Cisapride

Cat. No.: HY-14149

CAS No.: 81098-60-4

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

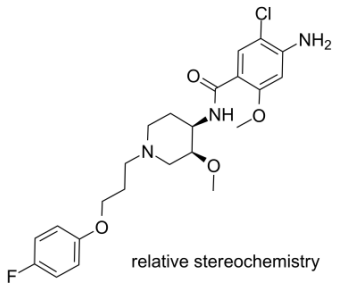
Molecular Weight: 465.95

Target: 5-HT Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



relative stereochemistry

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 a nonselective 5-HT4 receptor agonist, it is also a potent hERG potassium channel inhibitor.IC50 Value: 0.14 μM(EC50 for 5-HT4 receptor) [1]; 9.8 μM (Kv4.3) [2]Target: 5-HT4 Receptorin vitro: Cisapride showed higher inhibitory effects on a hERG current, as indicated by its IC50 of 9.4 × 10-9 M [1]. cisapride on cloned Kv4.3 channels stably expressed in Chinese hamster ovary cells were investigated using the whole-cell patch-clamp technique. Cisapride inhibited Kv4.3 in a concentration-dependent manner with IC50 values of 9.8 uM [2].in vivo: Cisapride (1 mg/kg i.v.), when administered 10 min after the start of GR113808 infusion, did not stimulate either antral or colonic motor activity under treatment with GR113808. The enhanced antral or colonic motor activity induced by these drugs was antagonized by treatment with GR113808 in dogs [3]. cisapride could not bring about more colitis damages through 5HT(4) receptors. Based on the present study further researches are required for investigating the exact roles of 5HT(4) receptors in the pathogenesis of ulcerative

	colitis[4].Toxicity: cardiac arrythmies
IC_{50} & Target	5-HT_4 Receptor 0.14 μM (EC50)

CUSTOMER VALIDATION

- ACS Omega. 2020 Nov 7.

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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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