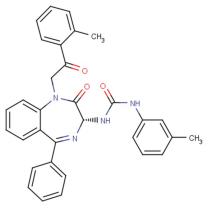
Data Sheet (Cat.No.T17274)

YM022

Chemical Properties



CAS No.:	145084-28-2
Formula:	C32H28N4O3
Molecular Weight:	516.59
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

Biological Description

Description	YM022 is a highly effective and selective gastrin/cholecystokinin (CCK)-B receptor antagonist. YM022 can inhibit gastrin-induced gastric acid secretion and histidine decarboxylase activation in vivo. YM022 shows the Ki values of 68 pM and 63 nM for CCK-B and CCK-A receptor, respectively.
Targets(IC_{50})	CCR1: 68 pM (ki) CCR2: 63 nM (ki)
In vitro	YM022 inhibits the binding of [125I]CCK-8 to canine cloned gastrin/CCK-B receptor in a dose-dependent manner (IC50: [125I]CCK-8 binding of 0.73 nM). YM022 inhibits binding to the canine pancreas CCK-A receptor in a dose-dependent manner (IC50: [3H]devazepide binding of 136 nM). Selectivity [ratio of (IC50 for gastrin/CCK-B receptor)/(IC50for CCK-A receptor)] of YM022 is 186 [1].
In vivo	YM022 is suspended in 2% Methocel for oral ingestion and in PEG300 for subcutaneous injection. YM022 (subcutaneous injection; 300 μmol/kg; single dose) lowers the oxyntic mucosal HDC activity and raises the serum gastrin concentration in a dose-dependent manner (measured 24 h after dosage). YM022 (intravenous injection; 0.01-1 μM/kg) dose-dependently inhibits pentagastrin- and peptone meal-induced acid secretion (ED50: 0.0261 and 0.0654 μmol/kg, respectively). Maximum enzyme inhibition is achieved at a dose of 300 μmol/kg for YM022 and the inhibition of HDC lasts for 4 weeks. At sacrifice, drug residues can be seen at the injection site for as long as 4 (YM022) weeks after injection in rats [3].

Solubility Information

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

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.936 mL	9.679 mL	19.358 mL
5 mM	0.387 mL	1.936 mL	3.872 mL
10 mM	0.194 mL	0.968 mL	1.936 mL
50 mM	0.039 mL	0.194 mL	0.387 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

2. Kitano M, et al. Long-lasting cholecystokinin(2) receptor blockade after a single subcutaneous injection of YF476 or YM022.Br J Pharmacol. 2000 Jun;130(3):699-705.

3. Beinborn M, et al. Small synthetic ligands of the cholecystokinin-B/gastrin receptor can mimic the function of endogenous peptide hormones.Yale J Biol Med. 1998 May-Aug;71(3-4):337-46.

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