

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

(19) World Intellectual Property
Organization

International Bureau

(43) International Publication Date
13 August 2020 (13.08.2020)



(10) International Publication Number
WO 2020/160711 A1

(51) International Patent Classification:
C07D 487/04 (2006.01) A61P 35/00 (2006.01)
A61K 31/4188 (2006.01)

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.

(21) International Application Number:
PCT/CN2020/074437

(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).

(22) International Filing Date:
06 February 2020 (06.02.2020)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
PCT/CN2019/074732
07 February 2019 (07.02.2019) CN
PCT/CN2019/098757
31 July 2019 (31.07.2019) CN
PCT/CN2020/073673
22 January 2020 (22.01.2020) CN

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

(71) Applicant: **BEIGENE, LTD.**; c/o Mourant Governance
Services (Cayman) Limited, 94 Solaris Avenue, Camana
Bay, Grand Cayman KY1-1108 (KY).

(72) Inventor; and

(71) Applicant (for SC only): **ZHANG, Guoliang** [CN/CN];
No. 30 Science Park Road, Zhongguancun Life Science
Park, Changping, Beijing 102206 (CN).

(72) Inventors: **MIAO, Jianzhuang**; No. 30 Science Park
Road, Zhongguancun Life Science Park, Changping, Bei-
jing 102206 (CN). **ZHOU, Changyou**; No. 30 Science
Park Road, Zhongguancun Life Science Park, Changping,
Beijing 102206 (CN). **CHEN, Gang**; No. 30 Science
Park Road, Zhongguancun Life Science Park, Changping,
Beijing 102206 (CN). **LI, Jing**; No. 30 Science Park
Road, Zhongguancun Life Science Park, Changping, Bei-
jing 102206 (CN).

(74) Agent: **WU, FENG & ZHANG**; 3 FL, Building 2, Yard 3,
FengXiuZhongLu Road, Haidian District, Beijing 100094
(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,

(54) Title: IMIDAZO [2, 1-F] [1, 2, 4] TRIAZIN-4-AMINE DERIVATIVES AS TLR7 AGONIST

(57) Abstract: Disclosed herein is an imidazo [2, 1-f] [1, 2, 4] triazin-4-amine derivative or a stereoisomer thereof, or a pharmaceutically acceptable salt thereof useful as a TLR7 agonist, and a pharmaceutical composition comprising the same. Also disclosed herein is a method of treating cancer using the imidazo [2, 1-f] [1, 2, 4] triazin-4-amine derivative or a stereoisomer thereof, or a pharmaceutically acceptable salt thereof as TLR7 agonist.

WO 2020/160711 A1