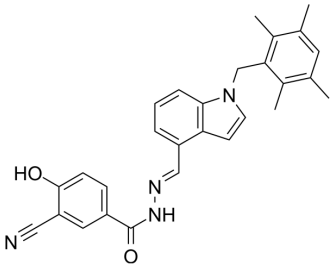
GCGR antagonist 2

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:	Please store the product under the recommended conditions in the Certificate of Analysis.



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.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA