# 产品名称：萘普生钠
# 产品别名：Naproxen sodium

## 生物活性：

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| **Description** | Naproxen sodium is a **COX-1** and **COX-2** inhibitor with **$IC_{50}$**s of 8.72 and 5.15 μM, respectively in cell assay. | |
| **$IC_{50}$ & Target** | COX-2 | COX-1 |
| | 5.65 μM ($IC_{50}$, in intact cells ) | 9.55 μM ($IC_{50}$, in intact cells ) |
| **In Vitro** | Naproxen etemesil is a lipophilic, non-acidic, inactive prodrug of naproxen that is hydrolysed to pharmacologically active Naproxen once absorbed. Naproxen is a well known nonsteroidal anti-inflammatory drug. Naproxen is approximately equipotent inhibitor of COX-1 and COX-2 in intact cells with IC50s of 2.2 μg/mL and 1.3 μg/mL, respectively[1]. | |
| **In Vivo** | Naproxen exerts an anti-inflammatory and antifibrotic effect in mouse model of bleomycin-induced lung fibrosis. Naproxen also downregulates TGF-β levels and Smad3/4 complex formation[2]. Naproxen is shown to inhibit the time-courses of pain, fever and PGE2 with similar potencies (IC50=27, 40, 13 μM)[3]. | |

**Solvent&Solubility**

***In Vitro:***

**$H_2O$ : 75 mg/mL** (**297.34 mM;** Need ultrasonic and warming)

**DMSO : 5 mg/mL** (**19.82 mM;** Need ultrasonic)

| Preparing Stock Solutions | Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|---|
| | 1 mM | 3.9645 mL | 19.8224 mL | 39.6448 mL |
| | 5 mM | 0.7929 mL | 3.9645 mL | 7.9290 mL |
| | 10 mM | 0.3964 mL | 1.9822 mL | 3.9645 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: ≥ 0.5 mg/mL (1.98 mM); Clear solution

此方案可获得 ≥ 0.5 mg/mL (1.98 mM，饱和度未知) 的澄清溶液。

以 1 mL 工作液为例，取 100 μL 5.0 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: ≥ 0.5 mg/mL (1.98 mM); Clear solution

此方案可获得 ≥ 0.5 mg/mL (1.98 mM，饱和度未知) 的澄清溶液。

以 1 mL 工作液为例，取 100 μL 5.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 20% 的 SBE-β-CD 生理

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| | 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: ≥ 0.5 mg/mL (1.98 mM); Clear solution<br>此方案可获得 ≥ 0.5 mg/mL (1.98 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 5.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。 |
| **References** | [1]. Mitchell JA, et al. Selectivity of nonsteroidal antiinflammatory drugs as inhibitors of constitutive and inducible cyclooxygenase. Proc Natl Acad Sci U S A. 1993 Dec 15;90(24):11693-7.<br>[2]. Rosa AC, et al. Prevention of bleomycin-induced lung inflammation and fibrosis in mice by naproxen and JNJ7777120 treatment. J Pharmacol Exp Ther. 2014 Nov;351(2):308-16.<br>[3]. Krekels EH, et al. Pharmacokinetic-pharmacodynamic modeling of the inhibitory effects of naproxen on the time-courses of inflammatory pain, fever, and the ex vivo synthesis of TXB2 and PGE2 in rats. |

**实验参考：**

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| **Cell Assay** | BAEC are incubated for 30 min with Naproxen (0.1 ng/mL to 1 mg/mL). Arachidonic acid (30 μM) is then added, and the cells are incubated for a further 15 min at 37°C. The medium is then removed, and radioimmunoassay is used to measure the formation of 6-keto-PGF,a, PGE2, thromboxane B2, or PGF2a[1]. |
| **Animal Administration** | Rats[3]<br>To measure the analgesic effects of naproxen in a carrageenaninduced model of monoarthritis, Male Sprague–Dawley rats (n=48, 217±28 g) are randomly divided into four groups of 12 by an internally developed computer program, allowing the blind performance of the behavioral experiment. To induce hyperalgesia by inflammation, animals in groups 1B, 1C, and 1D receive a 40-μL intra-articular injection of a saline solution containing 7.5 mg/mL carrageenan in the left hind limb under isoflurane anesthesia (time=−1 h). Animals in group 1A receive no injection. After 1 h (time=0) the animals in groups 1A, 1B, 1C, and 1D receive oral doses of naproxen in saline of 0, 0, 7.5 and 30 μmol/kg, respectively. The doses and time points of measurements are selected on the basis of simulations predicting measuring a full concentration-effect relationship within the time-span of the experiment[3].<br>Mice[2]<br>Bleomycin (0.05 IU) is instilled intratracheally to C57BL/6 mice, which are then treated by micro-osmotic pump with vehicle, JNJ7777120 (40 mg/kg b.wt.), naproxen (21 mg/kg b.wt.), or a combination of both. Airway resistance to inflation, an index of lung stiffness, is assessed, and lung specimens are processed for inflammation, oxidative stress, and fibrosis markers[2]. |
| **References** | [1]. Mitchell JA, et al. Selectivity of nonsteroidal antiinflammatory drugs as inhibitors of constitutive and inducible cyclooxygenase. Proc Natl Acad Sci U S A. 1993 Dec 15;90(24):11693-7.<br>[2]. Rosa AC, et al. Prevention of bleomycin-induced lung inflammation and fibrosis in mice by naproxen and JNJ7777120 treatment. J Pharmacol Exp Ther. 2014 Nov;351(2):308-16.<br>[3]. Krekels EH, et al. Pharmacokinetic-pharmacodynamic modeling of the inhibitory effects of naproxen on the time-courses of inflammatory pain, fever, and the ex vivo synthesis of TXB2 and PGE2 in rats. |