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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
16 June 2022 (16.06.2022)

WIPO | PCT

(10) International Publication Number
WO 2022/122917 A1

(51) International Patent Classification:
A61K 31/496 (2006.01) *A61K 31/4155* (2006.01)
A61K 31/497 (2006.01) *A61K 45/06* (2006.01)
A61P 27/06 (2006.01)

(21) International Application Number:
PCT/EP2021/084991

(22) International Filing Date:
09 December 2021 (09.12.2021)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
63/123,787 10 December 2020 (10.12.2020) US

(71) Applicant: **BAYER AKTIENGESELLSCHAFT** [DE/DE]; Kaiser-Wilhelm-Allee 1, 51373 Leverkusen (DE).

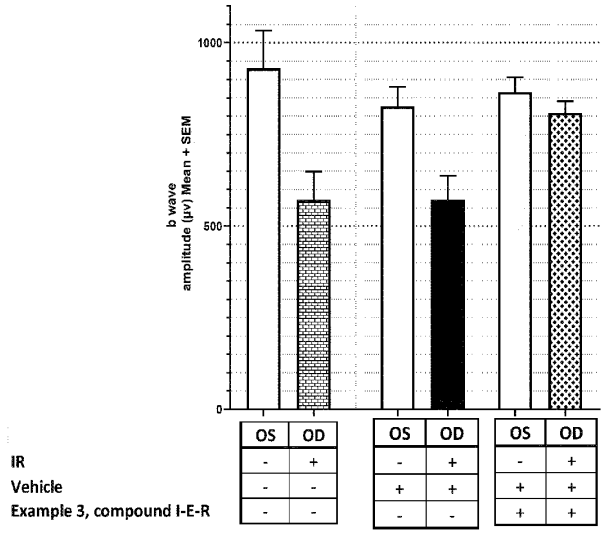
(72) Inventors: **SCHUBERT, William, Ernst**; Briller Höhe 8, 42115 Wuppertal (DE). **NASSAR, Khaled**; Sanddornweg 33, 42781 Haan (DE). **SANDNER, Peter**; Julius-Lucas-Weg 59, 42113 Wuppertal (DE). **TERJUNG, Carsten**; Am Knüpp 64, 44799 Bochum (DE). **DUH, Elia**; 8500 Southfields Cir, Lutherville, MD 21093 (US). **CHO, Hongkwan**; 400 N. Broadway Smith Building, Room 3011, Baltimore, MD 21231 (US). **XU, Zhenhua**; 8692 Manahan Drive, Ellicott City, MD 21043 (US). **WU, Lijuan**; 400 N. Broadway Smith Building, Room 3011, Baltimore, MD 21231 (US). **ZHOU, Lingli**; 929 N. Wolfe Street Apt. 1502, Baltimore, MD 21205 (US).

(74) Agent: **BIP PATENTS**; Alfred-Nobel-Str. 10, 40789 Monheim am Rhein NRW (DE).

(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.

(54) **Title:** THE USE OF SGC ACTIVATORS FOR THE TREATMENT OF OPHTHALMOLOGIC DISEASES

Fig. 1a
Rat Retinal Ischemia Reperfusion Model
1 week ERG readout



(57) **Abstract:** The invention relates to substituted pyrazolo piperidine carboxylic acids, their salts and their use for preparing medicaments for the treatment and/or prophylaxis of diseases, in particular of ophthalmologic diseases, including non-proliferative diabetic retinopathy (NPDR), diabetic macular edema (DME), retinal ganglion cell/photoreceptor neurodegeneration and cataract.

[Continued on next page]

WO 2022/122917 A1

(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))*

Published:

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