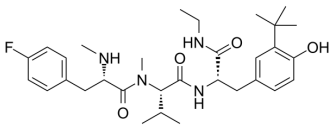
MA-2029

Cat. No.:	HY-107642
CAS No.:	287206-61-5
Molecular Formula:	$C_{31}H_{45}FN_4O_4$
Molecular Weight:	556.71
Target:	Motilin Receptor
Pathway:	GPCR/G Protein
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



BIOLOGICAL ACTIVITY

Description	MA-2029 is a selective, orally active, and competitive motilin receptor antagonist (IC_{50}=4.9 nM). MA-2029 is selective for the motilin receptor over various other receptors and ion channels. MA-2029 may be useful for gastrointestinal disorders associated with disturbed gastrointestinal motility[1].
IC_{50} & Target	IC50: 4.9 nM (motilin receptor)[1]
In Vitro	MA-2029 (1 to 30 nM) competitively inhibits motilin-induced contractions in isolated rabbit duodenal longitudinal muscle strips, with a pA_2 value of 9.17±0.01. Contractile responses to acetylcholine and substance P are unaffected even at 1 μM of MA-2029. MA-2029 concentration-dependently inhibits the binding of [^{125}I]motilin to motilin receptors in a homogenate of rabbit colon smooth muscle tissue and membranes of HEK 293 cells expressing human motilin receptors. The pK_i of MA-2029 is 8.58±0.04 in the rabbit colon homogenate and 8.39 in the HEK 293 cells[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	MA-2029 (0.3-3 mg/kg; p.o.) dose-dependently inhibits the number of abdominal muscle contractions induced under the same conditions and causes significant inhibition at 3 mg/kg[1]. MA-2029 (10 mg/kg; p.o.) treatment shows that the $t_{1/2}$ is 2 hours[1]. 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 administration of 10 mg/kg or more causes inhibitory effects from 30 min or less to at least 4 h after administration[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male Japanese-white rabbits (about 2-3 kg)[1]
Dosage:	0.3, 1, 3 mg/kg
Administration:	Oral administration
Result:	Dose-dependently inhibited the number of abdominal muscle contractions induced under the same conditions. Caused significant inhibition at 3 mg/kg.

Animal Model:	Male Japanese-white rabbits (about 2-3 kg)[1]
Dosage:	10 mg/kg
Administration:	Oral administration (Pharmacokinetic Analysis)
Result:	The $t_{1/2}$ is 2 hours.

REFERENCES

[1]. 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.

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