Ixabepilone

Cat. No.: HY-10222

CAS No.: 219989-84-1

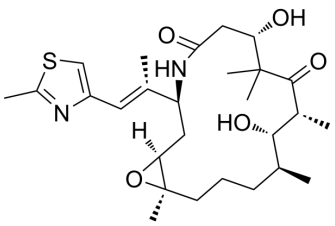
Molecular Formula: $C_{27}H_{42}N_2O_5S$

Molecular Weight: 506.7

Target: Microtubule/Tubulin; Apoptosis; Bacterial

Pathway: Cell Cycle/DNA Damage; Cytoskeleton; Apoptosis; Anti-infection

Storage: 4°C, protect from light
* In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 83.33 mg/mL (164.46 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.9736 mL	9.8678 mL	19.7355 mL
	5 mM	0.3947 mL	1.9736 mL	3.9471 mL
	10 mM	0.1974 mL	0.9868 mL	1.9736 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (4.10 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (4.10 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.10 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Ixabepilone (BMS-247550) 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].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Kinase Assay [1]	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 $MgCl_2$ (pH 6.6)] are added to tubulin in polymerization buffer at 37°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 μM are used. Initial slopes of absorbance (A280 nM) change, measured every 10 s, are calculated by the software program accompanying the instrument. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Cell Assay [1]	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°C. After an overnight storage at −20°C, cells are rehydrated with PBS buffer and DNA stain by incubation with propidium iodide (5 μg/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. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Am J Pathol. 2021 Sep 23;S0002-9440(21)00393-X.
- Toxicol In Vitro. 2017 Aug 24;45(Pt 1):111-118.
- Biochem Biophys Res Commun. 2021 Jan 1;534:330-336.

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REFERENCES

[1]. John T. Hunt Discovery of Ixabepilone. Mol Cancer Ther February 2009 8; 275

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