Product Datasheet

Technical Data

Formula	$C_{10}H_{17}NO_3$					
Molecular Weight	199.25	**CAS No.**	5291-32-7			
Solubility (25°C)*	In vitro	DMSO	40 mg/mL (200.75 mM)			
		Water	40 mg/mL (200.75 mM)			
		Ethanol	40 mg/mL (200.75 mM)			
	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.
				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.	2.000mg/ml (10.04mM)	
		Injection		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.	2.000mg/ml (10.04mM)	

* <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	5.0188 mL	25.0941 mL	50.1882 mL
5 mM	1.0038 mL	5.0188 mL	10.0376 mL
10 mM	0.5019 mL	2.5094 mL	5.0188 mL
50 mM	0.1004 mL	0.5019 mL	1.0038 mL

Biological Activity

Description	Eprenetapopt (APR-246, PRIMA-1MET) is a small organic molecule that has been shown to restore tumour-suppressor function primarily to mutant **p53** and also to induce cell death in various cancer types. It induces **apoptosis** and **autophagy**.
Targets	Mutant p53 [2]
In vitro	Eprenetapopt (APR-246), also known as PRIMA-1MET, is the first clinical-stage compound that reactivates mutant p53 and induces apoptosis. It is a prodrug that is converted to the active compound methylene quinuclidinone (MQ), a Michael acceptor that binds to cysteine residues in mutant p53 and restores its wild-type conformation. This compound not only reactivates p53 but also decreases intracellular glutathione levels in a dose-dependent manner. It can trigger apoptosis in a p53-independent manner by inducing ROS and endoplasmic reticulum (ER) stress and by inhibiting thioredoxin reductase 1 (TrxR1). It was also reported that APR-246 induces cell death in myeloma cells independently of p53 status by impairing the GSH/ROS balance[1]. PRIMA-1Met/APR-246 efficiently inhibited the growth of the SCLC cell lines expressing mutant p53 in vitro and induced apoptosis, associated with increased fraction of cells with fragmented DNA, caspase-3 activation, PARP cleavage, Bax and Noxa upregulation and Bcl-2 downregulation in the cells[2].
In vivo	In a Phase I/II clinical dose-finding study on hematological malignancies and prostate cancer, Eprenetapopt (APR-246) showed a good safety profile, and both clinical and p53-dependent biological responses were observed. It is well tolerated in animal studies, and single treatment with this compound inhibits tumor growth by 21% in mice bearing the aggressively growing A2780-CP20 tumor xenografts[1].

Protocol (from reference)

Cell Assay:[1]

- **Cell lines**
  OVCAR-3 cells
- **Concentrations**
  40 μM
- **Incubation Time**
  20 h
- **Method**
  Eprenetapopt (APR-246) was used in experiments where OVCAR-3 cells were plated at a density of 75 000 cells per well in 3 ml of medium in 12-well plates. After staining, the samples were analyzed by LSRII flow cytometer.

Animal Study:[1]

- **Animal Models**
  CD-1 Nu/Nu mice
- **Dosages**
  400 mg/kg/day

- **Administration**
  i.v.

References

https://pubmed.ncbi.nlm.nih.gov/26086967/ https://pubmed.ncbi.nlm.nih.gov/21415220/

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.

EU Headquarters
Gajeva ulica 28, HR-10000 Zagreb, Croatia

US Headquarters
12222 Merit Dr STE 130 Dallas, Texas, 75251

UK Headquarters
121 ABILITY HOUSE, BROOKER ROAD, EN9 1JH, ESSEX

Orders: Sales@capsidbio.com
Enquiries: info@capsidbio.com
www.capsidbio.com