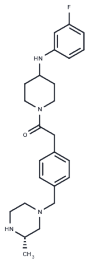
Data Sheet (Cat.No.T11508)

TargetMol

Camicinal

Chemical Properties



CAS No. : 923565-21-3

Formula: C25H33FN4O

Molecular Weight: 424.55

Storage: Keep away from moisture,Store at low temperature
Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Camicinal is a novel, highly potent, and selective motilin receptor agonist with a pEC_{50} of 7.9.
Targets(IC50)	Motilin Receptor
In vitro	Methods: Radioligand binding assay, intracellular calcium mobilization detection, and in vitro gastrointestinal smooth muscle contraction assay were used to evaluate the selectivity, signal activation, and gastrointestinal contraction effects of Camicinal on motilin receptor (MTLR). Results: 1.Selective MTLR agonist activity: Camicinal is a potent cross-species selective motilin receptor agonist, with Ki values of 0.4 nM, 0.3 nM, and 0.5 nM for binding to human, rabbit, and canine MTLR, respectively; it showed no obvious binding to 25 other GPCRs at concentrations up to 10 μM, indicating excellent selectivity. 2.Induction of intracellular calcium mobilization: In HEK293 cells stably expressing human MTLR, Camicinal induced calcium influx in a dose-dependent manner with an EC_{50} of 1.2 nM; the EC_{50} values in rabbit and canine MTLR-transfected cells were 0.8 nM and 1.0 nM, respectively, with similar potencies; the calcium response was mediated by Gq protein, consistent with the classical MTLR pathway [1][3]. 3.Stimulation of gastrointestinal smooth muscle contraction: Camicinal (0.1–100 nM) could contract human isolated colonic smooth muscle in a dose-dependent manner with an EC_{50} of 3.5 nM; the maximum contraction was achieved at 30 nM (approximately 95 ± 5% of the effect of motilin), and the contraction effect could be blocked by the MTLR antagonist GM109. 4.Human intestinal regional specificity: Camicinal could potently contract human antral, duodenal, and colonic smooth muscle with EC_{50} values of 2.1 nM, 2.8 nM, and 3.5 nM, respectively; it had a weak effect on ileal smooth muscle (EC_{50} > 100 nM), showing obvious gastrointestinal regional selectivity [4].
In vivo	Methods: Intravenous administration was given to New Zealand white rabbits and oral administration to beagle dogs to evaluate the effects of Camicinal on gastric emptying and gastrointestinal transit; human colonic ex vivo organ bath experiment was used to detect its effect on colonic contractile motility. Results: 1. Accelerating rabbit gastric emptying: Intravenous injection of Camicinal (0.1, 0.3, 1

In vivo	mg/kg) into New Zealand white rabbits, 0.3 and 1 mg/kg could significantly accelerate gastric emptying; in the 1 mg/kg group, the gastric emptying rate 2 hours after meals increased from 35±6% in the control group to 78±8%, without affecting food intake [1]. 2. Enhancing gastrointestinal transit in conscious dogs: Oral administration of Camicinal (0.3, 1, 3 mg/kg) to beagle dogs could dose-dependently shorten the small intestinal transit time; the 3 mg/kg group shortened it from 180±20 min to 108±15 min; it also accelerated gastric emptying, and the gastric emptying rate 1 hour after meals in the 3 mg/kg group was 45±5%, which was significantly higher than 28±4% in the control group [2]. 3. Stimulating human colonic motility in vitro: Camicinal (1-30 nM) could dose-dependently increase the contractile frequency and tension amplitude of human isolated colon; at 30 nM, the contractile frequency increased from 3.2±0.5 times/min to 6.8±0.7 times/min, and the tension increased from 1.2±0.2 g to 3.5±0.4 g, simulating the effect of endogenous motilin [4].

Solubility Information

Solubility	DMSO: 50 mg/mL (117.77 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.3554 mL	11.7772 mL	23.5544 mL
5 mM	0.4711 mL	2.3554 mL	4.7109 mL
10 mM	0.2355 mL	1.1777 mL	2.3554 mL
50 mM	0.0471 mL	0.2355 mL	0.4711 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

GSK962040: a small molecule, selective motilin receptor agonist, effective as a stimulant of human and rabbit gastrointestinal motility. Neurogastroenterol Motil, 2009. 21(6): p. 657-64, e30-1.
GSK962040: a small molecule motilin receptor agonist which increases gastrointestinal motility in conscious dogs. Neurogastroenterol Motil, 2011. 23(10): p. 958-e410.
Discovery of N-(3-fluorophenyl)-1-[(4-([(3S)-3-methyl-1-piperazinyl]methyl)phenyl)acetyl]-4-pi peridinamine (GSK962040), the first small molecule motilin receptor agonist clinical candidate. J Med Chem, 2009. 52(4): p. 1180-9.
Regional- and agonist-dependent facilitation of human neurogastrointestinal functions by motilin receptor agonists. Br J Pharmacol, 2012. 167(4): p. 763-74.