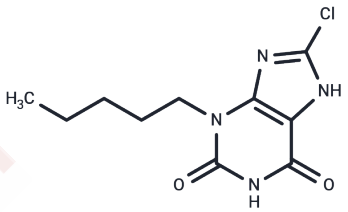
Data Sheet (Cat.No.T15432)

TargetMol

GSK256073

Chemical Properties



CAS No. : 862892-90-8

Formula: C10H13ClN4O2

Molecular Weight: 256.69

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	GSK256073 is an orally active GPR109A agonist. GSK256073 also is a long-lasting and non-flushing HCA2 (hydroxy-carboxylic acid receptor 2) full agonist (pEC50: 7.5). GSK256073 acutely improves glucose homeostasis via inhibition of lipolysis.
Targets(IC50)	GPCR
In vitro	GSK256073 (100 μM) suppresses cAMP elevation induced by isoprenaline (100 nM) in rat primary adipocytes. GSK256073 is approximately 10-fold more potent than niacin against human HCA2 (pEC50 value of 7.5 compared to 6.7 for niacin). In membranes prepared from Chinese hamster ovary cells expressing recombinant human HCA2, It has good activity versus the rat orthologue of HCA2 (pEC50 value of 6.9 compared to 6.4 for niacin) [2].
In vivo	GSK256073 (i.v.; 1-10 mg/kg) produces a dose-related decrease in NEFA, however, the enhanced in-ear temperature induced by 10 mg/kg i.v. GSK256073 is only 40% of that induced by 10 mg/kg i.v. niacin. GSK256073 (p.o.; 1, 30, and 100 mg/kg; in rat) displays that the fall in NEFA is of rapid onset and that the maximum is dose-related with inhibitions of 74, 81 and 88%, respectively. Although the duration was longer with a reduction of 91% still present 6 h post-dose at 10 mg/kg, triglycerides reduce is followed as a similar pattern [2].

Solubility Information

Solubility	DMSO: 16.67 mg/mL (64.94 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+90% Corn Oil: 1.5 mg/mL (5.84 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
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
50 mM	0.0779 mL	0.3896 mL	0.7791 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

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.
Zhu S, Yuan Q, Li X, et al.Molecular recognition of niacin and lipid-lowering drugs by the human hydroxycarboxylic acid receptor 2.Cell Reports.2023, 42(11).
Peroumal D, Jawale C V, Choi W, et al.The survival of B cells is compromised in kidney disease.Nature Communications.2024, 15(1): 1-19.
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.