产品名称：Amonafide
产品别名：氨萘非特

生物活性：

Description	Amonafide is a topoisomerase II inhibitor and DNA intercalator that induces apoptotic signaling by blocking the binding of Topo II to DNA.
IC_{50} & Target	Topoisomerase II[1]
In Vitro	Amonafide is a topoisomerase II inhibitor and DNA intercalator that induces apoptotic signaling by blocking the binding of Topo II to DNA[1]. Amonafide produces protein-associated DNA cleavage, single-strand breaks (SSB) and DPC and DNA double-strand cleavage. Amonafide (Nafidimide, 400 nM-2.4 μM) reduces the colony-forming ability of the leukemic cell lines in a dose-dependent manner[2]. Amonafide (0.05-0.4 μg/mL) reduces several tumor growth. However, Amonafide is active against only 12% of tumors compared with standard agents (5-fluorouracil, mitomycin C, cisplatin, and etoposide), which are active against more than 40% of tumors in the human bone marrow inhibitory range[3]. Amonafide inhibits the growth of HT-29, HeLa, and PC-3 cell lines, with IC50s of 4.67, 2.73, and 6.38 μM[4].
Solvent&Solubility	***In Vitro:*** **DMSO : 75 mg/mL** (**264.71 mM;** Need ultrasonic) **H_2O : < 0.1 mg/mL** (insoluble)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.5295 mL	17.6473 mL	35.2945 mL
5 mM	0.7059 mL	3.5295 mL	7.0589 mL
10 mM	0.3529 mL	1.7647 mL	3.5295 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 (8.82 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (8.82 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 (8.82 mM); Suspended solution; Need ultrasonic

此方案可获得 2.5 mg/mL (8.82 mM)的均匀悬浊液，悬浊液可用于口服和腹腔注射。

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。
References	[1]. Allen SL, et al. Amonafide: a potential role in treating acute myeloid leukemia. Expert Opin Investig Drugs. 2011 Jul;20(7):995-1003. [2]. Andersson BS, et al. In vitro toxicity and DNA cleaving capacity of benzisoquinolinedione (nafidimide; NSC 308847) in human leukemia. Cancer Res. 1987 Feb 15;47(4):1040-4. [3]. Ajani JA, et al. In vitro activity of amonafide against primary human tumors compared with the activity of standard agents. Invest New Drugs. 1988 Jun;6(2):79-85.

实验参考：

Cell Assay	In experiments measuring survival following 1 h drug treatments, 2 × 10^6cells are resuspended in 2 mL warm (37°C) HBSS with 5% PCS; the appropriate drug (Amonafide) level is attained with the addition of less than 50 µL. Cells are incubated for 60 min at 37°C after which 10 mL ice cold PBS is added. The cells are then centrifuged at 200 × g for 10 min at 4°C. The wash is repeated once and the cells are resuspended in HBSS with 5% PCS and added to the agar-medium mixture for assessment of surviving clonogenic cells[2].
References	[1]. Allen SL, et al. Amonafide: a potential role in treating acute myeloid leukemia. Expert Opin Investig Drugs. 2011 Jul;20(7):995-1003. [2]. Andersson BS, et al. In vitro toxicity and DNA cleaving capacity of benzisoquinolinedione (nafidimide; NSC 308847) in human leukemia. Cancer Res. 1987 Feb 15;47(4):1040-4. [3]. Ajani JA, et al. In vitro activity of amonafide against primary human tumors compared with the activity of standard agents. Invest New Drugs. 1988 Jun;6(2):79-85.