

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

(19) World Intellectual Property
Organization
International Bureau

(43) International Publication Date
22 February 2018 (22.02.2018)



(10) International Publication Number
WO 2018/033853 A2

(51) International Patent Classification:
Not classified

TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW,
KM, ML, MR, NE, SN, TD, TG).

(21) International Application Number:
PCT/IB2017/054955

Published:

— without international search report and to be republished
upon receipt of that report (Rule 48.2(g))

(22) International Filing Date:
15 August 2017 (15.08.2017)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
PCT/CN2016/095510
16 August 2016 (16.08.2016) CN

(71) Applicant: **BEIGENE, LTD.**; c/o Maurant Ozannes Cor-
porate Services (Cayman) Limited, 94 Solaris Avenue, Ca-
mana Bay, Grand Cayman KY1-1108 (KY).

(72) Inventors; and

(71) Applicants (for SC only): **WANG, Zhiwei** [US/CN];
No.30 Science Park Road, Zhongguancun Life Science
Park, Changping, Beijing 102206 (CN). **GUO, Yunhang**
[CN/CN]; No.30 Science Park Road, Zhongguancun Life
Science Park, Changping, Beijing 102206 (CN).

(72) Inventor: **SHI, Gongyin**; No.30 Science Park Road,
Zhongguancun Life Science Park, Changping, Beijing
102206 (CN).

(74) Agent: **WU, FENG & ZHANG CO.**; Room 305, Tower B,
Beijing Aerospace Cpmiec Building, Beijing 100080 (CN).

(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, 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, 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,

(54) Title: CRYSTALLINE FORM OF (S)-7-(1-ACRYLOYLPYPERIDIN-4-YL)-2-(4-PHENOXYPHENYL)-4,5,6,7-TETRA-HY-
DROPYRAZOLO[1,5-A]PYRIMIDINE-3-CARBOXAMIDE, PREPARATION, AND USES THEREOF

(57) Abstract: The present invention relates to a crystalline form of (S)-7-(1-acryloylpiperidin-4-yl)-2-(4-phenoxyphenyl)-4,5,6,7-tetr
a-hydropyrazolo[1,5-a]pyrimidine-3-carboxamide for inhibiting Btk, methods of preparation thereof and pharmaceutical compositions,
and use of the crystalline form above in the treatment of a disease, or in the manufacturing of a medicament for the treatment of a disease.

WO 2018/033853 A2