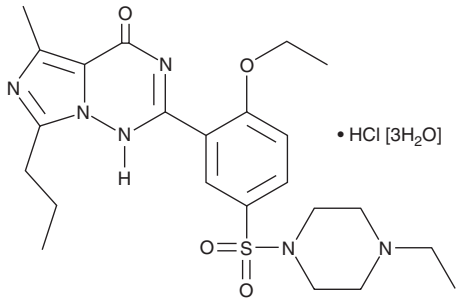
PRODUCT INFORMATION

Vardenafil (hydrochloride hydrate)

Item No. 14930

CAS Registry No.: 330808-88-3
Formal Name: 2-[2-ethoxy-5-[(4-ethyl-1-piperazinyl) sulfonyl]phenyl]-5-methyl-7-propyl-imidazo[5,1-f][1,2,4]triazin-4(1H)-one, monohydrochloride trihydrate
MF: $C_{23}H_{32}N_6O_4S \bullet HCl\ [3H_2O]$
FW: 579.1
Purity: ≥98%
UV/Vis.: λ_{max}: 215 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Vardenafil (hydrochloride hydrate) is supplied as a crystalline solid. A stock solution may be made by dissolving the vardenafil (hydrochloride hydrate) in the solvent of choice, which should be purged with an inert gas. Vardenafil (hydrochloride hydrate) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of vardenafil (hydrochloride hydrate) in these solvents is approximately 0.5, 2, and 0.3 mg/ml, respectively.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of vardenafil (hydrochloride hydrate) can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of vardenafil (hydrochloride hydrate) in PBS (pH 7.2) is approximately 10 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

Vardenafil is a potent inhibitor of phosphodiesterase 5 (PDE5; IC_{50}s = 0.2-1.2 nM) and PDE6 (IC_{50} = 2 nM).[1-3] It is selective for PDE5 and PDE6 over PDE1 and PDE11 (IC_{50}s = 230 and 130 nM, respectively). Vardenafil (4 mg/kg per day for three weeks) improves erectile function in a rat model of acute arteriogenic erectile dysfunction by increasing intracavernous pressure and mean arterial pressure, and this effect persists for at least two weeks following the end of treatment.[4] Chronic vardenafil administration at a dose of 2 mg/kg for five weeks in subordinate mice reduces the latency to mount and increases the frequency of mounting behavior.[5] Formulations containing vardenafil have been used in the treatment of erectile dysfunction.

References

1. Card, G.L., England, B.P., Suzuki, Y., *et al. Structure* **12(12)**, 2233-2247 (2004).
2. Boyle, C.D., Xu, R., Asberom, T., *et al. Bioorg. Med. Chem. Lett.* **15(9)**, 2365-2369 (2005).
3. Smith, W.B.II., McCaslin, I.R., Gokce, A., *et al. Int. J. Clin. Pract.* **67(8)**, 768-780 (2013).
4. Hotta, Y., Ohno, R., Kataoka, T., *et al. J. Sex Med.* **9(7)**, 1782-1788 (2012).
5. Dadomo, H., Parmigiani, S., Nicolini, Y., *et al. Behav. Brain Res.* **253**, 103-112 (2013).

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