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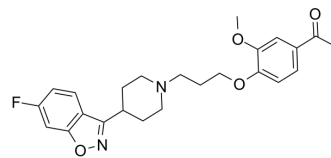
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Iloperidone

Cat. No.:	HY-17410
CAS No.:	133454-47-4
Molecular Formula:	C ₂₄ H ₂₇ FN ₂ O 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 Concentration	Mass	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 (K _i)	319 nM (K _i)	5.6 nM (K _i)	42.8 nM (K _i)
In Vitro	Iloperidone displays higher affinity for the dopamine D₃ receptor (K_i=7.1 nM) than for the dopamine D₄ receptor (K_i=25 nM). Iloperidone displays high affinity for the 5-HT₆ and 5-HT₇ receptors (K_i=42.7 and 21.6 nM, respectively), and is found to have higher affinity for the 5-HT_{2A} (K_i=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.

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

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