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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
13 March 2003 (13.03.2003)

PCT

(10) International Publication Number
WO 03/020309 A2

(51) International Patent Classification[7]: A61K 41/00

(21) International Application Number: PCT/GB02/03973

(22) International Filing Date: 30 August 2002 (30.08.2002)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
0121023.6 30 August 2001 (30.08.2001) GB

(71) Applicant *(for all designated States except US)*: **THE NORWEGIAN RADIUM HOSPITAL RESEARCH FOUNDATION** [NO/NO]; Montebello, N-0310 Oslo 3 (NO).

(71) Applicant *(for US only)*: **RIMINGTON, Greta** (heiress of the deceased inventor) [GB/NO]; Glimmervn. 45, N-1555 Son (NO).

(71) Applicant *(for MG only)*: **JONES, Elizabeth** [GB/GB]; Frank B. Dehn & Co., 179 Queen Victoria Street, London EC4V 4EL (GB).

(72) Inventor: **RIMINGTON, Claude** (deceased).

(72) Inventors; and
(75) Inventors/Applicants *(for US only)*: **BERG, Kristian** [NO/NO]; Vollenvn. 158, N-1389 Heggedal (NO). **TRAN, Diem** [NO/NO]; Linderudveien 1, N-0594 Oslo (NO). **SELBO, Pål, Kristian** [NO/NO]; Fredrikke Qvams gt. 9, N-0172 Oslo (NO).

(74) Agent: **FRANK B. DEHN & CO.**; 179 Queen Victoria Street, London EC4V 4EL (GB).

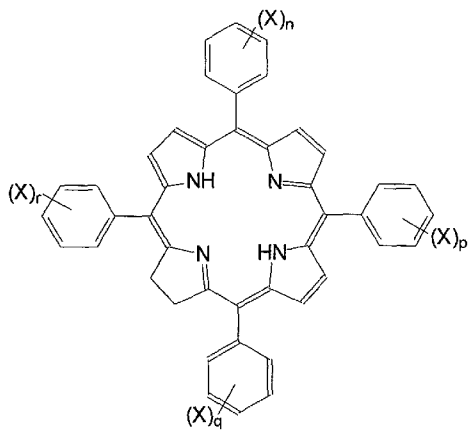
(81) Designated States *(national)*: AE, AG, AL, AM, AT (utility model), AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ (utility model), CZ, DE (utility model), DE, DK (utility model), DK, DM, DZ, EC, EE (utility model), EE, ES, FI (utility model), FI, GB, GD, GE, GH, GM, HR, HU, ID,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

(84) Designated States *(regional)*: ARIPO patent (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, IE, IT, LU, MC, NL, PT, SE, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:
— *without international search report and to be republished upon receipt of that report*

[Continued on next page]

(54) Title: COMPOUND



(I)

(57) Abstract: The present invention provides photosensitizing agents obtained by reducing a single double bond in the porphyrin macrocycle of a sulphonated meso-tetraphenylporphyrin, preferably a disulphonated meso-tetraphenylporphyrin such as $TPPS_{2a}$. The resulting sulphonated meso-tetraphenyl chlorins include compounds of formula (I) (wherein X is $-SO_3H$; n, p, q and r are each independently 0 or 1; and the sum of n, p, q and r is an integer from 1 to 4, preferably at least 2, e.g. 2 or 4) isomers and isomer mixtures thereof. The compounds of the invention and their pharmaceutically acceptable salts find particular use as photosensitizing agents in the photochemical internalization of molecules and in photodynamic therapy.

WO 03/020309 A2

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.