Product Datasheet

Technical Data

Formula	$C_8H_5NO_4S$					
Molecular Weight	211.19	**CAS No.**	19983-44-9			
Solubility (25°C)*	In vitro	DMSO	125 mg/mL (591.88 mM)			
		Water	Insoluble			
		Ethanol	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.
		Injection	Clear solution	5%DMSO [1] → 40%PEG300 [2] → 5%Tween80 [3] → 50%ddH2O Validated by Capsid Bio labs. Should you need adjustments to this formulation, contact our sales team for custom testing.	1.000mg/ml (4.74mM)	Taking the 1 mL working solution as an example, add 50 μL of 10.9 mg/ml clarified DMSO stock solution to 400 μL of PEG300, mix evenly to clarify it; add 50 μL of Tween80 to the above system, mix evenly to clarify; then continue to add 500 μL of ddH2O to make it clear. Volume up to 1 mL. The mixed solution should be used immediately for optimal results.
			Clear solution	5% DMSO [1] → 95% Corn oil Validated by Capsid Bio labs. Should you need adjustments to this formulation, contact our sales team for custom testing.	0.800mg/ml (3.79mM)	Taking the 1 mL working solution as an example, add 50 μL of 16 mg/ml clear DMSO stock solution to 950 μL of corn oil and mix evenly. The mixed solution should be used immediately for optimal results.

* <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

Biological Activity

Description	Stattic, the first nonpeptidic small molecule, potently inhibits **STAT3** activation and nuclear translocation with **IC50** of 5.1 μM in cell-free assays, highly selectivity over STAT1. Stattic induces **apoptosis**.
Targets	STAT3 [1] (Cell-free assay) 5.1 μM
In vitro	Stattic inhibits binding of a phosphotyrosine-containing peptide derived from the gp130 receptor to the STAT3 SH2 domain in a strongly temperature-dependent manner. This compound only has a very weak effect on binding of a tyrosinephosphorylated peptide to the SH2-domain of the tyrosine kinase Lck. And it doesn't inhibit dimerization of two other dimeric transcription factors (c-Myc/Max and Jun/Jun). It also inhibits fluorescein-labeled phosphopeptides to the SH2 domains of STAT1 and STAT5b. This chemical selectively inhibits DNA binding of STAT3 homodimers at a concentration of 10 μM. Shown to inhibit cellular phosphorylation of STAT3 at Tyr705 with little effect towards STAT1 phosphorylation at Tyr701 (in HepG2 cells) or the phosphorylation of JAK1, JAK2, and c-Src (in MDA-MB-231 and MDA-MB-235S cells). This compound increases the apoptotic rate of STAT3-dependent breast cancer cell lines. [1]
Features	Stattic is the first non-peptide small molecule with inhibitory activity against STAT3 SH2 domain regardless of the STAT3 phosphorylation state in vitro.

Protocol (from reference)

Kinase Assay:[1]

- **High-Throughput Screening and FluorescencePolarization Assays**

  Screening is performed at approximately 30 °C. The specificity of screening hits is validated in analogous assays for binding of the test compounds to the SH2 domains of STAT1, STAT5, and Lck. The final concentration of buffer components used for all FP assays is 10 mM HEPES (pH 7.5), 1 mM EDTA, 0.1% Nonidet P-40, 50 mM NaCl, and 10% DMSO. The absence of dithiothreitol is essential for inhibitory activity. The sequences of the peptides are: STAT3, 5-carboxyfluorescein-GY(PO_3H_2)LPQTV-NH_2; STAT1, 5-carboxyfluorescein-GY(PO_3H_2)DKPHVL; STAT5, 5-carboxyfluorescein-GY(PO_3H_2)LVLDKW; and Lck, 5-carboxyfluorescein-GY(PO_3H_2)EEIP. For specificity analysis at 30 °C, proteins are used at 150 nM (STAT1, STAT3, and STAT5). For specificity analysis at 37 °C, proteins are used at 370 nM (STAT3) or 100 nM (Lck). Proteins are incubated with test compounds in Eppendorf tubes at the indicated temperatures for 60 min prior addition of the respective 5-carboxyfluorescein labeled peptides (final concentration: 10 nM). Before measurement at room temperature, the mixtures are allowed to equilibrate for at least 30 min. Test compounds are used at the indicated concentrations diluted from a 20× stock in DMSO. Binding curves and inhibition curves are fitted with SigmaPlot. All competition curves are repeated three times in independent experiment

Cell Assay:[2]

- **Cell lines**
  Ly3 cells
- **Concentrations**
  ~2.5 μM
- **Incubation Time**
  48 h
- **Method**
  MTS

Animal Study:[2]

- **Animal Models**
  Ly3 parental NOD/SCID IL2R null xenograft

- **Dosages**
  3.75 mg/kg every day
- **Administration**
  intra tumor

References

https://pubmed.ncbi.nlm.nih.gov/17114005/ https://pubmed.ncbi.nlm.nih.gov/21521803/

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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