(2004067963)

24/11/04

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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
11 December 2003 (11.12.2003)

PCT

(10) International Publication Number
WO 03/101991 A1

(51) International Patent Classification[7]: C07D 473/04, A61K 31/522, A61P 9/00, 15/00

(21) International Application Number: PCT/US03/16890

(22) International Filing Date: 30 May 2003 (30.05.2003)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/384,484 31 May 2002 (31.05.2002) US

(71) Applicant: SCHERING CORPORATION [US/US]; 2000 Galloping Hill Road, Kenilworth, NJ 07033-0530 (US).

(72) Inventors: DAHANUKAR, Vilas, H.; 67 Karen Place, Edison, NJ 08817 (US). NGUYEN, Hoa, N.; 46 Woodland Way, Dayton, NJ 08810 (US). ORR, Cecilia, A.; 184 Gibson Boulevard, Apt. 1, Clark, NJ 07066 (US). ZHANG, Fucheng; 19 Koster Boulevard, Apt. 3B, Edison, NJ 08837 (US). ZAVIALOV, Ilia, A.; 43 Moorsgate Circle, East Windsor, NJ 08520 (US). KLOPFER, Kevin; 54 Bonnell Street, Flemington, NJ 08822 (US). SKELL, Jeffrey, M.; 140 Washington Street, Holliston, MA 01746 (US). BUCHHOLZ, Albert, W., Jr.; 3901 Graham Court, Bridgewater, NJ 08807 (US). BOYLE, Craig, D.; 13 Mill Lane, Branchburg, NJ 08876 (US).

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

(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, RO, SE, SI, SK, TR), OAPI patent (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, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NI, NO, NZ, PH, PL, PT, RO, RU, SC, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UZ, VC, VN, YU, ZA, ZM, 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, 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*

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.

162162

(54) Title: XANTHINE PHOSPHODIESTERASE V INHIBITOR POLYMORPHS

(57) Abstract: Crystalline polymorphs of 1-ethyl-3,7-dihydro-8-[(1R, 2R)-(hydroxycyclopentyl)amino]-3-(2-hydroxyethyl)-7-[(3-bromo-4-methoxyphenyl)methyl]-1*H*-Purine-2,6-dione in *Form 1* and *Form 2*, which exhibit x-ray powder diffraction profiles substantially the same as those shown in FIGS. 5 and 6, respectively, and which exhibit differential scanning calorimtery profiles substantially the same as those shown in FIGS. 2 and 4, respectively, and are represented by the formula (I). Pharmaceutical compositions comprising the polymorph *Form 1* or *2* of Compound 13 and at least one excipient or carrier, and methods of using the polymorph Form 1 or *2* of Compound 13 to treat a variety of physiological disorders, such as erectile dysfunction.

ACTION

WO 03/101991 A1