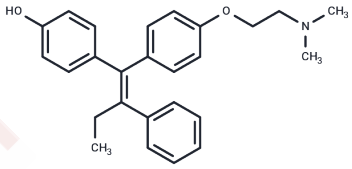
Data Sheet (Cat.No.T4420)

TargetMol

4-Hydroxytamoxifen

Chemical Properties



CAS No. : 68047-06-3

Formula: C26H29NO2

Molecular Weight: 387.51

Storage: Store at low temperature
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	4-Hydroxytamoxifen (ICI 79280) is the active metabolite of Tamoxifen, an estrogen receptor modulator (SERM) with selective and oral potency. 4-Hydroxytamoxifen has antitumor activity and may be used in breast cancer research.
Targets(IC50)	Estrogen Receptor/ERR,Estrogen/progestogen Receptor
In vitro	**METHODS**: The human endometrial adenocarcinoma cell line HEC-1B was treated with 4-Hydroxytamoxifen (0.01-100 μM) for 3 days, and cell viability was measured using the CellTiter 96 AQueous One Solution Cell Proliferation Assay. **RESULTS**: HEC-1B cells exposed to higher concentrations (1-100 μM) of 4-Hydroxytamoxifen showed significant differences in cell viability between concentrations. [1] **METHODS**: ER-positive breast cancer cell lines MCF-7, T47D and BT-474 were treated with 4-Hydroxytamoxifen (0.5-1 μM) and sulforaphane (5 μM) for 48 h. The expression levels of target proteins were detected using Western Blot. **RESULTS**: Sulforaphane induced PARP cleavage, the intensity of which was dependent on the cell line, and the combination of sulforaphane and 4-Hydroxytamoxifen further enhanced PARP cleavage. [2]
In vivo	**METHODS**: To detect the antitumor activity in vivo, 4-Hydroxytamoxifen (1 mg/kg) was injected intraperitoneally into Nu/Nu mice carrying human breast cancer tumor MCF-7 once a day for twenty-three days. **RESULTS**: 4-Hydroxytamoxifen effectively inhibited tumor growth in mice. [3] **METHODS**: To induce Cre recombinase activity, 4-Hydroxytamoxifen (30-60 mg/kg) was injected intraperitoneally into Rosa26BEST1-CreERT2 mice once daily for four days. **RESULTS**: TdTomato was strongly expressed in the RPE of mice of both sexes. [4]

Solubility Information

Solubility	H2O: < 0.1 mg/mL (insoluble), DMSO: 58.3 mg/mL (150.45 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 (5.16 mM),Sonication is recommended.

In vivo Formulation	*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	2.5806 mL	12.9029 mL	25.8058 mL
5 mM	0.5161 mL	2.5806 mL	5.1612 mL
10 mM	0.2581 mL	1.2903 mL	2.5806 mL
50 mM	0.0516 mL	0.2581 mL	0.5161 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

Cuevas ME, et al. In vitro cytotoxicity of 4'-OH-tamoxifen and estradiol in human endometrial adenocarcinoma cells HEC-1A and HEC-1B. Oncol Rep. 2015 Jan;33(1):464-70.
Lu Y, Yang Q, Su Y, et al. MYCN mediates TFRC-dependent ferroptosis and reveals vulnerabilities in neuroblastoma. Cell Death & Disease. 2021, 12(6): 1-14.
Pawlik A, et al. Sensitization of estrogen receptor-positive breast cancer cell lines to 4-hydroxytamoxifen by isothiocyanates present in cruciferous plants. Eur J Nutr. 2016 Apr;55(3):1165-80.
Zhong Q, et al. Boronic prodrug of 4-hydroxytamoxifen is more efficacious than tamoxifen with enhanced bioavailability independent of CYP2D6 status. BMC Cancer. 2015 Sep 9;15:625.
Chen M, et al. An efficient inducible RPE-Selective cre transgenic mouse line. Exp Eye Res. 2021 Jan;202:108370.