

Camical hydrochloride

Catalog No: tcsc2313



Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg



Specifications

CAS No:

923565-22-4

Formula:

$C_{25}H_{34}ClFN_4O$

Pathway:

GPCR/G Protein

Target:

Motilin Receptor

Purity / Grade:

>98%

Solubility:

10 mM in DMSO

Alternative Names:

GSK962040 (hydrochloride)

Observed Molecular Weight:

461.02

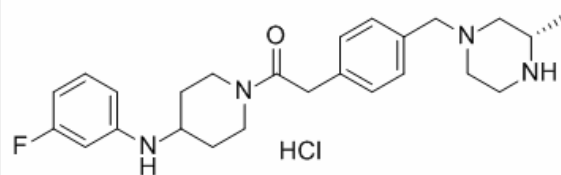
Product Description

Camicinal (GSK962040) hydrochloride 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 IC₅₀ 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 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]. 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].



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