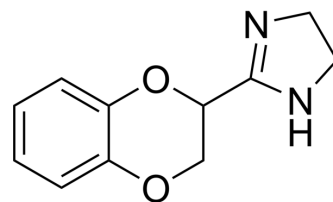


## Idazoxan hydrochloride

<b>Cat. No.:</b>	HY-14561A
<b>CAS No.:</b>	79944-56-2
<b>Molecular Formula:</b>	C <sub>11</sub> H <sub>13</sub> ClN <sub>2</sub> O <sub>2</sub>
<b>Molecular Weight:</b>	240.69
<b>Target:</b>	Adrenergic Receptor; Imidazoline Receptor
<b>Pathway:</b>	GPCR/G Protein; Neuronal Signaling
<b>Storage:</b>	4°C, sealed storage, away from moisture * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



H-Cl

### SOLVENT & SOLUBILITY

#### In Vitro

DMSO : 125 mg/mL (519.34 mM; Need ultrasonic)  
H<sub>2</sub>O : 100 mg/mL (415.47 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent Concentration	Mass		
		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

- Add each solvent one by one: PBS  
Solubility: 50 mg/mL (207.74 mM); Clear solution; Need ultrasonic
- 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
- 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
- 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<sub>2</sub>-adrenoceptor antagonist and is also a imidazoline receptors (IRs) antagonist competitively antagonized the centrally induced hypotensive effect of imidazoline-like agents (IMs). Idazoxan hydrochloride also improves motor symptoms in Parkinson's disease, L-DOPA-induced dyskinesias, and experimental Parkinsonism<sup>[1][2]</sup>.

#### IC<sub>50</sub> & 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<sub>50</sub> 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<sup>[1]</sup>.

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 <sup>[1]</sup>
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 <sub>50</sub> of 0.25 mg/kg.

## REFERENCES

[1]. Roberto W Invernizzi, et al. The  $\alpha$ 2-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 NY Acad Sci.* 1999 Jun 21;881:272-8.

**Caution: Product has not been fully validated for medical applications. For research use only.**

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