

Product Data Sheet

Product Name: Ro 28-1675

Cat. No.: GC37546

Chemical Properties

Cas. No. 300353-13-3

SMILES O=C(NC1=NC=CS1)[C@H](CC2CCCC2)C3=CC=C(S(=O)(C)=O)C=C3

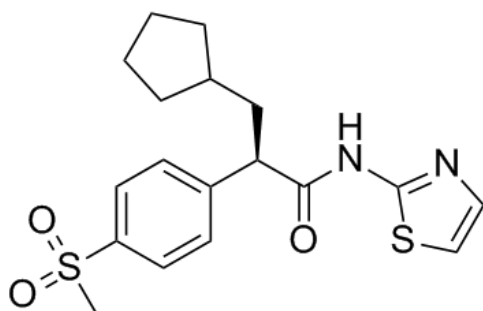
Formula $C_{18}H_{22}N_2O_3S_2$ M.Wt 378.51

Solubility DMSO: 50 mg/mL (132.10 mM) Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure



Background

Ro 28-1675 (Ro 0281675) is a potent allosteric GK activator with a SC1.5 value of 0.24 ± 0.0019 μ M. IC50 value: 0.24 ± 0.0019 μ M (SC1.5) [1] Target: Glucokinase activator The R stereoisomer Ro 28-1675 activated GK with a SC1.5 of 0.24 μ M, while the S isomer did not activate GK up to 10 μ M. Oral administration of Ro 28-1675 (50 mg/Kg) to male C57B1/6J mice caused a statistically significant reduction in fasting glucose levels and improvement in glucose tolerance relative to the

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

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vehicle treated animals [1]. Comparison of rat PK parameters indicated that Ro 28-1675 displayed lower clearance and higher oral bioavailability compared to 9a. Following a single oral dose, Ro 28-1675 reduced fasting and postprandial glucose levels following an OGTT, was well tolerated, and displayed no adverse effects related to drug administration other than hypoglycemia at the maximum dose (400 mg). [1]

[1]. 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):39560-39572. [2]. 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).

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