Novel Synthesis of Streptogramin A Antibiotics

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INVENTION NOVELTY

A modular, scalable, chemical synthesis platform that produces new Streptogramin A class antibiotic candidates.

VALUE PROPOSITION

In the U.S., at least 2 million people get an antibiotic-resistant infection each year, of which nearly 23,000 people die (CDC - AR Threats Report, 2013). The global antibiotic resistance market was valued at USD 7.81 billion in 2017 and is growing rapidly. Streptogramin antibiotics are used clinically to treat multidrug-resistant bacterial infections but their poor physicochemical properties and narrow spectra of activity have limited their utility.

Researchers at UCSF have created modular, scalable, synthesis platform for group A streptogramin antibiotics that proceeds in 6–8 linear steps from simple chemical building blocks. The versatile structural optimization obtained by using this fully synthetic synthesis allows for overcoming the inherent limitations of streptogramin antibiotics produced by fermentation as well as to combat growing resistance to the class. This platform has the following advantages:

▶ Simple, scalable synthesis of only 6-8 linear steps.
▶ Overcomes limitations of semi-synthesis by allowing generation of libraries of antibiotic candidates with heretofore inaccessible structural variability.
▶ Versatile structural modulations improve the pharmacological properties, expand the spectra of activity, and increase the potency of streptogramin A antibiotics against multidrug-resistant strains of pathogenic bacteria.
▶ Enables synthesis of streptogramins that are suitable for both IV and oral formulations.
▶ Successfully used for synthesis of Madumycins I and II, Virginiamycins M1 and M2 and 57 structural analogs.

TECHNOLOGY DESCRIPTION

Researchers at University of California, San Francisco have created a novel fully synthetic platform for synthesis of streptogramin A antibiotics. This method is enabled by convergent assembly of simple chemical building blocks. Four such blocks are used to build the “left half” of the analog and three blocks for the “right half” by a sequence of less than 4 steps. The two halves are then coupled to a final antibiotic candidate in 3 steps.

This platform is able to generate a library of antibiotic candidates with structural variability that can improve and expand their activity and pharmacological properties. Work is in progress to develop and test several new antibiotic candidates with novel compositions of matter.

LOOKING FOR PARTNERS

▶ To develop & commercialize novel Streptogramin A antibiotics
▶ To collaborate in optimizing lead candidates and scaling up the platform

STAGE OF DEVELOPMENT

Proof of Concept

RELATED MATERIALS

▶ "Modular, Scalable Synthesis of Group A Streptogramin Antibiotics". Li Q., Seiple I.B. Journal of American Chemical Society
DATA AVAILABILITY

In vitro data

PATENT STATUS

Patent Pending