# Product Data Sheet

Product Name: Vardenafil HCl Trihydrate
Cat. No.: GC10588

## Chemical Properties

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| Cas. No. | 330808-88-3 | | |
| Chemical Name | 2-[2-ethoxy-5-(4-ethylpiperazin-1-yl)sulfonylphenyl]-5-methyl-7-propyl-1H-imidazo[5,1-f][1,2,4]triazin-4-one | | |
| SMILES | CCCC1=NC(=C2N1NC(=NC2=O)C3=C(C=CC(=C3)S(=O)(=O)N4CCN(CC4)CC)OCC)C | | |
| Formula | $C_{23}H_{32}N_6O_4S.HCl.3H_2O$ | M.Wt | 579.11 |
| Solubility | ≥ 13.3 mg/mL in DMSO, ≥ 3.42 mg/mL in EtOH with ultrasonic and warming, ≥ 95 mg/mL in Water | Storage | Store at -20°C |
| General tips | For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months. | | |
| Shipping Condition | Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request. | | |
| Structure | Vardenafil HCl Trihydrate | | |

## Protocol

### Kinase experiment [1]:


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| Enzyme inhibition assays | PDE5 and 3H-cGMP were added in DMSO (final concentration of DMSO 0.1%). Incubation period was 15 min at 30 ℃. All tests were done in duplicate and were repeated at least three times. The IC50 value for the PDE5 inhibition were determined from sigmoidal curves, fitted to plots of enzyme activity vs log compound concentration using a GraphPad curve fitting program. |

**Cell experiment [1]:**

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| Cell lines | Human trabecular smooth muscle cells |
| Preparation method | This compound is soluble in DMSO. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20 °C for several months. |
| Reaction Conditions | 3, 10 or 30 nM |
| Applications | In human trabecular smooth muscle strips, Vardenafil HCl Trihydrate significantly enhanced SNP-induced relaxation. |

**Animal experiment [1]:**

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| Animal models | A conscious rabbit model |


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| Dosage form | 0.1, 0.3, 1 or 3 mg/kg; p.o. |
| Applications | In a conscious rabbit model, Vardenafil HCl Trihydrate dose-dependently potentiated erectile responses induced by SNP. |
| Other notes | Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal. |

References:

[1]. Saenz de Tejada I1, Angulo J, Cuevas P, Fernández A, Moncada I, Allona A, Lledó E, K?rschen HG, Niew? hner U, Haning H, Pages E, Bischoff E.The phosphodiesterase inhibitory selectivity and the in vitro and in vivo potency of the new PDE5 inhibitor vardenafil. Int J Impot Res. 2001 Oct;13(5):282-90.

### Background

Vardenafil is a potent and selective inhibitor of phosphodiesterase type5 (PDE5) with the IC50 value of 0.7 nM in enzymatic assay in vitro [1]. Vardenafil HCl Trihydrate is a salt form of vardenafil. [1]

Vardenafil has shown a greater selective inhibition towards PDE5 than PDEs from bovine, with the IC50 values of 180nM,>10000nM, 2500nM, 4000nM and 11nM for PDE1, PDE2, PDE3, PDE4 and PDE6, respectively. Besides, Vardenafil has been reported to

significantly enhance the SNP-induced, ACh-induced and transmural electrical stimulation-induced relaxation of human trabecular smooth muscle. Vardenafil has been revealed to increase the amount of cGMP in human corpus cavernosum. Apart from these, Vardenafil has also enhanced the length of penile in the conscious rabbit [1].

Reference:

[1] Saenz de Tejada I1, Angulo J, Cuevas P, Fernández A, Moncada I, Allona A, Lledó E, Körschen HG, Niewöhner U, Haning H, Pages E, Bischoff E.The phosphodiesterase inhibitory selectivity and the in vitro and in vivo potency of the new PDE5 inhibitor vardenafil. Int J Impot Res. 2001 Oct;13(5):282-90.