Title (en)

1-BENZYLPYRAZOLE DERIVATIVES, PREPARATION THEREOF AND THERAPEUTIC USE THEREOF

Title (de)

1-BENZYLPYRAZOL-DERIVATE, HERSTELLUNG DAVON UND THERAPEUTISCHE VERWENDUNG DAVON

Title (fr)

DÉRIVES DE 1 -BENZYLPYRAZOLE, LEUR PREPARATION ET LEUR APPLICATION EN THERAPEUTIQUE

Publication

EP 2167472 A2 20100331 (FR)

Application

EP 08805629 A 20080602

Priority

- FR 2008000739 W 20080602
- FR 0703972 A 20070604

Abstract (en)

[origin: FR2916758A1] 1-Benzylpyrazole compounds (I) and their acid or base addition salts, hydrates or solvates are new. 1-Benzylpyrazole compounds of formula (I) and their acid or base addition salts, hydrates or solvates are new. Y 1-N(R 7)CO-, -N(R 7)CO-N(R 7)-, -OCO- or -N(R 7)S(O) n; R 1H or 1-4C alkyl; R 2, R 4H, halo, 1-4C alkyl, 1-4C alkoxy or -CF 3; R 3, R 5halo, 1-4C alkyl, 1-4C alkoxy, -CF 3, -OCF 3, CN or S(O) mAlk; R 61-6C alkyl (optionally substituted by one or more substituents comprising halo, OH, 1-4C alkoxy or OCF 3), phenyl (optionally substituted by R 8), benzyl or benzhydryl, heterocyclic radical comprising thienyl, furyl or pyrrolyl (optionally substituted by halo, 1-4C alkyl or CF 3), 3-12C non-aromatic carbocyclic radical (optionally substituted by one or more halo, 1-4C alkyl, 1-4C alkoxy, OH or CN), 3-7C cycloalkylmethyl (optionally substituted by one or more 1-4C alkyl) or aryloxymethyl (optionally substituted on methyl by one or more two alkyl groups, in which aryloxy represents phenoxy group (optionally substituted by one or more R 8)); R 7H or 1-4C alkyl; R 8halo, 1-4C alkyl, CF 3, CN, 1-4C alkoxy, OCF 3, phenyl, 3-7C cycloalkyl or NHS(O) nAlk; n : 1 or 2; m : 0-2; and Alk : 1-4C alkyl. Independent claims are included for: (1) the preparation of (I); and (2) a substituted 1-benzylpyrazole compound of formula (XII). W 1OH or NH 2; and R 3, R 5halo, 1-4C alkyl, 1-4C alkoxy or -CF 3. [Image] [Image] ACTIVITY : Immunomodulator; Analgesic; Gastrointestinal-Gen; Cardiovascular-Gen; Nephrotropic; Cytostatic. MECHANISM OF ACTION : Cannabinoid receptor antagonist. The ability of (I) to inhibit cannabinoid receptor was tested in cell lines. The result showed that (I) exhibited an IC 5 0 value of 0.1-500 nM.

IPC 8 full level

C07D 231/12 (2006.01); **A61K 31/4155** (2006.01); **A61P 1/00** (2006.01); **A61P 9/00** (2006.01); **A61P 13/12** (2006.01); **A61P 29/00** (2006.01); **C07D 405/12** (2006.01); **C07D 409/12** (2006.01)

CPC (source: EP KR US)

A61K 31/4155 (2013.01 - KR); **A61P 1/00** (2017.12 - EP); **A61P 9/00** (2017.12 - EP); **A61P 13/12** (2017.12 - EP); **A61P 25/04** (2017.12 - EP); **A61P 29/00** (2017.12 - EP); **A61P 29/02** (2017.12 - EP); **A61P 35/00** (2017.12 - EP); **A61P 37/00** (2017.12 - EP); **A61P 37/02** (2017.12 - EP); **A61P 41/00** (2017.12 - EP); **A61P 43/00** (2017.12 - EP); **C07D 231/12** (2013.01 - EP KR US); **C07D 405/12** (2013.01 - EP KR US); **C07D 409/12** (2013.01 - EP US)

Citation (search report)

See references of WO 2009004171A2

Designated contracting state (EPC)

AT BE BG CH CY CZ DE DK EE ES FI FR GB GR HR HU IE IS IT LI LT LU LV MC MT NL NO PL PT RO SE SI SK TR

Designated extension state (EPC)

AL BA MK RS

DOCDB simple family (publication)

FR 2916758 A1 20081205; **FR 2916758 B1 20091009**; AU 2008270124 A1 20090108; BR PI0812588 A2 20150218; CA 2689116 A1 20090108; CN 101687807 A 20100331; EP 2167472 A2 20100331; IL 202474 A0 20100630; JP 2010529093 A 20100826; KR 20100017964 A 20100216; MX 2009013139 A 20100217; RU 2009148323 A 20110720; US 2010144818 A1 20100610; WO 2009004171 A2 20090108; WO 2009004171 A3 20090423

DOCDB simple family (application)

FR 0703972 A 20070604; AU 2008270124 A 20080602; BR PI0812588 A 20080602; CA 2689116 A 20080602; CN 200880023268 A 20080602; EP 08805629 A 20080602; FR 2008000739 W 20080602; IL 20247409 A 20091202; JP 2010510841 A 20080602; KR 20097027427 A 20080602; MX 2009013139 A 20080602; RU 2009148323 A 20080602; US 63047009 A 20091203