



上海源叶生物科技有限公司
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产品名称: **BIX-01294**
产品别名: **BIX-01294**

生物活性:				
Description	BIX-01294 is an inhibitor of G9a Histone Methyltransferase with an IC ₅₀ of 1.9 μ M.			
IC ₅₀ & Target	IC ₅₀ : 1.9 μ M (G9a Histone Methyltransferase)			
In Vitro	<p>BIX-01294 (4.1 μM) treatment of G9anull ES cells results in a further reduction in H3K9me2 levels.</p> <p>BIX-01294 reduces H3K9me2 at several G9a target genes[1].</p> <p>BIX-01294 (5 μM) reduces levels of global H3K9 methylation in pronuclear- and 2-cell-stage embryos.</p> <p>Embryos transiently exposed to BIX-01294 have a reduced ability to establish pregnancy[2].</p> <p>BIX-01294 (1 μg/mL) causes reduction in the BrdU incorporation of fetal PASCs. BIX-01294 treatment decreases the PASCs migration induced by PDGF[3].</p>			
Solvent&Solubility	<p>In Vitro:</p> <p>DMSO : \geq 110 mg/mL (224.20 mM)</p> <p>H₂O : < 0.1 mg/mL (insoluble)</p> <p>* "\geq" means soluble, but saturation unknown.</p>			
	Preparing Stock Solutions	Solvent Concentration	Mass	
			1 mg	5 mg
			10 mg	
		1 mM	2.0382 mL	10.1908 mL
		5 mM	0.4076 mL	2.0382 mL
		10 mM	0.2038 mL	1.0191 mL
	<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: \geq 2.75 mg/mL (5.60 mM); Clear solution</p> <p>此方案可获得 \geq 2.75 mg/mL (5.60 mM, 饱和度未知) 的澄清溶液。</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 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→ 90% (20% SBE-β-CD in saline)</p> <p>Solubility: \geq 2.75 mg/mL (5.60 mM); Clear solution</p> <p>此方案可获得 \geq 2.75 mg/mL (5.60 mM, 饱和度未知) 的澄清溶液。</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 20% 的 SBE-β-CD 生理</p>			
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	<p>盐水水溶液中，混合均匀。</p> <p>3.请依序添加每种溶剂： 10% DMSO →90% corn oil</p> <p>Solubility: ≥ 2.75 mg/mL (5.60 mM); Clear solution</p> <p>此方案可获得 ≥ 2.75 mg/mL (5.60 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 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]. Kubicek S, et al. Reversal of H3K9me2 by a small-molecule inhibitor for the G9a histone methyltransferase. Mol Cell. 2007 Feb 9;25(3):473-81.</p> <p>[2]. Park KE, et al. IVMBIX-01294, an inhibitor of the histone methyltransferase EHMT2, disrupts histone H3 lysine 9 (H3K9) dimethylation in the cleavage-stage porcine embryo. Reprod Fertil Dev. 2012;24(6):813-21.</p> <p>[3]. Yang Q, et al. BIX-01294 treatment blocks cell proliferation, migration and contractility in ovine foetal pulmonary arterial smooth muscle cells. Cell Prolif. 2012 Aug;45(4):335-44.</p>
实验参考:	
Cell Assay	<p>Briefly, fetal PSMCs are plated in 96-well plates and starved for 24 h at 0.1% serum containing medium. PDGF-BB is added for 24 h at the indicated concentrations in the presence or absence of BIX-01294. BrdU label solution is added to each well 18 h prior to analysis. Denaturing solution is added to each well for 30 min at room temperature after removing the contents of wells. Then, anti-BrdU antibody is added to each well and incubated for 1 h and peroxidase goat anti-mouse IgG HRP conjugate is added in the well for 30 min at room temperature. The absorbance is read at 450-540 nm on a Glomax Multiple Detection System.</p> <p>[3]</p>
Kinase Assay	<p>Test compounds are diluted to 12 μg/mL in 50 mM Tris-HCl pH 8.5 containing 4% DMSO and 10 μL is dispensed into the wells. Blank and control wells receive only compound buffer. GST-G9a at 10 μg/mL and SAM at 40 μM are diluted in 50 mM Tris HCl pH 8.5/10 mM DTT and added in a volume of 20 μL. Blank wells receive Tris/DTT buffer only. The reactions are initiated by the addition of 800 nM H3(1-20)-cysbiotin substrate in 50 mM Tris pH 8.5 in a volume of 10 μL, and incubated at room temperature for 60 minutes.</p> <p>The plates are washed 3 times with 100 μL of ish Buffer (50 mM Tris pH 7.4, 150 mM NaCl, 0.05% Tween 20, 0.2% BSA). Next, 50 μL of luoroimmunoassay (FI) Buffer (50 mM Tris HCl pH 7.8, 150 mM NaCl, 0.05% Tween 40, 25 μM DTPA, 0.2% BSA, 0.05% BGG) containing 5 ng α-2X-di-meth H3-K9 and 5 ng goat anti-rabbit Eu chelate is added to all wells of the plate, and the plate is incubated for an additional hour at room temperature. The plates are washed 3 times with 100 μL of ish Buffer, and 50 μL of Enhancement Solution is added to each well. Time resolved fluorescence is measured after 45 minutes on a Viewlux Microplate Imager imaging for 15 seconds with a 354 μs window, 400 μs delay, excitation at 360 nm, and emission at 618 nm. [1]</p>
References	<p>[1]. Kubicek S, et al. Reversal of H3K9me2 by a small-molecule inhibitor for the G9a histone methyltransferase. Mol Cell. 2007 Feb 9;25(3):473-81.</p> <p>[2]. Park KE, et al. IVMBIX-01294, an inhibitor of the histone methyltransferase EHMT2, disrupts histone H3 lysine 9 (H3K9) dimethylation in the cleavage-stage porcine embryo. Reprod Fertil Dev. 2012;24(6):813-21.</p>



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