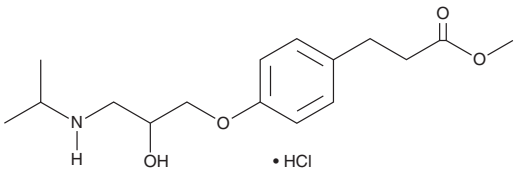
PRODUCT INFORMATION

Esmolol (hydrochloride)

Item No. 22581

CAS Registry No.: 81161-17-3
Formal Name: 4-[2-hydroxy-3-[(1-methylethyl)amino] propoxy]-benzenepropanoic acid, methyl ester, monohydrochloride
Synonym: ASL 8052
MF: $C_{16}H_{25}NO_4$ • HCl
FW: 331.8
Purity: ≥98%
UV/Vis.: λ_{max}: 224, 276, 283 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

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

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

Esmolol is a β_1-adrenergic receptor (β_1-AR) antagonist.[1] It binds to β_1-ARs (K_d = 100 nM in isolated cardiac myocytes) and is 34-fold selective for β_1- over β_2-ARs.[1,2] Esmolol also inhibits L-type Ca^{2+} currents ($I_{Ca.L}$) and the fast Na^+ current (I_{Na}) in rat cardiac myocytes (IC_{50}s = 50 and 169 µM, respectively), which results in complete ventricular arrest at concentrations greater than or equal to 1 mM.[1] Formulations containing esmolol have been used in the treatment of cardiac arrhythmias, postoperative hypertension, and acute ischemic heart disease, as well as to minimize myocardial contraction during cardiac surgery and attenuate the adrenergic response associated with tracheal intubation.

References

1. Fallouh, H.B., Bardswell, S.C., McLatchie, L.M., *et al.* Esmolol cardioplegia: The cellular mechanism of diastolic arrest. *Cardiovasc. Res.* **87(3)**, 552-560 (2010).
2. Jahn, P., Eckrich, B., Schneidrowski, B., *et al.* β1-adrenoceptor subtype selective antagonism of esmolol and its major metabolite in vitro and in man. Investigations using tricresylphosphate as red blood cell carboxylesterase inhibitor. *Arznei.-Forschung* **45(5)**, 536-541 (1995).

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