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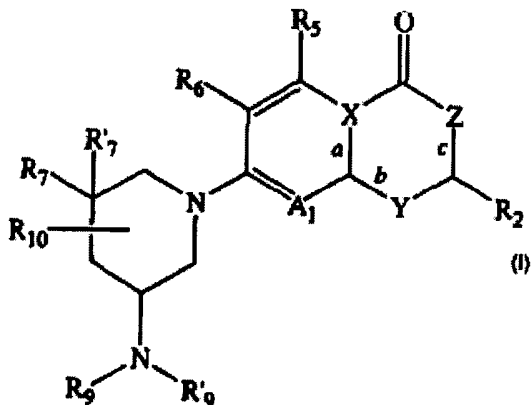
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For two-letter codes and other abbreviations, refer to the "Guid-
ance Notes on Codes and Abbreviations" appearing at the begin-
ning of each regular issue of the PCT Gazette.

(54) Title: ANTIMICROBIAL AZA-BICYCLIC DERIVATES, THEIR COMPOSITIONS AND USES

(57) Abstract: Compounds of the following formula (I) are ef-
fective antimicrobial agents.



INTERNATIONAL SEARCH REPORT

International Application No

PCT 5 03/22587

A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 C07D401/04 C07D471/04 C07D498/06 C07D513/04 A61K31/4709
 A61K31/4741 A61P31/04

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 A61K

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, WPI Data, CHEM ABS 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 2002/049192 A1 (GRAY JEFFREY LYLE ET AL) 25 April 2002 (2002-04-25) see Formula (I) paragraph [0014] - paragraph [0026]; claim 16	1,2,5-10
X	----- WO 99/14214 A (PROCTER & GAMBLE) 25 March 1999 (1999-03-25) page 4, line 7 - page 5, line 26; examples 63-67,78,79,100-103	1,2,5-10
X	----- WO 02/48138 A (PROCTER & GAMBLE) 20 June 2002 (2002-06-20) page 3, line 20 - page 5, line 6	1,2,5-10
A	----- EP 0 342 675 A (CHUGAI PHARMACEUTICAL CO LTD) 23 November 1989 (1989-11-23) page 2, line 11 - page 3, line 5; claim 1 ----- -/--	1,2,5-10

☒ Further documents are listed in the continuation of box C.☒ 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

21 October 2003

Date of mailing of the international search report

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INTERNATIONAL SEARCH REPORT

International Application No

PCT 03/22587

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	SUTO M J ET AL: "FLUOROQUINOLONES: RELATIONSHIPS BETWEEN STRUCTURAL VARIATIONS, MAMMALIAN CELL CYTOTOXICITY, AND NATIMICROBIAL ACTIVITY" JOURNAL OF MEDICINAL CHEMISTRY, AMERICAN CHEMICAL SOCIETY. WASHINGTON, US, vol. 35, no. 25, 1992, pages 4745-4750, XP001094135 ISSN: 0022-2623 tables III,IV -----	1,2,5-10

INTERNATIONAL SEARCH REPORT

International application No.
PCT/US 03/22587

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.: 1(part)-10(part)
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:
see FURTHER INFORMATION sheet PCT/ISA/210
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 (part), 2, 5 (part)-10(part)

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

Continuation of Box 1.2

Claims Nos.: 1(part)-10(part)

The initial phase of the search revealed a very large number of compounds disclosed in various documents relevant to the issue of novelty. So many compounds were retrieved that it is impossible to determine which parts of the claim(s) may be said to define subject-matter for which protection might legitimately be sought (Article 6 PCT). For these reasons, a meaningful search over the whole breadth of the claim(s) is impossible. Consequently, the search has been restricted to the compounds wherein

R9 and R9'=H and R7 or R7' different from H

The applicant's attention is drawn to the fact that claims relating to inventions in respect of which no international search report has been established need not be the subject of an international preliminary examination (Rule 66.1(e) PCT). The applicant is advised that the EPO policy when acting as an International Preliminary Examining Authority is normally not to carry out a preliminary examination on matter which has not been searched. This is the case irrespective of whether or not the claims are amended following receipt of the search report or during any Chapter II procedure. If the application proceeds into the regional phase before the EPO, the applicant is reminded that a search may be carried out during examination before the EPO (see EPO Guideline C-VI, 8.5), should the problems which led to the Article 17(2) declaration be overcome.

