



(51) International Patent Classification:

C07D 413/12 (2006.01) A61K 31/357 (2006.01)
C07D 401/12 (2006.01) A61K 31/343 (2006.01)
C07D 403/12 (2006.01) A61P 27/02 (2006.01)
A61K 31/422 (2006.01) A61P 25/00 (2006.01)
A61K 31/4433 (2006.01) A61P 43/00 (2006.01)
A61K 31/351 (2006.01)

(21) International Application Number:

PCT/US2019/068768

(22) International Filing Date:

27 December 2019 (27.12.2019)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

16/235,429 28 December 2018 (28.12.2018) US

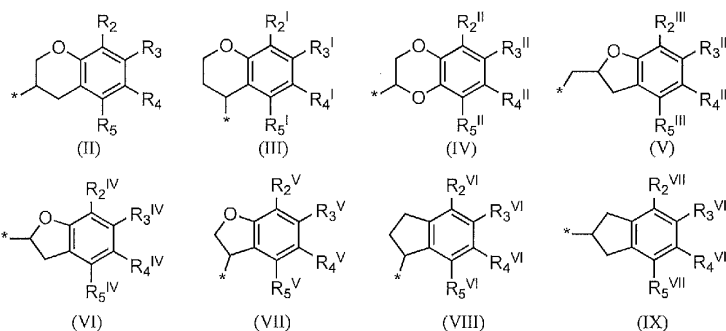
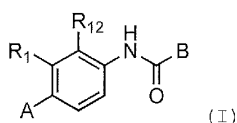
(71) Applicant: ENDOGENA THERAPEUTICS, INC.
[US/US]; 111 Pine Street, San Francisco, California 94111 (US).

(72) Inventors: STEGER, Matthias; Emil-Ruetti-Weg 2, CH-8050 Zurich (CH). MUELLER, Alex; Goldbrunnstrasse 142, CH-8055 Zurich (CH). MARIGO, Mauro; Margrit-Rainer-Strasse 15, CH-8050 Zurich (CH). FASCHING, Bernhard; 22, Rue de la Charite, FR-68300 Saint-Louis (FR). MOKADY, Daphna; 121 Sultana Avenue, Toronto, Ontario M6A1T6 (CA).

(74) Agent: ARMSTRONG, Joel S. et al.; c/o Oliff PLC, P.O. BOX 320850, Alexandria, Virginia 22320 (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,

(54) Title: N-(4-(OXAZOL-5-YL)PHENYL)CHROMANE-3-CARBOXAMIDE DERIVATIVES AND RELATED COMPOUNDS AS STIMULATORS OF THE PRODUCTION OF RETINAL PRECURSOR CELLS FOR THE TREATMENT OF NEURORETINAL DISEASES



(57) Abstract: New compounds and to a method of treating a retinal disease that leads to photoreceptor loss or outer-retina degeneration, comprising administering compound of the formula (I) or a pharmaceutically acceptable salt, a racemic mixture, a corresponding enantiomer or, if applicable, a corresponding diastereomer thereof, wherein: A is selected from the group consisting of a 5-oxazolyl, a pyridine-4-yl, a triazolyl, an oxadiazolyl, an imidazolyl and a 2-methyloxazol-5-yl residue, R₁ and R₁₂ are independently selected from the group consisting of hydrogen, fluoro, chloro, methoxy, trifluoromethyl, methyl and difluoromethoxy, B is selected from the group consisting of a residue of formula (II), (III), (IV), (V), (VI), (VII), (VIII) and (IX) wherein, II*II denotes

[Continued on next page]

DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IR, IS, 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, SM, ST, SV, SY, TH, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, 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).

Published:

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

the point of attachment to the remainder of the molecule, and R₂, R₃, R₄, R₅, R₂^I, R₃^I, R₄^I, R₅^I, R₂^{II}, R₃^{II}, R₄^{II}, R₅^{II}, R₂^{III}, R₃^{III}, R₄^{III}, R₅^{III}, R₂^{IV}, R₃^{IV}, R₄^{IV}, R₅^{IV}, R₂^V, R₃^V, R₄^V, R₅^V, R₂^{VI}, R₃^{VI}, R₄^{VI}, R₅^{VI}, R₂^{VII}, R₃^{VII}, R₄^{VII}, R₅^{VII}, are independently selected from the group consisting of hydrogen, a linear or branched alkyl having 1 to 3 carbon atoms, fluoro, chloro, bromo, methoxy, ethoxy, propoxy, 2,2,2-trifluoromethyl and difluoromethoxy.