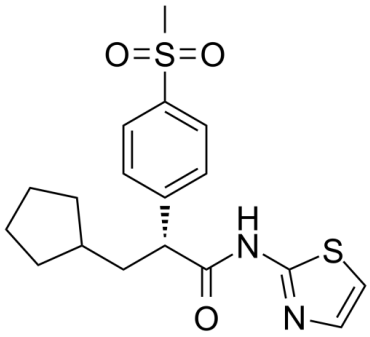
Data Sheet

Product Name:	RO-28-1675
Cat. No.:	CS-2016
CAS No.:	300353-13-3
Molecular Formula:	$C_{18}H_{22}N_2O_3S_2$
Molecular Weight:	378.51
Target:	Glucokinase
Pathway:	Metabolic Enzyme/Protease
Solubility:	DMSO : 50 mg/mL (132.10 mM; Need ultrasonic)



BIOLOGICAL ACTIVITY:

RO-28-1675 is a potent allosteric **glucokinase (GK)** activator with an **EC_{50}** of 54 nM. RO-28-1675 can be used for the research of type 2 diabetes[1][2]. IC50 & Target: EC50: 54 nM (glucokinase)[1] **In Vitro:** RO-28-1675 can reverse the inhibitory action of the human glucokinase regulatory protein (GKRP)[2].

In Vivo: RO-28-1675 (50 mg/kg; p.o.) reduces blood glucose levels in wild-type C57BL/6J mice[2].

RO-28-1675 exhibits high oral bioavailability (mice 92.8%) and C_{max} (1140 μg/mL) following oral administration (10 mg/kg)[1].

PROTOCOL (Extracted from published papers and Only for reference)

Animal administration [2] Two- to 5-month-old male mice were fasted for 2 h; the vehicle for the GKA RO0281675 was administered by oral gavage, and serum was collected at 120, 0, 15, 30, 60, 120, and 180 min. The following week, mice were fasted for 2 h; RO0281675 was administered by oral gavage at a dose of 50 mg/kg, and serum was collected at ?120, 0, 15, 30, 60, 120, and 180 min. Serum glucose was measured using an Analox GM 7. Serum insulin was measured using insulin ELISA kits from Crystal Chem. The zero time point was used as base line, and the area under the curve was calculated from 0 to 180 min.

References:

[1]. Joseph Grimsby, et al. Allosteric activators of glucokinase: potential role in diabetes therapy. Science. 2003 Jul 18;301(5631):370-3.

[2]. Nancy-Ellen Haynes, 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.

CAIndexNames:

Benzeneacetamide, α-(cyclopentylmethyl)-4-(methylsulfonyl)-N-2-thiazolyl-, (αR)-

SMILES:

O=C([C@@H](C1=CC=C(C=C1)S(=O)(C)=O)CC2CCCC2)NC3=NC=CS3

Caution: Product has not been fully validated for medical applications. For research use only.

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