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
邮箱：shyysw@sina.com

# 产品名称：ST 2825
# 产品别名：ST 2825

## 生物活性：

| | |
|---|---|
| **Description** | ST 2825 is a specific MyD88 dimerization inhibitor. ST2825 interferes with recruitment of IRAK1 and IRAK4 by MyD88, causing inhibition of IL-1β-mediated activation of NF-κB transcriptional activity[1][2]. |
| **$IC_{50}$ & Target** | MyD88[1] |
| **In Vitro** | ST2825 blocks IL-1R/TLR signaling by interfering with MyD88 homodimerization. ST2825 inhibits this interaction in a concentration-dependent manner with ~40% inhibition of dimerization at 5 μM ST2825 and 80% inhibition at 10 μM ST2825[1]. |
| **In Vivo** | ST2825 dose-dependently inhibits IL-1β-induced production of IL-6 in treated mice after oral administration. The animals are administered orally with the appropriate vehicles or ST2825 at doses ranging from 50 to 200 mg/kg, 5 min prior to i.p. injection with 20 μg/kg IL-1β. ST2825 exertes a significant inhibition of IL-1β-stimulated production of IL-6 at 100 and 200 mg/kg[1]. |

**Solvent&Solubility**

***In Vitro:***

**DMSO : 100 mg/mL** (**169.06 mM;** Need ultrasonic)

**$H_2O$ : < 0.1 mg/mL** (insoluble)

**Preparing Stock Solutions**

| Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|
| 1 mM | 1.6906 mL | 8.4529 mL | 16.9059 mL |
| 5 mM | 0.3381 mL | 1.6906 mL | 3.3812 mL |
| 10 mM | 0.1691 mL | 0.8453 mL | 1.6906 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 (4.23 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (4.23 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% corn oil

Solubility: ≥ 2.5 mg/mL (4.23 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (4.23 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]. Loiarro M, et al. Pivotal advance: inhibition of MyD88 dimerization and recruitment of IRAK1 and IRAK4 by a novel peptidomimetic compound. J Leukoc Biol. 2007 Oct;82(4):801-10.<br>[2]. Fantò N, et al. Design, Synthesis, and In Vitro Activity of Peptidomimetic Inhibitors of Myeloid Differentiation Factor 88. J Med Chem. 2008 Mar 13;51(5):1189-202.<br>[3]. Van Tassell BW, et al. Pharmacologic Inhibition of Myeloid Differentiation Factor 88 (MyD88) Prevents Left Ventricular Dilation and Hypertrophy After Experimental Acute Myocardial Infarction in the Mouse. J Cardiovasc Pharmacol. 2010 Apr;55(4):385-90.<br>[4]. Zhang HS, et al. Inhibition of myeloid differentiation factor 88(MyD88) by ST2825 provides neuroprotection after experimental traumatic brain injury in mice. Brain Res. 2016 Jul 15;1643:130-9.<br>[5]. Wang N, et al. Myeloid differentiation factor 88 is up-regulated in epileptic brain and contributes to experimental seizures in rats. Exp Neurol. 2017 Sep;295:23-35.<br>[6]. Brad Griesenauer, et al. ST2/MYD88 signaling is a therapeutic target alleviating murine acute graft-versus-host disease sparing T regulatory cell function. Indiana University. May 2018. |

**实验参考：**

| | |
|---|---|
| **Cell Assay** | HeLa cells are seeded at $10^5$ cells/mL in a 96-well tissue-culture plate. After incubating overnight, the medium is discarded, and the cells are added with tissue culture medium, 10% FBS, containing ST2825 at concentrations ranging from 0.1 to 10 μM and DMSO at 0.1% final concentration. The cells are incubated for 6 and 18 h and then added with the yellow XTT (0.3 mg/mL) for further 2 h of incubation. At the end of the incubation periods, reactions are quantified by using a Sirio S Seac microplate reader[1]. |
| **Animal Administration** | Mice[1]<br>Mice (female C57Bl/6) are divided into experimental groups of 15 mice. They are injected i.p. with saline (control animals) or recombinant murine IL-1β (20 μg/kg). A time-course analysis of IL-6 production established that the peak of cytokine is reached 2 h after IL-1β injection. ST2825, administered orally as 0.5% suspension in carboxymethylcellulose (CMC) or CMC alone, is supplied to the experimental mice groups. Two hours after IL-1β injection, the animals are killed, and sera are collected to assay IL-6 levels. Mice, which are treated orally with 100 and 200 mg/kg ST2825, shows lower levels of IL-6 versus CMC-treated mice. |
| **References** | [1]. Loiarro M, et al. Pivotal advance: inhibition of MyD88 dimerization and recruitment of IRAK1 and IRAK4 by a novel peptidomimetic compound. J Leukoc Biol. 2007 Oct;82(4):801-10.<br>[2]. Fantò N, et al. Design, Synthesis, and In Vitro Activity of Peptidomimetic Inhibitors of Myeloid Differentiation Factor 88. J Med Chem. 2008 Mar 13;51(5):1189-202.<br>[3]. Van Tassell BW, et al. Pharmacologic Inhibition of Myeloid Differentiation Factor 88 (MyD88) Prevents Left Ventricular Dilation and Hypertrophy After Experimental Acute Myocardial Infarction in the Mouse. J Cardiovasc Pharmacol. 2010 Apr;55(4):385-90.<br>[4]. Zhang HS, et al. Inhibition of myeloid differentiation factor 88(MyD88) by ST2825 provides neuroprotection after experimental traumatic brain injury in mice. Brain Res. 2016 Jul |

| | |
|---|---|
| | 15;1643:130-9.<br>[5]. Wang N, et al. Myeloid differentiation factor 88 is up-regulated in epileptic brain and contributes to experimental seizures in rats. Exp Neurol. 2017 Sep;295:23-35.<br>[6]. Brad Griesenauer, et al. ST2/MYD88 signaling is a therapeutic target alleviating murine acute graft-versus-host disease sparing T regulatory cell function. Indiana University. May 2018. |