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产品名称: **Ellipticine (hydrochloride)**
产品别名: **NSC 71795 hydrochloride; 玫瑰树碱盐酸盐**

生物活性:				
Description	Ellipticine (NSC 71795) hydrochloride is a potent antineoplastic agent; inhibits DNA topoisomerase II activities.			
IC ₅₀ & Target	Topoisomerase II			
In Vitro	Ellipticine (NSC 71795) is a potent antineoplastic agent exhibiting the multimodal mechanism of its action. The mechanisms of Ellipticine (NSC 71795) antitumor, mutagenic and cytotoxic activities are suggested to be intercalation into DNA and inhibition of DNA topoisomerase II activity. Another mode of Ellipticine (NSC 71795) action is the formation of covalent DNA adducts mediated by its oxidation with cytochromes P450 (CYP) and peroxidases[1]. Ellipticine (NSC 71795) can also act as an inhibitor or inducer of biotransformation enzymes, thereby modulating its own metabolism leading to its genotoxic and pharmacological effects. Treatment of cells with Ellipticine (NSC 71795) results in inhibition of cell growth and proliferation. This effect is associated with formation of two covalent Ellipticine (NSC 71795)-derived DNA adducts[2].			
In Vivo	Ellipticine (NSC 71795) treatment results in ellipticine-derived DNA adduct generation in several healthy organs (liver, kidney, lung, spleen, breast, heart and brain) and in DNA of mammary adenocarcinoma. The levels of Ellipticine (NSC 71795)-derived DNA adducts generated in these adenocarcinomas are almost 2-fold higher than in normal healthy mammary tissue. The induced expression of cytochrome b ₅ protein in liver of rats treated with Ellipticine (NSC 71795) suggests that cytochrome b ₅ may modulate the CYP-mediated bioactivation and detoxification of Ellipticine (NSC 71795)[3].			
Solvent&Solubility	In Vitro: DMSO : 5.8 mg/mL (20.51 mM; Need ultrasonic and warming)			
		Solvent / Mass Concentration	1 mg	5 mg
	Preparing	1 mM	3.5364 mL	17.6822 mL
	Stock Solutions	5 mM	0.7073 mL	3.5364 mL
		10 mM	0.3536 mL	1.7682 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: ≥ 0.84 mg/mL (2.97 mM); Clear solution				



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	<p>此方案可获得 ≥ 0.84 mg/mL (2.97 mM, 饱和度未知) 的澄清溶液。</p> <p>以 1 mL 工作液为例, 取 100 μL 8.4 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。</p> <p>2.请依序添加每种溶剂: 10% DMSO\rightarrow 90% (20% SBE-β-CD in saline)</p> <p>Solubility: ≥ 0.84 mg/mL (2.97 mM); Clear solution</p> <p>此方案可获得 ≥ 0.84 mg/mL (2.97 mM, 饱和度未知) 的澄清溶液。</p> <p>以 1 mL 工作液为例, 取 100 μL 8.4 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。</p> <p>3.请依序添加每种溶剂: 10% DMSO \rightarrow 90% corn oil</p> <p>Solubility: ≥ 0.84 mg/mL (2.97 mM); Clear solution</p> <p>此方案可获得 ≥ 0.84 mg/mL (2.97 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。</p> <p>以 1 mL 工作液为例, 取 100 μL 8.4 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中, 混合均匀。</p>
References	<p>[1]. Stiborova M, et al. Molecular mechanisms of antineoplastic action of an anticancer drug ellipticine. Biomed Pap Med Fac Univ Palacky Olomouc Czech Repub. 2006 Jul;150(1):13-23.</p> <p>[2]. Stiborova M, et al. Ellipticine cytotoxicity to cancer cell lines - a comparative study. Interdiscip Toxicol. 2011 Jun;4(2):98-105.</p> <p>[3]. Stiborova M, et al. The anticancer drug ellipticine activated with cytochrome P450 mediates DNA damage determining its pharmacological efficiencies: studies with rats, Hepatic Cytochrome P450 Reductase Null (HRN?) mice and pure enzymes. Int J Mol Sci. 2014 Dec 25;16(1):284-306.</p>
实验参考:	
Cell Assay	<p>The cytotoxicity of Ellipticine (NSC 71795) is determined by MTT test. Ellipticine (NSC 71795) is dissolved in DMSO (1 mM) and diluted in culture medium to final concentrations of 0, 0.1, 1, 5 or 10 μM. Cells in exponential growth are seeded at 1×10^4 per well in a 96-well microplate. After incubation the MTT solution is added, the microplates are incubated for 4 hours and cells lysed in 50% N,N-dimethylformamide containing 20% of sodium dodecyl sulfate (SDS), pH 4.5. The absorbance at 570 nm is measured. The mean absorbance of medium controls is subtracted as a background. The viability of control cells is taken as 100% and the values of treated cells are calculated as a percentage of control. The IC_{50} values are calculated using linear regression of the dose-log response curves[2].</p>
References	<p>[1]. Stiborova M, et al. Molecular mechanisms of antineoplastic action of an anticancer drug ellipticine. Biomed Pap Med Fac Univ Palacky Olomouc Czech Repub. 2006 Jul;150(1):13-23.</p> <p>[2]. Stiborova M, et al. Ellipticine cytotoxicity to cancer cell lines - a comparative study. Interdiscip Toxicol. 2011 Jun;4(2):98-105.</p> <p>[3]. Stiborova M, et al. The anticancer drug ellipticine activated with cytochrome P450 mediates DNA damage determining its pharmacological efficiencies: studies with rats, Hepatic Cytochrome P450 Reductase Null (HRN?) mice and pure enzymes. Int J Mol Sci. 2014 Dec 25;16(1):284-306.</p>