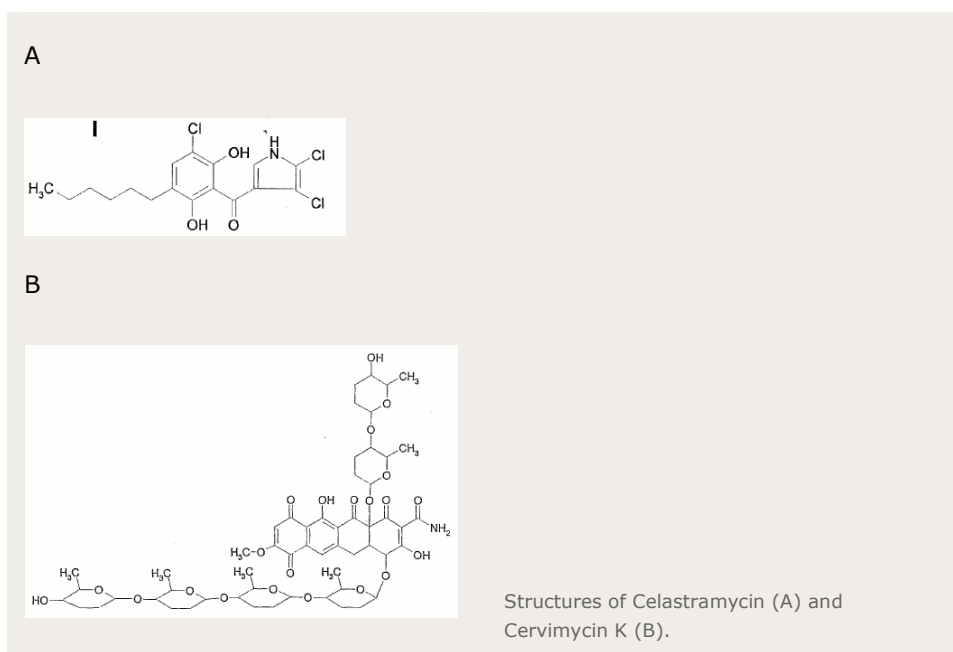


Novel antibiotics for the treatment of Methicillin-resistant *Staphylococcus aureus*

The Challenge

Methicillin-resistant *Staphylococcus aureus* (MRSA) is a specific strain of the *Staphylococcus aureus* bacterium that has developed antibiotic resistance to all penicillins, including methicillin and other narrow-spectrum β -lactamase-resistant penicillin antibiotics. Due to the widespread use of these standard-antibiotics *Staphylococcus aureus* was able to get resistant. Also commonly used chemotherapeutics, like fluoroquinolones are usually not effective towards these pathogens. This resistance restricts therapeutic therapy in individual cases and promotes the spread of multi-resistant pathogens. The occurrence of MRSA means high risks especially in surgical intensive care units. There the pathogens are leading to pneumonia, infection of lesions and septicaemia.



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The Technology

The following technologies are showing two new antibiotics with high activity against Methicillin-resistant *Staphylococcus aureus* (MRSA) and one method to produce the polyketide antibiotic Cervimycin K in higher amounts. The latter is also highly active against vancomycin-resistant enterococci VRE.

TO 10-00011: Celastramycin A

This invention discloses a new antibiotic, a method for its production, its application as antibiotic as well as its producing strain belonging to the family of the streptomycetes. This new antibiotic, called Celastramycin A belongs to the chemical group of dichloropyrrole. All representatives of the dichloropyrrole are showing antibacterial activity. In addition Celastramycin A shows a clear activity against different mycobacteria strains.

Patent situation: German patent application is pending (DE 101 51 215 A 1).

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Further Reading: Pullen, C. *et al.* 2002. New and bioactive compounds from *Streptomyces* strains residing in the wood of Celastraceae. *Planta* 216: 162-167.

TO 10-00030: Cervimycin K

This invention discloses a substance with a new chemical structure and high anti-microbial activity. The substance was isolated from *Streptomyces sp.* HKI 0179 and shows also high activity against Vancomycin-resistant enterococci (VRE).

Patent situation: PCT application is pending (WO 2005/082878 A1).

TO 10-00023: Cleavage of Cervimycin-half-ester linkages

This invention discloses a method for the production of non-esterified Cervimycins, especially of Cervimycin K, from Cervimycin-half-esters (e. g. Cervimycin C and D). For this method esterolytic enzymes, above all animal esterases, are used. Furthermore the addition of a non-enzymatic protein like albumin (e. g. bovine serum albumin) is necessary.

Cervimycins are polyketide antibiotics formed by *Streptomyces tendae*. Especially Cervimycin K, which is in contrast to the others not esterified with malonic acid, shows high antibiotic activity (see also TO 10-00030). With this method the Cervimycins A and D can be changed into Cervimycin K.

Patent situation: German patent application is pending (DE10 2005 053 670.0).

Commercial Opportunity

New alternative antibiotics like those mentioned above are urgently needed to combat Methicillin-resistant *Staphylococcus aureus* (MRSA) and to cope with the arising gap of treatment for MRSA patients.

Advantages of the inventions above are

- high activity against a variety of multi-resistant gram-positive and gram-negative pathogens
- strong growth inhibiting effect on methicillin-resistant *Staphylococcus aureus* (MRSA)
- Cervimycin K also active against vancomycin-resistant enterococci (VRE)
- easy and cost efficient production by fermentation

Companies specialized on pre-clinical research with high screening and chemical lead optimisation expertise are first choice users to the offered technologies. The partner should be also willing to commercialise the new drugs. A collaborative development using the expertise of the inventors would be possible. A cooperation agreement with option for an exclusive or non-exclusive license or a license agreement (exclusive or non-exclusive) are possible deals.