Product Data Sheet

Globalagliatin

Cat. No.: HY-13529 CAS No.: 1234703-40-2 Molecular Formula: $C_{28}H_{37}N_3O_3S_3$ Molecular Weight: 559.81

Target: Glucokinase

Pathway: Metabolic Enzyme/Protease

> -20°C Powder 3 years 4°C 2 years

-80°C In solvent 2 years

> -20°C 1 year

SOLVENT & SOLUBILITY

In Vitro

Storage:

DMSO: $\geq 100 \text{ mg/mL} (178.63 \text{ mM})$

* "≥" 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
	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 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 (4.47 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

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.

See more customer validations on $\underline{www.MedChemExpress.com}$

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

Page 2 of 2 www.MedChemExpress.com