



上海源叶生物科技有限公司
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产品名称: **Hesperadin**
产品别名: **Hesperadin**

生物活性:																													
Description		Hesperadin is an ATP-competitive inhibitor of aurora B kinase with an IC50 of 250 nM.																											
IC50 & Target		Aurora B																											
		250 nM (IC50)																											
In Vitro		<p>Hesperadin also inhibits other kinases such as AMPK, Lck, MKK1, MAPKAP-K1, CHK1, and PHK at 1 μM drug concentration. Hesperadin causes polyploidy in HeLa cells. Hesperadin-treated HeLa cells show alignment and segregation defects, but sister chromatid separation is intact. Hesperadin causes defects in mitosis and cytokinesis. Hesperadin inhibits Aurora B. Immunofluorescence microscopy reveals that Hesperadin-treated cells in which chromosomes are stretched toward opposite poles, i.e., which have entered anaphase, fail to assemble a central spindle and to properly localize the human centralspindlin subunits CYK-4 and MKLP1[1]. Hesperadin inhibits multiple human clinical isolates of influenza A and B viruses with single to submicromolar efficacy, including oseltamivir-resistant strains. Mechanistic studies reveal that hesperadin inhibits the early stage of viral replication by delaying the nuclear entry of viral ribonucleoprotein complex, thereby inhibiting viral RNA transcription and translation as well as viral protein synthesis[2]. Hesperadin inhibits cell proliferation due to appearance of multiple mitotic defects caused by Aurora B activity reduction and elimination of checkpoint proteins--such as hBUBR1 and CENP-E--from kinetochores of mitotic chromosomes[3].</p>																											
Solvent&Solubility		<p>In Vitro:</p> <p>DMSO : ≥ 100 mg/mL (193.55 mM)</p> <p>* "≥" means soluble, but saturation unknown.</p>																											
		<table><tr><th rowspan="2">Preparing</th><th>Solvent</th><th>Mass</th><th rowspan="2">1 mg</th><th rowspan="2">5 mg</th><th rowspan="2">10 mg</th></tr><tr><th>Concentration</th><th></th></tr><tr><td rowspan="3">Stock Solutions</td><td>1 mM</td><td></td><td>1.9355 mL</td><td>9.6777 mL</td><td>19.3555 mL</td></tr><tr><td>5 mM</td><td></td><td>0.3871 mL</td><td>1.9355 mL</td><td>3.8711 mL</td></tr><tr><td>10 mM</td><td></td><td>0.1936 mL</td><td>0.9678 mL</td><td>1.9355 mL</td></tr></table>				Preparing	Solvent	Mass	1 mg	5 mg	10 mg	Concentration		Stock Solutions	1 mM		1.9355 mL	9.6777 mL	19.3555 mL	5 mM		0.3871 mL	1.9355 mL	3.8711 mL	10 mM		0.1936 mL	0.9678 mL	1.9355 mL
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<p>*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。</p> <p>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。</p> <p>In Vivo:</p> <p>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:</p> <p>——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</p> <p>1.请依序添加每种溶剂: 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline</p> <p>Solubility: ≥ 2.5 mg/mL (4.84 mM); Clear solution</p> <p>此方案可获得 ≥ 2.5 mg/mL (4.84 mM, 饱和度未知) 的澄清溶液。</p>																													



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	<p>以 1 mL 工作液为例, 取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 400 μL PEG300 中, 混合均匀向上述体系中加入 50 μL Tween-80, 混合均匀; 然后继续加入 450 μL 生理盐水定容至 1 mL。</p> <p>2. 请依序添加每种溶剂: 10% DMSO \rightarrow 90% corn oil</p> <p>Solubility: \geq 2.5 mg/mL (4.84 mM); Clear solution</p> <p>此方案可获得 \geq 2.5 mg/mL (4.84 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 μL 25.0 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]. Hauf S, et al. The small molecule Hesperadin reveals a role for Aurora B in correcting kinetochore-microtubule attachment and in maintaining the spindle assembly checkpoint. J Cell Biol. 2003 Apr 28;161(2):281-94.</p> <p>[2]. Hu Y, et al. Chemical Genomics Approach Leads to the Identification of Hesperadin, an Aurora B Kinase Inhibitor, as a Broad-Spectrum Influenza Antiviral. Int J Mol Sci. 2017 Sep 8;18(9).</p> <p>[3]. Ladygina NG, et al. Effect of the pharmacological agent hesperadin on breast and prostate tumor cultured cells. Biomed Khim. 2005 Mar-Apr;51(2):170-6.</p>
实验参考:	
Cell Assay	<p>To determine cellular cytotoxicity of Hesperadin, 200 μL fresh DMEM (without FBS) medium containing serial half-log diluted Hesperadin is added to each well. After incubating for 48 h with 5% CO₂ in the cell culture incubator at 37 °C, the medium is removed and 100 μL DMEM medium containing 40 μg/mL neutral red is added. The solution is incubated for another 4 h at 37 °C in the cell culture incubator. The medium is removed and the amount of neutral red that is taken by the viable cells is dissolved by adding 100 μL of destaining solution (50% ethanol, 49% H₂O, and 1% acetic acid). The absorbance of the solution at 540 nm is determined[2].</p>
Kinase Assay	<p>The kinase assay is performed with 10 μL beads in 20 μL kinase buffer containing 5 g histone H1, 1 μM ATP, 1 μCi [32P]ATP, and the appropriate concentration of Hesperadin or DMSO for 30 min at 37C. SDS sample buffer is added, and samples are boiled and resolved by SDS-PAGE. The gel is dried, and the radioactive signal is detected by PhosphorImager analysis[1].</p>
References	<p>[1]. Hauf S, et al. The small molecule Hesperadin reveals a role for Aurora B in correcting kinetochore-microtubule attachment and in maintaining the spindle assembly checkpoint. J Cell Biol. 2003 Apr 28;161(2):281-94.</p> <p>[2]. Hu Y, et al. Chemical Genomics Approach Leads to the Identification of Hesperadin, an Aurora B Kinase Inhibitor, as a Broad-Spectrum Influenza Antiviral. Int J Mol Sci. 2017 Sep 8;18(9).</p> <p>[3]. Ladygina NG, et al. Effect of the pharmacological agent hesperadin on breast and prostate tumor cultured cells. Biomed Khim. 2005 Mar-Apr;51(2):170-6.</p>