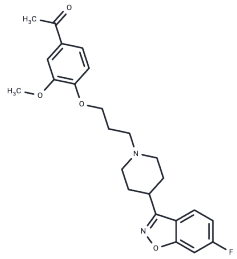
Data Sheet (Cat.No.T1539)

TargetMol

Iloperidone

Chemical Properties



CAS No. : 133454-47-4

Formula: C24H27FN2O4

Molecular Weight: 426.48

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Iloperidone (HP 873) is an atypical antipsychotic agent that is used for treatment of schizophrenia.
Targets(IC50)	5-HT Receptor,Adrenergic Receptor,Dopamine Receptor
In vitro	Iloperidone displays high affinity (Ki < 10 nM) for norepinephrine alpha(1)-adrenoceptors, dopamine D(3) and serotonin 5-HT(2A) receptors. [1] Iloperidone displays higher affinity for the dopamine D3 receptor (Ki = 7.1 nM) than for the dopamine D4 receptor (Ki = 25 nM). Iloperidone displays high affinity for the 5-HT6 and 5-HT7 receptors (Ki = 42.7 nM and 21.6 nM, respectively), and is found to have higher affinity for the 5-HT2A (Ki = 5.6 nM) than for the 5-HT2C receptor (Ki = 42.8 nM). [2] Iloperidone significantly increases dopa accumulation, an index of dopamine turnover in response to D2 receptor blockade, at doses from 0.3 mg/kg to 10 mg/kg i.p. in the striatum and from 1 mg/kg to 10 mg/kg in the nucleus accumbens. [3]
In vivo	Iloperidone exerts behavioral effects in pharmacological rats models of disrupted sensorimotor gating consistent with "atypical" antipsychotics, mediated by antagonism of dopaminergic and noradrenergic receptors. Iloperidone (1 and 3 mg/kg) prevents the PPI-disruptive effects of treatment with 1 mg/kg PCP. Iloperidone (0.3 mg/kg) prevents cirazoline-induced PPI deficits, independent of its effects on startle magnitude. [4] Iloperidone (10 mg/kg) and Melperone (10 mg/kg) produce an equivalent or a smaller increase in DA release in the nucleus accumbens (NAC) of rats, respectively, compared to the mPFC, whereas none of them increase acetylcholine (ACh) release in the NAC. [5]
Kinase Assay	Immunoblotting for the mTOR kinase assay: HEK293 cells are plated at 2-2.5×105 cells/well of a 12-well plate and serum-starved for 24 hours in DMEM. Cells are treated with increasing concentrations of Rapamycin (0.05-50 nM) for 15 minutes at 37 °C. Serum is added to a final concentration of 20% for 30 minutes at 37 °C. Cells are lysed, and cell lysates are separated by SDS-PAGE. Resolved proteins are transferred to a polyvinylidene difluoride membrane and immunoblotted with a phosphospecific primary antibody against Thr-389 of p70 S6 kinase. Data are analyzed using ImageQuant and KaleidaGr

Solubility Information

Solubility	Ethanol: 4 mg/mL (9.38 mM),Sonication is recommended. H2O: < 1 mg/mL (insoluble or slightly soluble), DMSO: 65 mg/mL (152.41 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (4.69 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.3448 mL	11.7239 mL	23.4478 mL
5 mM	0.469 mL	2.3448 mL	4.6896 mL
10 mM	0.2345 mL	1.1724 mL	2.3448 mL
50 mM	0.0469 mL	0.2345 mL	0.469 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Kalkman HO, et al. Neuropsychopharmacology, 2001, 25(6), 904-914.
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