Abstract: The present invention relates to an industrially advantageous process for the preparation of tetrahydrofuran antifungals preferably posaconazole of formula I. The present invention further relates to improved processes for preparing key and novel intermediates useful in the preparation of posaconazole. The present invention further relates to improved processes for preparing the compound of formula II, a key intermediate in the preparation of posaconazole.
A. CLASSIFICATION OF SUBJECT MATTER
IPC*: C07D 405/14 (2006.01)
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*: 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: EPODOC, WPI; STN: REG, CAPLUS

C. DOCUMENTS CONSIDERED TO BE RELEVANT

<table>
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<tr>
<th>Category*</th>
<th>Citation of document, with indication, where appropriate, of the relevant passages</th>
<th>Relevant to claim No.</th>
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☐ Further documents are listed in the continuation of Box C. ☒ See patent family annex.

* Special categories of cited documents:
“D” 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 28 March 2011 (28.03.2011)
Date of mailing of the international search report 06 April 2011 (06.04.2011)

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Form PCT/ISA/210 (second sheet) (January 2004)
Continuation of first sheet

Continuation 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:

a) Process for the preparation of posaconazole (claims 1-5)
b) Process for the preparation of intermediate of posaconazole of formula (II), intermediates of formulae VI, VII, IX (claims 6-14)
c) Process for the preparation of posaconazole (claims 15-25)
d) Process for the preparation of posaconazole from intermediate of formula XVII (claims 26-28)

The only common technical feature among inventions a)-d) is posaconazole. However, this feature is known, therefore it cannot serve as a special technical feature that would link inventions a)-d) together.

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
<table>
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Form PCT/ISA/210 (patent family annex) (July 1998; reprint January 2004)