

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

(19) World Intellectual Property
Organization
International Bureau

(43) International Publication Date
15 October 2020 (15.10.2020)



(10) International Publication Number
WO 2020/210629 A1

(51) International Patent Classification:

C07D 471/18 (2006.01) *A61P 7/02* (2006.01)
A61K 31/513 (2006.01)

(21) International Application Number:

PCT/US2020/027677

(22) International Filing Date:

10 April 2020 (10.04.2020)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

62/832,606 11 April 2019 (11.04.2019) US

(71) Applicant: **BRISTOL-MYERS SQUIBB COMPANY**
[US/US]; Route 206 and Province Line Road, Princeton,
New Jersey 08543 (US).

(72) Inventors: **GARMISE, Robert J.**; Route 206 and Province
Line Road, Princeton, New Jersey 08543 (US). **LEVONS,**

Jaquan Kalani; Route 206 and Province Line Road, Princeton,
New Jersey 08543 (US). **REDDY, Jay Poorna**; Route
206 and Province Line Road, Princeton, New Jersey 08543
(US). **STEFANSKI, Kevin J.**; Route 206 and Province
Line Road, Princeton, New Jersey 08543 (US). **WAKNIS,**
Vrushali M.; 28630 Via Reggio, Laguna Niguel, Cali-
fornia 92677 (US). **ZIEMBA, Theresa M.**; Route 206
and Province Line Road, Princeton, New Jersey 08543
(US). **SAXENA, Ajay**; Biocon Special Economic Zone,
Biocon Park, Plot Nos. 2 & 3, Bommasandra Industrial
Area, Bangalore 560 099 (IN). **SRIDHAR, Srikanth**
Koravady; Biocon Special Economic Zone, Biocon Park,
Plot Nos. 2 & 3, Bommasandra Industrial Area, Banga-
lore 560 099 (IN). **CHOWAN, Gajendra Singh**; Biocon
Special Economic Zone, Biocon Park, Plot Nos. 2 & 3,
Bommasandra Industrial Area, Bangalore 560 099 (IN).
PAL, Sharmistha; Biocon Special Economic Zone, Bio-
con Park, Plot Nos. 2 & 3, Bommasandra Industrial Area,
Bangalore 560 099 (IN). **PATTASSERI, Shabeerali**; Bio-
con Special Economic Zone, Biocon Park, Plot Nos. 2 &

(54) Title: ENHANCED PERFORMANCE OF AMORPHOUS SOLID AND SOLUBILIZED FORMULATIONS FOR ACHIEVING THERAPEUTIC PLASMA CONCENTRATIONS

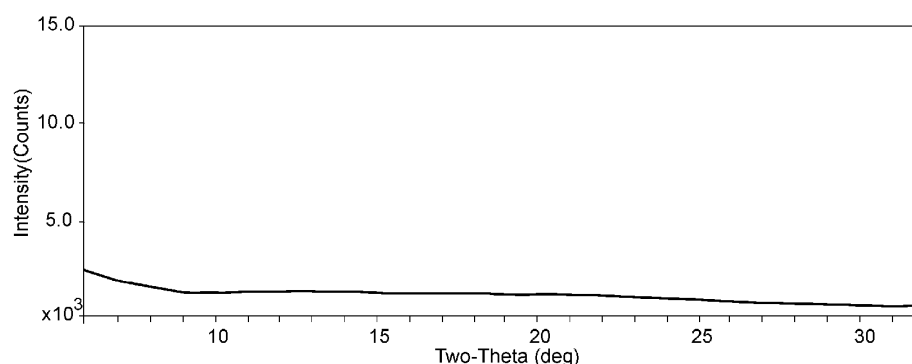
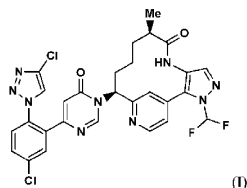


FIG. 1

(57) Abstract: This invention relates to solid amorphous Compound (I) having the formula (I) and to solid amorphous dispersions comprising Compound (I) and one or more polymers or to solution formulations comprising Compound (I) and one or more co-solvents and surfactants. The formulations exhibit enhanced stability and bioavailability. This invention relates to solid amorphous dispersions comprising Compound (I) having the formula (I) and one or more polymers or to solution formulations comprising Compound (I) and one or more co-solvents and surfactants. The formulations exhibit enhanced stability and bioavailability.

[Continued on next page]

3, Bommasandra Industrial Area, Bangalore 560 099 (IN). **NARASIMHAMURTHY, Roopa**; Biocon Special Economic Zone, Biocon Park, Plot Nos. 2 & 3, Bommasandra Industrial Area, Bangalore 560 099 (IN). **PANDURANGA, Narayan Swamy**; Biocon Special Economic Zone, Biocon Park, Plot Nos. 2 & 3, Bommasandra Industrial Area, Bangalore 560 099 (IN).

(74) **Agent: PINO, Mark** et al.; Mintz Levin Cohn Ferris Glovsky & Popeo, P.C., One Financial Center, Boston, Massachusetts 02111 (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, DJ, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IR, IS, JO, JP, KE, KG, KH, KN, KP, KR, KW, KZ, LA, LC, LK, LR, LS, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PA, PE, PG, PH, PL, PT, QA, RO, RS, RU, RW, SA, SC, SD, SE, SG, SK, SL, ST, SV, SY, TH, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, WS, 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, ST, 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, KM, ML, MR, NE, SN, TD, TG).

Published:

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