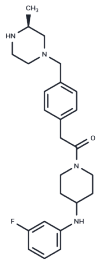
Data Sheet (Cat.No.T11508)

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 selective motilin receptor agonist (pEC50: 7.9).
Targets(IC50)	Others,Motilin Receptor
In vitro	Camicinal ?had no significant activity at a range of other receptors (including ghrelin), ion channels and enzymes. In rabbit gastric antrum, Camicinal ?300 nmol L 1-10 μmol L 1 caused a prolonged facilitation of the amplitude of cholinergically mediated contractions, to a maximum of 248 ± 47% at 3 μmol L 1. The pEC50 values for motilin, erythromycin and Camicinal ?were, respectively, 10.4 ± 0.01 (n = 770), 7.3 ± 0.29 (n = 4) and 7.9 ± 0.09 (n = 17) . Camicinal ?activated the dog motilin receptor (pEC50 5.79; intrinsic activity 0.72, compared with [Nle13]-motilin) . Camicinal ?was preferred because its initial IC50 values at CYP3A4 were significantly higher than our preferred threshold of 10 μM .
In vivo	Camicinal (5 mg free base kg 1) increased total fecal weight over the 2-hour post-dose period (21.2 ± 4.5 g; P 0.05). It induced dose-related phasic contractions (48 and 173 min for 3 and 6 mg kg 1) driven by mean plasma concentrations >1.14 μmol L 1. After the effects of GSK962040 faded, migrating motor complex (MMC) activity returned. MMC restoration was unaffected by 3 mg kg 1 GSK962040 but returned 253 min after dosing at 6 mg kg 1, compared to 101 min after saline (n = 5 each). The oral bioavailability (Fpo) of Camicinal (GSK962040) was 48 ± 13%. Camicinal had a long-lasting effect (T1/2 = 46.9 ± 5.0 min at 3 μM) compared with the short-lived effect of [Nle13]motilin (T1/2 = 11.4 ± 1.5 min at 0.3 μM). Camicinal strongly facilitated cholinergic activity in the antrum, with lower activity in the fundus and small intestine.

Solubility Information

Solubility	DMSO: 100 mg/mL (235.54 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

Sanger, G.J., et al., 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.
Leming, S., et al., GSK962040: a small molecule motilin receptor agonist which increases gastrointestinal motility in conscious dogs. Neurogastroenterol Motil, 2011. 23(10): p. 958-e410.
Westaway, S.M., et al., 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.
Broad, J., et al., Regional- and agonist-dependent facilitation of human neurogastrointestinal functions by motilin receptor agonists. Br J Pharmacol, 2012. 167(4): p. 763-74.