# Analogues and derivatives of dicarboxylic amino acids as antibacterials.

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# KEYWORDS

□ ANTIBIOTICS

- MULTIDRUG RESISTANCE
- PATHOGENIC BACTERIA
- ENZYME INHIBITORS
- PHOSPHINIC
  COMPOUNDS
- □ DISINFECTANTS
- □ ANTISEPTICS

## AREA

D PHARMACEUTICAL

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Patent Type Patent for invention.

Ownership Sapienza University of Rome 100%

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# **Industrial & Commercial Reference**

Pharma companies interested in novel molecules for the treatment of infections/surfaces by multidrug-resistant bacteria.

### Time to Market

The most interesting molecules have been synthesized and their efficacy tested on many pathogenic bacteria. Preclinical investigation not available yet.

### Availability

Research, Development, Experimentation and Collaboration.





## Abstract

This invention relates to the fight against "bad bugs", i.e. bacteria that are resistant to a large spectrum of antibiotics available in the market, mostly chemically-related compounds.

The invention is about compounds that derive from a "lead compound" and that are antibacterials to various degrees and act on the bacterial central metabolism.

Preliminary data suggest that they are not endowed with toxicity for humans, which would prevent their systemic/topic use.





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# Analogues and derivatives of dicarboxylic amino acids as antibacterials.

### **Technical Description**

E. coll EPEC (strain E2346/69)

Legend:

The invention relates with the employment of novel chemical compounds in the fight against the infections caused by multi-drug resistant bacteria. The mechanism underlying the antibiotic activity has still to be fully elucidated in the molecular details. The data collected so far suggest that they could find an application as antibiotics to bring into the market. The novelty of the invention resides in the fact that the different compounds (all derived from a "lead molecule") penetrate the bacterial cell through different transporters thereby increasing the chance of successful penetration. Because of their chemical nature, the bacterial detoxification from these compounds would be a more rare event because the molecules and their derivatives are very unlikely to be excreted from the cell, as they mimic intracellular metabolites.

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### Technologies & Advantages

Key point of this innovation resides on the chemical nature of these compounds.

The sole substitution of a carbon with another non-toxic element gives rise to compounds that, being analogs of dicarboxylic-amino acids, can be substrates or inhibitors of enzymes in the bacterial central metabolism, therefore compromising the cellular viability.

On this regard, despite all the available antibacterial molecules, these compounds constitute a novelty in the field as such, and can be employed in the treatment to bacterial infections, especially against multi-drug resistant bacteria, that represent one of the most dramatic emergencies in the world.

An additional novelty of the invention resides in the ability of these compounds to enter the bacterial cell through diverse transporters.

This expands the entry points into the cell and, as such, might interfere with the development of bacterial resistances over time.



### Applications

The compounds of the invention can be employed as antibacterials, alone or in combination with other antibiotics to fight infections caused by Gram-positive e Gram-negative bacteria.

These compounds can be also used in the formulation of disinfectants of the skin or of the oral cavity, as well as of the external genitals.

They could also well be employed in the treatment of materials/surfaces/ containers/ medical devices.

Formulations may include creams, gels, oils, powders, sprays, solutions, bandage, plasters, etc.



(Molecule A) [µg/mL]

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