

(19) World Intellectual Property Organization
International Bureau(43) International Publication Date
25 June 2009 (25.06.2009)

PCT

(10) International Publication Number
WO 2009/077728 A1

(51) International Patent Classification:

C07D 209/04 (2006.01) C07D 409/06 (2006.01)
C07D 401/06 (2006.01) C07D 409/14 (2006.01)
C07D 403/06 (2006.01) C07D 417/06 (2006.01)
C07D 403/12 (2006.01) A61K 31/404 (2006.01)

(21) International Application Number:

PCT/GB2008/004107

(22) International Filing Date:

12 December 2008 (12.12.2008)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

0724429.6 14 December 2007 (14.12.2007) GB
0806083.2 3 April 2008 (03.04.2008) GB
0814910.6 14 August 2008 (14.08.2008) GB

(71) Applicant (for all designated States except US): **ARGENTA DISCOVERY LIMITED** [GB/GB]; 8/9 Spire Green Centre, Flex Meadow, Harlow, Essex CM19 5TR (GB).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **HYND, George**; Argenta Discovery Ltd, 8/9 Spire Green Centre, Flex Meadow, Harlow, Essex CM19 5TR (GB). **MONTANA, John, Gary** [GB/GB]; Argenta Discovery Ltd, 8/9 Spire Green Centre, Flex Meadow, Harlow, Essex CM19 5TR (GB). **FINCH, Harry** [GB/GB]; Argenta Discovery Ltd, 8/9 Spire Green Centre, Flex Meadow, Harlow, Essex

CM19 5TR (GB). **ARIENZO, Rosa** [GB/GB]; Argenta Discovery Ltd, 8/9 Spire Green Centre, Flex Meadow, Harlow, Essex CM19 5TR (GB). **AVITABILE-WOO, Barbara** [IT/GB]; Argenta Discovery Ltd, 8/9 Spire Green Centre, Flex Meadow, Harlow, Essex CM19 5TR (GB). **DOMOSTOJ, Mathias** [FR/GB]; Argenta Discovery Ltd, 8/9 Spire Green Centre, Flex Meadow, Harlow, Essex CM19 5TR (GB).

(74) Agent: **GILL JENNINGS & EVERY LLP**; Broadgate House, 7 Eldon Street, London EC2M 7LH (GB).

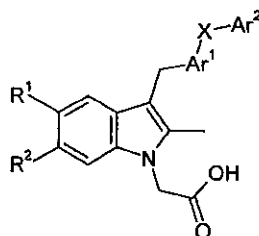
(81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW.

(84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:

— with international search report

(54) Title: INDOLES AND THEIR THERAPEUTIC USE



(I)

(57) Abstract: Compound of formula (I) are ligands of the CRTH2 receptor, useful inter alia for treatment of inflammatory conditions. Wherein X is -SO₂- or *-SO₂NR³- wherein the bond marked with an asterisk is attached to Ar¹; R¹ is hydrogen, fluoro, chloro, CN or CF₃; R² is hydrogen, fluoro or chloro; R³ is hydrogen, C₁-C₆alkyl or C₃-C₇cycloalkyl; Ar¹ is phenyl or a 5- or 6-membered heteroaryl group selected from furanyl, thienyl, oxazolyl, thiazolyl, imidazolyl, pyrazolyl, isoxazolyl, isothiazolyl, pyridinyl, pyridazinyl, pyrimidinyl and pyrazinyl, wherein the phenyl or heteroaryl groups are optionally substituted by one or more substituents independently selected from fluoro, chloro, CN, C₃-C₇cycloalkyl, -O(C₁-C₄alkyl) or C₁-C₆alkyl, the latter two groups being optionally substituted by one or more fluoro atoms; and Ar² is phenyl or 5- or 6-membered heteroaryl group selected from pyrrolyl, furanyl, thienyl, oxazolyl, thiazolyl, imidazolyl, pyrazolyl, isoxazolyl, isothiazolyl, pyridinyl, pyridazinyl, pyrimidinyl and pyrazinyl, wherein the phenyl or heteroaryl groups are optionally substituted by one or more substituents independently selected from fluoro, chloro, CN, C₃-C₇cycloalkyl, -O(C₁-C₄alkyl) or C₁-C₆alkyl, the latter two groups being optionally substituted by one or more fluoro atoms.