Vardenafil

Cat. No.: HY-B0442

CAS No.: 224785-90-4

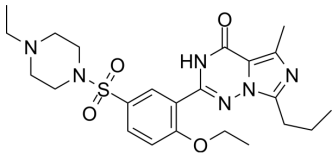
Molecular Formula: $C_{23}H_{32}N_6O_4S$

Molecular Weight: 488.6

Target: Phosphodiesterase (PDE); Endogenous Metabolite

Pathway: Metabolic Enzyme/Protease

Storage: 4°C, sealed storage, away from moisture and light
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 25 mg/mL (51.17 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	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

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (5.12 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.12 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.12 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Vardenafil is a selective and orally active inhibitor of phosphodiesterase-5 (PDE5), with an IC_{50} of 0.7 nM. Vardenafil shows inhibitory towards PDE1, PDE6 with IC_{50}s of 180 nM, and 11 nM, while IC_{50}s are >1000 nM for PDE3 and PDE4[1]. Vardenafil competitively inhibits cyclic guanosine monophosphate (cGMP) hydrolysis and thus increases cGMP levels[2]. Vardenafil can be used for the research of erectile dysfunction, hepatitis, diabetes[1]-[6].

IC_{50} & Target

PDE5	PDE6	PDE1	PDE3
0.7 nM (IC_{50})	11 nM (IC_{50})	180 nM (IC_{50})	>1000 nM (IC_{50})

PDE4

	>1000 nM (IC_{50})
In Vitro	Vardenafil specifically inhibits the hydrolysis of cGMP by PDE5 with an IC_{50} of 0.7 nM[1]. Vardenafil 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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Vardenafil (I.V.; 0.03 mg/kg) exhibits facilitator effects in rats with cavernous nerve injury[4]. Vardenafil (I.V.; 0.17 mg/kg once daily; 7 days) protects liver against Con A–induced hepatitis, and decreases the expression of NF-⊠B and iNOS in hepatic tissue[5]. Vardenafil (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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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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