Toremifene citrate

Cat. No.: HY-B0005

CAS No.: 89778-27-8

Molecular Formula: $C_{32}H_{36}ClNO_8$

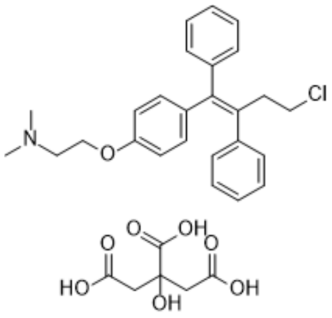
Molecular Weight: 598.08

Target: Estrogen Receptor/ERR; Apoptosis

Pathway: Vitamin D Related/Nuclear Receptor; Apoptosis

Storage: 4°C, sealed storage, away from moisture

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



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 100 mg/mL (167.20 mM)

H_2O : < 0.1 mg/mL (insoluble)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Concentration / Solvent / Mass	1 mg	5 mg	10 mg
	1 mM	1.6720 mL	8.3601 mL	16.7202 mL
	5 mM	0.3344 mL	1.6720 mL	3.3440 mL
	10 mM	0.1672 mL	0.8360 mL	1.6720 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: ≥ 2.5 mg/mL (4.18 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (4.18 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.18 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Toremifene citrate (Z-Toremifene citrate) is a second-generation selective estrogen-receptor modulator (SERM) in development for the prevention of osteoporosis. Toremifene citrate also potent inhibits infectious EBOV Zaire and Marburg (MARV) with IC_{50} of 0.07 μM and 2.6 μM, respectively[1][2].

In Vitro

Toremifene is a second-generation selective estrogen-receptor modulator (SERM) in development for the prevention of osteoporosis and other adverse effects resulting from ADT with prostate cancer[1].

The growth of Ac-1 cells was inhibited by tamoxifen, toremifene and atamestane in vitro with IC50values of 1.8±1.3μM, 1±0.3

	μM and 60.4±17.2μM, respectively. The combination of toremifene plusatamestane was found to be better than toremifene or atamestane alone in vitro[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	The effect of this combination was then studied in vivo using Ac-1 xenografts grown in ovariectomized female SCID mice. The mice were injected with toremifene (1000μg/day), atamestane (1000μg/day), tamoxifen (100μg/day), or the combination of toremifene plus atamestane. In this study, our results indicate that the combination of toremifene plus atamestane was as effective as toremifene or tamoxifen alone but may not provide any additional benefit over toremifene alone or tamoxifen alone[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- J Med Chem. 2020 Oct 8;63(19):11085-11099.
- Viruses. 2021 Jun 28;13(7):1255.
- J Pharmaceut Biomed. 2020, 113870.
- Patent. US11696914.
- Research Square Preprint. 2020 Nov 4;rs.3.rs-100914.

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REFERENCES

[1]. Matthew R Smith, Selective Estrogen Receptor Modulators to Prevent Treatment-Related Osteoporosis.Rev Urol. 2005; 7(Suppl 3): S30-S35.

[2]. Gauri J Sabnis, Luciana Macedo, Olga Goloubeva, Toremifene - Atamestane; Alone or In Combination: Predictions from the Preclinical Intratumoral Aromatase Model. J Steroid Biochem Mol Biol. 2008 January; 108(1-2): 1-7.

[3]. Taneja SS, Morton R, Barnette G, Prostate cancer diagnosis among men with isolated high-grade intraepithelial neoplasia enrolled onto a 3-year prospective phase III clinical trial of oral toremifene. J Clin Oncol. 2013 Feb 10;31(5):523-9.

[4]. 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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