

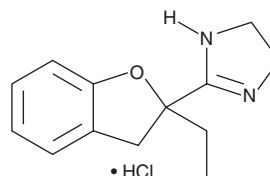
# PRODUCT INFORMATION



## 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<sub>13</sub>H<sub>16</sub>N<sub>2</sub>O • HCl  
**FW:** 252.7  
**Purity:** ≥98%  
**UV/Vis.:** λ<sub>max</sub>: 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  $\alpha_2$ -adrenergic receptor ( $\alpha_2$ -AR; EC<sub>50</sub> = <10  $\mu$ M) and imidazoline I<sub>1</sub> receptor antagonist.<sup>1</sup> It binds to  $\alpha_{2A}$ ,  $\alpha_{2B}$ , and  $\alpha_{2C}$ -ARs (K<sub>i</sub>s = 13, 38, and 1,820 nM, respectively) and selectively to I<sub>1</sub> over I<sub>2</sub> receptors (K<sub>i</sub>s = 52 and >10,000 nM, respectively). Efaroxan is an I<sub>3</sub> agonist that binds to putative I<sub>3</sub> receptors on human pancreatic islets of Langerhans and stimulates insulin secretion when used at a concentration of 100  $\mu$ M.<sup>2</sup> It also binds to rat RIN-5AH insulinoma cell membranes (IC<sub>50</sub> = 32 nM) and stimulates insulin secretion when used at a concentration of 100  $\mu$ M.<sup>3</sup> Efaroxan (5 mg/kg) lowers basal blood glucose levels in mice.<sup>4</sup> 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.<sup>5</sup>

### References

1. Eglén, R.M., Hudson, A.L., Kendall, D.A., *et al.* *Trends Pharmacol. 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).

#### 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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