Title (en)

SUBSTITUTED TRICYCLIC PIPERIDONE DERIVATIVES

Title (de)

SUBSTITUIERTE TRICYCLISCHE PIPERIDON-DERIVATE

Title (fr)

DERIVES PIPERIDONE TRICYCLIQUES SUBSTITUES

Publication

EP 1966138 A2 20080910 (DE)

Application

EP 06846988 A 20061219

Priority

- EP 2006012223 W 20061219
- DE 102005061426 A 20051222

Abstract (en)

[origin: DE102005061426A1] Substituted tricyclic piperidone derivatives (I), as racemates, enantiomers or diastereomers, or their mixtures, bases and/or salts with physiologically tolerable acids are new. Substituted tricyclic piperidone derivatives of formula (I), as racemates, enantiomers or diastereomers, or their mixtures, bases and/or salts with physiologically tolerable acids are new. R 1>Me, Et or Ph; one of X 1 and X 2NR 2>, the other is CH 2; R 2>1-8C alkyl, optionally unsaturated, linear or branched, optionally substituted one or more times, optionally including a heteroatom in the chain; an aryl, 3-8C cycloalkyl or heteroaryl (all optionally substituted one or more times) group attached through alkyl as specified above; COR 4>, CONR 5>R 6>, CSNR 5>R 6>, SO 2R 7> or COOR 8>; R 3>hydrogen, F, Cl, Br, hydroxy, methoxy, methylthio, nitro, cyano, 1-8C alkyl or 3-8C cycloalkyl (each optionally unsaturated, linear or branched, optionally substituted one or more times) or phenyl, benzyl or phenethyl (all optionally substituted by one or more F, Cl, hydroxy, methoxy, methylthio, nitro, cyano, CF 3, Me or Et); R 4>, R 7> and R 8>1-8C alkyl or 3-8C cycloalkyl (each optionally unsaturated, linear or branched, substituted one or more times and optionally including a N, O or S in the chain), (hetero)aryl (each optionally substituted one or more times), or (hetero)aryl or 3-8C cycloalkyl, all optionally substituted one or more times, attached through an optionally substituted 1-8C alkyl (optionally unsaturated; linear or branched; optionally substituted one or more times); R 5> and R 6>H, 1-8C alkyl or 3-8C cycloalkyl (each optionally unsaturated, linear or branched, and/or substituted one or more times, optionally with N, O or S in the chain); (hetero)aryl, each optionally substituted one or more times; (hetero)aryl or 3-8C cycloalkyl (all optionally substituted one or more times) bonded through a 1-8C alkyl (optionally unsaturated; linear or branched; optionally substituted one or more times, optionally including an N, O or S), but R 5> and R 6> are not both H; or R 5> and R 6> together complete a 5-7 membered ring, saturated or unsaturated but not aromatic, optionally including an additional heteroatom (S, O or NR 9>) and optionally substituted by benzyl or 1-5C alkyl; R 9>1-5C linear or branched alkyl, phenyl or benzyl, optionally substituted by one or more of F, Cl, hydroxy, methoxy, methylthio, nitro, cyano, CF 3, Me or Et. An independent claim is included for several methods for preparing (I). [Image] ACTIVITY : Analgesic; Antidepressant; Uropathic; Antidiarrheal; Antipruritic; Antialcoholic; Tranquilizer. No details of tests for these activities are given. MECHANISM OF ACTION : (I) inhibit reuptake of serotonin (S) and noradrenaline (NA). Ethyl N-methyl-11-oxo-1,3,4,6-tetrahydro-1,5-methano-3-benzoazocin-5(2H)-carboxylate hydrochloride, at 10 microM, caused 77% and 80% inhibition of reuptake of S and NA, respectively.

IPC 8 full level

C07D 211/22 (2006.01); **A61K 31/435** (2006.01); **A61P 25/04** (2006.01)

CPC (source: EP US)

A61P 1/12 (2017.12 - EP); **A61P 13/02** (2017.12 - EP); **A61P 17/04** (2017.12 - EP); **A61P 25/00** (2017.12 - EP); **A61P 25/04** (2017.12 - EP); **A61P 25/22** (2017.12 - EP); **A61P 25/24** (2017.12 - EP); **A61P 25/30** (2017.12 - EP); **A61P 25/32** (2017.12 - EP); **A61P 25/36** (2017.12 - EP); **A61P 29/00** (2017.12 - EP); **C07D 471/08** (2013.01 - EP US)

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