

产品名称：伊沙匹隆

产品别名：Ixabepilone; BMS 247550

生物活性:				
Description	Ixabepilone is an orally bioavailable microtubule inhibitor, which binds to tubulin and promotes tubulin polymerization and microtubule stabilization, thereby arrests cells in the G2-M phase of the cell cycle and induces tumor cell apoptosis.			
In Vitro	BMS-247550 is a highly potent cytotoxic agent capable of killing cancer cells at low nanomolar concentrations and retains its antineoplastic activity against human cancers that are naturally insensitive to paclitaxel or that have developed resistance to paclitaxel[1].			
In Vivo	BMS-247550 demonstrates antitumor activity that is superior to paclitaxel in both paclitaxel-resistant and -sensitive tumors. BMS-247550 is more efficacious than paclitaxel in all five paclitaxel-resistant tumors evaluated in this study (four human and one murine): the clinically derived paclitaxel resistant Pat-7 ovarian carcinoma, the A2780Tax ovarian carcinoma that is resistant to paclitaxel because of tubulin mutations, the HCT116/VM46 MDR colon carcinoma, the clinically derived paclitaxel-resistant Pat-21 breast carcinoma, and the murine fibrosarcoma M5076. Against three paclitaxel-sensitive human tumor xenografts, BMS-247550 produces antitumor activity equivalent to paclitaxel: A2780 human ovarian carcinoma, HCT116, and LS174T human colon carcinoma[1].			
Solvent&Solubility	<b>In Vitro:</b> DMSO : 83.33 mg/mL (164.46 mM; Need ultrasonic)			
		<div>SolventMassConcentration</div>	1 mg	5 mg
	Preparing	1 mM	1.9736 mL	9.8678 mL
	Stock Solutions	5 mM	0.3947 mL	1.9736 mL
		10 mM	0.1974 mL	0.9868 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℃, 6 months; -20℃, 1 month。 -80℃ 储存时，请在 6 个月内使用，-20℃ 储存时，请在 1 个月内使用。			
	<b>In Vivo:</b> 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: ≥ 2.08 mg/mL (4.10 mM); Clear solution 此方案可获得 ≥ 2.08 mg/mL (4.10 mM, 饱和度未知) 的澄清溶液。 以 1 mL 工作液为例，取 100 μL 20.8 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: ≥ 2.08 mg/mL (4.10 mM); Clear solution 此方案可获得 ≥ 2.08 mg/mL (4.10 mM, 饱和度未知) 的澄清溶液。			

	<p>以 1 mL 工作液为例，取 100 <math>\mu</math>L 20.8 mg/mL 的澄清 DMSO 储备液加到 900 <math>\mu</math>L 20% 的 SBE-<math>\beta</math>-CD 生理盐水溶液中，混合均匀。</p> <p>3.请依序添加每种溶剂： 10% DMSO <math>\rightarrow</math>90% corn oil</p> <p>Solubility: <math>\geq</math> 2.08 mg/mL (4.10 mM); Clear solution</p> <p>此方案可获得 <math>\geq</math> 2.08 mg/mL (4.10 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 <math>\mu</math>L 20.8 mg/mL 的澄清 DMSO 储备液加到 900 <math>\mu</math>L 玉米油中，混合均匀。</p>
<b>References</b>	[1]. John T. Hunt Discovery of Ixabepilone. Mol Cancer Ther February 2009 8; 275
<b>实验参考：</b>	
<b>Cell Assay</b>	HCT116 cells from cultures are collected by trypsinization after 1, 2, 4, 8, 16, and 24 h exposure to 7.5 nm of BMS-247550. Cells are pelleted and fixed in 80% ethanol at $-20^{\circ}\text{C}$ . After an overnight storage at $-20^{\circ}\text{C}$ , cells are rehydrated with PBS buffer and DNA stain by incubation with propidium iodide (5 $\mu\text{g/mL}$ ) in 0.1% RNase for 15-30 min. Fluorescence-activated cell sorter acquisition is performed using the FACS Calibur instrument and analysis is done using Cellquest and Modfit software.
<b>Kinase Assay</b>	The potency with which BMS-247550 and paclitaxel polymerize tubulin isolated from calf brain is evaluated by Published techniques. Briefly, different concentrations of paclitaxel or BMS-247550 in polymerization buffer [0.1 M mes, 1 mM EGTA, 0.5 mM $\text{MgCl}_2$ (pH 6.6)] are added to tubulin in polymerization buffer at $37^{\circ}\text{C}$ in microcuvette wells of a Beckman. Model DU 7400 UV spectrophotometer. A final microtubule protein concentration of 1.0 mg/mL and compound concentrations of generally 2.5, 5.0, and 10 $\mu\text{M}$ are used. Initial slopes of absorbance (A280 nm) change, measured every 10 s, are calculated by the software program accompanying the instrument.
<b>References</b>	[1]. John T. Hunt Discovery of Ixabepilone. Mol Cancer Ther February 2009 8; 275

源叶生物