

ARV-825

Chemical Properties

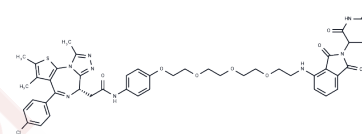
CAS No. : 1818885-28-7

Formula: C₄₆H₄₇ClN₈O₉S

Molecular Weight: 923.43

Storage: Store under nitrogen, Keep away from direct sunlight
Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Actual storage temperature shall be subject to the COA.



Biological Description

Description	ARV-825, a heterobifunctional PROTAC (Proteolysis Targeting Chimera) that recruits BRD4 to the E3 ubiquitin ligase cereblon leading to fast, efficient, and prolonged degradation of BRD4 in all BL cell lines tested.
Targets(IC50)	Epigenetic Reader Domain, PROTACs
In vitro	ARV-825 more effectively suppresses c-MYC levels and downstream signaling than small molecule BRD4 inhibitors resulting in more effective cell proliferation inhibition and apoptosis induction in BL. In Burkitt's lymphoma cells, ARV-825 reduces c-Myc levels, blocks cell proliferation, and induces apoptosis.
Cell Research	Cells (50000/100ul) were seeded in 96-well tissue culture plates followed by addition of ARV-825 at indicated concentration. After 72 hours, 100 µL per well of reconstituted CellTiter-Glo reagent was added and read on Cytation 3 imaging reader. Relative cell growth was determined by comparing assay readings of treated cells with control DMSO treated cells. For apoptosis induction, Caspase-Glo 3/7 Assay was used following manufacturer's instruction.

Solubility Information

Solubility	DMSO: 127.5 mg/mL (138.07 mM), Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (2.17 mM), Sonication is recommended. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i>

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.0829 mL	5.4146 mL	10.8292 mL
5 mM	0.2166 mL	1.0829 mL	2.1658 mL
10 mM	0.1083 mL	0.5415 mL	1.0829 mL
50 mM	0.0217 mL	0.1083 mL	0.2166 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Lu J, et al. Hijacking the E3 Ubiquitin Ligase Cereblon to Efficiently Target BRD4. Chem Biol. 2015 Jun 18;22(6):755-63.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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