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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
5 May 2011 (05.05.2011)

PCT

(10) International Publication Number
WO 2011/053511 A1

(51) International Patent Classification:
C07D 401/04 (2006.01) *A61P 25/16* (2006.01)
C07D 409/04 (2006.01) *A61P 25/22* (2006.01)
C07D 417/04 (2006.01) *A61P 25/24* (2006.01)
A61K 31/519 (2006.01) *A61P 25/28* (2006.01)
A61P 25/00 (2006.01) *A61P 25/30* (2006.01)

(21) International Application Number:
PCT/US2010/053594

(22) International Filing Date:
21 October 2010 (21.10.2010)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
61/255,935 29 October 2009 (29.10.2009) US

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

(72) Inventors; and
(75) Inventors/Applicants *(for US only)*: **JACKSON, Paul, F.** [US/US]; 2 Erskine Road, Whitehouse Station, NJ 08889 (US). **POWELL, Mark** [US/US]; 55 Quince Circle, Newtown, PA 18940 (US). **SHOOK, Brian, Christopher** [US/US]; 300 East Buchert Road, Gilbertsville, PA 19525 (US). **WANG, Aihua** [US/US]; 1723 Foxwood Drive, Jamison, PA 18929 (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))*

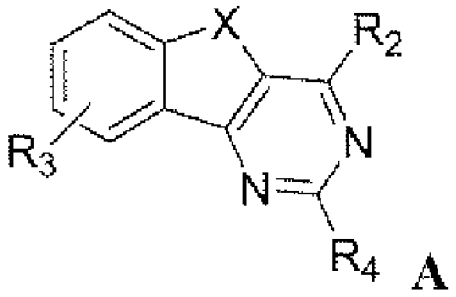
Published:

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

WO 2011/053511 A1

(54) Title: HETEROARYL SUBSTITUTED ARYLINDENOPYRIMIDINES AND THEIR USE AS HIGHLY SELECTIVE ADENOSINE A2A RECEPTOR ANTAGONISTS

(I)



A

(57) Abstract: This invention relates to a novel arylindenopyrimidine, A, and its therapeutic and prophylactic uses. Disorders treated and/or prevented include Parkinson's Disease. Formula (I) wherein X, R_2, R_3, and R_4 are as defined in the specification.