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(54) Title: A PREPARATION METHOD OF SITAGLIPTIN

(57) Abstract: The present invention relates to a preparation method of sitagliptin, and more particularly, to a method of preparing sitagliptin using L-aspartic acid having a (R)-beta amino acid structure by mild amide formation, by the use of industrially applicable halo isopropylmagnesium, and by removal of amine protecting group using Pd/C and H₂ and carbonyl reduction using reducing agent.



A. CLASSIFICATION OF SUBJECT MATTER*C07D 487/04(2006.01)i, A61K 31/4985(2006.01)i, A61P 3/10(2006.01)i*

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

C07D 487/04; C07D 487/02

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Korean utility models and applications for utility models

Japanese utility models and applications for utility models

Electronic data base consulted during the international search (name of data base and, where practicable, search terms used)

eKOMPASS(KIPO internal), STN(Registry, CAplus), Pubmed and Keywords: "sitagliptin", "aspartic acid", "preparation", "synthesis", "method", "triazole[4,3-a]pyrazine", "isopropylmagnesium"

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	SIBRIAN-VAZQUEZ, MARTHA et al. Improving the Strategy and Performance of Molecularly Imprinted Polymers Using Cross-Linking Functional Monomers, J. Org. Chem. 2003, Vol. 68, pp. 9604-9611	12
A	See abstract; compound 12	1-11, 13
X	SHAHRIPOUR, AURASH B. et al. Structure-Based Design of Caspase-1 Inhibitor Containing a Diphenyl Ether Sulfonamide, Bioorganic & Medicinal Chemistry Letters. 2001, Vol. 11, pp. 2779-2782	12
A	See abstract; compound 21	1-11, 13
X	SHAHRIPOUR, AURASH B. et al. Structure-Based Design of Nonpeptide Inhibitors of Interleukin-1 Converting Enzyme (ICE, Caspase-1), Bioorganic & Medicinal Chemistry. 2002, Vol. 10, pp. 31-40	12
A	See abstract; compound V	1-11, 13



Further documents are listed in the continuation of Box C.



See patent family annex.

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C (Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT		
Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	LIANG, GUI-BAI et al. Discovery of new binding elements in DPP-4 inhibition and their applications in novel DPP-4 inhibitor design, Bioorganic & Medicinal Chemistry Letters. 2008, Vol. 18, pp. 3706-3710 See abstract; p3706, right column, 2nd paragraph; figure 1; scheme 1; compound 16	1-13
A	KR 10-2011-0043288 A (HANMI HOLDINGS CO. LTD.) 27 April 2011 See the whole document	1-13
A	Tsai, Ting-Yueh et al. Rational design and synthesis of potent and long-lasting glutamic acid-based dipeptidyl peptidase IV inhibitors, Bioorganic & Medicinal Chemistry Letters. 2009, Vol. 19, pp. 1908-1912 See the whole document	1-13

INTERNATIONAL SEARCH REPORT

Information on patent family members

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