28 Apr 03

200202 3934

162162

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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
23 May 2002 (23.05.2002)

PCT

(10) International Publication Number
WO 02/040451 A3

(51) International Patent Classification[7]: C07D 223/16, A61K 31/55

(21) International Application Number: PCT/US01/27795

(22) International Filing Date:
2 November 2001 (02.11.2001)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/249,656 17 November 2000 (17.11.2000) US

(71) Applicant *(for all designated States except US)*: **ELI LILLY AND COMPANY** [US/US]; Lilly Corporate Center, DC 1104, Indianapolis, IN 46285 (US).

(72) Inventors; and

(75) Inventors/Applicants *(for US only)*: **KOENIG, Thomas, Mitchell** [US/US]; 11867 North Wildwood Lane, Camby, IN 46113 (US). **AUDIA, James, Edmund** [US/US]; 6449 Lakeside Woods Circle, Indianapolis, IN 46278 (US). **MITCHELL, David** [US/US]; 8728 Santana Lane, Indianapolis, IN 46278 (US). **MCDANIEL, Stacey, Leigh** [US/US]; 5866 Volunteer Lane, Martinsville, IN 46151 (US). **BUCCILLI, Lynne, Ann** [US/US]; 604 Kessler Boulevard East Drive, Indianapolis, IN 46220 (US). **ENGEL, Gary, Lowell** [US/US]; 1984 Inverness Place, Greenwood, IN 46143 (US). **AIKINS, James, Abraham** [GH/US]; 9230 West Fallcreek Drive, Pendleton, IN 46064 (US).

(74) Agents: **GONGOLA, Janet, A.** et al.; Eli Lilly and Company, Lilly Corporate Center, DC 1104, Indianapolis, IN 46285 (US).

(81) Designated States *(national)*: AE, AG, AL, AM, AT (utility model), AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ (utility model), CZ, DE (utility model), DE, DK (utility model), DK, DM, DZ, EC, EE (utility model), EE, ES, FI (utility model), 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, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK (utility model), 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:
— *with international search report*

(88) Date of publication of the international search report:
28 August 2003

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.

ACTION

WO 02/040451 A3

(54) Title: LACTAM COMPOUND

(57) Abstract: The present invention provides crystalline (N)-((S)-2-hydroxy-3-methyl-butyryl)-1-(L-alaninyl)-(S)-1-amino-3-methyl-4,5,6,7-tetrahydro-2H-3-benzazepin-2-one dihydrate, compositions thereof, and methods for using the same, processes for making the same, and processes for making intermediates thereof.