 1, 2, 3, 4 or 5 substituent(s) independently selected from the group consisting of -OH, -O-CH₃, -O-C₂H₅, F, Cl, Br and I;

R³ represents a radical selected from the group consisting of



5 which is in each case bonded to the pyrazoline compound of general formula I
in any position of the cyclic part of the aforementioned radicals including the

NH-groups, preferably said radicals are bonded to the pyrazoline compound of general formula I at the nitrogen atom of the cyclic part of the aforementioned radicals;

5 a -OR⁶-moiety or a -NR⁷R⁸ moiety;

R⁴ represents H; F; Cl; Br; I; -OH, -CN; -C(=O)-H; -C(=O)-OH; -C(=O)-OR¹⁷;

10 a radical selected from the group consisting of methyl, -CF₃, -CH₂F, -CF₂H, -C₂F₅, ethyl, -CH₂-CN, -CH₂-OH, n-propyl, isopropyl, -CH₂-CH₂-CN, -CH₂-CH₂-OH, n-butyl, -CH₂-CH₂-CH₂-CN, -CH₂-CH₂-CH₂-OH, isobutyl, sec-butyl, tert-butyl, n-pentyl, 2-pentyl, 3-pentyl, neo-pentyl, n-hexyl, 2-hexyl and 3-hexyl;

15 a benzyl radical or a -O-R¹¹ moiety;

R⁵ represents H; F; Cl; Br; -C(=O)-OH; -C(=O)-OR¹⁷; or a radical selected from the group consisting of methyl, ethyl, n-propyl, iso-propyl and n-butyl;

20

or R⁴ and R⁵ together with the bridging carbon atom form a radical selected from the group consisting of cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, cyclooctyl, cyclopentenyl, cyclohexenyl, cycloheptenyl and cyclooctenyl;

25

R⁶ represents a hydrogen atom; a radical selected from the group consisting of methyl, ethyl, n-propyl, isopropyl, n-butyl and tert-butyl or a radical selected from the group consisting of cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl and cycloheptyl;

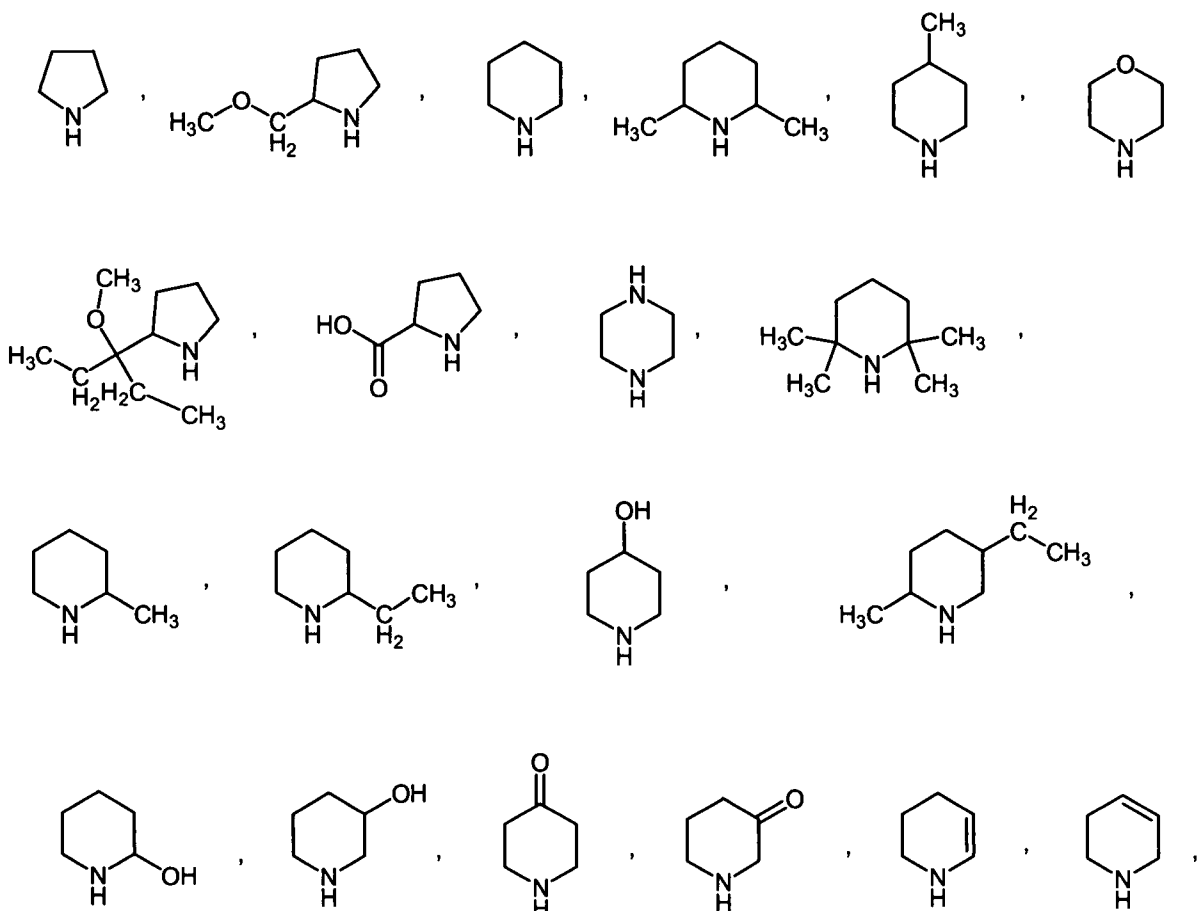
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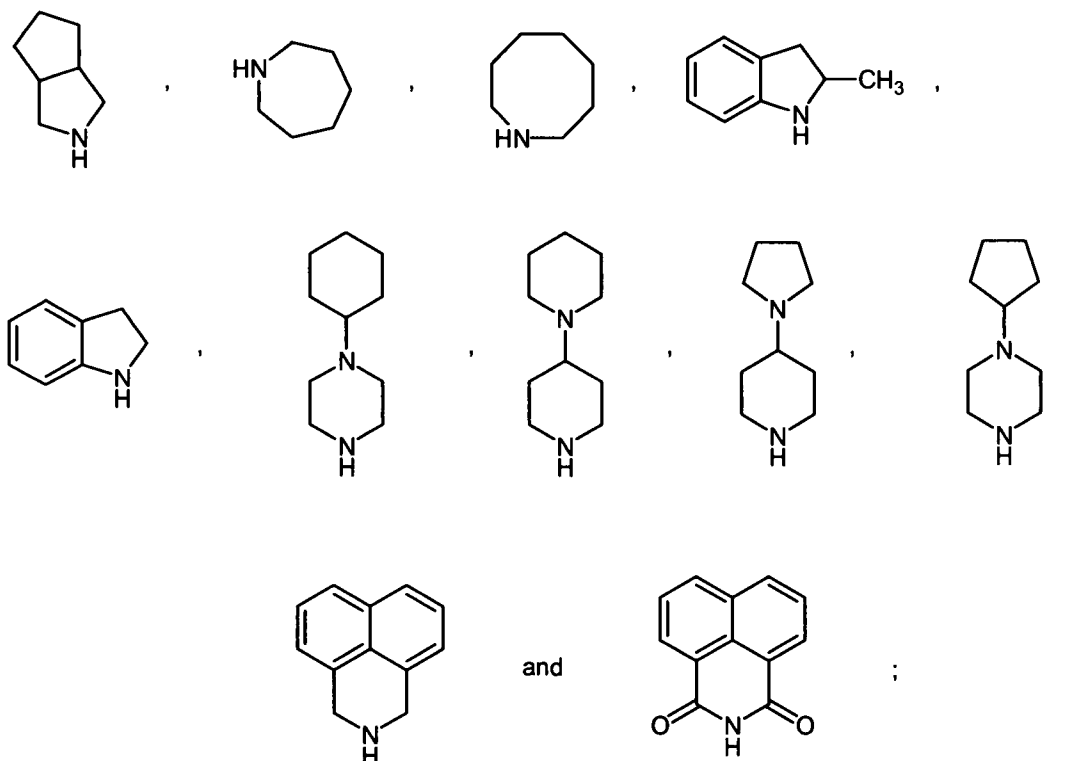
R⁷ represents a hydrogen atom or a radical selected from the group consisting of methyl, ethyl, n-propyl, isopropyl, n-butyl, sec-butyl and

tert-butyl;

