Tamoxifen Citrate

Cat. No.: HY-13757

CAS No.: 54965-24-1

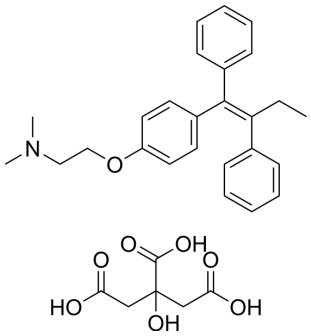
Molecular Formula: $C_{32}H_{37}NO_8$

Molecular Weight: 563.64

Target: Estrogen Receptor/ERR; HSP; Autophagy; Apoptosis

Pathway: Vitamin D Related/Nuclear Receptor; Cell Cycle/DNA Damage; Metabolic Enzyme/Protease; Autophagy; Apoptosis

Storage: 4°C, sealed storage, away from moisture
* In solvent : -80°C, 1 year; -20°C, 6 months (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (88.71 mM; Need ultrasonic)
Ethanol : 10 mg/mL (17.74 mM; Need ultrasonic)
H_2O : < 0.1 mg/mL (insoluble)

Preparing Stock Solutions	Mass / Solvent / Concentration	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: 50% PEG300 >> 50% saline
   Solubility: 10 mg/mL (17.74 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: corn oil
   Solubility: 10 mg/mL (17.74 mM); Suspended solution; Need ultrasonic
3. 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
4. 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
5. 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].Tamoxifen Citrate also can induce gene knockout of CreER(T2) transgenic mouse[6].
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	Induction of Cre recombination[8] Background MerCreMer mice is a kind of mice that expresses Cre recombinase protein, which is fused with two mutant estrogen receptor ligand-binding domains (Mer). Without the induction of Tamoxifen, Cre recombinase is in an inactive state. After Tamoxifen induction, the metabolite of Tamoxifen, 4-OHT (estrogen analog), binds to Mer to activate the activity of Cre recombinase, and then realizes gene knockout. Specific Mmodeling Methods Mice: transgenic mice • adult (6 weeks of age). Administration: 20 mg/kg (Tamoxifen; HY-13757A) • i.p. • once daily for 5 days. Note The hearts of control mice treated withTamoxifen or physiological saline are perfused with phosphate buffered saline(PBS) in a retrograde manner for 2 minutes, targeting the aorta, left ventricle,and coronary vessels. Then, the hearts are perfused with X-gal stainingsolution overnight at room temperature to detect the activity of lacZthroughout the heart. Modeling Record Histological Changes: LacZ staining is present in both the left and right ventricles as well as the atriums, though the atrial staining appears less prominent due to the thinner atrial wall. All cardiomyocytes exhibit lacZ activity. The recombination rate in the heart is elevated, reaching 70%. Correlated Product(s): 4-Hydroxytamoxifen (HY-16950) 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

- Cell. 2024 Jul 23:S0092-8674(24)00716-5.
- Cell. 2022 Aug 4;185(16):3008-3024.e16.
- Signal Transduct Target Ther. 2023 Feb 3;8(1):51.
- Immunity. 2023 Dec 22:S1074-7613(23)00534-4.
- Immunity. 2022 Jul 12;S1074-7613(22)00291-6.

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REFERENCES

[1]. Feil S, et, al. Inducible Cre mice. Methods Mol Biol. 2009;530:343-63.

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

[3]. 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.

[4]. 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.

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

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

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