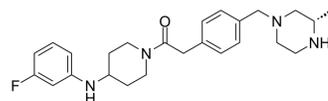


Camicinal

Cat. No.:	HY-10922		
CAS No.:	923565-21-3		
Molecular Formula:	C ₂₅ H ₃₃ FN ₄ O		
Molecular Weight:	424.55		
Target:	Motilin Receptor		
Pathway:	GPCR/G Protein		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	2 years
		-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro	DMSO : 100 mg/mL (235.54 mM; Need ultrasonic)				
		Solvent Concentration	Mass 1 mg	5 mg	10 mg
	Preparing Stock Solutions	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	
Please refer to the solubility information to select the appropriate solvent.					
In Vivo	<ol style="list-style-type: none"> Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 2.5 mg/mL (5.89 mM); Clear solution Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.5 mg/mL (5.89 mM); Clear solution Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.5 mg/mL (5.89 mM); Clear solution 				

BIOLOGICAL ACTIVITY

Description	Camicinal (GSK962040) is a small molecule, selective motilin receptor agonist with pEC ₅₀ of 7.9.
IC₅₀ & Target	pEC ₅₀ : 7.9 (Motilin Receptor) ^[1] .
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 (GSK962040) 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 pEC ₅₀ values for motilin,

erythromycin and Camicinal (GSK962040) were, respectively, 10.4 ± 0.01 (n = 770), 7.3 ± 0.29 (n = 4) and 7.9 ± 0.09 (n = 17) [1]. Camicinal (GSK962040) activated the dog motilin receptor (pEC₅₀ 5.79; intrinsic activity 0.72, compared with [Nle13]-motilin) [2]. Camicinal (GSK962040) was preferred because its initial IC₅₀ values at CYP3A4 were significantly higher than our preferred threshold of 10 μM [3].

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

In Vivo

Camicinal (GSK962040) (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) [1]. Camicinal (GSK962040) 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) [2]. The oral bioavailability (F_{po}) of Camicinal (GSK962040) was found to be 48 (13%). Camicinal (GSK962040) shows a long lasting effect (T_{1/2}) 46.9 (5.0 min at 3 μM) when compared with the short-lived effect of [Nle13]motilin (T_{1/2}) 11.4 (1.5 min at 0.3 μM) [3]. Camicinal (GSK962040) strongly facilitated cholinergic activity in the antrum, with lower activity in fundus and small intestine only [4].

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

CUSTOMER VALIDATION

- Chromatographia. 2017, 80(8), 12571262.

See more customer validations on www.MedChemExpress.com

REFERENCES

- [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-piperidine (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.

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: 609-228-6898

Fax: 609-228-5909

E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA