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**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:
5 November 2015

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(54) Title: EXPEDIENT SYNTHESIS OF SITAGLIPTIN

(57) Abstract: Novel intermediates are disclosed as intermediates for preparation of a Sitagliptin. A novel synthetic method to prepare Sitagliptin using the said intermediates is also disclosed. The process comprises the steps of: A1) providing an intermediate compound and A2) reacting the intermediate compound with a Michael donor to obtain the intermediate compound.

# INTERNATIONAL SEARCH REPORT

International application No.
PCT/US2015/014548

A. CLASSIFICATION OF SUBJECT MATTER
IPC(8) - C07D 487/04 (2015.01)
CPC - C07D 487/04 (2015.04)
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)
IPC(8) - C07C 229/34; C07D 295/185, 487/00, 487/04 (2015.01)
CPC - C07C 229/34; C07D 295/185, 487/00, 487/04 (2015.04) (keyword delimited)

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched
USPC - 544/350 (keyword delimited)

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

Orbit, Google Patents, Google, STN, PubChem, SureChem.
Search terms used: sitagliptin, michael donor, synthesis.

C. DOCUMENTS CONSIDERED TO BE RELEVANT

| Category* | Citation of document, with indication, where appropriate, of the relevant passages | Relevant to claim No. |
|---|---|---|
| X | WO 2004/085661 A2 (MERCK & CO INC) 07 October 2004 (07.10.2004) entire document | 91, 92, 96, 98, 99, 106, 113-115 |
| A | WO 2011/060213 A2 (DR REDDY'S LABORATORIES LTD et al) 19 May 2011 (19.05.2011) entire document | 1-45, 66, 91, 92, 96, 98-100, 102, 103, 106-152 |
| A | CN 103319487 A (2Y CHEMICAL) 25 September 2013 (25.09.2013), see machine translation | 1-45, 66, 91, 92, 96, 98-100, 102, 103, 106-152 |
| A | WO 2010/122578 A2 (MSN LABORATORIES LIMITED) 28 October 2010 (28.10.2010) entire document | 1-45, 66, 91, 92, 96, 98-100, 102, 103, 106-152 |
| A | WO 2010/032264 A3 (CADILA HEALTHCARE LIMITED) 25 March 2010 (25.03.2010) entire document | 1-45, 66, 91, 92, 96, 98-100, 102, 103, 106-152 |

☐ Further documents are listed in the continuation of Box C. ☐

* Special categories of cited documents:
"A" document defining the general state of the art which is not considered to be of particular relevance
"E" earlier application or patent but published on or after the international filing date
"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
"O" document referring to an oral disclosure, use, exhibition or other means
"P" document published prior to the international filing date but later than the priority date claimed
"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art
"&" document member of the same patent family

| Date of the actual completion of the international search | Date of mailing of the international search report |
|---|---|
| 09 April 2015 | 08 SEP 2015 |
| Name and mailing address of the ISA/US<br>Mail Stop PCT, Attn: ISA/US, Commissioner for Patents<br>P.O. Box 1450, Alexandria, Virginia 22313-1450<br>Facsimile No. 571-273-3201 | Authorized officer:<br>Blaine R. Copenheaver<br>PCT Helpdesk: 571-272-4300<br>PCT OSP: 571-272-7774 |

Form PCT/ISA/210 (second sheet) (July 2009)

# INTERNATIONAL SEARCH REPORT

International application No.
PCT/US2015/014548

**Box No. II Observations where certain claims were found unsearchable (Continuation of item 2 of first sheet)**

This international search report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☐ Claims Nos.:
because they relate to subject matter not required to be searched by this Authority, namely:

2. ☐ Claims Nos.:
because they relate to parts of the international application that do not comply with the prescribed requirements to such an extent that no meaningful international search can be carried out, specifically:

3. ☒ Claims Nos.: 46-65, 67-90, 93-95, 97, 101, 104, 105
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

**Box No. III Observations where unity of invention is lacking (Continuation of item 3 of first sheet)**

This International Searching Authority found multiple inventions in this international application, as follows:

1. ☐ As all required additional search fees were timely paid by the applicant, this international search report covers all searchable claims.

2. ☐ As all searchable claims could be searched without effort justifying additional fees, this Authority did not invite payment of additional fees.

3. ☐ As only some of the required additional search fees were timely paid by the applicant, this international search report covers only those claims for which fees were paid, specifically claims Nos.:

4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this international search report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

**Remark on Protest**

☐ The additional search fees were accompanied by the applicant's protest and, where applicable, the payment of a protest fee.

☐ The additional search fees were accompanied by the applicant's protest but the applicable protest fee was not paid within the time limit specified in the invitation.

☐ No protest accompanied the payment of additional search fees.