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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
7 July 2005 (07.07.2005)

PCT

(10) International Publication Number
WO 2005/061501 A2

(51) International Patent Classification[7]: C07D 487/00

(21) International Application Number:
PCT/US2004/041115

(22) International Filing Date: 8 December 2004 (08.12.2004)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/528,704 12 December 2003 (12.12.2003) US

(71) Applicants *(for all designated States except US)*: **MERCK & CO., INC.** [US/US]; 126 East Lincoln Avenue, Rahway, New Jersey 07065-0907 (US). **BANYU PHARMACEUTICAL CO., LTD.** [JP/JP]; 2-3, Nihombashi Honcho 2-Chome, Chuo-ku, Tokyo 103-8416 (JP).

(72) Inventors; and

(75) Inventors/Applicants *(for US only)*: **ASKIN, David** [US/US]; 126 East Lincoln Avenue, Rahway, New Jersey 07065-0907 (US). **CONLON, David** [US/US]; 126 East Lincoln Avenue, Rahway, New Jersey 07065-0907 (US). **LEE, Jaemoon** [KR/US]; 126 East Lincoln Avenue, Rahway, New Jersey 07065-0907 (US). **PIPIK, Brenda** [US/US]; 126 East Lincoln Avenue, Rahway, New Jersey 07065-0907 (US). **ZHONG, Yong-Li** [CN/US]; 126 East Lincoln Avenue, Rahway, New Jersey 07065-0907 (US). **KOHMURA, Yoshinori** [JP/JP]; 2-3, Nihombashi-Honcho 2-Chome, Chuo-ku, Tokyo 103-8416 (JP).

(74) Common Representative: **MERCK & CO., INC.**; 126 East Lincoln Avenue, Rahway, New Jersey 07065-0907 (US).

(81) Designated States *(unless otherwise indicated, for every kind of national protection available)*: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, 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, NA, 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 *(unless otherwise indicated, for every kind of regional protection available)*: ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (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.

(54) Title: PROCESS FOR PREPARING HEXAHYDROPYRIMIDO[1,2-A]AZEPINE-2-CARBOXYLATES AND RELATED COMPOUNDS

(57) Abstract: Processes for preparing 10-amino-3-hydroxy-4-oxo-4,6,7,8,9,10-hexahydropyrimido[1,2-a]azepine-2-carboxylates and related compounds are disclosed. The preparation of carboxamide derivatives from these carboxylates is also disclosed. The carboxamides are HIV integrase inhibitors and are useful for treating HIV infection and AIDS.

WO 2005/061501 A2