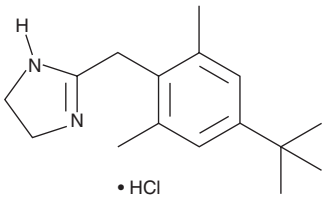
PRODUCT INFORMATION

Xylometazoline (hydrochloride)

Item No. 27797

CAS Registry No.: 1218-35-5
Formal Name: 2-[[4-(1,1-dimethylethyl)-2,6-dimethylphenyl]methyl]-4,5-dihydro-1H-imidazole, monohydrochloride
MF: $C_{16}H_{24}N_2 \bullet HCl$
FW: 280.8
Purity: ≥98%
Supplied as: A 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

Xylometazoline (hydrochloride) is supplied as a solid. A stock solution may be made by dissolving the xylometazoline (hydrochloride) in the solvent of choice, which should be purged with an inert gas. Xylometazoline (hydrochloride) is soluble in the organic solvent ethanol at a concentration of approximately 5 mg/ml.

Xylometazoline (hydrochloride) is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, xylometazoline (hydrochloride) should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. Xylometazoline (hydrochloride) has a solubility of approximately 0.25 mg/ml in a 1:3 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Xylometazoline is an α-adrenergic receptor (α-AR) agonist that binds to α_{1A}-, α_{1B}-, α_{1D}-, α_{2A}-, α_{2B}-, and α_{2C}-ARs in a radioligand binding assay (IC_{50}s = 0.08, 0.56, 0.45, 0.98, 1.8, and 0.22 µM, respectively).[1] It increases intracellular calcium levels in HEK293 cells transfected with human α_{2B}-ARs (EC_{50} = 99 µM) but not other α-ARs. Xylometazoline is also an agonist of the serotonin (5-HT) receptor subtype 5-HT_1 (K_is = 0.7 and 14 nM for the human 5-HT_{1D} and 5-HT_{1B} receptors, respectively).[2] It inhibits forskolin-induced cAMP production in CHO Pro 5 cells expressing human 5-HT_{1D} and 5-HT_{1B} receptors by 62 and 49%, respectively, when used at a concentration of 1 µM. Xylometazoline (0.25 µg/ml) induces contraction of isolated dog nasal mucosal blood vessels and reduces nasal patency in dogs when administered topically or intravenously.[3]

References

1. Haenisch, B., Waltstab, J., Herberhold, S., *et al.* Alpha-adrenoceptor agonistic activity of oxymetazoline and xylometazoline. *Fundam. Clin. Pharmacol.* **24(6)**, 729-739 (2010).
2. Law, H., Dukat, M., Teitler, M., *et al.* Benzylimidazolines as h5-$HT_{1B/1D}$ serotonin receptor ligands: A structure-affinity investigation. *J. Med. Chem.* **41(13)**, 2243-2251 (1998).
3. Jackson, R.T. and Birnbaum, J.E. A comparison of a synthetic prostaglandin and xylometazoline hydrochloride as nasal decongestants. *Otolaryngol. Head Neck Surg.* **90(5)**, 595-597 (1982).

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