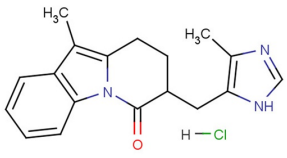
Data Sheet (Cat.No.T11293)

TargetMol
A DRUG SCREENING EXPERT

FK1052 hydrochloride

Chemical Properties



CAS No.:	129299-81-6
Formula:	C18H20ClN3O
Molecular Weight:	329.82
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

Biological Description

Description	FK1052 hydrochloride is a potent 5-HT3 and 5-HT4 receptor dual antagonist.
Targets(IC_{50})	5-HT3 Receptor: None
In vivo	FK1052 (1 mg/kg i.v. ×4) apparently reduces delayed emesis caused by Methotrexate (MTX) and increases, but not significantly, the time for onset of emesis. Furthermore, increasing the dose to 3.2 mg/kg of FK1052 also significantly inhibits the number of the emetic episodes induced by MTX, of which the action is more effective than the treatment with FK1052 at 1 mg/kg.In conscious rats, both 5-HT and 5-methoxytryptamine significantly increase fecal pellet output and accelerate colonic transit. In contrast, the effect of 2-methyl-5-HT is slight. Although Ondansetron and Granisetron slightly reduce 5-HT (1 mg/kg s.c.) stimulated colonic transit, FK1052, at 0.1 mg/kg p.o., inhibits completely the increases in the colonic transit. Furthermore, FK1052, Ondansetron and Granisetron significantly depress the increase in fecal pellet output caused by wrap-restraint stress, with ED50 values of 0.21, 3.0 and 1.1 mg/kg p.o., respectively. Intraperitoneal administration of 5-HT and 5-methoxytryptamine, but not 2-methyl-5-HT, produces a dose-related increase in the incidence of diarrhea in fasted mice. 5-HT (0.32 mg/kg i.p.)-induced diarrhea is also inhibited by FK1052, Ondansetron and Granisetron, with ED50 values of 0.09, 2.3 and 0.88 mg/kg p.o., respectively.

Solubility Information

Solubility	< 1 mg/ml refers to the product slightly soluble or insoluble

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.032 mL	15.16 mL	30.32 mL
5 mM	0.606 mL	3.032 mL	6.064 mL
10 mM	0.303 mL	1.516 mL	3.032 mL
50 mM	0.061 mL	0.303 mL	0.606 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 ℃ for 6 months; - 20 ℃ for 1 month. Please use it as soon as possible.

Reference

1. Kadowaki M, et al. Effect of FK1052, a potent 5-hydroxytryptamine3 and 5-hydroxytryptamine4 receptor dual antagonist, on colonic function in vivo. J Pharmacol Exp Ther. 1993 Jul;266(1):74-80.

2. Yamakuni H, et al. Probable involvement of the 5-hydroxytryptamine(4) receptor in methotrexate-induced delayed emesis in dogs. J Pharmacol Exp Ther. 2000 Mar;292(3):1002-7.

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