

(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 2022/040070 A1

(51) International Patent Classification:

C07D 403/12 (2006.01) C07D 491/04 (2006.01)
C07D 207/14 (2006.01) A61K 31/506 (2006.01)
C07D 405/14 (2006.01) A61P 43/00 (2006.01)
C07D 417/12 (2006.01)

(21) International Application Number:

PCT/US2021/046098

(22) International Filing Date:

16 August 2021 (16.08.2021)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

63/066,908 18 August 2020 (18.08.2020) US
63/226,798 29 July 2021 (29.07.2021) US

(71) Applicant: **MERCK SHARP & DOHME CORP.**
[US/US]; 126 East Lincoln Avenue, RAHWAY, New Jersey 07065-0907 (US).

(72) Inventors; and

(71) Applicants (for US only): **BOGEN, Stephane, L.**
[US/US]; 2000 Galloping Hill Road, Kenilworth, New Jersey 07033 (US). **CLAUSEN, Dane James** [US/US]; 2000 Galloping Hill Road, Kenilworth, New Jersey 07033 (US). **GUIADEEN, Deodial Guy** [US/US]; 2000 Galloping Hill

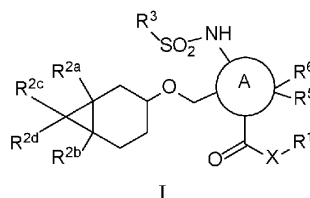
Road, Kenilworth, New Jersey 07033 (US). **HAO, Jinsong** [US/US]; 2000 Galloping Hill Road, Kenilworth, New Jersey 07033 (US). **LIN, Shishi** [SG/US]; 2000 Galloping Hill Road, Kenilworth, New Jersey 07033 (US). **RUDD, Michael, T.** [US/US]; 770 Sunnyside Pike, West Point, Pennsylvania 19486 (US). **WEI, Lan** [US/US]; 2000 Galloping Hill Road, Kenilworth, New Jersey 07033 (US). **XIAO, Li** [US/US]; 2000 Galloping Hill Road, Kenilworth, New Jersey 07033 (US). **YANG, Dexi** [CN/US]; 2000 Galloping Hill Road, Kenilworth, New Jersey 07033 (US).

(74) Common Representative: **MERCK SHARP & DOHME CORP.**; 126 East Lincoln Avenue, RAHWAY, New Jersey 07065-0907 (US).

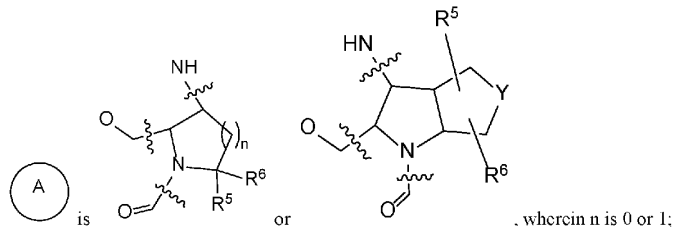
(81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BN, BR, BW, BY, BZ, CA, CH, CL, CN, CO, CR, CU, CZ, DE, DJ, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IR, IS,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

(54) Title: BICYCLOHEPTANE PYRROLIDINE OREXIN RECEPTOR AGONISTS

I:



wherein:



(57) Abstract: The present invention is directed to bicyclo[4.1.0]heptane pyrrolidine compounds of formula (I) which are agonists of orexin receptors. The present invention is also directed to uses of the compounds described herein in the potential treatment or prevention of neurological and psychiatric disorders and diseases in which orexin receptors are involved. The present invention is also directed to compositions comprising these compounds. The present invention is also directed to uses of these compositions in the potential prevention or treatment of such diseases in which orexin receptors are involved.

[Continued on next page]

(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))