**产品名称：猩红酸钠盐**
**产品别名：AMI-1**

## 生物活性：

**Description**

AMI-1 is a potent, cell-permeable compound which inhibits protein arginine N-methyltransferases (PRMTs), including human PRMT1 (IC50 = 8.8μM) and yeast-Hmt1p (IC50 = 3.0μM), by blocking peptide-substrate binding. IC50 value: 8.8μM (human PRMT1), 3.0μM (yeast-Hmt1p) Target: human PRMT1, yeast-Hmt1p in vitro: AMI-1 suppresses the transcriptional coactivator activity of PRMT1 and PRMT4 and it inhibits HIV-1 RT polymerase (IC50 = 5.0μM). PRMT1 methylates histone H4, and is essential for other subsequent histone modifications.[1] AMI-1 is the most active nonpeptidic inhibitor reported to be selective against PRMT1. AMI-1 is a selective PRMT inhibitor with a bisanionic structure that is related to compounds known to generate pleiotropic interactions with many proteins, should be further optimized before exploring additional binding pockets. [2] in vivo: AMI-1 is administered intranasally to chronic AIPI rats to determine PRMT effects on asthmatic parameters. AMI-1 inhibited the expression of COX2 in TGF-β-stimulated cells. AMI-1 administered to AIPI rats reduced COX2 production and humoral immune response, and it abrogated mucus secretion and collagen generation.[1]

**Solvent&Solubility**

***In Vitro:***

**DMSO : ≥ 46 mg/mL (83.87 mM)**

* "≥" means soluble, but saturation unknown.

**Preparing Stock Solutions**

| Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|
| 1 mM | 1.8233 mL | 9.1166 mL | 18.2332 mL |
| 5 mM | 0.3647 mL | 1.8233 mL | 3.6466 mL |
| 10 mM | 0.1823 mL | 0.9117 mL | 1.8233 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 (4.56 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (4.56 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。

2.请依序添加每种溶剂： 10% DMSO→ 90% (20% SBE-β-CD in saline)

Solubility: ≥ 2.5 mg/mL (4.56 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (4.56 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 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 (4.56 mM); Precipitated solution<br>此方案可获得 ≥ 2.5 mg/mL (4.56 mM，饱和度未知)<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]. Sun Q, et al. PRMT1 Upregulated by Epithelial Proinflammatory Cytokines Participates in COX2 Expression in Fibroblasts and Chronic Antigen-Induced Pulmonary Inflammation. J Immunol. 2015 Jul 1;195(1):298-306.<br>[2]. Castellano S, et al. Design, synthesis and biological evaluation of carboxy analogues of arginine methyltransferase inhibitor 1 (AMI-1). ChemMedChem. 2010 Mar 1;5(3):398-414.<br>[3]. Lv L, et al. PRMT1 promotes glucose toxicity-induced β cell dysfunction by regulating the nucleo-cytoplasmic trafficking of PDX-1 in a FOXO1-dependent manner in INS-1 cells. Endocrine. 2015 Aug;49(3):669-682.<br>[4]. Wang J, et al. Pharmacophore-based virtual screening and biological evaluation of small molecule inhibitors for protein arginine methylation. J Med Chem. 2012 Sep 27;55(18):7978-7987. |