

## Product Data Sheet

Product Name: GKA50 quarterhydrate

Cat. No.: GC61749

### Chemical Properties

Cas. No.

SMILES O=C(C1=CC=C(NC(C2=CC(O[C@@H](C)CC3=CC=CC=C3)=CC(O[C@@H](C)COC)=C2)=O)N=C1)O.[1/4].O

Formula  $C_{26}H_{28}N_2O_6 \cdot \frac{1}{4}H_2O$  M.Wt 469.01

Solubility DMSO : 46 mg/mL (98.08 mM; Need ultrasonic and warming) Storage Store at -20°C

General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

Structure

### Background

GKA50 quarterhydrate is a potent glucokinase activator (EC<sub>50</sub>=33 nM at 5 mM glucose). GKA50 quarterhydrate stimulates insulin release from mouse islets of Langerhans and MIN6 cells. GKA50 quarterhydrate shows significant glucose lowering in high fat fed female rats[1][2].

GKA50 (0.01-100 μM; 24 hours) enhances INS-1 cell proliferation with EC<sub>50</sub> 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<sub>50</sub> of 0.022 μM. GKA50 stimulates insulin secretion in the pancreatic insulinoma cell line, INS-1, with an EC<sub>50</sub> of 0.065 μM. GKA50 reduces chronic-high-glucose-induced apoptosis via modulation of glucokinase and apoptotic protein BAD[2]. Cell Proliferation Assay[2] Cell Line: INS-1 cells (starved overnight with 3 μM glucose)

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

**Caution: Product has not been fully validated for medical applications. For research use only.**

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test[1]. Animal Model: High-fat-fed obese female Zucker (fa/fa) rats[1]

[1]. Coope G], 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  $\beta$ -cells. Mol Cell Endocrinol. 2011 Aug 6;342(1-2):48-53.

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