Product Datasheet

Technical Data

Formula	$C_{38}H_{37}ClN_8O_7S$					
Molecular Weight	785.27	**CAS No.**	1799711-21-9			
Solubility (25°C)*	In vitro	DMSO	100 mg/mL (127.34 mM)			
		Ethanol	39 mg/mL (49.66 mM)			
		Water	<1 mg/mL			
	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 (6.37mM)	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 clarify; 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.
			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.	0.830mg/ml (1.06mM)	Taking the 1 mL working solution as an example, add 50 μL of 16.6 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

Concentration \ Volume / Mass	1 mg	5 mg	10 mg
1 mM	1.2734 mL	6.3672 mL	12.7345 mL
5 mM	0.2547 mL	1.2734 mL	2.5469 mL
10 mM	0.1273 mL	0.6367 mL	1.2734 mL
50 mM	0.0255 mL	0.1273 mL	0.2547 mL

Biological Activity

Description	dBET1 is a CRBN-based **BET** degrader with an IC50 of 20 nM, showing highly selectivity. Out of 7,429 proteins, only the expression of the oncoproteins MYC and PIM1, as well as BRD2, BRD3 and BRD4 are significantly downregulated by this compound treatment.
Targets	BRD4 [1] 20 nM
In vitro	Treatment with dBET1 elicits a comparable, modest effect on MYC and PIM1 expression. Its treatment downregulates MYC and PIM1 transcription, suggestive of secondary transcriptional effects and transcription of BRD4 and BRD3 are unaffected, consistent with post-transcriptional effects. Transcription of BRD2 is affected by this compound and protein stability of the BRD2 gene product is influenced by it. This chemical induces a potent and superior inhibitory effect on MV4;11 cell proliferation at 24 hours (measured by ATP content, IC50 = 0.14 μM). Exposure of primary leukemic patient blasts to this compound elicits dose-proportionate depletion of BRD4 and induction of apoptosis[1]. This compound-mediated targeted degradation of BET proteins robustly dampens pro-inflammatory responses in LPS-stimulated microglia, that is, depletion of BRD2 and BRD4 with it is associated with dramatically reduced LPS-induced COX-2 and iNOS protein levels and pro-inflammatory gene transcription of Nos2, Il-1β, Il-6, Tnfα, Ccl2, Ptgs2, and Mmp9[2].
In vivo	Administration of dBET1 attenuates tumor progression and decreases tumor weight assessed post-mortem in murine xenograft model of human MV4;11 leukemia cells. Pharmacokinetic studies of this compound (50 mg/kg IP) corroborate adequate drug exposure in vivo (Cmax = 392 nM, Tmax=0.5 hr, terminal $t_{1/2}$=6.69 hr, AUC_{last}=2109 hr*ng/ml, AUC_{INF}=295 hr*ng/ml). Two weeks of this chemical is well tolerated by mice without a meaningful effect on weight, white blood count, hematocrit or platelet count[1].

Protocol (from reference)

Cell Assay:[1]

- **Cell lines**
  SUM149 cells
- **Concentrations**
  '0.1, 0.5, 1, 5, 10 μM
- **Incubation Time**
  18 h
- **Method**
  Immunoblot analysis

Animal Study:[1]

- **Animal Models**
  Murine xenograft model of human MV4;11 leukemia cells (CD1 mice)

- **Dosages**
  50 mg/kg daily
- **Administration**
  i.p.

References

https://www.ncbi.nlm.nih.gov/pmc/articles/PMC4937790/ https://pubmed.ncbi.nlm.nih.gov/29448097/

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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