Birinapant

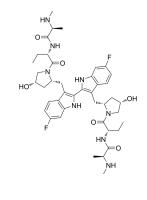
Cat. No.:	HY-16591		
CAS No.:	1260251-31-7		
Molecular Formula:	$C_{42}H_{56}F_{2}N_{8}O_{6}$		
Molecular Weight:	806.94		
Target:	IAP; Apoptosis; HIV		
Pathway:	Apoptosis; Anti-infection		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	6 months
		-20°C	1 month

SOLVENT & SOLUBILITY

		Solvent Mass Concentration	1 mg	5 mg	10 mg		
	Preparing Stock Solutions	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 so	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					
	 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 _d s 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]				

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Product Data Sheet

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

CUSTOMER VALIDATION

- Cell. 2019 Jul 25;178(3):585-599.e15.
- Cell Death Differ. 2021 Oct;28(10):2888-2899.
- Dev Cell. 2019 Oct 21;51(2):277-291.e4.
- Cell Death Dis. 2021 Jun 23;12(7):641.
- Cell Death Dis. 2020 Feb 5;11(2):94.

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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-αindependent 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 patientderived xenograft models. Mol Cancer Ther. 2014 Apr;13(4):867-79.

Caution: Product has not been fully validated for medical applications. For research use only.

 Tel: 609-228-6898
 Fax: 609-228-5909
 E-mail: tech@MedChemExpress.com

 Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA