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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
18 November 2004 (18.11.2004)

PCT

(10) International Publication Number
WO 2004/098608 A1

(51) International Patent Classification[7]: A61K 31/505, C07D 487/04 // (C07D 487/04, 239:00, 231:00)

(21) International Application Number: PCT/EP2004/004855

(22) International Filing Date: 6 May 2004 (06.05.2004)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
030 10 184.4 6 May 2003 (06.05.2003) EP

(71) Applicant *(for all designated States except US)*: **ÚSTAV EXPERIMENTALNI BOTANIKY AKADEMIE VED CESKE REPUBLIKY** [CZ/CZ]; Rosvojova 135, CZ-160 00 Praha 6 (CZ).

(72) Inventors; and
(75) Inventors/Applicants *(for US only)*: **MORAVCOVÁ, Daniela** [CZ/CZ]; Mysliv 35, CZ-341 O1 Horazdovice (CZ). **HAVLICEK, Libor** [CZ/CZ]; Vrútecká 2766, CZ-141 04 Praha 4 (CZ). **KRYSTOF, Vladimir** [CZ/CZ]; Krizikova 10, CZ-702 00 Ostrava (CZ). **LENOBEL, René** [CZ/CZ]; Ostravská 695, CZ-738 02 Fr dek Mistek (CZ). **BINAROVÁ, Pavla** [CZ/CZ]; Wanklova 6, CZ-77 200 Olomouc (CZ). **MLEJNEK, Petr** [CZ/CZ]; Uvoz 63, CZ-60 200 Brno (CZ). **VOJTESEK, Borek** [CZ/CZ]; Sadová 572, CZ-66 442 Modrice (CZ). **ULDRIJAN, Stjepan** [CZ/CZ]; Letovická 15, CZ-62-100 Brno (CZ). **SCHMÜLLING, Thomas** [DE/DE]; Preussenallee 30, 14052 Berlin (DE). **STRNAD, Miroslav** [CZ/CZ]; Západni 25, CZ-77 900 Olomouc (CZ).

(74) Agent: **KINKELDEY, Daniela**; Grünecker, Kinkeldey, Stockmair & Schwanhäusser, Maximilianstrasse 58, 80538 München (DE).

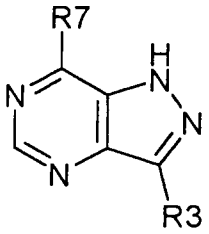
(81) Designated States *(unless otherwise indicated, for every kind of national protection available)*: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, 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, 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, IT, 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).

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-D]PYRIMIDINES, PROCESSES FOR THEIR PREPARATION AND METHODS OF USE



(I)

(57) Abstract: The invention relates to 3-, 7-disubstituted pyrazolo[4,3-d]pyrimidines represented by the general formula I (I), and pharmaceutically acceptable salts thereof, wherein R3 is selected from the group consisting of alkyl, cycloalkyl, , cycloalkyl alkyl, cycloheteroalkyl alkyl, cycloheteroalkyl, aryl, heterocycle, heteroaryl, arylalkyl, heteroarylalkyl, and heteroalkyl, wherein each of the groups may optionally be substituted, R7 is selected from the group consisting of halogen, hydroxyl, hydroxylamino, amino, carboxyl, cyano, nitro, amido, sulfo, sulfamido, carbamino, unsubstituted or substituted alkyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted arylalkyl, substituted or unsubstituted heteroalkyl, substituted or unsubstituted heteroarylakyl, substituted or unsubstituted cycloalkyl alkyl, substituted or unsubstituted cycloheteroalkyl alkyl; R7'-X- wherein X is an -NH-, -N(alkyl)-, -0- or -S- moiety and R7' is selected from the group consisting of H, alkyl, cycloalkyl, aryl, alkylcycloalkyl, arylalkyl, heterocycle, heterocyclealkyl, substituted alkyl, substituted cycloalkyl, substituted aryl, substituted arylalkyl, substituted heterocycle, substituted heteroaryl, substituted heteroarylalkyl, substituted heteroalkyl, substituted cycloalkyl alkyl and substituted cycloheteroalkyl alkyl, wherein the groups are preferably substituted by more than one halogen, hydroxyl, amino, mercapto, carboxyl, cyano, nitro, amido, sulfo, sulfamido, carbamino, alkyl, alkoxy, and substituted alkyl group.

WO 2004/098608 A1