Idalopirdine Hydrochloride

Cat. No.: HY-14338A

CAS No.: 467458-02-2

Molecular Formula: $C_{20}H_{20}ClF_5N_2O$

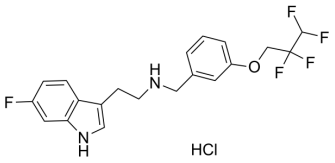
Molecular Weight: 434.83

Target: 5-HT Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage: 4°C, sealed storage, away from moisture

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 25 mg/mL (57.49 mM)

H_2O : 2 mg/mL (4.60 mM; ultrasonic and warming and heat to 60°C)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.2997 mL	11.4987 mL	22.9975 mL
5 mM	0.4599 mL	2.2997 mL	4.5995 mL
10 mM	0.2300 mL	1.1499 mL	2.2997 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 (5.75 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.75 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.75 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Idalopirdine Hydrochloride (Lu AE58054 Hydrochloride) is a potent, selective 5-HT6 receptor antagonist with a K_i value of 0.83 nM. Idalopirdine Hydrochloride may be used in studies of Alzheimer's disease and schizophrenia, among other related disorders[1][2].

IC_{50} & Target

5-HT_6 Receptor

0.83 nM (Ki)

In Vivo

Idalopirdine (intraperitoneal injection, 5 mg/kg, daily, 28 days) Hydrochloride can reduce food intake and body weight in over-eating rat models[1].

Idalopirdine (1 or 2 mg/kg, i.v) Hydrochloride can dose-dependently increase the gamma power during nPO electrical stimulation, enhance effect of donepezil on cortical gamma oscillations but no alteration of sleep-wake patterns in rats[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male Wistar rats[1]
Dosage:	5 mg/kg
Administration:	intraperitoneal injection, daily, 28 days
Result:	Significantly reduced the amount of calories consumed by animals in a palatable diet and significantly reduced plasma levels of glucose, triglycerides and cholesterol.

Animal Model:	Male Sprague-Dawley rats[2]
Dosage:	1 or 2 mg/kg
Administration:	i.v.
Result:	No significant increase in the gamma power at 1 mg/kg and significantly increased the gamma power at 2 mg/kg. Significantly enhanced and/or prolonged effect of low-dose donepezil (0.3 mg/kg) on gamma power during 60-minute nPO stimulation after donepezil administration.

REFERENCES

[1]. Magdalena Kotańska, et al. Idalopirdine, a selective 5-HT6 receptor antagonist, reduces food intake and body weight in a model of excessive eating. Metab Brain Dis. 2018 Jun;33(3):733-740.

[2]. Maria Amat-Foraster, et al. The 5-HT6 receptor antagonist idalopirdine potentiates the effects of donepezil on gamma oscillations in the frontal cortex of anesthetized and awake rats without affecting sleep-wake architecture. Neuropharmacology. 2017 Feb;113(Pt A):45-59.

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