Product Data Sheet

Product Name: GKA50
Cat. No.: GC38784

Chemical Properties

Cas. No.	851884-87-2		
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		
Formula	$C_{26}H_{28}N_2O_6$	M.Wt	464.51
Solubility	DMSO : 46 mg/mL (99.03 mM; Need ultrasonic and warming)	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ 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	GKA50		

Background

GKA50 is a potent glucokinase activator (EC50=33 nM at 5 mM glucose). GKA50 stimulates insulin release from mouse islets of Langerhans and MIN6 cells. GKA50 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 EC50values 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 EC50 of 0.022 μM. GKA50 stimulates insulin secretion in the pancreatic insulinoma cell line, INS-1, with an EC50 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 test[1]. Animal Model: High-fat-fed obese female Zucker (fa/fa) rats[1]

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com
Address: 10292 Central Ave. #205, Montclair, CA, USA

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.