R^8 represents a radical selected from the group consisting of [1,2,3,4]-
 tetrahydronaphthyl, bicyclo[2.2.1]heptyl, cyclopropyl, cyclobutyl,
 cyclopentyl, cyclohexyl, cycloheptyl, cyclooctyl, cyclononyl, cyclodecyl,
 cycloundecyl and cyclododecyl, which may be bonded via a $-(CH_2)-$, $-(CH_2)-(CH_2)-$ or $-(CH_2)-(CH_2)-(CH_2)-$ group and/or substituted with 1, 2, 3
 or 4 substituents selected from the group consisting of methyl, ethyl, n-
 propyl, isopropyl, n-butyl, sec-butyl, tert-butyl, $-OH$, $-O-CH_3$ and $-O-$
 C_2H_5 ;

or a radical selected from the group consisting of





which is in each case bonded to the pyrazoline compound of general formula I in any position of the cyclic part of the aforementioned radicals including the NH-groups, preferably said radicals are bonded to the pyrazoline compound of general formula I at the nitrogen atom of the cyclic part of the aforementioned radicals;

R^{11} represents a radical selected from the group consisting of methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, sec-butyl, tert-butyl, n-pentyl, 2-pentyl, 3-pentyl and neo-pentyl;

R^{16} , R^{17} , R^{18} , R^{19} , R^{23} , R^{24} , R^{25} , R^{26} , R^{27} , R^{28} , R^{29} , R^{30} , R^{31} , R^{32} , R^{33} , R^{34} , R^{35} , R^{37} and R^{38} , independently of one another, in each case represent a

radical selected from the group consisting of methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, sec-butyl, tert-butyl, n-pentyl, 2-pentyl, 3-pentyl, neo-pentyl and n-hexyl, which may optionally be substituted with 1, 2, 3, 4, 5, 6, 7, 8 or 9 substituent(s) independently selected from the group consisting of $-OH$, $-O-CH_3$, $-O-C_2H_5$, $-O-CH_2-CH_2-CH_3$, $-O-CH(CH_3)_2$, $-O-CH_2-CH_2-CH_2-CH_3$, $-O-C(CH_3)_3$, $-S-CH_3$, $-S-C_2H_5$, $-S-$

CH₂-CH₂-CH₃, -S-CH(CH₃)₂, -S-CH₂-CH₂-CH₂-CH₃, -S-C(CH₃)₃, -NH₂, -
 NH-CH₃, -NH-C₂H₅, -N(CH₃)₂, -N(C₂H₅)₂, -N⁺(CH₃)₃, -N⁺(C₂H₅)₃, -
 N⁺[C(CH₃)₃]₃, -S(=O)-CH₃, -S(=O)-C₂H₅, -S(=O)-C₃H₇, -S(=O)-C(CH₃)₃,
 -S(=O)₂-CH₃, -S(=O)₂-C₂H₅, -S(=O)₂-C₃H₇, -S(=O)₂-C(CH₃)₃, -O-S(=O)-
 5 CH₃, -O-S(=O)-C₂H₅, -O-S(=O)-C₃H₇, -O-S(=O)-C(CH₃)₃, -O-S(=O)₂-
 CH₃, -O-S(=O)₂-C₂H₅, -O-S(=O)₂-C₃H₇, -O-S(=O)₂-C(CH₃)₃, -NH-C(=O)-
 CH₃, -NH-C(=O)-C₂H₅, -NH-C(=O)-C(CH₃)₃, -NH-C(=O)-O-CH₃, -NH-
 C(=O)-O-C₂H₅, -NH-C(=O)-O-C(CH₃)₃, -C(=O)-NH₂, -C(=O)-NH-CH₃, -
 C(=O)-NH-C₂H₅, -C(=O)-NH-C(CH₃)₃, -C(=O)-N(CH₃)₂, -C(=O)-
 10 N(C₂H₅)₂, -C(=O)-OH, -C(=O)-O-CH₃, -C(=O)-O-C₂H₅, -C(=O)-O-
 C(CH₃)₃, -C(=O)-CH₃, -C(=O)-C₂H₅ and -C(=O)-C(CH₃)₃;

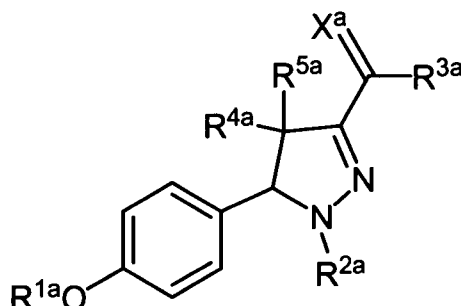
and R³⁶ represent a radical selected from the group consisting of methyl, ethyl,
 n-propyl, isopropyl, n-butyl, isobutyl, sec-butyl, tert-butyl, n-pentyl, 2-pentyl, 3-
 15 pentyl, neo-pentyl and n-hexyl, which may optionally be substituted with 1, 2,
 3, 4, 5, 6, 7, 8 or 9 substituent(s) independently selected from the group
 consisting of -OH, -O-CH₃, -O-C₂H₅, -O-CH₂-CH₂-CH₃, -O-CH(CH₃)₂, -O-CH₂-
 CH₂-CH₂-CH₃, -O-C(CH₃)₃, -S-CH₃, -S-C₂H₅, -S-CH₂-CH₂-CH₃, -S-CH(CH₃)₂, -
 S-CH₂-CH₂-CH₂-CH₃, -S-C(CH₃)₃, -NH₂, -NH-CH₃, -NH-C₂H₅, -N(CH₃)₂, -
 20 N(C₂H₅)₂, -N⁺(CH₃)₃, -N⁺(C₂H₅)₃, -N⁺[C(CH₃)₃]₃, -S(=O)-CH₃, -S(=O)-C₂H₅, -
 S(=O)-C₃H₇, -S(=O)-C(CH₃)₃, -S(=O)₂-CH₃, -S(=O)₂-C₂H₅, -S(=O)₂-C₃H₇, -
 S(=O)₂-C(CH₃)₃, -O-S(=O)-CH₃, -O-S(=O)-C₂H₅, -O-S(=O)-C₃H₇, -O-S(=O)-
 C(CH₃)₃, -O-S(=O)₂-CH₃, -O-S(=O)₂-C₂H₅, -O-S(=O)₂-C₃H₇, -O-S(=O)₂-
 C(CH₃)₃, -NH-C(=O)-CH₃, -NH-C(=O)-C₂H₅, -NH-C(=O)-C(CH₃)₃, -NH-C(=O)-
 25 O-CH₃, -NH-C(=O)-O-C₂H₅, -NH-C(=O)-O-C(CH₃)₃, -C(=O)-NH₂, -C(=O)-NH-
 CH₃, -C(=O)-NH-C₂H₅, -C(=O)-NH-C(CH₃)₃, -C(=O)-N(CH₃)₂, -C(=O)-N(C₂H₅)₂,
 -C(=O)-OH, -C(=O)-O-CH₃, -O-C(=O)-CH₃, -O-C(=O)-C₂H₅, -O-C(=O)-
 CH(CH₃)₂, -O-C(=O)-CH₂-CH₂-CH₃, -C(=O)-O-C₂H₅, -C(=O)-O-C(CH₃)₃, -
 C(=O)-CH₃, -C(=O)-C₂H₅ and -C(=O)-C(CH₃)₃;

optionally in form of one of its stereoisomers, preferably enantiomers or
 diastereomers, a racemate or in form of a mixture of at least two of its
 stereoisomers, preferably enantiomers and/or diastereomers, in any mixing

ratio, or a corresponding N-oxide thereof, or a physiologically acceptable salt thereof, or a corresponding solvate thereof.

