



上海源叶生物科技有限公司
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产品名称: **CUDC-427**
产品别名: **GDC-0917**

生物活性:

Description	CUDC-427 is a potent second-generation pan-selective IAP antagonist, used for treatment of various cancers.				
In Vitro	GDC-0917 (0.1 nM-10 μM) induces reduction of cIAP1 levels in PBMCs in a concentration-dependent manner showing greater than 80% inhibition at concentrations greater than 0.1 μM (56.5 ng/mL) ^[1] .				
In Vivo	GDC-0917 (0.08-16.3 mg/kg) exhibits antitumor activity in a dose dependent manner in the MDA-MB-231-X1.1 Breast Cancer Xenograft, and GDC-0917 is well tolerated, with all dose groups experiencing a <11% decrease in mean body weight. GDC-0917 has low to moderate clearance in the mouse (12.0 mL/min/kg), rat (27.0 mL/min/kg), and dog (15.3 mL/min/kg), and high clearance in the monkey (67.6 mL/min/kg). Oral bioavailability is lowest in monkeys compared with other species ^[1] .				
Solvent&Solubility	<i>In Vitro:</i> DMSO : ≥ 61 mg/mL (108.02 mM) * "≥" means soluble, but saturation unknown.				
	Preparing Stock Solutions	<div>Solvent / Mass / Concentration</div>	1 mg	5 mg	10 mg
		1 mM	1.7709 mL	8.8543 mL	17.7085 mL
		5 mM	0.3542 mL	1.7709 mL	3.5417 mL
		10 mM	0.1771 mL	0.8854 mL	1.7709 mL
	*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液; 一旦配成溶液, 请分装保存, 避免反复冻融造成的产品失效。 储备液的保存方式和期限: -80°C, 6 months; -20°C, 1 month。 -80°C 储存时, 请在 6 个月内使用, -20°C 储存时, 请在 1 个月内使用。				
References	[1]. Wong H, et al. Learning and confirming with preclinical studies: modeling and simulation in the discovery of GDC-0917, an inhibitor of apoptosis proteins antagonist. Drug Metab Dispos. 2013 Dec;41(12):2104-13.				

实验参考:

Animal Administration	Briefly, 10 million MDA-MB-231-X1.1 breast adenocarcinoma cells resuspended in Hank's balanced salt solution and Matrigel (1:1, v/v) are implanted subcutaneously into the upper right flank of female SCID.bg mice. MDA-MB-231-X1.1 cells are MDA-MB-231 cells that are selected for improved in vivo growth rates. When tumor volumes reach approximately 100-300 mm³, mice are assigned to treatment groups to get a similar mean tumor size for each treatment group. Treatment groups (n=5 per group) are administered once daily oral doses of vehicle (15% hydroxypropyl-β-cyclodextrin, 20 mM succinic acid in water), 0.08, 0.17, 0.34, 0.68, 1.36, 2.72, 5.43, 10.87, or 16.30 mg/kg of GDC-0917 for 21 days. Tumor volumes are measured in two dimensions (length and width) using Ultra Cal IV calipers. Tumor sizes and body weights are recorded twice weekly, and the mice are regularly observed over the course of the study. Mice are euthanized if their tumor volume exceeds 2000 mm³ or if their body weight drops by more than 20% of the starting weight. [1]
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