(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
27 June 2013 (27.06.2013)

WIPO | PCT

(10) International Publication Number
WO 2013/093720 A2

(51) **International Patent Classification**:
*A61K 38/18* (2006.01) *A61K 38/26* (2006.01)

(21) **International Application Number**:
PCT/IB2012/057227

(22) **International Filing Date**:
12 December 2012 (12.12.2012)

(25) **Filing Language**: English

(26) **Publication Language**: English

(30) **Priority Data**:
61/579,609 22 December 2011 (22.12.2011) US
61/644,831 9 May 2012 (09.05.2012) US

(71) **Applicants**: **PFIZER INC.** [US/US]; 235 East 42nd Street, New York, New York 10017 (US). **COVX TECHNOLOGIES IRELAND LIMITED** [IE/IE]; Operations Support Group, Ringaskiddy, Co. Cork (IE).

(72) **Inventors**: **ANNATHUR, Gopinath Vedachalam**; B-1301, Block B, Ajmera Infinity Apartments, 90/1 Dodathogur, Neeladri Nagar, Electronics City Phase 1, Bangalore 560100 (IN). **BALU, Palani**; 21856 Dolores Avenue, Cupertino, California 95014 (US). **FINN, Rory Francis**; 976 Sunburst Court, Manchester, Missouri 63021 (US). **HUANG, Jie**; 4819 Riding Ridge Road, San Diego, California 92130 (US). **LAURENT, Olivier Alexandre**; 6820 Condon Drive, San Diego, California 92122 (US). **LEVIN, Nancy Jane**; 325 Via Montanosa, Encinitas, California 92024 (US). **LUKSHA, Nicholas Gary**; 30 Mark Road, North Andover, Massachusetts 01845 (US). **MARTIN, Joseph Patrick Jr**; 2118 Babler Ridge Lane, Wildwood, Missouri 63038 (US). **MOSKOWITZ, Haim**; 6159 Blue Dawn Trail, San Diego, California 92130 (US). **PALANKI, Moorthy Sitharamaiah Suriyanarayana**; 602 Crest Drive, Encinitas, California 92024 (US). **POZZO, Mark John**; 14739 Greenleaf Valley Drive, Chesterfield, Missouri 63017 (US). **WASZAK, Gregory Allan**; 909 Midpoint Drive, O'Fallon, Missouri 63366 (US). **XIE, Jin**; 423 Castle Pines Court, Ballwin, Missouri 63021 (US).

(74) **Agent**: **KLEIMAN, Gabriel L.**; Pfizer Inc., 235 East 42nd Street, New York, NY 10017 (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))*

**Published**:

— *without international search report and to be republished upon receipt of that report (Rule 48.2(g))*

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

(54) **Title**: ANTI-DIABETIC COMPOUNDS

(57) **Abstract**: The present invention provides a composition of the formula: [FGF21 -1st Linker]-[Ab]- [2nd-Linker-Ex4]; wherein FGF21 is an FGF21 homologue; and Ex4 is an Exendin4 homologue; and Ab is an aldolase catalytic antibody or antigen binding portion thereof; and the 1st linker is covalently attached to the side chain of a protein-linking residue in FGF21 and to a combining site of the antibody, and the 2nd linker is covalently attached to the side chain of a peptide-linking residue in Ex4 and to a combining site of the antibody, and wherein the first and second linker are the same or different. Various uses of the compounds are provided, including methods to prevent or treat diabetes or diabetes-related conditions.

WO 2013/093720 A2