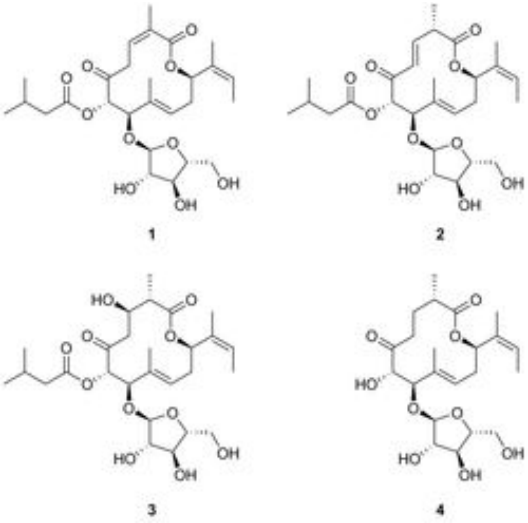
Technology Offer

Novel Macrolide Antibiotics

Reference Number 02-00312

Challenge

The rise of bacterial resistance against commonly used drugs remains a major challenge to modern medical treatment that continuously constitutes the need for new antibacterial agents. One of the most problematic gram-positive bacterium in public health is the methicillin-resistant Staphylococcus aureus (MRSA), because it can only be treated with vancomycin and teicoplanin as it is resistant to all other antibiotics in clinical use. Various strains, however, have developed resistance against vancomycin as well (VRSA). MRSA and VRSA are mostly found associated with nosocomial infections, but are increasingly found in the general population causing severe infections of the skin, respiratory disease, and food poisoning. Although several new antibiotics have been developed to combat bacterial infections including MRSA, their side effects still leave room for improvements. In addition, the application of new antibiotics will induce the development of further resistances, indicating a high need for anti-infective compounds with an alternative mode of action.



(1) – disciformycin A; (2) – disciformycin B;
(3) – gulmirecin A; (4) – gulmirecin B

Technology

Provided are the novel closely related macrolide antibiotics Disciformycins and Gulmirecins, secondary metabolites isolated from Myxobacteria. The new macrolides show strong antibacterial activity against a panel of gram-positive bacteria, including MRSA and VRSA strains as well as strains resistant against other macrolide antibiotics. First results indicate a mode of action different from other macrolides which usually bind to the 50S-ribosomes, suggesting a novel target. Combined with a low cytotoxicity, these findings classify the novel macrolides as promising new lead structures for drug development.

Commercial Opportunity

The technology is offered for in-licensing and/or co-development of a new antibiotic against gram-positive pathogens, including MRSA and VRSA-strains.

Development Status

In vitro assays with a panel of pathogens and cell lines conducted. Target identification and mode-of-action analysis ongoing. Fermentation process established.

Patent Situation

Priority filed in 2014 at the EPO, international PCT-application filed on July 7, 2015 (WO2016/005049), European patent granted (EP3166934 B1) and US patent application pending.

Further Reading

Surup et al. 2014. Disciformycins A and B: 12-Membered Macrolide Glycoside Antibiotics from the Myxobacterium Pyxidicoccus fallax Active against Multiresistant Staphylococci. Angew. Chem. Int. Ed. 2014, 53, 13588 –13591.
Schieferdecker et al. 2014. Structure and Biosynthetic Assembly of Gulmirecins, Macrolide Antibiotics from the Predatory Bacterium Pyxidicoccus fallax. Chem. Eur. J. 2014, 20, 15933 – 15940.
Korp et al. 2016. Antibiotics from predatory bacteria. Beilstein J Org Chem. 2016; 12: 594–607.

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