MA-2029

Cat. No.: HY-107642
CAS No.: 287206-61-5
Molecular Formula: C_{31}H_{45}FN_{4}O_{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 6 months, -20°C 1 month

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
IC_{50}: 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\textsubscript{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 [\textsuperscript{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].

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\textsubscript{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].

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]
<table>
<thead>
<tr>
<th><strong>Dosage:</strong></th>
<th>10 mg/kg</th>
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<tr>
<td><strong>Administration:</strong></td>
<td>Oral administration (Pharmacokinetic Analysis)</td>
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<tr>
<td><strong>Result:</strong></td>
<td>The $t_{1/2}$ is 2 hours.</td>
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**REFERENCES**