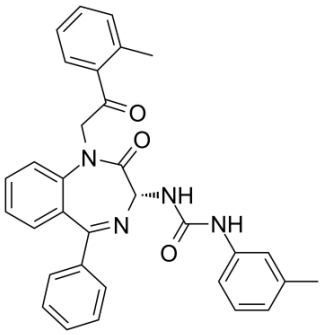
YM022

Cat. No.:	HY-103355
CAS No.:	145084-28-2
Molecular Formula:	$C_{32}H_{28}N_4O_3$
Molecular Weight:	516.59
Target:	CCR
Pathway:	GPCR/G Protein; Immunology/Inflammation
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (193.58 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.9358 mL	9.6789 mL	19.3577 mL
5 mM	0.3872 mL	1.9358 mL	3.8715 mL
10 mM	0.1936 mL	0.9679 mL	1.9358 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.84 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

YM022 is a highly potent, selective and orally active gastrin/cholecystokinin (CCK)-B receptor (CCK-BR) antagonist. YM022 shows the K_i values of 68 pM and 63 nM for CCK-B and CCK-A receptor, respectively[1]. YM022 can inhibit gastrin-induced gastric acid secretion and histidine decarboxylase activation in vivo[3].

IC_{50} & Target

CCR2	CCR1
68 pM (Ki)	63 nM (Ki)

In Vitro

YM022 inhibits binding to canine pancreas CCK-A receptor in a dose-dependent manner, with an IC_{50} value for [^{3}H]devazepide binding of 136 nM[1].

YM022 inhibits the binding of [^{125}I]CCK-8 to canine cloned gastrin/CCK-B receptor in a dose-dependent manner, with an IC_{50} value for [^{125}I]CCK-8 binding of 0.73 nM[1].

Selectivity [ratio of (IC_{50} for gastrin/CCK-B receptor)/($IC_{50}$for CCK-A receptor)] of YM022 is 186[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

YM022 (intravenous injection; 0.01-1 μM/kg) dose-dependently inhibits pentagastrin- and peptone meal-induced acid secretion with ED_{50} values of 0.0261 and 0.0654 μmol/kg, respectively, without affecting histamine- or methacholine-induced acid secretion[3].

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). 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 rat[3].

YM022 is suspended in 2% Methocel for oral ingestion and in PEG300 for subcutaneous injection[3].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Rat[3]
Dosage:	300 μmol/kg
Administration:	Subcutaneous injection; 300 μmol/kg; single dose
Result:	Suppressed the ECL cell activity for at least 4 as manifested in greatly reduced HDC activity, greatly elevated serum gastrin level.

REFERENCES

[1]. Nishida A, et al. Pharmacological profile of (R)-1-[2,3-dihydro-1-(2'-methylphenacyl)-2-oxo- 5-phenyl-1H-1,4-benzodiazepin-3-yl]-3-(3-methylphenyl)urea (YM022), a new potent and selective gastrin/cholecystokinin-B receptor antagonist, in vitro and in vivo.J Pharmacol Exp Ther. 1994 May;269(2):725-31.

[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.

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