

(51) International Patent Classification:
C07D 239/70 (2006.01)(21) International Application Number:
PCT/US2011/001235(22) International Filing Date:
15 July 2011 (15.07.2011)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
61/399,861 19 July 2010 (19.07.2010) US(71) Applicant (for all designated States except US): **ONYX PHARMACEUTICALS, INC.** [US/US]; 249 E. Grand Ave., South San Francisco, CA 94080 (US).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **KERSCHEN, James, Alan** [US/US]; 11 Rolling Hills, Somerset, NJ 08873 (US). **BRIDGES, Alexander, James** [GB/US]; 3301 Textile Road, Saline, MI 48176 (US). **DALZIEL, Sean, Mark** [AU/US]; 400 Beale St., Apt. 1901, San Francisco, CA 94105 (US). **DAPREMONT, Olivier** [FR/US]; 3289 Cambridge Road, Cameron Park, CA 95682 (US). **KIM, Hyunjung** [KR/US]; 7143 Valeriana Ave., Citrus Heights, CA 95621 (US). **THOMPSON, Andrew, S.** [US/US]; 1144 Sawmill Road, Mountainside, NJ 07092 (US). **ZELLER, James, Robert** [US/US]; 9113 N. 116th Way, Scottsdale, AZ 85259 (US).(74) Agent: **GIOTTA, Gregory, J.**; 249 E. Grand Ave., South San Francisco, CA 94080 (US).

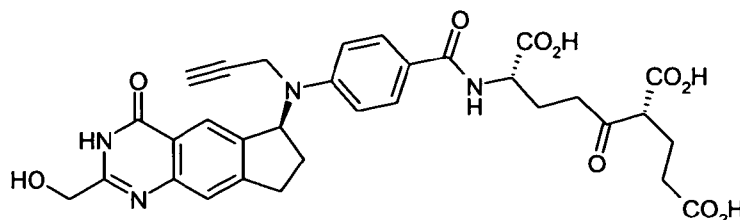
(81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CL, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, 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, 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, RS, 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: SYNTHESIS OF CYCLOPENTAQUINAZOLINES



ONX-0801

(57) Abstract: A method of producing 6-amino-cyclopenta[g]quinazolines, in enantiomerically enriched form, is provided. In particular, the method may be applicable to the synthesis of *N*-{*N*-{4- [N-((6*S*)-2-hydroxymethyl-4-oxo-3,4,7,8-tetrahydro-6*H*-cyclopenta[g]quinazolin-6-yl)-*N*-(prop-2-ynyl)amino]benzoyl}-L-γ-glutamyl}-D-glutamic acid (ONX-0801).