ARV-771

Catalog No.C13474 Batch:C1347403

Product Datasheet

Technical Data

Formula	$C_{49}H_{60}ClN_9O_7S_2$					
Molecular Weight	986.64	**CAS No.**	1949837-12-0			
Solubility (25°C)*	In vitro	DMSO	100 mg/mL (101.35 mM)			
		Ethanol	100 mg/mL (101.35 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 (5.07mM)	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 (0.84mM)	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

Biological Activity

Description	ARV-771 is a potent **pan-(bromodomain and extra-terminal)BET** degrader, a novel BET-PROTAC(proteolysis-targeting chimera) with Kd of 34 nM, 4.7 nM, 8.3 nM, 7.6 nM, 9.6 nM, and 7.6 nM for BRD2(1), BRD2(2), BRD3(1), BRD3(2), BRD4(1), and BRD4(2), respectively.
Targets	BRD2-BD2 [1] (Cell-free assay) 4.7 nM(Kd); BRD3-BD2 [1] (Cell-free assay) 7.6 nM(Kd); BRD4-BD2 [1] (Cell-free assay) 7.6 nM(Kd); BRD3-BD1 [1] (Cell-free assay) 8.3 nM(Kd); BRD4-BD1 [1] (Cell-free assay) 9.6 nM(Kd); View More →
In vitro	ARV-771 is a potent small-molecule pan-BET degrader based on proteolysis-targeting chimera (PROTAC) technology, demonstrates dramatically improved efficacy in cellular models of CRPC as compared with BET inhibition. Treatment of CRPC cells with this compound results in apoptosis.[1]
In vivo	ARV-771 induces degradation in vivo. This compound results in suppression of both AR signaling and AR levels and leads to tumor regression in a CRPC mouse xenograft model.[1]

Protocol (from reference)

Cell Assay:[1]

- **Cell lines**
  22Rv1 cells, VCaP cells, LnCaP95 cells
- **Concentrations**
  1-300 nM
- **Incubation Time**
  24 h, 72 h
- **Method**
  c-MYC ELISA. 22Rv1 cells (30,000 cells per well) were dosed with ARV-771 serially diluted at 1:3 ratio for an eight-point dose curve. **AR ELISA**. VCaP cells (40,000 cells per well) were dosed with this compound serially diluted at 1:3 ratio for an eight-point dose curve. **Cell Proliferation Assay Protocol**. 22Rv1 cells (5,000 cells per well) were dosed with this chemical serially diluted 1:3 for a 10-point dose curve for 72 h.

Animal Study:[1]

- **Animal Models**
  Mice implanted subcutaneously with 5 × 10^6 22Rv1 or VCaP cells
- **Dosages**
  30 mg/kg
- **Administration**
  IH/SC

References

https://pubmed.ncbi.nlm.nih.gov/27274052/

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.

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