Camicinal hydrochloride

Cat. No.: HY-10922A

CAS No.: 923565-22-4

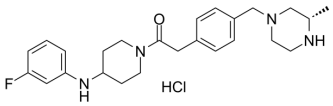
Molecular Formula: $C_{25}H_{34}ClFN_4O$

Molecular Weight: 461.02

Target: Motilin Receptor

Pathway: GPCR/G Protein

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



SOLVENT & SOLUBILITY

In Vitro

H_2O : 100 mg/mL (216.91 mM; Need ultrasonic)
DMSO : 100 mg/mL (216.91 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.1691 mL	10.8455 mL	21.6910 mL
5 mM	0.4338 mL	2.1691 mL	4.3382 mL
10 mM	0.2169 mL	1.0846 mL	2.1691 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 100 mg/mL (216.91 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (5.42 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.42 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.42 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Camicinal hydrochloride (GSK962040 hydrochloride) is a small molecule, selective motilin receptor agonist with pEC_{50} of 7.9.

IC_{50} & Target

pEC50: 7.9 (Motilin Receptor)[1].

In Vitro	Camicinal hydrochloride (GSK962040 hydrochloride) had no significant activity at a range of other receptors (including ghrelin), ion channels and enzymes. In rabbit gastric antrum, Camicinal hydrochloride (GSK962040 hydrochloride) 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 hydrochloride (GSK962040 hydrochloride) were, respectively, 10.4 ± 0.01 (n = 770), 7.3 ± 0.29 (n = 4) and 7.9 ± 0.09 (n = 17) [1]. Camicinal hydrochloride (GSK962040 hydrochloride) activated the dog motilin receptor (pEC50 5.79; intrinsic activity 0.72, compared with [Nle13]-motilin) [2]. Camicinal hydrochloride (GSK962040 hydrochloride) was preferred because its initial IC_{50} 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 Camicinal (GSK962040) faded, migrating motor complex (MMC) activity returned. Migrating motor complex restoration was unaffected by 3 mg kg 1 Camicinal (GSK962040) but at 6 mg kg 1, MMCs returned 253 min after dosing, compared with 101 min after saline (n = 5 each) [2]. he oral bioavailability (Fpo) of Camicinal (GSK962040) was found to be 48 (13%. Camicinal (GSK962040) 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 (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.

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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-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.

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

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