



(51) International Patent Classification:

C07D 405/14 (2006.01) **A61K 31/4545** (2006.01)
C07D 409/14 (2006.01) **A61K 31/497** (2006.01)
C07D 413/14 (2006.01) **A61K 31/4985** (2006.01)
C07D 417/14 (2006.01) **A61K 31/506** (2006.01)
C07D 471/04 (2006.01) **A61K 31/519** (2006.01)
C07D 487/04 (2006.01) **A61K 31/5365** (2006.01)
C07D 498/04 (2006.01) **A61P 1/16** (2006.01)
C07D 513/04 (2006.01) **A61P 3/04** (2006.01)
A61K 31/454 (2006.01) **A61P 3/10** (2006.01)

(21) International Application Number:

PCT/US2022/025329

(22) International Filing Date:

19 April 2022 (19.04.2022)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

63/177,775 21 April 2021 (21.04.2021) US
 63/285,410 02 December 2021 (02.12.2021) US

(71) Applicant: **GILEAD SCIENCES, INC.** [US/US]; 333 Lakeside Drive, Foster City, California 94404 (US).

(72) Inventors: **ARMSTRONG, Megan K.**; c/o Gilead Sciences, Inc., 333 Lakeside Drive, Foster City, California 94404 (US). **BRIZGYS, Gediminas J.**; c/o Gilead Sciences, Inc., 333 Lakeside Drive, Foster City, California 94404 (US). **CASSIDY, James S.**; 750 Pine Street, Apartment 34, San Francisco, California 94108 (US). **CHIN, Elbert**; c/o Gilead Sciences, Inc., 333 Lakeside Drive, Foster City, California 94404 (US). **CHOU, Chienhung**; c/o Gilead Sciences, Inc., 333 Lakeside Drive, Foster City, California 94404 (US). **HUNG, Chao-I**; c/o Gilead Sciences, Inc., 333 Lakeside Drive, Foster City, California 94404 (US). **LIN, David W.**; c/o Gilead Sciences, Inc., 333 Lakeside Drive, Foster City, California 94404 (US). **MITCHELL, Michael L.**; c/o Gilead Sciences, Inc., 333 Lakeside Drive, Foster City, California 94404 (US). **ROBERTS, Ezra**; c/o Gilead Sciences, Inc., 333 Lakeside Drive, Foster City, California 94404 (US). **SCHROEDER, Scott D.**; c/o Gilead Sciences, Inc., 333 Lakeside Drive, Foster City, California 94404 (US). **TAYLOR, James G.**;

c/o Gilead Sciences, Inc., 333 Lakeside Drive, Foster City, California 94404 (US). **THOMAS-TRAN, Rhiannon**; c/o Gilead Sciences, Inc., 333 Lakeside Drive, Foster City, California 94404 (US). **WRIGHT, Nathan E.**; 11520 Edgewood Place, San Diego, California 92131 (US). **YANG, Zheng-Yu**; c/o Gilead Sciences, Inc., 333 Lakeside Drive, Foster City, California 94404 (US).

(74) Agent: **ROMEO, Russell J.** et al.; Gilead Sciences, Inc., 333 Lakeside Drive, Foster City, California 94404 (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, JM, 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:

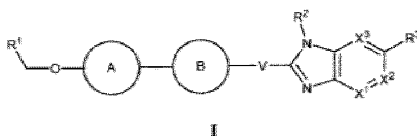
- as to applicant's entitlement to apply for and be granted a patent (Rule 4.17(ii))
- as to the applicant's entitlement to claim the priority of the earlier application (Rule 4.17(iii))

Published:

- with international search report (Art. 21(3))

(54) Title: CARBOXY-BENZIMIDAZOLE GLP-1R MODULATING COMPOUNDS

FIG. 1



(57) Abstract: The present disclosure provides GLP-1R agonists, and compositions, methods, and kits thereof. Such compounds are generally useful for treating a GLP-1R mediated disease or condition in a human.