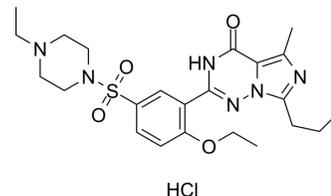


Vardenafil hydrochloride

Cat. No.:	HY-B0442A
CAS No.:	224785-91-5
Molecular Formula:	C ₂₃ H ₃₃ ClN ₆ O ₄ S
Molecular Weight:	525.06
Target:	Phosphodiesterase (PDE); Endogenous Metabolite
Pathway:	Metabolic Enzyme/Protease
Storage:	4°C, sealed storage, away from moisture * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (190.45 mM; Need ultrasonic)
 H₂O : ≥ 100 mg/mL (190.45 mM)
 * "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
	1 mM	1.9045 mL	9.5227 mL	19.0454 mL
	5 mM	0.3809 mL	1.9045 mL	3.8091 mL
	10 mM	0.1905 mL	0.9523 mL	1.9045 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

- Add each solvent one by one: PBS
Solubility: 120 mg/mL (228.55 mM); Clear solution; Need ultrasonic
- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
Solubility: ≥ 2.5 mg/mL (4.76 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
Solubility: ≥ 2.5 mg/mL (4.76 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil
Solubility: ≥ 2.5 mg/mL (4.76 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Vardenafil hydrochloride is a selective and orally active inhibitor of phosphodiesterase-5 (PDE5), with an IC₅₀ of 0.7 nM. Vardenafil hydrochloride shows inhibitory towards PDE1, PDE6 with IC₅₀s of 180 nM, and 11 nM, while IC₅₀s are >1000 nM for PDE3 and PDE4^[1]. Vardenafil hydrochloride competitively inhibits cyclic guanosine monophosphate (cGMP) hydrolysis and thus increases cGMP levels^[2]. Vardenafil hydrochloride can be used for the research of erectile dysfunction, hepatitis, diabetes^{[1]-[6]}.

IC₅₀ & Target	PDE5 0.7 nM (IC ₅₀)	PDE6 11 nM (IC ₅₀)	PDE1 180 nM (IC ₅₀)	PDE3 >1000 nM (IC ₅₀)
	PDE4 >1000 nM (IC ₅₀)			
In Vitro	<p>Vardenafil hydrochloride specifically inhibits the hydrolysis of cGMP by PDE5 with an IC₅₀ of 0.7 nM^[1].</p> <p>Vardenafil hydrochloride increases intracellular cGMP levels in the cavernosum tissue of the penis, thus results increasing the dilation of the body's sinuses and blood flow^[3].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>			
In Vivo	<p>Vardenafil hydrochloride (I.V.; 0.03 mg/kg) exhibits facilitator effects in rats with cavernous nerve injury^[4].</p> <p>Vardenafil hydrochloride (I.V.; 0.17 mg/kg once daily; 7 days) protects liver against Con A-induced hepatitis, and decreases the expression of NF-^[5].</p> <p>Vardenafil hydrochloride (P.O.; 10 mg/kg once daily; 25 weeks) prevents the reduction of tissue cGMP levels and the increase in 3-NT generation in ZDF hearts^[6].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>			
	Animal Model:	Male rat (9-week-old) underwent surgery for laparotomy or bilateral cavernous nerve (CN) crush injury ^[4]		
	Dosage:	0.03 mg/kg		
	Administration:	Intravenous injection		
	Result:	Restored normal erectile responses with a combind administration of BAY 60-4552 (0.03, 0.3 mg/kg).		
	Animal Model:	Liver injury induced by Con A in male Swiss albino mice (20 ± 2 g) ^[5]		
	Dosage:	0.17 mg/kg		
	Administration:	Intravenous injection; once daily, for 7 days; as a pretreatment		
	Result:	Reduced the levels of serum transaminases and alleviated Con A-induced hepatitis.		
	Animal Model:	Male 7-week-old Zucker diabetic fatty (ZDF) rats (preserved ejection fraction, HFpEF) ^[6]		
	Dosage:	10 mg/kg		
	Administration:	Oral gavage; once daily, for 25 weeks		
	Result:	Improved myofilament function in diabetic rat hearts.		

CUSTOMER VALIDATION

- Life Sci. 15 November 2022, 120992.
- Anim Cells Syst (Seoul). 2019 May 16;23(3):155-163.

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REFERENCES

- [1]. Gresser U, et al. Erectile dysfunction: comparison of efficacy and side effects of the PDE-5 inhibitors sildenafil, vardenafil and tadalafil--review of the literature. *Eur J Med Res.* 2002 Oct 29. 7(10):435-46.
- [2]. Oudot A, et al. Combination of BAY 60-4552 and vardenafil exerts proerectile facilitator effects in rats with cavernous nerve injury: a proof of concept study for the treatment of phosphodiesterase type 5 inhibitor failure. *Eur Urol.* 2011 Nov. 60(5):1020-6.
- [3]. Ahmed N, et al. Hepatoprotective role of vardenafil against experimentally induced hepatitis in mice. *J Biochem Mol Toxicol.* 2017 Mar. 31(3).
- [4]. Bódi B, et al. Long-Term PDE-5A Inhibition Improves Myofilament Function in Left and Right Ventricular Cardiomyocytes through Partially Different Mechanisms in Diabetic Rat Hearts. *Antioxidants (Basel).* 2021 Nov 6. 10(11):1776.
- [5]. Ashour AE, et al. Vardenafil dihydrochloride. *Profiles Drug Subst Excip Relat Methodol.* 2014;39:515-544.
- [6]. Saenz de Tejada I, et al. The phosphodiesterase inhibitory selectivity and the in vitro and in vivo potency of the new PDE5 inhibitor vardenafil. *Int J Impot Res.* 2001;13(5):282-290.
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Caution: Product has not been fully validated for medical applications. For research use only.

Tel: 609-228-6898

Fax: 609-228-5909

E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA