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Published:

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16 September 2010

(54) Title: PREPARATION OF RASAGILINE AND SALTS THEREOF

(57) Abstract: The present invention relates to processes for the preparation of rasagiline mesylate. Also provided is rasagiline mesylate having 90 volume percent of the particles (D₉₀) with sizes less than about 6 µm and processes for the preparation thereof.



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INTERNATIONAL SEARCH REPORT

International application No.
PCT/US2009/065279**A. CLASSIFICATION OF SUBJECT MATTER***C07C 209/28(2006.01)i, C07C 249/02(2006.01)i, C07C 211/42(2006.01)i, C07C 251/20(2006.01)i, A61K 31/135(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)

C07C 209/28; A61K 31/135; A61K 31/136; A61K 31/205; A61K 31/21; A61K 9/14; A61K 9/20; C07C 211/00; C07C 211/42; C07C 309/04

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 practicable, search terms used)
eKOMPASS(KIPO internal) & Keywords: rasagiline, mesylate, particule**C. DOCUMENTS CONSIDERED TO BE RELEVANT**

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
PA PX	WO 2009-118657 A2 (MEDICHEM, S.A. et al.) 01 October 2009 See the abstract; the examples; and the claims.	1-14 15
PA PX	WO 2009-122301 A2 (ACTAVIS GROUP PTC EHF et al.) 08 October 2009 See the abstract; and the claims.	10-14 9, 15
A X	US 2008-0161408 A1 (FRENKEL ANTON et al.) 03 July 2008 See the abstract; example 1; and the claims.	1-14 15
A X	US 2006-0188581 A1 (Tirza Berger Peskin) 24 August 2006 See the abstract; and the claims.	9-14 15
X	JP 2008-521808 A (TEVA PHARMACEUTICAL INDUSTRIES, LTD. etc.) 26 June 2008 See the claims.	15
A	US 7375249 B2 (BOULTON LEE TERENCE et al.) 20 May 2008 See the abstract; and the claims.	1-8

 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 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 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

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Name and mailing address of the ISA/KR

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INTERNATIONAL SEARCH REPORT

International application No.

PCT/US2009/065279**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:

This ISA found multiple inventions follows:

Group I, claims 1-8, 15, is directed to a process for preparing rasagiline or a pharmaceutically acceptable salt thereof and rasagiline mesylate prepared by a process of claim 1.

Group II, claims 9-14, is directed to a rasagiline mesylate particles having a particle size distribution with D90 less than or equal to about 6 μm , and a process for preparing the same.

The only common technical feature between Group I and II is an inclusion of rasagiline mesylate. However rasagiline mesylate is an already known substance before the priority date of the present invention as the Applicant recognized in the specification. Thus there is no technical relationship left over the prior art among the claimed inventions, leaving the claims without a single general inventive concept.

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 an additional fee, this Authority did not invite payment of any additional fee.
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.

INTERNATIONAL SEARCH REPORT

International application No.

PCT/US2009/065279

C (Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT		
Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	US 2007-0112217 A1 (ANTON FRENKEL et al.) 17 May 2007 See the abstract; and the claims.	1-8
A	US 5457133 A1 (YUDIM; MOUSSA B. H. et al.) 10 October 1995 See the abstract; and the claims.	1-8

INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No.

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INTERNATIONAL SEARCH REPORT

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International application No.

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