Remogliflozin etabonate

Cat. No.: HY-14945

CAS No.: 442201-24-3

Molecular Formula: $C_{26}H_{38}N_2O_9$

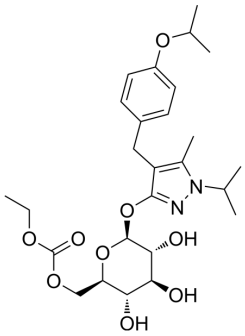
Molecular Weight: 522.59

Target: SGLT

Pathway: Membrane Transporter/Ion Channel

Storage: 4°C, sealed storage, away from moisture

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (191.35 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.9135 mL	9.5677 mL	19.1355 mL
	5 mM	0.3827 mL	1.9135 mL	3.8271 mL
	10 mM	0.1914 mL	0.9568 mL	1.9135 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (4.78 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (4.78 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.78 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Remogliflozin etabonate (GSK189075) is an orally active, selective and low-affinity sodium glucose cotransporter (SGLT2) inhibitor with K_i values of 1.95 μM, 2.14 μM, 43.1 μM, 8.57 μM for hSGLT2, rSGLT2, hSGLT1, rSGLT1, respectively. Remogliflozin etabonate is a proagent based on benzylpyrazole glucoside and is metabolized to its active form, Remogliflozin, in the body. Remogliflozin etabonate exhibits antidiabetic efficacy in rodent models[1].

IC_{50} & Target	hSGLT2	rSGLT2	hSGLT1	rSGLT1
	1.95 μM (Ki)	2.14 μM (Ki)	43.1 μM (Ki)	8.57 μM (Ki)

In Vivo

Remogliflozin etabonate (GSK189075; 10 or 30 mg/kg; orally; twice daily for 6 weeks) significantly reduced both the FPG and

GHb levels in a dosedependent manner[1].
Remogliflozin etabonate (3, 10, 30 mg/kg; orally) increases urinary glucose excretion in a dose-dependent manner.
Remogliflozin etabonate dose-dependently inhibits the increase in plasma glucose after glucose loading and decreases the plasma insulin in normal rats[1].
Remogliflozin etabonate (1-10 mg/kg; orally) decreases the blood glucose and reduces the $AUC_{0-6\ h}$ for blood glucose in a dose-dependent manner[1].
Remogliflozin etabonate (high-fat diet containing 0.01, 0.03, or 0.1% remogliflozin etabonate for 8 weeks) reduces the levels of plasma glucose, plasma insulin, and GHb in a dose-dependent manner, and it suppresses the development of hypertriglyceridemia in male GK rats (6 weeks of age)[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	db/db mice at the age of 8 weeks[1]
Dosage:	10 or 30 mg/kg
Administration:	Orally; twice daily for 6 weeks
Result:	Significantly reduced both the fasting plasma glucose (FPG) and glycated hemoglobin (GHb) levels in a dosedependent manner. Reduced both urine volume and urinary glucose excretion with ameliorated the hyperglycemia.

REFERENCES

[1]. Yoshikazu Fujimori, et al. Remogliflozin Etabonate, in a Novel Category of Selective Low-Affinity Sodium Glucose Cotransporter (SGLT2) Inhibitors, Exhibits Antidiabetic Efficacy in Rodent Models. J Pharmacol Exp Ther. 2008 Oct;327(1):268-76.

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