

# Product data sheet



MedKoo Cat#: 530009 Name: Idazoxan HCl CAS: 79944-56-2 (HCl) Chemical Formula: C <sub>11</sub> H <sub>13</sub> ClN <sub>2</sub> O <sub>2</sub> Molecular Weight: 240.687	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years. In solvent: -80°C 3 months; -20°C 2 weeks.

## 1. Product description:

An alpha<sub>2</sub>-adrenoceptor antagonist potentially for the treatment of schizophrenia.

## 2. CoA, QC data, SDS, and handling instruction

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under “QC And Documents” section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

## 3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	125.0	519.35
Water	62.04	257.74

## 4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	4.15 mL	20.77 mL	41.55 mL
5 mM	0.83 mL	4.15 mL	8.31 mL
10 mM	0.42 mL	2.08 mL	4.15 mL
50 mM	0.08 mL	0.42 mL	0.83 mL

## 5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator

Please refer the product web page under section of “Calculator”

## 6. Recommended literature which reported protocols for in vitro and in vivo study

### In vitro study

1. Xuanfei L, Hao C, Zhujun Y, Yanming L, Jianping G. Imidazoline I2 receptor inhibitor idazoxan regulates the progression of hepatic fibrosis via Akt-Nrf2-Smad2/3 signaling pathway. *Oncotarget*. 2017 Mar 28;8(13):21015-21030. doi: 10.18632/oncotarget.15472. PMID: 28423499; PMCID: PMC5400562.

### In vivo study

1. Semenova S, Markou A. The alpha<sub>2</sub> adrenergic receptor antagonist idazoxan, but not the serotonin-2A receptor antagonist M100907, partially attenuated reward deficits associated with nicotine, but not amphetamine, withdrawal in rats. *Eur Neuropsychopharmacol*. 2010 Oct;20(10):731-46. doi: 10.1016/j.euroneuro.2010.05.003. Epub 2010 Jun 3. PMID: 20627663; PMCID: PMC3545706.

2. Buck K, Voehringer P, Ferger B. The alpha(2) adrenoceptor antagonist idazoxan alleviates L-DOPA-induced dyskinesia by reduction of striatal dopamine levels: an in vivo microdialysis study in 6-hydroxydopamine-lesioned rats. *J Neurochem*. 2010 Jan;112(2):444-52. doi: 10.1111/j.1471-4159.2009.06482.x. Epub 2009 Nov 6. PMID: 19895663.

## 7. Bioactivity

### Biological target:

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 drugs (IMs). Idazoxan hydrochloride also improves motor symptoms in Parkinson’s disease, L-DOPA-induced dyskinesias, and experimental Parkinsonism.

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## In vitro activity

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The results showed that IDA (idazoxan) increased the expression of HO-1 and NQO-1, improved the enzyme activities of SOD and GPx, and inhibited the levels of p-Smad2, p-Smad3,  $\alpha$ -SMA and Col1 in control shRNA group, while all these effects of IDA were abolished in Nrf2 shRNA group (Figure 6A–6D). Thus, this study concluded that IDA inhibited TGF- $\beta$ /Smad signaling in LX2 cells via the activation of Nrf2 pathway.

Reference: Oncotarget. 2017 Mar 28;8(13):21015-21030. <https://pubmed.ncbi.nlm.nih.gov/28423499/>

## In vivo activity

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Results showed that only 50% of nicotine-withdrawing rats treated with idazoxan exhibited increased number of somatic signs compared to 100% of nicotine-withdrawing rats treated with saline, indicating attenuation of somatic aspects of nicotine withdrawal with idazoxan treatment (Fisher's exact test,  $p < 0.05$ ). In both control groups, 25% of saline-“withdrawing” rats treated with either saline or idazoxan exhibited somatic signs. This pattern of results reflects partial efficacy of idazoxan treatment on the expression of somatic signs during nicotine withdrawal.

Reference: Eur Neuropsychopharmacol. 2010 Oct;20(10):731-46. <https://pubmed.ncbi.nlm.nih.gov/20627663/>

*Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.*