

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
• EP 2006004653 W 20060517
• DE 102005023779 A 20050519
• 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, quinoxalyl, (iso)quinolyl, 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
C07D 261/20 (2006.01); **A61K 31/42** (2006.01); **A61P 25/04** (2006.01); **C07D 413/12** (2006.01); **C07D 417/12** (2006.01)

CPC (source: EP US)
A61P 1/00 (2017.12 - EP); **A61P 1/04** (2017.12 - EP); **A61P 1/12** (2017.12 - EP); **A61P 1/14** (2017.12 - EP); **A61P 3/04** (2017.12 - EP); **A61P 7/00** (2017.12 - EP); **A61P 7/10** (2017.12 - EP); **A61P 7/12** (2017.12 - EP); **A61P 9/00** (2017.12 - EP); **A61P 9/10** (2017.12 - EP); **A61P 11/00** (2017.12 - EP); **A61P 11/06** (2017.12 - EP); **A61P 13/06** (2017.12 - EP); **A61P 15/02** (2017.12 - EP); **A61P 17/00** (2017.12 - EP); **A61P 17/04** (2017.12 - EP); **A61P 19/02** (2017.12 - EP); **A61P 25/00** (2017.12 - EP); **A61P 25/02** (2017.12 - EP); **A61P 25/04** (2017.12 - EP); **A61P 25/06** (2017.12 - EP); **A61P 25/08** (2017.12 - EP); **A61P 25/14** (2017.12 - EP); **A61P 25/16** (2017.12 - EP); **A61P 25/18** (2017.12 - EP); **A61P 25/22** (2017.12 - EP); **A61P 25/24** (2017.12 - EP); **A61P 25/28** (2017.12 - EP); **A61P 25/30** (2017.12 - EP); **A61P 25/32** (2017.12 - EP); **A61P 25/36** (2017.12 - EP); **A61P 27/02** (2017.12 - EP); **A61P 29/00** (2017.12 - EP); **A61P 43/00** (2017.12 - EP); **C07D 261/20** (2013.01 - EP US); **C07D 413/12** (2013.01 - EP US); **C07D 417/12** (2013.01 - EP US)

Citation (search report)
See references of WO 2006136245A1

Designated contracting state (EPC)
AT BE BG CH CY CZ DE DK EE ES FI FR GB GR HU IE IS IT LI LT LU LV MC NL PL PT RO SE SI SK TR

DOCDB simple family (publication)
DE 102005044814 A1 20061123; CA 2608319 A1 20061228; CA 2608319 C 20140415; EP 1888542 A1 20080220; JP 2008540594 A 20081120; JP 5376942 B2 20131225; US 2009275628 A1 20091105; US 7981883 B2 20110719; WO 2006136245 A1 20061228

DOCDB simple family (application)
DE 102005044814 A 20050920; CA 2608319 A 20060517; EP 06753674 A 20060517; EP 2006004653 W 20060517; JP 2008511621 A 20060517; US 91482106 A 20060517