Tamoxifen

Cat. No.: HY-13757A

CAS No.: 10540-29-1

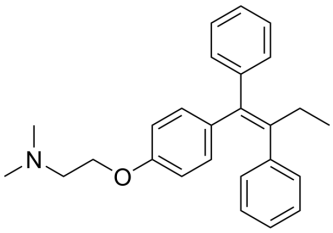
Molecular Formula: $C_{26}H_{29}NO$

Molecular Weight: 371.51

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, protect from light
* In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

Ethanol : 50 mg/mL (134.59 mM; Need ultrasonic)
DMSO : 25 mg/mL (67.29 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.6917 mL	13.4586 mL	26.9172 mL
	5 mM	0.5383 mL	2.6917 mL	5.3834 mL
	10 mM	0.2692 mL	1.3459 mL	2.6917 mL

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

In Vivo

1. Add each solvent one by one: corn oil
   Solubility: 40 mg/mL (107.67 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 30% PEG400 >> 0.5% Tween80 >> 5% Propanediol >> 64.5%H2O
   Solubility: 5 mg/mL (13.46 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% EtOH >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: 2.5 mg/mL (6.73 mM); Suspended solution; Need ultrasonic
4. Add each solvent one by one: 10% EtOH >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.73 mM); Clear solution
5. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (5.60 mM); Clear solution
6. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.08 mg/mL (5.60 mM); Suspended solution; Need ultrasonic
7. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (5.60 mM); Clear solution
8. Add each solvent one by one: 5% DMSO >> 40% PEG300 >> 5% Tween-80 >> 50% saline
   Solubility: ≥ 0.83 mg/mL (2.23 mM); Clear solution
9. Add each solvent one by one: 5% DMSO >> 95% (20% SBE-β-CD in saline)

	Solubility: 0.83 mg/mL (2.23 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

Tamoxifen (ICI 47699) 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 is a potent Hsp90 activator and enhances the Hsp90 molecular chaperone ATPase activity. Tamoxifen also potent inhibits infectious EBOV Zaire and Marburg (MARV) with IC_{50} of 0.1 μM and 1.8 μM, respectively[5]. Tamoxifen activates autophagy and induces apoptosis[4]. Tamoxifen also can induce gene knockout of CreER(T2) transgenic mouse[6].

IC_{50} & Target	Estrogen receptor	HSP90

In Vitro

Tamoxifen (ICI 47699) 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 (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].

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

  (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.

  (3) After euthanized rats, open the abdomen. And immediately dissecte and remove the liver. Wash with

ice-cold isotonic saline and blotted between two filter papers. Wraph the liver in aluminum foil and store 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.

Animal Model:	Aldh1l1-cre/ERT2 x Ai95 mice[3]
Dosage:	75 mg/kg
Administration:	Injected for 5 days at 6 weeks of age
Result:	Resulted in the excision of the floxed exon and a gene knockout.

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]. 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]. Jun Nagai, et al. Hyperactivity with Disrupted Attention by Activation of an Astrocyte Synaptogenic Cue. Cell. 2019 May 16;177(5):1280-1292.e20.

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

[5]. Kedjouar B, et al. Molecular characterization of the microsomal tamoxifen binding site. J Biol Chem. 2004 Aug 6;279(32):34048-61.

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

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

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