

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

(19) World Intellectual Property
Organization
International Bureau

(43) International Publication Date
07 October 2021 (07.10.2021)



(10) International Publication Number
WO 2021/202781 A1

(51) International Patent Classification:

C07D 403/12 (2006.01) A61K 31/397 (2006.01)
C07D 401/12 (2006.01) A61K 31/416 (2006.01)
C07D 491/04 (2006.01) A61K 31/423 (2006.01)
C07D 413/12 (2006.01) A61K 31/4355 (2006.01)
C07D 205/04 (2006.01) A61P 25/28 (2006.01)
C07D 207/09 (2006.01)

(21) International Application Number:

PCT/US2021/025231

(22) International Filing Date:

31 March 2021 (31.03.2021)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

63/002,727 31 March 2020 (31.03.2020) US

(71) Applicant: **TAKEDA PHARMACEUTICAL COMPANY LIMITED** [JP/JP]; 1-1, Doshomachi 4-chome, Chuo-ku, Osaka-shi, Osaka 541-0045 (JP).

(72) Inventors; and

(71) Applicants (for US only): **CHERUVALLATH, Zacharia** [US/US]; c/o Takeda California, Inc., 9625 Town Centre Drive, San Diego, California 92121 (US). **GREEN, Jason** [US/US]; c/o Takeda California, Inc., 9625 Town Centre Drive, San Diego, California 92121 (US). **JOHNSON, Ben** [GB/US]; c/o Takeda California, Inc., 9625 Town Centre Drive, San Diego, California 92121 (US). **JONES, Benjamin** [US/US]; c/o Takeda California, Inc., 9625 Town Centre Drive, San Diego, California 92121 (US). **SCHLEICHER, Kristin** [US/US]; c/o Takeda California, Inc., 9625 Town Centre Drive, San Diego, California 92121 (US). **SUN, Huikai** [CN/US]; c/o Takeda California, Inc., 9625 Town Centre Drive, San Diego, California 92121 (US). **TANG, Mingnam** [US/US]; c/o Takeda California, Inc., 9625 Town Centre Drive, San Diego, California 92121 (US).

(74) Agent: **RUSSO, Matthew J.** et al.; c/o Takeda California, Inc., 9625 Town Centre Drive, San Diego, California 92121 (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,

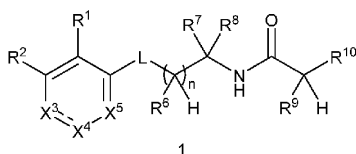
DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IR, IS, IT, JO, JP, KE, KG, KH, KN, KP, KR, KW, KZ, LA, LC, LK, LR, LS, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PA, PE, PG, PH, PL, PT, QA, RO, RS, RU, RW, SA, SC, SD, SE, SG, SK, SL, ST, SV, SY, TH, 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).

Published:

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

(54) Title: N-HETEROARYLALKYL-2-(HETEROCYCLYL AND HETEROCYCLYLMETHYL) ACETAMIDE DERIVATIVES AS SSTR4 AGONISTS



(57) Abstract: Disclosed are compounds of Formula 1, and pharmaceutically acceptable salts thereof, wherein L, n, R¹, R², R⁶, R⁷, R⁸, R⁹, R¹⁰, X³, X⁴ and X⁵ are defined in the specification. This disclosure also relates to materials and methods for preparing compounds of Formula 1, to pharmaceutical compositions which contain them, and to their use for treating diseases, disorders, and conditions associated with SSTR4.