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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
20 December 2001 (20.12.2001)

PCT

(10) International Publication Number
WO 01/95884 A3

(51) International Patent Classification[7]: A61K 9/127, 31/513

(21) International Application Number: PCT/US01/18224

(22) International Filing Date: 6 June 2001 (06.06.2001)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/210,592 9 June 2000 (09.06.2000) US

(63) Related by continuation (CON) or continuation-in-part (CIP) to earlier application:
US 60/210,592 (CIP)
Filed on 9 June 2000 (09.06.2000)

(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)*: **ASHVAR, Claudine, S.** [IR/US]; 4605 Cahuenga Blvd., #211, Toluca Lake, CA 91602 (US). **CHIANG, Su-Ming** [US/US]; 22009 Napa Street, Canoga Park, CA 91304 (US). **EMERSON, David, L.** [US/US]; 2440 Mallard Circle, Longmont, CO 80501 (US). **HU, Ning** [CN/US]; 8539 Fairview Avenue, San Gabriel, CA 91775 (US). **JENSEN, Gerard, M.** [US/US]; 1020 No. Lockhaven Street, Brea, CA 92621 (US).

(74) Agents: **CRUZ, Diane** 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, 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, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, 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, GW, ML, MR, NE, SN, TD, TG).

Published:
— *with international search report*
— *before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments*

(88) Date of publication of the international search report:
13 June 2002

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 01/95884 A3

(54) Title: LIPOSOMAL BENZOQUINAZOLINE THYMIDYLATE SYNTHASE INHIBITOR FORMULATIONS

(57) Abstract: Liposomal encapsulated benzoquinazoline thymidylate synthase inhibitor formulations are provided. The liposomes have improved pharmacokinetics and enhanced efficacy as anti-tumor agents compared to the free drug. The formulations include liposomes comprising at least one phosphatidylcholine, a cholesterol, and a benzoquinazoline thymidylate synthase inhibitor.