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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
1 July 2004 (01.07.2004)

PCT

(10) International Publication Number
WO 2004/054566 A1

(51) International Patent Classification[7]: A61K 31/197, A61P 1/00, 25/18, 29/00, 43/00, 5/00

(21) International Application Number: PCT/IB2003/005712

(22) International Filing Date: 3 December 2003 (03.12.2003)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/433,491 13 December 2002 (13.12.2002) US
60/487,740 16 July 2003 (16.07.2003) US

(71) Applicant *(for all designated States except US)*: **WARNER-LAMBERT COMPANY LLC** [US/US]; 201 Tabor Road, Morris Plains, NJ 07950 (US).

(72) Inventors; and

(75) Inventors/Applicants *(for US only)*: **DOOLEY, David, James** [US/US]; Pfizer Global Research and Development, Ann Arbor Laboratories, 2800 Plymouth Road, Ann Arbor, MI 48105 (US). **TAYLOR, Charles, Price, Jr.** [US/US]; Pfizer Global Research and Development, Ann Arbor Laboratories, 2800 Plymouth Road, Ann Arbor, MI 48105 (US). **THORPE, Andrew, John** [GB/US]; Pfizer Global Research and Development, Ann Arbor Laboratories, 2800 Plymouth Road, Ann Arbor, MI 48105 (US). **WUSTROW, David, Juergen** [US/US]; Pfizer Global Research and Development, Ann Arbor Laboratories, 2800 Plymouth Road, Ann Arbor, MI 48105 (US).

(74) Agents: **LUMB, J., Trevor** et al.; c/o David J. Wood, Pfizer Global Research and Development, UK Patent Department, Ramsgate Road, Sandwich, Kent CT13 9NJ (GB).

(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, 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, 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.

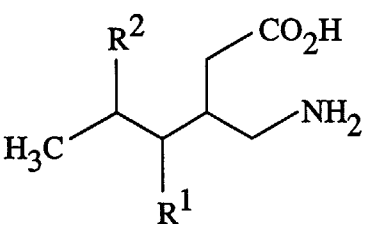
(84) Designated States *(regional)*: ARIPO patent (BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, 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 2004/054566 A1

(54) Title: PREGABALIN DERIVATIVES FOR THE TREATMENT OF FIBROMYALGIA AND OTHER DISORDERS



(1)

(57) Abstract: This invention relates to a method of treating a disorder selected from OCD, agoraphobia, agoraphobia without history of panic disorder, specific phobia, social phobia, PTSD, restless legs syndrome, premenstrual dysphoric disorder, hot flashes, and fibromyalgia by administering a compound of the formula (1), or a pharmaceutically acceptable salt thereof, wherein; a) R^1 is hydrogen, straight or branched alkyl of from 1 to 6 carbon atoms or phenyl; and b) R^2 is straight or branched alkyl of from 4 to 8 carbon atoms, straight or branched alkenyl of from 2 to 8 carbon atoms, cycloalkyl of from 3 to 7 carbon atoms, alkoxy of from 1 to 6 carbon atoms, -alkylcycloalkyl, -alkylalkoxy, -alkyl OH, -alkylphenyl, -alkylphenoxy, or -substituted phenyl. The invention also relates to a method of treating the above disorders by administering the compound (3S, 5R)-3-Aminomethyl-5-methyl-octanoic acid.