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产品名称：GSK962040
产品别名：Camicinal

生物活性：

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 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 < 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]. 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].
Solvent&Solubility	***In Vitro:*** **DMSO : 12.73 mg/mL (29.98 mM;** Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.3554 mL	11.7772 mL	23.5544 mL
	5 mM	0.4711 mL	2.3554 mL	4.7109 mL
	10 mM	0.2355 mL	1.1777 mL	2.3554 mL

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

[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

References	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.

源叶生物