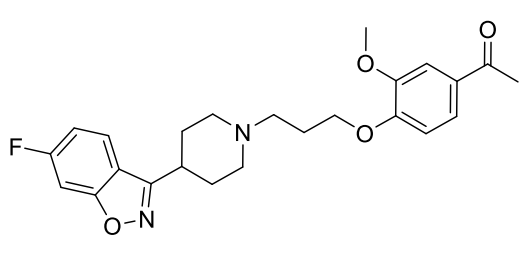


# Product data sheet



MedKoo Cat#: 318053 Name: Iloperidone CAS: 133454-47-4 Chemical Formula: C <sub>24</sub> H <sub>27</sub> FN <sub>2</sub> O <sub>4</sub> Exact Mass: 426.1955 Molecular Weight: 426.4806		
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:

Iloperidone is an atypical antipsychotic for the treatment of schizophrenia symptoms.

## 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	38.5	90.27
Ethanol	4.0	9.38

## 4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.34 mL	11.72 mL	23.45 mL
5 mM	0.47 mL	2.34 mL	4.69 mL
10 mM	0.23 mL	1.17 mL	2.34 mL
50 mM	0.05 mL	0.23 mL	0.47 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

- Thériault RK, St-Denis M, Hewitt T, Khokhar JY, Lalonde J, Perreault ML. Sex-Specific Cannabidiol- and Iloperidone-Induced Neuronal Activity Changes in an In Vitro MAM Model System of Schizophrenia. *Int J Mol Sci.* 2021 May 24;22(11):5511. doi: 10.3390/ijms22115511. PMID: 34073710; PMCID: PMC8197248.
- Danek PJ, Wójcikowski J, Daniel WA. The atypical neuroleptics iloperidone and lurasidone inhibit human cytochrome P450 enzymes in vitro. Evaluation of potential metabolic interactions. *Pharmacol Rep.* 2020 Dec;72(6):1685-1694. doi: 10.1007/s43440-020-00102-5. Epub 2020 Apr 11. PMID: 32279279; PMCID: PMC7704495.

### In vivo study

- Danek PJ, Daniel WA. Long-Term Treatment with Atypical Antipsychotic Iloperidone Modulates Cytochrome P450 2D (CYP2D) Expression and Activity in the Liver and Brain via Different Mechanisms. *Cells.* 2021 Dec 9;10(12):3472. doi: 10.3390/cells10123472. PMID: 34943983; PMCID: PMC8700221.
- Kongsamut S, Roehr JE, Cai J, Hartman HB, Weissensee P, Kerman LL, Tang L, Sandrasagra A. Iloperidone binding to human and rat dopamine and 5-HT receptors. *Eur J Pharmacol.* 1996 Dec 19;317(2-3):417-23. doi: 10.1016/s0014-2999(96)00840-0. PMID: 8997630.

## 7. Bioactivity

Biological target:

# Product data sheet



Iloperidone (HP 873) is a D2/5-HT2 receptor antagonist.

## In vitro activity

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Iloperidone exerted a strong inhibitory effect on the activity of CYP3A4 ( $K_i = 0.38$  and  $0.3 \mu\text{M}$  in liver microsomes and supersomes, respectively) and CYP2D6 ( $K_i = 2.9$  and  $10 \mu\text{M}$  in liver microsomes and supersomes, respectively). Moreover, iloperidone attenuated the activity of CYP2C19 ( $K_i = 6.5$  and  $32 \mu\text{M}$  in liver microsomes and supersomes, respectively) and CYP1A2 ( $K_i = 45$  and  $31 \mu\text{M}$  in liver microsomes and supersomes, respectively).

Reference: Pharmacol Rep. 2020 Dec;72(6):1685-1694. <https://pubmed.ncbi.nlm.nih.gov/32279279/>

## In vivo activity

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In conclusion, by affecting CYP2D activity in the brain, iloperidone may modify its pharmacological effect, via influencing the rate of dopamine and serotonin synthesis or the metabolism of neurosteroids. By elevating the CYP2D expression/activity in the substantia nigra and striatum (i.e., in the dopaminergic nigrostriatal pathway), iloperidone may attenuate extrapyramidal symptoms, while by decreasing the CYP2D activity and metabolism of neurosteroids in the frontal cortex and cerebellum, iloperidone can have beneficial effects in the treatment of schizophrenia. In the liver, pharmacokinetic interactions involving chronic iloperidone and CYP2D substrates are likely to occur.

Reference: Cells. 2021 Dec 9;10(12):3472. <https://pubmed.ncbi.nlm.nih.gov/34943983/>

*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.*