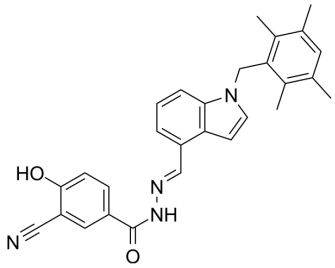
GCGR antagonist 2

Cat. No.:	HY-148844
CAS No.:	280134-25-0
Molecular Formula:	$C_{28}H_{26}N_4O_2$
Molecular Weight:	450.53
Target:	GCGR
Pathway:	GPCR/G Protein
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 (221.96 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.2196 mL	11.0980 mL	22.1961 mL
	5 mM	0.4439 mL	2.2196 mL	4.4392 mL
	10 mM	0.2220 mL	1.1098 mL	2.2196 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: 2.5 mg/mL (5.55 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: 2.5 mg/mL (5.55 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

GCGR antagonist 2, a Furan-2-carbohydrazide, is an orally active glucagon receptor antagonist. GCGR antagonist 2 binds to hGluR with an K_d value of 2.3 nM, and inhibits rat receptor with an IC_{50} value of 0.43 nM. GCGR antagonist 2 inhibits glucagon-stimulated glycogenolysis[1][2].

In Vitro

GCGR antagonist 2 (compound 74) (25 nM, 250 nM, and 2500 nM; 70 min) inhibits 5 nM glucagon-induced glycogenolysis in primary rat hepatocytes, with an IC_{50} value of 160 nM[1].
GCGR antagonist 2 (25 nM, 250 nM, and 2500 nM; 60 min) inhibits glucagon-stimulated cAMP level with the recombinant human glucagon receptor in BHK cells[1].
GCGR antagonist 2 (1 nM, 10 nM, and 100 nM; 60 min) inhibits glucagon-stimulated cAMP level with isolated rat liver glucagon receptor in BHK cells[1].

	MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	GCGR antagonist 2 (0.5 mg/kg for IV, or 2 mg/kg for PO; single dose) shows mean half-lives of 1.11 h and 1.40 h, respectively [1]. GCGR antagonist 2 (0 mg/kg, 3 mg/kg, and 10 mg/kg; p.o.) at least partly, inhibits the action of the endogenous glucagon responsible for maintenance of euglycemia in glucagon-challenged Sprague-Dawley rats[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Madsen P, et al. Optimization of alkylidene hydrazide based human glucagon receptor antagonists. Discovery of the highly potent and orally available 3-cyano-4-hydroxybenzoic acid [1-(2,3,5,6-tetramethylbenzyl)-1H-indol-4-ylmethylene]hydrazide. J Med Chem. 2002 Dec 19;45(26):5755-75.

[2]. Hasegawa F, et al. Discovery of furan-2-carbohydrazides as orally active glucagon receptor antagonists. Bioorg Med Chem Lett. 2014 Sep 1;24(17):4266-70.

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