Chemically Novel Beta-Lactamase Inhibitors

Tech ID: 21882 / UC Case 2010-108-0

BACKGROUND

The market for new therapeutic products that will combat resistant strains of infectious pathogens commands $26 billion annually. The U.S. market share for new generation antibiotics alone is expected to reach $10 billion this year. To overcome the growing problem of microbial resistance, drug development companies have adopted a number of strategies based on the production of beta-lactamases, including developing new beta-lactamase inhibitors that can be co-administered with beta-lactam antibiotics. This particular strategy has yielded three beta-lactamase inhibitors are all active against most class A enzymes, such as TEM-1, but not against class C enzymes, like AmpC. Also, these inhibitors afford no protection to cephalsoporins clinically and have never been combined, for example, with the 3rd generation cephalosporins, leaving these widely used drugs susceptible to the evolution of the extended spectrun beta-lactamases (ESBLs). Thus there is pressing need for new inhibitors that can be combined with a primary beta-lactam, especially a cephalosporin, rescuing these first-line antibiotics for continued clinical utility.

TECHNOLOGY DESCRIPTION

Investigators at UCSF and at the University of Modena have developed a new series of beta-lactamase inhibitors that are distinct and exhibit an unexpected SAR compared to its precedent series. They also achieve higher potencies with fewer heavy atoms, giving them higher ligand efficiency and possibly better pharmacological properties.

APPLICATIONS

- Used in combination with primary beta-lactam anitbiotics

ADVANTAGES

- Chemically novel beta-lactamase inhibitors
- Molecules are potent and active against widespread nosocomial pathogens

PATENT STATUS

Country	Type	Number	Dated	Case
United States Of America	Issued Patent	9,371,337	06/21/2016	2010-108

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OTHER INFORMATION

KEYWORDS

beta-lactamase, inhibitor, microbial resistance

CATEGORIZED AS

- Medical
  - Disease: Infectious Diseases
  - New Chemical Entities, Drug Leads
  - Therapeutics

RELATED CASES

2010-108-0

RELATED MATERIALS

- Shoichet, B et el. (2010) Design, Synthesis, Crystal Structures, and Antimicrobial Activity of Sulfonamide Boronic Acids as Beta-Lactamase Inhibitors. J Med Chem. 2010 Nov 11;53(21):7852-63. - 11/11/2010

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