

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

(19) World Intellectual Property
Organization
International Bureau



(10) International Publication Number
WO 2020/160710 A1

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

(51) International Patent Classification:

C07D 487/04 (2006.01) A61P 35/00 (2006.01)
A61K 31/395 (2006.01)

(21) International Application Number:

PCT/CN2020/074436

(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

(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))

(71) Applicant: **BEIGENE, LTD.**; c/o Maurant 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, Beijing 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).

(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, 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.

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

(57) Abstract: An imidazo [2, 1-f] [1, 2, 4] triazin-4-amine derivative or a stereoisomer thereof, or a pharmaceutically acceptable salt thereof which are used as a TLR7 agonist in the treatment of cancer are provided. Pharmaceutical compositions comprising the imidazo [2, 1-f] [1, 2, 4] triazin-4-amine derivative or a stereoisomer thereof, or a pharmaceutically acceptable salt thereof are also provided.



WO 2020/160710 A1