

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

(19) World Intellectual Property
Organization
International Bureau

(43) International Publication Date
17 February 2022 (17.02.2022)



(10) International Publication Number
WO 2022/034529 A1

(51) International Patent Classification:

C07D 471/10 (2006.01) A61P 11/06 (2006.01)
C07D 491/10 (2006.01) A61P 37/08 (2006.01)
C07D 498/10 (2006.01) A61K 31/4747 (2006.01)
C07D 519/00 (2006.01)

(21) International Application Number:

PCT/IB2021/057427

(22) International Filing Date:

12 August 2021 (12.08.2021)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

20191191.4 14 August 2020 (14.08.2020) EP

(71) Applicant: **NOVARTIS AG** [CH/CH]; Lichtstrasse 35,
4056 Basel (CH).

(72) Inventors: **BUSHABOINA, Malleesh**; Aurigene Pharmaceutical Services Limited, 39-40, KIADB Industrial Area, Electronic City Phase 2, Hosur Road, Bangalore 560 100 (IN). **CHEN, Xin**; Novartis Institutes for Biomedical Research, Inc., 250 Massachusetts Avenue, Cambridge, Massachusetts 02139 (US). **CHEUNG, Atwood Kim**; Novartis Institutes for Biomedical Research, Inc., 250 Massachusetts Avenue, Cambridge, Massachusetts 02139 (US). **CULSHAW, Andrew James**; Novartis Pharmaceuticals UK Ltd, 2nd Floor, The Westworks Building White City Place, 195 Wood Lane, London W12 7FQ (GB). **HURLEY, Timothy Brian**; Novartis Institutes for Biomedical Research, Inc., 250 Massachusetts Avenue, Cambridge, Massachusetts 02139 (US). **LABBE-GIGUERE, Nancy**; Novartis Institutes for Biomedical Research, Inc., 250 Massachusetts Avenue, Cambridge, Massachusetts 02139 (US). **MILTZ, Wolfgang**; Oberwilerstrasse 30, 4123 Allschwill (CH). **ORAIN, David**; Novartis Pharma AG, Postfach, 4002 Basel (CH). **PATEL, Tajesh**; Novartis Institutes for Biomedical Research, Inc., 250 Massachusetts Avenue, Cambridge, Massachusetts 02139 (US). **RAJAGOPALAN, Srinivasan**; Aurigene Pharmaceutical Services Limited, 39-40, KIADB Industrial Area, Electronic City Phase 2, Hosur Road, Bangalore 560 100 (IN). **ROEHN, Till**; Novartis Pharma AG, Postfach, 4002 Basel (CH). **SANDHAM, David Andrew**; Novartis Institutes for Biomedical Research Inc., 250 Massachusetts Avenue, Cambridge, Massachusetts 02139 (US). **THOMA,**

Gebhard; Novartis Pharma AG, Postfach, 4002 Basel (CH). **TICHKULE, Ritesh Bhanudasji**; Novartis Institutes for Biomedical Research, Inc., 250 Massachusetts Avenue, Cambridge, Massachusetts 02139 (US). **WÄLCHLI, Rudolf**; Blumenrain 21, 4051 Basel (CH).

(74) Agent: **NOVARTIS AG**; Lichtstrasse 35, 4056 Basel (CH).

(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).

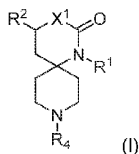
Declarations under Rule 4.17:

- 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))
- in black and white; the international application as filed contained color or greyscale and is available for download from PATENTSCOPE

(54) Title: HETEROARYL SUBSTITUTED SPIROPIPERIDINYL DERIVATIVES AND PHARMACEUTICAL USES THEREOF



(I)

(57) Abstract: The present invention provides a compound of formula (I) or a pharmaceutically acceptable salt thereof; (I) wherein R¹, R², R⁴ and X¹ are defined herein, a method for manufacturing the compounds of the invention, and its therapeutic uses. The present invention further provides a combination of pharmacologically active agents and a pharmaceutical composition.

WO 2022/034529 A1