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产品名称: **AX-15836**  
产品别名: **AX-15836**

<b>生物活性:</b>				
<b>Description</b>	AX-15836 is a potent and selective ERK5 inhibitor with an IC <sub>50</sub> of 8 nM.			
<b>IC<sub>50</sub> &amp; Target</b>	ERK5			
	8 nM (IC <sub>50</sub> )			
<b>In Vitro</b>	AX-15836 shows more than 1,000-fold selectivity for ERK5 over a panel of over 200 kinases. It also exhibits selectivity over BRD4 with a K <sub>d</sub> of 3,600 nM. AX15836 shows similar intracellular potency (4–9 nM) across all cells tested, including peripheral blood mononuclear cells (PBMCs), endothelial cells, and oncogenic cell lines. AX15836 was completely ineffective (EC <sub>50</sub> >10 μM) to suppress inflammatory cytokine response, suggesting that it was the BRD inhibition component of the compounds that mediated cytokine reduction. In HUVEC and HeLa cell types, samples treated with AX15836 shows very few genes to be differentially expressed. AX15836 could clearly inhibit the EGF-stimulated, phosphorylated form of ERK5 in HeLa cells[1].			
<b>Solvent&amp;Solubility</b>	<b>In Vitro:</b> <b>DMSO : 100 mg/mL (154.14 mM; Need ultrasonic)</b>  * "≥" means soluble, but saturation unknown.			
	<b>Preparing Stock Solutions</b>	<b>Solvent</b>	<b>Mass</b>	
		<b>Concentration</b>		
			<b>1 mg</b>	<b>5 mg</b>
				<b>10 mg</b>
		1 mM	1.5414 mL	7.7068 mL
		5 mM	0.3083 mL	1.5414 mL
		10 mM	0.1541 mL	0.7707 mL
				1.5414 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℃, 6 months; -20℃, 1 month。 -80℃ 储存时, 请在 6 个月内使用, -20℃ 储存时, 请在 1 个月内使用。			
	<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  1.请依序添加每种溶剂: 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline  Solubility: ≥ 2.5 mg/mL (3.85 mM); Clear solution  此方案可获得 ≥ 2.5 mg/mL (3.85 mM, 饱和度未知) 的澄清溶液。  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。  2.请依序添加每种溶剂: 10% DMSO→ 90% (20% SBE-β-CD in saline)  Solubility: ≥ 2.5 mg/mL (3.85 mM); Clear solution			



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	<p>此方案可获得 <math>\geq 2.5</math> mg/mL (3.85 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 900 <math>\mu</math>L 20% 的 SBE-<math>\beta</math>-CD 生理盐水水溶液中, 混合均匀。</p> <p>3.请依序添加每种溶剂: 10% DMSO <math>\rightarrow</math> 90% corn oil</p> <p>Solubility: <math>\geq 2.5</math> mg/mL (3.85 mM); Clear solution</p> <p>此方案可获得 <math>\geq 2.5</math> mg/mL (3.85 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	[1]. Lin EC, et al. ERK5 kinase activity is dispensable for cellular immune response and proliferation. Proc Natl Acad Sci U S A. 2016 Oct 18;113(42):11865-11870.
实验参考:	
Cell Assay	For proliferation studies, cells are treated with eight-point serial dilution series of AX-15836 (starting concentration of 15 $\mu$ M) or with DMSO vehicle (0.25% final volume). For MM.1S cells, compound was added 1 h before adding recombinant human IL-6 at 5 nM. After 3 d, the relative number of viable cells was measured via quantitation of ATP using CellTiter-Glo 2.0 reagent. Luminescence was read on the Synergy 2 multimode reader[1].
References	[1]. Lin EC, et al. ERK5 kinase activity is dispensable for cellular immune response and proliferation. Proc Natl Acad Sci U S A. 2016 Oct 18;113(42):11865-11870.

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