Efaroxan hydrochloride

Cat. No.: HY-B1416A

CAS No.: 89197-00-2

Molecular Formula: $C_{13}H_{17}ClN_2O$

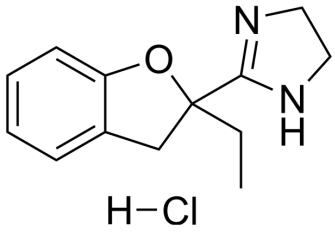
Molecular Weight: 252.74

Target: Adrenergic Receptor; Imidazoline Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage: 4°C, sealed storage, away from moisture

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (197.83 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	3.9566 mL	19.7832 mL	39.5664 mL
	5 mM	0.7913 mL	3.9566 mL	7.9133 mL
	10 mM	0.3957 mL	1.9783 mL	3.9566 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (9.89 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (9.89 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (9.89 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Efaroxan hydrochloride is a potent, selective and orally active α2-adrenoceptor antagonist, with antidiabetic activity. Efaroxan hydrochloride is a selective I1-Imidazoline receptor antagonist. Efaroxan hydrochloride can be used for the research of cardiovascular disease[1][2][3].

IC_{50} & Target

α adrenergic receptor

In Vitro

Efaroxan hydrochloride binds to I1-imidazoline and α2-adrenergic receptors in bovine rostral ventrolateral medulla membranes, with K_is of 0.15 nM and 5.6 nM, respectively[1]

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo	Efaroxan hydrochloride increases plasma insulin levels in both conscious fed and fasted rats without greatly affecting plasma glucoselevels[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male Sprague-Dawley rats (weight range 250-300g)[3]
Dosage:	1 mg/kg, 5 mg/kg
Administration:	Oral administration
Result:	Produced a significant increase in plasma insulin levels of starved rats 15 and 30 min after treatment.

REFERENCES

[1]. T L Berridge, et al. Selectivity profile of the alpha 2-adrenoceptor antagonist efaroxan in relation to plasma glucose and insulin levels in the rat. Eur J Pharmacol. 1992 Mar 24;213(2):205-12.

[2]. A O Abdel-Zaher, et al. The potential antidiabetic activity of some alpha-2 adrenoceptor antagonists. Pharmacol Res. 2001 Nov;44(5):397-409.

[3]. T L Berridge, et al. Comparison of the effects of efaroxan and glibenclamide on plasma glucose and insulin levels in rats. Eur J Pharmacol. 1992 Mar 24;213(2):213-8.

[4]. Berridge TL, et al. Selectivity profile of the alpha 2-adrenoceptor antagonist efaroxan in relation to plasma glucose and insulin levels in the rat. Eur J Pharmacol. 1992 Mar 24;213(2):205-12.

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