6. A compound of general formula Ia according to one or more of claims 1 to 5,

5



Ia,

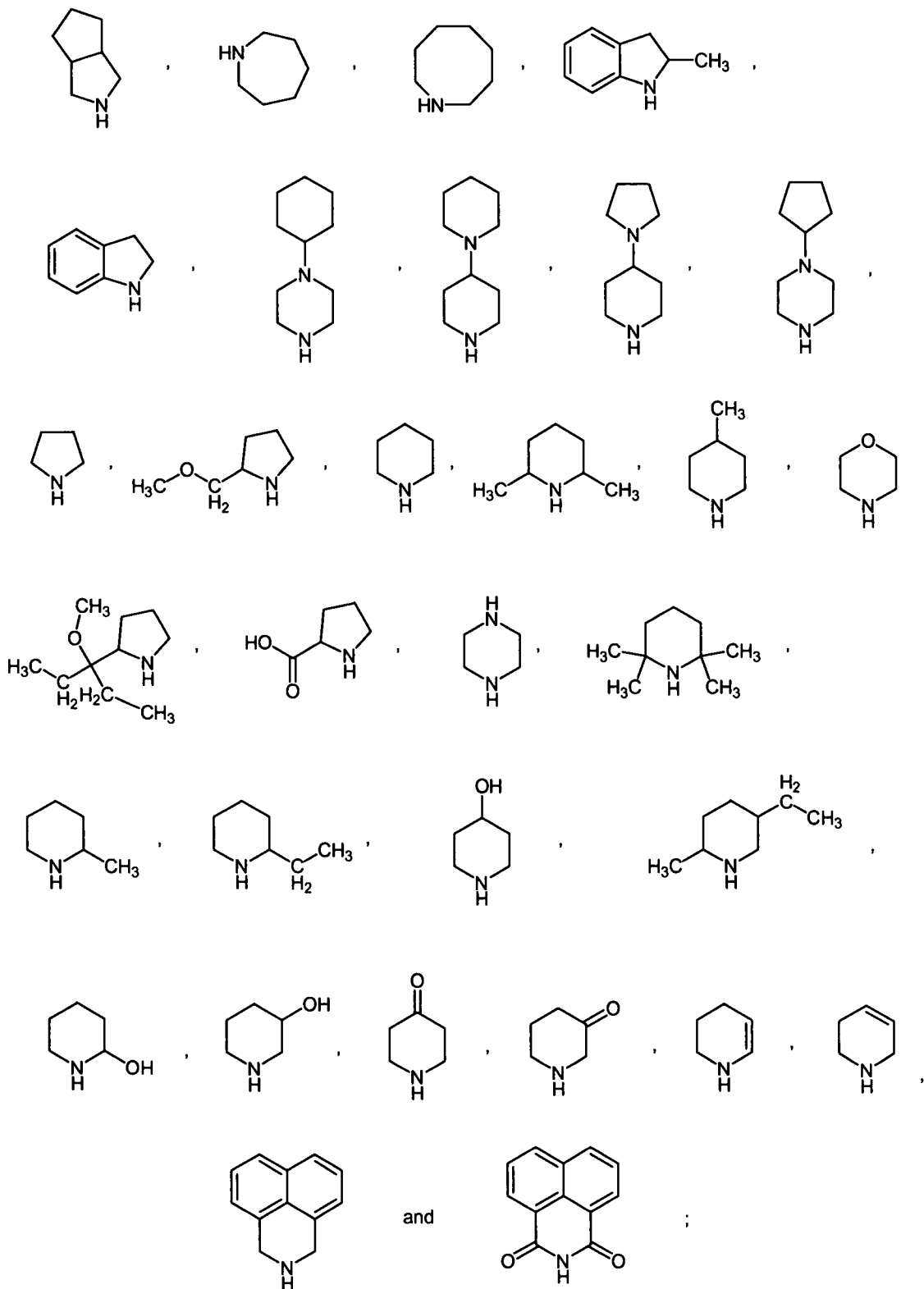
wherein

10 X^a is O or S;

R^{1a} represents $-C(=O)-OR^{23a}$; $-C(=O)-OH$; $-P(=O)-(OR^{24a})_2$; $-P(=O)-(OH)_2$; $-C(=O)-NH_2$; $-C(=O)-NH-R^{25a}$; $-C(=O)-NR^{26a}R^{27a}$; $-C(=O)-H$; $-C(=O)-R^{28a}$; $-S(=O)-R^{29a}$; $-(CHR^{36a})_m-O-C(=O)-R^{30a}$ with m being 1, 2 or 3; -
 15 $(CHR^{36a})_o-O-C(=O)-OR^{31a}$ with o being 1, 2 or 3; $-(CHR^{36a})_p-O-P(=O)-(OR^{32a})_2$ with p being 1, 2 or 3; $-(CHR^{36a})_q-O-C(=O)-NH-R^{33a}$ with q being 1, 2 or 3; $-(CHR^{36a})_r-O-C(=O)-NR^{34a}R^{35a}$ with r being 1, 2 or 3; $-S(=O)_2-R^{37a}$; $-C(=S)-OR^{38a}$; or $-C(=S)-R^{39a}$;

20 R^{2a} represents a phenyl radical, which may be substituted with 1, 2, 3, 4 or 5 substituent(s) independently selected from the group consisting of -OH, -O-CH₃, -O-C₂H₅, F, Cl, Br and I;

R^{3a} represents a radical selected from the group consisting of



5 which is in each case bonded to the pyrazoline compound of general formula I
in any position of the cyclic part of the aforementioned radicals including the

NH-groups, preferably said radicals are bonded to the pyrazoline compound of general formula I at the nitrogen atom of the cyclic part of the aforementioned radicals;

5 a -OR^{6a}-moiety or a -NR^{7a}R^{8a} moiety;

R^{4a} represents H; F; Cl; Br; I; -OH, -CN; -C(=O)-H; -C(=O)-OH; -C(=O)-OR^{17a};

10 a radical selected from the group consisting of methyl, -CF₃, -CH₂F, -CF₂H, -C₂F₅, ethyl, -CH₂-CN, -CH₂-OH, n-propyl, isopropyl, -CH₂-CH₂-CN, -CH₂-CH₂-OH, n-butyl, -CH₂-CH₂-CH₂-CN, -CH₂-CH₂-CH₂-OH, isobutyl, sec-butyl, tert-butyl, n-pentyl, 2-pentyl, 3-pentyl, neo-pentyl, n-hexyl, 2-hexyl and 3-hexyl;

15 a benzyl radical or a -O-R^{11a} moiety;

R^{5a} represents H; F; Cl; Br; -C(=O)-OH; -C(=O)-OR^{17a}; or a radical selected from the group consisting of methyl, ethyl, n-propyl, iso-propyl and n-butyl;

20

or R^{4a} and R⁵ together with the bridging carbon atom form a radical selected from the group consisting of cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, cyclooctyl, cyclopentenyl, cyclohexenyl, cycloheptenyl and cyclooctenyl;

25

R^{6a} represents a hydrogen atom; a radical selected from the group consisting of methyl, ethyl, n-propyl, isopropyl, n-butyl and tert-butyl or a radical selected from the group consisting of cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl and cycloheptyl;

