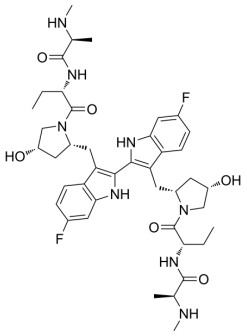
Birinapant



Cat. No.:	HY-16591
CAS No.:	1260251-31-7
Molecular Formula:	$C_{42}H_{56}F_2N_8O_6$
Molecular Weight:	806.94
Target:	IAP; Apoptosis; HIV
Pathway:	Apoptosis; Anti-infection
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month

SOLVENT & SOLUBILITY

In Vitro

DMSO : 125 mg/mL (154.91 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	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

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (2.58 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.08 mg/mL (2.58 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (2.58 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Birinapant (TL32711), a bivalent Smac mimetic, is a potent antagonist for XIAP and cIAP1 with K_ds of 45 nM and less than 1 nM, respectively. Birinapant (TL32711) induces the autoubiquitylation and proteasomal degradation of cIAP1 and cIAP2 in intact cells, which results in formation of a RIPK1: caspase-8 complex, caspase-8 activation, and induction of tumor cell death. Birinapant (TL32711) targets TRAF2-associated cIAPs and abrogates TNF-induced NF-κB activation.

IC_{50} & Target

Kd: 45 nM (XIAP), <1 nM (cIAP1)[1]

In Vitro

Birinapant (TL32711) (30-10000 nM; 24 hours) significantly decreases the viability of SUM190 cells in a dose-dependent manner[1].

?Birinapant (TL32711) (30-1000 nM; 4 hours) shows a significant decrease in cIAP1 levels and enhanced PARP cleavage, and induces apoptosis[1].

?Birinapant (TL32711) binds with high affinity to the isolated BIR3 domains of cIAP1, cIAP2, and XIAP and the single BIR domain of ML-IAP and rapidly degrades TRAF2-bound cIAP1 and cIAP2 thereby inhibiting TNF-mediated NF-κB activation[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

Cell Line:	TRAIL-resistant SUM190 IBC cells
Concentration:	30, 100, 300, 1000, 10000 nM
Incubation Time:	24 hours
Result:	Significantly decreased the viability of SUM190 cells in a dose-dependent manner.

Western Blot Analysis[1]

Cell Line:	SUM190 cells
Concentration:	30, 300, 1000 nM
Incubation Time:	4 hours
Result:	Showed a significant decrease in cIAP1 levels and enhanced PARP cleavage.

In Vivo

Birinapant (TL32711) (30 mg/kg; i.p.; every third day (*5)) shows antitumor efficacy and are devoid of overt toxicity in preclinical models[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Female athymic nude mice (low-passage, patient-derived xenotransplant models of ovarian cancer, colorectal cancer, and melanoma)[2]
Dosage:	30 mg/kg
Administration:	Intraperitoneal injection; every third day (*5)
Result:	Resulted in inhibition of tumor growth.

CUSTOMER VALIDATION

- Cell. 2019 Jul 25;178(3):585-599.e15.
- Cancer Cell. 2024 Dec 9;42(12):2015-2031.e11.
- Nat Commun. 2025 Mar 8;16(1):2324.
- Nat Commun. 2024 Oct 24;15(1):9189.
- Nat Commun. 2024 Feb 20;15(1):1532.

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REFERENCES

[1]. Allensworth JL, et al. Smac mimetic Birinapant induces apoptosis and enhances TRAIL potency in inflammatory breast cancer cells in an IAP-dependent and TNF-α-independent mechanism. Breast Cancer Res Treat. 2013 Jan;137(2):359-71.

[2]. Krepler C, et al. The novel SMAC mimetic birinapant exhibits potent activity against human melanoma cells. Clin Cancer Res. 2013 Apr 1;19(7):1784-94.

[3]. Nguyen QD, et al. Temporal and spatial evolution of therapy-induced tumor apoptosis detected by caspase-3-selective molecular imaging. Clin Cancer Res. 2013 Jul 15;19(14):3914-24.

[4]. Benetatos CA, et al. Birinapant (TL32711), a bivalent SMAC mimetic, targets TRAF2-associated cIAPs, abrogates TNF-induced NF-κB activation, and is active in patient-derived xenograft models. Mol Cancer Ther. 2014 Apr;13(4):867-79.

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