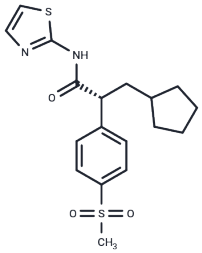
Data Sheet (Cat.No.T16793)

TargetMol

RO-28-1675

Chemical Properties



CAS No. : 300353-13-3

Formula: C18H22N2O3S2

Molecular Weight: 378.51

Storage: Store at low temperature
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	RO-28-1675 is a direct and selective glucokinase activator that indirectly affects the activity of the GK/GKRP (glucokinase regulatory protein) complex, with efficacy decreasing with increasing glucose concentration, and can be used in studies related to insulin secretion and type 2 diabetes.
Targets(IC50)	Glucokinase
In vitro	In experiments with rat islet (Langerhans islet) cells and primary rat hepatocytes, RO-28-1675 showed an effect on the nuclear-cytoplasmic transport of glucokinase (GCK) in hepatocytes. Additionally, RO-28-1675 (3 μM) was able to lower the glucose concentration threshold required to stimulate insulin secretion. This suggests that RO-28-1675 not only regulates the subcellular localization of GCK but also enhances the glucose sensitivity of islet cells, thereby promoting insulin secretion [1].
In vivo	In a diabetic mouse model with a Gck gene mutation, oral administration of RO-28-1675 (50 mg/kg) induced hypoglycemia in wild-type mice [2]. Pharmacokinetic studies indicated that RO-28-1675 has excellent absorption properties, with an oral bioavailability of 92.8% in mice. After a single dose of 10 mg/kg, the peak plasma concentration (Cmax) reached 1140 μg/mL [3].

Solubility Information

Solubility	DMSO: 40 mg/mL (105.68 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (5.28 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	2.6419 mL	13.2097 mL	26.4194 mL
5 mM	0.5284 mL	2.6419 mL	5.2839 mL
10 mM	0.2642 mL	1.321 mL	2.6419 mL
50 mM	0.0528 mL	0.2642 mL	0.5284 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

Sternisha SM,et al.Molecular and cellular regulation of human glucokinase.Arch Biochem Biophys.2019 Mar 15; 663:199-213.
Fenner D,et al.Generation of N-ethyl-N-nitrosourea (ENU) diabetes models in mice demonstrates genotype-specific action of glucokinase activators.J Biol Chem. 2011 Nov 11;286(45):39560-72.
Haynes NE,et al.Discovery, structure-activity relationships, pharmacokinetics, and efficacy of glucokinase activator (2R)-3-cyclopentyl-2-(4-methanesulfonylphenyl)-N-thiazol-2-yl-propionamide (RO0281675).J Med Chem. 2010 May 13;53(9):3618-25.