

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

(19) World Intellectual Property
Organization
International Bureau

(43) International Publication Date
30 May 2024 (30.05.2024)



(10) International Publication Number
WO 2024/112621 A1

(51) International Patent Classification:

C07D 207/26 (2006.01) A61K 31/401 (2006.01)
C07D 401/12 (2006.01) A61K 31/4439 (2006.01)
C07D 403/12 (2006.01) C07D 413/12 (2006.01)
C07D 405/12 (2006.01) C07D 417/12 (2006.01)
A61P 31/12 (2006.01)

(21) International Application Number:

PCT/US2023/080450

(22) International Filing Date:

20 November 2023 (20.11.2023)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

63/427,330 22 November 2022 (22.11.2022) US
63/485,677 17 February 2023 (17.02.2023) US

(71) Applicant: **MERCK SHARP & DOHME LLC** [US/US];
126 East Lincoln Avenue, Rahway, New Jersey 07065 (US).

(72) Inventors: **ACTON III, John J.**; 126 East Lincoln Avenue, Rahway, New Jersey 07065 (US). **GUPTA, Mayuri**; 126 East Lincoln Avenue, Rahway, New Jersey 07065 (US). **KELLY III, Michael J.**; 772 Sumneytown Pike, West Point, Pennsylvania 19486 (US). **KLINGLER, Franca-Maria**; 120 Moorgate, London EC2M 6UR (GB). **LAYTON, Mark Eric**; 770 Sumneytown Pike, West Point, Pennsylvania 19486 (US). **MCCAULEY, John A.**; 770 Sumneytown Pike, West Point, Pennsylvania 19486 (US). **MORRIELLO, Gregori J.**; 126 East Lincoln Avenue, Rahway, New Jersey 07065 (US). **NAWRAT, Christopher Charles**; 770 Sumneytown Pike, West Point, Pennsylvania 19486 (US). **PARISH, Craig A.**; 2000 Galloping Hill Road, Kenilworth, New Jersey 07033 (US). **ROECKER, Anthony J.**; 8932 Hightail Drive, Santee, California 92071 (US). **DE LERA RUIZ, Manuel**; 770 Sumneytown Pike, West

Point, Pennsylvania 19486 (US). **SU, Jing**; 1398 Graymill Drive, Scotch Plains, New Jersey 07076 (US). **SHURTLIFF, Valerie W.**; 770 Sumneytown Pike, West Point, Pennsylvania 19486 (US). **TRUONG, Quang T.**; 126 East Lincoln Avenue, Rahway, New Jersey 07065 (US).

(74) Agent: **WOODS, Daniel**; 126 East Lincoln Avenue, Rahway, New Jersey 07065 (US).

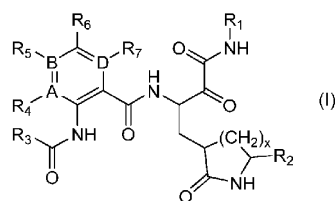
(81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BN, BR, BW, BY, BZ, CA, CH, CL, CN, CO, CR, CU, CV, CZ, DE, DJ, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IQ, 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, MU, 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 the identity of the inventor (Rule 4.17(i))
- 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))

(54) Title: 2-AMINO-N-(4-AMINO-3,4-DIOXO-1-(2-OXOPYRROLIDIN-3-YL)BUTAN-2-YL)BENZAMIDE DERIVATIVES AS PROTEASE INHIBITORS FOR TREATING OR PREVENTING CORONAVIRUS INFECTION



(57) Abstract: The present invention provides a compound of Formula I wherein R_1 , R_2 , R_3 , R_4 , R_5 , R_6 , R_7 , and subscripts x and n are as described herein and pharmaceutical compositions comprising one or more said compounds, and methods for using said compounds for the treatment, inhibition, or amelioration of one or more disease states that could benefit from inhibition of a coronavirus, including SARS-CoV, MERS-CoV and SARS-CoV-2. The compounds of this invention could further be used in combination with other therapeutically effective agents, including but not limited to, other drugs useful for the treatment of coronavirus infection. The invention furthermore relates to processes for preparing compounds of Formula I, and pharmaceutical compositions which comprise compounds of Formula I and pharmaceutically acceptable salts thereof.

[Continued on next page]

Published:

- with international search report (Art. 21(3))
- with sequence listing part of description (Rule 5.2(a))