



TECHNOLOGY OFFER:

USE OF SECONEOLITSINE AND N-METHYL-SECONEOLITSINE FOR THE FABRICATION OF ANTIMICROBIAL DRUGS.

BACKGROUND

Streptococcus pneumoniae, is the main ethyological agent of community acquired pneumonia. Pneumococcal DNA topoisomerase complement, that controls 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 type II topoisomerases, no antibiotics against TopA have been reported yet.

Antibiotic resistance is a serious clinical problem all over the world. An increase in resistance to fluoroquinolones in *S. pneumoniae* it is not unexpected.

Therefore, it is necessary to look for new antibiotics against new targets.

TECHNOLOGY DESCRIPTION

The invention refers to two phenanthrene alkaloids: seconeolitsine and Nmethyl-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 overexpression and purification of the DNA topoisomerase I (Top A), the synthesis of 18 aporphinic and phenanthrene 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. pneumoniae* and other bacteria in mice at low μM concentration. The compounds work for multiple resistant bacteria and with a more protective action than fluoroquinolones.

ADVANTAGES

- First antibiotic directed against the DNA topoisomerase I.
- New target for antimicrobial compounds.
- High specificity.
- Known mechanism of action.
- Absence of resistance to these compounds.
- The researchers have the crystal of DNA topoisomerase I

CURRENT STAGE OF DEVELOPMENT

Pre-clinical studies

GOAL

We are seeking a company interested in collaborating in the pre-clinical research and for licensing the technology for further development and commercialization.

PATENT

Spanish Patent P200931186.

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