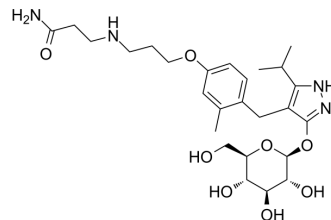


KGA-2727

Cat. No.:	HY-123797
CAS No.:	666842-36-0
Molecular Formula:	C ₂₆ H ₄₀ N ₄ O ₈
Molecular Weight:	536.62
Target:	SGLT
Pathway:	Membrane Transporter/Ion Channel
Storage:	4°C, protect from light * In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 200 mg/mL (372.70 mM; Need ultrasonic)
 Ethanol : 100 mg/mL (186.35 mM; Need ultrasonic)
 H₂O : 20 mg/mL (37.27 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
	1 mM	1.8635 mL	9.3176 mL	18.6352 mL
	5 mM	0.3727 mL	1.8635 mL	3.7270 mL
	10 mM	0.1864 mL	0.9318 mL	1.8635 mL

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

In Vivo

- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
Solubility: ≥ 5 mg/mL (9.32 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
Solubility: ≥ 5 mg/mL (9.32 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil
Solubility: ≥ 5 mg/mL (9.32 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

KGA-2727 is a first selective, high-affinity and orally active SGLT1 inhibitor with K_is of 97.4 nM and 43.5 nM for human and rat SGLT1, respectively. The selectivity ratios (K_i for SGLT2/K_i for SGLT1) of KGA-2727 are 140 (human) and 390 (rat). KGA-2727 has antidiabetic efficacy^[1].

IC₅₀ & Target

Ki: 97.4 nM (human SGLT1), 43.5 nM (rat SGLT1)^[1]

In Vitro

A Dixon plot analysis for KGA-2727 displays good linearity for human SGLT1 and SGLT2. The results of the Dixon plot show

that KGA-2727 inhibits these SGLTs in a competitive manner. KGA2727 dose-dependently inhibits Methyl-Dglucopyranoside (AMG) uptake by SGLT1 and SGLT2^[1].

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

In Vivo

In the oral glucose tolerance test with streptozotocin-induced diabetic rats, KGA-2727 attenuates the elevation of plasma glucose after glucose loading, indicating that KGA-2727 improves postprandial hyperglycemia^[1].

In Zucker diabetic fatty (ZDF) rats, chronic treatments with KGA-2727 reduces the levels of plasma glucose and glycated hemoglobin. Furthermore, KGA-2727 preserves glucose-stimulated insulin secretion and reduces urinary glucose excretion with improved morphological changes of pancreatic islets and renal distal tubules in ZDF rats^[1].

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

REFERENCES

[1]. Shibazaki T, et al. KGA-2727, a novel selective inhibitor of a high-affinity sodium glucose cotransporter (SGLT1), exhibits antidiabetic efficacy in rodent models. J Pharmacol Exp Ther. 2012 Aug;342(2):288-96.

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

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