

The compounds of the formula I, their pharmaceutically acceptable salts, their N-oxides, their prodrugs, their hydrates and their tautomers and the pharmaceutically acceptable salts of said N-oxides, prodrugs, tautomers or hydrates are therefore particularly suitable for treating disorders and conditions in creatures, especially human creatures, which can be treated or controlled by inhibition of phosphodiesterase type 10A.

The invention therefore also relates to the use of the compounds of the formula I, their N-oxides, their tautomers, their hydrates and their pharmaceutically acceptable salts and the pharmaceutically acceptable salts of said N-oxides, prodrugs, tautomers or hydrates for the manufacture of a medicament, in particular of a medicament which is suitable for the treatment of a disorder or a condition which can be treated by inhibition of phosphodiesterase type 10A.

The invention further relates to a medicament, in particular a medicament which is suitable for the treatment of a disorder or a condition which can be treated by inhibition of phosphodiesterase type 10A. The medicament comprises at least one compound of the formula I, as described herein, or an N-oxide, a tautomer, or a hydrate or a prodrug of said compound I, or a pharmaceutically acceptable salt of the compound of the formula I or a pharmaceutically acceptable salt of the N-oxide, the tautomer, the hydrate or the prodrug of compound of the formula I.

The invention also relates to a compound of the formula I, in particular of the formulae I-A, I-B, I-A.a, I-B.a, I-A.a' or I-B.a' having an isotope label, in particular a positron emitting isotope label, especially a ^{11}C -label or a ^{18}F -label, or a gamma ray emitting isotope label, in particular ^{123}I . These compounds are valuable diagnostic tools and can be used e.g. in positron emission tomography (PET) and in single photon emission computed tomography (SPECT), respectively.

The invention also relates to a pharmaceutical composition which comprises at least one compound as claimed as disclosed herein and at least one excipient.

The invention also relates to a use of the compound as disclosed herein in the manufacture of a medicament for treating a medical disorder, selected from neurological and psychiatric disorders which can be treated by inhibition of phosphodiesterase type 10A.

The invention also relates to a use of the compounds as disclosed herein in the manufacture of a medicament for treating CNS disorders in a mammalian.

The invention also relates to a use of the compounds as disclosed herein in the manufacture of a medicament for treating schizophrenia in a mammalian.

The invention also relates to a use of the compounds as disclosed herein in the manufacture of a medicament for treating cognitive dysfunction associated with schizophrenia in a mammalian.

The invention also relates to a use of the compounds as disclosed herein in the manufacture of a medicament for treating bipolar disorders in a mammalian.

The invention also relates to a use of the compounds as disclosed herein in the manufacture of a medicament for treating depression in a mammalian.

The invention also relates to a use of the compounds as disclosed herein in the manufacture of a medicament for treating cognitive dysfunction associated with Alzheimer's disease in a mammalian.

The invention also relates to a use of the compounds as disclosed herein in the manufacture of a medicament for treating diet-induced obesity in a mammalian.

The invention also relates to a use of the compounds as disclosed herein in the manufacture of a medicament for treating Huntington's disease in a mammalian.

The invention also relates to a use of the compounds as disclosed herein in the manufacture of a medicament for treating anxiety in a mammalian.

The invention also relates to a use of the compounds as disclosed herein in positron emission tomography or single photon emission computed tomography.

The invention also relates to a use of the compounds as disclosed herein as a PDE10A ligand in positron emission tomography or single photon emission computed tomography of the brain.

Detailed Description of the Invention

The terms "compound of the formula I" and "compounds I" are used as synonyms.

The term "prodrugs" means compounds which are metabolized in vivo to the compounds I of the invention. Typical examples of prodrugs are described in C.G.

Wermuth (editor): The Practice of Medicinal Chemistry, Academic Press, San Diego, 1996, pages 671-715. These include for example phosphates, carbamates, amino acids,

2-[2-[4-[4-(4-methoxyphenyl)-1-methyl-pyrazol-3-yl]phenyl]ethynyl]-6-methyl-pyridine,
 2-[2-[2-methoxy-4-[1-methyl-4-(4-pyridyl)pyrazol-3-yl]phenyl]ethynyl]-6-methyl-
 pyridine,
 and the N-oxides, the prodrugs, the tautomers and the hydrates thereof, and the
 pharmaceutically acceptable salts thereof.

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23. The compound of any one of the preceding claims for use in therapy.

24. Pharmaceutical composition which comprises at least one compound as claimed in any
 one of claims 1 to 22 and at least one excipient.

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25. Use of ~~The the~~ compound of any one of claims 1 to 22 in the manufacture of a
 medicament for treating a medical disorder, selected from neurological and psychiatric
 disorders which can be treated by inhibition of phosphodiesterase type 10A.

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26. Use of ~~The the~~ compounds of any one of claims 1 to 22 in the manufacture of a
 medicament for treating CNS disorders in a mammalian.

27. Use of ~~The the~~ compounds of any one of claims 1 to 22 in the manufacture of a
 medicament for treating schizophrenia in a mammalian.

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28. Use of ~~The the~~ compounds of any one of claims 1 to 22 in the manufacture of a
 medicament for treating cognitive dysfunction associated with schizophrenia in a
 mammalian.

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29. Use of ~~The the~~ compounds of any one of claims 1 to 22 in the manufacture of a
 medicament for treating bipolar disorders in a mammalian.

30. Use of ~~The the~~ compounds of any one of claims 1 to 22 in the manufacture of a
 medicament for treating depression in a mammalian.

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31. Use of ~~The the~~ compounds of any one of claims 1 to 22 in the manufacture of a
 medicament for treating cognitive dysfunction associated with Alzheimer's disease in a
 mammalian.

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32. Use of The the compounds of any one of claims 1 to 22 in the manufacture of a medicament for treating diet-induced obesity in a mammalian.
- 5 33. Use of The the compounds of any one of claims 1 to 22 in the manufacture of a medicament for treating Huntington's disease in a mammalian.
34. Use of The the compounds according to any of claims 1 to 22 in the manufacture of a medicament for treating anxiety in a mammalian.
- 10 ~~35. A method for treating a medical disorder, selected from neurological and psychiatric disorders which can be treated by inhibition of phosphodiesterase type 10A, said method comprising administering an effective amount of at least one compound as claimed in any of claims 1 to 22 to a subject in need thereof.~~
- 15 ~~36-35.~~ The compound of any one of the claims 1 to 22 which comprises an isotope label.
- 20 ~~37-36.~~ The compound of claim ~~36-35~~, which is a compound of formulae I-A, I-A.a or I-A.a', as described in claims 12, 13 or 18 where the radical R³ or the radical R^a comprises the isotope label.
- 25 ~~38-37.~~ The compound of any one of the claims ~~36-35~~ or ~~37-36~~, where the isotope label is selected from a positron emitting isotope label, in particular from ¹¹C-label and ¹⁸F-label, and a gamma ray emitting isotope, in particular ¹²³I.
- 30 ~~39-38.~~ The use of a compound of claim ~~38-37~~ in positron emission tomography or single photon emission computed tomography.
- 40-39. The use of a compound of claim ~~38-37~~ as a PDE10A ligand in positron emission tomography or single photon emission computed tomography of the brain.