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 6 months
-20°C 1 month

SOLVENT & SOLUBILITY

<table>
<thead>
<tr>
<th>In Vitro</th>
<th>DMSO : 12.73 mg/mL (29.98 mM; Need ultrasonic)</th>
</tr>
</thead>
<tbody>
<tr>
<td>Preparing Stock Solutions</td>
<td>Concentration</td>
</tr>
<tr>
<td>1 mM</td>
<td>2.3554 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td>0.4711 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td>0.2355 mL</td>
</tr>
</tbody>
</table>

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

BIOLOGICAL ACTIVITY

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

IC_{50} & 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 < 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]. 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].

REFERENCES


