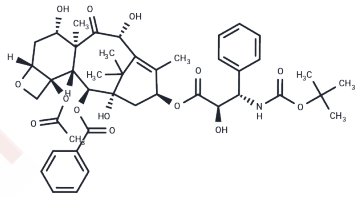
Data Sheet (Cat.No.T1034)

TargetMol

Docetaxel

Chemical Properties



CAS No. : 114977-28-5

Formula: C43H53NO14

Molecular Weight: 807.88

Appearance: no data available

Storage: keep away from direct sunlight,keep away from moisture,store at low temperature
Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	Docetaxel (RP-56976), a semi-synthetic analog of paclitaxel, is a microtubule depolymerization inhibitor (IC50=0.2 μM) that attenuates the effects of bcl-2 and bcl-xL gene expression and exhibits apoptosis-inducing, anti-tumor activity.
Targets(IC50)	Apoptosis,Microtubule Associated,Endogenous Metabolite
In vitro	**METHODS**: Human lung cancer cells NCI-H460 were treated with Docetaxel (0.2-200 nmol/L) for 24-72 h, and cell viability was measured by MTS. **RESULTS**: The IC50 of NCI-H460 to Docetaxel was 0.030 μmol/L at 72 h and 0.116 μmol/L at 24 h. [1] **METHODS**: Human prostate cancer cells PC-3, DU-145 and LNCaP were treated with Docetaxel (0.5-4 nM) for 48 h. Apoptosis was detected by Flow Cytometry. **RESULTS**: High-dose Docetaxel treatment significantly increased the proportion of Annexin V+ apoptotic cells. [2]
In vivo	**METHODS**: To detect anti-tumor activity in vivo, Docetaxel (5-10 mg/kg) and PD-1 inhibitor (200 μg/only) were intraperitoneally injected into CB17 SCID mice carrying mouse prostate cancer tumor RM-1 five times a week for ten days. **RESULTS**: PD-1 inhibitor combined with Docetaxel had a synergistic effect on prostate cancer in mice, inhibiting the growth of prostate tumors, increasing the survival rate and reducing adverse effects. [3] **METHODS**: To assay antitumor activity in vivo, Docetaxel (7.5-15 mg/kg, intratumorally injected IT twice weekly for six weeks; or 20-40 mg/kg weekly intravenously injected IV) was administered to C57BL/6 mice harboring HNSCC tumors HN30 or HN12. **RESULTS**: IT Docetaxel improved overall survival and disease-free survival and reversed tumor growth. At equivalent dose levels, IT Docetaxel resulted in 26-fold higher peak tumor concentrations and 24-fold longer tumor exposure than IV treatment. [4]
Cell Research	NCI-H460 cells (4 × 10^3) were grown in 100 μl of DMEM medium containing serum per well in a 96-well plate. After 24 h, the cells were treated with docetaxel (0, 0.2, 0.63, 2, 6.3, 20, 63 and 200 nmol/L, respectively) for 72 h. Every treatment was triplicate in the same experiment. Then 20 μl of MTS was added to each well for 1 to 4 h at 37°C. After incubation, the absorbance was read at a wavelength of 490 nm according to the manufacturer's protocol. The IC50 calculation was performed with GraphPad Prism 5.0 software [2].

Animal Research	Docetaxel (0, 10, 20, 30, 40, 60, and 80 mg/kg per week) was given once a week for 3 weeks for mice. Because more than 30 mg/kg per week of the drug caused body weight loss in mice, 20 mg/kg per week of docetaxel was judged to be the maximum nontoxic dose. Docetaxel (20 mg/kg per week) was given to mice once a week for 3 weeks at one of the following different points (2, 10, 14, or 22 HALO). Seventy-two hours after the final dosing of the agent, the intestinal mucosa of the small intestine (proximal 8 cm) was removed, fixed in 20 N Mildform solution (containing 8% formaldehyde in a buffered solution), and embedded in paraffin blocks, and sections of 5 mm were put on glass slides. Apoptosis was detected using the terminal deoxynucleotidyl transferase-mediated dUTP nick-end labeling (TUNEL) method, using the Apop Tag Peroxidase In Situ Apoptosis Detection Kit. Specimens were dewaxed and immersed in phosphate-buffered saline for 5 minutes at room temperature, incubated with 20 mg/ml proteinase K for 15 minutes at room temperature, and then quenched of endogenous peroxidase in 2% hydrogen peroxide in phosphate-buffered saline. Terminal deoxynucleotidyl transferase enzyme was applied directly onto the specimens, which were then incubated at 37°C for 1 hour. The reaction was terminated by transferring the slides to stop/wash buffer for 10 minutes at room temperature, and then specimens were covered with peroxidase-conjugated anti-digoxigenin antibody and incubated for 30 minutes at room temperature. Specimens were then soaked in staining buffer containing 0.05% diaminobenzidine to achieve color development. Finally, the specimens were counterstained by immersion in Mayer's hematoxylin solution. Apoptotic cells were counted under a light microscope in a good longitudinal crypt section. Starting at the base of the crypt column, the TUNEL-positive cells were counted up to the 18th cell position in each crypt.One hundred crypt sections were scored in each animal, and a frequency of TUNELpositive cells per crypt was calculated. Dosing time-dependent influence of docetaxel on intestinal apoptosis was also examined in female Balb/c mice [5].

Solubility Information

Solubility	Ethanol: 80.8 mg/mL (100.01 mM),Sonication is recommended. DMSO: 60 mg/mL (74.27 mM),Sonication is recommended. 10% DMSO+40% PEG300+5% Tween 80+45% Saline: 8.08 mg/mL (10 mM),Suspension. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.2378 mL	6.189 mL	12.3781 mL
5 mM	0.2476 mL	1.2378 mL	2.4756 mL
10 mM	0.1238 mL	0.6189 mL	1.2378 mL
50 mM	0.0248 mL	0.1238 mL	0.2476 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.

Reference

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