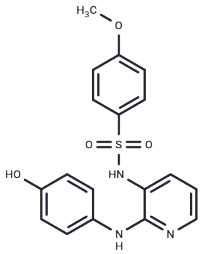
Data Sheet (Cat.No.T1758)

TargetMol

ABT-751

Chemical Properties



CAS No. : 141430-65-1

Formula: C18H17N3O4S

Molecular Weight: 371.41

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	ABT-751 (E7010) has been investigated for the treatment of Lung Cancer, Non-Small Cell Lung Cancer, and Non-Small-Cell Lung Cancer.
Targets(IC50)	Apoptosis,Microtubule Associated,Autophagy
In vitro	In the HT-29 colorectal xenograft model, ABT-751 exhibited significant antitumor activity as a monotherapy and enhanced dose-dependent growth delay when combined with 5-FU. Similarly, in the Calu-6 xenograft model, ABT-751 demonstrated notable antitumor effects at 100 and 75 mg/kg/day as a single agent and displayed an enhanced dose-dependent growth delay in combination with cisplatin. In canines with lymphoma, ABT-751 presented dose-limiting toxicity, including vomiting, diarrhea, and anorexia, with a maximum tolerated dose of 350 mg/m(2) PO q24h.
In vivo	ABT-751 demonstrates selective activity towards dynamic microtubules and maintains stable microtubules, explaining the persistence of acetylated and de-tyrosinated α-tubulin positive polymers at its IC90 concentration. In vitro, ABT-751 exhibits selective cytotoxicity with an IC50 of 0.6-2.6 μM in neuroblastoma cells and 0.7-4.6 μM in other solid tumor cell lines.
Kinase Assay	High-throughput screening: For HTS, USP1-UAF1 activity is monitored using ubiquitin-rhodamine 110 as a substrate, where hydrolysis of the amide bond between the C-terminal glycine of ubiquitin and rhodamine results in an increase in fluorescence. The assay is miniaturized to a 4 μL volume in a 1,536-well format and is used to screen approximately 402,701 compounds in quantitative HTS mode, with each compound tested over a range of four to five concentrations. The assay shows robust performance with an average Z'factor of 0.8 throughout the screen.
Cell Research	Cells, in 1640 RPMI media with FBS, are plated in triplicate onto 96 well tissue culture plates in numbers determined optimal for confluent monolayer growth (5,000 cells/well for HOS, HTB-186 Daoy; 10,000 cells/well for TC-71, RD, SK-N-AS, SK-N-DZ, LD; 30,000 cells/well for KCNR), with an automated, multichannel pipette system. Cells are incubated for 24 hours at 37 °C/5% CO2 then exposed to vehicle control (1.25% DMSO/Water), VCR (0.1–1000 nM), ABT-751 (0.1 nM–100 μM), and in 4 cell lines (SK-N-AS, KCNR, RD, TC-71) combretastatin (0.1–1000 nM) for 72 hours. Cells are fixed with trichloroacetic acid (final concentration 10%) at 4 °C, washed, then dried at room temperature, stained with SRB in 1% acetic acid and dye is then solubilized with Tris base. Optical density measurements are performed at 540 and 405 nm dual

Cell Research	wavelengths in a Bio-Tek EL 340 UV plate reader. (Only for Reference)

Solubility Information

Solubility	DMSO: 250 mg/mL (673.11 mM),Sonication is recommended. Ethanol: 9.3 mg/mL (25.04 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+90% Saline: < 10 mg/mL (26.92 mM),Lower concentrations may be soluble, but exact solubility limit is unknown. 10% DMSO+40% PEG300+5% Tween 80+45% Saline: 10 mg/mL (26.92 mM),Solution. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.6924 mL	13.4622 mL	26.9244 mL
5 mM	0.5385 mL	2.6924 mL	5.3849 mL
10 mM	0.2692 mL	1.3462 mL	2.6924 mL
50 mM	0.0538 mL	0.2692 mL	0.5385 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Meany HJ, et al. Pediatr Blood Cancer. 2010, 54(1), 47-54.
Jorgensen TJ, et al. Cancer Chemother Pharmacol. 2007, 59(6), 725-732.
Silver M, et al. J Vet Intern Med. 2012, 26(2), 349-354.