Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

Camicinal

Catalog No: tcsc2312

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
923565-21-3

Formula:
$C_{25}H_{33}FN_4O$

Pathway:
GPCR/G Protein

Target:
Motilin Receptor

Purity / Grade:
>98%

Solubility:
DMSO : 12.73 mg/mL (29.98 mM; Need ultrasonic)

Alternative Names:
GSK962040

Observed Molecular Weight:
424.55

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Product Description

Camicinal (GSK962040) is a small molecule, selective motilin receptor agonist with pEC50 of 7.9.

IC50 & Target: pEC50: 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 pEC50 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 IC_{50} values at CYP3A4 were significantly higher than our preferred threshold of 10 µM [3].

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 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]. 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].