Relenopride hydrochloride

Cat. No.: HY-16729A

CAS No.: 1221416-42-7

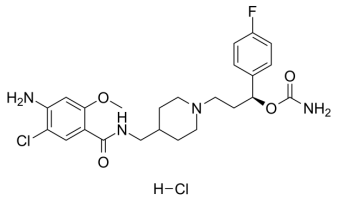
Molecular Formula: $C_{24}H_{31}Cl_2FN_4O_4$

Molecular Weight: 529.43

Target: 5-HT Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage: -20°C, protect from light, stored under nitrogen
* In solvent : -80°C, 6 months; -20°C, 1 month (protect from light, stored under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 110 mg/mL (207.77 mM; Need ultrasonic)
H_2O : 50 mg/mL (94.44 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.8888 mL	9.4441 mL	18.8882 mL
	5 mM	0.3778 mL	1.8888 mL	3.7776 mL
	10 mM	0.1889 mL	0.9444 mL	1.8888 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: ≥ 2.75 mg/mL (5.19 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.75 mg/mL (5.19 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.75 mg/mL (5.19 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Relenopride (YKP10811) hydrochloride is a specific and selective 5-HT_4 receptor agonist (K_i=4.96 nM). Relenopride hydrochloride has 120-fold and 6-fold lower affinity, respectively, for 5-HT_{2A} (K_i=600 nM) and 5-HT_{2B} receptors (K_i=31 nM) than for 5-HT_4. Relenopride hydrochloride increases gastrointestinal (GI) motility[1][2].

IC_{50} & Target				
	5-HT_4 Receptor 4.96 nM (Ki)	5-HT_{2A} Receptor 600 nM (Ki)	5-HT_{2B} Receptor 31 nM (Ki)	5-HT_{2B} Receptor 2.1 μM (IC_{50})

In Vitro	Relenopride hydrochloride do not show any significant off-target binding to any other receptors, enzymes, orserotonin-receptor subtypes at 1 μM, except for binding to the 5-HT_{2A} receptor and the 5-HT_{2B} receptor. Relenopride hydrochloride shows antagonist activity at the 5-HT_{2B} receptor with an IC_{50} of 2.1 μM and no significant activity at the 5-HT_{2A} receptor up to 10 μM. Relenopride hydrochloride shows no activity against serotonin-receptor subtypes 5-HT_{1B}, 5-HT_{1D}, or 5-HT_{2A} at 1 nM to 10 μM, or for serotonin-receptor subtype 5-HT_7 at 10 nM to 30 μM[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Shin A, et al. A randomized trial of 5-hydroxytryptamine4-receptor agonist, YKP10811, on colonic transit and bowel function in functional constipation. Clin Gastroenterol Hepatol. 2015;13(4):701-8.e1.

[2]. Yin J, et al. Prokinetic effects of a new 5-HT4 agonist, YKP10811, on gastric motility in dogs. J Gastroenterol Hepatol. 2017;32(3):625-630.

[3]. Gilet M, et al. Influence of a new 5-HT4 receptor partial agonist, YKP10811, on visceral hypersensitivity in rats triggered by stress and inflammation. Neurogastroenterol Motil. 2014;26(12):1761-1770.

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