Idazoxan hydrochloride

Cat. No.: HY-14561A

CAS No.: 79944-56-2

Molecular Formula: $C_{11}H_{13}ClN_2O_2$

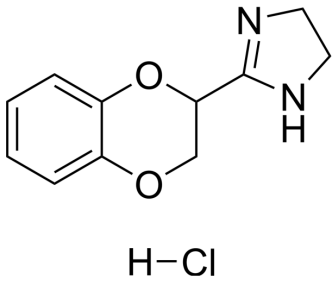
Molecular Weight: 240.69

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 : 125 mg/mL (519.34 mM; Need ultrasonic)

H_2O : 100 mg/mL (415.47 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	4.1547 mL	20.7736 mL	41.5472 mL
5 mM	0.8309 mL	4.1547 mL	8.3094 mL
10 mM	0.4155 mL	2.0774 mL	4.1547 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: ≥ 2.08 mg/mL (8.64 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (8.64 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (8.64 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Idazoxan hydrochloride (RX 781094 hydrochloride) is an α_2-adrenoceptor antagonist and is also a imidazoline receptors (IRs) antagonist competitively antagonized the centrally induced hypotensive effect of imidazoline-like drugs (IMs). Idazoxan hydrochloride also improves motor symptoms in Parkinson's disease, L-DOPA-induced dyskinesias, and experimental Parkinsonism[1][2].

IC_{50} & Target

α adrenergic receptor

In Vivo

Idazoxan (0.16-5 mg/kg; subcutaneous injection; for 1 hour; male CD-COBS rats) treatment potently reverses haloperidol-

induced catalepsy with an ED_{50} of 0.25 mg/kg. Idazoxan (0.3 and 2.5 mg/kg) has no effect on extracellular DA and do not modify the rise of extracellular DA induced by haloperidol[1].

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

Animal Model:	Male CD-COBS rats injected with 1 mg/kg haloperidol[1]
Dosage:	0.16 mg/kg, 0.31 mg/kg, 0.63 mg/kg, 1.25 mg/kg, 2.5 mg/kg, and 5.0 mg/kg
Administration:	Subcutaneous injection; for 1 hour
Result:	Potently reversed haloperidol-induced catalepsy with an ED_{50} of 0.25 mg/kg.

REFERENCES

[1]. Roberto W Invernizzi, et al. The α2-Adrenoceptor Antagonist Idazoxan Reverses Catalepsy Induced by Haloperidol in Rats Independent of Striatal Dopamine Release: Role of Serotonergic Mechanisms. Neuropsychopharmacology volume 28, pages872-879 (2003).

[2]. Bousquet P, et al. Participation of imidazoline receptors and alpha(2-)-adrenoceptors in the central hypotensive effects of imidazoline-like drugs. Ann N Y Acad Sci. 1999 Jun 21;881:272-8.

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