

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

(19) World Intellectual Property
Organization
International Bureau

(43) International Publication Date
19 May 2022 (19.05.2022)



(10) International Publication Number
WO 2022/101412 A1

(51) International Patent Classification:

C07D 221/20 (2006.01) C07D 417/12 (2006.01)
C07D 401/12 (2006.01) C07D 471/04 (2006.01)
C07D 401/14 (2006.01) C07D 487/04 (2006.01)
C07D 405/12 (2006.01) A61P 25/00 (2006.01)
C07D 413/12 (2006.01) A61K 31/438 (2006.01)

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).

(21) International Application Number:

PCT/EP2021/081522

(22) International Filing Date:

12 November 2021 (12.11.2021)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

63/113,662 13 November 2020 (13.11.2020) US

(71) Applicant: **H. LUNDBECK A/S** [DK/DK]; Ottiliavej 9, 2500 Valby (DK).

(72) Inventors: **WIENER, John, J. M.**; 10835 Road to the Cure, Suite 250, San Diego, California 92121 (US). **GRICE, Cheryl, A.**; 10835 Road to the Cure, Suite 250, San Diego, California 92121 (US). **BUZARD, Daniel, J.**; 10835 Road to the Cure, Suite 250, San Diego, California 92121 (US). **CISAR, Justin, S.**; 10835 Road to the Cure, Suite 250, San Diego, California 92121 (US). **WE-BER, Olivia, D.**; 10835 Road to the Cure, Suite 250, San Diego, California 92121 (US). **ALLAN, Amy**; 10835 Road to the Cure, Suite 250, San Diego, California 92121 (US). **RAFFAELE, Nicholas**; 10835 Road to the Cure, Suite 250, San Diego, California 92121 (US). **MOODY, Jeanne, V.**; 10835 Road to the Cure, Suite 250, San Diego, California 92121 (US). **SHAGHAFI, Michael, B.**; 10835 Road to the Cure, Suite 250, 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,

(54) Title: A MAGL INHIBITOR

(57) Abstract: Provided herein are substituted 1,1,1,3,3,3-hexafluoropropan-2-yl 6-azaspiro[2.5]octane-6-carboxylate compounds and pharmaceutical compositions comprising said compounds. The compounds and compositions provided herein are useful as inhibitors of MAGL. Furthermore, the compounds and compositions as provided herein are useful for the treatment of diseases and disorders benefiting from the inhibition of MAGL.



WO 2022/101412 A1