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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
1 November 2001 (01.11.2001)

PCT

(10) International Publication Number
WO 01/81304 A1

(51) International Patent Classification[7]: C07D 207/16, 277/06, 295/18, 401/12, 403/12, 405/12, 409/12, 417/06, 413/12, 417/12, 471/04, A61K 31/425, A61P 5/50

(21) International Application Number: PCT/GB01/01888

(22) International Filing Date: 26 April 2001 (26.04.2001)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
0010183.2 26 April 2000 (26.04.2000) GB

(71) Applicant *(for all designated States except US)*: **FERRING BV** [NL/NL]; Polaris Avenue 144, NL-2132 JX Hoofddorp (NL).

(72) Inventors; and

(75) Inventors/Applicants *(for US only)*: **EVANS, David, Michael** [GB/GB]; 114 Adelaide Road, St. Denys, Southampton SO17 2HX (GB). **PITT, Gary, Robert, William** [GB/GB]; 18 Kingfishers, Shipton-Bellinger, Tidworth, Hampshire SP9 7US (GB).

(74) Agent: **GEERING, Keith, Edwin**; Reddie & Grose, 16 Theobalds Road, London WC1X 8PL (GB).

(81) Designated States *(national)*: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW.

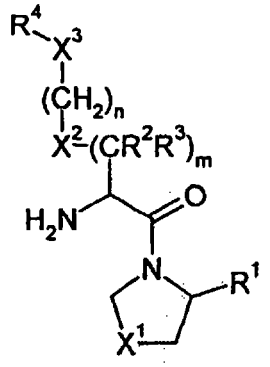
(84) Designated States *(regional)*: ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG).

Published:
— *with international search report*
— *before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments*

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

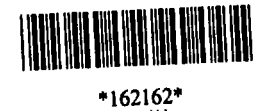
(54) Title: INHIBITORS OF DIPEPTIDYL PEPTIDASE IV

WO 01/81304 A1

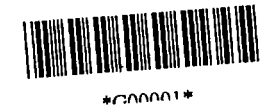


(1)

(57) Abstract: Compounds according to general formula (1), wherein R^1 is H or CN, X^1 is S, O, SO_2 or CH_2, X^2 is O, S or CH_2, X^3 is NR^5 or a carbonyl or thiocarbonyl group and R^4 is R^6R^7N, $R^8(CH_2)_qOC(=O)$, $R^8(CH_2)_qOC(=S)$, $R^6R^7NC(=O)$, $R^6R^7NC(=S)$; $R^8(CH_2)_qC(=O)$, $R^8(CH_2)_qC(=S)$ or $R^8(CH_2)_qSO_2$, m is 1-3 and n is 0-4 are new. The compounds of the invention are inhibitors of dipeptidyl peptidase IV. Pharmaceutical compositions of the compounds of the invention, or pharmaceutically acceptable salts thereof, are useful in the treatment of,*inter alia*, type 2 diabetes.



162162



G00001