

MA-2029

Chemical Properties

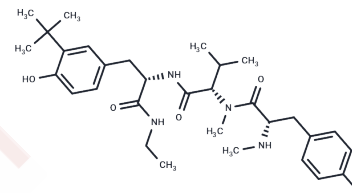
CAS No. : 287206-61-5

Formula: C₃₁H₄₅FN₄O₄

Molecular Weight: 556.71

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Actual storage temperature shall be subject to the COA.



Biological Description

Description	MA-2029 is selective for the motilin receptor over various other receptors and ion channels. MA-2029 is a selective and competitive motilin receptor antagonist (IC ₅₀ =4.9 nM). MA-2029 may be useful for gastrointestinal disorders associated with disturbed gastrointestinal motility.
Targets(IC ₅₀)	Others, Motilin Receptor
In vitro	MA-2029 exhibits a concentration-dependent inhibition of [¹²⁵ I]motilin binding to motilin receptors both in rabbit colon smooth muscle tissue homogenate and in HEK 293 cell membranes expressing human motilin receptors, demonstrating its specificity. The compound's inhibitory potency (pK _i) is recorded at 8.58±0.04 in rabbit colon homogenate and 8.39 in HEK 293 cells. Furthermore, in isolated rabbit duodenal longitudinal muscle strips, MA-2029 (1 to 30 nM) competitively inhibits motilin-induced contractions, achieving a pA ₂ value of 9.17±0.01, indicating significant antagonistic activity. Notably, even at concentrations up to 1 μM, MA-2029 does not affect the contractile responses induced by acetylcholine and substance P, underscoring its selective action on motilin-mediated pathways.
In vivo	MA-2029 (10 mg/kg; p.o.) treatment displays that the t _{1/2} is 2 hours. The inhibition is significant at 30 min after administration of 3 mg/kg or more and at 4 h after administration of 10 mg/kg or more (MA-2029), so the administration of 10 mg/kg or more causes inhibitory effects from 30 min or less to at least 4 h after administration. MA-2029 (0.3-3 mg/kg; p.o.) dose-dependently suppresses the number of abdominal muscle contractions induced under the same conditions and cause significant inhibition at 3 mg/kg .

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.7963 mL	8.9813 mL	17.9627 mL
5 mM	0.3593 mL	1.7963 mL	3.5925 mL
10 mM	0.1796 mL	0.8981 mL	1.7963 mL
50 mM	0.0359 mL	0.1796 mL	0.3593 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Sudo H, et al. Oral administration of MA-2029, a novel selective and competitive motilin receptor antagonist, inhibits motilin-induced intestinal contractions and visceral pain in rabbits. *Eur J Pharmacol.* 2008 Mar 10;581(3): 296-305.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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