

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

(19) World Intellectual Property
Organization
International Bureau

(43) International Publication Date
24 February 2022 (24.02.2022)



(10) International Publication Number
WO 2022/040259 A1

(51) International Patent Classification:

C07D 471/04 (2006.01) A61P 1/16 (2006.01)
C07D 451/02 (2006.01) A61P 1/18 (2006.01)
C07D 451/04 (2006.01) A61P 13/12 (2006.01)
A61K 31/437 (2006.01) A61P 11/00 (2006.01)

(21) International Application Number:

PCT/US2021/046420

(22) International Filing Date:

18 August 2021 (18.08.2021)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

63/067,452 19 August 2020 (19.08.2020) US

(71) Applicant: BRISTOL-MYERS SQUIBB COMPANY

[US/US]; Route 206 and Province line Road, Princeton,
New Jersey 08543 (US).

(72) Inventors: YOON, David S.; c/o Bristol-Myers Squibb

Company, Route 206 and Province Line Road, Princeton,
New Jersey 08543 (US). REGUEIRO-REN, Alicia; c/o
Bristol-Myers Squibb Company, Route 206 and Province
Line Road, Princeton, New Jersey 08543 (US). LIU, Chun-
jian; c/o Bristol-Myers Squibb Company, Route 206 and
Province Line Road, Princeton, New Jersey 08543 (US).
DEGNAN, Andrew P.; c/o Bristol-Myers Squibb Compa-
ny, Route 206 and Province Line Road, Princeton, New
Jersey 08543 (US). WU, Gang; c/o Bristol-Myers Squibb
Company, Route 206 and Province Line Road, Princeton,
New Jersey 08543 (US).

(74) Agent: GREENBLATT, Gary D. et al.; Bristol-Myers

Squibb Company, Route 206 and Province Line Road,
Princeton, New Jersey 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, IT, 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,
TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW,
KM, ML, MR, NE, SN, TD, TG).

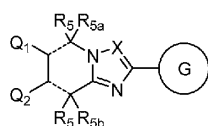
Declarations under Rule 4.17:

- 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))

Published:

- with international search report (Art. 21(3))
- before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments (Rule 48.2(h))

(54) Title: IMIDAZO[1,2-A]PYRIDINE AND [1,2,4]TRIAZOLO[1,5-A]PYRIDINE DERIVATIVES AS TLR9 INHIBITORS FOR THE TREATMENT OF FIBROSIS



(I)

(57) Abstract: The present invention relates to imidazo[1,2-a]pyridine and [1,2,4]triazolo[1,5-a]pyridine derivatives of formula (I) or a salt thereof. The present compounds are inhibitors of TLR9 and useful in treating preventing, or slowing fibrotic diseases, such as e.g. liver fibrosis, renal fibrosis, biliary fibrosis or pancreatic fibrosis, nonalcoholic steatohepatitis (NASH), non-alcoholic fatty liver disease (NAFLD), chronic kidney disease, diabetic kidney disease, primary sclerosing cholangitis (PSC) or primary biliary cirrhosis (PBC), or idiopathic pulmonary fibrosis (IPF).



WO 2022/040259 A1