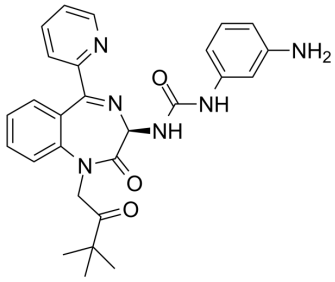
CCK-B Receptor Antagonist 2

Cat. No.:	HY-129357
CAS No.:	155412-88-7
Molecular Formula:	$C_{27}H_{28}N_6O_3$
Molecular Weight:	484.55
Target:	Cholecystokinin Receptor
Pathway:	GPCR/G Protein; Neuronal Signaling
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 : 25 mg/mL (51.59 mM; ultrasonic and warming and heat to 70°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.0638 mL	10.3189 mL	20.6377 mL
	5 mM	0.4128 mL	2.0638 mL	4.1275 mL
	10 mM	0.2064 mL	1.0319 mL	2.0638 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 (4.29 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (4.29 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.29 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

CCK-B Receptor Antagonist 2, compound 15b, is a potent and orally active Gastrin/CCK-B antagonist with an IC_{50} value of 0.43 nM. CCK-B Receptor Antagonist 2 also inhibits gastrin/CCK-A activity with an IC_{50} of 1.82 μM[1].

IC_{50} & Target

IC50: 0.43 nM (Gastrin/CCK-B); 1.82 μM (Gastrin/CCK-A)[1]

In Vivo

CCK-B Receptor Antagonist 2 (0.1 μmol/kg; intravenous injection) has an inhibition effect on pentagastrin-induced gastric acid secretion in anethsetized rats with an ED_{50} of 8.3 nmol/kg[1].

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

REFERENCES

[1]. Semple G, et al. (3R)-N-(1-(tert-butylcarbonylmethyl)-2,3-dihydro-2-oxo-5-(2-pyridyl)-1H-1,4-benzodiazepin-3-yl)-N'-(3-(methylamino)phenyl)urea (YF476): a potent and orally active gastrin/CCK-B antagonist.J Med Chem. 1997 Jan 31;40(3):331-41.

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