Iloperidone hydrochloride

Cat. No.: HY-17410A

CAS No.: 1299470-39-5

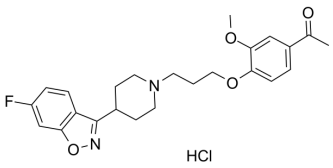
Molecular Formula: $C_{24}H_{28}ClFN_2O_4$

Molecular Weight: 462.94

Target: 5-HT Receptor; Dopamine Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

Iloperidone hydrochloride (HP 873 hydrochloride) is a D_2/5-HT_2 receptor antagonist. Iloperidone hydrochloride 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 nM (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 25 nM (Ki)	Human D_5 Receptor 319 nM (Ki)	Human 5-HT_{2A} Receptor 5.6 nM (Ki)	Human 5-HT_{2C} Receptor 42.8 nM (Ki)

In Vitro

Iloperidone hydrochloride 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 hydrochloride 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.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA