Data Sheet (Cat.No.T2220)

TargetMol

2-Methoxyestradiol

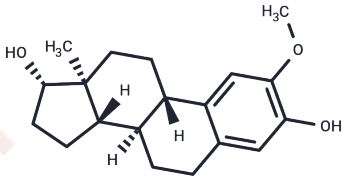
Chemical Properties

CAS No. : 362-07-2

Formula: C19H26O3

Molecular Weight: 302.41

Storage: Store under nitrogen
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	2-Methoxyestradiol (2-ME2) is an orally bioavailable estradiol metabolite with potential antineoplastic activity. 2-Methoxyestradiol inhibits angiogenesis by reducing endothelial cell proliferation and inducing endothelial cell apoptosis. This agent also inhibits tumor cell growth by binding to tubulin, resulting in antimitotic activity, and by inducing caspase activation, resulting in cell cycle arrest in the G2 phase, DNA fragmentation, and apoptosis.
Targets(IC50)	Apoptosis,Reactive Oxygen Species,Microtubule Associated,Endogenous Metabolite,HIF, Autophagy,ROS
In vitro	2-Methoxyestradiol (60 mg/kg/day) can reduce tumor size by fourfold, and increasing the dose tenfold further reduces it by 23 times. This compound demonstrates dose-dependent therapeutic efficacy in the 9L rat glioma model (9L-V6R), significantly decreasing HIF-1 activity and inhibiting tumor growth.
In vivo	2-Methoxyestradiol (0.5 μM) inhibits the TGF-β3-induced expression of Type I collagen (Col I) (αI), Col III (αI), connective tissue growth factor, plasminogen activator inhibitor, and α-smooth muscle actin. In MDA-MB-231 cells, it suppresses the transcriptional activation of HIF-1-regulated target genes without affecting HIF-1α transcription itself. It inhibits cell proliferation in breast cancer cell line MDA-MB-435 (IC50: 1.38 μM) and ovarian cancer cell line SK-OV-3 (IC50: 1.79 μM). Furthermore, in rat aortic smooth muscle A-10 cells, 2-Methoxyestradiol prevents microtubule disassembly (EC50: 7.5 μM) and mitigates TGF-β3-induced Smad2/3 phosphorylation and nuclear translocation, as well as inhibiting the activation of the TGF-β3-induced PI3K/Akt/mTOR pathway. Additionally, it suppresses cell proliferation in MCF-7 (IC50: 52 μM) and BM (IC50: 8 μM) cells.
Kinase Assay	Microtubule depolymerizing activity: The effects of 2-Methoxyestradiol on cellular microtubule depolymerization are determined by indirect immunofluorescence techniques in rat aortic smooth muscle A-10 cells. Microtubules are visualized using a β-tubulin antibody. Three viewers determines the percent microtubule loss for each treatment concentration. The data are averaged and plotted as percent microtubule loss versus drug concentration and the EC50s for microtubule depolymerization calculated from the log dose-response curves.
Cell Research	The sulforhodamine B (SRB) assay is used to evaluate the antiproliferative activity of 2-Methoxyestradiol in the MDA-MB-435 and SK-OV-3 cell lines. Cells a plated into 96-well plates and allowed to grow and attach for 24 hours followed by addition of 2-

Cell Research	Methoxyestradiol or vehicle controls. The cells are incubated with drugs for 48 hours and then the cellular protein is fixed, stained, and concentration determined by absorbance at 560 nm. Log dose-response curves are constructed for each experiment and the IC50 for inhibition of proliferation determined.(Only for Reference)

Solubility Information

Solubility	DMSO: 45 mg/mL (148.8 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (6.61 mM),Sonication is recommended. *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	3.3068 mL	16.5338 mL	33.0677 mL
5 mM	0.6614 mL	3.3068 mL	6.6135 mL
10 mM	0.3307 mL	1.6534 mL	3.3068 mL
50 mM	0.0661 mL	0.3307 mL	0.6614 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

Rao PN, et al. Steroids. 2002, 67(13-14), 1079-1089.
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Xu L, et al. 2-Methoxyestradiol Alleviates Experimental Autoimmune Uveitis by Inhibiting Lymphocytes Proliferation and T Cell Differentiation. Biomed Res Int. 2016;2016:7948345.

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