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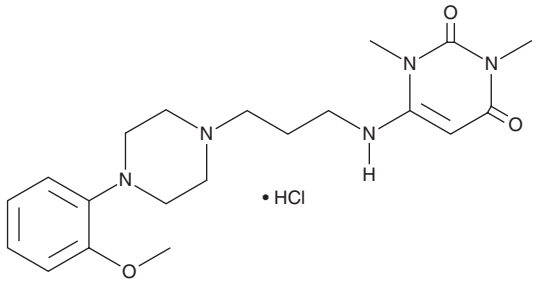
PRODUCT INFORMATION

Urapidil (hydrochloride)

Item No. 29004

CAS Registry No.: 64887-14-5
Formal Name: 6-[[3-[4-(2-methoxyphenyl)-1-piperazinyl]propyl]amino]-1,3-dimethyl-2,4(1H,3H)-pyrimidinedione monohydrochloride
MF: $C_{20}H_{29}N_5O_3 \bullet HCl$
FW: 423.9
Purity: ≥98%
UV/Vis.: λ_{max}: 267 nm
Supplied as: A solid
Storage: -20°C
Stability: ≥2 years



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

Laboratory Procedures

Urapidil (hydrochloride) is supplied as a solid. A stock solution may be made by dissolving the urapidil (hydrochloride) in the solvent of choice, which should be purged with an inert gas. Urapidil (hydrochloride) is soluble in the organic solvent DMSO at a concentration of approximately 3 mg/ml. Urapidil (hydrochloride) is slightly soluble in the organic solvent dimethyl formamide.

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 urapidil (hydrochloride) can be prepared by directly dissolving the solid in aqueous buffers. The solubility of urapidil (hydrochloride) in PBS, pH 7.2, is approximately 10 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

Urapidil is an antagonist of α_1-adrenergic receptors (α_1-ARs) and a partial agonist of the serotonin (5-HT) receptor subtype 5-HT_{1A}.[1,2] It selectively binds to α_1- over α_2-ARs (IC_{50}s = 0.74 and 42 µM, respectively) and to 5-HT_{1A} over 5-HT_{1B} and 5-HT_2 receptors (IC_{50}s = 0.4, 20.4, and >10 µM, respectively) in rat cortex.[1] Urapidil inhibits cAMP accumulation induced by forskolin in calf hippocampus as a functional model for 5-HT_{1A} receptors (EC_{50} = 390 nM).[3] It is also a β_1-AR antagonist that inhibits the positive chronotropic response induced by isoproterenol (Item No. 15592) in isolated rat atria (pA_2 = 6.05).[4] Urapidil (1 µmol/kg, i.v.) lowers mean arterial pressure (MAP) in anesthetized cats, an effect that is reduced by central administration of the 5-HT_{1A} receptor antagonist spiroxatrine.[5]

References

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2. Van Zwieten, P.A. *Am. J. Cardiol.* **64(7),** 1D-6D (1989).
3. Schoeffter, P. and Hoyer, D. *Br. J. Pharmacol.* **95(3),** 975-985 (1988).
4. Verberne, A.J. and Rand, M.J. *Eur. J. Pharmacol.* **108(2),** 193-196 (1985).
5. Kolassa, N., Beller, K.D., and Sanders, K.H. *Am. J. Cardiol.* **64(7),** 7D-10D (1989).

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