NOVEL 1,2,4-OXADIAZOL COMPOUNDS ACTIVE AGAINST GRAM-POSITIVE PATHOGENS

SUMMARY

This application is a new series of oxadiazolyl-oxazolidinone compounds, for use in the treatment of infections given by both antibiotic multi-resistant and antibiotic-susceptible Gram-positive bacteria.

This invention is based on the discovery that introducing a heterocyclic group having 5 atoms (oxadiazolyl ring) into the linezolid derivatives, is effective for obtaining new oxazolidinone antibiotics.

The heterocyclic group is introduced as C-ring, and it can also contain 2 or 3 heteroatoms. The activity of these compounds is further modulated by the presence of substitutions in the ring B, and by the structure of the side chain C(5) of the oxazolidinone nucleus.

KEY POINTS / ADVANTAGES

A new series of molecules able to revert linezolid-resistant phenotype to susceptibility with a gain of *in vitro* activity of 32-64 times depending on different Gram-positive genre and species;
More active (4 times) than linezolid also against linezolid-susceptible strains;
Among these molecules, the lead compound is also able to overcome mechanisms of resistance affecting tedizolid phosphate, a new antibiotic approved by FDA and EMA for the treatment of skin and soft tissue infections

MARKETING OPPORTUNITIES

New Molecules (lead compound level) evaluable as a pharmaceutical compound (antibiotic) for the resolution of infectious processes caused by multi-resistant antibiotic Gram-positive bacteria, in particular resistant to linezolid (the most representative antibiotic of the class of oxazolidinones) and also comparable in terms of biological activity but more advanced in overcoming the microbial resistance due to ever increasing and diverse point mutations in the ribosomal drug target.

Identification Code
05-2013-081/082

Patent Status
IT 1416520 (granted);
US 2014/0275191 (pending);
WO 2014/141218, designated States: Europe, US, CA, JP, CN, IN (pending)

Applicant
Università degli Studi di Milano - Bicocca; Istituto Euro Mediterraneo di Scienza e Tecnologia

Scientific ref.
Dr Rosario Musumeci

Commercial Rights
Licensing
Assignment
Collaboration

Industry Categories
Life Science & Biotechnology

Contact
rosario.musumeci@unimib.it
TTO:
Brevetti-SpinOff@unimib.it