GKA50 quarterhydrate

Cat. No.: HY-15671A

Molecular Formula: $C_{26}H_{28}N_2O_6 \cdot 1/4H_2O$

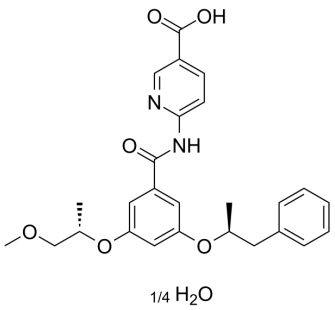
Molecular Weight: 469.01

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 (98.08 mM; Need ultrasonic and warming)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.1322 mL	10.6608 mL	21.3215 mL
	5 mM	0.4264 mL	2.1322 mL	4.2643 mL
	10 mM	0.2132 mL	1.0661 mL	2.1322 mL

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

BIOLOGICAL ACTIVITY

Description

GKA50 quarterhydrate is a potent glucokinase activator (EC_{50}=33 nM at 5 mM glucose) and stimulates insulin release from mouse islets of Langerhans. GKA50 quarterhydrate is a glucose-like activator of beta-cell metabolism in rodent and human islets and a Ca^{2+}-dependent modulator of insulin secretion. GKA50 quarterhydrate 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. Glucokinase activators: molecular tools for studying the physiology of insulin-secreting cells. Biochem Soc Trans. 2007;35(Pt 5):1208-1210.

[4]. 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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