Sograzepide

Cat. No.: HY-14850

CAS No.: 155488-25-8

Molecular Formula: $C_{28}H_{30}N_6O_3$

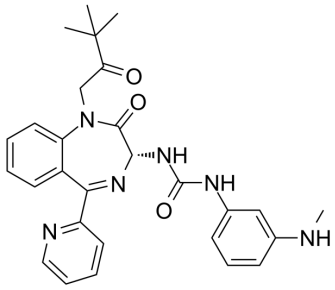
Molecular Weight: 498.58

Target: Cholecystokinin Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 100 mg/mL (200.57 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.0057 mL	10.0285 mL	20.0570 mL
5 mM	0.4011 mL	2.0057 mL	4.0114 mL
10 mM	0.2006 mL	1.0028 mL	2.0057 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (4.17 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (4.17 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.17 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Sograzepide (Netazepide; YF 476; YM-220) is an extremely potent , highly selective and orally active Gastrin/CCK-B antagonist with an IC_{50} value of 0.1 nM, has inhibitory effect on Gastrin/CCK-A activity with an IC_{50} of 502 nM[1]. Sograzepide (Netazepide; YF 476; YM-220) replaces the specific binding of [125I]CCK-8 to the rat brain, cloned canine and cloned human Gastrin/CCK-B receptors, with K_i values of 0.068, 0.62 and 0.19 nM, respectively[2].

IC_{50} & Target

IC50: 0.1 nM (Gastrin/CCK-B); 501 nM (Gastrin/CCK-A)[1]

In Vivo	Sograzepide (Netazepide; YF 476; YM-220) (0.1 μmol/kg; intravenous injection) has an inhibition effect on pentagastrin-induced gastric acid secretion in anethsetized rats with an ED_{50} of 87 nmol/kg[1]. Sograzepide (Netazepide; YF 476; YM-220) (intravenous injection; 10 μM/kg) inhibits pentagastrin-induced acid secretion with an ED_{50} value of 0.0086 μM/kg, but does not affect histamine- and bethanechol-induced acid secretion[2]. Sograzepide (Netazepide; YF 476; YM-220) (intravenous injection; oral administration) in Heidenhain pouch dogs, inhibits pentagastrin-stimulated gastric acid secretion in a dose-dependent manner with ED_{50} values of 0.018 and 0.020 μM/kg, respectively [2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Boyce M, et al. Effect of netazepide, a gastrin/CCK2 receptor antagonist, on gastric acid secretion and rabeprazole-induced hypergastrinaemia in healthy subjects. Br J Clin Pharmacol. 2015 May;79(5):744-55.

[2]. Takinami Y, et al. YF476 is a new potent and selective gastrin/cholecystokinin-B receptor antagonist in vitro and in vivo.Aliment Pharmacol Ther. 1997 Feb;11(1):113-20.

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