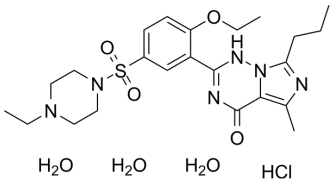
Vardenafil hydrochloride trihydrate

Cat. No.:	HY-B0442B
CAS No.:	330808-88-3
Molecular Formula:	$C_{23}H_{39}ClN_6O_7S$
Molecular Weight:	579.11
Target:	Endogenous Metabolite; Phosphodiesterase (PDE)
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)



BIOLOGICAL ACTIVITY

Description

Vardenafil hydrochloride trihydrate is a selective and orally active inhibitor of phosphodiesterase-5 (PDE5), with an IC_{50} of 0.7 nM. Vardenafil hydrochloride trihydrate 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 hydrochloride trihydrate competitively inhibits cyclic guanosine monophosphate (cGMP) hydrolysis and thus increases cGMP levels[2]. Vardenafil hydrochloride trihydrate 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 hydrochloride trihydrate specifically inhibits the hydrolysis of cGMP by PDE5 with an IC_{50} of 0.7 nM[1].
Vardenafil hydrochloride trihydrate 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 hydrochloride trihydrate (I.V.; 0.03 mg/kg) exhibits facilitator effects in rats with cavernous nerve injury[4].
Vardenafil hydrochloride trihydrate (I.V.; 0.17 mg/kg once daily; 7 days) protects liver against Con A–induced hepatitis, and decreases the expression of NF-ΚB and iNOS in hepatic tissue[5].
Vardenafil hydrochloride trihydrate (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.

See more customer validations on www.MedChemExpress.com

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]. 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.

[6]. Ashour AE, et al. Vardenafil dihydrochloride. Profiles Drug Subst Excip Relat Methodol. 2014;39:515-544.

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