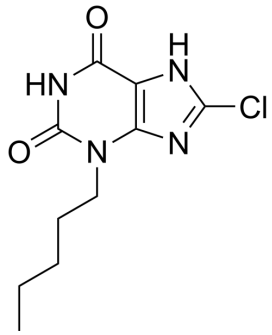
GSK256073

Cat. No.:	HY-119222
CAS No.:	862892-90-8
Molecular Formula:	$C_{10}H_{13}ClN_4O_2$
Molecular Weight:	256.69
Target:	GPR109A
Pathway:	GPCR/G Protein
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 16.67 mg/mL (64.94 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	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

IC_{50} & Target

IC50: 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 cellsexpressing 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 adminstration; 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 inhibition 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 adminstration
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.

CUSTOMER VALIDATION

- bioRxiv. 2023 Jul 3.

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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.

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