

Cationic steroids as antimicrobial agents

Antibacterial and anti-inflammatory activity of a cationic disubstituted dexamethasone-spermine conjugate

Inventors

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STATE OF DEVELOPMENT

Proof-of-concept *in vitro* and *in vivo* mouse data

INTELLECTUAL PROPERTY

[USSN 9,180,132](#)

REFERENCE MEDIA

Myint M. et al. [Bioorg Med Chem Lett](#), 2015, 25(14), p. 2837-2843.

Bucki R. et al. [Antimicrob Agents Chemother](#), 2010, 54(6), p. 2525-2533.

Fein D.E. et al. [Mol Pharmacol](#), 2010, 78(3), p. 402-210.

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Technology

The emergence of antibiotic-resistant bacteria is a rising health crisis that requires the development of new antibacterial agents. Cationic steroids disrupt bacterial membranes via physical and chemical mechanisms that prevent bacteria from evolving resistance to membrane-permeabilizing cationic amphipathic molecules. Researchers in the Diamond lab have identified a new method of use for the cationic corticosteroid disubstituted dexamethasone spermine (D_2S) as an anti-bacterial agent for both Gram-positive (e.g. MRSA) and Gram-negative (e.g. *E. coli*) organisms that can cause life-threatening situations, particularly in hospital-based infections. D_2S has been demonstrated to exhibit antibacterial activity against clinical isolates of *Staphylococcus aureus* and *Pseudomonas aeruginosa* from cystic fibrosis sputa and biofilms. Furthermore, D_2S enhances *S. aureus* susceptibility to antibiotics, including amoxicillin, tetracycline, and amikacin.

Advantages

- Small cationic steroid
- Resists proteolytic activity of pepsin
- D_2S maintained in ascites, cerebrospinal fluid, saliva, and bronchoalveolar lavage fluid
- Enhanced *S. aureus* susceptibility to antibiotics
- Adaptable to large-scale manufacturing

Applications

- Antibacterial development
- Anti-inflammatory agent

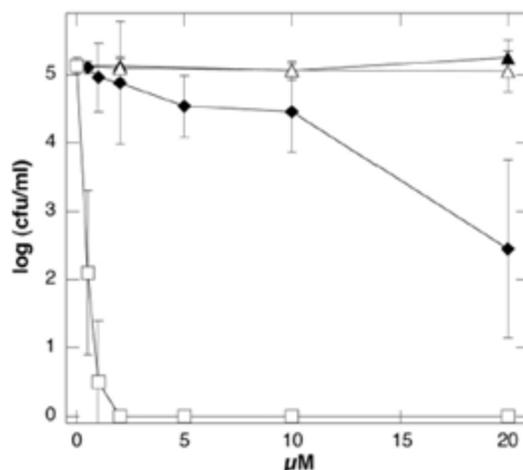


Image Caption:

From Bucki et al, 2010. Bactericidal activity of dexamethasone (filled black triangle), spermine (open triangle), dexamethasone-spermine (filled diamond), and D_2S (open diamond) against *P. aeruginosa*.