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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
14 February 2002 (14.02.2002)

PCT

(10) International Publication Number
WO 02/12244 A2

(51) International Patent Classification[7]: C07D 487/04, A61K 31/519, A61P 25/08, 25/22, 21/02, 25/20 // (C07D 487/04, 239:00, 231:00)

(21) International Application Number: PCT/US01/24510

(22) International Filing Date: 2 August 2001 (02.08.2001)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/222,785 3 August 2000 (03.08.2000) US

(71) Applicant *(for all designated States except US)*: **AMERICAN HOME PRODUCTS CORPORATION** [US/US]; Five Giralda Farms, Madison, NJ 07940 (US).

(72) Inventors; and

(75) Inventors/Applicants *(for US only)*: **ASLAM, Farhan** [US/US]; 88 Myrtle Avenue, Edgewater, NJ 07020 (US). **COWANS, Brett** [US/US]; 707 Cumberland Avenue, West Lafayette, IN 47906 (US). **BYRN, Stephen, R.** [US/US]; 824 Barlow Street, West Lafayette, IN 47906 (US). **STAHLY, G., Patrick** [US/US]; 3908 Sunnycroft Place, West Lafayette, IN 47906 (US).

(74) Agents: **LESSLER, Jay, P.** et al.; Darby & Darby P.C., 805 Third Avenue, New York, NY 10022-7513 (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, 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, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW.

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

Published:
— *without international search report and to be republished upon receipt of that 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 02/12244 A2

(54) Title: POLYMORPHS OF ZALEPLON AND METHODS FOR THE PREPARATION THEREOF

(57) Abstract: This invention relates to novel crystalline polymorphic forms of zaleplon (N-[3-(3-cyanopyrazolo[1,5a]pyrimidin-7-yl)phenyl]-N-ethylacetamide), methods for the preparation thereof, and their uses as anxiolytic, antiepileptic, and sedative-hypnotic agents and skeletal muscle relaxants.