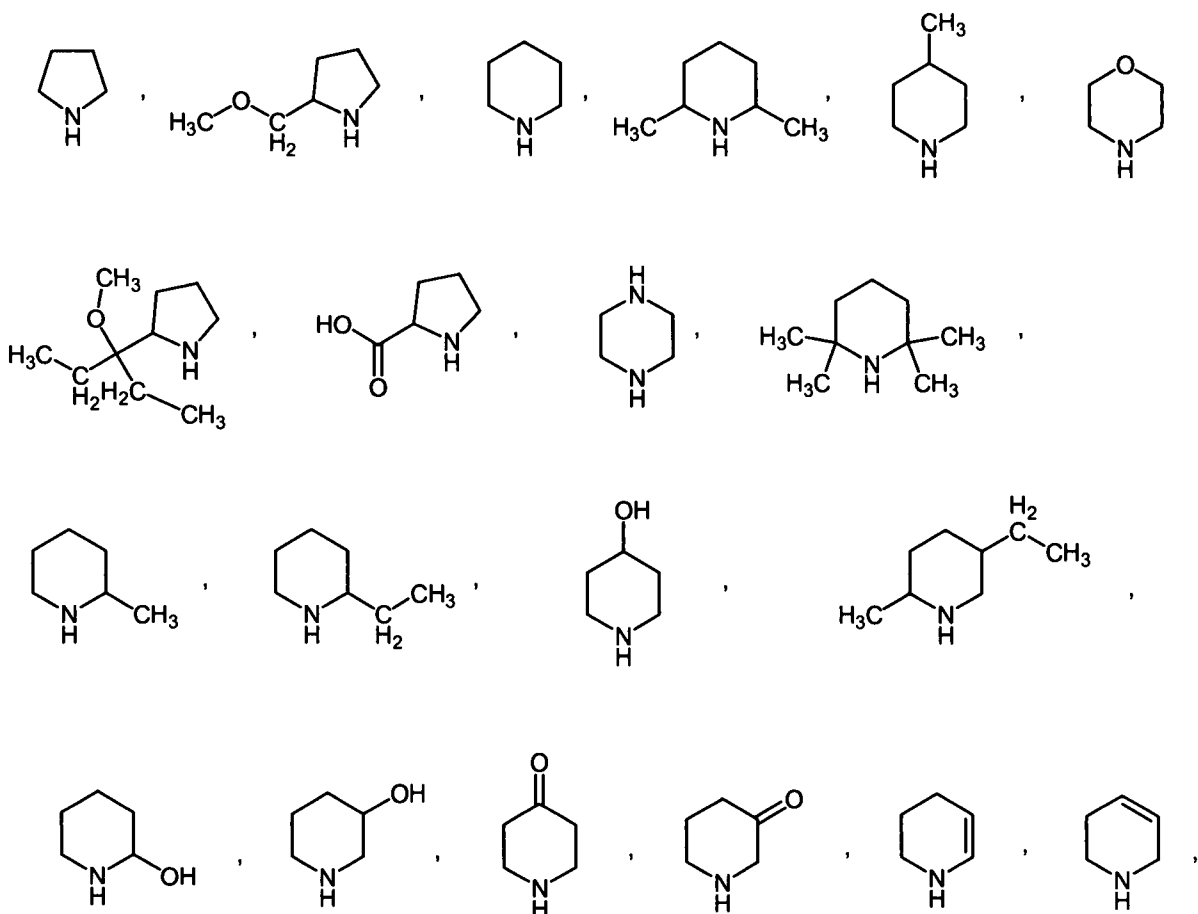
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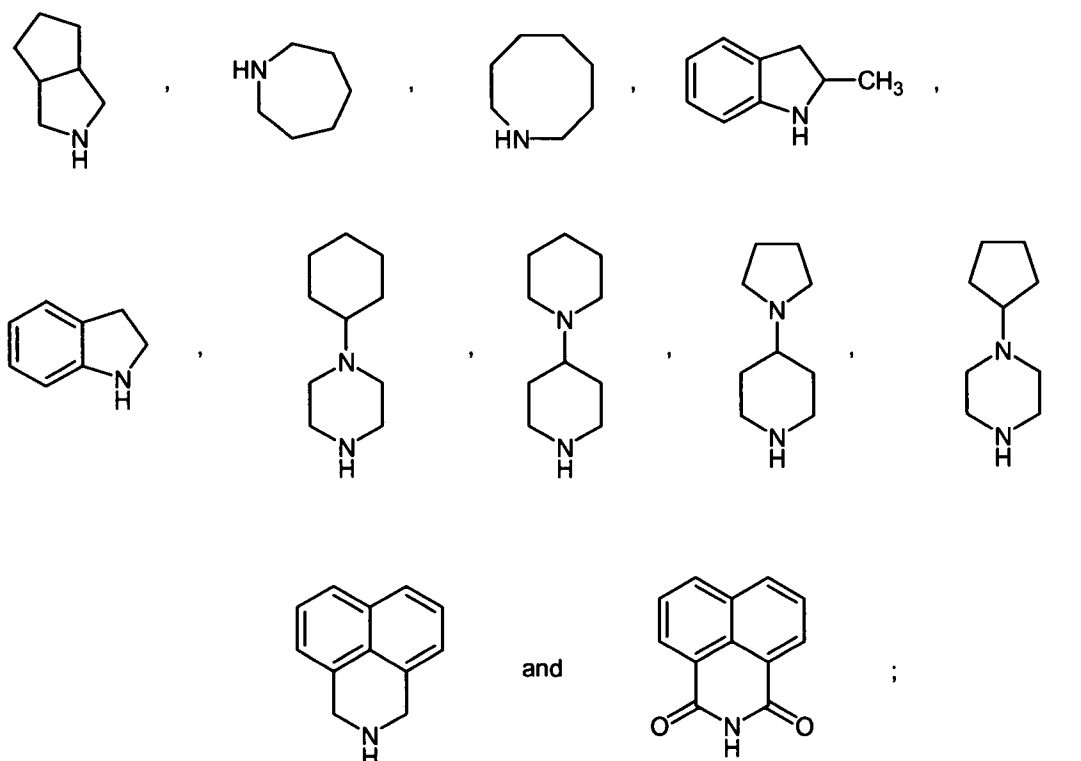
R^{7a} represents a hydrogen atom or a radical selected from the group consisting of methyl, ethyl, n-propyl, isopropyl, n-butyl, sec-butyl and

tert-butyl;

R^{8a} represents a radical selected from the group consisting of [1,2,3,4]-
 tetrahydronaphthyl, bicyclo[2.2.1]heptyl, cyclopropyl, cyclobutyl,
 5 cyclopentyl, cyclohexyl, cycloheptyl, cyclooctyl, cyclononyl, cyclodecyl,
 cycloundecyl and cyclododecyl, which may be bonded via a $-(CH_2)-$, $-(CH_2)-(CH_2)-$ or $-(CH_2)-(CH_2)-(CH_2)-$ group and/or substituted with 1, 2, 3
 or 4 substituents selected from the group consisting of methyl, ethyl, n-
 propyl, isopropyl, n-butyl, sec-butyl, tert-butyl, $-OH$, $-O-CH_3$ and $-O-$
 10 C_2H_5 ;

or a radical selected from the group consisting of





which is in each case bonded to the pyrazoline compound of general
 formula I in any position of the cyclic part of the aforementioned radicals
 including the NH-groups, preferably said radicals are bonded to the
 pyrazoline compound of general formula I at the nitrogen atom of the
 cyclic part of the aforementioned radicals;

R^{11a} represents a radical selected from the group consisting of methyl, ethyl,
 n-propyl, isopropyl, n-butyl, isobutyl, sec-butyl, tert-butyl, n-pentyl, 2-pentyl, 3-
 pentyl and neo-pentyl;

R^{16a} , R^{17a} , R^{18a} , R^{19a} , R^{23a} , R^{24a} , R^{25a} , R^{26a} , R^{27a} , R^{28a} , R^{29a} , R^{30a} , R^{31a} , R^{32a} ,
 R^{33a} , R^{34a} , R^{35a} , R^{37a} and R^{38a} , independently of one another, in each

case represent a radical selected from the group consisting of methyl,
 ethyl, n-propyl, isopropyl, n-butyl, isobutyl, sec-butyl, tert-butyl, n-pentyl,
 2-pentyl, 3-pentyl, neo-pentyl and n-hexyl, which may optionally be
 substituted with 1, 2, 3, 4, 5, 6, 7, 8 or 9 substituent(s) independently
 selected from the group consisting of $-OH$, $-O-CH_3$, $-O-C_2H_5$, $-O-CH_2-$
 CH_2-CH_3 , $-O-CH(CH_3)_2$, $-O-CH_2-CH_2-CH_2-CH_3$, $-O-C(CH_3)_3$, $-S-CH_3$, $-S-$

C_2H_5 , $-S-CH_2-CH_2-CH_3$, $-S-CH(CH_3)_2$, $-S-CH_2-CH_2-CH_2-CH_3$, $-S-$
 $C(CH_3)_3$, $-NH_2$, $-NH-CH_3$, $-NH-C_2H_5$, $-N(CH_3)_2$, $-N(C_2H_5)_2$, $-N^+(CH_3)_3$, $-$
 $N^+(C_2H_5)_3$, $-N^+[C(CH_3)_3]_3$, $-S(=O)-CH_3$, $-S(=O)-C_2H_5$, $-S(=O)-C_3H_7$, $-$
 $S(=O)-C(CH_3)_3$, $-S(=O)_2-CH_3$, $-S(=O)_2-C_2H_5$, $-S(=O)_2-C_3H_7$, $-S(=O)_2-$
 $C(CH_3)_3$, $-O-S(=O)-CH_3$, $-O-S(=O)-C_2H_5$, $-O-S(=O)-C_3H_7$, $-O-S(=O)-$
 $C(CH_3)_3$, $-O-S(=O)_2-CH_3$, $-O-S(=O)_2-C_2H_5$, $-O-S(=O)_2-C_3H_7$, $-O-S(=O)_2-$
 $C(CH_3)_3$, $-O-C(=O)-CH_3$, $-O-C(=O)-C_2H_5$, $-O-C(=O)-CH(CH_3)_2$, $-O-$
 $C(=O)-CH_2-CH_2-CH_3$, $-NH-C(=O)-CH_3$, $-NH-C(=O)-C_2H_5$, $-NH-C(=O)-$
 $C(CH_3)_3$, $-NH-C(=O)-O-CH_3$, $-NH-C(=O)-O-C_2H_5$, $-NH-C(=O)-O-$
 $C(CH_3)_3$, $-C(=O)-NH_2$, $-C(=O)-NH-CH_3$, $-C(=O)-NH-C_2H_5$, $-C(=O)-NH-$
 $C(CH_3)_3$, $-C(=O)-N(CH_3)_2$, $-C(=O)-N(C_2H_5)_2$, $-C(=O)-OH$, $-C(=O)-O-CH_3$,
 $-C(=O)-O-C_2H_5$, $-C(=O)-O-C(CH_3)_3$, $-C(=O)-CH_3$, $-C(=O)-C_2H_5$ and $-$
 $C(=O)-C(CH_3)_3$;

