

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
12 May 2005 (12.05.2005)

PCT

(10) International Publication Number
WO 2005/042500 A1

(51) International Patent Classification⁷: **C07D 239/70**,
405/04, 401/04, 417/04, 409/04, 401/12, 405/12, 401/06,
221/16, A61K 31/505, 31/5355

(21) International Application Number:
PCT/US2003/031471

(22) International Filing Date: 3 October 2003 (03.10.2003)

(25) Filing Language: English

(26) Publication Language: English

(71) Applicant: **ORTHO-MCNEIL PHARMACEUTICAL, INC.** [US/US]; US Route 202, Raritan, NJ 08869-0602 (US).

(72) Inventors: **HEINTZELMAN, Geoffrey, R.**; 74 Lilac Drive, Annandale, NJ 08801 (US). **BULLINGTON, James, L.**; 21 Wesleyan Drive, Hamilton Square, NJ 08690-1945 (US). **RUPERT, Kenneth, C.**; 426 Centre Street, South Orange, NJ 07079 (US).

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

(81) Designated States (*national*): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW.

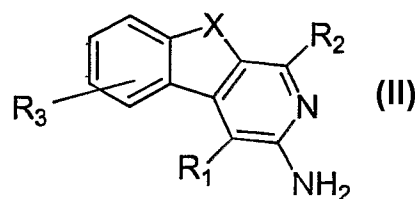
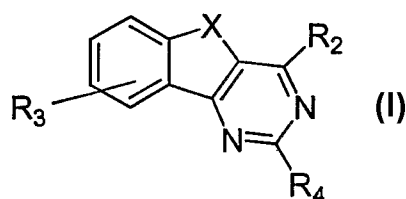
(84) Designated States (*regional*): ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

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: ARYLINDENOPYRIDINES AND ARYLINDENOPYRIDINES AND THEIR USE AS ADENOSINE A2A RECEPTOR ANTAGONIST



(57) Abstract: This invention provides novel arylindenopyridines and arylindenopyrimidines of formula (I), (II) wherein R₁, R₂, R₃, R₄, and X are as defined above, and pharmaceutical compositions comprising same, useful for treating disorders ameliorated by antagonizing adenosine A2a receptors. This invention also provides therapeutic and prophylactic methods using the instant compounds and pharmaceutical compositions.

WO 2005/042500 A1