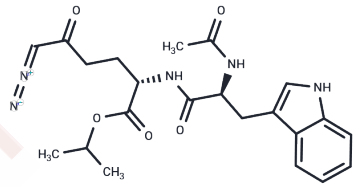
Data Sheet (Cat.No.T62560)

TargetMol

Sirpiglenastat

Chemical Properties



CAS No. : 2079939-05-0

Formula: C22H27N5O5

Molecular Weight: 441.48

Storage: Keep away from direct sunlight,Keep away from moisture,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	Sirpiglenastat (DRP-104) belongs to glutamine antagonist prodrugs and is a broad-spectrum glutamine metabolism inhibitor with tumor-selective activation, good tolerability, and oral activity. This compound is used in therapeutic research on various solid tumors.
Targets(IC50)	Others,Glutaminase
In vitro	**Methods**:Sirpiglenastat was incubated in HY19636 pancreatic ductal adenocarcinoma cells at a concentration of 25 μM for 24 hours, validated by metabolomic analysis. **Results**: Sirpiglenastat extensively inhibited glutamine metabolic pathways, inducing cellular metabolic crisis.[1] **Methods**:Cell viability assays were used to validate Sirpiglenastat in vitro activity in head and neck squamous cell carcinoma (HNSCC) cell lines (CAL27, CAL33, HN12, SCC47, Detroit 562), with cells incubated with serial concentrations of Sirpiglenastat (DRP-104) for 72 hours. **Results**: Sirpiglenastat effectively inhibited HNSCC cell proliferation, with a growth inhibition concentration 50 (GI_{50}) comparable to DON, indicating that this prodrug can be effectively activated in HNSCC cells.[2]
In vivo	**Methods**: The in vivo activity of Sirpiglenastat was validated in a head and neck squamous cell carcinoma (HNSCC) subcutaneous xenograft model in SCID/NSG mice. Sirpiglenastat was administered by subcutaneous injection at a dose of 3 mg/kg on a 5 days on/2 days off schedule for 4 weeks, with vehicle diluent as the solvent control. **Results**: Sirpiglenastat significantly inhibited tumor growth, reduced tumor weight, and improved survival rates in the model mice. [3]

Solubility Information

Solubility	DMSO: 90.5 mg/mL (204.99 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.2651 mL	11.3255 mL	22.6511 mL
5 mM	0.453 mL	2.2651 mL	4.5302 mL
10 mM	0.2265 mL	1.1326 mL	2.2651 mL
50 mM	0.0453 mL	0.2265 mL	0.453 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

Encarnación-Rosado, Joel et al. Targeting pancreatic cancer metabolic dependencies through glutamine antagonism. Nature cancer vol. 5,1 (2024): 85-99.
Allevato, Michael M et al. A genome-wide CRISPR screen reveals that antagonism of glutamine metabolism sensitizes head and neck squamous cell carcinoma to ferroptotic cell death. Cancer letters vol. 598 (2024): 217089.
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