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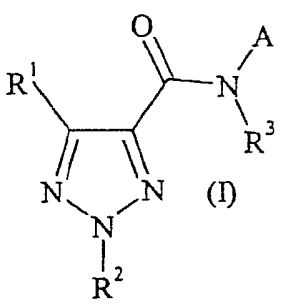
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Published:
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For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: MICROBIOCIDAL (E.G. FUNGICIDAL) 1,2,3-TRIAZOLE DERIVATIVES



(57) Abstract: A compound of formula (1):where A is an ortho-substituted ring selected from a number of specified rings; R^1 is halogen, cyano, nitro, C_{1-4} alkyl, C_{1-4} haloalkyl, C_{1-4} alkoxy or C_{1-4} haloalkoxy or optionally substituted C_{2-4} alkenyl, optionally substituted C_{2-4} alkynyl or optionally substituted $SO_2(C_{1-4})$alkyl (where the optionally substituted moieties may each have up to 3 substituents, each independently selected from halogen and C_{1-4} alkoxy); R^2 is C_{1-4} alkyl, C_{1-4} haloalkyl, C_{1-4} alkoxy(C_{1-4})alkyl or C_{1-4} alkyltbio(C_{1-4})alkyl or [optionally substituted aryl](C_{1-4})alkyl- or [optionally substituted aryl]oxy(C_{1-4})a1kyl(where the optionally substituted aryl moieties may each have up to 3 substituents, each independently selected from halogen and C 1-4 alkoxy); R 3 is hydrogen, CH_2C $=CR^4$, $CH_2CR^4=C(H)R^4$, $CH=C=CH_2$ or COR^5 or optionally substituted C_{1-4} alkyl, optionally substituted C_{1-4} alkoxy or optionally substituted (C_{1-4}) alkylC(=O)O (where the optionally substituted moieties may each have up to 3 substituents, each independently selected from halogen and C_{1-4} alkoxy, C_{1-4} alkyl, C_{1-2} haloalkoxy, bydroxy, cyano, carboxyl, methoxycarbonyl, ethoxycarbonyl, methylsulfonyl and ethylsulfonyl), The compound of Formula (I) have microbiocidal activity, in particular fungicidal activity.

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INTERNATIONAL SEARCH REPORT

International Application No
PC[redacted]EP 03/09111

A. CLASSIFICATION OF SUBJECT MATTER
IPC 7 C07D249/04 C07D409/12 C07D405/12 C07D403/12 C07D307/00
C07D209/94 A01N43/647

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 7 C07D A01N

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)
CHEM ABS Data, EPO-Internal, 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	GB 1 215 066 A (SHELL INTERNATIONALE RESEARCH MAATSCHAPPIJ N.V.) 9 December 1970 (1970-12-09) table 1: 5-Methyl-1,2,3-triazole-4-carboxanilide. claim 1 ---	1,8,9
Y	CH 631 602 A (CIBA GEIGY AG) 31 August 1982 (1982-08-31) claim 1 ---	1,8,9
Y	EP 0 019 742 A (BAYER AG) 10 December 1980 (1980-12-10) claim 1 --- -/--	1,8,9

[X] Further documents are listed in the continuation of box C.

[X] Patent family members are listed in 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	Date of mailing of the international search report
24 October 2003	15. 03. 04

Name and mailing address of the ISA	Authorized officer
European Patent Office, P.B. 5818 Patentlaan 2 NL - 2280 HV Rijswijk Tel. (+31-70) 340-2040, Tx. 31 651 epo nl, Fax: (+31-70) 340-3016	Fanni, S

Form PCT/ISA/210 (second sheet) (July 1992)

INTERNATIONAL SEARCH REPORT

International Application No
PC[redacted]EP 03/09111

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category °	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
Y	US 4 233 059 A (KRUEGER HANS-RUDOLF ET AL) 11 November 1980 (1980-11-11) claim 1 examples 12-14 -----	1,8,9

INTERNATIONAL SEARCH REPORT

International application No.
PCT/EP 03/09111

Box I Observations where certain claims were found unsearchable (Continuation of item 1 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 II Observations where unity of invention is lacking (Continuation of item 2 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 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.:

1-6, 8-9

Remark on Protest

☐ The additional search fees were accompanied by the applicant's protest.

☐ 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: 1-6, 8-9

   Compound of formula I according to claim 1 and their use for controlling microorganisms. Compound of formula II according to claim 6.

2. Claim : 7

   compound of formula IIIa

INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No
PC[redacted]EP 03/09111

Patent document cited in search report		Publication date	Patent family member(s)		Publication date
GB 1215066	A	09-12-1970	BE	730347 A	24-09-1969
			CH	507650 A	31-05-1971
			DE	1914954 A1	23-10-1969
			DK	125505 B	05-03-1973
			ES	365156 A1	01-02-1971
			FR	2004656 A5	28-11-1969
			NL	6904465 A ,B,	29-09-1969
			SE	385262 B	21-06-1976
			SE	416808 B	09-02-1981
CH 631602	A	31-08-1982	CH	631602 A5	31-08-1982
EP 0019742	A	10-12-1980	DE	2919293 A1	20-11-1980
			BR	8002884 A	23-12-1980
			DK	205280 A	13-11-1980
			EP	0019742 A2	10-12-1980
			JP	55153774 A	29-11-1980
			US	4295876 A	20-10-1981
			ZA	8002777 A	24-06-1981
US 4233059	A	11-11-1980	DE	2834879 A1	21-02-1980
			AR	222039 A1	15-04-1981
			AT	365892 B	25-02-1982
			AT	536579 A	15-07-1981
			AU	523909 B2	19-08-1982
			AU	4959479 A	14-02-1980
			BE	878127 A1	07-02-1980
			BR	7905011 A	13-05-1980
			CA	1129425 A1	10-08-1982
			CH	640518 A5	13-01-1984
			CS	205149 B2	30-04-1981
			DD	145364 A5	10-12-1980
			DK	301879 A	08-02-1980
			EG	14370 A	31-03-1984
			ES	483115 A1	16-04-1980
			FI	792423 A	08-02-1980
			FR	2433018 A1	07-03-1980
			GB	2028319 A ,B	05-03-1980
			GR	72718 A1	01-12-1983
			IE	48787 B1	15-05-1985
			IL	57927 A	15-05-1983
			IN	152609 A1	18-02-1984
			IT	1122324 B	23-04-1986
			JP	55024179 A	21-02-1980
			LU	81578 A1	07-12-1979
			MX	5623 E	09-11-1983
			NL	7904611 A	11-02-1980
			NO	792575 A	08-02-1980
			NZ	191185 A	29-05-1981
			PH	16769 A	22-02-1984
			PL	217595 A1	19-05-1980
			PT	69999 A	01-08-1979
			RO	77561 A1	04-11-1981
			RO	81505 A1	29-04-1983
			SE	7906602 A	08-02-1980
			SU	929008 A3	15-05-1982
			TR	20593 A	19-02-1982
			YU	149179 A1	21-01-1983

INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No
PCT/EP 03/09111

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
US 4233059 A		ZA 7904084 A	27-08-1980