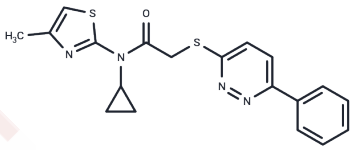
Data Sheet (Cat.No.T17243)

TargetMol

VU0463271

Chemical Properties



CAS No. : 1391737-01-1

Formula: C19H18N4OS2

Molecular Weight: 382.5

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	VU0463271 (N-Cyclopropyl-N-(4-methyl-2-thiazolyl)-2-[(6-phenyl-3-pyridazinyl)thio] acetamide) is a potent and selective antagonist of the neuronal-specific potassium-chloride cotransporter 2 (KCC2), with an IC50 of 61 nM and >100-fold selectivity versus the closely related Na-K-2Cl cotransporter 1 (NKCC1) and no activity in a larger panel of GPCRs, ion channels, and transporters.
Targets(IC50)	Potassium Channel
In vitro	VU0463271 is also found rapidly cleared in vitro[1]. VU0463271 is applied to the transected CNS preparation and resulted in a significant increase in firing rates of the Drosophila CNS with 1 μM VU0463271 resulting in a peak firing rate that was a 2.7- and 2.5-fold increase over baseline firing rate for OR and rdl strains, respectively[2].
In vivo	VU0463271(1 mg/kg; i.v.) is found to be a moderate-to-high clearance compound in rat (CL=57 mL/min/kg). The low volume of distribution at steady state (Vss 0.4 L/kg), coupled with moderate-to-high clearance produce a relatively short t1/2 (9 min) in vivo[

Solubility Information

Solubility	DMSO: 3.83 mg/mL (10.01 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.6144 mL	13.0719 mL	26.1438 mL
5 mM	0.5229 mL	2.6144 mL	5.2288 mL
10 mM	0.2614 mL	1.3072 mL	2.6144 mL
50 mM	0.0523 mL	0.2614 mL	0.5229 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

Delpire E, et al. Further optimization of the K-Cl cotransporter KCC2 antagonist ML077: development of a highly selective and more potent in vitro probe. Bioorg Med Chem Lett. 2012 Jul 15;22(14):4532-5.
Rui Chen, et al. Functional Coupling of K +-Cl - Cotransporter (KCC) to GABA-Gated Cl - Channels in the Central Nervous System of Drosophila melanogaster Leads to Altered Drug Sensitivities. ACS Chem Neurosci. 2019 Jun 19; 10(6):2765-2776.