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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
29 April 2004 (29.04.2004)

PCT

(10) International Publication Number
WO 2004/035576 A2

(51) International Patent Classification[7]: C07D 471/00

(21) International Application Number:
PCT/US2003/032666

(22) International Filing Date: 16 October 2003 (16.10.2003)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/418,963 16 October 2002 (16.10.2002) US
60/478,783 16 June 2003 (16.06.2003) US

(71) Applicant *(for all designated States except US)*: **GILEAD SCIENCES, INC.** [US/US]; 333 Lakeside Drive, Foster City, CA 94404 (US).

(72) Inventors; and

(75) Inventors/Applicants *(for US only)*: **CHEN, James, M.** [US/US]; 4015 Marblehead Drive, San Ramon, CA 94583 (US). **CHEN, Xiaowu** [US/US]; 377 Laurie Meadows Drive, Apt. 319, San Mateo, CA 94403 (US). **FARDIS, Maria** [US/US]; 105 Aberdeen Drive, San Carlos, CA 94070 (US). **JIN, Haolun** [CA/US]; 600 Edgewater Blvd., Apt. 102, Foster City, CA 94404 (US). **KIM, Choung, U.** [US/US]; 1750 Elizabeth Street, San Carlos, CA 94070 (US). **SCHACHERER, Laura, N.** [US/US]; 508 Church Street, San Francisco, CA 94114 (US).

(74) Agents: **BOSSE, Mark, L.** et al.; Gilead Sciences, Inc., 333 Lakeside Drive, Foster City, CA 94404 (US).

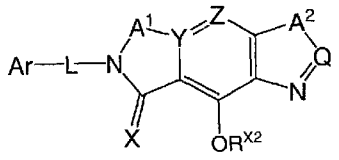
(81) Designated States *(national)*: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, 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 (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).

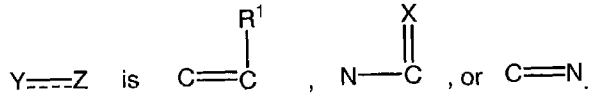
Published:
— *without international search report and to be republished upon receipt of that report*

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: PRE-ORGANIZED TRICYCLIC INTEGRASE INHIBITOR COMPOUNDS



(I)



(57) Abstract: Tricyclic compounds according to the structure below, protected intermediates thereof, and methods for inhibition of HIV-integrase are disclosed. Formula (I). A^1 and A^2 are moieties forming a five, six, or seven membered ring. L is a bond or a linker connecting a ring atom of Ar to N. X is O, S, or substituted nitrogen. Ar is aryl or heteroaryl. Q is N, $^+$NR, or CR^4. The aryl carbons may be independently substituted with substituents other than hydrogen. The compounds may include prodrug moieties covalently attached at any site.

WO 2004/035576 A2