Cisapride

Cat. No.: HY-14149

CAS No.: 81098-60-4

Molecular Formula: $C_{23}H_{29}ClFN_3O_4$

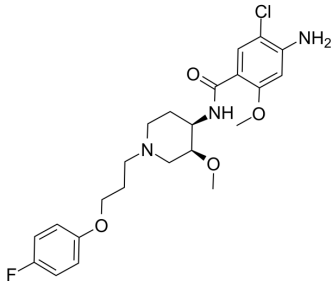
Molecular Weight: 465.95

Target: 5-HT Receptor; Potassium Channel

Pathway: GPCR/G Protein; Neuronal Signaling; Membrane Transporter/Ion Channel

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (214.62 mM; Need ultrasonic)

H_2O : < 0.1 mg/mL (insoluble)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.1462 mL	10.7308 mL	21.4615 mL
5 mM	0.4292 mL	2.1462 mL	4.2923 mL
10 mM	0.2146 mL	1.0731 mL	2.1462 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 (5.37 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.37 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Cisapride (R 51619) is an orally active 5-HT_4 receptor agonist with an EC_{50} value of 140 nM. Cisapride is a hERG blocker with an IC_{50} value of 9.4 nM. Cisapride is a gastroprokinetic agent that stimulates gastrointestinal motor activity[1][2][3][4].

IC_{50} & Target

5-HT_4 Receptor
0.14 μM (EC50)

In Vitro

Cisapride (1-100 nM) is a potent hERG blockers with an IC_{50} value of 9.4 nM[1].
Cisapride (1-100 nM) shows efficacy to 5-HT_4 receptor with an EC_{50} value of 140 nM[1].
Cisapride (0.3, 1, 3, 10 and 30 μM) dose-dependently inhibits Kv4.3 with an IC_{50} value of 9.8 μM in Kv4.3 potassium channels

	expressing CHO cells[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Cisapride (0.1-1 mg/kg; i.v., once) stimulates antral and colonic motility in conscious dogs[3]. Cisapride (2 mg/kg (i.p.); 4 mg/kg, (oral administration); once) shows no significant differences in macroscopic features, histopathological features, cytokines profile and bodyweight changes with TNBS-treated rats[4]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male Wistar rats with trinitrobenzenesulfonic-acid-(TNBS) induced rat colitis[4]
Dosage:	2 mg/kg (i.p.); 4 mg/kg, (oral administration)
Administration:	2 mg/kg, intraperitoneal injection ; 4 mg/kg, oral administration; once
Result:	Showed severe and intense transmural inflammation and diffuse necrosis, inflammatory granulomas and submucosal neutrophils infiltration in colitis rat. Induced body weight loss.

CUSTOMER VALIDATION

- ACS Omega. 2020 Nov 15;5(46):29935-29942.

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REFERENCES

[1]. Toga, T., Y. Kohmura, and R. Kawatsu, The 5-HT(4) agonists cisapride, mosapride, and CJ-033466, a Novel potent compound, exhibit different human ether-a-go-go-related gene (hERG)-blocking activities. J Pharmacol Sci, 2007. 105(2): p. 207-10.

[2]. Sung, K.W. and S.J. Hahn, Effect of mosapride on Kv4.3 potassium channels expressed in CHO cells. Naunyn Schmiedebergs Arch Pharmacol, 2013. 386(10): p. 905-16.

[3]. Mine, Y, et al. Comparison of effect of mosapride citrate and existing 5-HT4 receptor agonists on gastrointestinal motility in vivo and in vitro. J Pharmacol Exp Ther, 1997. 283(3): p. 1000-8.

[4]. Motavallian, A, et al., Does Cisapride, as a 5HT(4) Receptor Agonist, Aggravate the Severity of TNBS-Induced Colitis in Rat. Gastroenterol Res Pract, 2012. 2012: p. 362536.

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