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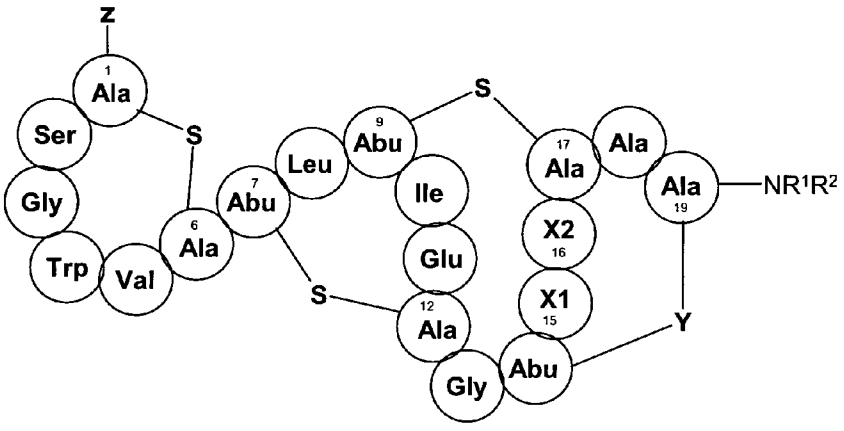
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**Declaration under Rule 4.17:**
— *of inventorship (Rule 4.17(iv))*

*[Continued on next page]*

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




(I)

(57) **Abstract:** The present invention provides actagardine, actagradine 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; $R^1$ represents an alkyl or heteroalkyl group, substituted by at least one hydroxyl substituent, and $R^2$ represents hydrogen, or an alkyl or heteroalkyl group, optionally substituted by at least one hydroxyl substituent, or $R^1$ and $R^2$ 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, $-NR^3R^4$, $-NR^5COR^6$, $-NR^5C(O)OR^6$; $-NR^5SOR^6$, $NR^5SO_2R^6$; $-NR^5C(S)NR^6R^7$, $-NR^5C(NR^8)NR^6R^7$, or $-N=R^9$, where $R^3$, $R^4$, $R^5$, $R^6$, $R^7$, $R^8$ and $R^9$ are independently hydrogen, or a group, optionally substituted, selected from alkyl, heteroalkyl, aryl, heteroaryl, aralkyl and heteroaralkyl, with the proviso that $R^9$ is not hydrogen; and Y is -S- or -S(O)-. The compounds find use in the treatment of microbial infections.

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