产品名称：Idarubicin HCl
产品别名：盐酸伊达比星 ；Idarubicin hydrochloride

生物活性：

Description	Idarubicin hydrochloride is an anthracycline antileukemic drug. It inhibits the topoisomerase II interfering with the replication of DNA and RNA transcription.
IC_{50} & Target	Topoisomerase II
In Vitro	The IC50 of idarubicin is 3.3±0.4 ng/mL on MCF-7 monolayers and 7.9±1.1 ng/mL in multicellular spheroids[1]. Idarubicin has shown a greater cytotoxicity than daunorubicin or doxorubicin in various in vitro systems. This has been attributed to a better ability of idarubicin to induce the formation of topoisomerase II -mediated DNA breaks[2].Idarubicin is about 57.5-fold and 25-fold more active than doxorubicin and epirubicin, respectively[3]. Idarubicin produces a concentration-dependent reduction in cell growth, with an IC50 value of approximately 0.01 μM. Idarubicin produced a concentration-dependent inhibition of DNA synthesis[4].
Solvent&Solubility	***In Vitro:*** **DMSO : ≥ 50 mg/mL (93.64 mM)** **H_2O : 6.67 mg/mL (12.49 mM;** Need ultrasonic) * "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.8728 mL	9.3642 mL	18.7283 mL
5 mM	0.3746 mL	1.8728 mL	3.7457 mL
10 mM	0.1873 mL	0.9364 mL	1.8728 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 (4.68 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (4.68 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 (4.68 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (4.68 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。
References	[1]. Orlandi P, et al. Idarubicin and idarubicinol effects on breast cancer multicellular spheroids. J Chemother. 2005 Dec;17(6):663-7. [2]. Robert J. Clinical pharmacokinetics of idarubicin. Clin Pharmacokinet. 1993 Apr;24(4):275-88. [3]. Siegsmund MJ, et al. Enhanced in vitro cytotoxicity of idarubicin compared to epirubicin and doxorubicin in rat prostate carcinoma cells. Eur Urol. 1997;31(3):365-70. [4]. Gewirtz DA, et al. Induction of DNA damage, inhibition of DNA synthesis and suppression of c-myc expression by the anthracycline analog, idarubicin (4-demethoxy-daunorubicin) in the MCF-7 breast tumor cell line. Cancer Chemother Pharmacol. 1998;41(5):361-

实验参考：

Cell Assay	Stock solutions of idarubicin hydrochloride is dissolved in distilled water (1 mg/mL). MCF-7 monolayer are exposed to idarubicin or its metabolite idarubicinol at 0.01, 0.1, 1, 10, 100, and 1000 ng/mL for 24 hours. Multicellular spheroids are exposed to the same range of idarubicin and idarubicinol concentration as monolayers (0.01-1000 ng/mL) for 24 h and, in separate experiments, at the drug concentration of 100 ng/mL for 6, 12, 24 and 48 h. The inhibition of cell proliferation is determined by counting the viable cells with an hemocytometer. Results are expressed as percentage of cell survival vs. control cultures[1].
References	[1]. Orlandi P, et al. Idarubicin and idarubicinol effects on breast cancer multicellular spheroids. J Chemother. 2005 Dec;17(6):663-7. [2]. Robert J. Clinical pharmacokinetics of idarubicin. Clin Pharmacokinet. 1993 Apr;24(4):275-88. [3]. Siegsmund MJ, et al. Enhanced in vitro cytotoxicity of idarubicin compared to epirubicin and doxorubicin in rat prostate carcinoma cells. Eur Urol. 1997;31(3):365-70. [4]. Gewirtz DA, et al. Induction of DNA damage, inhibition of DNA synthesis and suppression of c-myc expression by the anthracycline analog, idarubicin (4-demethoxy-daunorubicin) in the MCF-7 breast tumor cell line. Cancer Chemother Pharmacol. 1998;41(5):361-