(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
11 February 2010 (11.02.2010)

PCT

(10) International Publication Number
WO 2010/015972 A1

(51) International Patent Classification:
C07D 401/12 (2006.01) *C07D 487/04* (2006.01)
C07D 403/06 (2006.01) *A61K 31/33* (2006.01)
C07D 403/12 (2006.01) *A61P 15/00* (2006.01)

(21) International Application Number:
PCT/IB2009/053317

(22) International Filing Date:
30 July 2009 (30.07.2009)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
61/086,530 6 August 2008 (06.08.2008) US

(71) Applicant *(for all designated States except US)*: **PFIZER LIMITED** [GB/GB]; Ramsgate Road, Sandwich Kent CT13 9NJ (GB).

(72) Inventors; and
(75) Inventors/Applicants *(for US only)*: **ANDREWS, Mark, David** [GB/GB]; Pfizer Global Research and Development, Ramsgate Road, Sandwich Kent CT13 9NJ (GB). **BARBER, Christopher, Gordon** [GB/GB]; Pfizer Global Research and Development, Ramsgate Road, Sandwich Kent CT13 9NJ (GB).

(74) Agent: **DROUIN, Stephane**; Pfizer Limited Ramsgate Road, Sandwich Kent CT13 9NJ (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, CL, 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, PE, 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, MK, MT, NL, NO, PL, PT, RO, SE, SI, SK, SM, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Declarations under Rule 4.17:

— *as to the identity of the inventor (Rule 4.17(i))*

— *as to applicant's entitlement to apply for and be granted a patent (Rule 4.17(ii))*

— *as to the applicant's entitlement to claim the priority of the earlier application (Rule 4.17(iii))*

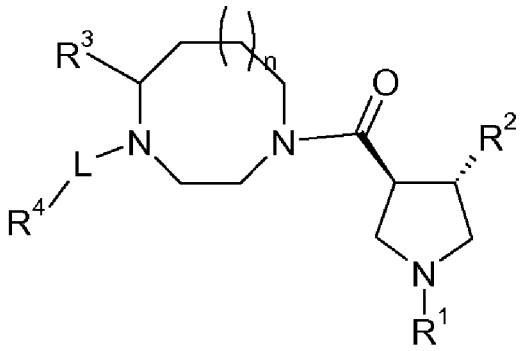
— *of inventorship (Rule 4.17(iv))*

Published:

— *with international search report (Art. 21(3))*

WO 2010/015972 A1

(54) Title: DIAZEPINE AND DIAZOCANE COMPOUNDS AS MC4 AGONISTS



(I)

(57) Abstract: The present invention relates to compounds of formula (I) wherein R^1, R^2, R^3, R^4, L and n are as defined in the specification. These compounds are useful as MC4 agonists.