

Data Sheet

WWW.PROBECHEM.COM

Global Supplier of Chemical Probes, Inhibitors & Agonists.

 Product Name
 :
 MA-2029

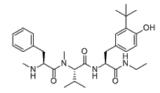
 Cat. No.
 :
 PC-22029

 CAS No.
 :
 287206-61-5

 Molecular Formula
 :
 C₃₁H₄₆N₄O₄

 Molecular Weight
 :
 538.73

Target : Motilin Receptor
Solubility : 10 mM in DMSO



CAS: 287206-61-5

Biological Activity

MA-2029 (MA2029) is a potent, selective and competitive motilin receptor antagonist with pA2 value of 9.17, displas [125I]motilin with IC50 of 2.74 nM.

MA-2029 (1 μ M) has no effects on resting tone or spontaneous contractions and no significant effect on the contractile response to acetylcholine or substance P.

MA-2029 also displaces [125I] motilin bound to cell membranes of HEK 293 cells expressing human motilin receptors with IC50 and pKi values of 4.9 nM and 8.39, respectively.

MA-2029 shows either very low or no affinity for any of the 24 receptors and 7 ion channels.

MA-2029 (3 to 30 mg/kg, oral) dose-dependently inhibited colonic contractions induced by motilin (3 μ g/kg, i.v.) in conscious rabbits.

MA-2029 (0.3 to 3 mg/kg) also inhibited abdominal muscle contractions (an index of the visceral pain) induced by intravenous infusion of motilin (3 μ g/kg/h) during colorectal distension in conscious rabbits.

References

Sudo H, et al. Eur J Pharmacol. 2008 Mar 10;581(3):296-305.

Ozaki K, et al. Eur J Pharmacol. 2009 Aug 1;615(1-3):185-92.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

E-mail: tech@probechem.com