

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

(19) World Intellectual Property
Organization
International Bureau

(43) International Publication Date
31 August 2023 (31.08.2023)



(10) International Publication Number
WO 2023/164201 A1

(51) International Patent Classification:

C07D 205/04 (2006.01) C07D 401/10 (2006.01)
C07D 207/09 (2006.01) C07D 403/06 (2006.01)
C07D 207/26 (2006.01) A61K 31/33 (2006.01)
C07D 241/04 (2006.01) A61P 25/00 (2006.01)
C07D 279/12 (2006.01) A61P 37/00 (2006.01)
C07D 307/20 (2006.01) C07C 233/00 (2006.01)
C07D 401/06 (2006.01)

(21) International Application Number:

PCT/US2023/013924

(22) International Filing Date:

27 February 2023 (27.02.2023)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

63/314,783 28 February 2022 (28.02.2022) US

(71) Applicants: **ASTELLAS PHARMA, INC.** [JP/JP]; 5-1, Nihonbashi-honcho 2-chome, Chuo-ku, Tokyo 103-8411 (JP). **MITOBRIDGE, INC.** [US/US]; 1030 Massachusetts Avenue, Suite 200, Cambridge, MA 02138 (US).

(72) Inventors: **MAEDA, Junko**; c/o Astellas Pharma Inc., 5-1, Nihonbashi-honcho 2-chome, Chuo-ku, Tokyo 103-8411 (JP). **KURIWAKI, Ikumi**; c/o Astellas Pharma Inc., 5-1, Nihonbashi-honcho 2-chome, Chuo-ku, Tokyo 103-8411 (JP). **KITAMURA, Kai**; c/o Astellas Pharma Inc., 5-1, Nihonbashi-honcho 2-chome, Chuo-ku, Tokyo 103-8411 (JP). **YAMASHITA, Yumi**; c/o Astellas Pharma Inc., 5-1, Nihonbashi-honcho 2-chome, Chuo-ku, Tokyo 103-8411 (JP). **KAKEFUDA, Kenichi**; c/o Astellas Pharma Inc., 5-1, Nihonbashi-honcho 2-chome, Chuo-ku, Tokyo 103-8411 (JP). **KAMIKAWA, Akio**; c/o Astellas Pharma Inc., 5-1, Nihonbashi-honcho 2-chome, Chuo-ku, Tokyo 103-8411 (JP). **NEGORO, Kenji**; c/o Astellas Pharma Inc., 5-1, Nihonbashi-honcho 2-chome, Chuo-ku, Tokyo 103-8411 (JP). **SEO, Ryushi**; c/o Astellas Pharma Inc., 5-1, Nihonbashi-honcho 2-chome, Chuo-ku, Tokyo 103-8411 (JP). **CIAVARRI, Jeffrey**; c/o Mitobridge, Inc., 1030 Massachusetts Avenue, Suite 200, Cambridge, MA 02138 (US). **HAMAGUCHI, Wataru**; c/o Astellas Pharma Inc., 5-1, Nihonbashi-honcho 2-chome, Chuo-ku, Tokyo 103-8411 (JP).

(74) Agent: **DAVIS, Steven, G.** et al.; McCarter & English, LLP, 265 Franklin Street, Boston, MA 02110 (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, CV, 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, 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, CV, GH, GM, KE, LR, LS, MW, MZ, NA, RW, SC, 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, ME, 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))

(54) Title: **ARYL ALKYNAMIDE DERIVATIVES**

(57) Abstract: A compound which is useful as a STING inhibitor is provided. The present inventors have found aryl alkynamide derivatives having an inhibitory action on STING. The aryl alkynamide derivatives of the present invention have an inhibitory action on STING and can be used as an agent for treating an autoimmune disease, a neurodegenerative disease, a type I interferonopathy and/or other STING-mediated disease.

WO 2023/164201 A1