and R^{36a} represent a radical selected from the group consisting of methyl,
 ethyl, n-propyl, isopropyl, n-butyl, isobutyl, sec-butyl, tert-butyl, n-pentyl, 2-
 pentyl, 3-pentyl, neo-pentyl and n-hexyl, which may optionally be substituted
 with 1, 2, 3, 4, 5, 6, 7, 8 or 9 substituent(s) independently selected from the
 group consisting of $-OH$, $-O-CH_3$, $-O-C_2H_5$, $-O-CH_2-CH_2-CH_3$, $-O-CH(CH_3)_2$, $-$
 $O-CH_2-CH_2-CH_2-CH_3$, $-O-C(CH_3)_3$, $-S-CH_3$, $-S-C_2H_5$, $-S-CH_2-CH_2-CH_3$, $-S-$
 $CH(CH_3)_2$, $-S-CH_2-CH_2-CH_2-CH_3$, $-S-C(CH_3)_3$, $-NH_2$, $-NH-CH_3$, $-NH-C_2H_5$, $-$
 $N(CH_3)_2$, $-N(C_2H_5)_2$, $-N^+(CH_3)_3$, $-N^+(C_2H_5)_3$, $-N^+[C(CH_3)_3]_3$, $-S(=O)-CH_3$, $-S(=O)-$
 C_2H_5 , $-S(=O)-C_3H_7$, $-S(=O)-C(CH_3)_3$, $-S(=O)_2-CH_3$, $-S(=O)_2-C_2H_5$, $-S(=O)_2-$
 C_3H_7 , $-S(=O)_2-C(CH_3)_3$, $-O-S(=O)-CH_3$, $-O-S(=O)-C_2H_5$, $-O-S(=O)-C_3H_7$, $-O-$
 $S(=O)-C(CH_3)_3$, $-O-S(=O)_2-CH_3$, $-O-S(=O)_2-C_2H_5$, $-O-S(=O)_2-C_3H_7$, $-O-S(=O)_2-$
 $C(CH_3)_3$, $-NH-C(=O)-CH_3$, $-NH-C(=O)-C_2H_5$, $-NH-C(=O)-C(CH_3)_3$, $-NH-C(=O)-$
 $O-CH_3$, $-NH-C(=O)-O-C_2H_5$, $-NH-C(=O)-O-C(CH_3)_3$, $-C(=O)-NH_2$, $-C(=O)-NH-$
 CH_3 , $-C(=O)-NH-C_2H_5$, $-C(=O)-NH-C(CH_3)_3$, $-C(=O)-N(CH_3)_2$, $-C(=O)-N(C_2H_5)_2$,
 $-C(=O)-OH$, $-C(=O)-O-CH_3$, $-O-C(=O)-CH_3$, $-O-C(=O)-C_2H_5$, $-O-C(=O)-$
 $CH(CH_3)_2$, $-O-C(=O)-CH_2-CH_2-CH_3$, $-C(=O)-O-C_2H_5$, $-C(=O)-O-C(CH_3)_3$, $-$
 $C(=O)-CH_3$, $-C(=O)-C_2H_5$ and $-C(=O)-C(CH_3)_3$;

optionally in form of one of its stereoisomers, preferably enantiomers or
 diastereomers, a racemate or in form of a mixture of at least two of its

stereoisomers, preferably enantiomers and/or diastereomers, in any mixing ratio, or a corresponding N-oxide thereof, or a physiologically acceptable salt thereof, or a corresponding solvate thereof.

- 5 6. A compound of general formula I according to one or more of claims 1 to 6 selected from the group consisting of

[1] tert-butyl 4-(1-(2,4-dichlorophenyl)-4-methyl-3-(piperidin-1-ylcarbamoyl)-4,5-dihydro-1H-pyrazol-5-yl)phenyl carbonate

10

[2] 4-(1-(2,4-dichlorophenyl)-4-methyl-3-(piperidin-1-ylcarbamoyl)-4,5-dihydro-1H-pyrazol-5-yl)phenyl dimethyl phosphate

15

[3] methyl 2-(4-(1-(2,4-dichlorophenyl)-4-methyl-3-(piperidin-1-ylcarbamoyl)-4,5-dihydro-1H-pyrazol-5-yl)phenoxy)acetate

[4] (4-(1-(2,4-dichlorophenyl)-4-methyl-3-(piperidin-1-ylcarbamoyl)-4,5-dihydro-1H-pyrazol-5-yl)phenoxy)methyl pivalate

20

[5] 4-(1-(2,4-dichlorophenyl)-4-methyl-3-(piperidin-1-ylcarbamoyl)-4,5-dihydro-1H-pyrazol-5-yl)phenyl ethylcarbamate and

[6] tert-butyl 4-(1-(2,4-dichlorophenyl)-3-(hexahydrocyclopenta[c]pyrrol-2(1H)-ylcarbamoyl)-4-methyl-4,5-dihydro-1H-pyrazol-5-yl)phenyl carbonate;

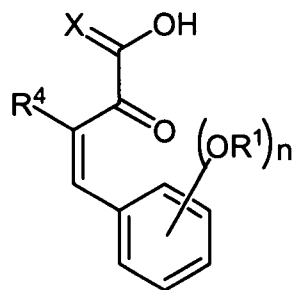
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optionally in form of one of its stereoisomers, preferably enantiomers or diastereomers, a racemate or in form of a mixture of at least two of its stereoisomers, preferably enantiomers and/or diastereomers, in any mixing ratio, or a corresponding N-oxide thereof, or a physiologically acceptable salt thereof, or a corresponding solvate thereof.

30

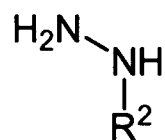
7. Process for the preparation of a compound of general formula I according to

one or more of claims 1 to 6, wherein R^5 represents hydrogen, characterized in that at least one compound of general formula II,



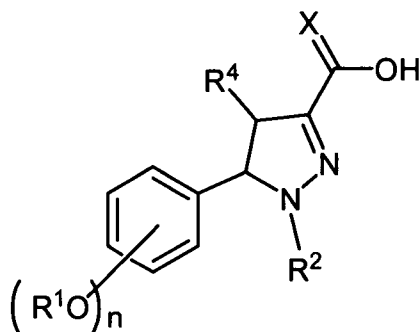
II,

wherein R^1 , n , X and R^4 have the meaning according to one or more of claims 1 to 6 and R^1 can also represent a hydrogen atom or a protecting group, preferably a protecting group selected from the group consisting of benzyl, methyl, trimethylsilyl, tert-butyldimethylsilyl and tert-butyldiphenylsilyl, is reacted with at least one compound of general formula III,



III,

or a corresponding salt thereof, wherein R^2 has the meaning according to one or more of claims 1 to 6, in a reaction medium, optionally in an inert atmosphere, optionally in the presence of at least one acid, to yield at least one compound of general formula IV,

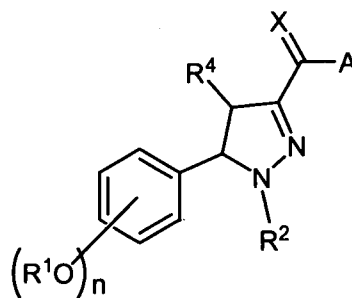


IV,

wherein R^1 , X , n , R^2 and R^4 have the meaning according to one or more of claims 1 to 6 and R^1 can also represent a hydrogen atom or a protecting

group, preferably a protecting group selected from the group consisting of benzyl, methyl, trimethylsilyl, tert-butyldimethylsilyl and tert-butyldiphenylsilyl, which is optionally isolated and/or purified,

and at least one compound of general formula IV is reacted with an activating agent in a reaction medium, optionally in an inert atmosphere, to yield at least one compound of general formula V,



V,

wherein R¹, X, n, R² and R⁴ have the meaning according to one or more of claims 1 to 6, A represents a leaving group and R¹ can also represent a hydrogen atom or a protecting group, preferably a protecting group selected from the group consisting of benzyl, methyl, trimethylsilyl, tert-butyldimethylsilyl and tert-butyldiphenylsilyl, which is optionally purified and/or isolated,

and at least one compound of general formula V is reacted with at least one compound of general formula R³-H, wherein R³ has the meaning according to one or more of claims 1 to 6, in a reaction medium, optionally in an inert atmosphere, optionally in the presence of at least one base selected from the group consisting of diisopropylethylamine, triethylamine, pyridine, dimethylaminopyridine and N-methylmorpholine, to yield at least one compound of general formula I, wherein R¹, R², X, n, R³ and R⁴ have the meaning according to one or more of claims 1 to 6, R¹ can also represent a hydrogen atom or a protecting group, preferably a protecting group selected from the group consisting of benzyl, methyl, trimethylsilyl, tert-butyldimethylsilyl and tert-butyldiphenylsilyl, and R⁵ represents hydrogen, which is optionally purified and/or isolated;

