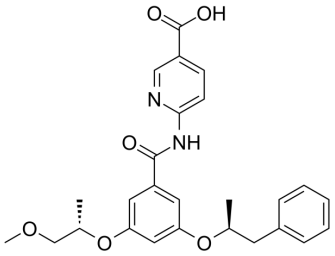
GKA50

Cat. No.:	HY-15671
CAS No.:	851884-87-2
Molecular Formula:	$C_{26}H_{28}N_2O_6$
Molecular Weight:	464.51
Target:	Glucokinase
Pathway:	Metabolic Enzyme/Protease
Storage:	Powder -20°C 3 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 46 mg/mL (99.03 mM; Need ultrasonic and warming)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.1528 mL	10.7640 mL	21.5281 mL
	5 mM	0.4306 mL	2.1528 mL	4.3056 mL
	10 mM	0.2153 mL	1.0764 mL	2.1528 mL

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

BIOLOGICAL ACTIVITY

Description

GKA50 is a potent glucokinase activator (EC_{50}=33 nM at 5 mM glucose). GKA50 stimulates insulin release from mouse islets of Langerhans. GKA50 is a glucose-like activator of beta-cell metabolism in rodent and human islets and a Ca^{2+}-dependent modulator of insulin secretion. GKA50 shows significant glucose lowering in high fat fed female rats[1][2][3][4].

In Vitro

GKA50 (0.01-100 μM; 24 hours) enhances INS-1 cell proliferation with EC_{50}values ranging from 1 to 2 μM[2].
GKA50 (1.2 μM+40 μM glucose; 2-4 days) treatment reduces apoptosis induced by chronic high glucose in INS-1 cells[2].
GKA50 activates human glucokinase enzymatic activity with an EC_{50} of 0.022 μM. GKA50 stimulates insulin secretion in the pancreatic insulinoma cell line, INS-1, with an EC_{50} of 0.065 μM. GKA50 reduces chronic-high-glucose-induced apoptosis via modulation of glucokinase and apoptotic protein BAD[2].

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

Cell Proliferation Assay[2]

Cell Line:	INS-1 cells (starved overnight with 3 μM glucose)
Concentration:	0.01-100 μM

Incubation Time:	24 hours
Result:	Stimulated cell proliferation in a dose-dependent manner, with EC_{50} values ranging from 1 to 2 μM.

In Vivo

GKA50 (1-30 mg/kg; p.o.) gives significant glucose lowering in an oral glucose tolerance test[1].

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

Animal Model:	High-fat-fed obese female Zucker (fa/fa) rats[1]
Dosage:	1, 3, 10, 30 mg/kg
Administration:	Oral administration
Result:	Significant percentage glucose lowering.

REFERENCES

[1]. Coope GJ, et al. Predictive blood glucose lowering efficacy by Glucokinase activators in high fat fed female Zucker rats. Br J Pharmacol. 2006 Oct;149(3):328-35.

[2]. McGlasson L, et al. The glucokinase activator GKA50 causes an increase in cell volume and activation of volume-regulated anion channels in rat pancreatic β-cells. Mol Cell Endocrinol. 2011 Aug 6;342(1-2):48-53.

[3]. Johnson D, et al. Glucose-dependent modulation of insulin secretion and intracellular calcium ions by GKA50, a glucokinase activator. Diabetes. 2007;56(6):1694-1702.

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