Product Datasheet

Technical Data

Formula	$C_{42}H_{45}ClN_8O_7S$					
Molecular Weight	841.37	**CAS No.**	1950634-92-0			
Solubility (25°C)*	In vitro	DMSO	100 mg/mL (118.85 mM)			
		Ethanol	33 mg/mL (39.22 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.
		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.	5.000mg/ml (5.94mM)	Taking the 1 mL working solution as an example, add 50 μL of 100 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 make it clear; then continue to add 500 μL of ddH2O to adjust the volume to 1 mL. 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

Concentration \ Volume / Mass	1 mg	5 mg	10 mg
1 mM	1.1885 mL	5.9427 mL	11.8854 mL
5 mM	0.2377 mL	1.1885 mL	2.3771 mL
10 mM	0.1189 mL	0.5943 mL	1.1885 mL
50 mM	0.0238 mL	0.1189 mL	0.2377 mL

Biological Activity

Description	dBET6 is a highly cell-permeable PROTAC degrader of **BET bromodomains** with an IC50 of 14 nM for BRD4 binding. dBET6 also induces **c-MYC** downregulation and **apoptosis**.
Targets	BRD4 [1] 14 nM
In vitro	dBET6 is a highly cell-permeable degrader of BET bromodomains. It is potent in most cancer cell lines. This compound features highly increased cellular potency with evident degradation in the sub-nanomolar range. Treatment with 100 nM of this chemical leads to degradation of BRD4 after 1 hr, prompting subsequent downregulation of c-MYC and induction of apoptosis. It disrupts global productive transcription elongation. Its treatment leads to a widespread decrease in steady-state mRNA levels, but observed an incommensurate impact on expression of members of the core regulatory circuitry of leukemogenic transcription factors. The collapse of the core transcriptional machinery prompted by BET degradation precedes a robust apoptotic response, of apparent translational significance[1].
In vivo	dBET6 is well tolerated. Upon treatment with this compound, a significant reduction of leukemic burden is observed in a disseminated mouse model of T-ALL. Moreover, mice treated with this chemical (7.5 mg/kg BID) exhibits a significant survival benefit compared to mice treated with vehicle control or JQ1 (20 mg/kg QD)[1].

Protocol (from reference)

Cell Assay:[1]

- **Cell lines**
  MOLT4 cells deficient in CRBN expression
- **Concentrations**
  0.05, 0.1, 0.5, 1 μM
- **Incubation Time**
  3 hr
- **Method**
  MOLT4 cells deficient in CRBN expression are treated with various concentrations of either dBET1 or dBET6 for 3 hr. Cells are collected by centrifugation, washed once with PBS and transferred into PCR tubes, spun down and incubated at 47.5°C for 3 min. After a subsequent incubation for 3 min on 25°C, cells are lysed by addition of 30 μL lysis buffer and three repeated freeze-thaw cycles using liquid nitrogen.

Animal Study:[1]

- **Animal Models**
  Male CD-1 mice
- **Dosages**

10 mg/kg

- **Administration**

  i.p.

References

https://pubmed.ncbi.nlm.nih.gov/28673542/

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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