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Declarations under Rule 4.17:

— as to applicant's entitlement to apply for and be granted a patent (Rule 4.17(ii))

Published:

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— 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:

19 November 2009

(54) Title: 5-FLUORO PYRIMIDINE DERIVATIVES AS FUNGICIDES

(57) Abstract: This present disclosure is related to the field of 5-fluoro pyrimidines and their derivatives and to the use of these compounds as fungicides.



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INTERNATIONAL SEARCH REPORT

International application No
PCT/US2009/031683

A. CLASSIFICATION OF SUBJECT MATTER

INV. C07D239/47 C07D401/12 C07D403/12 C07D405/12 C07D409/12
C07D413/12 C07D417/12 A01N43/54 A01N43/78 A01P3/00

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 A01N A01P

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, CHEM ABS Data, WPI Data, BEILSTEIN Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	EP 0 139 613 A (CIBA GEIGY AG [CH]) 2 May 1985 (1985-05-02) page 12, paragraphs 3,4; claims 1,4,7,9,11,12; table 5; compounds 5.6, 5.51	1,23-33
X	EP 0 332 579 A (CIBA GEIGY AG [CH]) 13 September 1989 (1989-09-13) abstract; claims 3,10,11,21-24; table 1; compounds 1.77, 1.89, 1.97	1,23-33
X	US 3 868 373 A (HOFFER MAX) 25 February 1975 (1975-02-25) claims 1,2; example 2	1,23-33
X	GB 1 461 184 A (HOFFMANN LA ROCHE) 13 January 1977 (1977-01-13) claim 1	1,23-30

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☒ 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

14 April 2009

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30/09/2009

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INTERNATIONAL SEARCH REPORT

International application No

PCT/US2009/031683

C(Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	JAWORSKI, ANDRZEJ ET AL: "Infrared spectra and tautomerism of 5-fluorocytosine, 5-bromocytosine and 5-iodocytosine. Matrix isolation and theoretical ab initio studies" JOURNAL OF MOLECULAR STRUCTURE , 223, 63-92 CODEN: JMOSB4; ISSN: 0022-2860, 1990, XP002523451 compound 5FC	1,23-30
X	----- BIRESSI M GABRIELLA ET AL: "Some 5-fluorosulfanilamidopyrimidines" GAZZETTA CHIMICA ITALIANA, SOCIETA CHIMICA ITALIANA, ROME, IT, vol. 93, no. 10, 1 January 1963 (1963-01-01), pages 1268-1278, XP009115256 ISSN: 0016-5603 compound XIV -----	1,23-30

INTERNATIONAL SEARCH REPORT

International application No.
PCT/US2009/031683

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 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.:

see additional sheet

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: 23-30 (each complete); 1, 31-33 (each partial)

compounds (and subject-matter referring to these compounds) of formula (I), wherein $R_1 = NH_2$ ($R_3 = R_4 = H$)

2. claims: 1-11, 31-33 (each partial)

compounds (and subject-matter referring to these compounds) of formula (I), wherein $R_1 = NR_3R_4$ and (a) either $R_3 =$ alkyl, alkenyl, alkynyl, $(CHR_{22})_{mR_{37}}$, $(CHR_{24})_{mOR_{29}}$ and $R_4 = H$ or (b) $R_3 = H$ and $R_4 =$ alkyl

3. claims: 1, 31-33 (each partial)

compounds (and subject-matter referring to these compounds) of formula (I), wherein $R_1 = NR_3R_4$ and $R_3 =$ heteroaromatic ring as given in claim 1 or fused imidazole or benzodioxolyl or isobenzofuranonyl and $R_4 = H$

4. claims: 1, 31-33 (each partial)

compounds (and subject-matter referring to these compounds) of formula (I), wherein $R_1 = NR_3R_4$ and $R_3 = CN$ and $R_4 = H$

5. claims: 1-11, 31-33 (each partial)

compounds (and subject-matter referring to these compounds) of formula (I), wherein $R_1 = NR_3R_4$ and (a) either $R_3 = C(=O)R_6$, $C(=O)OCH_2C(=O)R_8$, $C(=O)NR_8R_{10}$ and $R_4 = H$ or (b) $R_3 = H$ and $R_4 = C(=O)R_6$, $C(=O)NR_8R_{10}$

6. claims: 1-7, 31-33 (each partial)

compounds (and subject-matter referring to these compounds) of formula (I), wherein $R_1 = NR_3R_4$ and $R_3 = C(=S)R_6$ or $C(=S)NHR_8$ and $R_4 = H$

7. claims: 1-7, 31-33 (each partial)

compounds (and subject-matter referring to these compounds) of formula (I), wherein $R_1 = NR_3R_4$ and $R_3 = OR_7$, $P(O)(OR_{15})_2$, $S(O)_2R_8$, SR_8 , $Si(R_8)_3$, $N(R_9)R_{10}$, $N=C(R_{15})R_{16}$ and $R_4 = H$

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

8. claims: 1, 31-33 (each partial)

compounds (and subject-matter referring to these compounds)
of formula (I), wherein $R1 = NR3R4$ and $R3 = C(=NR16)SR16$ and
 $R4 = H$

9. claims: 12-22 (each complete); 1, 31-33 (each partial)

compounds (and subject-matter referring to these compounds)
of formula (I), wherein $R1 = NR3R4$ and neither $R3$ nor $R4 = H$

INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No

PCT/US2009/031683

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