Product Data Sheet

Product Name: GSK962040 hydrochloride
Cat. No.: GC10609

Chemical Properties

Cas. No.	923565-22-4		
Chemical Name	(S)-1-(4-((3-fluorophenyl)amino)piperidin-1-yl)-2-(4-((3-methylpiperazin-1-yl)methyl)phenyl)ethanone hydrochloride		
SMILES	C[C@@]1([H])CN(CC2=CC=C(CC(N3CCC(NC4=CC=CC(F)=C4)CC3)=O)C=C2)CCN1.Cl		
Formula	$C_{25}H_{34}ClFN_4O$	M.Wt	461.02
Solubility	H_2O : 100 mg/mL (216.91 mM; Need ultrasonic); DMSO : 100 mg/mL (216.91 mM; Need ultrasonic)	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	GSK962040 hydrochloride		

Background

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

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 IC50 values at CYP3A4 were significantly higher than our preferred threshold of 10 μM [3].

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

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(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].

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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