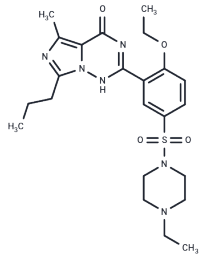
Data Sheet (Cat.No.T0096)

TargetMol

Vardenafil

Chemical Properties



CAS No. : 224785-90-4

Formula: C23H32N6O4S

Molecular Weight: 488.60

Storage: Store at low temperature
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 (Vivanza) is an oral therapy for the treatment of erectile dysfunction. It is a selective inhibitor of cyclic guanosine monophosphate (cGMP)-specific phosphodiesterase type 5 (PDE5). Penile erection is a hemodynamic process initiated by the relaxation of smooth muscle in the corpus cavernosum and its associated arterioles. During sexual stimulation, nitric oxide is released from nerve endings and endothelial cells in the corpus cavernosum. Nitric oxide activates the enzyme guanylate cyclase resulting in increased synthesis of cyclic guanosine monophosphate (cGMP) in the smooth muscle cells of the corpus cavernosum. The cGMP in turn triggers smooth muscle relaxation, allowing increased blood flow into the penis, resulting in erection. The tissue concentration of cGMP is regulated by both the rates of synthesis and degradation via phosphodiesterases (PDEs). The most abundant PDE in the human corpus cavernosum is the cGMPspecific phosphodiesterase type 5 (PDE5); therefore, the inhibition of PDE5 enhances erectile function by increasing the amount of cGMP.
Targets(IC50)	Endogenous Metabolite,PDE
In vitro	Vardenafil, through the mechanism of mitochondrial K(ATP) channel opening, protects against myocardial ischemia due to reperfusion injury. Oral administration of 3 mg/kg Vardenafil alters object recognition capability in rats. A dose of 30 mg/L orally also upregulates iNOS and proliferating cell nuclear antigen expression (replication of SM cells), leading to the normalization of the rate of dynamic corporal tissue fusion decline and the SM/collagen ratio. Furthermore, Vardenafil induces robust preconditioning-like cardioprotective effects against ischemia/reperfusion injury in rabbits by opening mitochondrial K(ATP) channels. Additionally, it dose-dependently induces an erectile response in rabbits when administered intravenously with Nitroprusside sodium.
In vivo	Vardenafil significantly induces relaxation of trabecular smooth muscle elicited by both acetylcholine and electrical stimulation. It competitively inhibits phosphodiesterase type 5 (PDE5), thus enhancing the accumulation and relaxation effect of cyclic guanosine monophosphate (cGMP) in vascular smooth muscle. Its IC50 for specifically inhibiting PDE5-mediated cGMP hydrolysis is 0.7 nM (6.6 nM). At 3 nM (10 nM), Vardenafil notably potentiates the relaxation of human trabecular smooth muscle induced by SNP. At 100 mM, Vardenafil significantly increases cGMP levels in rat hippocampus.

Solubility Information

Solubility	DMSO: 45 mg/mL (92.1 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: 2 mg/mL (4.09 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	2.0467 mL	10.2333 mL	20.4666 mL
5 mM	0.4093 mL	2.0467 mL	4.0933 mL
10 mM	0.2047 mL	1.0233 mL	2.0467 mL
50 mM	0.0409 mL	0.2047 mL	0.4093 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.