(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 02/40451 A2

(51) International Patent Classification[7]: C07D 223/00

(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, AT (utility model), AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, CZ (utility model), DE, DE (utility model), DK, DK (utility model), DM, DZ, EC, EE, EE (utility model), ES, FI, FI (utility model), 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, SK (utility model), 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 02/40451 A2

(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.