Scientific/Technical offer to licensing

Difluorobenzyl ethanolamines with antimicrobial activity

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Background: Pathogenic bacterial species of the genus *Mycobacterium* and *Nocardia* are the causative agent of diseases as tuberculosis, leprosy and other pulmonary, cutaneous or central nervous system infections. Specifically, *Mycobacterium tuberculosis (TB)* causes human tuberculosis and is responsible of almost two million deaths every year, being also one of the opportunistic pathogen with more incidence on HIV+ patients. Nowadays, a variety of active compounds against TB are available, as isoniazid and pyrazinamide, that affect the bacteria's synthesis of fatty acids, and ethambutol, implied in the biosynthesis of the bacteria's cell wall. However, it is considered a priority to find and develop new medicines which shorten the current treatments and solve resistance problems of the drugs in use.

The invention: Researchers from UV and Principe Felipe - UV Joint Research Centre have synthesized new compounds that show growth inhibitory activity over *Mycobacterium* and *Nocardia* species. The obtained new compounds belong to the family of fluorinated ethanolamines (specifically, difluorobenzyl ethanolamines) and have demonstrated selective antimicrobial activity *in vitro* against the aforementioned microorganisms. This selectivity suggests a specific mechanism of action on special characteristics of these species. Furthermore, synthesized molecules show similar or lower minimal inhibitory concentration (MIC) than a frontline antitubercular agent such as ethambutol, currently used to treat different types of tuberculosis.

Applications: The main application of the technology is in the **pharmaceutical area**, as active ingredient for the treatment of infectious diseases caused by *Mycobacterium* and *Nocardia*.

Advantages: The most remarkable advantages provided by this technology are:

- Selectivity of the compounds against species of the genera *Mycobacterium* and *Nocardia*.
- High *in vitro* antimicrobial activity, with MIC values similar or lower than those for therapeutic agents in use as ethambutol.
- Improvement of pharmacodynamic properties of the potentially active compounds due to the stability provided by the difluorobenzyl group.
- Less synthetic steps than existing procedures to obtain the four possible diastereoisomers of the molecules.

Example of in vitro antimicrobial activity of the new compounds over N. asteroides and N. farcinica

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Knowledge area
Pharmacology
Organic Chemistry

Collaboration
Technology available to licensing
Other collaborations may be considered

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