Iloperidone

Cat. No.: HY-17410

CAS No.: 133454-47-4

Molecular Formula: $C_{24}H_{27}FN_2O_4$

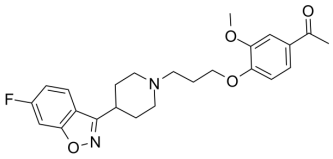
Molecular Weight: 426.48

Target: 5-HT Receptor; Dopamine Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (117.24 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.3448 mL	11.7239 mL	23.4478 mL
5 mM	0.4690 mL	2.3448 mL	4.6896 mL
10 mM	0.2345 mL	1.1724 mL	2.3448 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 (5.86 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.86 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Iloperidone (HP 873) is a D_2/5-HT_2 receptor antagonist. Iloperidone is an atypical antipsychotic for the schizophrenia symptoms[1][2].

IC_{50} & Target

Rat D_2 Receptor 54 nM (Ki)	Rat 5-HT_2 Receptor 3.1 nM (Ki)	Rat D_1 Receptor 546 nM (Ki)	Rat 5-HT_{1A} Receptor 168 nM (Ki)
Rat 5-HT_6 Receptor 42.7 μM (Ki)	Rat 5-HT_7 Receptor 21.6 nM (Ki)	Human D_1 Receptor 216 nM (Ki)	Human D_3 Receptor 7.1 nM (Ki)
Human D_4 Receptor	Human D_5 Receptor	Human 5-HT_{2A} Receptor	Human 5-HT_{2C} Receptor

	25 nM (Ki)	319 nM (Ki)	5.6 nM (Ki)	42.8 nM (Ki)

In Vitro

Iloperidone displays higher affinity for the dopamine D_3 receptor (K_i=7.1 nM) than for the dopamine D_4 receptor (K_i=25 nM). Iloperidone displays high affinity for the 5-HT_6 and 5-HT_7 receptors (K_i=42.7 and 21.6 nM, respectively), and is found to have higher affinity for the 5-HT_{2A} (Ki=5.6 nM) than for the 5-HT_{2C} receptor (K_i=42.8 nM)[1].

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

In Vivo

Iloperidone is eliminated slowly, with a mean $t_{1/2}$ of 13.5 to 14.0 hours. Coadministration with food did not significantly affect AUC, t_{max}, or C_{max}. These results indicate that the rate of iloperidone's absorption is decreased, but the overall bioavailability is unchanged, when the drug is taken with food. Orthostatic hypotension, dizziness, and somnolence were the most commonly reported adverse events[2].

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

CUSTOMER VALIDATION

- Neuroreport. 2021 Nov 2;32(16):1299-1306.

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REFERENCES

[1]. Kongsamut, S., et al., Iloperidone binding to human and rat dopamine and 5-HT receptors. Eur J Pharmacol, 1996. 317(2-3): p. 417-23.

[2]. Sainati, S.M., et al., Safety, tolerability, and effect of food on the pharmacokinetics of iloperidone (HP 873), a potential atypical antipsychotic. J Clin Pharmacol, 1995. 35(7): p. 713-20.

[3]. Albers, L.J., A. Musenga, and M.A. Raggi, Iloperidone: a new benzisoxazole atypical antipsychotic drug. Is it novel enough to impact the crowded atypical antipsychotic market? Expert Opin Investig Drugs, 2008. 17(1): p. 61-75.

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