

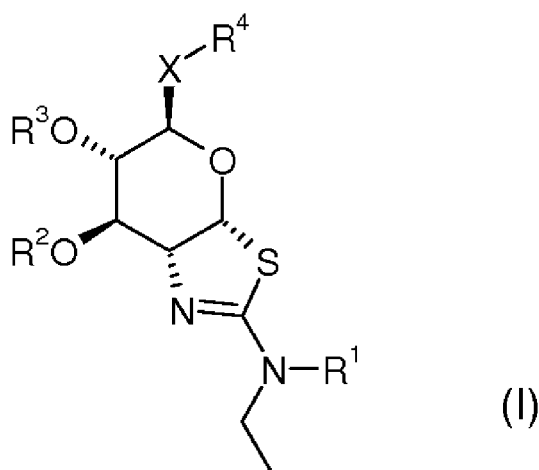


- (51) **International Patent Classification:**
C07D 513/04 (2006.01) *A61K 31/429* (2006.01)
- (21) **International Application Number:**
PCT/US2012/051785
- (22) **International Filing Date:**
22 August 2012 (22.08.2012)
- (25) **Filing Language:** English
- (26) **Publication Language:** English
- (30) **Priority Data:**
61/527,323 25 August 2011 (25.08.2011) US
- (71) **Applicant** (for all designated States except US): **MERCK PATENT GMBH** [DE/DE]; Frankfurter Strasse 250, 64293 Darmstadt (DE).
- (72) **Inventors; and**
- (75) **Inventors/Applicants** (for US only): **DONNELLY, Marieanne** [FR/US]; 123 Lowell Street, Reading, Massachusetts 01867 (US). **QIU, Hui** [CN/US]; 20 Robinwood Road, Acton, Massachusetts 01720 (US). **YU, Henry** [CA/US]; 173 Cedar Street, Wellesley, Massachusetts 02481 (US). **LIU-BUJALSKI, Lesley** [CA/US]; 75 Page Road, Unit 4, Bedford, Massachusetts 01730 (US). **GOUTOPOULOS, Andreas** [GR/US]; 73 Worcester Street, Apt. 5, Boston, Massachusetts 02118 (US).
- (74) **Agent:** **BROWN, Thomas W.**; EMD Serono Research Institute, One Technology Place, Rockland, Massachusetts 02370 (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, BN, 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, 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).

[Continued on next page]

(54) **Title:** PYRANO [3, 2 - D] [1, 3] THIAZOLE AS GLYCOSIDASE INHIBITORS

(57) **Abstract:** Novel compounds of formula (I), wherein R¹ to R⁴ and X have the meaning according to the claims, are glucosidase inhibitors, and can be employed, inter alia, for the treatment of Alzheimer's disease.





Published:

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