

Title (en)  
SUBSTITUTED SPIRO-COMPOUNDS AND THE USE THEREOF FOR PRODUCING MEDICAMENTS

Title (de)  
SUBSTITUIERTE SPIRO-VERBINDUNGEN UND DEREN VERWENDUNG ZUR HERSTELLUNG VON ARZNEIMITTELN

Title (fr)  
COMPOSES SPIRO SUBSTITUES, ET LEUR UTILISATION POUR PRODUIRE DES MEDICAMENTS

Publication  
**EP 1888542 A1 20080220 (DE)**

Application  
**EP 06753674 A 20060517**

Priority  
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• DE 102005044814 A 20050920

Abstract (en)  
[origin: DE102005044814A1] Spiro-isoxazole-cycloalkane compounds (I) are new. Spiro-isoxazole-cycloalkane compounds of formula (I), optionally as pure stereoisomers, particularly enantiomers or diastereoisomers; racemates or mixtures in any proportion, also as salts or solvates, are new. n : 0-2; R 1>linear or branched, optionally unsaturated aliphatic residue (Ra), optionally substituted one or more times and optionally containing at least one heteroatom; cycloaliphatic group (Rb), optionally unsaturated, optionally substituted one or more times, optionally containing at least one heteroatom, optionally attached through a linear or branched alkylene, alkenylene or alkynylene and/or condensed with an optionally substituted mono- or poly-cyclic ring system; optionally substituted (hetero)aryl (Rc), optionally attached and/or condensed as for Rb, or CONR 11>R 12>, and then R 2>-R 8> = hydrogen or Ra; R 1> and R 3> together : (CH 2) p, and then R 2> and R 4>-R 8> = hydrogen or Ra; p : 3-6; or R 1>, R 2>, R 7> and R 8>-hydrogen and R 3>-R 6> = Ra; R 9>hydrogen, Ra, Rb or Rc; R 10>Ra, Rb, optionally substituted phenyl (provided one of m and p positions is not substituted by a residue attached to phenyl through O, S or N), any of the groups naphthyl, 1,3-benzodioxolyl, 1,4-benzodioxolyl, thienyl, furanyl, pyrrolyl, pyrazolyl, pyranyl, pyridinyl, imidazolyl, (iso)indolyl, benzo[b]-furanyl or -thienyl, thiazolyl, (is)oxazolyl, pyridazinyl, pyrazinyl, pyrimidinyl, indazolyl, quinazolinyl, quinoxalinyl, (iso)quinolinyl, benzimidazolyl, benzoxazolyl, benzotriazolyl, benzisoxazolyl, 1,2,3,4-tetrahydro-naphthyl, -(iso)quinolyl or -quinazolinyl, 2H-benzo[1,4]oxazin-3(4H)-onyl, 3,4-dihydroquinolin-2(1H)-onyl, 3,4-dihydro-2H-1,4-benzoxazinyl or benzothiazolyl; optionally substituted (hetero)aryl linked through 1-3 CH 2, and optionally fused to an optionally substituted mono- or poly-cyclic ring system, or is -CONR 13>R 14>; R 11>, R 13>optionally unsaturated aliphatic residue (Rd), optionally substituted one or more times; Rb; or optionally substituted (hetero)aryl, optionally linked through 1-3 CH 2 and/or fused to an optionally substituted mono- or poly-cyclic ring system; R 12>, R 14>hydrogen; Rd; Rb; or optionally substituted (hetero)aryl, linked through CH 2 and/or fused to an optionally substituted mono- or poly-cyclic ring system; or NR 11>R 12>, NR 13>R 14>-heterocycloaliphatic group (hcg), optionally substituted, unsaturated and/or with further heteroatoms as ring members, optionally fused to a mono- or poly-cyclic ring system, where the substituents on hcg are (thi)oxo, fluoro, chloro, bromo, iodo, cyano, trifluoromethyl, SF 5, hydroxy, 1-5C alkoxy, amino (optionally substituted by 1 or 2 1-5C alkyl), nitro, trifluoromethoxy, trifluoromethylthio, mercapto, 1-5C alkylthio, 1-5C alkylcarbonyloxy, 1-5C alkoxycarbonylamino, aminocarbonyl (optionally substituted by 1 or 2 1-5C alkyl), cyclohexyl, cyclopentyl, pyridinyl, pyridazinyl, pyrimidinyl, 1,2,5-thiadiazolyl, thiazolyl, benzo[b]furanylmethyl, phenoxy, benzyloxy, phenyl or benzyl, where any of the rings may themselves be substituted by fluoro, chloro, bromo, hydroxy, trifluoromethyl, SF 5, cyano, nitro, 1-5C alkyl or alkoxy, trifluoromethoxy, trifluoromethylthio, phenyl or benzyloxy; and substituents on aliphatic residues are fluoro, chloro, bromo, iodo, cyano, nitro, hydroxy, mercapto or amino. An independent claim is also included for the preparation of (I). [Image] ACTIVITY : Analgesic; Antimigraine; Antidepressant; Urothatic; Antitussive; Neuroprotective; Nootropic; Antiparkinsonian; Anticonvulsant; Anorectic; Tranquilizer; Antidiarrhea; Antialcoholic; Antismoking; Cardiant; Anesthetic; Cerebroprotective; Respiratory-Gen.; Antiulcer; Dermatological; Antipruritic; Ophthalmological; Antiinflammatory; Antiasthmatic. MECHANISM OF ACTION : Vanilloid Receptor 1 (VR1/TRPV1) Ligand.

IPC 8 full level  
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CPC (source: EP US)  
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