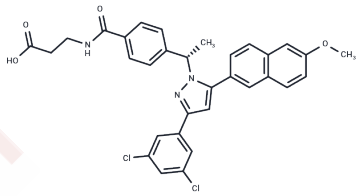
Data Sheet (Cat.No.T12055)

TargetMol

MK 0893

Chemical Properties



CAS No. : 870823-12-4

Formula: C32H27Cl2N3O4

Molecular Weight: 588.48

Storage: Store at low temperature
Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	MK 0893 is a potent and selective Glucagon Receptor (GR) antagonist (IC50=6.6 nM) with competitive, reversible, high binding affinity and functional cAMP activity, which attenuates glucagon induced blood glucose elevation and reduces ambient glucose levels in acute and chronic mouse models.
Targets(IC50)	Glucagon Receptor
In vitro	MK 0893 is a potent, reversible, and competitive antagonist of the glucagon receptor (GCGR), exhibiting high binding affinity (IC_{50} = 6.6nM) and inhibitory activity in a cAMP functional assay (IC_{50} = 15.7nM). MK 0893 is highly selective for GCGR over other class B GPCRs, with IC_{50} values of 1020nM for GIPR, 9200nM for PAC1, and >10000nM for GLP-1R, VPAC1, and VPAC2[1].
In vivo	In hGCGR transgenic mice and rhesus monkeys, MK 0893 effectively blunted glucagon-induced blood glucose elevation. In hGCGR ob/ob mice, single oral doses of 3 and 10mg/kg reduced glucose AUC (0–6 h) by 32% and 39%, respectively. In hGCGR mice on a high-fat diet, daily feeding of 3 and 10mg/kg for 10 days reduced blood glucose levels by 89% and 94%, respectively, relative to the difference between vehicle-treated and lean control mice[1].

Solubility Information

Solubility	DMSO: 30 mg/mL (50.98 mM),Sonication is recommended. H2O: < 0.1 mg/mL (insoluble) (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+90% Corn Oil: 2 mg/mL (3.4 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.6993 mL	8.4965 mL	16.9929 mL
5 mM	0.3399 mL	1.6993 mL	3.3986 mL
10 mM	0.1699 mL	0.8496 mL	1.6993 mL
50 mM	0.034 mL	0.1699 mL	0.3399 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Xiong Y, et al. Discovery of a novel glucagon receptor antagonist N-[(4-{(1S)-1-[3-(3, 5-dichlorophenyl)-5-(6-methoxynaphthalen-2-yl)-1H-pyrazol-1-yl]ethyl}phenyl)carbonyl]-β-alanine (MK-0893) for the treatment of type II diabetes.J Med Chem. 2012 Jul 12;