

(19) World Intellectual Property Organization
International Bureau(43) International Publication Date
20 April 2006 (20.04.2006)

PCT

(10) International Publication Number
WO 2006/040625 A1

(51) International Patent Classification:

C07D 207/16 (2006.01) **C07C 271/24** (2006.01)
A61K 31/4015 (2006.01) **C07C 309/66** (2006.01)
A61K 31/4025 (2006.01) **C07C 237/24** (2006.01)
C07D 207/32 (2006.01) **C07C 255/46** (2006.01)
C07D 207/34 (2006.01) **C07C 255/31** (2006.01)
C07D 401/12 (2006.01) **C07C 259/08** (2006.01)
C07D 403/12 (2006.01) **C07C 275/28** (2006.01)
C07D 417/12 (2006.01)

Vashi, Navi Mumbai 400 705 (IN). **GOPALAN, Bala-subramanian** [IN/IN]; Flat No. 54 Block B-3, Mahavir Shikar, L.B.S. Marg, Mulund (West), Mumbai 400 080 (IN). **LINGAM, Prasada, Rao, V., S.** [IN/IN]; Flat No. 101, Enkay Square Co-operative Housing Society Ltd., Plot No. 21, Sector 6, Koparkharine, Navi Mumbai 400 709 (IN). **SHAH, Daisy, Manish** [IN/IN]; Padmashree Building, 1st Floor, Block 4, Anant Patil Marg, Dadar (W), Mumbai 400 028 (IN).

(21) International Application Number:

PCT/IB2005/002204

(22) International Filing Date: 26 July 2005 (26.07.2005)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:

60/618,102	12 October 2004 (12.10.2004)	US
1096/MUM/2004	14 October 2004 (14.10.2004)	IN
60/635,266	10 December 2004 (10.12.2004)	US
1332/MUM/2004	14 December 2004 (14.12.2004)	IN

(71) Applicant (for all designated States except US): **GLEN-MARK PHARMACEUTICALS S.A.** [CH/CH]; Swisscom Building, Maladiere, 23, CH-2000 Neuchâtel (CH).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **THOMAS, Abraham** [IN/IN]; 303, Kavita Apartments, 3rd Floor, Equity Cooperative Housing Society, Plot No. 35, Sector 29,

(81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

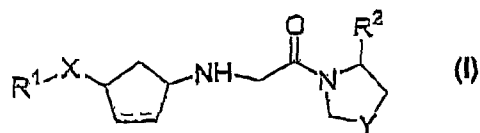
(84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:

— with international search report

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: NOVEL DIPEPTIDYL PEPTIDASE IV INHIBITORS, PHARMACEUTICAL COMPOSITIONS CONTAINING THEM, AND PROCESS FOR THEIR PREPARATION



(57) Abstract: The present invention relates to novel compounds useful as dipeptidyl peptidase IV (DPP-IV) inhibitors of the formula: (I) wherein Y is -S(O)_m, -CH₂-, CHF-, or -CF₂; m is 0, 1, or 2; X is a bond, C₁-C₅ alkyl (e.g., -CH₂-), or -C(=O)-; the dotted line [---] in the carbocyclic ring represents an optional double bond; R¹ is substituted or unsubstituted cycloalkyl, substituted or unsubstituted cycloalkylalkyl, substituted or unsubstituted cycloalkenyl, substituted or unsubstituted aryl, substituted or

unsubstituted arylalkyl, substituted or unsubstituted heteroaryl, substituted or unsubstituted heterocyclic ring, substituted or unsubstituted heterocyclylalkyl, substituted or unsubstituted heteroarylalkyl, CN, -COOR³, CONR³R⁴, -OR³, -NR³R⁴, or NR³COR³; R² is hydrogen, cyano, COOH, or an isostere of a carboxylic acid (such as SO₃H, CONOH, B(OH)₂, PO₃R³R⁴, SO₂NR³R⁴, tetrazole, -COOR³, -CONR³R⁴, NR³COR⁴, or -COOCOR³).