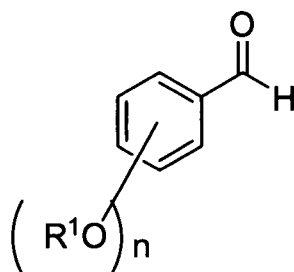
or at least one compound of general formula IV is reacted with at least one compound of general formula R^3-H , wherein R^3 represents a $-NR^7R^8$ moiety, whereby R^7 and R^8 have the meaning according to one or more of claims 1 to 6, in a reaction medium, in the presence of at least one coupling agent, optionally in the presence of at least one base, to yield at least one compound of general formula I, wherein R^1 , R^2 , n , X and R^4 have the meaning according to one or more of claims 1 to 6, R^1 can also represent a hydrogen atom or a protecting group, preferably a protecting group selected from the group consisting of benzyl, methyl, trimethylsilyl, tert-butyldimethylsilyl and tert-butyldiphenylsilyl, R^3 represents a $-NR^7R^8$ moiety and R^5 represents hydrogen, which is optionally purified and/or isolated;

and optionally at least one compound of general formula I, wherein R^1 represents a hydrogen atom and R^2 , R^3 , X , n and R^4 have the meaning according to one or more of claims 1 to 6 and R^5 represents a hydrogen atom, is reacted with at least one compound of general formula R^1-X , wherein R^1 has the meaning according to one or more of claims 1 to 6 and X represents a leaving group, preferably a leaving group selected from the group consisting of chlorine and bromine, in a reaction medium, optionally in the presence of at least one base,

or with at least one compound of general formula R^1-OH , wherein R^1 has the meaning according to one or more of claims 1 to 6, in a reaction medium, in the presence of at least one coupling agent, optionally in the presence of at least one base,

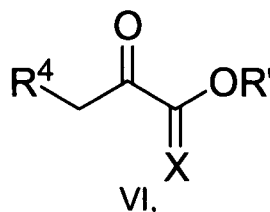
to yield at least one compound of general formula I, wherein R^1 , R^2 , n , R^3 , X and R^4 have the meaning according to one or more of claims 1 to 6, R^5 represents hydrogen, which is optionally purified and/or isolated.

8. Process for the preparation of a compound of general formula I according to one or more of claims 1 to 6, wherein R^5 represents hydrogen, characterized in that at least one compound of general formula VII,



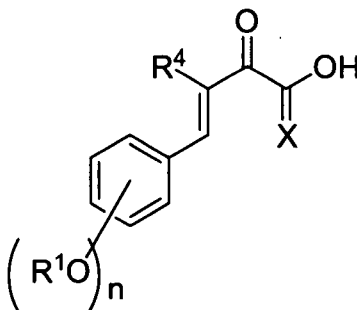
VII,

wherein R^1 and n have the meaning according to one or more of claims 1 to 6 and R^1 can also represent hydrogen or a protecting group, preferably a protecting group selected from the group consisting of benzyl, methyl, trimethylsilyl, tert-butyldimethylsilyl and tert-butyldiphenylsilyl, is reacted with at least one compound of general formula VI,



VI,

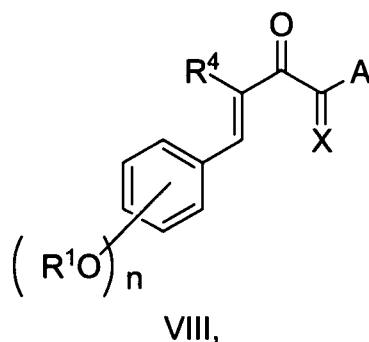
wherein R^4 and X have the meaning according to one or more of claims 1 to 6 and R' represents a linear or branched C_{1-6} -alkyl radical, a potassium cation or a sodium cation, in a reaction medium, optionally in an inert atmosphere, optionally in the presence of at least one base, to yield at least one compound of general formula II,



II,

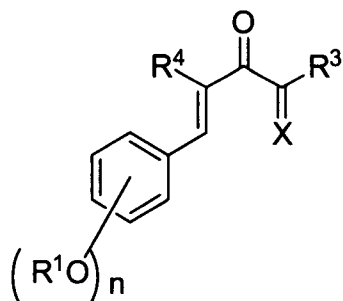
wherein R^1 , X, n and R^4 have the meaning according to one or more of claims 1 to 6 and R^1 can also represent a hydrogen atom or a protecting group, preferably a protecting group selected from the group consisting of benzyl, methyl, trimethylsilyl, tert-butyldimethylsilyl and tert-butyldiphenylsilyl, which is optionally purified and/or isolated,

and at least one compound of general formula II is reacted with an activating agent in a reaction medium, optionally in an inert atmosphere, to yield at least one compound of general formula VIII,



wherein R^1 , X, n and R^4 have the meaning according to one or more of claims 1 to 6, A represents a leaving group and R^1 can also represent a hydrogen atom or a protecting group, preferably a protecting group selected from the group consisting of benzyl, methyl, trimethylsilyl, tert-butyldimethylsilyl and tert-butyldiphenylsilyl, which is optionally purified and/or isolated,

and at least one compound of general formula VIII is reacted with at least one compound of general formula R^3 -H, wherein R^3 has the meaning according to one or more of claims 1 to 6, in a reaction medium, optionally in an inert atmosphere, optionally in the presence of at least one base selected from the group consisting of diisopropylethylamine, triethylamine, pyridine, dimethylaminopyridine and N-methylmorpholine, to yield at least one compound of general formula IX,

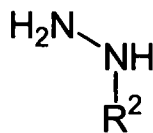


IX,

wherein R^1 , X , n , R^3 and R^4 have the meaning according to one or more of claims 1 to 6 and R^1 can also represent a hydrogen atom or a protecting group, preferably a protecting group selected from the group consisting of benzyl, methyl, trimethylsilyl, tert-butyldimethylsilyl and tert-butyldiphenylsilyl, which is optionally purified and/or isolated;

or at least one compound of general formula II is reacted with at least one compound of general formula R^3-H , wherein R^3 represents a $-NR^7R^8$ moiety, whereby R^7 and R^8 have the meaning according to one or more of claims 1 to 6, in a reaction medium, in the presence of at least one coupling agent, optionally in the presence of at least one base, to yield at least one compound of general formula IX, wherein R^3 represents a $-NR^7R^8$ moiety, which is optionally purified and/or isolated,

and at least one compound of general formula IX is reacted with at least one compound of general formula III,



III,

wherein R^2 has the meaning according to one or more of claims 1 to 6, in a reaction medium, optionally in an inert atmosphere, optionally in the presence of at least one acid, to yield at least one compound of general formula I, wherein R^1 , X , n , R^2 , R^3 and R^4 have the meaning according to one or more of claims 1 to 6, R^5 represents hydrogen and R^1 can also represent a hydrogen atom or a protecting group, preferably a protecting group selected from the

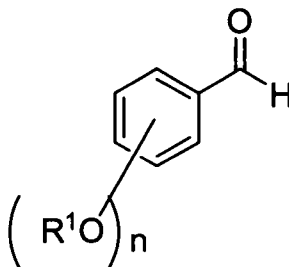
group consisting of benzyl, methyl, trimethylsilyl, tert-butyldimethylsilyl and tert-butyldiphenylsilyl, which is optionally purified and/or isolated;

and optionally at least one compound of general formula I, wherein R^1 represents a hydrogen atom and R^2 , R^3 , X, n and R^4 have the meaning according to one or more of claims 1 to 6 and R^5 represents hydrogen, is reacted with at least one compound of general formula R^1-X , wherein R^1 has the meaning according to one or more of claims 1 to 6 and X represents a leaving group, preferably a leaving group selected from the group consisting of chlorine and bromine, in a reaction medium, optionally in the presence of at least one base,

or with at least one compound of general formula R^1-OH , wherein R^1 has the meaning according to one or more of claims 1 to 6, in a reaction medium, in the presence of at least one coupling agent, optionally in the presence of at least one base,

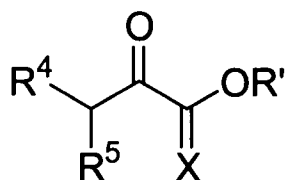
to yield at least one compound of general formula I, wherein R^1 , R^2 , R^3 , n, X and R^4 have the meaning according to one or more of claims 1 to 6 and R^5 represents hydrogen, which is optionally purified and/or isolated.

