

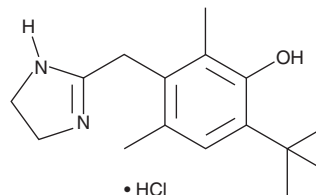
# PRODUCT INFORMATION



## 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<sub>16</sub>H<sub>24</sub>N<sub>2</sub>O • HCl  
**FW:** 296.8  
**Purity:** ≥95%  
**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

Oxymetazoline (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the oxymetazoline (hydrochloride) in the solvent of choice, which should be purged with an inert gas. Oxymetazoline (hydrochloride) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). 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  $\alpha_1$ - and  $\alpha_2$ -adrenergic receptors ( $\alpha_1$ - and  $\alpha_2$ -ARs;  $K_d$ s = 6, 320, and 390 nM for  $\alpha_{1A}$ -,  $\alpha_{1B}$ -, and  $\alpha_{1D}$ -ARs, respectively,  $K_i$  = 15 nM for  $\alpha_2$ -AR).<sup>1,2</sup> It is also an agonist of the serotonin (5-HT) receptor subtype 5-HT<sub>1</sub> ( $K_d$ s = 4.68, 25.7, and 5.01 nM for 5-HT<sub>1A</sub>, 5-HT<sub>1B</sub>, and 5-HT<sub>1D</sub>, respectively).<sup>3</sup> Oxymetazoline increases intracellular calcium levels in CHO cells transfected with the  $\alpha_{1A}$ -AR ( $EC_{50}$  = 40.7 nM), but does not increase it measurably in cells transfected with the  $\alpha_{1B}$ - or  $\alpha_{1D}$ -AR ( $EC_{50}$ s = 79.4 and 240 nM, respectively).<sup>1</sup> It acts as a partial agonist of the  $\alpha_2$ -AR in isolated perfused rat heart ( $IC_{50}$  = 63 nM and  $EC_{50}$  = 13.5 nM for norepinephrine release).<sup>4,5</sup> Oxymetazoline also acts as an agonist and antagonist of the 5-HT<sub>1C</sub> receptor in the presence of methiothepin ( $K_d$  = 110 nM; Item No. 23138) and clonidine ( $K_d$  = 257 nM; Item No. 15949), respectively.<sup>3</sup> 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<sub>1A</sub>, 5-HT<sub>1B</sub>, 5-HT<sub>1D</sub> receptors, respectively) and accumulation of inositol phosphates ( $EC_{50}$  = 269 nM in pig choroid plexus preparations, which highly express 5-HT<sub>1C</sub> receptors). Oxymetazoline (0.2  $\mu$ g/kg) decreases nasal pressure in dogs by 6.9%.<sup>6</sup> Formulations containing oxymetazoline have been used as nasal decongestants.

### References

1. Horie, K., Obika, K., Foglar, R., *et al.* *Br. J. Pharmacol.* **116**(1), 1611-1618 (1995).
2. Rouot, B., Quenenedey, M.C., and Schwartz, J. *Naunyn Schmiedeberg's Arch. Pharmacol.* **321**(4), 253-259 (1982).
3. Schoeffter, P. and Hoyer, D. *Eur. J. Pharmacol.* **196**(2), 213-216 (1991).
4. Fuder, H., Bath, F., Wiebelt, H., *et al.* *Naunyn Schmiedeberg's Arch. Pharmacol.* **325**(1), 25-33 (1984).
5. Fuder, H., Braun, H.-J., and Schimkus, R. *J. Pharmacol. Exp. Ther.* **237**(1), 237-245 (1986).
6. Carrillo, L., Kishimoto, T., and Aviado, D.M. *Ann. Otol. Rhinol. Laryngol.* **78**(2), 415-424 (1969).

#### WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

#### SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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