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# 产品名称：MK-0812 (Succinate)
# 产品别名：MK-0812 Succinate

## 生物活性：

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| **Description** | MK-0812 Succinate is a potent and selective CCR2 antagonist with high affinity at CCR2. |
| **$IC_{50}$ & Target** | CCR2 |
| **In Vitro** | MK-0812 is a potent and selective CCR2 antagonist[1]. MK-0812 completely blocks all MCP-1 mediated response in a concentration dependent manner, with an $IC_{50}$ of 3.2 nM. This value is similar to the potency observed for the inhibition of $^{125}$I-MCP-1 binding by MK-0812 on isolated monocytes ($IC_{50}$ 4.5 nM). In fact, MK-0812 not only completely blocks the shape change response to exogenous MCP-1, but also results in a monocyte forward scatter measurement below unstimulated or basal levels. The addition of MK-0812 to rhesus blood also inhibits MCP-1 induced monocyte shape change. The $IC_{50}$ for MK-0812 in whole blood assays is 8 nM[2] |
| **In Vivo** | MK-0812 (30 mg/kg, p.o.) reduces the frequency of $Ly6G^{-}Ly6C^{hi}$ monocytes in the peripheral blood, while no impact on circulating $Ly6G^{+}Ly6C^{+}$ neutrophil frequency is observed. In addition, MK-0812 treatment causes a dose-dependent reduction in circulating $Ly6C^{hi}$ monocytes and a corresponding elevation in the CCR2 ligand CCL2[1]. MK-0812 is administered by continuous i.v. infusion to maintain a constant level of the drug in blood[2]. |

**Solvent&Solubility**

***In Vitro:***

**DMSO : ≥ 32 mg/mL (54.46 mM)**

* "≥" means soluble, but saturation unknown.

**Preparing Stock Solutions**

| Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
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| 1 mM | 1.7018 mL | 8.5088 mL | 17.0175 mL |
| 5 mM | 0.3404 mL | 1.7018 mL | 3.4035 mL |
| 10 mM | 0.1702 mL | 0.8509 mL | 1.7018 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: ≥ 2.5 mg/mL (4.25 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (4.25 mM，饱和度未知) 的澄清溶液。

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。

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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: ≥ 2.5 mg/mL (4.25 mM); Clear solution<br>此方案可获得 ≥ 2.5 mg/mL (4.25 mM，饱和度未知) 的澄清溶液。<br>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: ≥ 2.5 mg/mL (4.25 mM); Clear solution<br>此方案可获得 ≥ 2.5 mg/mL (4.25 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 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。 |
| **References** | [1]. Min SH, et al. Pharmacological targeting reveals distinct roles for CXCR2/CXCR1 and CCR2 in a mouse model of arthritis.Biochem Biophys Res Commun. 2010 Jan 1;391(1):1080-6.<br>[2]. Wisniewski T, et al. Assessment of chemokine receptor function on monocytes in whole blood: In vitro and ex vivo evaluations of a CCR2 antagonist.J Immunol Methods. 2010 Jan 31;352(1-2):101-10. |

**实验参考：**

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| **Animal Administration** | Mice[1]<br>Female BALB/c mice are used between 8 and 10 weeks of age. SCH563705 or MK-0812 are administered in a 0.4% methylcellulose (MC) solution by 30 mg/kg oral gavage (p.o.). Two hours later, the frequency of $CD11b^{+}Ly6G^{-}Ly6C^{hi}$ monocytes and $CD11b^{+}Ly6G^{+}Ly6C^{+}$ neutrophils is determined by flow cytometry[1]. |
| **References** | [1]. Min SH, et al. Pharmacological targeting reveals distinct roles for CXCR2/CXCR1 and CCR2 in a mouse model of arthritis.Biochem Biophys Res Commun. 2010 Jan 1;391(1):1080-6.<br>[2]. Wisniewski T, et al. Assessment of chemokine receptor function on monocytes in whole blood: In vitro and ex vivo evaluations of a CCR2 antagonist.J Immunol Methods. 2010 Jan 31;352(1-2):101-10. |