

(19) World Intellectual Property Organization
International Bureau



(43) International Publication Date
27 April 2006 (27.04.2006)

PCT

(10) International Publication Number
WO 2006/044732 A3

(51) International Patent Classification:

C07D 239/46 (2006.01) C07D 239/48 (2006.01)
C07D 409/04 (2006.01) C07D 403/10 (2006.01)
C07D 413/04 (2006.01) C07D 401/14 (2006.01)
C07D 403/04 (2006.01) C07D 413/12 (2006.01)
C07D 401/04 (2006.01) C07D 409/12 (2006.01)
C07D 405/04 (2006.01) C07D 403/12 (2006.01)
C07D 413/14 (2006.01) C07D 401/12 (2006.01)
C07D 413/10 (2006.01)

(21) International Application Number:

PCT/US2005/037148

(22) International Filing Date: 14 October 2005 (14.10.2005)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:

60/619,272 15 October 2004 (15.10.2004) US

(71) Applicant (for all designated States except US): AVEN-
TIS PHARMACEUTICALS INC. [US/US]; 300 Som-
erset Corporate Boulevard, Bridgewater, NJ 08807-2854
(US).

(72) Inventors; and

(75) Inventors/Applicants (for US only): LIM, Sungtaek
[KR/US]; 27 Aberdeen Circle, Flemington, NJ 08822
(US). HARRIS, Keith, John [GB/US]; 3 Tiger Brook
Lane, Chester, NJ 07930 (US). STEFANY, David
[US/US]; 287 Gemini Drive, Hillsborough, NJ 08844
(US). GARDNER, Charles, J. [US/US]; 644 S. Fifth
Avenue, Royersford, PA 19646 (US). CAO, Bin [CN/US];
296 Thistle Lane, Bedminster, NJ 07921 (US). BOFFEY,
Ray [GB/GB]; Argenta Discovery Ltd., 8/9 Spire Green
Centre, Flex Meadow, Harlow, Essex CM19 5TR, UK
(GB). GILLESPIE, Timothy, A. [US/US]; 42 Foxhill
Lane, Hillsborough, NJ 08844 (US). AGUIAR, Joacy,
C. [BR/US]; 64 Saint Francis Street, Apt.2, Newark,

NJ 07105 (US). HUNT, Hazel, J. [GB/GB]; 7/9 Spire
Green Centre, Harlow, Essex CM19 5TR, UK (GB).
DECHAUX, Elsa, A. [FR/GB]; 7/9 Spire Green Centre,
Harlow, Essex CM19 5TR, UK (GB).

(74) Agent: LIN, Jiang; SANOFI-AVENTIS, 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, BR, BW, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI,
GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE,
KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY,
MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO,
NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK,
SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ,
VC, VN, YU, 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, 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).

Declaration under Rule 4.17:

— of inventorship (Rule 4.17(iv))

Published:

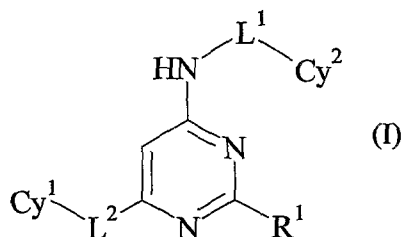
— with international search report

(88) Date of publication of the international search report:

23 November 2006

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

(54) Title: 2, 6-SUBSTITUTED-4-MONOSUBSTITUTEDAMINO-PYRIMIDINE AS PROSTAGLANDIN D2 RECEPTOR AN-
TAGONISTS



(57) Abstract: The present invention is directed a compound of Formula (I) as defined herein, a pharmaceutical composition comprising a pharmaceu-
tically effective amount of one or more compounds according to Formula
(I) in admixture with a pharmaceutically acceptable carrier, and a method
of treating a patient suffering from a PGD2-mediated disorder including,
but not limited to, allergic disease (such as allergic rhinitis, allergic con-
junctivitis, atopic dermatitis, bronchial asthma and food allergy), systemic
mastocytosis, disorders accompanied by systemic mast cell activation, ana-
phylaxis shock, bronchoconstriction, bronchitis, urticaria, eczema, diseases
accompanied by itch (such as atopic dermatitis and urticaria), diseases (such
as cataract, retinal detachment, inflammation, infection and sleeping disor-
ders) which is 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 by ad-
ministering to said patient a pharmaceutically effective amount of a compound according to Formula (I).