

Special Section: Anti-Infectives & Anti-Inflammatories

Blepharitis, conjunctivitis

Delivery vehicle leads to increased bioavailability of azithromycin

Agent has anti-inflammatory qualities in addition to its antibacterial properties

By **Lois A. Bowers**
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Miami—Azithromycin 1% ophthalmic solution (AzaSite, Inspire Pharmaceuticals) is more soluble and able to remain on the ocular surface for a longer period than it would otherwise because of the patented drug delivery vehicle (DuraSite, InSite Vision) with which it is paired, according to Terrence P. O'Brien, MD.

"That means that the drug levels are much higher than what we've seen with other antibiotics, and that's an exciting bonus of the vehicle, allowing us to achieve very high drug levels for both therapeutic purposes and preventive purposes," said Dr. O'Brien, holder of the Charlotte Breyer Rodgers Distinguished Chair in Ophthalmology and director of the refractive surgery service, Bascom Palmer Eye Institute, Palm Beach Gardens, FL, and Miller School of Medicine, University of Miami.



'This agent has unique immunomodulatory properties that result in an anti-inflammatory effect.'

Terrence P. O'Brien, MD

The vehicle contains polycarbophil, he said.

"That's the unique agent that allows this drug both to solubilize and get a stable formulation," Dr. O'Brien said. "And a long contact time on the ocular surface results in very high tissue levels, so the net effect is an increased bioavailability of azithromycin in the tissues."

He said that he and his colleagues have been using the drop to treat posterior and anterior blepharitis as well as conjunctivitis.

"The azithromycin has been well-suited for ophthalmic use because it has a broad spectrum of activity, and it's known for its high tissue penetration," Dr. O'Brien said.

Single-dose study

He discussed a single-dose study conducted by Inspire Pharmaceuticals that compared azithromycin 1% with moxifloxacin 0.5% (Vigamox, Alcon Laboratories) to assess the achievable concentrations of the drugs in 41 healthy human adult eyes. After one dose of either drop was instilled in the conjunctiva, the conjunctiva was sampled at 30 minutes, 2 hours, 12 hours, and 24 hours (three to six subjects per time point). Researchers used liquid chromatographic-mass spectrometric assays to determine tissue concentrations.

"This was really striking because the levels of azithromycin were 15-fold higher than the moxifloxacin, and, more impor-

Take-Home Message

Azithromycin 1% ophthalmic solution (AzaSite, Inspire Pharmaceuticals) is more soluble and able to remain on the ocular surface for a longer period than it would otherwise because of the patented drug delivery vehicle (DuraSite, InSite Vision) with which it is paired. The lengthier contact time results in increased bioavailability of the drug in ocular tissues. Also, in addition to its antibacterial properties, the drop has unique immunomodulatory properties that result in an anti-inflammatory effect.

tantly, they were sustained in the tissue for a much greater period—24 hours after a single dose," he said.

"In addition to its antibacterial properties, this agent has unique immunomodulatory properties that result in an anti-inflammatory effect," Dr. O'Brien said. "This is a bonus; not only can you treat the infectious aspect, you actually can suppress inflammation. And for chronic diseases such as blepharitis or chronic conjunctivitis, this is really an exciting, new phenomenon to have dual action of an antibiotic having anti-inflammatory properties." **OT**

FYI

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