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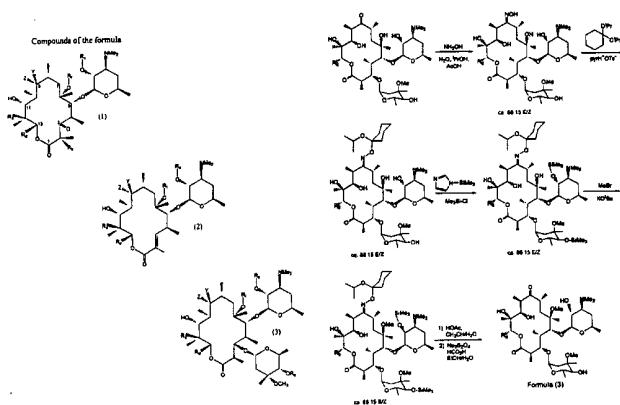
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[Continued on next page]

(54) Title: MACROLIDE ANTIINFECTIVE AGENTS



(57) Abstract: Compounds of formula (1), (2) or (3) or the 10,11-anhydro forms thereof, wherein R_a is H or OH; R_b is H or halogen; R_c is H or a protecting group; R_d is methyl; unsubstituted alkyl(3-10C); substituted alkyl(1-10C); substituted or unsubstituted alkenyl(2-10C) or substituted or unsubstituted alkynyl(2-10C); substituted or unsubstituted aryl(4-14C); substituted or unsubstituted arylalkyl(5-20C); substituted or unsubstituted arylalkenyl(5-20C); substituted or unsubstituted arylalkynyl(5-20C); substituted or unsubstituted amidoarylalkyl(5-20C); substituted or unsubstituted amidoarylalkenyl(5-20C); or substituted or unsubstituted amidoarylalkynyl(5-20C); R_e is H or a protecting group or is mono- or disubstituted amino carbonyl; R_f is H; substituted or unsubstituted alkyl(1-10C), substituted or unsubstituted alkenyl(1-10C); substituted or unsubstituted alkynyl(1-10C); substituted or unsubstituted aryl(4-14C); substituted or unsubstituted arylalkyl(5-20C); or -OR_f may be replaced by -H; one of Z and Y is H and the other is OH or protected OH, or is amino, mono- or dialkyl-amino, protected amino, or an aminoheterocycle or Z and Y together are =O, =NOH or a derivatized oxime; including any pharmaceutically acceptable salts thereof and any stereoisomeric forms and mixtures of stereoisomeric forms thereof, are antimicrobial agents.

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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.*

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.

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INTERNATIONAL SEARCH REPORT

national Application No
PCT/US 00/09915

A. CLASSIFICATION OF SUBJECT MATTER
IPC 7 C07H17/08 A61K31/70

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)
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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, PAJ, 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 5 141 926 A (WEBER J MARK ET AL) 25 August 1992 (1992-08-25) claims 1 and 5 ---	1,2,10, 11
X	J.M. WEBER ET AL.: "An erythromycin derivative produced by targeted gene disruption in Saccharopolyspora erythraea" SCIENCE, vol. 252, 1991, pages 114-117, XP002141603 figure 2A ---	1,2
A	FR 2 754 821 A (HOECHST MARION ROUSSEL INC) 24 April 1998 (1998-04-24) page 1, compound 1 ---	1,10,11
P,X	WO 99 35157 A (WU YONG JIN ;PFIZER PROD INC (US)) 15 July 1999 (1999-07-15) structures 1 and 17 -----	1,2,10, 11

Further documents are listed in the continuation of box C. Patent family members are listed in annex.

° Special categories of cited documents :

<p>"A" document defining the general state of the art which is not considered to be of particular relevance</p> <p>"E" earlier document but published on or after the international filing date</p> <p>"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)</p> <p>"O" document referring to an oral disclosure, use, exhibition or other means</p> <p>"P" document published prior to the international filing date but later than the priority date claimed</p>	<p>"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</p> <p>"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</p> <p>"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.</p> <p>"&" document member of the same patent family</p>
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Date of the actual completion of the international search 6 July 2000	Date of mailing of the international search report 08. 11. 2000
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Name and mailing address of the ISA 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	Authorized officer de Nooy, A
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INTERNATIONAL SEARCH REPORT

International application No.
PCT/US 00/09915

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:

Although claim 10 is directed to a method of treatment of the human body, the search has been carried out and based on the alleged effects of the compound.
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.:

1-11 (in 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

This International Searching Authority found multiple (groups of) inventions in this international application, as follows:

1. Claims: 1-11 (in part)

Compounds of formulae 1, 2 or 3 of claim 1, pharmaceutical compositions and uses of these compounds, where Rd is methyl.

2. Claims: 1-11 (in part)

Compounds of formulae 1, 2 or 3 of claim 1, pharmaceutical compositions and uses of these compounds, where Rd is unsubstituted alkyl (3-10C) or substituted alkyl (1-10C).

3. Claims: 1-11 (in part)

Compounds of formulae 1, 2 or 3 of claim 1, pharmaceutical compositions and uses of these compounds, where Rd is substituted or unsubstituted alkenyl (2-10C); or substituted or unsubstituted alkynyl (2-10C).

4. Claims: 1 (in part), 3-11 (in part)

Compounds of formulae 1, 2 or 3 of claim 1, pharmaceutical compositions and uses of these compounds, where Rd is substituted or unsubstituted aryl (4-14C).

5. Claims: 1 (in part), 3-11 (in part)

Compounds of formulae 1, 2 or 3 of claim 1, pharmaceutical compositions and uses of these compounds, where Rd is substituted or unsubstituted arylalkyl (5-20C); or substituted or unsubstituted arylalkenyl (5-20C); or substituted or unsubstituted arylalkynyl (5-20C).

6. Claims: 1 (in part), 3-11 (in part)

Compounds of formulae 1, 2 or 3 of claim 1, pharmaceutical compositions and uses of these compounds, where Rd is substituted or unsubstituted amidoarylalkyl (5-20C); or substituted or unsubstituted amidoarylalkenyl (5-20C); or substituted or unsubstituted amidoarylalkynyl (5-20C).

INTERNATIONAL SEARCH REPORT

Information on patent family members

I. International Application No

PCT/US 00/09915

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
US 5141926 A	25-08-1992	CA 2080583 A EP 0525083 A JP 2587562 B JP 5504890 T KR 9608668 B PT 97390 A WO 9116334 A	19-10-1991 03-02-1993 05-03-1997 29-07-1993 28-06-1996 31-01-1992 31-10-1991

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