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| <b>(51) International Patent Classification <sup>6</sup>:</b><br><b>C07K 14/635, A61K 38/29</b>                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                              | <b>A1</b> | <b>(11) International Publication Number:</b> <b>WO 96/03437</b><br><b>(43) International Publication Date:</b> 8 February 1996 (08.02.96)                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                  |
| <b>(21) International Application Number:</b> PCT/EP95/02993<br><b>(22) International Filing Date:</b> 27 July 1995 (27.07.95)<br><br><b>(30) Priority Data:</b><br>9415254.3           28 July 1994 (28.07.94)           GB<br>9415255.0           28 July 1994 (28.07.94)           GB<br><br><b>(71) Applicant (for all designated States except AT DE US):</b> SANDOZ LTD. [CH/CH]; Lichtstrasse 35, CH-4002 Basle (CH).<br><br><b>(71) Applicant (for DE only):</b> SANDOZ-PATENT-GMBH [DE/DE]; Humboldtstrasse 3, D-79539 Lörrach (DE).<br><br><b>(71) Applicant (for AT only):</b> SANDOZ-ERFINDUNGEN VERWALTUNGSGESELLSCHAFT M.B.H. [AT/AT]; Brunner Strasse 59, A-1230 Vienna (AT).<br><br><b>(72) Inventors; and</b><br><b>(75) Inventors/Applicants (for US only):</b> CARDINAUX, François [CH/CH]; Auf den Zählern 1, CH-4206 Seewen (CH). FEYEN, Jean, Honoré, M. [NL/FR]; 31, rue des Romains, F-68480 Bettlach (FR). GAMSE, Rainer [AT/CH]; Im Heimgarten 11, CH-4054 Basle (CH). GOMBERT, Frank, Otto [DE/DE]; Am Rebhang 20, D-79588 Efringen-Kirchen (DE). |           | <b>(74) Common Representative:</b> SANDOZ LTD.; Patents & Trade-mark Div., Lichtstrasse 35, CH-4002 Basle (CH).<br><br><b>(81) Designated States:</b> AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TT, UA, US, European patent (AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG), ARIPO patent (KE, MW, SD, SZ, UG).<br><br><b>Published</b><br><i>With international search report.</i><br><i>Before the expiration of the time limit for amending the claims and to be republished in the event of the receipt of amendments.</i> |
| <b>(54) Title:</b> PTH OR PTHrP ANTAGONISTS<br><br><b>(57) Abstract</b><br><p>PTH or PTHrP compounds having potent antagonistic activity at the PTH/PTHrP receptor in which at least one of the amino acid residues naturally occurring in positions 2 and 10 is replaced by tryptophane or another amino acid residue bearing an aromatic or heteroaromatic group on its side chain, and optionally at least one of the amino acid residues naturally occurring in positions 3 and 6 is further replaced by tryptophane or another amino acid residue bearing an aromatic or heteroaromatic group on its side chain, having pharmacological activity, e.g. prevention or treatment of conditions which are associated with increased plasma calcium caused by excessive release of PTH or PTHrP.</p>                                                                                                                                                                                                                                                                        |           |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                             |