Renzapride

Cat. No.: HY-14147

CAS No.: 112727-80-7

Molecular Formula: $C_{16}H_{22}ClN_3O_2$

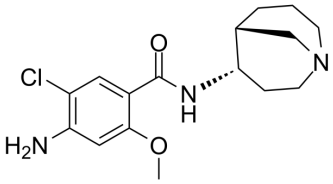
Molecular Weight: 323.82

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



SOLVENT & SOLUBILITY

In Vitro

DMSO : 25 mg/mL (77.20 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.0881 mL	15.4407 mL	30.8814 mL
5 mM	0.6176 mL	3.0881 mL	6.1763 mL
10 mM	0.3088 mL	1.5441 mL	3.0881 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 (7.72 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (7.72 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (7.72 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Renzapride (BRL 24924), a substituted benzamide, is a full 5-HT_4 receptor agonist with a K_i value of 115 nM. Renzapride (BRL 24924) is also a 5HT2b and 5HT3 receptor antagonist[1]. Renzapride could be used for constipation predominant irritable bowel syndrome (C-IBS) study[2].

IC_{50} & Target

5-HT_4 Receptor
115 nM (Ki)

In Vitro

Renzapride replaces specific binding of [H^3] GR 113808 (a selective 5-HT receptor antagonist) to cloned human 5-HT_4 receptors with a K_i value of 115 nM[3].

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

In Vivo

Renzapride (BRL 24924) (100 μg i.v.) results in a partial reverse of both the delayed solid and liquid meals emptying[2].

Renzapride (BRL 24924) (0.5-1 mg/kg) significantly increases the rate of emptying of a ^{51}Cr-labeled liquid meal from the murine stomach[4].

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

Animal Model:	Dog (simulating gastroparesis)[2]
Dosage:	100 μg/kg
Administration:	i.v.
Result:	Results in a partial reverse of both the delayed solid and liquid meals emptying.

Animal Model:	Mice (30-45g)[2]
Dosage:	0.5-1 mg/kg
Administration:	p.o.
Result:	Significantly increase the rate of emptying of a ^{51}Cr-labeled liquid meal from the murine stomach.

REFERENCES

[1]. Camilleri M, et al. Effect of renzapride on transit in constipation-predominant irritable bowel syndrome. Clin Gastroenterol Hepatol. 2004;2(10):895-904.

[2]. Scarpellini E, et al. Renzapride: a new drug for the treatment of constipation in the irritable bowel syndrome. Expert Opin Investig Drugs. 2008;17(11):1663-1670.

[3]. Nagakura Y, et al. Pharmacological properties of a novel gastrointestinal prokinetic benzamide selective for human 5-HT4 receptor versus human 5-HT3 receptor. Pharmacol Res. 1999;39(5):375-382.

[4]. Mawe GM, et al. Blockade of 5-HT-mediated enteric slow EPSPs by BRL 24924: gastrokinetic effects. Am J Physiol. 1989;257(3 Pt 1):G386-G396.

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