

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
3 June 2004 (03.06.2004)

PCT

(10) International Publication Number
WO 2004/045492 A3

(51) International Patent Classification⁷: **A61K 31/40**

(21) International Application Number:
PCT/IL2003/000973

(22) International Filing Date:
17 November 2003 (17.11.2003)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
152900 17 November 2002 (17.11.2002) IL

(71) Applicant (*for all designated States except US*): **YEDA RESEARCH AND DEVELOPMENT CO. LTD.** [IL/IL]; Weizmann Institute of Science, P.O. Box 95, 76100 Rehovot (IL).

(71) Applicants and

(72) Inventors: **SCHERZ, Avigdor** [IL/IL]; 4 Tor Ha'aviv Street, Neve Amit, 76329 Rehovot (IL). **BRANDIS, Alexander** [IL/IL]; 9/3 Ahad Ha'am Street, 76261 Rehovot (IL). **MAZOR, Ohad** [IL/IL]; 3, Haela Street, Ganei Yaar, 71531 Lod (IL). **SALOMON, Yoram** [IL/IL]; 43B Gordon Street, 76287 Rehovot (IL). **SCHEER, Hugo** [DE/DE]; 3 Orststrasse, 87662 Blonhofen (DE).

(74) Agent: **BEN-AMI, Paulina**; Ben-Ami & Associates, P.O. Box 94, 76100 Rehovot (IL).

(81) Designated States (*national*): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(84) Designated States (*regional*): ARIPO patent (BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:

- *with international search report*
- *before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments*

(88) Date of publication of the international search report:
8 July 2004

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: WATER-SOLUBLE ANIONIC BACTERIOCHLOROPHYLL DERIVATIVES AND THEIR USES

(57) Abstract: The invention provides anionic water-soluble tetracyclic and pentacyclic bacteriochlorophyll derivatives (Bchls) containing at least one, preferably two or three, negatively charged groups and/or acidic groups that are converted to negatively charged groups at the physiological pH, preferably Bchls having a group COO⁻, COS⁻, SO₃⁻, PO₃²⁻, COOH, COSH, SO₃H, and/or PO₃H₂ bound through an ester or amide bond to one or more of the positions 17³, 13³, and 3² of the tetracyclic or pentacyclic Bchl molecule, for photodynamic therapy and diagnosis.



WO 2004/045492 A3