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## Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

## Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand


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# Vardenafil

**Cat. No.:** HY-B0442

**CAS No.:** 224785-90-4

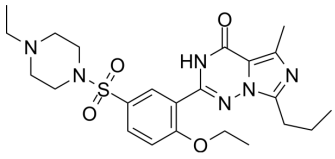
**Molecular Formula:** $C_{23}H_{32}N_6O_4S$

**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].<br>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].<br>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].<br>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].<br>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].<br>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.

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]. 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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