# 产品名称：(-)-Blebbistatin
# 产品别名：(S)-(-)-Blebbistatin

## 生物活性：

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| **Description** | (-)-Blebbistatin is an S enantiomer of blebbistatin. Blebbistatin is a potent and selective myosin II inhibitor with $IC_{50}$s ranging from 0.5 to 5 μM. |
| **$IC_{50}$ & Target** | IC50: 0.5 to 5 μM (myosin II)[1] |
| **In Vitro** | Blebbistatin potently inhibits several striated muscle myosins as well as vertebrate nonmuscle myosin IIA and IIB with IC50 values ranging from 0.5 to 5 μM. Smooth muscle myosin is only poorly inhibited (IC50=80 μM)[1]. Blebbistatin does not compete with nucleotide binding to the skeletal muscle myosin subfragment-1. The inhibitor preferentially binds to the ATPase intermediate with ADP and phosphate bound at the active site, and it slows down phosphate release. It blocks the myosin heads in a products complex with low actin affinity[2]. In culture-activated hepatic stellate cells, blebbistatin is found to change both cell morphology and function. Stellate cells become smaller, acquire a dendritic morphology and have less myosin IIA-containing stress fibres and vinculin-containing focal adhesions. Blebbistatin impairs silicone wrinkle formation, reduces collagen gel contraction and blocks endothelin-1-induced intracellular Ca2+ release. It promotes wound-induced cell migration[3]. |
| **In Vivo** | Blebbistatin dose-dependently and completely relax both KCl- and carbachol-induced rat detrusor and endothelin-1-induced human bladder contraction. Pre-incubation with 10 μM blebbistatin attenuates carbachol responsiveness by 65% while blocking electrical field stimulation-induced bladder contraction reaching 50% inhibition at 32 Hz[4]. |
| **Solvent&Solubility** | ***In Vitro:***<br>**DMSO : 5.2 mg/mL** (**17.79 mM;** Need ultrasonic and warming)<br>**$H_2O$ : < 0.1 mg/mL** (insoluble) |

| Preparing Stock Solutions | Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
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| | 1 mM | 3.4208 mL | 17.1040 mL | 34.2079 mL |
| | 5 mM | 0.6842 mL | 3.4208 mL | 6.8416 mL |
| | 10 mM | 0.3421 mL | 1.7104 mL | 3.4208 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°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。

***In Vivo:***

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: ≥ 1 mg/mL (3.42 mM); Clear solution

此方案可获得 ≥ 1 mg/mL (3.42 mM，饱和度未知) 的澄清溶液。

以 1 mL 工作液为例，取 100 μL 10.0 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。

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| | 2.请依序添加每种溶剂： 10% DMSO→ 90% (20% SBE-β-CD in saline)<br>Solubility: 1 mg/mL (3.42 mM); Suspended solution; Need ultrasonic<br>此方案可获得 1 mg/mL (3.42 mM)的均匀悬浊液，悬浊液可用于口服和腹腔注射。<br>以 1 mL 工作液为例，取 100 μL 10.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 20% 的 SBE-β-CD 生理盐水水溶液中，混合均匀。<br><br>3.请依序添加每种溶剂： 10% DMSO →90% corn oil<br>Solubility: ≥ 1 mg/mL (3.42 mM); Clear solution<br>此方案可获得 ≥ 1 mg/mL (3.42 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。<br>以 1 mL 工作液为例，取 100 μL 10.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。 |
| **References** | [1]. Limouze J, et al. Specificity of blebbistatin, an inhibitor of myosin II. J Muscle Res Cell Motil. 2004;25(4-5):337-41.<br>[2]. Kovács M, et al. Mechanism of blebbistatin inhibition of myosin II. J Biol Chem. 2004 Aug 20;279(34):35557-63.<br>[3]. Liu Z, et al. Blebbistatin inhibits contraction and accelerates migration in mouse hepatic stellate cells. Br J Pharmacol. 2010 Jan 1;159(2):304-15.<br>[4]. Zhang X, et al. In vitro and in vivo relaxation of urinary bladder smooth muscle by the selective myosin IIinhibitor, blebbistatin. BJU Int. 2011 Jan;107(2):310-7. |

**实验参考：**

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| **Cell Assay** | Freshly isolated HSCs are replated on 96-well plate. At day 3, medium is replaced by serum-free medium and cells are starved overnight, treated with or without blebbistatin (25 μM) for 2 h followed by stimulation with platelet-derived growth factor-BB (20 ng/mL). After an overnight incubation, the WST-1 cell proliferation assay are performed[3]. |
| **References** | [1]. Limouze J, et al. Specificity of blebbistatin, an inhibitor of myosin II. J Muscle Res Cell Motil. 2004;25(4-5):337-41.<br>[2]. Kovács M, et al. Mechanism of blebbistatin inhibition of myosin II. J Biol Chem. 2004 Aug 20;279(34):35557-63.<br>[3]. Liu Z, et al. Blebbistatin inhibits contraction and accelerates migration in mouse hepatic stellate cells. Br J Pharmacol. 2010 Jan 1;159(2):304-15.<br>[4]. Zhang X, et al. In vitro and in vivo relaxation of urinary bladder smooth muscle by the selective myosin IIinhibitor, blebbistatin. BJU Int. 2011 Jan;107(2):310-7. |