

(19) World Intellectual Property Organization
International Bureau(43) International Publication Date
31 January 2008 (31.01.2008)

PCT

(10) International Publication Number
WO 2008/014186 A1

(51) International Patent Classification:

C07D 209/18 (2006.01) A61P 27/14 (2006.01)
A61K 31/404 (2006.01) A61P 37/06 (2006.01)
A61P 11/06 (2006.01) A61P 37/08 (2006.01)

(21) International Application Number:

PCT/US2007/073945

(22) International Filing Date: 20 July 2007 (20.07.2007)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:

60/820,301 25 July 2006 (25.07.2006) US
60/820,302 25 July 2006 (25.07.2006) US
60/820,299 25 July 2006 (25.07.2006) US

(71) Applicant (for all designated States except US): **SANOI-AVENTIS** [FR/FR]; 174 avenue de France, 75013 Paris (FR).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **YANG, Zhaoxia** [CN/US]; 55 Corporate Drive, Bridgewater, New Jersey 08807 (US). **REILING, Stephan** [DE/US]; 55 Corporate Drive, Bridgewater, New Jersey 08807 (US). **NIEDUZAK, Thaddeus R.** [US/US]; 55 Corporate Drive, Bridgewater, New Jersey 08807 (US). **MATHEW, Rose M.** [IN/US]; 55 Corporate Drive, Bridgewater, New Jersey 08807 (US). **JACKSON, Sharon** [US/US]; 55 Corporate Drive, Bridgewater, New Jersey 08807 (US). **HARRIS, Keith J.** [GB/US]; 55 Corporate Drive, Bridgewater, New Jersey 08807 (US).

(74) Agents: **LIN, Jiang** et al.; sanofi-aventis U.S. LLC, Route 202-206, P. O. Box 6800, Bridgewater, NJ 08807-0800 (US).

(81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, 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, 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, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Declarations under Rule 4.17:

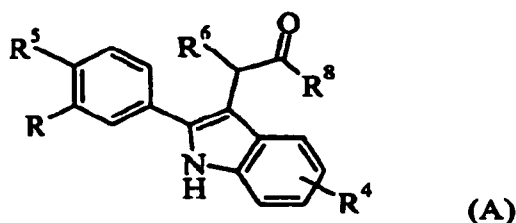
- as to the applicant's entitlement to claim the priority of the earlier application (Rule 4.17(iii))
- of inventorship (Rule 4.17(iv))

Published:

- with international search report

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: 2-PHENYL-INDOLES AS PROSTAGLANDIN D2 RECEPTOR ANTAGONISTS



(57) Abstract: The present invention is directed to 2-phenyl-indole compounds (A), their preparation, pharmaceutical compositions containing these compounds, and their pharmaceutical use in treating a patient suffering from a PGD2-mediated disorder including, but not limited to, allergic disease (such as allergic rhinitis, allergic conjunctivitis, atopic dermatitis, bronchial asthma and food allergy), systemic mastocytosis, disorders accompanied by systemic mast cell activation, anaphylaxis shock, bronchoconstriction, bronchitis, eczema, urticaria diseases accompanied by itch (such as atopic dermatitis and urticaria), diseases (such as cataract, retinal detachment, inflammation, infection and sleeping disorders)

which are generated secondarily as a result of behavior accompanied by itch (such as scratching and beating), inflammation, chronic obstructive pulmonary diseases, ischemic reperfusion injury, cerebrovascular accident, chronic rheumatoid arthritis, pleurisy, ulcerative colitis and the like.