



(51) International Patent Classification:

C07D 473/34 (2006.01) *A61P 31/18* (2006.01)
A61K 31/52 (2006.01) *A61P 31/20* (2006.01)

(21) International Application Number:

PCT/US2012/050920

(22) International Filing Date:

15 August 2012 (15.08.2012)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

61/524,224 16 August 2011 (16.08.2011) 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): **LIU, Dazhan** [CA/CA]; 753 Whiston Court, Edmonton, AB T6M 2H6 (CA). **SHI, Bing** [US/US]; 333 Lakeside Drive, Foster City, CA 94404 (US). **WANG, Fang** [CN/US]; 755 Neptune Lane, Foster City, CA 94404 (US). **YU, Richard, Hung Chiu** [US/US]; 2625 35th Avenue, San Francisco, CA 94116 (US).

(74) Agents: **PERRY, Lawrence, S.** et al.; Fitzpatrick, Cella, Harper & Scinto, 1290 Avenue of the Americas, New York, NY 10104-3800 (US).

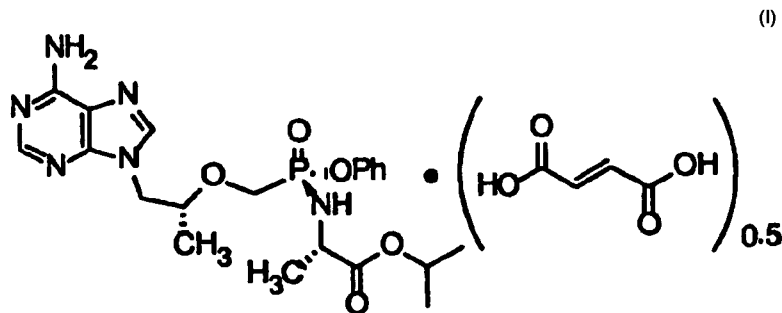
(81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BN, BR, BW, BY, BZ, CA, CH, CL, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PE, PG, PH, PL, PT, QA, RO, RS, RU, RW, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TH, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW.

(84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LR, LS, MW, MZ, NA, RW, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, RU, TJ, TM), European (AL, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, RS, SE, SI, SK, SM, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:

— with international search report (Art. 21(3))

(54) Title: TENOFOVIR ALAFENAMIDE HEMIFUMARATE



(57) Abstract: A hemifumaiate form of 9-[(R)-2-[[[(S)-1-[(isopropoxycarbonyl)ethyl]amino]phenoxy]phosphoryl]methyl]adenine] (tenofovir alafenamide), and antiviral therapy using tenofovir alafenamide hemifurnarate (e.g., anti-HTV and anti-HBV therapies). Formula (I).