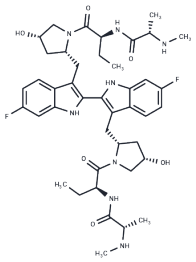
Data Sheet (Cat.No.T6007)

TargetMol

Birinapant

Chemical Properties



CAS No. : 1260251-31-7

Formula: C42H56F2N8O6

Molecular Weight: 806.94

Appearance: no data available

Storage: store at low temperature,keep away from moisture
Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	Birinapant (TL32711) is a synthetic small molecule that is both a peptidomimetic of second mitochondrial-derived activator of caspases (SMAC) and inhibitor of IAP (Inhibitor of Apoptosis Protein) family proteins, with potential antineoplastic activity.
Targets(IC50)	Apoptosis,HIV Protease,IAP
In vitro	Birinapant binds with XIAP and cIAP1 with Kd of 45 and <1 nM, respectively. Birinapant induces cell death as a single agent in TRAIL-insensitive SUM190 (ErbB2-overexpressing) cells (IC50, ~300 nM), and significantly increases potency of TRAIL-induced apoptosis in TRAIL-sensitive SUM149 (triple-negative, EGFR-activated) cells. Birinapant causes rapid cIAP1 degradation, caspase activation, PARP cleavage, and NF-κB activation. [1] Birinapant in combination with TNF-α exhibits a strong antimelanoma effect in vitro. Birinapant in combination with TNF-α(1 ng/mL) inhibits the growth of human melanoma cell lines WTH202, WM793B, WM1366 and WM164 with IC50s of 1.8, 2.5, 7.9 and 9 nM, respectively, while neither compound is effective individually. Birinapant singly treatment induces inhibition on proliferation of WM9 cells with IC50 of 2.4 nM. Birinapant significantly inhibits the target protein cIAP1 and cIAP2 in these cell lines.[2]
In vivo	Birinapant (30 mg/kg) treatment significantly induces abrogation of tumor growth in melanoma xenotransplantation models 451Lu with. [2]
Kinase Assay	Fluorescence polarization assay: The binding affinities of compounds to XIAP and cIAP1 are determined using a fluorogenic substrate and are reported as Kd values. Initially, the dissociation constant (Kd) for the fluorescently labeled modified Smac peptide (AbuRPF-K(5-Fam)-NH2; FP pep-tide) is determined using a fixed concentration of peptide (5 nM) and titrating varying concentrations of protein (0.075–5 μM in half log dilutions). The dose–response curves are produced by a nonlinear least squares fit to a single-site binding model using GraphPad Prism, with 5 nM of FP peptide and 50 nM of XIAP used in the assay. Various concentrations of Smac mimetics (100–0.001 μM in half log dilutions) are added to FP peptide:protein binary complex for 15 min at room temperature in 100μL of 0.1 M potassium phosphate buffer, pH 7.5, containing 100 mg/mL bovine c -globulin. Following incubation, the polarization values are measured on a multi-label plate reader using a 485 nm excitation filter and a 520 nm emission filter.

Cell Research	Cells are allowed to attach for 24 hours and subsequently incubated with Birinapant and/or TNF-α for 24 or 72 hours. Then MTS assay is conducted(Only for Reference)

Solubility Information

Solubility	Ethanol: 51 mg/mL (63.2 mM),Sonication is recommended. DMSO: 93 mg/mL (115.25 mM),Sonication is recommended. H2O: < 1 mg/mL (insoluble or slightly soluble), (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.2392 mL	6.1962 mL	12.3925 mL
5 mM	0.2478 mL	1.2392 mL	2.4785 mL
10 mM	0.1239 mL	0.6196 mL	1.2392 mL
50 mM	0.0248 mL	0.1239 mL	0.2478 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.

Reference

Allensworth JL, et al. Breast Cancer Res Treat, 2013, 137(2), 359-371.
Bhatt S, Pioso M S, Olesinski E A, et al. Reduced Mitochondrial Apoptotic Priming Drives Resistance to BH3 Mimetics in Acute Myeloid Leukemia. Cancer Cell. 2020, 38(6): 872-890. e6.
Olesinski E A, Bhatia K S, Wang C, et al.Acquired Multidrug Resistance in AML Is Caused by Low Apoptotic Priming in Relapsed Myeloblasts.Blood Cancer Discovery.2024: OF1-OF22.
Krepler C, et al. Clin Cancer Res, 2013, 19(7), 1784-1794.
Bhatt S, Pioso M S, Olesinski E A, et al. Reduced Mitochondrial Apoptotic Priming Drives Resistance to BH3 Mimetics in Acute Myeloid Leukemia[J]. Cancer Cell,. 2020

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