

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

## Second-Generation Fidaxomicin Antibiotics with Improved Properties

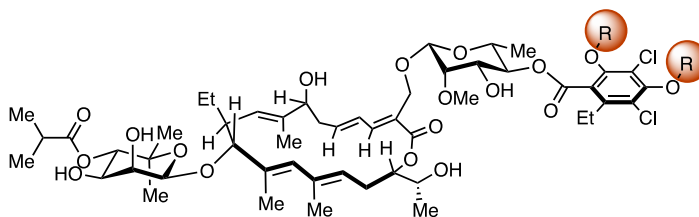
New semisynthetic derivatives of fidaxomicin with improved water-solubility and activity can be prepared from the natural product.

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

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**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

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