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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
4 March 2004 (04.03.2004)

PCT

(10) International Publication Number
WO 2004/017970 A1

(51) International Patent Classification[7]: A61K 31/451, A61P 25/00, C07D 211/88

(21) International Application Number: PCT/IB2003/003698

(22) International Filing Date: 18 August 2003 (18.08.2003)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
0219639.2 22 August 2002 (22.08.2002) GB

(71) Applicant *(for all designated States except US)*: **PRESTWICK PHARMACEUTICALS, INC.** [US/US]; 1825 K Street NW., Suite 1475, Washington, D.C. 20006 (US).

(72) Inventor; and

(75) Inventor/Applicant *(for US only)*: **WERMUTH, Camille, Georges** [FR/FR]; Rue Tobias Stimmer, Bat. Tycho Brahe, F-67400 Illkirch (FR).

(74) Common Representative: **PRESTWICK PHARMACEUTICALS, INC.**; c/o Mr A.F. Burford, W.H. Beck, Greener & Co., 7 Stone Buildings, Lincoln's Inn, London WC2A 3SZ (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, 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.

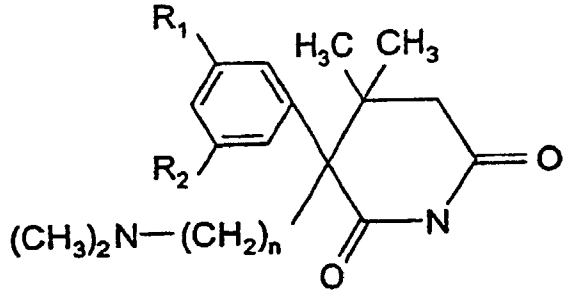
(84) Designated States *(regional)*: ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ,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

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.

WO 2004/017970 A1

(54) Title: PIPERIDIN-2,6-DIONE PAMOATE SALTS AND THEIR USE FOR THE TREATMENT OF STRESS-RELATED AFFECTIVE DISORDERS



(I)

(57) Abstract: Novel pamoate salts of certain 3-phenyl-3-dimethylaminoalkyl-4,4dimethylpiperidin-2,6-diones (1) and pharmacologically acceptable solvates thereof are devoid of the weight loss and hepatocyte changes in the rat which limited to marginally effective levels the permitted clinical doses of the corresponding hydrochlorides in the treatment or prophylaxis of stress-related affective disorders such as anxiety, depression, migraine and sleep apnoea. The preferred pamoate salts are 3(3,5dimethoxyphenyl)-3-(3-dimethylaminopropyl)-4,4-dimethylpiperidine-2,6-dione pamoate and, especially, 3(3-methoxyphenyl)-3-(3-dimethylaminopropyl)-4,4-dimethylpiperidine2,6-dione pamoate.