Tamoxifen Citrate

Cat. No.: HY-13757

CAS No.: 54965-24-1

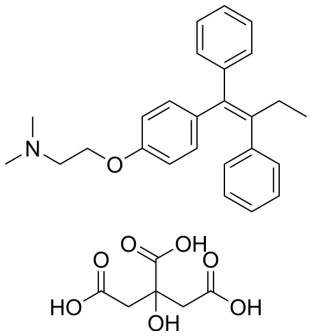
Molecular Formula: $C_{32}H_{37}NO_8$

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	Solvent / Mass / 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: corn oil
   Solubility: 10 mg/mL (17.74 mM); Suspended solution; Need ultrasonic
2. 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
3. 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
4. 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	Injection of pre-mutant mice with Tamoxifen Citrate (75 mg/kg; injected for 5 days at 6 weeks of age) results in the excision of the floxed exon and, thus, in a gene knockout[3]. Blood pharmacokinetic parameters shows: Tamoxifen (Tamoxifen (HY-13757A): 10 mg/kg; i.v.) has a maximum plasma concentration of 1566 ng/mL from 0 to 24 hours plasma concentration-time The total area under the curve is 4757 ng·h/mL, the total area under the plasma concentration-time curve from 0-1 is 5006 ng·h/mL, and the half-life is 5.8 h in male Sprague-Dawley rats (295-340 g)[10]. The pharmacokinetic parameters in blood indicate a maximum plasma concentration of 1566 ng/mL, a total area under the plasma concentration-time curve from 0 to 24 hours of 4757 ng?h/mL, a total area under the curve from 0 to 1 hour of 5006 ng?h/mL, and a half-life of 5.8 hours for rats weighing between 295 and 340 grams (Male Sprague-Dawley rats (Tamoxifen 10 mg/kg□i.v.))[10]. Induction of liver injury[8][9] • Background Tamoxifen reduces the hexose monophosphate shunt, thereby increasing the incidence of oxidative stress in rat hepatocytes, leading to liver injury. • Specific Mmodeling Methods Albino rat &bull ; female &bull ; period: 7 days Administration: 45 mg/kg •ip • once daily for 7 days Note (1) The rats were kept in a standard laboratory environment, which was a 12-hour light/12-hour dark cycle with the temperature maintained at 25 ± 2°C. They had free access to food and water.(2) At the end of the experiment, the animals were euthanized by cervical dislocation under mild ether anesthesia. The blood samples were collected in heparinized centrifuge tubes and centrifuged to obtain serum. The abdomen was opened, and the liver was immediately dissected and removed. It was washed with ice-cold isotonic saline and blotted between two filter papers. The liver was wrapped in aluminum foil and stored at -80°C. A 10% (w/v) liver homogenate was prepared in ice-cold 0.1 M potassium phosphate buffer (pH 7.5) using an ultrasonicator.

- Modeling Indicators

  Molecular Changes: The activities of antioxidant enzymes, including glutathione S-transferase, glutathione peroxidase, and catalase, were significantly ↓, while the content of reduced glutathione also showed a ↓ trend. Concurrently, the levels of thiobarbituric acid reactive substances (TBARS) and liver transaminases, including serum glutamic-pyruvic transaminase (sGPT) and serum glutamic-oxaloacetic transaminase (sGOT), were significantly ↑.

- Opposite Product(s):

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. 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.
- Immunity. 2020 Nov 17;53(5):1078-1094.e7.

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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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