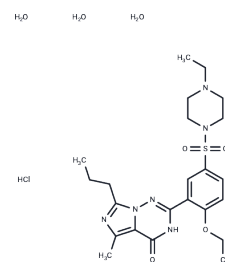


Vardenafil hydrochloride trihydrate

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

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|----------------------------|--|
| 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> |
|---------------------|---|

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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