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(part),2,5(part)-10(part)

Compounds of formula (I) wherein:

X is C

Z is C(COR3)

Y is N(R1)

A1 is N or C(R8)

2. claims: 1(part),3,5(part)-10(part)

Compounds of formula (I) wherein:

X is N

Z is C(COR3)

Y is CR°R1

A1 is C(R8)

3. claims: 1(part), 4, 5(part)-10(part)

Compounds of formula (I) wherein:

X is C

Z is N(R3) or N(NHR3)

Y is N(R1)

A1 is C(R8)

4. claims: 1(part), 5(part)-10(part)

Compounds of formula (I) wherein:

X is N

Z is is C(COR3)

Y is CR°R1

A1 is N

INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PC1 ; 03/22587

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
US 2002049192 A1	25-04-2002	US 6329391 B1	11-12-2001
		US 2002173501 A1	21-11-2002
		US 2003207862 A1	06-11-2003
		AU 735186 B2	05-07-2001
		AU 9482998 A	05-04-1999
		BR 9812644 A	18-12-2001
		CA 2303389 A1	25-03-1999
		CN 1278813 T	03-01-2001
		EP 1015445 A1	05-07-2000
		HU 0100051 A2	28-10-2001
		ID 24703 A	03-08-2000
		JP 2001516756 T	02-10-2001
		NO 20001340 A	15-05-2000
		NZ 503740 A	27-09-2002
		PL 339408 A1	18-12-2000
		RU 2193558 C2	27-11-2002
		SK 3812000 A3	12-09-2000
		TR 200001435 T2	21-08-2000
		WO 9914214 A1	25-03-1999
		ZA 9808415 A	15-03-1999
WO 9914214 A	25-03-1999	AU 735186 B2	05-07-2001
		AU 9482998 A	05-04-1999
		BR 9812644 A	18-12-2001
		CA 2303389 A1	25-03-1999
		CN 1278813 T	03-01-2001
		EP 1015445 A1	05-07-2000
		HU 0100051 A2	28-10-2001
		ID 24703 A	03-08-2000
		JP 2001516756 T	02-10-2001
		NO 20001340 A	15-05-2000
		NZ 503740 A	27-09-2002
		PL 339408 A1	18-12-2000
		RU 2193558 C2	27-11-2002
		SK 3812000 A3	12-09-2000
		TR 200001435 T2	21-08-2000
		US 2002173501 A1	21-11-2002
		WO 9914214 A1	25-03-1999
		US 6329391 B1	11-12-2001
		US 2003207862 A1	06-11-2003
		US 2002049192 A1	25-04-2002
		ZA 9808415 A	15-03-1999
WO 0248138 A	20-06-2002	AU 3089102 A	24-06-2002
		CA 2429951 A1	20-06-2002
		EP 1341781 A1	10-09-2003
		HU 0303410 A2	28-01-2004
		NO 20032665 A	07-08-2003
		WO 0248138 A1	20-06-2002
		US 2003008894 A1	09-01-2003
EP 0342675 A	23-11-1989	AT 117685 T	15-02-1995
		CA 1340285 C	22-12-1998
		DE 68920773 D1	09-03-1995
		DE 68920773 T2	18-05-1995
		EP 0342675 A2	23-11-1989
		ES 2070142 T3	01-06-1995
		HK 151295 A	29-09-1995

INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/JP 03/22587

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
EP 0342675	A	JP 1904507 C	08-02-1995
		JP 3095177 A	19-04-1991
		JP 5055506 B	17-08-1993
		KR 9400368 B1	19-01-1994
		US 5051509 A	24-09-1991
