

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

(19) World Intellectual Property Organization
International Bureau



(43) International Publication Date
17 March 2011 (17.03.2011)

(10) International Publication Number
WO 2011/029576 A1

PCT

(51) International Patent Classification:
C07H 15/24 (2006.01)

(21) International Application Number:
PCT/EP2010/005498

(22) International Filing Date:
8 September 2010 (08.09.2010)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
09011459.6 8 September 2009 (08.09.2009) EP

(71) Applicant (for all designated States except US): **W. C. HERAEUS GMBH** [DE/DE]; Heraeusstrasse 12-14, 63450 Hanau (DE).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **KUNNARI, Tero** [FI/DE]; Ernstthof 10, 63739 Aschaffenburg (DE). **BINDERNAGEL, Holger** [DE/DE]; Röther Gasse 28, 63571 Gelnhausen (DE). **WEISER, Sascha** [DE/DE]; Taunusstr. 4, 63477 Maintal (DE). **LUPTON, Andrew** [GB/DE]; Seestr. 4, 63571 Gelnhausen (DE). **WALLERT, Stefan** [DE/DE]; Im Erlig 14, 63500 Seligenstadt (DE).

(74) Agent: **KÜHN, Hans-Christian**; Heraeus Holding GmbH, Patent Department, Heraeusstrasse 12 - 14, 63450 Hanau (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, BR, BW, BY, BZ, CA, CH, CL, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PE, PG, PH, PL, PT, RO, RS, RU, 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, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, 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, SE, SI, SK, SM, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Declarations under Rule 4.17:

— of inventorship (Rule 4.17(iv))

Published:

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

— before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments (Rule 48.2(h))

(54) Title: CRYSTALLIZATION OF 4'-EPIDAUNORUBICIN X HCl

(57) Abstract: The present invention relates to a form of crystalline 4'-epidaunorubicin hydrochloride which is stable and readily soluble, and to a process for the production thereof. This process comprises crystallizing 4'-epidaunorubicin hydrochloride in a solvent system including (a) solvent A which is selected from the group consisting of C1 and C2 halogenated solvents and mixtures thereof, (b) solvent B which is selected from the group consisting of C1 - C5 straight and branched alcohols and mixtures thereof, and (c) solvent C which is selected from the group consisting of C1 - C5 straight and branched alcohols and mixtures thereof, wherein solvent C is selected to provide lower solubility to 4'-epidaunorubicin hydrochloride than solvent B.



WO 2011/029576 A1