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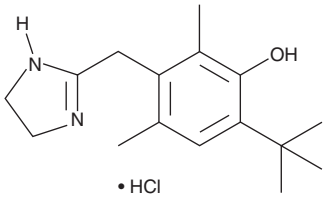
PRODUCT INFORMATION

Oxymetazoline (hydrochloride)

Item No. 23826

CAS Registry No.: 2315-02-8
Formal Name: 3-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-6-(1,1-dimethylethyl)-2,4-dimethyl-phenol, monohydrochloride
Synonym: SCH 9384
MF: $C_{16}H_{24}N_2O \bullet HCl$
FW: 296.8
Purity: ≥95%
Supplied as: A crystalline 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

Oxymetazoline (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the oxymetazoline (hydrochloride) in the solvent of choice. Oxymetazoline (hydrochloride) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of oxymetazoline (hydrochloride) is approximately 25 mg/ml in ethanol and DMSO and approximately 20 mg/ml in DMF.

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 oxymetazoline (hydrochloride) can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of oxymetazoline (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

Oxymetazoline is an agonist of α_1- and α_2-adrenergic receptors (α_1- and α_2-ARs; K_ds = 6, 320, and 390 nM for α_{1A}-, α_{1B}-, and α_{1D}-ARs, respectively, K_i = 15 nM for α_2-AR).[1,2] It is also an agonist of the serotonin (5-HT) receptor subtype 5-HT_1 (K_ds = 4.68, 25.7, and 5.01 nM for 5-HT_{1A}, 5-HT_{1B}, and 5-HT_{1D}, respectively).[3] Oxymetazoline increases intracellular calcium levels in CHO cells transfected with the α_{1A}-AR (EC_{50} = 40.7 nM), but does not increase it measurably in cells transfected with the α_{1B}- or α_{1D}-AR (EC_{50}s = 79.4 and 240 nM, respectively).[1] It acts as a partial agonist of the α_2-AR in isolated perfused rat heart (IC_{50} = 63 nM and EC_{50} = 13.5 nM for norepinephrine release).[4,5] Oxymetazoline also acts as an agonist and antagonist of the 5-HT_{1C} receptor in the presence of methiothepin (K_d = 110 nM; Item No. 23138) and clonidine (K_d = 257 nM; Item No. 15949), respectively.[3] In functional second messenger assays, oxymetazoline inhibits forskolin-stimulated adenylate cyclase activity (EC_{50}s = 18.6, 24.0, and 44.7 nM in tissues expressing high levels of 5-HT_{1A}, 5-HT_{1B}, 5-HT_{1D} receptors, respectively) and accumulation of inositol phosphates (EC_{50} = 269 nM in pig choroid plexus preparations, which highly express 5-HT_{1C} receptors). Oxymetazoline (0.2 µg/kg) decreases nasal pressure in dogs by 6.9%.[6] Formulations containing oxymetazoline have been used as nasal decongestants.

References

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3. Schoeffter, P. and Hoyer, D. *Eur. J. Pharmacol.* **196(2)**, 213-216 (1991).
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