Itopride hydrochloride

Cat. No.: HY-B0732

CAS No.: 122892-31-3

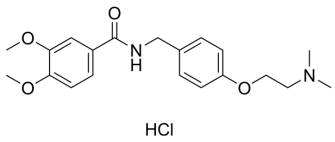
Molecular Formula: $C_{20}H_{27}ClN_2O_4$

Molecular Weight: 394.89

Target: Cholinesterase (ChE); Dopamine Receptor; Bacterial

Pathway: Neuronal Signaling; GPCR/G Protein; Anti-infection

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 : 100 mg/mL (253.24 mM; Need ultrasonic)
H_2O : 50 mg/mL (126.62 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.5324 mL	12.6618 mL	25.3235 mL
5 mM	0.5065 mL	2.5324 mL	5.0647 mL
10 mM	0.2532 mL	1.2662 mL	2.5324 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 100 mg/mL (253.24 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (6.33 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.33 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.33 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Itopride (HSR803) hydrochloride is a potent dopamine-2 antagonist and an acetylcholine esterase (AChE) inhibitor. Itopride hydrochloride enhances gastric motility through both antidopaminergic and anti-acetylcholinesterasic actions, can be used as a gastrointestinal prokinetic agent. Itopride can be used for researching gastro-esophageal reflux disease (GERD)[1][2].

IC_{50} & Target	D_2 Receptor	AChE

In Vitro

Itopride hydrochloride has prokinetic effects on both the ileum and colon, which are regulated through inhibitory effects on AChE and antagonistic effects on dopamine D2 receptor[3].

Itopride hydrochloride (0.1 nM-1 μM) significantly accelerats the propagation velocity of the peristalsis in ex guinea pig ileum [3].

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

In Vivo

Itopride hydrochloride (30 mg/kg; p.o.) significantly accelerates gastric emptying compared with the vehicle group[4].

Itopride hydrochloride (30 mg/kg; p.o.) displays C_{max} of 358 ‰, $T_{1/2}$ of 24.9 min[4].

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

Animal Model:	Male ddY-strain mice (23.7-28.5 g)[2]
Dosage:	3 mg/kg, 10 mg/kg, 30 mg/kg
Administration:	Oral administration
Result:	Accelerated gastric emptying at 30 mg/kg dose.

Animal Model:	Male ddY-strain mice (23.7-28.5 g)[2]
Dosage:	3 mg/kg, 10 mg/kg, 30 mg/kg (Pharmacokinetic Analysis)
Administration:	Oral administration
Result:	C_{max} (358 ‰), $T_{1/2}$ (24.9 min) at 30 mg/kg dose.

REFERENCES

[1]. Iwanaga Y, et al. A novel water-soluble dopamine-2 antagonist with anticholinesterase activity in gastrointestinal motor activity. Comparison with domperidone and neostigmine. Gastroenterology. 1990 Aug;99(2):401-8.

[2]. Kim YS, et al. Effect of itopride, a new prokinetic, in patients with mild GERD: a pilot study. World J Gastroenterol. 2005 Jul 21;11(27):4210-4.

[3]. Hyun Chul Lim, et al. Effect of Itopride Hydrochloride on the Ileal and Colonic Motility in Guinea Pig In Vitro. Effect of Itopride Hydrochloride on the Ileal and Colonic Motility in Guinea Pig In Vitro. Yonsei Med J. 2008 Jun 30;49(3):472-8.

[4]. Kenjiro Matsumoto, et al. Validation of 13 C-Acetic Acid Breath Test by Measuring Effects of Loperamide, Morphine, Mosapride, and Itopride on Gastric Emptying in Mice. Biol Pharm Bull. 2008 Oct;31(10):1917-22.

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