

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

(19) World Intellectual Property
Organization
International Bureau

(43) International Publication Date
15 December 2022 (15.12.2022)



(10) International Publication Number
WO 2022/261524 A1

(51) International Patent Classification:

A61K 31/33 (2006.01) C07D 223/16 (2006.01)
C07D 223/14 (2006.01) A61K 31/00 (2006.01)

(21) International Application Number:

PCT/US2022/033159

(22) International Filing Date:

11 June 2022 (11.06.2022)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

202110653751.3 11 June 2021 (11.06.2021) CN
202210187387.0 28 February 2022 (28.02.2022) CN

(71) Applicant: **ACCRO BIOSCIENCE (HK) LIMITED**
[CN/CN]; Room 2808, 28/F Wu Chung House, 213 Queen's
Road East, Wan Chai, Hong Kong (CN).

(72) Inventor; and

(71) Applicant: **ZHANG, Xiaohu** [US/CN]; Building 5-502,
Yidun Garden, No. 1, Nanshi Street, The Industrial Park,
Suzhou, Jiangsu 215028 (CN).

(72) Inventors: **HE, Sudan**; 218 Xinghu Street, Biobay Building
B1, Room 708, Suzhou Industrial Park, Suzhou, Jiang-
su 215123 (CN). **MA, Haikuo**; 218 Xinghu Street, Biobay

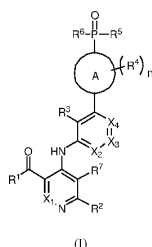
Building B1, Room 708, Suzhou Industrial Park, Suzhou,
Jiangsu 215123 (CN).

(74) Agent: **TIAN, Feng**; 2141 Tanning PL, Apt 421, Vienna,
Virginia 22182 (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, IQ, IR, IS, IT, JM, 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,

(54) Title: HETEROARYL COMPOUNDS AS INHIBITORS OF TYK2, COMPOSITION AND APPLICATION THEREOF



(57) Abstract: The present disclosure provides phosphonate-containing heterocycle compounds with TYK2 kinase inhibitory activity, pharmaceutical compositions comprising the same, and applications thereof. The present disclosure provides compounds of Formula (I), as inhibitors of TYK2 kinase. These compounds can be used for preventing and/or treating TYK2 kinase-related diseases and/or conditions.

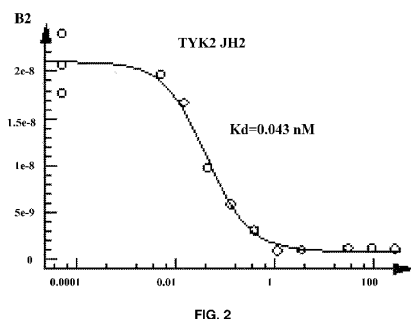


FIG. 2

[Continued on next page]

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

Declarations under Rule 4.17:

— *of inventorship (Rule 4.17(iv))*

Published:

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