Scientific/Technical offer to licensing

Ref. OTRI

200907R-Blazquez, A.

Área de conocimiento

Pharmacology

Colaboración

Technology available to licensing
Other collaborations may be considered

Use of seconeolitsine and N-methyl-seconeolitsine for fabrication of antimicrobial drugs

Inventors: Adela González (ISCIII-CSIC), Mª Amparo Blázquez (Universitat de València), Mª Teresa García (CIBER-ES).

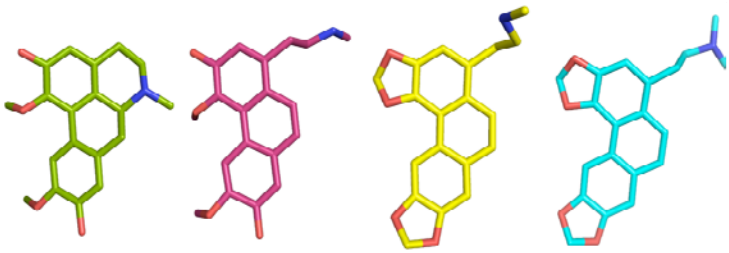
Background: Strepctococcus pneumoniae, is the main ethyological agent of community-acquired pneumonia. Pneumococcal DNA toposiomerase complement, that control its DNA topology, consists of two type II enzymes (DNA gyrase and DNA topoisomerase IV) and a single type I enzyme (DNA topoisomerase I, TopA). While fluoroquinolone antibiotics target the type II topoisomerases, no antibiotics against TopA has yet been reported. Antibiotic resistance is a serious clinical problem all over the world. An increase in resistance to fluoroquinolenes in S. pneumoniae it is not unexpected. Therefore, it is necessary to look for new antibiotics against new targets

The Invention: The invention refers to two phenanthrene alkaloids: seconeolitsine and N-methyl-seconeolitsine for the manufacture of drugs, preferably for the treatment of diseases caused by the Gram-positive bacteria Streptococcus pneumoniae. The invention has been based on the superexpression and purification of the DNA topoisomerase I (Top A), the synthesis of 18 aporphinic and phenenthrene alkaloids derived from the natural alkaloid boldine; the determination of their antibacterial activity and their effects on Top A activity. This invention characterizes for the first time a DNA topoisomerase I from a pathogenic Gram-positive bacterium. Both seconeolitsine and N-methyl-seconeolitsine might be used as new antibiotics given their antimicrobial activity. The efficacy of both compounds has been demonstrated against S. pneumonia.

Applications: Antibiotics directed against the DNA topoisomerase I, will be place on the market for the first time. This represents the establishment of a new target for antimicrobial compounds.

Advantages:

- High specificity.
- Known mechanism of action.
- Absence of resistance to these compounds.



Boldine Secoboldine Seconeolitsina N-Metilseconeolitsina

Related technologies: Difluorobenzyl ethanolamines with antimicrobial activity (Ref. OTRI: 201003R-Fustero, S.)

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