9. Process for the preparation of a compound of general formula I according to one or more of claims 1 to 6, wherein R^5 is unlike hydrogen, characterized in that at least one compound of general VII,



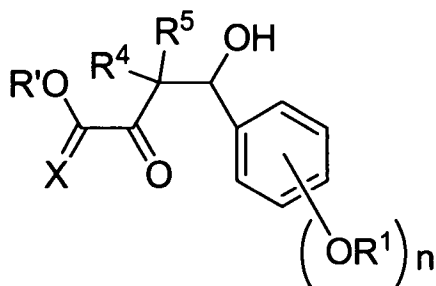
VII,

wherein R^1 and n have the meaning according to one or more of claims 1 to 6 and R^1 can also represent a hydrogen atom or a protecting group, preferably a protecting group selected from the group consisting of benzyl, methyl, trimethylsilyl, tert-butyldimethylsilyl and tert-butyldiphenylsilyl, is reacted with at least one compound of general formula VIb,



VIb,

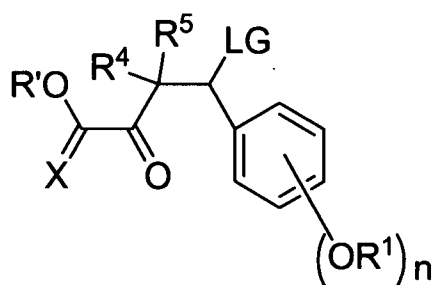
wherein R^4 , R^5 and X have the meaning according to one or more of claims 1 to 6; R^5 is unlike hydrogen and R' represents a linear or branched C_{1-6} -alkyl radical, a potassium cation or a sodium cation, in a reaction medium, optionally in an inert atmosphere, optionally in the presence of at least one base, to yield at least one compound of general formula XXXI,



XXXXI

wherein R^1 , R^4 , n , R^5 and X have the meaning according to one or more of claims 1 to 6, R^5 is unlike hydrogen, R^1 can also represent a hydrogen atom or a protecting group, preferably a protecting group selected from the group consisting of benzyl, methyl, trimethylsilyl, tert-butyldimethylsilyl and tert-butyldiphenylsilyl, and R' represents a linear or branched C_{1-6} -alkyl radical, a potassium cation or a sodium cation,

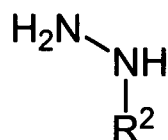
and at least one compound of general formula XXXXI is reacted with a reagent, that transforms a hydroxyl group into a leaving group, preferably with a reagent selected from the group consisting of methansulfonylchloride and toluolsulfonylchloride, in a reaction medium, optionally in the presence of at least one base, preferably in the presence of at least one base selected from the group consisting of triethylamine, diisopropylethylamine, pyridine and N-methylmorpholine, to yield a compound of general formula XXXXII,



XXXXII

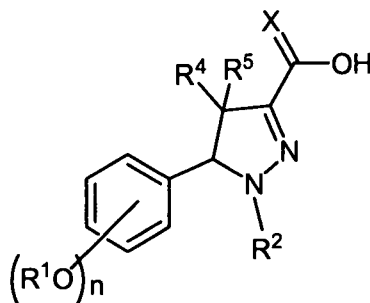
wherein R^1 , R^4 , n , R^5 and X have the meaning according to one or more of claims 1 to 6, R^5 is unlike hydrogen, R^1 can also represent a hydrogen atom or a protecting group, preferably a protecting group selected from the group consisting of benzyl, methyl, trimethylsilyl, tert-butyldimethylsilyl and tert-butyldiphenylsilyl, R' represents a linear or branched C_{1-6} -alkyl radical, a potassium cation or a sodium cation, and LG is a leaving group, preferably mesyl or tosyl;

and at least one compound of general formula XXXXII is reacted with at least one compound of general formula III,



III,

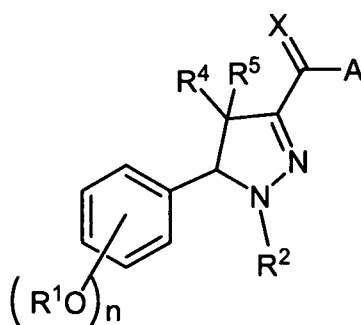
or a corresponding salt thereof, wherein R^2 has the meaning according to one or more of claims 1 to 6, in a reaction medium, optionally in an inert atmosphere, optionally in the presence of at least one acid, to yield at least one compound of general formula IVb,



IVb,

wherein R^1 , X , n , R^2 , R^4 and R^5 have the according to one or more of claims 1 to 6, R^1 can also represent a hydrogen atom or a protecting group, preferably a protecting group selected from the group consisting of benzyl, methyl, trimethylsilyl, tert-butyldimethylsilyl and tert-butyldiphenylsilyl, and R^5 is unlike hydrogen, which is optionally isolated and/or purified,

and at least one compound of general formula IVb is reacted with an activating agent in a reaction medium, optionally in an inert atmosphere, to yield at least one compound of general formula Vb,



Vb,

wherein R^1 , X , n , R^2 , R^4 and R^5 have the meaning according to one or more of claims 1 to 6, R^1 can also represent a hydrogen atom or a protecting group, preferably a protecting group selected from the group consisting of benzyl, methyl, trimethylsilyl, tert-butyldimethylsilyl and tert-butyldiphenylsilyl, R^5 is unlike hydrogen and A represents a leaving group, which is optionally purified and/or isolated,

and at least one compound of general formula Vb is reacted with at least one compound of general formula R^3-H , wherein R^3 has the meaning according to one or more of claims 1 to 6, in a reaction medium, optionally in an inert atmosphere, optionally in the presence of at least one base selected from the group consisting of diisopropylethylamine, triethylamine, pyridine, dimethylaminopyridine and N-methylmorpholine, to yield at least one compound of general formula I, wherein R^1 , R^2 , X, n, R^3 , R^4 and R^5 have the meaning according to one or more of claims 1 to 6, R^1 can also represent a hydrogen atom or a protecting group, preferably a protecting group selected from the group consisting of benzyl, methyl, trimethylsilyl, tert-butyldimethylsilyl and tert-butyldiphenylsilyl, and R^5 is unlike hydrogen, which is optionally purified and/or isolated;

or at least one compound of general formula IVb is reacted with at least one compound of general formula R^3-H , wherein R^3 represents a $-NR^7R^8$ moiety, whereby R^7 and R^8 have the meaning according to one or more of claims 1 to 6, in a reaction medium, in the presence of at least one coupling agent, optionally in the presence of at least one base, to yield at least one compound of general formula I, wherein R^1 , R^2 , X, n, R^4 and R^5 have the meaning according to one or more of claims 1 to 6, R^1 can also represent a hydrogen atom or a protecting group, preferably a protecting group selected from the group consisting of benzyl, methyl, trimethylsilyl, tert-butyldimethylsilyl and tert-butyldiphenylsilyl, R^3 represents a $-NR^7R^8$ moiety and R^5 is unlike hydrogen, which is optionally purified and/or isolated;

and optionally at least one compound of general formula I, wherein R^1 represents a hydrogen atom and R^2 , X, n, R^3 , R^5 and R^4 have the meaning according to one or more of claims 1 to 6 and R^5 is unlike hydrogen, is reacted with at least one compound of general formula R^1-X , wherein R^1 has the meaning according to one or more of claims 1 to 6 and X represents a leaving group, preferably a leaving group selected from the group consisting of chlorine and bromine, in a reaction medium, optionally in the presence of at least one base,

or with at least one compound of general formula R^1-OH , wherein R^1 has the meaning according to one or more of claims 1 to 6, in a reaction medium, in the presence of at least one coupling agent, optionally in the presence of at least one base,

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to yield at least one compound of general formula I, wherein R^1 , R^2 , R^3 , R^5 , n, X and R^4 have the meaning according to one or more of claims 1 to 6 and R^5 is unlike hydrogen, which is optionally purified and/or isolated.

- 10 10. Medicament comprising at least one compound according to one or more of claims 1 to 6 and optionally at least one physiologically acceptable auxiliary agent.
11. Medicament according to claim 10 for the modulation of cannabinoid-
15 receptors, preferably cannabinoid 1 (CB_1) receptors, for the prophylaxis and/or treatment of disorders of the central nervous system, disorders of the immune system, disorders of the cardiovascular system, disorders of the endocrinous system, disorders of the respiratory system, disorders of the gastrointestinal tract or reproductive disorders.
- 20 12. Medicament according to claim 10 or 11 for the prophylaxis and/or treatment of food intake disorders, preferably bulimia, anorexia, cachexia, obesity, type II diabetes mellitus (non-insuline dependent diabetes mellitus), more preferably obesity.
- 25 13. Medicament according to claim 10 or 11 for the prophylaxis and/or treatment of psychosis.
- 30 14. Medicament according to claim 10 or 11 for the prophylaxis and/or treatment of alcohol abuse and/or alcohol addiction, nicotine abuse and/or nicotine addiction, drug abuse and/or drug addiction and/or medicament abuse and/or medicament addiction, preferably drug abuse and/or drug addiction and/or nicotine abuse and/or nicotine addiction.

