

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

(19) World Intellectual Property Organization
International Bureau



(43) International Publication Date
4 June 2009 (04.06.2009)

(10) International Publication Number
WO 2009/070314 A3

PCT

(51) International Patent Classification:
C07D 487/04 (2006.01) *A61P 3/10* (2006.01)
A61K 31/4985 (2006.01)

(21) International Application Number:
PCT/US2008/013174

(22) International Filing Date:
25 November 2008 (25.11.2008)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
61/004,383 26 November 2007 (26.11.2007) US
61/134,878 14 July 2008 (14.07.2008) US
61/135,005 15 July 2008 (15.07.2008) US

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(81) Designated States (unless otherwise indicated, for every
kind of national protection available): AE, AG, AL, AM,
AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ,
CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ,
EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN,
HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR,
KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME,
MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO,
NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG,
SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA,
UG, US, UZ, VC, VN, 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, HR, HU, IE, IS, IT, LT, LU, LV,
MC, MT, NL, NO, 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 (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:
24 September 2009

(54) Title: CRYSTALLINE FORM OF SITAGLIPTIN

(57) Abstract: A Sitagliptin crystalline form characterized by PXRD pattern having any 5 peaks selected from the group consist-
ing of 7.4, 11.5, 16.7, 17.7, 18.9, 24.1, 24.5, 27.0, 28.5 and 28.8 ± 0.2 degrees 2-theta, wherein any combination of peaks selected
includes the peak at 7.4 ± 0.2 degrees two theta, processes for preparing said Sitagliptin crystalline form, and pharmaceutical com-
positions thereof, are provided.



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INTERNATIONAL SEARCH REPORT

International application No

PCT/US2008/013174

A. CLASSIFICATION OF SUBJECT MATTER

INV. C07D487/04 A61K31/4985 A61P3/10

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 A61K A61P

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

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

EPO-Internal, BEILSTEIN Data, CHEM ABS Data, WPI Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	WO 2004/085661 A (MERCK & CO INC [US]; DREHER SPENCER D [US]; IKEMOTO NORIHIRO [US]; NJO) 7 October 2004 (2004-10-07) cited in the application page 2, lines 22-24 page 17	1-9, 11-25, 27-31, 33-35
A	WO 2005/030127 A (MERCK & CO INC [US]; CHEN ALEX M [US]; WENSLOW ROBERT M [US]) 7 April 2005 (2005-04-07) page 11	1-9, 11-25, 27-31, 33-35

☐

Further documents are listed in the continuation of Box C.

☒

See patent family annex.

* 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 document 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

10 March 2009

Date of mailing of the international search report

07/08/2009

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INTERNATIONAL SEARCH REPORT

International application No.
PCT/US2008/013174

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.:
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:

see additional sheet

1. ☐ As all required additional search fees were timely paid by the applicant, this international search report covers allsearchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an 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 reportcovers 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.:

see annex

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.

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

This International Searching Authority found multiple (groups of) inventions in this international application, as follows:

1. claims: 7, 11-25, 27-31, 33-35 (in part), 1-6, 8-9 (full)

a process to prepare crystalline form I comprising crystallisation from a protic solvent or mixtures thereof selected from ethanol, isopropanol, 1-propanol, propylene glycol monomethylether, water

2. claims: 7, 11-31, 33-35 (in part), 10 (full)

a process to prepare crystalline form I comprising crystallisation from an aprotic solvent or mixtures selected from: tetrahydrofurane, dimethyl carbonate, dioxane, cyclopentyl methyl ether, dimethylformamide, isopropyl acetate, C5-C10 saturated hydrocarbon, n-hexane, cyclohexane, methyl ethyl ketone, methyl isobutyl ketone, ethyl acetate

3. claims: 7, 11-31, 33-35 (in part), 32 (full)

a process to prepare crystalline form I comprising crystallisation from a mixture of protic and aprotic solvents with the groups of protic and aprotic solvents as specified above

4. claims: 36-39

a pharmaceutical composition comprising crystalline form I and the medical use

INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No

PCT/US2008/013174

Patent document cited in search report		Publication date	Patent family member(s)	Publication date
WO 2004085661	A	07-10-2004	NONE	
WO 2005030127	A	07-04-2005	EP 1667524 A2	14-06-2006