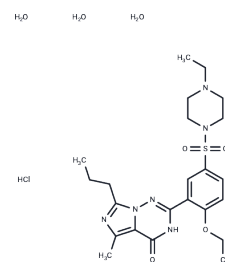


Vardenafil hydrochloride trihydrate

Chemical Properties

CAS No. :	330808-88-3
Formula:	C ₂₃ H ₃₂ N ₆ O ₄ S·HCl·3H ₂ O
Molecular Weight:	579.11
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	Vardenafil hydrochloride trihydrate (BAY38-9456) is a new type PDE inhibitor with IC ₅₀ of 0.7 and 180 nM for PDE5 and PDE1, respectively.
Targets(IC ₅₀)	Endogenous Metabolite,PDE
In vitro	Vardenafil specifically inhibits the hydrolysis of cGMP by PDE5 with an IC ₅₀ of 0.7 nM (6.6 nM). Vardenafil significantly enhances the SNP-induced relaxation of human trabecular smooth muscle at 3 nM (10 nM). Vardenafil also significantly potentiates both ACh-induced and transmural electrical stimulation-induced relaxation of trabecular smooth muscle. Vardenafil (100 mM) increases cyclic GMP levels in rat hippocampal slices. Vardenafil, tadalafil, and Sildenafil each competitively inhibit cGMP hydrolysis by phosphodiesterase-5 (PDE5), thereby fostering cGMP accumulation and relaxation of vascular smooth muscle.
In vivo	Vardenafil dose-dependently potentiates erectile responses to intravenously administered sodium nitroprusside in rabbit. Vardenafil (3 mg/kg, p.o.) results in an improved object discrimination performance in rats. Vardenafil (30 mg/L, p.o.) increases both iNOS and proliferating cell nuclear antigen expression (SM cell replication) in rats, with normalization of the dynamic infusion cavernosometry drop rate and SM/collagen ratio. Vardenafil induces powerful preconditioning-like cardioprotective effect against ischemia/reperfusion injury through the opening of mitochondrial K(ATP) channels in the heart of rabbit. Vardenafil protects the ischemic myocardium against reperfusion injury through a mechanism dependent on mitochondrial K(ATP) channel opening.

Solubility Information

Solubility	DMSO: 93 mg/mL (160.59 mM),Sonication is recommended. H ₂ O: 10 mg/mL (17.27 mM),Sonication is recommended. Ethanol: 16 mg/mL (27.63 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: 3.3 mg/mL (5.7 mM),Sonication is recommended. <i>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</i>

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In vivo Formulation	<i>vary and should be modified based on specific experimental conditions.</i>
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.7268 mL	8.6339 mL	17.2679 mL
5 mM	0.3454 mL	1.7268 mL	3.4536 mL
10 mM	0.1727 mL	0.8634 mL	1.7268 mL
50 mM	0.0345 mL	0.1727 mL	0.3454 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

- Saenz de Tejada I, et al. Int J Impot Res, 2001, 13(5), 282-290.
- Prickaerts J, et al. Neuroscience, 2002, 113(2), 351-361.
- Blount MA, et al. Mol Pharmacol, 2004, 66(1), 144-152.
- Ferrini MG, et al. Urology, 2006, 68(2), 429-435.
- Salloum FN, et al. J Mol Cell Cardiol, 2007, 42(2), 453-458.

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