15. Medicament according to claim 10 or 11 for the prophylaxis and/or treatment of cancer, preferably for the prophylaxis and/or treatment of one or more types of cancer selected from the group consisting of brain cancer, bone cancer, lip cancer, mouth cancer, esophageal cancer, stomach cancer, liver cancer, bladder cancer, pancreas cancer, ovary cancer, cervical cancer, lung cancer, breast cancer, skin cancer, colon cancer, bowel cancer and prostate cancer, more preferably for the prophylaxis and/or treatment of one or more types of cancer selected from the group consisting of colon cancer, bowel cancer and prostate cancer.

16. Medicament according to claim 10 or 11 for the prophylaxis and/or treatment of one or more disorders selected from the group consisting of bone disorders, preferably osteoporosis (e.g. osteoporosis associated with a genetic predisposition, sex hormone deficiency, or ageing), cancer-associated bone disease or Paget's disease of bone; schizophrenia, anxiety, depression, epilepsy, neurodegenerative disorders, cerebella disorders, spinocerebella disorders, cognitive disorders, cranial trauma, head trauma, stroke, panic attacks, peripheral neuropathy, glaucoma, migraine, Morbus Parkinson, Morbus Huntington, Morbus Alzheimer, Raynaud's disease, tremblement disorders, compulsive disorders, senile dementia, thymus disorders, tardive dyskinesia, bipolar disorders, medicament-induced movement disorders, dystonia, endotoxemic shock, hemorrhagic shock, hypotension, insomnia, immunologic disorders, sclerotic plaques, vomiting, diarrhoea, asthma, memory disorders, pruritus, pain, or for potentiation of the analgesic effect of narcotic and non-narcotic analgesics, or for influencing intestinal transit.

17. Medicament according to claim 10 or 11 for the prophylaxis and/or treatment of one or more disorders selected from the group consisting of dementia and related disorders, preferably for the prophylaxis and/or treatment of one or more types of dementia selected from the group consisting of memory loss, vascular dementia, mild cognitive impairment, frontotemporal dementia and Pick's disease; binge eating disorders; juvenile obesity; drug induced obesity; atypical depression; behavioural addictions; attention deficit disorders; Tourette's syndrome; suppression of reward-related behaviours; e. g.

conditioned place avoidance such as suppression of cocaine- and morphine induced conditioned place preference; impulsivity; sexual dysfunction; preferably for the prophylaxis and/or treatment of one or more types of sexual dysfunction selected from the group consisting of erectile difficulty and female sexual dysfunction; seizure disorders; nausea; emesis; neuroinflammatory disease, preferably for the prophylaxis and/or treatment of one or more types of neuroinflammatory diseases selected from the group consisting of multiple sclerosis, demyelination related disorders, Guillan-Barré syndrome, viral encephalitis and cerebrovascular accidents; neurological disorders; muscle spasticity; traumatic brain injury; spinal cord injury; inflammation and immunomodulatory disorders, preferably for the treatment and/or prophylaxis of one or more types of inflammation and immunomodulatory disorders selected from the group consisting of cutaneous T-cell lymphoma, rheumatoid arthritis, systemic lupus erythematosus, sepsis, sarcoidosis, idiopathic pulmonary fibrosis, bronchopulmonary dysplasia, retinal disease, scleroderma, renal ischemia, myocardial infarction, cerebral ischemia, nephritis, hepatitis, glomerulonephritis, cryptogenic fibrosing alveolitis, psoriasis, transplant rejection, atopic dermatitis, vasculitis, allergy, seasonal allergic rhinitis, Crohn's disease, inflammatory bowel disease, reversible airway obstruction, adult respiratory distress syndrome, chronic obstructive pulmonary disease and bronchitis; cerebral apoplexy; craniocerebral trauma; neuropathic pain disorders; gastric ulcers; atherosclerosis and liver cirrhosis.

18. Use of at least one substituted pyrazoline compound according to one or more of claims 1 to 6 for the preparation of a medicament for the modulation of cannabinoid-receptors, preferably cannabinoid 1 (CB₁) receptors, for the prophylaxis and/or treatment of disorders of the central nervous system, disorders of the immune system, disorders of the cardiovascular system, disorders of the endocrine system, disorders of the respiratory system, disorders of the gastrointestinal tract or reproductive disorders.

19. Use of at least one substituted pyrazoline compound according to one or more of claims 1 to 6 for the preparation of a medicament for the prophylaxis and/or treatment of food intake disorders, preferably bulimia, anorexia, cachexia,

obesity, type II diabetes mellitus (non-insuline dependent diabetes mellitus), more preferably obesity.

20. Use of at least one substituted pyrazoline compound according to one or more of claims 1 to 6 for the preparation of a medicament for the prophylaxis and/or treatment of psychosis.

21. Use of at least one substituted pyrazoline compound according to one or more of claims 1 to 6 for the preparation of a medicament for the prophylaxis and/or treatment of alcohol abuse and/or alcohol addiction, nicotine abuse and/or nicotine addiction, drug abuse and/or drug addiction and/or medicament abuse and/or medicament addiction, preferably drug abuse and/or drug addiction and/or nicotine abuse and/or nicotine addiction.

22. Use of at least one substituted pyrazoline compound according to one or more of claims 1 to 6 for the preparation of a medicament for the prophylaxis and/or treatment of cancer, preferably for the prophylaxis and/or treatment of one or more types of cancer selected from the group consisting of brain cancer, bone cancer, lip cancer, mouth cancer, esophageal cancer, stomach cancer, liver cancer, bladder cancer, pancreas cancer, ovary cancer, cervical cancer, lung cancer, breast cancer, skin cancer, colon cancer, bowel cancer and prostate cancer, more preferably for the prophylaxis and/or treatment of one or more types of cancer selected from the group consisting of colon cancer, bowel cancer and prostate cancer.

23. Use of at least one substituted pyrazoline compound according to one or more of claims 1 to 6 for the preparation of a medicament for the prophylaxis and/or treatment of one or more disorders selected from the group consisting of bone disorders, preferably osteoporosis (e.g. osteoporosis associated with a genetic predisposition, sex hormone deficiency, or aging), cancer-associated bone disease or Paget's disease of bone; schizophrenia, anxiety, depression, epilepsy, neurodegenerative disorders, cerebella disorders, spinocerebella disorders, cognitive disorders, cranial trauma, head trauma, stroke, panic attacks, peripheral neuropathy, glaucoma, migraine, Morbus Parkinson,

Morbus Huntington, Morbus Alzheimer, Raynaud's disease, tremblement disorders, compulsive disorders, senile dementia, thymic disorders, tardive dyskinesia, bipolar disorders, medicament-induced movement disorders, dystonia, endotoxemic shock, hemorrhagic shock, hypotension, insomnia, immunologic disorders, sclerotic plaques, vomiting, diarrhoea, asthma, memory disorders, pruritus, pain, or for potentiation of the analgesic effect of narcotic and non-narcotic analgesics, or for influencing intestinal transit.

24. Use of at least one substituted pyrazoline compound according to one or more of claims 1 to 6 for the preparation of a medicament for the prophylaxis and/or treatment of one or more types of dementia selected from the group consisting of memory loss, vascular dementia, mild cognitive impairment, frontotemporal dementia and Pick's disease; binge eating disorders; juvenile obesity; drug induced obesity; atypical depression; behavioural addictions; attention deficit disorders; Tourette's syndrome; suppression of reward-related behaviours; e. g. conditioned place avoidance such as suppression of cocaine- and morphine induced conditioned place preference; impulsivity; sexual dysfunction; preferably for the prophylaxis and/or treatment of one or more types of sexual dysfunction selected from the group consisting of erectile difficulty and female sexual dysfunction; seizure disorders; nausea; emesis; neuroinflammatory disease, preferably for the prophylaxis and/or treatment of one or more types of neuroinflammatory diseases selected from the group consisting of multiple sclerosis, demyelination related disorders, Guillan-Barré syndrome, viral encephalitis and cerebrovascular accidents; neurological disorders; muscle spasticity; traumatic brain injury; spinal cord injury; inflammation and immunomodulatory disorders, preferably for the treatment and/or prophylaxis of one or more types of inflammation and immunomodulatory disorders selected from the group consisting of cutaneous T-cell lymphoma, rheumatoid arthritis, systemic lupus erythematosus, sepsis, sarcoidosis, idiopathic pulmonary fibrosis, bronchopulmonary dysplasia, retinal disease, scleroderma, renal ischemia, myocardial infarction, cerebral ischemia, nephritis, hepatitis, glomerulonephritis, cryptogenic fibrosing alveolitis, psoriasis, transplant rejection, atopic dermatitis, vasculitis, allergy, seasonal allergic rhinitis, Crohn's disease, inflammatory bowel disease, reversible airway obstruction,

adult respiratory distress syndrome, chronic obstructive pulmonary disease and bronchitis; cerebral apoplexy; craniocerebral trauma; neuropathic pain disorders; gastric ulcers; atherosclerosis and liver cirrhosis.