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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
11 November 2010 (11.11.2010)

PCT

(10) International Publication Number
WO 2010/128521 A3

(51) **International Patent Classification**:
C07K 14/635 (2006.01) *A61K 38/29* (2006.01)

(21) **International Application Number**:
PCT/IN2010/000264

(22) **International Filing Date**:
26 April 2010 (26.04.2010)

(25) **Filing Language**: English

(26) **Publication Language**: English

(30) **Priority Data**:
1095/MUM/2009 24 April 2009 (24.04.2009) IN
225/MUM/2010 28 January 2010 (28.01.2010) IN

(71) **Applicant** *(for all designated States except US)*: **CADILA HEALTHCARE LIMITED** [IN/IN]; Zydus Tower, Satellite Cross Roads, Ahmedabad 380 015, Gujarat (IN).

(72) **Inventors; and**

(75) **Inventors/Applicants** *(for US only)*: **BAHEKAR, Rajesh** [IN/IN]; Cadila healthcare Limited, Zydus Tower, Satellite Cross Roads, Ahmedabad 380 015, Gujarat (IN). **JAIN, Mukul R.** [IN/IN]; Cadila healthcare Limited, Zydus Tower, Satellite Cross Roads, Ahmedabad 380 015, Gujarat (IN). **PATEL, Pankaj R.** [IN/IN]; Cadila healthcare Limited, Zydus Tower, Satellite Cross Roads, Ahmedabad 380 015, Gujarat (IN).

(74) **Agents**: **SUBRAMANIAM, Hariharan** et al.; Subramaniam, Nataraj & Associates, E-556, Greater Kailash-II, New Delhi 110 048 (IN).

(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 (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, 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 applicant's entitlement to claim the priority of the earlier application (Rule 4.17(iii))*

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))*

(88) **Date of publication of the international search report**:
10 March 2011

WO 2010/128521 A3

(54) **Title**: SHORT-CHAIN PEPTIDES AS PARATHYROID HORMONE (PTH) RECEPTOR AGONIST

(57) **Abstract**: The present invention provides novel short-chain peptides, which primarily act as parathyroid hormone (PTH/PTH-I) receptor agonist. These short-chain peptides exhibit increased stability to proteolytic cleavage. Most of short-chain peptides were found to be stable in rat plasma upto 24 hours (*in vitro*), showed increased stability against GIT enzymes such as pepsin and acidic stomach pH and also against liver microsomes (*in vitro*). Due to increased metabolic stability, other than parenteral route of administration, some of the short-chain peptides can also be delivered by oral routes of administration, for the treatment / prevention of hypoparathyroidism and diseases characterized by bone mass reduction, such as osteoporosis, postmenopausal osteoporosis and for stimulating bone repair. A-Z_1-Z_2-Z_3-Z_4-Z_5-Z_6-Z_7-Z_8-Z_9-Z_{10}-Z_{11}-Z_{12}-Z_{13}-Z_{14}-Z_{15}-B