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AO, AT, AU, AZ, BA, BB, BG, BH, BN, BR, BW, BY, BZ, CA, CH, CL, 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, IR, IS, JP, KE, KG, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PA, PE, PG, PH, PL, PT, QA, RO, RS, RU, RW, SA, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TH, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW.

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- (81) **Designated States** (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM,

(54) **Title:** A PROCESS FOR THE PREPARATION OF ISAVUCONAZONIUM OR ITS SALT THEREOF

(57) **Abstract:** The present invention relates to a process for the preparation of stable Isavuconazonium or its salt thereof. In particular of the present invention relates to process for the preparing of isavuconazonium sulfate, Isavuconazonium iodide hydrochloride and Boc-protected isavuconazonium iodide has purity more than 90%. The process is directed to preparation of solid amorphous form of isavuconazonium sulfate, isavuconazonium iodide hydrochloride and Boc-protected isavuconazonium iodide. The present invention process of Isavuconazonium or its salt thereof is industrially feasible, simple and cost effective to manufacture of isavuconazonium sulfate with the higher purity and better yield.



**INTERNATIONAL SEARCH REPORT**

International application No  
PCT/IB2015/055511

**A. CLASSIFICATION OF SUBJECT MATTER**  
INV. C07D417/14  
ADD.  
  
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

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)  
EPO-Internal, 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.
X	US 6 812 238 B1 (FUKUDA HIROSHI [JP] ET AL) 2 November 2004 (2004-11-02) cited in the application scheme 7, p.25-28; column 13, lines 47-51; example 7 -----	1-5

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 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  
  
9 October 2015

Date of mailing of the international search report  
  
20/01/2016

Name and mailing address of the ISA/  
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Authorized officer  
  
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# INTERNATIONAL SEARCH REPORT

International application No.  
PCT/IB2015/055511

## 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 all searchable 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 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.:

1-5

### 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: 1-5

solid amorphous form of isavuconazonium sulfate and process for its preparation.

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2. claims: 6-15

solid amorphous form of isavuconazonium iodide hydrochloride and process for its preparation from the solid amorphous Boc-isaconazonium iodide.

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# INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No

PCT/IB2015/055511

Patent document cited in search report	Publication date	Patent family member(s)	Publication date	
US 6812238	B1	02-11-2004	US 6812238 B1	02-11-2004
			US 2005038038 A1	17-02-2005
			US 2005038075 A1	17-02-2005
			US 2007027322 A1	01-02-2007
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