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产品名称: **ML355**

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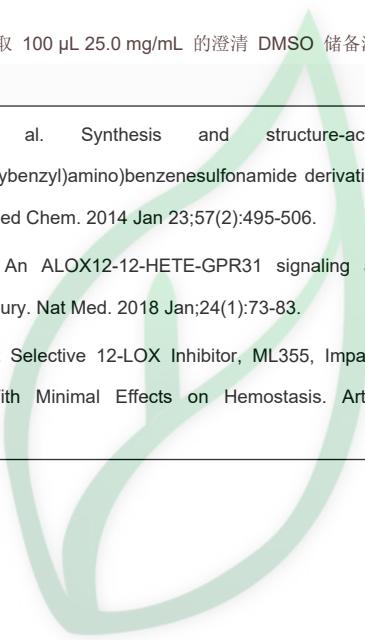
**生物活性:**

<b>Description</b>	ML355 is a potent and selective inhibitor of 12-Lipoxygenase (12-LOX) with an IC <sub>50</sub> of 0.34 μM, shows excellent selectivity over related lipoxygenases and cyclooxygenases, and possesses favorable ADME properties.																														
<b>IC<sub>50</sub> &amp; Target</b>	12-LOX																														
	0.34 μM (IC <sub>50</sub> )																														
<b>In Vitro</b>	ML355 inhibits PAR-4 induced aggregation and calcium mobilization in human platelets and reduce 12-HETE in β-cells <sup>[1]</sup> .																														
<b>In Vivo</b>	ML355 (1.88-30 mg/kg; i.g.; 2 times per day for two days) strongly inhibits the thrombus formation in mice at higher dose compared to WT controls[3].																														
	<b>Animal Model:</b>	C57BL/6 mice[3]																													
	<b>Dosage:</b>	1.88, 3.75, 7.5, 15, 30 mg/kg																													
	<b>Administration:</b>	Oral gavage; 2 times per day for two days																													
	<b>Result:</b>	The thrombus formation in mice was strongly inhibited by higher doses of ML355.																													
<b>Solvent&amp;Solubility</b>	<p><b>In Vitro:</b></p> <p>DMSO : ≥ 42 mg/mL (95.13 mM)</p> <p>* "≥" means soluble, but saturation unknown.</p> <table border="1"><thead><tr><th rowspan="2">Preparing Stock Solutions</th><th>Solvent</th><th>Mass</th><th rowspan="2">Concentration</th><th rowspan="2">1 mg</th><th rowspan="2">5 mg</th><th rowspan="2">10 mg</th></tr><tr><th></th><th></th></tr></thead><tbody><tr><td></td><td>1 mM</td><td>2.2649 mL</td><td></td><td>11.3245 mL</td><td>22.6490 mL</td></tr><tr><td></td><td>5 mM</td><td>0.4530 mL</td><td></td><td>2.2649 mL</td><td>4.5298 mL</td></tr><tr><td></td><td>10 mM</td><td>0.2265 mL</td><td></td><td>1.1325 mL</td><td>2.2649 mL</td></tr></tbody></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: ≥ 2.5 mg/mL (5.66 mM); Clear solution</p> <p>此方案可获得 ≥ 2.5 mg/mL (5.66 mM, 饱和度未知) 的澄清溶液。</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 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>				Preparing Stock Solutions	Solvent	Mass	Concentration	1 mg	5 mg	10 mg				1 mM	2.2649 mL		11.3245 mL	22.6490 mL		5 mM	0.4530 mL		2.2649 mL	4.5298 mL		10 mM	0.2265 mL		1.1325 mL	2.2649 mL
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	<p>2.请依序添加每种溶剂: 10% DMSO→ 90% (20% SBE-β-CD in saline)</p> <p>Solubility: ≥ 2.5 mg/mL (5.66 mM); Clear solution</p> <p>此方案可获得 ≥ 2.5 mg/mL (5.66 mM, 饱和度未知) 的澄清溶液。</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 20% 的 SBE-β-CD 生理盐水溶液中, 混合均匀。</p> <p>3.请依序添加每种溶剂: 10% DMSO →90% corn oil</p> <p>Solubility: ≥ 2.5 mg/mL (5.66 mM); Clear solution</p> <p>此方案可获得 ≥ 2.5 mg/mL (5.66 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>
<b>References</b>	<p>[1]. Luci DK, et al. Synthesis and structure-activity relationship studies of 4-((2-hydroxy-3-methoxybenzyl)amino)benzenesulfonamide derivatives as potent and selective inhibitors of 12-lipoxygenase. <i>J Med Chem.</i> 2014 Jan;57(2):495-506.</p> <p>[2]. Zhang XJ, et al. An ALOX12-12-HETE-GPR31 signaling axis is a key mediator of hepatic ischemia-reperfusion injury. <i>Nat Med.</i> 2018 Jan;24(1):73-83.</p> <p>[3]. Adili R, et al. First Selective 12-LOX Inhibitor, ML355, Impairs Thrombus Formation and Vessel Occlusion In Vivo With Minimal Effects on Hemostasis. <i>Arterioscler Thromb Vasc Biol.</i> 2017 Oct;37(10):1828-1839.</p>



# 源叶生物