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产品名称: **PIM-447 (dihydrochloride)**

产品别名: **LGH447 dihydrochloride**

生物活性:

Description	<p>PIM447 dihydrochloride (LGH447 dihydrochloride) is a potent, orally available, and selective pan-PIM kinase inhibitor, with <math>K_i</math> values of 6, 18, and 9 <math>\mu</math>M for PIM1, PIM2, and PIM3, respectively. PIM447 dihydrochloride displays dual antimyeloma and bone-protective effects. PIM447 dihydrochloride induces apoptosis<sup>[1][2]</sup>.</p>																	
Solvent&Solubility	<p><b>In Vitro:</b></p> <p><b>DMSO : <math>\geq 46.7</math> mg/mL (90.97 mM)</b></p> <p>* "<math>\geq</math>" means soluble, but saturation unknown.</p> <table><tr><th rowspan="4">Preparing Stock Solutions</th><th>Solvent \ Mass Concentration</th><th>1 mg</th><th>5 mg</th><th>10 mg</th></tr><tr><th>1 mM</th><td>1.9479 mL</td><td>9.7394 mL</td><td>19.4787 mL</td></tr><tr><th>5 mM</th><td>0.3896 mL</td><td>1.9479 mL</td><td>3.8957 mL</td></tr><tr><th>10 mM</th><td>0.1948 mL</td><td>0.9739 mL</td><td>1.9479 mL</td></tr></table> <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><b>In Vivo:</b></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: <math>\geq 2.5</math> mg/mL (4.87 mM); Clear solution</p> <p>此方案可获得 <math>\geq 2.5</math> mg/mL (4.87 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% (20% SBE-<math>\beta</math>-CD in saline)</p> <p>Solubility: <math>\geq 2.5</math> mg/mL (4.87 mM); Clear solution</p> <p>此方案可获得 <math>\geq 2.5</math> mg/mL (4.87 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>	Preparing Stock Solutions	Solvent \ Mass Concentration	1 mg	5 mg	10 mg	1 mM	1.9479 mL	9.7394 mL	19.4787 mL	5 mM	0.3896 mL	1.9479 mL	3.8957 mL	10 mM	0.1948 mL	0.9739 mL	1.9479 mL
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		<p>[1]. Paíno T et al. The novel pan-PIM kinase inhibitor, PIM447, displays dual anti-myeloma and bone protective effects, and potently synergizes with current standards of care. Clin Cancer Res. 2016 Jul 20.</p> <p>[2]. Burger MT et al. Identification of</p>																



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#### References

N-(4-((1R,3S,5S)-3-Amino-5-methylcyclohexyl)pyridin-3-yl)-6-(2,6-difluorophenyl)-5-fluoropicolinamide (PIM447), a Potent and Selective Proviral Insertion Site of Moloney Murine Leukemia (PIM) 1, 2, and 3 Kinase Inhibitor in Clinical Trials for Hematological Malignancies. J Med Chem. 2015 Nov 12;58(21):8373-86.

[3]. Peters TL et al. Control of translational activation by PIM kinase in activated B-cell diffuse large B-cell lymphoma confers sensitivity to inhibition by PIM447. Oncotarget. 2016 Aug 20



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