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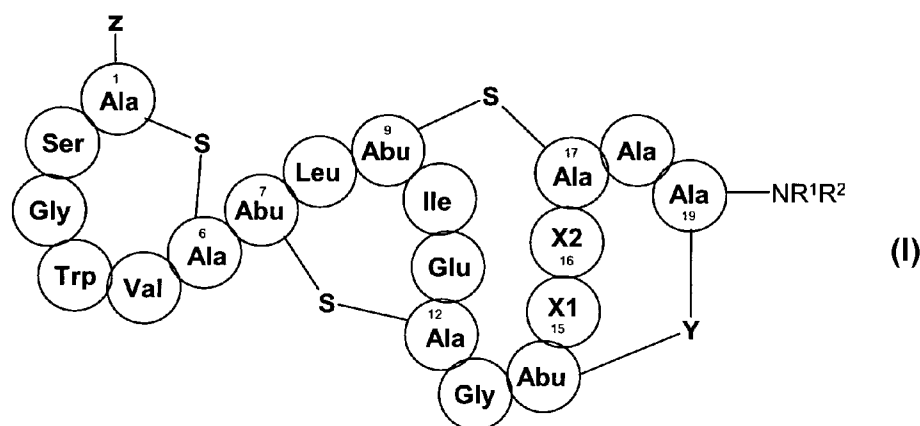
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Declaration under Rule 4.17:

— of inventorship (Rule 4.17(iv))

[Continued on next page]

(54) Title: LANTIBIOTIC-BASED COMPOUNDS HAVING ANTIMICROBIAL ACTIVITY



(57) Abstract: The present invention provides actagardine, actagardine B and deoxy actagardine B derivatives of formula (I), wherein: X1 denotes that the residue is Leu; Val; or Ile; X2 denotes that the residue is Leu; Val; or Ile; R¹ represents an alkyl or heteroalkyl group, substituted by at least one hydroxyl substituent, and R² represents hydrogen, or an alkyl or heteroalkyl group, optionally substituted by at least one hydroxyl substituent, or R¹ and R² taken together with the nitrogen atom represent a heterocyclic group having at least one hydroxyl substituent, wherein the heterocyclic group optionally further contains one or more heteroatoms; Z is an amino acid residue, -NR³R⁴, -NR⁵COR⁶, -NR⁵C(O)OR⁶; -NR⁵SOR⁶, NR⁵SO₂R⁶; -NR⁵C(S)NR⁶R⁷, -NR⁵C(NR⁸)NR⁶R⁷, or -N=R⁹, where R³, R⁴, R⁵, R⁶, R⁷, R⁸ and R⁹ are independently hydrogen, or a group, optionally substituted, selected from alkyl, heteroalkyl, aryl, heteroaryl, aralkyl and heteroaralkyl, with the proviso that R⁹ is not hydrogen; and Y is -S- or -S(O)-. The compounds find use in the treatment of microbial infections.



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INTERNATIONAL SEARCH REPORT

International application No

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A. CLASSIFICATION OF SUBJECT MATTER

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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, Sequence Search, 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	MALABARBA A ET AL: "SYNTHESIS AND BIOLOGICAL ACTIVITY OF SOME AMIDE DERIVATIVES OF THE LANTIBIOTIC ACTAGARDINE" JOURNAL OF ANTIBIOTICS, JAPAN ANTIBIOTICS RESEARCH ASSOCIATION, TOKYO, JP, vol. 43, no. 9, 1 September 1990 (1990-09-01), pages 1089-1097, XP000647318 ISSN: 0021-8820	1-8, 13-22
Y	abstract; figure 1; table 1; lines 2-5 on page 1093 ----- -/--	1-6, 13-22

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

☐ See patent family annex.

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"E" earlier document but published on or after the international filing date

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"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.

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C(Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
Y	GUIOTTO ANDREA ET AL: "PEGylation of the antimicrobial peptide nisin A: Problems and perspectives." FARMACO (LAUSANNE), vol. 58, no. 1, January 2003 (2003-01), pages 45-50, XP002513890 ISSN: 0014-827X abstract; first paragraph of the right-hand column on page 46 -----	1-6, 13-22
A	ZIMMERMANN N ET AL: "THE TETRACYCLIC LANTIBIOTIC ACTAGARDINE H-NMR AND C-NMR ASSIGNMENTS AND REVISED PRIMARY STRUCTURE" EUROPEAN JOURNAL OF BIOCHEMISTRY, BERLIN; DE, vol. 228, no. 3, 15 March 1995 (1995-03-15), pages 786-797, XP000645986 ISSN: 0014-2956 abstract; table 1 -----	