

(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 2022/076495 A1

(51) International Patent Classification:

C07D 235/26 (2006.01) C07D 471/04 (2006.01)
C07D 409/12 (2006.01) A61P 3/10 (2006.01)
C07D 409/14 (2006.01) A61K 31/4184 (2006.01)

(21) International Application Number:

PCT/US2021/053680

(22) International Filing Date:

06 October 2021 (06.10.2021)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

63/089,068 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). ASHLEY, Eric, R. [US/US]; 213 E. Grand Av-
enue, South San Francisco, California 94080 (US). BAO,
Jianming [US/US]; 16 Andrews Lane, Princeton, New Jer-
sey 08540 (US). CHENG, Chen [US/US]; 213 E. Grand
Avenue, South San Francisco, California 94080 (US).
ROANE, James, P. [US/US]; 213 E. Grand Avenue, South
San Francisco, California 94080 (US). SOUTHGATE,
Emma Helen [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,
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).

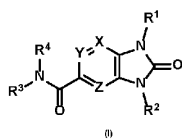
Declarations under Rule 4.17:

- 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 BENZIMIDAZOLONE DERIVATIVES AS NOVEL DIACYLGLYCERIDE O-ACYLTRANSFER-ASE 2 INHIBITORS



(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 2022/076495 A1