Product Data Sheet

Product Name: Iloperidone hydrochloride
Cat. No.: GC10799

Chemical Properties

Cas. No.	1299470-39-5		
Chemical Name	1-(4-(3-(4-(6-fluorobenzo[d]isoxazol-3-yl)piperidin-1-yl)propoxy)-3-methoxyphenyl)ethanone hydrochloride		
SMILES	CC(C1=CC(OC)=C(OCCCN2CCC(C3=NOC4=C3C=CC(F)=C4)CC2)C=C1)=O.Cl		
Formula	$C_{24}H_{28}ClFN_2O_4$	M.Wt	462.94
Solubility	Soluble in DMSO	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	Iloperidone hydrochloride		

Background

Iloperidone (hydrochloride) is a D(2)/5-HT(2) receptor antagonistis, which is an atypical antipsychotic for the treatment of schizophrenia symptoms.Target: 5-HT receptor; Dopamine receptorIloperidone (hydrochloride) is the hydrochloride of iloperidone, iloperidone (HP 873; 1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy] -3-methoxyphenyl]ethanone) is a compound currently in clinical trials for the treatment of schizophrenia. Iloperidone displays affinity for dopamine D2 receptors and for 5-HT2A receptors and has a variety of in vivo activities suggestive of an atypical antipsychotic. Iloperidone displayed higher affinity for the dopamine D3 receptor (Ki = 7.1 nM) than for the dopamine D4 receptor (Ki = 25 nM). Iloperidone displayed high affinity for the 5-HT6 and 5-HT7 receptors (Ki = 42.7 and 21.6 nM, respectively), and was found to have higher affinity for the 5-HT2A (Ki = 5.6 nM) than for the 5-HT2C receptor (Ki = 42.8 nM) [1]. Iloperidone was eliminated slowly, with a mean t1/2 of 13.5 to 14.0 hours. Coadministration with food did not significantly affect AUC, tmax, or Cmax. These results indicate that the rate of iloperidone's absorption is decreased, but the overall

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bioavailability is unchanged, when the drug is taken with food. Orthostatic hypotension, dizziness, and somnolence were the most commonly reported adverse events [2]. Iloperidone pharmacokinetics and pharmacodynamics are presented herein, together with an evaluation of clinical safety and efficacy results [3].Clinical indications: Post traumatic stress disorder; Schizophrenia Toxicity: Commonly observed adverse reactions (incidence ≥5% and two-fold greater than placebo) were: dizziness, dry mouth, fatigue, nasal congestion, orthostatic hypotension, somnolence, tachycardia, and weight increased.

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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