

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

(19) World Intellectual Property
Organization
International Bureau

(43) International Publication Date
06 February 2020 (06.02.2020)



(10) International Publication Number
WO 2020/028608 A1

(51) International Patent Classification:

C07D 487/04 (2006.01) A61K 31/519 (2006.01)
A61P 35/00 (2006.01)

(21) International Application Number:

PCT/US2019/044575

(22) International Filing Date:

01 August 2019 (01.08.2019)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

62/714,238 03 August 2018 (03.08.2018) US
16/527,802 31 July 2019 (31.07.2019) US

(71) Applicant: **BRISTOL-MYERS SQUIBB COMPANY**
[US/US]; Route 206 and Province Line Road, Princeton,
New Jersey 08543 (US).

(72) Inventors: **POUDEL, Yam B.**; c/o Bristol-Myers Squibb
Company, 700 Bay Road, Redwood City, California 94063
(US). **GANGWAR, Sanjeev**; c/o Bristol-Myers Squibb
Company, 700 Bay Road, Redwood City, California 94063
(US). **HE, Liqi**; c/o Bristol-Myers Squibb Company, 700
Bay Road, Redwood City, California 94063 (US). **SI-
VAPRAKASAM, Prasanna**; c/o Bristol-Myers Squibb
Company, Route 206 and Province Line Road, Princeton,
New Jersey 08543 (US). **BROEKEMA, Matthias**; c/o
Bristol-Myers Squibb Company, Route 206 and Province
Line Road, Princeton, New Jersey 08543 (US). **CHOW-
DARI, Naidu S.**; c/o Bristol-Myers Squibb Company, 700
Bay Road, Redwood City, California 94063 (US). **COX,
Matthew**; c/o Bristol-Myers Squibb Company, 700 Bay
Road, Redwood City, California 94063 (US). **TARBY,
Christine M.**; c/o Bristol-Myers Squibb Company, Route
206 and Province Line Road, Princeton, New Jersey 08543
(US). **ZHANG, Qian**; c/o Bristol-Myers Squibb Company,
700 Bay Road, Redwood City, California 94063 (US).

(74) Agent: **CHAO, Yuan et al.**; Bristol-Myers Squibb Compa-
ny, Route 206 and Province Line Road, Princeton, New Jer-
sey 08543 (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, 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,
TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW,
KM, ML, MR, NE, SN, TD, TG).

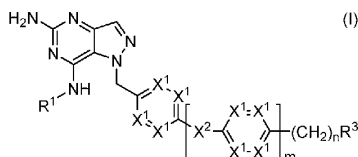
Declarations under Rule 4.17:

- as to applicant's entitlement to apply for and be granted a patent (Rule 4.17(ii))
- as to the applicant's entitlement to claim the priority of the earlier application (Rule 4.17(iii))
- of inventorship (Rule 4.17(iv))

Published:

- with international search report (Art. 21(3))

(54) Title: 1H-PYRAZOLO[4,3-d]PYRIMIDINE COMPOUNDS AS TOLL-LIKE RECEPTOR 7 (TLR7) AGONISTS AND METHODS AND USES THEREFOR



(57) Abstract: Compounds according to formula I are useful as agonists of Toll-like receptor 7 (TLR7). Such compounds can be used in cancer treatment, especially in combination with an anti-cancer immunotherapy agent, or as a vaccine adjuvant.

WO 2020/028608 A1