产品名称：萘丁美酮
产品别名：Nabumetone

生物活性：

Description	Nabumetone is an orally active non-acidic anti-inflammatory agent, acts as a potent and selective COX-2 inhibitor, and is the prodrug of the active metabolite 6MNA.
IC_{50} & Target	COX-2
In Vitro	Nabumetone is a potent and selective COX-2 inhibitor. Nabumetone (50 μmol-2 mmol) dose-dependently inhibits the proliferation of K-562 and Meg-01 cells, but shows no obvious apoptotic effect. Nabumetone potentiates the apoptotic effect of ADR in the K-562 cell line. Moreover, Nabumetone reduces Bcl-2 expression[1].
In Vivo	Nabumetone (79 mg/kg, p.o.) inhibits paw oedema and paw exudate PGE_2 in rats. Nabumetone does not induce gastric damage and causes only 57% inhibition of gastric mucosal 6-keto-$PGF_{1\alpha}$ production in rats[2]. Nabumetone (25, 50, 100 mg/kg, i.p.) dose-dependently inhibits the increase of DDC-induced mucus secretion and stimulates stress-induced mucus secretion in rats. Nabumetone (25 mg/kg, i.p.) significantly suppresses stress-induced ulcer index in rats[3].

Solvent&Solubility

In Vitro:

DMSO : ≥ 100 mg/mL (**438.04 mM**)

H_2O : < 0.1 mg/mL (insoluble)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	4.3804 mL	21.9020 mL	43.8039 mL
	5 mM	0.8761 mL	4.3804 mL	8.7608 mL
	10 mM	0.4380 mL	2.1902 mL	4.3804 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 (10.95 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (10.95 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 (10.95 mM); Clear solution

	此方案可获得 ≥ 2.5 mg/mL (10.95 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。 3.请依序添加每种溶剂： 10% DMSO →90% corn oil Solubility: ≥ 2.5 mg/mL (10.95 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (10.95 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。 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]. Vural F, et al. Cyclo-oxygenase 2 inhibitor, nabumetone, inhibits proliferation in chronic myeloid leukemia cell lines. Leuk Lymphoma. 2005 May;46(5):753-6. [2]. Melarange R, et al. Anti-inflammatory and gastrointestinal effects of nabumetone or its active metabolite, 6MNA (6-methoxy-2-naphthylacetic acid): comparison with indomethacin. Agents Actions. 1992;Spec No:C82-3.

实验参考：

Cell Assay	Every cell line is plated into 6-well plates at a concentration of 3 × 10^5/mL with or without drugs (Nabumetone, etc.) and incubated for 48 h. Viable cells are then counted using the trypan blue dye exclusion test. The percentage of proliferation inhibition is calculated as 1-(viable cells exposed to drug/viable cells in control) ×100[1].
Animal Administration	Rats[3] Albino male rats (250- to 300-g body weight) are used in the study. The animals are maintained in a single cage and are deprived of food for 16 h before the onset of experiments. Free access to water is allowed until 1 h before the beginning of experiments. There are eight rats in each group. The animals are pretreated with intraperitoneal injections of Nabumetone or dipyrone at 25-, 50-, or 100-mg/kg doses for 3 days[3].
References	[1]. Vural F, et al. Cyclo-oxygenase 2 inhibitor, nabumetone, inhibits proliferation in chronic myeloid leukemia cell lines. Leuk Lymphoma. 2005 May;46(5):753-6. [2]. Melarange R, et al. Anti-inflammatory and gastrointestinal effects of nabumetone or its active metabolite, 6MNA (6-methoxy-2-naphthylacetic acid): comparison with indomethacin. Agents Actions. 1992;Spec No:C82-3.