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产品名称: **NVP-LCQ195**  
产品别名: **LCQ-195; AT9311**

生物活性:

Description	NVP-LCQ195 (AT9311; LCQ195) is a small molecule heterocyclic inhibitor of CDK1, CDK2, CDK3 and CDK5 with IC50 of 1-42 nM. IC50 Value: 1 nM(CDK5/p25 and CDK5/p35); 2 nM(CDK1/cyclinB and CDK2/cyclinA); 5 nM(CDK2/cyclinE); 42 nM(CDK3/cyclinE) Target: CDKs LCQ195 induced cell cycle arrest and eventual apoptotic cell death of MM cells, even at sub-1mol/l concentrations, spared non-malignant cells, and overcame the protection conferred to MM cells by stroma or cytokines of the bone marrow milieu. In MM cells, LCQ195 triggered decreased amplitude of transcriptional signatures associated with oncogenesis, drug resistance and stem cell renewal, including signatures of activation of key transcription factors for MM cells e.g. myc, HIF-1a, IRF4. Bortezomib-treated MM patients whose tumours had high baseline expression of genes suppressed by LCQ195 had significantly shorter progression-free and overall survival than those with low levels of these transcripts in their MM cells. These observations provide insight into the biological relevance of multi-targeted CDK inhibition in MM.				
IC50 & Target	Cdk5/p25	CDK5/p35	Cdk1/cyclin B	cdk2/cyclin A	
	1 nM (IC50)	1 nM (IC50)	2 nM (IC50)	2 nM (IC50)	
	CDK2/cyclinE	CDK9/cyclinT1	CDK3/Cyclin E	cdk6/cyclin D3	
	5 nM (IC50)	15 nM (IC50)	42 nM (IC50)	187 nM (IC50)	
	CDK7/Cyclin H/MAT1				
	3564 nM (IC50)				
Solvent&Solubility	<b>In Vitro:</b> <b>DMSO : ≥ 100 mg/mL (217.24 mM)</b> <b>H2O : &lt; 0.1 mg/mL (insoluble)</b>  * "≥" means soluble, but saturation unknown.				
	<div>Preparing Stock Solutions</div>	<div>Solvent / Mass / Concentration</div>	1 mg	5 mg	10 mg
		1 mM	2.1724 mL	10.8618 mL	21.7235 mL
		5 mM	0.4345 mL	2.1724 mL	4.3447 mL
		10 mM	0.2172 mL	1.0862 mL	2.1724 mL
	<b>*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。</b> <b>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。</b>  <b>In Vivo:</b> 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				



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	<p>1.请依序添加每种溶剂: 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline</p> <p>Solubility: <math>\geq 2.5</math> mg/mL (5.43 mM); Clear solution</p> <p>此方案可获得 <math>\geq 2.5</math> mg/mL (5.43 mM, 饱和度未知) 的澄清溶液。</p> <p>以 1 mL 工作液为例, 取 100 <math>\mu</math>L 25.0 mg/mL 的澄清 DMSO 储备液加到 400 <math>\mu</math>L PEG300 中, 混合均匀向上述体系中加入 50 <math>\mu</math>L Tween-80, 混合均匀; 然后继续加入 450 <math>\mu</math>L 生理盐水定容至 1 mL。</p> <p>2.请依序添加每种溶剂: 10% DMSO →90% corn oil</p> <p>Solubility: <math>\geq 2.5</math> mg/mL (5.43 mM); Clear solution</p> <p>此方案可获得 <math>\geq 2.5</math> mg/mL (5.43 mM, 饱和度未知) 的澄清溶液, 此方案不适用于实验周期在半个月以上的实验。</p> <p>以 1 mL 工作液为例, 取 100 <math>\mu</math>L 25.0 mg/mL 的澄清 DMSO 储备液加到 900 <math>\mu</math>L 玉米油中, 混合均匀。</p>
References	<p>[1]. McMillin DW, Delmore J, Negri J et al. Molecular and cellular effects of multi-targeted cyclin-dependent kinase inhibition in myeloma: biological and clinical implications. Br J Haematol. 2011 Feb;152(4):420-32.</p>

源叶生物