

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

(19) World Intellectual Property
Organization
International Bureau

(43) International Publication Date
10 October 2024 (10.10.2024)



(10) International Publication Number
WO 2024/211738 A1

(51) International Patent Classification:

A61P 9/00 (2006.01) *A61P 35/00* (2006.01)
A61P 11/00 (2006.01) *A61P 37/00* (2006.01)
A61P 25/00 (2006.01) *C07D 498/18* (2006.01)
A61P 27/00 (2006.01) *C07F 9/32* (2006.01)
A61P 29/00 (2006.01)

(21) International Application Number:

PCT/US2024/023311

(22) International Filing Date:

05 April 2024 (05.04.2024)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

63/494,839	07 April 2023 (07.04.2023)	US
63/515,184	24 July 2023 (24.07.2023)	US
63/624,875	25 January 2024 (25.01.2024)	US

(71) Applicant: **REGENERON PHARMACEUTICALS, INC.** [US/US]; 777 Old Saw Mill River Road, Tarrytown, New York 10591-6707 (US).

(72) Inventors: **GLASS, David**; c/o Regeneron Pharmaceuticals, Inc., 777 Old Saw Mill River Road, Tarrytown, New York 10591-6707 (US). **SHAVLAKADZE, Tea**; c/o Regeneron Pharmaceuticals, Inc., 777 Old Saw Mill River Road, Tarrytown, New York 10591-6707 (US). **VACCA, Joseph P.**; c/o Regeneron Pharmaceuticals, Inc., 777 Old Saw Mill River Road, Tarrytown, New York 10591-6707 (US). **METCALF, Chester A., III**; c/o Regeneron Pharmaceuticals, Inc., 777 Old Saw Mill River Road, Tarrytown, New York 10591-6707 (US). **OLSON, William**; c/o Regeneron Pharmaceuticals, Inc., 777 Old Saw Mill River Road, Tarrytown, New York 10591-6707 (US).

(74) Agent: **KHANKIN, Alina** et al.; Troutman Pepper Hamilton Sanders LLP, 875 Third Avenue, New York, New York 10022 (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, CV, CZ, DE, DJ, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IQ, IR, IS, IT, JM, JO, JP, KE, KG, KH, KN, KP, KR, KW, KZ, LA, LC, LK, LR, LS, LU, LY, MA, MD, MG, MK, MN, MU, 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, CV, GH, GM, KE, LR, LS, MW, MZ, NA, RW, SC, 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, ME, 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).

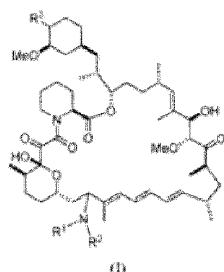
Declarations under Rule 4.17:

- 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: SELECTIVE RAPAMYCIN ANALOGS AND USES THEREOF



(57) Abstract: Compounds of formula (I) and pharmaceutical compositions thereof for use in the treatment of a disorder or disease mediated by the mTOR pathway through more selective binding to FKBP12 of the group of FK506 binding proteins (FKBPs) are disclosed. With an unusual pharmacokinetic profile and an enhanced pharmacodynamic selectivity among target FKBPs, those compounds are useful for the treatment of age- or aging-related diseases, diabetes, cancers, as well as inflammation-associated disorders.

WO 2024/211738 A1