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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
10 November 2011 (10.11.2011)

PCT

(10) International Publication Number
WO 2011/139951 A1

(51) International Patent Classification:
C07D 405/12 (2006.01) ***A61P 35/00*** (2006.01)
A61K 31/496 (2006.01) ***A61P 37/06*** (2006.01)
A61P 25/16 (2006.01) ***A61P 19/10*** (2006.01)
A61P 25/22 (2006.01) ***A61P 9/12*** (2006.01)
A61P 25/24 (2006.01) ***A61P 15/00*** (2006.01)
A61P 25/28 (2006.01) ***A61P 3/00*** (2006.01)
A61P 25/30 (2006.01) ***A61P 3/10*** (2006.01)
A61P 29/00 (2006.01)

(21) International Application Number:
PCT/US2011/034755

(22) International Filing Date:
2 May 2011 (02.05.2011)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
61/330,522 3 May 2010 (03.05.2010) US

(71) Applicant *(for all designated States except US)*: **JANSSEN PHARMACEUTICA NV** [BE/BE]; Turnhoutseweg 30, B-2340 BEERSE Belgium (BE).

(72) Inventors; and
(75) Inventors/Applicants *(for US only)*: **KEITH, John, M.** [US/US]; 16724 Alondra Drive, San Diego, CA 92128 (US). **LIU, Jing** [CN/US]; 9368 Adolphia Street, San Diego, CA 92129 (US).

(74) Agents: **JOHNSON, Philip, S.** et al.; Johnson & Johnson, One Johnson & Johnson Plaza, New Brunswick, NJ 08933 (US).

(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, LR, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AL, 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, RS, 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))*

Published:

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

WO 2011/139951 A1

(54) Title: MODULATORS OF FATTY ACID AMIDE HYDROLASE

(57) Abstract: 4-(2,2-Difluoro-benzo[1,3]dioxol-5-ylmethyl)-piperazine-1 -carboxylic acid (4-chloro-pyridin-3-yl)-amide is described, which is useful as a FAAH modulator. 4-(2,2-Difluoro-benzo[1,3]dioxol-5-ylmethyl)-piperazine-1 -carboxylic acid (4-chloro-pyridin-3-yl)-amide may be used in pharmaceutical compositions and methods for the treatment of disease states, disorders, and conditions mediated by fatty acid amide hydrolase (FAAH) activity, such as anxiety, pain, inflammation, sleep disorders, eating disorders, energy metabolism disorders, and movement disorders (e.g., multiple sclerosis). A method of synthesizing 4-(2,2-difluoro-benzo[1,3]dioxol-5-ylmethyl)-piperazine-1 -carboxylic acid (4- chloro-pyridin-3-yl)-amide is also disclosed.