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(PDP)

Lehigh Case # 090804-01

Sulfated Molecular Umbrellas as Anti-HIV and Anti-HSV Agents

Overview

Researchers from Lehigh University and the Mount Sinai School of Medicine have developed new compounds and shown that significant anti-viral activity occurs with the use of conjugates of persulfated molecular umbrellas containing different numbers of amphiphilic units. The conjugates possess a unique combination of anti-viral activity, an ability to cross hydrophobic barriers, a lack of cytotoxicity, and require only a simple three-step synthesis. These new compositions of matter have great potential for use as anti-viral agents, with emphasis on topical prevention of transmission and therapeutic treatment of HIV and HSV.

Applications and Advantages

Research to date has focused on the possible application of these compounds as topical microbicides, including vaginal application, for preventing the transmission of HIV and HSV. However the potential of these conjugates to cross hydrophobic barriers such as the blood-brain barrier may suggest systemic applicability as a therapeutic.

- *Can be used as an anti-viral agent for systemic and topical applications*
- *Simple synthesis from readily available natural precursors*
- *Provides a new approach to designing drugs that are able to cross membranes*

Status

Each tested conjugate has exhibited excellent water-solubility. Preliminary structure-activity studies with a series of persulfated molecular umbrellas containing different numbers of amphiphilic units have been performed using U87.CD4.CCR5 cells, replication defective HIV-1 virus and a luciferase assay. Anti-HIV activity was measured using established protocols; all tested conjugates showed activity with some conjugates exhibiting nearly complete inhibition.

Results have compared favorably to leading candidates currently in late stage clinical trials.

Anti-HSV activity was compared by conducting plaque reduction assays with HSV-2(G) and human cervical epithelial cells. Preliminary studies have shown inhibition of binding of HSV to cell surfaces and inhibition of penetration.

Intellectual Property

A U.S. utility patent and patent cooperation treaty (PCT) application have been filed.

Lehigh ExpertNet

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