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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
29 July 2010 (29.07.2010)

PCT

(10) International Publication Number
WO 2010/084041 A2

(51) International Patent Classification:
A61K 9/20 (2006.01) *A61K 31/513* (2006.01)

(21) International Application Number:
PCT/EP2010/050182

(22) International Filing Date:
11 January 2010 (11.01.2010)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
61/145,999 21 January 2009 (21.01.2009) US

(71) Applicant *(for all designated States except US)*: **F. HOFFMANN-LA ROCHE AG** [CH/CH]; Grenzacherstrasse 124, CH-4070 Basel (CH).

(72) Inventors; and
(75) Inventors/Applicants *(for US only)*: **CHATTERJI, Ashish** [US/US]; 7 Prescott Road, East Brunswick, New Jersey 08816 (US). **DESAI, Dipen** [IN/US]; 202 Altaview Court, Whippany, New Jersey 07981 (US). **SANDHU, Harpreet K.** [US/US]; 73 Manger Road, West Orange, New Jersey 07052 (US). **SHAH, Navnit Hargovindas** [US/US]; 203 Beverly Hill Road, Clifton, New Jersey 07012 (US).

(74) Agent: **KJELLSAA-BERGER, Hanny**; Grenzacherstrasse 124, CH-4070 Basel (CH).

(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, 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, RO, RS, RU, 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, 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, HR, HU, IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, SE, SI, SK, SM, 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 (Rule 48.2(g))*

WO 2010/084041 A2

(54) Title: PHARMACEUTICAL COMPOSITIONS WITH SUPERIOR PRODUCT PERFORMANCE AND PATIENT COMPLIANCE

(57) Abstract: The present invention provides novel formulations of isobutyric acid (2R,3R,4R,5R)- 5-(4-amino-2-oxo-2H-pyrimidin-1-yl)-4-fluoro-2-isobutyryloxymethyl-4-methyl-tetrahydro-furan-3-yl ester) and hydroxypropylcellulose that provide high bulk density, low particle size better suited for improved compression and flow, good compression, and fast dissolution profiles.