

ANTIVIRAL COMPOUNDS FOR THE TREATMENT OF EYE INFECTIONS

Antiviral compounds that can reach the anterior segment and/or the vitreo-retinal segment of the eye when administered either topically or systemically to improve the treatment of viral infections such as the herpes group of viruses.

Need:

A need exists for antiviral compounds that are sufficiently hydrophilic to be formulated into solutions such as eye drops and are efficacious when applied topically to the eye. A need also exists for antiviral compounds that reach both the anterior segment and the vitreo-retinal segment or the retina of the eye when administered systemically.

Disadvantages of Current Treatments:

Infections with the herpes simplex virus can lead to severe corneal scarring and opacity. The currently available therapy for HSV keratitis involves the use of a 1% trifluorothymidine (TFT) solution. However, one of the major problems associated with TFT therapy is cytotoxicity, which restricts its use in long-term treatment. Due to problems associated with the use of ointments in the eye, acyclovir (ACV) ointment has not been approved for clinical use in HSV keratitis patients in the United States. In addition, ACV ointment is not effective against stromal keratitis or when the deeper ocular tissues are involved, suggesting that ACV has poor permeation characteristics across the corneal epithelium. The corneal epithelium is composed of 5 to 6 layers of columnar epithelium with tight junctions, making paracellular diffusion across this epithelium minimal. Beneath the epithelial layer is the stroma, which contains more than 90% water, and hence presents a barrier to hydrophobic compounds.

Invention Details:

UMKC professor Dr. Ashim K. Mitra has developed esters with sufficient hydrophilicity to be formulated into pharmacologically active compositions, such as aqueous solutions (e.g., eye drops). Compounds of the invention can be effectively transported into the ocular tissues. Specifically, such compounds effectively reach the anterior segment and/or the vitreo-retinal segment when administered either topically or systemically. The compounds formulated have been shown to be effective against viral infections, particularly the herpes group of viruses (e.g., herpes simplex types 1 and 2, varicellazoster virus (VZV) and human cytomegalovirus (HCMV)). The present compounds employ oligopeptide transporters for delivery to the deeper tissues of the cornea. Thus, the present compounds are effective in cases where the corneal stromal and underlying tissues have been infected. These compounds have shown excellent in vitro antiviral activity against HSV 1 in HFF cells and in vivo rabbit epithelial keratitis with no significant cytotoxicity.

Suggested Uses:

Ophthalmic drug delivery, HCMV treatment, Herpes Simplex treatment, VZV treatment.

Advantages:

This technology can reach both the anterior segment and the vitreo-retinal segment or the retina of the eye when administered topically or systemically.

US Patents 7,553,812; 7,825,086

Please visit our website at: <http://www.umkc.edu/ors/ott> for a detailed description of this and other technologies.

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