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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
30 September 2010 (30.09.2010)

PCT

(10) International Publication Number
WO 2010/109287 A1

(51) International Patent Classification:
C07D 487/04 (2006.01) *A61P 29/00* (2006.01)
A61K 31/519 (2006.01) *A61P 13/00* (2006.01)
A61P 25/00 (2006.01)

(21) International Application Number:
PCT/IB2010/000553

(22) International Filing Date:
17 March 2010 (17.03.2010)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:

665/MUM/2009	23 March 2009 (23.03.2009)	IN
61/171,355	21 April 2009 (21.04.2009)	US
2211/MUM/2009	23 September 2009 (23.09.2009)	IN
2212/MUM/2009	23 September 2009 (23.09.2009)	IN
61/251,944	15 October 2009 (15.10.2009)	US
61/253,263	20 October 2009 (20.10.2009)	US
2891/MUM/2009	15 December 2009 (15.12.2009)	IN
2892/MUM/2009	15 December 2009 (15.12.2009)	IN
61/294,463	12 January 2010 (12.01.2010)	US
61/300,241	1 February 2010 (01.02.2010)	US

(71) Applicant *(for all designated States except US)*: **GLENMARK PHARMACEUTICALS S.A.** [CH/CH]; Chemin de Combetta, 5, CH-2300 La Chaux-de-Fonds (CH).

(72) Inventors; and

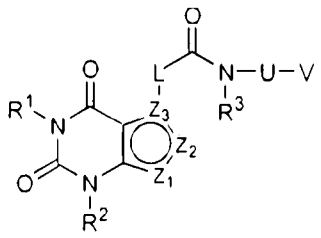
(75) Inventors/Applicants *(for US only)*: **CHAUDHARI, Sachin, Sundarlal** [IN/IN]; 15/304, FAM C.H.S., Koperkhairane, Sector #11, Navi Mumbai, Maharashtra, Mumbai 400 709 (IN). **KUMAR, Sukeerthi** [IN/IN]; 404A, Vardhaman Enclave, Plot No. 3, Sector-20, Airoli, Navi Mumbai, Maharashtra, Mumbai 400708 (IN). **THOMAS, Abraham** [IN/IN]; Flat No 5, 11th Floor, Building No. A-6, Millennium Towers, Sector 9, Sanpada, Navi Mumbai, Maharashtra 400 705 (IN). **PATIL, Nisha, Parag** [IN/IN]; B-703, Gautam Co-operative Society, Kajupada Tekadi Road, Borivali East, Maharashtra, 400066 Mumbai (IN). **KADAM, Ashok, Bhausaheb** [IN/IN]; 302, Siddeh Enclave, Plot No. 16, Sector No. 12/A, Koparkhairane, Navi Mumbai, Maharashtra, 400 709 Mumbai (IN). **DESHMUKH, Vishal, Govindrao** [IN/IN]; Khilari Wasti, Ward no 6, Behind Kanda market, Dist-Ahmednagar, Tal-Shrirampur, Maharashtra, 413709 Ahmednagar (IN). **DHONE, Sachin Vasantrao** [IN/IN]; A/P: Makani, Tal: Lohara, Dist: Usmanabad, Pin: 413406, Maharashtra (IN). **CHIKHALE, Rajendra, Prakash** [IN/IN]; A/P- Mirajgaon, Tal-Karjat, Dist -Ahmednagar, Maharashtra, 414 401 Ahmednagar (IN). **KHAIRATKAR-JOSHI, Neelima** [IN/IN]; C-101, Devprayag CHS, Bhakti Mandir Rd, Hari Niwas, Panchpakhadi, Maharashtra, 400 602 Thane (W) (IN). **MUKHOPADHYAY, Indranil** [IN/IN]; Flat No. 502, 'Lily' Kesar Garden, Plot-53, Sector-20, Kharghar, Navi Mumbai, Maharashtra, 410 210 Mumbai (IN).

(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, TH, 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).

[Continued on next page]

(54) Title: FUSED PYRIMIDINE-DIONE DERIVATIVES AS TRPA1 MODULATORS



(I)

(57) Abstract: The invention described herein relates to novel fused pyrimidinediones derivatives of formula (I) which are TRPA (Transient Receptor Potential subfamily A) modulators. In particular, compounds described herein are useful for treating or preventing diseases, conditions and/or disorders modulated by TRPA1 (Transient Receptor Potential subfamily A, member 1). This invention also provides processes for preparing compounds described herein, intermediates used in their synthesis, pharmaceutical compositions thereof, and methods for treating or preventing diseases, conditions and/or disorders modulated by TRPA1. Formula (I)

WO 2010/109287 A1

Declarations under Rule 4.17:

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

— *of inventorship (Rule 4.17(iv))*

Published:

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

— *before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments (Rule 48.2(h))*