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C07D 413/06 (2006.01) **A61K 31/4439** (2006.01)
C07D 403/06 (2006.01) **A61K 31/497** (2006.01)
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[Continued on next page]

(54) Title: INDAZOLE DERIVATIVES AND USES THEREOF

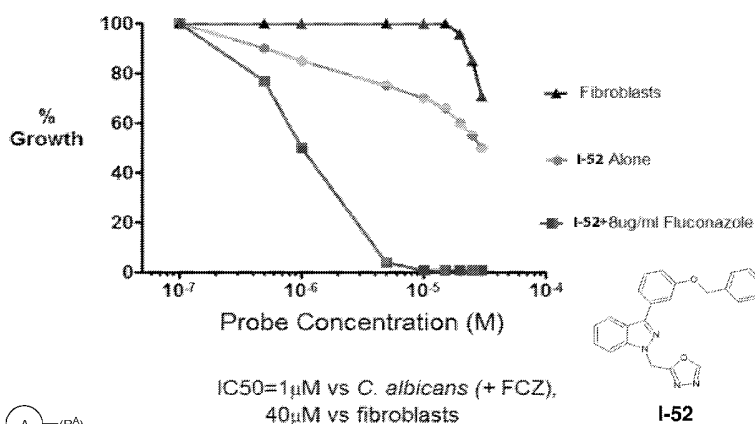


Figure 9

(57) Abstract: The present invention provides novel compounds (e.g., compounds of Formula (I)), and pharmaceutically acceptable salts, solvates, hydrates, polymorphs, co-crystals, tautomers, stereoisomers, isotopically labeled derivatives, prodrugs, and compositions thereof. Also provided are methods and kits comprising the inventive compounds, or compositions thereof, for treating and/or preventing a fungal or protozoan infection, inhibiting the activity of a fungal or protozoan enzyme, killing a fungus or protozoon, or inhibiting the growth of a fungus or protozoon. The fungus may be a *Candida* species, *Aspergillus* species, or other pathogenic fungal species. The compounds of the invention may inhibit the activity of fungal or protozoan cytochrome b and/or fungal or protozoan Hsp90. The present invention also provides synthetic methods of the inventive compounds.



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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SM, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, KM, ML, MR, NE, SN, TD, TG).

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INTERNATIONAL SEARCH REPORT

International application No.

PCT/US 2013/061508

A. CLASSIFICATION OF SUBJECT MATTER

(see extra sheet)

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 231/56, 409/04, 401/04, 413/06, 403/06, 401/06, 417/06, A61K 31/416, 31/422, 31/4245, 31/427, 31/4439, 31/497, 31/4192, A61P 3/10

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)

PatSearch (RUPTO internal), USPTO, Patentscope, Espacenet, STN, ChemDplus, PubChem

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	HARTLAND, Cathy L. et al. Identification of small molecules that selectively inhibit fluconazole-resistant <i>Candida albicans</i> in the presence of fluconazole but not in its absence – Probe 2. Author Information, December 12, 2011 [online] [Retrieved 17.01.2014] Retrieved from the Internet: <URL: http://www.ncbi.nlm.nih.gov/books/NBK98920/ >, especially, Tables 1-3, 5	1-4, 6-8, 10, 18-25, 27-35, 43-45, 48-76 77-80
Y		
X	BioAssay: AID504504 “Antifungal Drug Resistance - Resistant Strain Measured in Microorganism System Using Plate Reader-2037-02_Inhibitor_Dose_DryPowder_Activity_Set10” PubChem BioAssay Summary, Deposit Date 2011-03-21, Modify Date 2011-03-13 [online][Retrieved 30.01.2014] Retrieved from the Internet: <URL: http://pubchem.ncbi.nlm.nih.gov/assay/assay.cgi?aid=504504 >	1-4, 6-7, 12, 14-29, 32-33, 36, 38-41, 43-45, 47-74, 76 77-80
Y		



Further documents are listed in the continuation of Box C.



See patent family annex.

* Special categories of cited documents:	“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
“A” document defining the general state of the art which is not considered to be of particular relevance	“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
“E” earlier document but published on or after the international filing date	“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
“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)	“&” document member of the same patent family
“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	

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INTERNATIONAL SEARCH REPORT

International application No.

