



上海源叶生物科技有限公司  
Shanghai yuanye Bio-Technology Co., Ltd  
电话: 021-61312973 传真: 021-55068248  
网址: [www.shyuanye.com](http://www.shyuanye.com)  
邮箱: [shyysw@sina.com](mailto:shyysw@sina.com)

产品名称: **Losmapimod**

产品别名: 洛批莫德; **GSK-AHAB; GW856553X; SB856553**

|                                     |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                |                                   |             |             |
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| <b>生物活性:</b>                        |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                |                                   |             |             |
| <b>Description</b>                  | Losmapimod is a selective, potent, and orally active p38 MAPK inhibitor with pKis of 8.1 and 7.6 for p38 $\alpha$ and p38 $\beta$ , respectively.                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                              |                                   |             |             |
| <b>IC<sub>50</sub> &amp; Target</b> | pKi: 8.1 (p38 $\alpha$ ), 7.6 (p38 $\beta$ )                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                   |                                   |             |             |
| <b>In Vivo</b>                      | In the spontaneously hypertensive stroke-prone rat (SHR-SP), chronic treatment with GSK-AHAB significantly and dose-dependently improves survival, endothelial-dependent and -independent vascular relaxation, and indices of renal function, and it attenuates dyslipidemia, hypertension, cardiac remodeling, plasma renin activity (PRA), aldosterone, and interleukin-1 $\beta$ (IL-1 $\beta$ )[1].                                                                                                                                                                                                                                                                                                                                                                                                                                                                                        |                                   |             |             |
| <b>Solvent&amp;Solubility</b>       | <b>In Vitro:</b><br><b>DMSO : <math>\geq</math> 58 mg/mL (151.25 mM)</b><br><br>* " $\geq$ " means soluble, but saturation unknown.                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                            |                                   |             |             |
|                                     |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                | <b>Solvent Mass Concentration</b> | <b>1 mg</b> | <b>5 mg</b> |
|                                     | <b>Preparing</b>                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                               | <b>1 mM</b>                       | 2.6078 mL   | 13.0392 mL  |
|                                     | <b>Stock Solutions</b>                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                         | <b>5 mM</b>                       | 0.5216 mL   | 2.6078 mL   |
|                                     |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                | <b>10 mM</b>                      | 0.2608 mL   | 1.3039 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。<br><br>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。                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                  |                                   |             |             |
|                                     | <b>In Vivo:</b><br><br>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:<br><br>——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<br><br>1.请依序添加每种溶剂: 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline<br><br>Solubility: $\geq$ 0.77 mg/mL (2.01 mM); Clear solution<br><br>此方案可获得 $\geq$ 0.77 mg/mL (2.01 mM, 饱和度未知) 的澄清溶液。<br><br>以 1 mL 工作液为例, 取 100 $\mu$ L 7.7 mg/mL 的澄清 DMSO 储备液加到 400 $\mu$ L PEG300 中, 混合均匀; 向上述体系中加入 50 $\mu$ L Tween-80, 混合均匀; 然后继续加入 450 $\mu$ L 生理盐水定容至 1 mL。<br><br>2.请依序添加每种溶剂: 10% DMSO→ 90% (20% SBE- $\beta$ -CD in saline)<br><br>Solubility: $\geq$ 0.77 mg/mL (2.01 mM); Clear solution<br><br>此方案可获得 $\geq$ 0.77 mg/mL (2.01 mM, 饱和度未知) 的澄清溶液。<br><br>以 1 mL 工作液为例, 取 100 $\mu$ L 7.7 mg/mL 的澄清 DMSO 储备液加到 900 $\mu$ L 20% 的 SBE- $\beta$ -CD 生理盐水溶液中, 混合均匀。 |                                   |             |             |
|                                     |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                |                                   |             |             |
|                                     |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                |                                   |             |             |
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|-----------------------|-----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
|                       | <p>3.请依序添加每种溶剂: 10% DMSO →90% corn oil</p> <p>Solubility: <math>\geq 0.77</math> mg/mL (2.01 mM); Clear solution</p> <p>此方案可获得 <math>\geq 0.77</math> mg/mL (2.01 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 7.7 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            | <p>[1]. Willette RN, et al. Differential effects of p38 mitogen-activated protein kinase and cyclooxygenase 2 inhibitors in a model of cardiovascular disease. J Pharmacol Exp Ther. 2009 Sep;330(3):964-70.</p> <p>[2]. Zhang XM, et al. Suppression of mitochondrial fission in experimental cerebral ischemia: The potential neuroprotective target of p38 MAPK inhibition. Neurochem Int. 2015 Nov;90:1-8.</p>                                                                                                                                                                                                                                  |
| 实验参考:                 |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                     |
| Animal Administration | <p>Male SHR-SPs (n=70) are randomly assigned according to body weight into five groups (n=14 per group): normal diet controls (ND), high salt-fat diet controls (SFD), SFD + GSK-AHAB (1.2 mg/kg/day), and SFD + GSK-AHAB (12 mg/kg/day) and SFD + MK 966 (18 mg/kg/day). All drugs are administered in the diet by mixing with the SFD. A subgroup of animals from each group (n=6 per group) are anesthetized and surgically instrumented with radiotelemetry units for the conscious measurement of mean arterial blood pressure and heart rate. These animals are allowed to recover for at least 7 days before the start of the study. [1]</p> |
| References            | <p>[1]. Willette RN, et al. Differential effects of p38 mitogen-activated protein kinase and cyclooxygenase 2 inhibitors in a model of cardiovascular disease. J Pharmacol Exp Ther. 2009 Sep;330(3):964-70.</p> <p>[2]. Zhang XM, et al. Suppression of mitochondrial fission in experimental cerebral ischemia: The potential neuroprotective target of p38 MAPK inhibition. Neurochem Int. 2015 Nov;90:1-8.</p>                                                                                                                                                                                                                                  |

源叶生物