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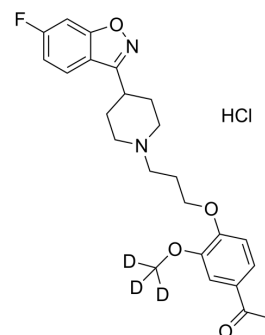
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Iloperidone-d₃ hydrochloride

Cat. No.:	HY-17410S1
Molecular Formula:	C ₂₄ H ₂₅ D ₃ ClFN ₂ O ₄
Molecular Weight:	465.96
Target:	Dopamine Receptor; 5-HT Receptor; Isotope-Labeled Compounds
Pathway:	GPCR/G Protein; Neuronal Signaling; Others
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Iloperidone-d ₃ hydrochloride is deuterated labeled Iloperidone (HY-17410). Iloperidone (HP 873) is a D ₂ /5-HT ₂ receptor antagonist. Iloperidone is an atypical antipsychotic for the schizophrenia symptoms ^{[1][2]} .
In Vitro	<p>Stable heavy isotopes of hydrogen, carbon, and other elements have been incorporated into drug molecules, largely as tracers for quantitation during the drug development process. Deuteration has gained attention because of its potential to affect the pharmacokinetic and metabolic profiles of drugs^[1].</p> <p>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)^[2].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>
In Vivo	<p>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^[3].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>

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.
- [4]. Russak EM, et al. Impact of Deuterium Substitution on the Pharmacokinetics of Pharmaceuticals. *Ann Pharmacother*. 2019 Feb;53(2):211-216.

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

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