



- (51) **International Patent Classification:**
C07H 5/02 (2006.01) *C07H 13/08* (2006.01)
C07H 13/04 (2006.01)
- (21) **International Application Number:**
PCT/US2011/061796
- (22) **International Filing Date:**
22 November 2011 (22.11.2011)
- (25) **Filing Language:** English
- (26) **Publication Language:** English
- (30) **Priority Data:**
61/416,674 23 November 2010 (23.11.2010) US
- (71) **Applicant** (for all designated States except US): **LEXINGTON PHARMACEUTICAL LABORATORIES, LLC** [US/US]; 14300 Clay Terrace Boulevard, Suite 249, Carmel, Indiana 46032 (US).
- (72) **Inventors; and**
- (75) **Inventors/Applicants** (for US only): **ERICKSON, William, Randal** [US/US]; 4608 Buckingham Court, Carmel, Indiana 46033 (US). **FIELDS, Stephen, Craig** [US/US]; 10507 Silver Ridge Circle, Fishers, Indiana 46038 (US).
- (74) **Agent:** **PILLAI, Xavier**; Leydig, Voit & Mayer, LTD., Two Prudential Plaza, Suite 4900, 180 N. Stetson Av., Chicago, Illinois 60601-6731 (US).

- (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, QA, RO, RS, RU, RW, 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, RW, 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, RS, SE, SI, SK, SM, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:

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

(54) **Title:** LOW TEMPERATURE CHLORINATION OF CARBOHYDRATES

(57) **Abstract:** Disclosed is a method of chlorinating a carbohydrate or derivative thereof, for example, a sucrose-6-ester at the 4,1', and 6' positions, with irreversible removal of HCl formed during the reaction to form the chlorinated carbohydrate or derivative thereof, for example, a 4,1',6'-trichloro-4,1',6'-trideoxy-6-*O*-ester of galactosucrose (TGS-6E). The irreversible removal of HCl can be carried out by an irreversible physical process and/or an irreversible chemical process. Sucralose, an artificial sweetener, can be prepared by deesterification of the TGS-6E. The chlorination reaction takes place at low temperatures and the desired chlorinated product is obtained in high yields and in high purities.

