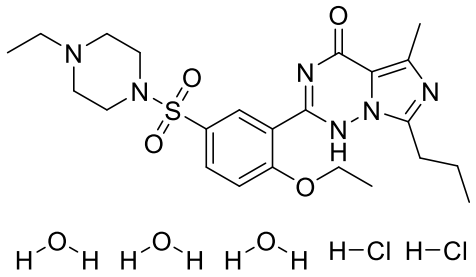
Product data sheet

MedKoo Cat#: 326826 Name: Vardenafil hydrochloride trihydrate CAS#: 330808-88-3 Chemical Formula: $C_{23}H_{40}Cl_2N_6O_7S$ Molecular Weight: 615.568	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:

Vardenafil, also known as BAY 38-9456, is a PDE5 inhibitor used for treating erectile dysfunction. Vardenafil (VAR) is synthetic, highly selective, and potent inhibitor of phosphodiesterase-5 which competitively inhibits cyclic guanosine monophosphate (cGMP) hydrolysis and thus increases cGMP levels. It is clinically approved for treatment of erectile dysfunction in men, including diabetic and postprostatectomy patients.

2. CoA, QC data, SDS, and handling instruction

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	51.0	82.85
Ethanol	50.0	81.23
H2O	10.0	16.25

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	1.62 mL	8.12 mL	16.25 mL
5 mM	0.32 mL	1.62 mL	3.25 mL
10 mM	0.16 mL	0.81 mL	1.62 mL
50 mM	0.03 mL	0.16 mL	0.32 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator

Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study

In vitro study

1. Mao F, Han B, Jiang D, Zhang X, Pang T, Fan Y. The Phosphodiesterase-5 Inhibitor Vardenafil Improves the Activation of BMP Signaling in Response to Hydrogen Peroxide. Cardiovasc Drugs Ther. 2020 Feb;34(1):41-52. doi: 10.1007/s10557-020-06939-5. PMID: 32096002.

2. Dhooghe B, Bouzin C, Mottais A, Hermans E, Delion M, Panin N, Noel S, Leal T. Vardenafil increases intracellular accumulation of the most prevalent mutant cystic fibrosis transmembrane conductance regulator (CTFR) in human bronchial epithelial cells. Biol Open. 2020 Aug 25;9(8):bio053116. doi: 10.1242/bio.053116. PMID: 32747447; PMCID: PMC7473651.

In vivo study

1. Lubamba B, Huaux F, Lebacq J, Marbaix E, Dhooghe B, Panin N, Wallemacq P, Leal T. Immunomodulatory activity of vardenafil on induced lung inflammation in cystic fibrosis mice. J Cyst Fibros. 2012 Jul;11(4):266-73. doi: 10.1016/j.jcf.2012.03.003. Epub 2012 Apr 12. PMID: 22503062.

2. Ahmed N, Bakhashwain NF, Alsehemi AF, El-Agamy DS. Hepatoprotective role of vardenafil against experimentally induced hepatitis in mice. J Biochem Mol Toxicol. 2017 Mar;31(3). doi: 10.1002/jbt.21867. Epub 2016 Oct 20. PMID: 27762466.

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7. Bioactivity

Biological target:

Vardenafil HCl Trihydrate (BAY38-9456) is a new type PDE inhibitor with IC50 of 0.7 and 180 nM for PDE5 and PDE1, respectively.

In vitro activity

This study determined the protective role of vardenafil on H2O2-induced endothelial cell injury in cultured human umbilical vein endothelial cells (HUVECs). Vardenafil decreased the number of TUNEL-positive cells, increased the Bcl2/Bax ratio, and ameliorated the numbers of BrdU-positive cells in H2O2-treated HUVECs. The bone morphogenetic protein receptor (BMPR)/p-Smad/MSX2 pathway was enhanced in response to H2O2, and vardenafil treatment could normalize this pathway. To determine whether the BMP pathway is involved, the BMP pathway was blocked using dorsomorphin, which abolished the protective effects of vardenafil. It was found that vardenafil improved the H2O2-induced downregulation of BMP-binding endothelial regulator protein (BMPER), which possibly intersects with the BMP pathway in the regulation of endothelial cell injury in response to oxidative stress. Vardenafil can attenuate H2O2-induced endothelial cell injury in HUVECs. Vardenafil decreases apoptosis through an improved Bcl-2/Bax ratio and increases cell proliferation. Vardenafil also protects against endothelial cell injury through ameliorating the intracellular oxidative stress level and BMPER expression. In conclusion, the protective role of vardenafil on H2O2-induced endothelial cell injury is mediated through BMPR/p-Smad/MSX2 in HUVECs.

Reference: Cardiovasc Drugs Ther. 2020 Feb;34(1):41-52. https://pubmed.ncbi.nlm.nih.gov/32096002/

In vivo activity

This study tested the hypothesis that vardenafil, a common drug used for improving erectile dysfunction and able to partially normalize transepithelial chloride transport in cystic fibrosis (CF), modulates CF lung inflammation. Inflammatory markers in lungs of F508del-CF and wild-type mice were monitored in response to lipopolysaccharide from Pseudomonas aeruginosa (LPS). The effect of pretreatment with vardenafil (0.14 mg/kg) was evaluated. Under LPS-induced inflammation, vardenafil reduced responses in LDH activity by 55% in wild-type mice and by 35% in F508del-CF mice. The immunomodulatory effect of vardenafil was confirmed on responses of pro-inflammatory TNF-α, IL-6 and IL-1β (Fig. 2D-F). Indeed, both F508del-CF and wild-type mice treated with vardenafil showed a lessened (1.5 to 3 times lower) production of cytokines as compared to the corresponding non-vardenafil pre-treated LPS challenged group. Under influence of vardenafil, significant reduction (by 66%) in CCL-2 response was observed in the LPS-challenged CF group, but not in the corresponding wild-type group. Additionally, IL-1β response was significantly attenuated by vardenafil in CF only. Altogether, these data indicate that vardenafil has an anti-inflammatory effect that seems to be more pronounced in F508del-CF mice, in particular on macrophage infiltration, CCL-2 and IL-1β responses.

Reference: J Cyst Fibros. 2012 Jul;11(4):266-73. https://pubmed.ncbi.nlm.nih.gov/22503062/