

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

(19) World Intellectual Property
Organization
International Bureau

(43) International Publication Date
14 April 2022 (14.04.2022)



(10) International Publication Number
WO 2022/076496 A1

(51) International Patent Classification:

C07D 209/42 (2006.01) C07D 409/14 (2006.01)
C07D 401/12 (2006.01) A61K 31/404 (2006.01)
C07D 403/12 (2006.01) C07D 471/04 (2006.01)
C07D 405/12 (2006.01) C07D 487/04 (2006.01)
C07D 409/12 (2006.01) A61P 3/00 (2006.01)

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).

(21) International Application Number:

PCT/US2021/053681

(22) International Filing Date:

06 October 2021 (06.10.2021)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

63/089,063 08 October 2020 (08.10.2020) 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): **LIM, Yeon-Hee** [US/US]; 213
E. Grand Avenue, South San Francisco, California 94080
(US). **BAO, Jianming** [US/US]; 16 Andrews Lane, Prince-
ton, New Jersey 08540 (US). **ROANE, James, P.** [US/US];
213 E. Grand Avenue, South San Francisco, California
94080 (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.

(84) Designated States (unless otherwise indicated, for every
kind of regional protection available): ARIPO (BW, GH,

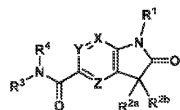
Declarations under Rule 4.17:

- 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: PREPARATION OF OXINDOLE DERIVATIVES AS NOVEL DIACYLGLYCERIDE O-ACYLTRANSFERASE 2 INHIBITORS



(I)

(57) Abstract: Invented are compounds of formula (I) and the pharmaceutically acceptable salts, esters, and prodrugs thereof, which are DGAT2 inhibitors. Also provided are methods of making compounds of Formula (I), pharmaceutical compositions comprising compounds of Formula(I), and methods of using these compounds to treat hepatic steatosis, nonalcoholic steatohepatitis (NASH), fibrosis, type-2 diabetes mellitus, obesity, hyperlipidemia, hypercholesterolemia, atherosclerosis, cognitive decline, dementia, cardiorenal diseases such as chronic kidney diseases and heart failure and related diseases and conditions, comprising administering a compound of Formula (I) to a patient in need thereof.

WO 2022/076496 A1