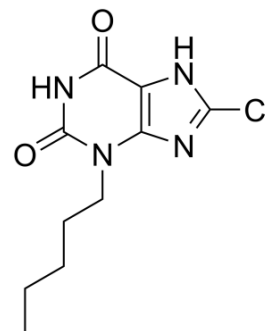


GSK256073

Cat. No.:	HY-119222		
CAS No.:	862892-90-8		
Molecular Formula:	C ₁₀ H ₁₃ ClN ₄ O ₂		
Molecular Weight:	256.69		
Target:	GPR109A		
Pathway:	GPCR/G Protein		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	6 months
		-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro	DMSO : 16.67 mg/mL (64.94 mM; Need ultrasonic)				
		Solvent Concentration	Mass 1 mg	5 mg	10 mg
	Preparing Stock Solutions	1 mM	3.8957 mL	19.4787 mL	38.9575 mL
		5 mM	0.7791 mL	3.8957 mL	7.7915 mL
10 mM		0.3896 mL	1.9479 mL	3.8957 mL	
Please refer to the solubility information to select the appropriate solvent.					
In Vivo	1. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 1.67 mg/mL (6.51 mM); Clear solution				

BIOLOGICAL ACTIVITY

Description	GSK256073 is a potent, selective and orally active GPR109A agonist and a long-lasting and non-flushing HCA2 full agonist with a pEC ₅₀ of 7.5 (human HCA2). GSK256073 acutely improves glucose homeostasis via inhibition of lipolysis and has the potential for the study of type 2 diabetes mellitus (T2DM) and dyslipidemia ^{[1][2]} . GPR109A: G-protein coupled receptor 109A; HCA2: hydroxy-carboxylic acid receptor 2
IC₅₀ & Target	IC ₅₀ : GPR109A (G-protein coupled receptor 109A); HCA2 (hydroxy-carboxylic acid receptor 2) ^{[1][2]}
In Vitro	GSK256073 is approximately 10-fold more potent than niacin against human HCA2 (pEC ₅₀ value of 7.5 compared to 6.7 for niacin), has good activity versus the rat orthologue of HCA2 (pEC ₅₀ value of 6.9 compared to 6.4 for niacin) in membranes prepared from Chinese hamster ovary cells expressing recombinant human HCA2 ^[2] . GSK256073 (100 μM) suppresses cAMP elevation induced by isoprenaline (100 nM) in rat primary adipocytes ^[2] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

GSK256073 (oral administration; 1, 30 and 100 mg/kg; in rat) shows that the fall in NEFA is of rapid onset and that the maximum is dose-related with inhibitions of 74, 81 and 88%, respectively. Triglycerides decrease is followed as a similar pattern, although the duration was longer with a decrease of 91% still present 6 h post dose at 10 mg/kg^[2]. GSK256073 (intravenous injection; 1-10 mg/kg) produces a dose related decrease in NEFA. However, the increase in ear temperature induced by 10 mg/kg i.v. GSK256073 is only 40% of that induced by 10 mg/kg i.v. niacin^[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	SD rat ^[2]
Dosage:	1, 30 and 100 mg/kg
Administration:	Oral administration
Result:	Inhibited NEFA expression as a dose-dependent manner.

Animal Model:	Guinea pigs ^[2]
Dosage:	10 mg/kg
Administration:	Intravenous injection
Result:	Had the antilipolytic and flushing effects as a HCA2 agonist.

REFERENCES

[1]. Dobbins RL, et al. GSK256073, a selective agonist of G-protein coupled receptor 109A (GPR109A) reduces serum glucose in subjects with type 2 diabetes mellitus. *Diabetes Obes Metab.* 2013 Nov;15(11):1013-21.

[2]. Sprecher D, et al. Discovery and characterization of GSK256073, a non-flushing hydroxy-carboxylic acid receptor 2 (HCA2) agonist. *Eur J Pharmacol.* 2015 Jun 5;756:1-7.

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