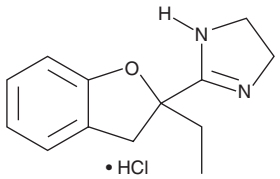
PRODUCT INFORMATION

Efaroxan (hydrochloride)

Item No. 29008

CAS Registry No.:	89197-00-2
Formal Name:	2-(2-ethyl-2,3-dihydro-2-benzofuranyl)-4,5-dihydro-1H-imidazole, monohydrochloride
Synonyms:	(±)-Efaroxan, RX-821037
MF:	$C_{13}H_{16}N_2O$ • HCl
FW:	252.7
Purity:	≥98%
UV/Vis.:	λ_{max}: 216, 277, 283 nm
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

Efaroxan (hydrochloride) is supplied as a solid. A stock solution may be made by dissolving the efaroxan (hydrochloride) in the solvent of choice, which should be purged with an inert gas. Efaroxan (hydrochloride) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of efaroxan (hydrochloride) in ethanol and DMSO is approximately 10 mg/ml and is approximately 15 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 efaroxan (hydrochloride) can be prepared by directly dissolving the solid in aqueous buffers. The solubility of efaroxan (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

Efaroxan is an α_2-adrenergic receptor (α_2-AR; EC_{50}s = <10 µM) and imidazoline I_1 receptor antagonist.[1] It binds to α_{2A}-, α_{2B}-, and α_{2C}-ARs (K_is = 13, 38, and 1,820 nM, respectively) and selectively to I_1 over I_2 receptors (K_is = 52 and >10,000 nM, respectively). Efaroxan is an I_3 agonist that binds to putative I_3 receptors on human pancreatic islets of Langerhans and stimulates insulin secretion when used at a concentration of 100 µM.[2] It also binds to rat RIN-5AH insulinoma cell membranes (IC_{50} = 32 nM) and stimulates insulin secretion when used at a concentration of 100 µM.[3] Efaroxan (5 mg/kg) lowers basal blood glucose levels in mice.[4] It also increases 3,4-dihydroxyphenylalanine (L-DOPA; Item No. 13248) synthesis in rat cortex and hippocampus by 77 and 57%, respectively, when administered at a dose of 10 mg/kg.[5]

References

1. Eglen, R.M., Hudson, A.L., Kendall, D.A., *et al. Trends Pharamacol. Sci.* **19(9),** 381-390 (1998).
2. Cooper, E.J., Hudson, A.L., Parker, C.A., *et al. Eur. J. Pharmacol.* **482(1-3),** 189-196 (2003).
3. Olmos, G., Kulkarni, R.N., Munirul, H., *et al. Eur. J. Pharmacol.* **262(1-2),** 41-48 (1994).
4. Mayer, G. and Taberner, P.V. *Eur. J. Pharmacol.* **454(1),** 95-102 (2002).
5. Saster-Coll, A., Esteban, S., and Garcia-Sevilla, J.A. *Naunyn Schmiedebergs Arch. Pharmacol.* **360(1),** 50-62 (1999).

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