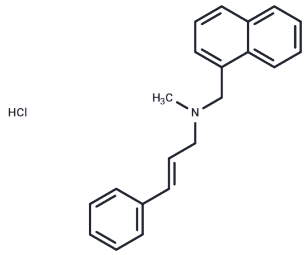
Data Sheet (Cat.No.T1543)

TargetMol

Naftifine hydrochloride

Chemical Properties



CAS No. : 65473-14-5

Formula: C21H22ClN

Molecular Weight: 323.86

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Naftifine Hydrochloride is the hydrochloride salt form of naftifine, an allylamine derivate with synthetic broad-spectrum antifungal activity. Although the exact mechanism through which naftifine hydrochloride exerts its effect is unknown, it appears to selectively inhibit the enzyme squalene 2, 3-epoxidase, thereby inhibiting the biosynthesis of sterol. This results in a decreased amount of sterols, especially ergosterol which is the primary fungal membrane sterol, and a corresponding accumulation of squalene in fungal cells. Naftifine hydrochloride (Naftifine HCl) can be fungicidal as well as fungistatic to yeasts depending on the concentration and the organisms involved.
Targets(IC50)	Hedgehog/Smoothened,Antibiotic,Antifungal
In vitro	Naftifine demonstrates a broad in vitro activity spectrum against dermatophytes (38 strains; MIC 0.1 to 0.2 mg/mL), aspergilli (6 strains; MIC 0.8 to 12.5 mg/mL), Sporothrix schenckii (2 strains; MIC 0.8 and 1.5 mg/mL), and Candida yeasts (77 strains; MIC 1.5 to >100 mg/mL). [1] For C. albicans Δ63, the MIC is 100 mg/L in Sabouraud medium (initial pH 6.5). At 50 mg/L, naftifine inhibits sterol biosynthesis by over 99% in both whole cells and cell extracts of C. albicans. Its primary mode of action is blocking fungal squalene epoxidation. [2]
In vivo	Naftifine HCl 2% cream results in clinical cure rate and clinical success rate of 33% and 84% after treatment for 4 weeks, and week 2 efficacy response rates in Naftifine HCl 2% subjects are all lower than at week 4 but are significantly higher than week 2 vehicle-treated counterparts. [3] Naftifine causes interruption of fungal ergosterol synthesis and accumulation of squalene in fungal organisms. Naftifine also has demonstrated anti-inflammatory properties such as a reduction in superoxide production and a reduction in polymorphonuclear leukocyte chemotaxis/endothelial adhesion. Naftifine has shown good efficacy and safety for a variety of conditions and is a useful treatment that provides both antifungal action and relief of inflammatory signs and symptoms. Few adverse events have been noted with naftifine use, the most frequent being mild and transient burning, stinging, or itching in the application area. [4]

Solubility Information

Solubility	DMSO: 21.28 mg/mL (65.71 mM),Sonication is recommended. H2O: 2.5 mg/mL (7.72 mM),Sonication is recommended. Ethanol: 8 mg/mL (24.7 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 4 mg/mL (12.35 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.0878 mL	15.4388 mL	30.8775 mL
5 mM	0.6176 mL	3.0878 mL	6.1755 mL
10 mM	0.3088 mL	1.5439 mL	3.0878 mL
50 mM	0.0618 mL	0.3088 mL	0.6176 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.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Georgopoulos A, et al. Antimicrob Agents Chemother, 1981, 19(3), 386-389.
Ryder NS, et al. Antimicrob Agents Chemother, 1984, 25(4), 483-487.
Parish LC, et al. J Drugs Dermatol, 2011, 10(10), 1142-1147.
Gupta AK, et al. J Cutan Med Surg, 2008, 12(2), 51-58.