Devazepide

Cat. No.: HY-106301

CAS No.: 103420-77-5

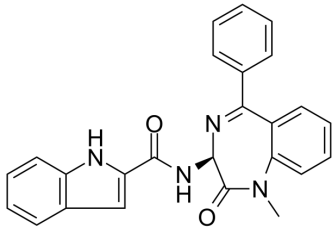
Molecular Formula: $C_{25}H_{20}N_4O_2$

Molecular Weight: 408.45

Target: Cholecystokinin Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage: -20°C, sealed storage, away from moisture and light
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 200 mg/mL (489.66 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.4483 mL	12.2414 mL	24.4828 mL
	5 mM	0.4897 mL	2.4483 mL	4.8966 mL
	10 mM	0.2448 mL	1.2241 mL	2.4483 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 5 mg/mL (12.24 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 5 mg/mL (12.24 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Devazepide (L-364,718) is a potent, competitive, selective and orally active nonpeptide antagonist of cholecystokinin (CCK) receptor, with IC_{50}s of 81 pM, 45 pM and 245 nM for rat pancreatic, bovine gallbladder and guinea pig brain CCK receptors, respectively. Devazepide (L-364,718) is effective for gastrointestinal disorders[1].

IC_{50} & Target

IC50: 45 pM (Rat pancreatic CCK receptor), 81 pM (Bovine gallbladder CCK receptor), 245 nM (Guinea pig brain CCK receptor) [1]

In Vivo

Devazepide (oral gavage; 4 mg/kg; twice per day) significantly accelerates cholesterol crystallization and crystal growth to microlithiasis, and the formation of gallstones in mice[2].

Devazepide (intraperitoneal injection; 0.1-1 mg/kg) has opposite effects on spontaneous locomotor activity, and on caerulein- and apomorphine-induced hypomotility in the mouse[3].

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

Animal Model:	Male C57BL/6J mice[2]
Dosage:	4 mg/kg
Administration:	Oral gavage; 4 mg/kg; twice per day
Result:	Increased susceptibility to gallstone formation by impairing gallbladder emptying function. Disrupted biliary cholesterol metabolism and enhanced intestinal cholesterol absorption in mice.

CUSTOMER VALIDATION

- J Physiol. 2023 Mar 25.

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REFERENCES

[1]. Chang RS, et al. Biochemical and pharmacological characterization of an extremely potent and selective nonpeptide cholecystokinin antagonist. Proc Natl Acad Sci U S A. 1986 Jul;83(13):4923-6.

[2]. Helen H Wang, et al. The cholecystokinin-1 receptor antagonist devazepide increases cholesterol cholelithogenesis in mice. Eur J Clin Invest

[3]. E Vasar, et al. Differential involvement of CCK-A and CCK-B receptors in the regulation of locomotor activity in the mouse. Psychopharmacology (Berl). 1991;105(3):393-9

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