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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
30 May 2013 (30.05.2013)

WIPO | PCT

(10) International Publication Number
WO 2013/078264 A1

(51) **International Patent Classification**:
A61K 9/14 (2006.01) *A61K 9/48* (2006.01)
A61K 9/20 (2006.01)

(21) **International Application Number**:
PCT/US2012/066185

(22) **International Filing Date**:
21 November 2012 (21.11.2012)

(25) **Filing Language**: English

(26) **Publication Language**: English

(30) **Priority Data**:
61/563,229 23 November 2011 (23.11.2011) US

(71) **Applicant** *(for all designated States except US)*: **NOVARTIS AG** [CH/CH]; Lichtstrasse 35, CH-4056 Basel (CH).

(72) **Inventors; and**

(71) **Applicants** *(for US only)*: **VERMA, Daya** [IN/US]; Novartis Pharmaceuticals Corporation, One Health Plaza, East Hanover, New Jersey 07936-1080 (US). **KRISHNAMACHARI, Yogita** [IN/US]; 62 Astor Place, Springfield, New Jersey 07081 (US). **SHEN, Xiaohong** [CN/US]; Novartis Pharmaceuticals Corporation, One Health Plaza, East Hanover, New Jersey 07936-1080 (US). **LEE, Hanchen** [US/US]; Novartis Pharmaceuticals Corporation, One Health Plaza, East Hanover, New Jersey 07936-1080 (US). **LI, Ping** [US/CN]; Beijing Novartis Pharma Co. Ltd., No. 72 Liangxiu Road, Zhangjiang Hi-Tech Park, Shanghai, 201203 (CN). **SINGH, Rajinder** [US/US]; Novartis Pharmaceuticals Corporation, One Health Plaza, East Hanover, New Jersey 07936-1080 (US). **TAN, LayChoo** [MY/US]; Novartis Pharmaceuticals Corporation, One Health Plaza, East Hanover, New Jersey 07936-1080 (US).

(74) **Agent**: **DOHMANN, George**; Novartis Pharmaceuticals Corporation, Patent Department, Bldg. 101, One Health Plaza, East Hanover, New Jersey 07936-1080 (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, PA, 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).

Declarations under Rule 4.17:

— *as to the applicant's entitlement to claim the priority of the earlier application (Rule 4.17(iii))*

Published:

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

WO 2013/078264 A1

(54) **Title**: PHARMACEUTICAL FORMULATIONS

(57) **Abstract**: This invention relates to solid oral pharmaceutical formulations of (S)-methyl (1-((4-(3-(5-chloro-2-fluoro-3-(methylsulfonamido)phenyl)-1-isopropyl-1H-pyrazol-4-yl)pyrimidin-2-yl)amino)propan-2-yl)carbamate (COMPOUND A) and the use of these formulations for treating proliferative diseases, such as solid tumor diseases.