



- (51) **International Patent Classification:**
A61K 47/48 (2006.01) *C07D 205/08* (2006.01)
- (21) **International Application Number:**
PCT/IB2012/057142
- (22) **International Filing Date:**
10 December 2012 (10.12.2012)
- (25) **Filing Language:** English
- (26) **Publication Language:** English
- (30) **Priority Data:**
61/578,150 20 December 2011 (20.12.2011) US
- (71) **Applicant: PFIZER INC.** [US/US]; 235 East 42nd Street, New York, New York 10017 (US).
- (72) **Inventors: MAGANO, Javier;** 26 Milton Road, Quaker Hill, Connecticut 06375 (US). **MALONEY, Mark Thomas;** 10 Jean Drive, East Lyme, Connecticut 06333 (US). **MARCQ, Olivier J.;** 20 St Georges Avenue, Monroeville, New York 10950 (US). **NADKARNI, Durgesh Vasant;** 5 Jean Drive, Old Lyme, Connecticut 06371 (US). **POZZO, Mark John;** 14739 Greenleaf Valley Drive, Chesterfield, Missouri 63017 (US). **TEIXEIRA, JR., John Joseph;** 44 Whitney Road, Mystic, Connecticut 06355 (US).
- (74) **Agent: OLSON, A. Dean;** Pfizer Inc., Eastern Point Road MS8260-2141, Groton, Connecticut 06340 (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, PA, 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).

Declarations under Rule 4.17:

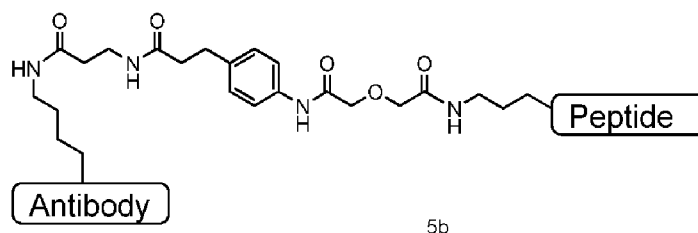
- *as to the identity of the inventor (Rule 4.17(i))*
- *as to applicant's entitlement to apply for and be granted a patent (Rule 4.17(ii))*
- *as to the applicant's entitlement to claim the priority of the earlier application (Rule 4.17(iii))*
- *of inventorship (Rule 4.17(iv))*

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))*
- *with sequence listing part of description (Rule 5.2(a))*

- (88) **Date of publication of the international search report:**
15 August 2013

(54) **Title:** IMPROVED PROCESSES FOR PREPARING PEPTIDE CONJUGATES AND LINKERS



(57) **Abstract:** The present invention provides a process for preparing a compound of Formula 5b, as well as intermediates thereof, and novel classes of compounds useful in process for preparing these and similar compounds.