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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
3 November 2005 (03.11.2005)

PCT

(10) International Publication Number
WO 2005/103055 A1

(51) International Patent Classification[7]: C07D 487/14, A61K 31/505, A61P 25/28

(21) International Application Number: PCT/US2005/013454

(22) International Filing Date: 19 April 2005 (19.04.2005)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/563,913 21 April 2004 (21.04.2004) US
60/609,966 15 September 2004 (15.09.2004) US

(71) Applicant *(for all designated States except US)*: **SCHERING CORPORATION** [US/US]; 2000 Galloping Hill Road, Kenilworth, New Jersey 07033 (US).

(72) Inventors; and

(75) Inventors/Applicants *(for US only)*: **NEUSTADT, Bernard, R.** [US/US]; 24 Brook Place, West Orange, New Jersey 07052 (US). **HAO, Jinsong** [CN/US]; 82 Meadow Lark Lane, Belle Mead, New Jersey 08502 (US). **LIU, Hong** [CN/US]; 273 Kinderkamack Road, Apt. D, River Edge, New Jersey 07661 (US). **BOYLE, Craig, D.** [US/US]; 13 Mill Lane, Branchburg, New Jersey 08876 (US). **CHACKALAMANNIL, Samuel** [US/US]; 17 Windy Heights Road, Califon, New Jersey 07830 (US). **SHAH, Unmesh, G.** [IN/US]; 252 Country Club Lane, Scotch Plains, New Jersey 07076 (US). **STAMFORD, Andrew** [AU/US]; 27 Overlook Road, Chatham Township, New Jersey 07928 (US). **HARRIS, Joel, M.** [US/US]; 7107 Summit Way, Watchung, New Jersey 07069 (US).

(74) Agent: **MAGATTI, Anita, W.**; SCHERING-PLOUGH CORPORATION, Patent Department K-6-1 1990, 2000 Galloping Hill Road, Kenilworth, New Jersey 07033-0530 (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, MA, MD, MG, MK, MN, MW, MX, MZ, NA, 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, 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).

Declarations under Rule 4.17:

— *as to applicant's entitlement to apply for and be granted a patent (Rule 4.17(ii)) for the following designations 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, MA, MD, MG, MK, MN, MW, MX, MZ, NA, 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, UZ, VC, VN, YU, ZA, ZM, ZW, ARIPO patent (BW, GH, GM, KE, LS, MW, MZ, NA, 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, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG)*

— *as to the applicant's entitlement to claim the priority of the earlier application (Rule 4.17(iii)) for all designations*

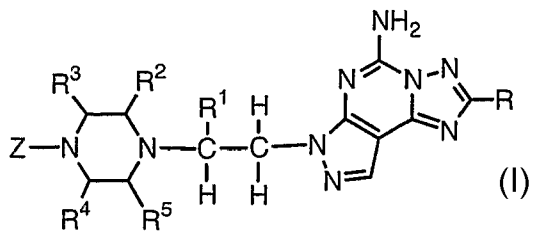
— *as to the applicant's entitlement to claim the priority of the earlier application (Rule 4.17(iii)) for all designations*

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: PYRAZOLO-[4,3-e]-1,2,4-TRIAZOLO-[1,5-c]-PYRIMIDINE ADENOSINE A_{2A} RECEPTOR ANTAGONISTS



(57) Abstract: Compounds having the structural formula I or a pharmaceutically acceptable salt thereof, wherein R is optionally substituted phenyl, furanyl, thienyl, pyridyl, pyridyl N-oxide, oxazolyl or pyrrolyl, or cycloalkenyl R^1, R^2, R^3, R^4 and R^5 are H, alkyl or alkoxyalkyl; and Z is optionally substituted aryl or heteroaryl are disclosed. Also disclosed is the use of compounds of formula I in the treatment of central nervous system diseases, in particular Parkinson's disease, alone or in combination with other agents for treating Parkinson's disease, and pharmaceutical compositions comprising them.

WO 2005/103055 A1