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## Lieferung & Zahlungsart

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## Zuschläge

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# Vardenafil-$d_5$ hydrochloride

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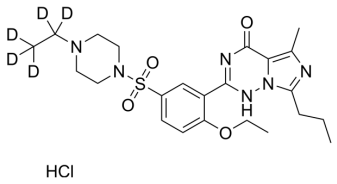
| **Cat. No.:** | HY-B0442AS |
| **Molecular Formula:** | $C_{23}H_{28}D_5ClN_6O_4S$ |
| **Molecular Weight:** | 530.09 |
| **Target:** | Endogenous Metabolite; Phosphodiesterase (PDE); Isotope-Labeled Compounds |
| **Pathway:** | Metabolic Enzyme/Protease; Others |
| **Storage:** | Please store the product under the recommended conditions in the Certificate of Analysis. |




## BIOLOGICAL ACTIVITY

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| **Description** | Vardenafil-$d_5$ hydrochloride is deuterated labeled Vardenafil hydrochloride (HY-B0442A). Vardenafil hydrochloride is a selective and orally active inhibitor of phosphodiesterase-5 (PDE5), with an $IC_{50}$ of 0.7 nM. Vardenafil hydrochloride 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 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]. |
| **In Vitro** | Stable heavy isotopes of hydrogen, carbon, and other elements have been incorporated into drug molecules, largely as tracers for quantitation during the drug development process. Deuteration has gained attention because of its potential to affect the pharmacokinetic and metabolic profiles of drugs[1].<br>Vardenafil hydrochloride specifically inhibits the hydrolysis of cGMP by PDE5 with an $IC_{50}$ of 0.7 nM[2].<br>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[4].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |
| **In Vivo** | Vardenafil hydrochloride (I.V.; 0.03 mg/kg) exhibits facilitator effects in rats with cavernous nerve injury[5].<br>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-[6].<br>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[7].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |

## 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.

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[3]. 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.

[4]. 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.

[5]. 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.

[6]. Ahmed N, et al. Hepatoprotective role of vardenafil against experimentally induced hepatitis in mice. J Biochem Mol Toxicol. 2017 Mar. 31(3).

[7]. Russak EM, et al. Impact of Deuterium Substitution on the Pharmacokinetics of Pharmaceuticals. Ann Pharmacother. 2019 Feb;53(2):211-216.

**Caution: Product has not been fully validated for medical applications. For research use only.**

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