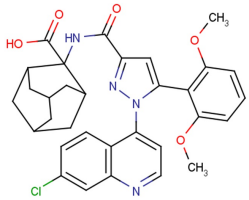
Data Sheet (Cat.No.T16034)

TargetMol
A DRUG SCREENING EXPERT

Meclinertant

Chemical Properties



CAS No.:	146362-70-1
Formula:	C32H31ClN4O5
Molecular Weight:	587.07
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

Biological Description

Description	Meclinertant is an effective, selective, nonpeptide, and orally active neurotensin receptor 1 (NTS1) antagonist. Meclinertant competitively antagonizes neurotensin-induced intracellular Ca2+ mobilization (pA2 : 8.13), in human colon carcinoma (HT-29) cells. Meclinertant has anxiolytic, anti-addictive, and memory-impairing effects.
Targets(IC_{50})	Neurotensin receptor 1 (NTS1): None
In vitro	Meclinertant displaces 125I-labeled neurotensin from the low-affinity levocabastine-sensitive binding sites but at higher concentrations (34.8 nM for adult mouse brain and 82.0 nM for adult rat brain). Meclinertant competitively inhibits 125I-labeled neurotensin binding to the high-affinity binding site present in brain tissue from various species with IC50 values of 0.99 nM (guinea pig), 4.0 nM (rat mesencephalic cells), 7.6 nM (COS-7 cells transfected with the cloned high-affinity rat brain receptor), 13.7 nM (newborn mouse brain), 17.8 nM (newborn human brain), 8.7 nM (adult human brain), and 30.3 nM (HT-29 cells). Meclinertant blocks K+-evoked release of [3H]dopamine stimulated by neurotensin with a potency (IC50 = 0.46 nM) that correlates with its binding affinity, in guinea pig striatal slices[1].
In vivo	Meclinertant (80 μg/kg; in mice) treatment, reverses the turning behavior caused by the intrastriatal injection of neurotensin. It also has a long duration of action (6 hours)[1].

Solubility Information

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

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.703 mL	8.517 mL	17.034 mL
5 mM	0.341 mL	1.703 mL	3.407 mL
10 mM	0.17 mL	0.852 mL	1.703 mL
50 mM	0.034 mL	0.17 mL	0.341 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. Gully D, et al. Biochemical and pharmacological profile of a potent and selective nonpeptide antagonist of the neurotensin receptor. Proc Natl Acad Sci U S A. 1993 Jan 1;90(1):65-9.

2. Griebel G, et al. Characterization of the profile of neurokinin-2 and neurotensin receptor antagonists in the mouse defense test battery. Neurosci Biobehav Rev. 2001 Dec;25(7-8):619-26.

3. Felszeghy K, et al. Neurotensin receptor antagonist administered during cocaine withdrawal decreases locomotor sensitization and conditioned place preference. Neuropsychopharmacology. 2007 Dec;32(12):2601-10.

Tel:781-999-4286 E-mail:info@targetmol.com Address:36 Washington Street,Wellesley Hills,MA 02481