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产品名称: **PDK1 inhibitor**
产品别名: **MP7**

生物活性:				
Description	MP7 (PDK1 inhibitor) is a phosphoinositide-dependent kinase-1 (PDK1) inhibitor.			
IC ₅₀ & Target	PDK1[1]			
In Vitro	Cell counting of U87MG-derived glioma stem cells (GSCs) confirms that Alisertib and, to a minor extent, MP7 (PDK1 inhibitor) are able to decrease the number of viable cells. When combined together, GSC viability is further reduced with respect to single-treated cells. As observed in U87MG cells, when used at the highest concentrations (i.e., 1.5 μ M Alisertib and 2.5 μ M MP7), a significant enhancement in the number of dead cells is evidenced. Following 72 h treatment, MP7 alone does not show a significant inhibition of glioblastoma multiforme (GBM) proliferation. MP7 has been shown to have only minimal effects on monolayer cell growth in several cancer cell lines, with IC ₅₀ values in the micromolar range[1].			
Solvent&Solubility	In Vitro: DMSO : ≥ 100 mg/mL (193.61 mM) H ₂ O : < 0.1 mg/mL (insoluble) * "≥" means soluble, but saturation unknown.			
		Solvent / Mass Concentration	1 mg	5 mg
	Preparing	1 mM	1.9361 mL	9.6805 mL
	Stock Solutions	5 mM	0.3872 mL	1.9361 mL
		10 mM	0.1936 mL	0.9681 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。			
	In Vivo: 请根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都请先按照 In Vitro 方式配制澄清的储备液, 再依次添加助溶剂:			
	——为保证实验结果的可靠性, 澄清的储备液可以根据储存条件, 适当保存; 体内实验的工作液, 建议您现用现配, 当天使用; 以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比; 如在配制过程中出现沉淀、析出现象, 可以通过加热和/或超声的方式助溶			
	1.请依序添加每种溶剂: 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline Solubility: ≥ 2.75 mg/mL (5.32 mM); Clear solution 此方案可获得 ≥ 2.75 mg/mL (5.32 mM, 饱和度未知) 的澄清溶液。 以 1 mL 工作液为例, 取 100 μ L 27.5 mg/mL 的澄清 DMSO 储备液加到 400 μ L PEG300 中, 混合均匀, 向上述体系中加入 50 μ L Tween-80, 混合均匀; 然后继续加入 450 μ L 生理盐水定容至 1 mL。			



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	<p>的实验。</p> <p>以 1 mL 工作液为例, 取 100 μL 27.5 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中, 混合均匀。</p>
References	<p>[1]. Daniele S, et al. Dual Inhibition of PDK1 and Aurora Kinase A: An Effective Strategy to Induce Differentiation and Apoptosis of Human Glioblastoma Multiforme Stem Cells. ACS Chem Neurosci. 2017 Jan 18;8(1):100-114.</p>
实验参考:	
Cell Assay	<p>The human GBM cells (i.e., U87MG, U343MG, or ANGM-CSS) or the respective GSCs are seeded and incubated for the indicated times with the indicated concentrations of SA16 (1 nM to 100 μM), MP7 (2.5 nM, 25 nM, 250 nM and 2.5 μM), or Alisertib. When indicated, cells are treated with MP7 and Alisertib in combination. To verify GSC chemoresistance, U87MG or GSCs are incubated with 50 μM TMZ for 72 h. For the long-term treatment of cells, NSC or complete medium containing drugs is replaced every 3 days. Cell proliferation is determined using the MTS assay: the dehydrogenase activity in active mitochondria reduces MTS to the soluble formazan product, whose absorbance at 490 nm is measured with an automated plate reader. The mean background from each test condition is subtracted, and the data are expressed as the percentage of untreated cells (control). IC50 values are derived from the sigmoid dose-response curve. The percentage of inhibition is calculated as 100% minus the percentage of cell proliferation[1].</p>
References	<p>[1]. Daniele S, et al. Dual Inhibition of PDK1 and Aurora Kinase A: An Effective Strategy to Induce Differentiation and Apoptosis of Human Glioblastoma Multiforme Stem Cells. ACS Chem Neurosci. 2017 Jan 18;8(1):100-114.</p>

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