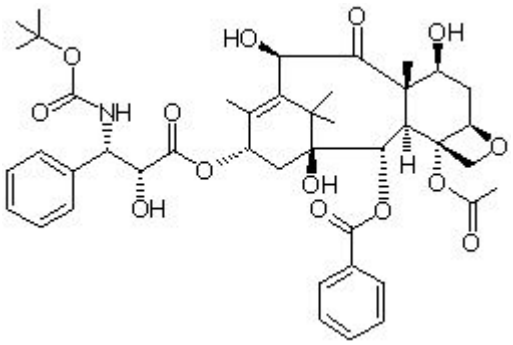
Product Introduction

Docetaxel

Docetaxel, an analog of taxol, is an inhibitor of depolymerisation of **microtubules** by binding to stabilized microtubules.

Technical Data:

Molecular Weight (MW):	807.88	
Formula:	$C_{43}H_{53}NO_{14}$	
Solubility (25°C) * <1 mg/ml means slightly soluble or insoluble:	DMSO 162 mg/mL	
	Water <1 mg/mL	
	Ethanol 162 mg/mL	
Purity:	>98%	
Storage:	3 years -20℃Powder	
	6 months-80℃in DMSO	
CAS No.:	114977-28-5	

Biological Activity

Docetaxel is a cytotoxic agent, especially for proliferating cells, which is related to its ability to promote the formation of microtubule bundles and induce sustained mitotic arrest, followed by apoptosis of mitotically arrested cells or permanent mitotic block. Docetaxel suppresses microtubule dynamic instability as well as tread-milling, resulting in the failure of chromosomes to segregate to the daughter cells, which in turn triggers premature exit from mitosis rather than a block at this phase of the cell cycle. [2] Docetaxel inhibits the clonogenic survival of Human cancer cell Hs746T (stomach), AGS (stomach), HeLa (cervix), CaSki

(cervix), BxPC3 (pancreas), Capan-1 (pancreas) with IC50 of 1 nM, 1 nM, 0.3 nM, 0.3 nM, 0.3 nM and 0.3 nM respectively. [4] Docetaxel inhibits endothelial cell migration that does not affects microtubule gross morphology or inhibit cell proliferation, although they does produce more subtle effects on microtubule dynamics. Docetaxel inhibits HUVEC migration with an observed IC50 of 1 pM. HUVEC chemotaxis stimulated by either of two angiogenic factors, thymidine phosphorylase or VEGF, is inhibited by Docetaxel with IC 50 of 10 pM and is ablated at 1 nM. [7] Docetaxel induces human monocytes, but not RAW 264.7 murine macrophages, Prostaglandin H Synthase-2m (PGHS-2) expression. [8]

Docetaxel (33 mg/kg/dose, given i.v. every 4 days for 3 injections) results in a tumor growth delay of 19.3 days in M2OL2 colon xenografts. Docetaxel also shows great antitumor activities in MX-1, SK-MEL-2, LX-1 and OVCAR-3 xenografts. Docetaxel inhibits the angiogenic response to fibroblast growth factor 2 with IC 50 of 5.4 mg/kg when injected twice weekly over a 14-day period, and angiogenesis is completely blocked in mice that receives 10 mg/kg Docetaxel. Docetaxel has selectivity for endothelial cell migration and/or microvessel formation because infiltration of inflammatory cells into the Matrigel plug is much less sensitive to inhibition by Docetaxel. [7]

References

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[5] Tanaka M, et al. Eur J Cancer. 1996, 32A(2), 226-330.

[6] Hotchkiss KA, et al. Mol Cancer Ther, 2002, 1(13), 1191-1200.

[7] Moos PJ, et al. J Immunol, 1999, 162(1), 467-473.

[8] Dykes DJ, et al. Invest New Drugs, 1995, 13(1), 1-11.