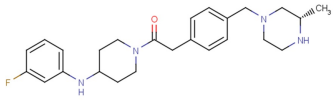
Data Sheet (Cat.No.T11508)

TargetMol
A DRUG SCREENING EXPERT

Camicinal

Chemical Properties



CAS No.:	923565-21-3
Formula:	C25H33FN4O
Molecular Weight:	424.55
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

Biological Description

Description	Camicinal is a selective motilin receptor agonist (pEC50: 7.9).
Targets(IC_{50})	Motilin Receptor: (pEC50)7.9
In vitro	Camicinal (GSK962040) had no significant activity at a range of other receptors (including ghrelin), ion channels, and enzymes. In rabbit gastric antrum, Camicinal hydrochloride (300 nmol/L -10 μmol/L) caused prolonged facilitation of the amplitude of cholinergically mediated contractions, to a maximum of 248 ± 47% at 3 μmol/L. 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) [1]. Camicinal hydrochloride activated the dog motilin receptor (pEC50 5.79; intrinsic activity 0.72, compared with [Nle13]-motilin) [2]. Camicinal was preferred because its initial IC50 values at CYP3A4 were significantly higher than our preferred threshold of 10 μM [3].
In vivo	Camicinal (5 mg free base kg 1) also produced an increase in total faecal weight over the 2-h postdose period (21.2 ± 4.5 g; P < 0.05) . Camicinal induced phasic contractions, the duration of which was dose-related (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. Migrating motor complex restoration was unaffected by 3 mg kg 1 GSK962040 but at 6 mg kg 1, MMCs returned 253 min after dosing, compared with 101 min after saline (n = 5 each) . he oral bioavailability (Fpo) of Camicinal (GSK962040) was found to be 48 (13%. Camicinal shows a long lasting effect (T1/2) 46.9 (5.0 min at 3 μM) when compared with the short-lived effect of [Nle13]motilin (T1/2) 11.4 (1.5 min at 0.3 μM) [3]. Camicinal strongly facilitated cholinergic activity in the antrum, with lower activity in fundus and small intestine only .

Solubility Information

Solubility	DMSO: 100 mg/mL (235.54 mM) (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.355 mL	11.777 mL	23.554 mL
5 mM	0.471 mL	2.355 mL	4.711 mL
10 mM	0.236 mL	1.178 mL	2.355 mL
50 mM	0.047 mL	0.236 mL	0.471 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 ℃ for 6 months; - 20 ℃ for 1 month. Please use it as soon as possible.

Reference

1. 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.
2. 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.
3. 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.
4. 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.

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