PCT/US 2013/061508

C (Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	SPINKS, Daniel et al. Design, Synthesis and Biological Evaluation of <i>Trypanosoma brucei</i> Trypanothione Synthetase Inhibitors. ChemMedChem, 2012, 7(1), 95-106, especially, Tables 8-11, preparation of compounds in series 3 on pp. 103-106	1-4, 6, 15, 18-30, 32-33, 36, 38-41, 43-45, 47, 48, 50-76, 77-80
Y		
X	CID 53245464. Compound Summary. Create Date 2011-07-11 [online] [Retrieved 05.02.2014] Retrieved from the Internet: <URL: http://pubchem.ncbi.nlm.nih.gov/summary/summary.cgi?cid=53245464 >	1-3, 5, 20-23, 25, 29-30, 32-33, 42, 44, 45
X	CID 53245478. Compound Summary. Create Date 2011-07-11 [online] [Retrieved 05.02.2014] Retrieved from the Internet: <URL: http://pubchem.ncbi.nlm.nih.gov/summary/summary.cgi?cid=53245478 >	1-3, 5, 20-23, 25, 29-30, 32-33, 42, 44, 45
X	CID 53245458. Compound Summary. Create Date 2011-07-11 [online] [Retrieved 05.02.2014] Retrieved from the Internet: <URL: http://pubchem.ncbi.nlm.nih.gov/summary/summary.cgi?cid=53245458 >	1-3, 5, 20-23, 25, 29-30, 32-33, 42, 44, 45
X	CID 53245471. Compound Summary. Create Date 2011-07-11 [online] [Retrieved 06.02.2014] Retrieved from the Internet: <URL: http://pubchem.ncbi.nlm.nih.gov/summary/summary.cgi?cid=53245471 >	1-3, 5, 20-23, 25, 29-30, 32-33, 42, 44, 47
X	US 642068 B1 (BAYER AKTIENGESELLSCHAFT) 08.10.2002, examples of concrete embodiments	1, 7, 9, 10, 12-16, 19-21, 23, 25, 29-30
X	CID 53245485. Compound Summary. Create Date 2011-07-11 [online] [Retrieved 16.01.2014] Retrieved from the Internet: <URL: http://pubchem.ncbi.nlm.nih.gov/summary/summary.cgi?cid=53245485 >	1-4, 19-23, 25, 29-30, 36, 38-41, 44, 47, 49
X	CID 53245469. Compound Summary. Create Date 2011-07-11 [online] [Retrieved 27.01.2014] Retrieved from the Internet: <URL: http://pubchem.ncbi.nlm.nih.gov/summary/summary.cgi?cid=53245469 >	1-3, 6, 18, 29-30, 36, 38, 39, 43, 49
X	CID 49835841. Compound Summary. Create Date 2011-07-11 [online] [Retrieved 27.01.2014] Retrieved from the Internet: <URL: http://pubchem.ncbi.nlm.nih.gov/summary/summary.cgi?cid=49835841 >	1-3, 6, 18, 29-30, 36, 38, 39, 43, 49
X	CID 53245479. Compound Summary. Create Date 2011-07-11 [online] [Retrieved 27.01.2014] Retrieved from the Internet: <URL: http://pubchem.ncbi.nlm.nih.gov/summary/summary.cgi?cid=53245479 >	1-3, 6, 18, 29-30, 36, 38, 39, 43, 49
X	CID 49868638. Compound Summary. Create Date 2011-02-18 [online] [Retrieved 22.01.2014] Retrieved from the Internet: <URL: http://pubchem.ncbi.nlm.nih.gov/summary/summary.cgi?cid=49868638 >	1, 7-9, 18, 23, 25, 29-30, 32, 33, 48
Y	WO 2012/021982 A1 (METHYGENE INC) 20.08.2012, abstract, pp. 45-47, paragraphs [000182]-[000183]	77-80

INTERNATIONAL SEARCH REPORT

International application No.

PCT/US 2013/061508

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.: 1-10 (all partially), 11 (completely), 12-47, 50-80 (all partially)
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:

Claim 1 of the present application refers to an extreme large number of possible compounds, and a meaningful search over the whole breadth of the claims is impossible. Moreover, support and disclosure in the sense of Articles 5 and 6 is to be found for a very small proportion of the compounds claimed. Consequently, the search was restricted to those claimed compounds, which appear to be supported, i.e. indazole derivatives, wherein A is a phenyl ring or a heteroaryl ring as defined in dependent claims 9-10, 13-15, and azaindazole derivatives as defined in dependent claim 26, wherein A is a phenyl ring. Dependent claim 11 is not supported at all, since the description lacks data on the preparation and properties of compounds defined in this claim, and therefore said claim was not searched.
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:

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.

INTERNATIONAL SEARCH REPORT
Classification of subject matter

International application No.

PCT/US 2013/061508

C07D 231/56 (2006.01)
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C07D 417/06 (2006.01)
A61K 31/416 (2006.01)
A61K 31/422 (2006.01)
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A61P 31/10 (2006.01)