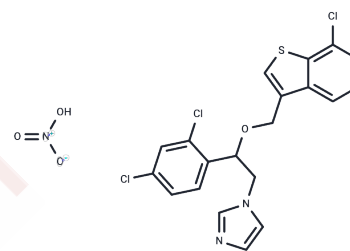


Sertaconazole nitrate

Chemical Properties

CAS No. :	99592-39-9
Formula:	C ₂₀ H ₁₅ Cl ₃ N ₂ O ₅ ·HNO ₃
Molecular Weight:	500.78
Appearance:	no data available
Storage:	Powder: -20°C for 3 years In solvent: -80°C for 1 year



Biological Description

Description	Sertaconazole nitrate (FI-7045), a topical broad-spectrum antifungal, is developed to supply an additional agent for the treatment of superficial cutaneous and mucosal infections.
Targets(IC50)	Antibiotic,Antifungal
In vitro	Sertaconazole nitrate reduces the release of cytokines from activated lymphocytes and mitigated inflammation in animal models of irritant contact dermatitis and neurogenic inflammation in a dose-dependent fashion. Sertaconazole nitrate is found to inhibit the proliferation of stimulated human lymphocytes with IC ₅₀ of 4 µg/mL. [1] Sertaconazole nitrate inhibits ergosterol synthesis by blockade of the P450-dependent enzyme pathway that catalyzes the methylation of lanosterol to ergosterol, thus inhibits fungal cell growth. Sertaconazole nitrate binds directly to nonsterol lipids in the membrane, which interferes with the regulation of the permeability of fungal cell membranes, thus induces fungal cell death. [2] Sertaconazole nitrate (< 100 µg/mL) activates p38 MAP kinase and Hsp27 in keratinocytes. Sertaconazole induces (< 2 µg/mL) COX-2 protein expression and PGE2 production in keratinocytes, which dependent on p38 activation. PGE2 is involved in sertaconazole (1 µg/mL)-mediated suppression of cytokine production in keratinocytes and PBMCs. [3]
In vivo	The mean ear weight of Tetradecanoyl phorbol acetate (TPA)-challenged murine treated with sertaconazole nitrate (1%) is 7.23 mg compared with 14.7 mg for controls, indicating a statistically significant reduction in irritant dermatitis. Sertaconazole nitrate 1% elicits a significant reduction in Resiniferatoxin-induced ear edema when compared with controls in CD-1 mice. Topical treatment with sertaconazole nitrate 1% significantly inhibits contact hypersensitivity and decreases the content of the pro-inflammatory cytokines TNFα, IL-2, and IFNγ in oxazolone exposed murine skin. [1] Clinical trials with sertaconazole nitrate cream 2% show efficacy in the treatment of superficial cutaneous fungal infections. [2] Sertaconazole reduces inflammation via inducing PGE2 production and the COX-2 inhibitor blocks sertaconazole from exerting its anti-inflammatory effects in a mouse model of TPA-induced ear edema. [3] The dermal administration of sertaconazole nitrate (2%) to rats, in which edema is induced by application of croton oil in the external ear, induced a 39.8% reduction of edema. [4]

Solubility Information

A DRUG SCREENING EXPERT

Solubility	DMSO: 93 mg/mL (185.71 mM),Sonication is recommended. H2O: < 1 mg/mL (insoluble or slightly soluble), Ethanol: < 1 mg/mL (insoluble or slightly soluble), (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.9969 mL	9.9844 mL	19.9688 mL
5 mM	0.3994 mL	1.9969 mL	3.9938 mL
10 mM	0.1997 mL	0.9984 mL	1.9969 mL
50 mM	0.0399 mL	0.1997 mL	0.3994 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

- Liebel F, et al. Arch Dermatol Res, 2006, 298(4), 191-199.
Pfaller MA, et al. Diagn Microbiol Infect Dis, 2006, 56(2), 147-152.
Sur R, et al. J Invest Dermatol, 2008, 128(2), 336-344.
Agut J, et al. Methods Find Exp Clin Pharmacol, 1996, 18(4), 233-234.

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