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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
3 February 2011 (03.02.2011)

PCT

(10) International Publication Number
WO 2011/012850 A2

(51) International Patent Classification:
A61K 47/48 (2006.01)

(21) International Application Number:
PCT/GB2010/001422

(22) International Filing Date:
26 July 2010 (26.07.2010)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
61/228,828 27 July 2009 (27.07.2009) US
61/347,136 21 May 2010 (21.05.2010) US

(71) Applicants *(for all designated States except US)*: **LIPOXEN TECHNOLOGIES LIMITED** [GB/GB]; London Bioscience Innovation Centre, 2 Royal College Street, London NW1 0NH (GB). **BAXTER INTERNATIONAL INC.** [US/US]; One Baxter Parkway, Deerfield, Illinois 60015 (US). **BAXTER HEALTHCARE S.A.** [CH/CH]; Thurgauerstrasse 130, CH-8152 Glattpark (CH).

(72) Inventors; and

(75) Inventors/Applicants *(for US only)*: **JAIN, Sanjay** [GB/GB]; London Bioscience Innovation Centre, 2 Royal College Street, London NW1 0NH1 GB (GB). **GREGORIADIS, Gregory** [CA/GB]; London Bioscience Innovation Centre, 2 Royal College Street, London NW1 0NH1 GB (GB). **DWIVEDI, Archana** [IN/GB]; London Bioscience Innovation Centre, 2 Royal College Street, London NW1 ONH1 GB (GB). **NATH, Srijit** [IN/GB]; London Bioscience Innovation Centre, 2 Royal College Street, London NW1 ONH1 GB (GB). **SIEKMANN, Juergen** [DE/AT]; Gerasdorfer Strasse 153/209, A-1210 Vienna (AT). **HAIDER, Stefan** [AT/AT]; Mozartstrasse 2, A-3385 Prinzersdorf (AT). **ROTTENSTEINER, Hanspeter** [AT/AT]; Haidgasse 10/17, A-1020 Vienna (AT). **TURECEK, Peter** [AT/AT]; Weidling, Hauptstrasse 59g, A-3400 Klosterneuburg (AT).

(74) Agent: **SCHLICH, George William**; Schlich & Co, 34 New Road, Littlehampton, West Sussex BN 17 5AT (GB).

(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.

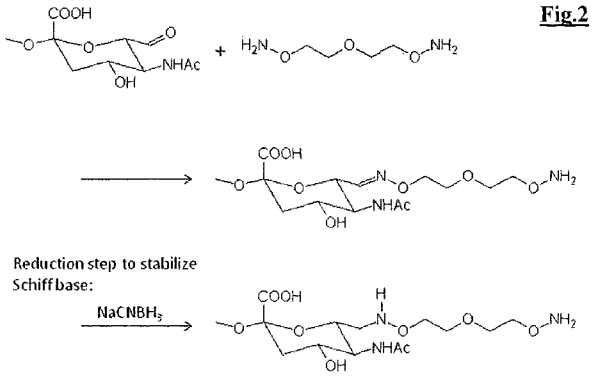
(84) Designated States *(unless otherwise indicated, for every kind of regional protection available)*: ARIPO (BW, GH, GM, KE, LR, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AL, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, 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).

Published:

— *without international search report and to be republished upon receipt of that report (Rule 48.2(g))*

(54) Title: GLYCOPOLYSIALYLATION OF NON-BLOOD COAGULATION PROTEINS

Fig.2



(57) Abstract: A water soluble polymer, in particular polysialic acid (PSA) or a modified PSA (mPSA), is conjugated to an oxidized carbohydrate moiety of a glycoprotein other than a blood coagulation protein or to a ganglioside or drug delivery system by contacting the oxidized carbohydrate moiety with the water soluble polymer, wherein said water soluble polymer contains an aminooxy group and an oxime linkage is formed between the oxidized carbohydrate moiety and the aminooxy group on the water soluble polymer or wherein said water soluble polymer contains a hydrazide group and a hydrazone linkage is formed between the oxidized carbohydrate moiety and the hydrazide group on the water soluble polymer. Conjugates of aminooxy- or hydrazide-water soluble polymer, such as PSA and mPSA, are thus obtained in which the PSA or mPSA is attached via a carbohydrate moiety.

WO 2011/012850 A2