Camicinal hydrochloride

Cat. No.: HY-10922A

CAS No.: 923565-22-4

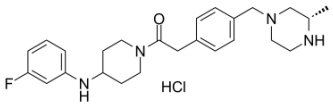
Molecular Formula: $C_{25}H_{34}ClFN_4O$

Molecular Weight: 461.02

Target: Motilin Receptor

Pathway: GPCR/G Protein

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



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.

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