Bacterial Carbonic Anhydrase Inhibitors with Improved Pharmacokinetics and Efficacy

A novel small molecule, orally administered treatment for gonorrhea offers an effective, convenient, and cost-saving alternative to painful antibiotic injectables by capitalizing on an improved FDA-approved pharmaceutical compound.

Gonorrhea is one of the most common bacterial STIs with nearly one million new cases every year in the US and roughly 80 million each year worldwide. Like many bacterial infections, Gonorrhea is developing resistance to traditional antibiotic treatment regimens, forcing providers to administer larger and larger doses of an injectable antibiotic. Injectable treatment options suffer from a range of limitations, such as inconvenience, increased cost for the in-office procedure, and pain and discomfort for the patient.

Researchers at Purdue University have developed a novel small molecule treatment that can be taken orally, eliminating the need for painful, embarrassing, and inconvenient shots at treatment centers. This novel drug capitalizes on an already-FDA approved pharmaceutical compound, but significantly improves upon its prior pharmacokinetics and efficacy in treating Gonorrhea. With this new option, treatment centers will be able to cut down on the time and cost required for in-office injections, and patients will be able to painlessly cure their infection with privacy at home.

Technology Validation:

Researchers produced analogs to currently FDA-approved pharmaceutical, ethoxzolamide, that exhibited improved activity against N. gonorrhoeae, increased metabolic stability in mouse liver microsomes, and improved Caco-2 permeability compared to the original. Improvement in these properties resulted in increased plasma exposure in vivo after oral dosing. Top compounds were investigated for in vivo efficacy in a vaginal mouse model of gonococcal genital tract infection, and they significantly decreased the gonococcal burden compared to vehicle and ethoxzolamide controls.

Technology ID

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Category

Biotechnology & Life
Sciences/Biomarker Discovery &
Diagnostics
Pharmaceuticals/Drug Discovery
& Development
Biotechnology & Life
Sciences/Analytical & Diagnostic
Instrumentation
Pharmaceuticals/Small Molecule
Therapeutics
Pharmaceuticals/Drug Delivery &
Formulations

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Advantages:

- -Effective oral treatment
- -Alternative to painful, inconvenient injectables
- -Allows patients to easily take treatment home and conduct it themselves
- -Utilizes pharmaceutical compound that has already been approved by the FDA
- -Improved pharmacokinetics compared to base compound
- -Improved efficacy when treating gonorrhea compared to base compound

Applications:

Alternative treatment for gonorrhea for patients that want to avoid injectables and take an easy, convenient pill at home instead.

TRL: 4

Intellectual Property:

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