Technology Opportunity, Ref. No. UZ-18/487

Second-Generation Fidaxomicin Antibiotics with Improved Properties

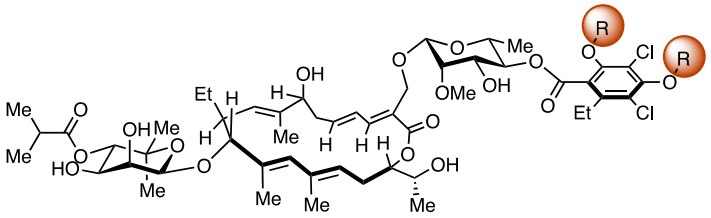
New semisynthetic derivatives of fidaxomicin with improved water-solubility and activity can be prepared from the natural product.

Keywords Fidaxomicin, tiacumicin B, lipiarmycin A3, clostomicin B1, antibiotics, macrolide, water-soluble, broad-spectrum, semisynthesis

Inventors Karl Gademann, Regina Berg, Andrea Meier

Background Fidaxomicin is a commercially available antibiotic for the treatment of *Clostridium difficile* associated diarrhea. Although good antibiotic activity of this compound against numerous other Gram-positive bacteria including *Clostridium perfringens*, *Mycobacterium tuberculosis*, *Staphylococcus aureus* and *Enterococcus faecalis* is reported, the application in the treatment of these infections is limited due to its low water-solubility. Thus, the high antibacterial potency of fidaxomicin against a variety of pathogenic bacteria has not been exploited so far. Improvement of the solubility and absorbability would allow the use of this class of compounds for a much wider variety of infections.

Invention New semisynthetic derivatives of fidaxomicin have been synthesized with focus on improved water-solubility. The addition of polar, water-soluble groups led to a 2–25-fold increase of water-solubility, whereby the antibiotic activity was retained.



Fields of Use In contrast to the currently available fidaxomicin, the next generation derivatives feature improved pharmacokinetic properties and may enable the application as broad-spectrum antibiotics.

Patent Status Patent application filed EP 18/150,671

Contact Unitectra, Technology Transfer University Zurich, Dr. Martin Binggeli, Scheuchzerstrasse 21, CH-8006 Zürich, +41 44 634 44 01, mail@unitectra.ch