



(43) International Publication Date  
25 September 2014 (25.09.2014)

WIPO | PCT

(10) International Publication Number  
**WO 2014/151291 A1**

(51) International Patent Classification:

**C07D 453/02** (2006.01) **C07D 277/30** (2006.01)  
**C07D 417/06** (2006.01)

(21) International Application Number:

PCT/US2014/025384

(22) International Filing Date:

13 March 2014 (13.03.2014)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

61/791,913 15 March 2013 (15.03.2013) US

(71) Applicant: **GENZYME CORPORATION** [US/US]; 500 Kendall Street, Cambridge, Massachusetts 02142 (US).

(72) Inventors: **SIEGEL, Craig**; c/o Sanofi U.S., 55 Corporate Drive, Mail Code: 55A-505A, Bridgewater, New Jersey 08807 (US). **GIMI, Rayomand**; c/o Sanofi U.S., 55 Corporate Drive, Mail Code: 55A-505A, Bridgewater, New Jersey 08807 (US). **REARDON, Michael**; c/o Sanofi U.S., 55 Corporate Drive, Mail Code: 55A-505A, Bridgewater, New Jersey 08807 (US). **ZHAO, Jin**; c/o Sanofi U.S., 55 Corporate Drive, Mail Code: 55A-505A, Bridgewater, New Jersey 08807 (US).

(74) Agents: **SZCZEPANIK, Ann Marie** et al.; Sanofi U.S., 55 Corporate Drive, Mail Code: 55A - 505A, Bridgewater, New Jersey 08807 (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, IR, IS, JP, KE, KG, 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, PA, PE, PG, PH, PL, PT, QA, RO, RS, RU, RW, SA, 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, KM, ML, MR, NE, SN, TD, TG).

**Declarations under Rule 4.17:**

- as to the applicant's entitlement to claim the priority of the earlier application (Rule 4.17(iii))
- 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: METHOD OF PREPARING GLUCOSYLCERAMIDE SYNTHASE INHIBITORS

(57) Abstract: The invention relates to a method of preparing inhibitors of glucosylceramide synthase (GCS) useful for the treatment metabolic diseases, such as lysosomal storage diseases, either alone or in combination with enzyme replacement therapy, and for the treatment of cancer.



WO 2014/151291 A1