Globalagliatin

Cat. No.:	HY-13529				
CAS No.:	1234703-40-2				
Molecular Formula:	C ₂₈ H ₃₇ N ₃ O ₃ S ₃				
Molecular Weight:	559.81				
Target:	Glucokinase				
Pathway:	Metabolic Enzyme/Protease				
Storage:	Powder	-20°C	3 years		
		4°C	2 years		
	In solvent	-80°C	2 years		
		-20°C	1 year		

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SOLVENT & SOLUBILITY

In Vitro	DMSO : ≥ 100 mg/mL (178.63 mM) * "≥" means soluble, but saturation unknown.						
	Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg		
		1 mM	1.7863 mL	8.9316 mL	17.8632 mL		
	SLOCK Solutions	5 mM	0.3573 mL	1.7863 mL	3.5726 mL		
		10 mM	0.1786 mL	0.8932 mL	1.7863 mL		
	Please refer to the so	lubility information to select the app	propriate solvent.				
In Vivo	1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 2.5 mg/mL (4.47 mM); Clear solution						
	2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.5 mg/mL (4.47 mM); Clear solution						
	3. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.5 mg/mL (4.47 mM); Clear solution						

BIOLOGICAL ACTIVITY

Description

Globalagliatin (LY2608204) is a activator of glucokinase (GK) with EC50 of 42 nM.IC 50 value: 42 nM (EC50)Target:
glucokinasein vitro: Globalagliatin activates glucokinase (GK) with EC50 of 42 nM at 10 mM glucose with a concentration
dependent manner at lower glucose concentrations. Globalagliatin also stimulates glucose metabolism in rat insulinoma
INS1-E cells with EC50 of 579 nM.in vivo: Globalagliatin decreases plasma glucose in a dose-dependent manner at both
fasted and postprandial glucose levels. A maximal lowering of glucose AUC versus the untreated control group is observed
with the high dose (30 mg/kg) and represents a 42% decrease. Interpolation of the data show that a 20% glucose AUC

Product Data Sheet

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decrease occurs at an average Globalagliatin concentration of 99 ng/mL (179 nM) in plasma, corresponding to a 6.9 mg/kg Globalagliatin dose. The in vivo blood brain barrier permeability of Globalagliatin results in a mean brain/plasma ratio of 0.17 five minutes post-dose with a mean total brain level of 0.539 nmol/g.

CUSTOMER VALIDATION

• Cell Rep. 2021 Jul 6;36(1):109327.

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

 Tel: 609-228-6898
 Fax: 609-228-5909
 E-mail: tech@MedChemExpress.com

 Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA