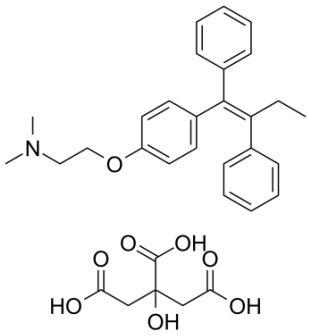
Tamoxifen Citrate



Cat. No.:	HY-13757
CAS No.:	54965-24-1
Molecular Formula:	$C_{32}H_{37}NO_8$
Molecular Weight:	563.64
Target:	Estrogen Receptor/ERR; HSP; Autophagy; Apoptosis
Pathway:	Others; Cell Cycle/DNA Damage; Metabolic Enzyme/Protease; Autophagy; Apoptosis
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month

SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (88.71 mM; Need ultrasonic)

H_2O : < 0.1 mg/mL (insoluble)

Preparing Stock Solutions	Concentration / Solvent / Mass	1 mg	5 mg	10 mg
	1 mM	1.7742 mL	8.8709 mL	17.7418 mL
	5 mM	0.3548 mL	1.7742 mL	3.5484 mL
	10 mM	0.1774 mL	0.8871 mL	1.7742 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (3.69 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (3.69 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (3.69 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Tamoxifen Citrate (ICI 46474) is an orally active, selective estrogen receptor modulator (SERM) which blocks estrogen action in breast cells and can activate estrogen activity in other cells, such as bone, liver, and uterine cells[1][2][3].Tamoxifen Citrate is a potent Hsp90 activator and enhances the Hsp90 molecular chaperone ATPase activity. Tamoxifen Citrate also potent inhibits infectious EBOV Zaire and Marburg (MARV) with IC_{50} of 0.1 μM and 1.8 μM, respectively[5]. Tamoxifen Citrate activates autophagy and induces apoptosis[4].

IC_{50} & Target	Estrogen receptor	HSP90
In Vitro	Tamoxifen Citrate (ICI 46474) shows strong inhibition of MCF-7 cells (EC_{50}=1.41 μM) and to a lesser extent the T47D cells (EC_{50}=2.5 μM) but does not affect the MDA-MB-231 cells[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.	
In Vivo	The Tamoxifen Citrate-inducible gene knockout strategy has clear advantages in that expression of a gene can be ablated in adult mice at will in a tissue specific manner. To study the role of Med1 in adult heart, 7-week old TmcsMed1$^{-/-}$ mice are given a daily Iintraperitoneal injection of Tamoxifen Citrate at a dose of 65 mg/kg for 5 days and killed at selected intervals thereafter. qPCR analysis of RNA shows that the Med1 expression begin to decrease after 3 days of Tamoxifen Citrate injection (about 70% decrease), and by 5 days of injection, Med1 expression is almost non-detectable in the heart. Tamoxifen Citrate-inducible cardiac-specific disruption of Med1 (TmcsMed1$^{-/-}$) in adult mice causes dilated cardiomyopathy [3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.	

PROTOCOL

Animal Administration [3]	Mice[3] Seven-week old TmcsMed1$^{-/-}$ mice and the wild-type littermates are then administered Tamoxifen intraperitoneally at a daily dose of 65 mg/kg body weight for 5 days and then killed at selected intervals after initiation of Tamoxifen treatment. For each experiment 3 to 5 mice for control and csMed1$^{-/-}$ are used. To obtain survival curve 41 csMed1$^{-/-}$ and 41 csMed1$^{fl/fl}$ mice are used. Thirteen TmcsMed$^{-/-}$ mice and the same number of littermates are used for the survival curve experiments using Tamoxifen inducible model. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Immunity. 2020 Nov 17;53(5):1078-1094.e7.
- Theranostics. 2020 Aug 29;10(24):10874-10891.
- Theranostics. 2020 Sep 11;10(24):11159-11177.
- Clin Transl Med. 2020 Jan;10(1):137-150.
- J Med Chem. 2020 Oct 8;63(19):11085-11099.

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REFERENCES

[1]. Osborne CK. Tamoxifen in the treatment of breast cancer. N Engl J Med. 1998 Nov 26;339(22):1609-18.

[2]. Hawariah A, et al. In vitro response of human breast cancer cell lines to the growth-inhibitory effects of styrylpyrone derivative (SPD) and assessment of its antiestrogenicity. Anticancer Res. 1998 Nov-Dec;18(6A):4383-6.

[3]. Jia Y, et al. Cardiomyocyte-Specific Ablation of Med1 Subunit of the Mediator Complex Causes Lethal DilatedCardiomyopathy in Mice. PLoS One. 2016 Aug 22;11(8):e0160755.

[4]. Zhao R, et al. Tamoxifen enhances the Hsp90 molecular chaperone ATPase activity. PLoS One. 2010 Apr 1;5(4):e9934.

[5]. Laura Cooper, et al. Screening and Reverse-Engineering of Estrogen Receptor Ligands as Potent Pan-Filovirus Inhibitors. J Med Chem. 2020 Sep 4.

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA