Synthesis of Lipobactins and Teixobactin Analogues – New Antimicrobial Compositions against Gram-Positive Bacteria

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BACKGROUND

With the discovery of penicillin in the 1940's, many scientists proclaimed the defeat of infectious diseases which had plagued mankind. However, the remarkable healing power of antibiotics unfortunately invited widespread and indiscriminate use of antibiotics. This misuse and overuse of antibiotics has led to the dramatic rise in antibiotic resistant bacterial strains and increased healthcare costs.

TECHNOLOGY DESCRIPTION

Teixobactin is a new class of macrocyclic depsipeptide antibiotics shown to possess bioactivity against gram-positive bacteria including methicillin-resistant Staphylococcus aureus (MRSA), Mycobacterium tuberculosis and Streptococcus pneumonia. It is believed that teixobactin acts an inhibitor of bacteria cell wall synthesis by binding to lipid II and thereby interrupting the formation of the peptidoglycan layer. The researchers at the University of California, Irvine, have designed and synthesized analogs of teixobactin and have invented a new class of teixobactin analogues called the lipobactins. The researchers have developed a facile chemical synthesis that allows many teixobactin analogues to be prepared and screened. From these studies the researchers discovered an analogue Lys10-teixobactin that is comparable to vancomycin in activity. The researchers also discovered a new class of teixobactin analogues termed "lipobactins," in which roughly half of the teixobactin molecule is replaced with a lipid group. The lipobactins show tremendous promise as a new class of antibiotics against gram-positive pathogens and are now being developed.

APPLICATION

Novel peptide and lipid-based teixobactin analogs have been made using a solid-phase peptide synthesis strategy.

PATENT STATUS

Country	Type	Number	Dated	Case
United States Of America	Issued Patent	11,046,730	06/29/2021	2016-624

CONTACT

Richard Y. Tun
tunr@uci.edu
tel: 949-824-3586.

OTHER INFORMATION

KEYWORDS

teixobactin, lipobactin, lipobactins, peptide analog, peptide analogue, lipid-based, lipid group, Lipid II, solid-phase peptide synthesis, SPPS, pathogens, gram-positive, MRSA, methicillin-resistant staphylococcus aureus, Lys10-teixobactin, antibiotic

CATEGORIZED AS

- **Biotechnology**
  - Health
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- **Medical**
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