Product Datasheet

Technical Data

Formula	$C_{11}H_6BrCl_2NO_3S_2$					
Molecular Weight	415.11	**CAS No.**	519055-62-0			
Solubility (25°C)*	In vitro	DMSO	83 mg/mL (199.94 mM)			
		Ethanol	83 mg/mL (199.94 mM)			
		Water	Insoluble			
	In vivo (Add solvents to the product individually and in order)	Oral Administration	Homogeneous suspension	CMC-NA	≥5mg/ml	Taking the 1 mL working solution as an example, add 5 mg of this product to 1 ml of CMC-Na solution, mix evenly to obtain a homogeneous suspension with a final concentration of 5 mg/ml.

* <1 mg/ml means slightly soluble or insoluble.
* Please note that Capsid Bio tests the solubility of all compounds in-house, and the actual solubility may differ slightly from published values. This is normal and is due to slight batch-to-batch variations.
* Room temperature shipping (Stability testing shows this product can be shipped at 2-8°C for storage purposes.)

Preparing Stock Solutions

Concentration \ Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.4090 mL	12.0450 mL	24.0900 mL
5 mM	0.4818 mL	2.4090 mL	4.8180 mL
10 mM	0.2409 mL	1.2045 mL	2.4090 mL
50 mM	0.0482 mL	0.2409 mL	0.4818 mL

Biological Activity

Description	Tasisulam (LY573636) is an antitumor agent and an apoptosis inducer via the intrinsic pathway. Phase 3.
Targets	Caspase [1]
In vitro	Tasisulam inhibits growth of various human leukemia and lymphoma cell lines with ED50 ranging from 7 to 40 μM. This compound also induces apoptosis in HL60, Reh, and MD901 cells, mainly by loss of mitochondrial membrane potential and induction of reactive oxygen species. [1] In addition, this chemical also produce antiproliferative activities in more than 70% of the 120 cell lines tested with EC50 of less than 50 μM. It induces G2–M accumulation and subsequent apoptosis in Calu-6 and A-375 cells. In vitro, this agent also inhibits VEGF-, FGF- and EGF-induced endothelial cord formation with EC50 of 47, 103, and 34 nM, respectively. [2]
In vivo	Tasisulam induces morphologic features of vascular normalization, including increased pericyte coverage and decreased hypoxia in vivo. This compound (25 or 50 mg/kg, i.v.) displays dose-dependent antitumor activity, induces apoptosis, and normalizes tumor-associated vasculature in the Calu-6 non–small cell lung xenograft model. Besides, it displays potent antitumor activity across a range of in vivo xenografts, including colorectal (HCT-116), melanoma (A-375), gastric (NUGC-3), leukemia (MV-4-11), and pancreatic (QGP-1). [2]

Protocol (from reference)

Cell Assay:[1]

- **Cell lines**
  B-cell acute lymphocytic leukemia (Reh, RCH, BALL1), Burkitt's lymphoma (Daudi), diffuse large B-cell lymphoma (MD901, LY4), myeloid leukemia cell lines (HL60 and U937), Mantle cell lymphoma cell lines (NCEB1, Jeko1, and SP49).
- **Concentrations**
  ~40 μM
- **Incubation Time**
  96 hours
- **Method**
  Cells are treated with various concentrations of this compound. 3-(4,5-Dimethylthiazol-2-yl)-2,5-diphenyltetrazolium bromide (MTT) assay is performed. Briefly, MTT is dissolved in phosphate-buffered saline (PBS) at 5 mg/mL. Approximately 1,000 cells per well are incubated in culture medium for 96 hours in 96-well plates; and then, 10 μL of the MTT solution is added. After a 4-hour incubation, 100 μL of solubilization solution (20 % sodium dodecyl sulfate [SDS]) is added, and the mixture was incubated at 37 °C for 16 hours. In this assay, MTT is cleaved to an orange formazan dye by metabolically active cells; and the absorbance of the formazan product is measured with an enzyme-linked immunoabsorbent assay (ELISA) reader at 540 nm.

Animal Study:[2]

- **Animal Models**
  Calu-6 non–small cell lung xenograft model
- **Dosages**
  ~50 mg/kg
- **Administration**
  i.v.

References

https://pubmed.ncbi.nlm.nih.gov/18949427/ https://pubmed.ncbi.nlm.nih.gov/21903607/

RETURN POLICY

Capsid Bio's Unconditional Return Policy ensures a smooth online shopping experience for our customers. If you are in any way unsatisfied with your purchase, you may return any item(s) within 7 days of receiving it. In the event of product quality issues, either protocol related or product related problems, you may return any item(s) within 365 days from the original purchase date. Please follow the instructions below when returning products.

SHIPPING & STORAGE

Capsid Bio products are transported at room temperature. If you receive the product at room temperature, please rest assured, the Capsid Bio Quality Inspection Department has conducted experiments to verify that the normal temperature placement of one month will not affect the biological activity of powder products. After collecting, please store the product according to the requirements described in the datasheet. Most Capsid Bio products are stable under the recommended conditions.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

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