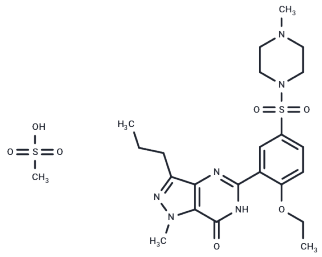
Data Sheet (Cat.No.T6983)

TargetMol
YOUR TARGET MOLECULES

Sildenafil Mesylate

Chemical Properties



CAS No. : 1308285-21-3

Formula: C22H30N6O4S·xCH4O3S

Molecular Weight: 570.68

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	Sildenafil Mesylate is a mesylate form of Sildenafil, an inhibitor of Phosphodiesterase 5.
Targets(IC50)	PDE
In vitro	Terbinafine (50 μM to 100 μM) inhibits only marginally the metabolism of ethoxycoumarin (CYP1A2), tolbutamide (CYP2C9), or ethynylestradiol, CsA, and cortisol. Terbinafine proves to be a potent inhibitor of the CYP2D6-mediated dextromethorphan O-demethylation and bufuralol 1-hydroxylation with IC50values of 0.2 μM and 0.25 μM, respectively. [1] Terbinafine is highly activ Aspergillus isolates (minimum inhibitory concentration [MIC] 0.01 to 2 mg/mL) with a primary fungicidal action (minimum fungicidal concentration [MFC] 0.02 to 4 mg/mL). [2] Terbinafine inhibits dextromethorphan O-demethylation with an apparent Ki ranging from 28 to 44 nM in human hepatic microsomes and averaging 22.4 nM for the heterologously expressed enzymes. [3] Terbinafine shows a very strong activity in vitro against Penicillium spp., Paecilomyces spp., Trichoderma spp., Acremonium spp. and Arthrographis spp. with GMs <1 mg/L. [4] Terbinafine decreases the levels of phosphorylated extracellular signal-regulated kinase (ERK). Terbinafine might cause a decrease of MEK, which in turn up-regulates p53 through the inhibition of ERK phosphorylation, and finally causes an increase of p21expression and cell-cycle arrest. [5]
In vivo	Chronic sildenafil treatment increases the detumescence phase in young and aged rats ($p<0.05$), although aged rats show a greater increase than young rats. Baseline cavernous PDE5 expression and activity are greater in aged vs young rats ($p<0.05$). After chronic sildenafil treatment cavernous PDE5 expression is increased in young ($p<0.05$) but not in aged rats. Chronic and acute sildenafil treatment similarly inhibits PDE5 activity in the penis of young and aged rats ($p<0.05$), coincident with its free plasma concentrations equivalent to clinically therapeutic ranges[2].

Solubility Information

Solubility	Ethanol: 4 mg/mL0, H2O: 92 mg/mL, DMSO: 5.71 mg/mL (10 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.7523 mL	8.7615 mL	17.523 mL
5 mM	0.3505 mL	1.7523 mL	3.5046 mL
10 mM	0.1752 mL	0.8761 mL	1.7523 mL
50 mM	0.035 mL	0.1752 mL	0.3505 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

Asger Andersen, et al. Pulmonary Circulation. 2013, 3(3):599-610.
Musicki B, et al. J Urol. 174(4 Pt 1):1493-6.