

Product Data Sheet

Product Name: 4-Hydroxytamoxifen

Cat. No.: GC17803

Chemical Properties

Cas. No. 68392-35-8

Chemical Name (Z)-4-(1-(4-(2-(dimethylamino)ethoxy)phenyl)-2-phenylbut-1-en-1-yl)phenol

SMILES OC1=CC=C(C(=C(C2=CC=C(OCCN(C)C)C=C2)=C(C3=CC=CC=C3)\CC)C=C1

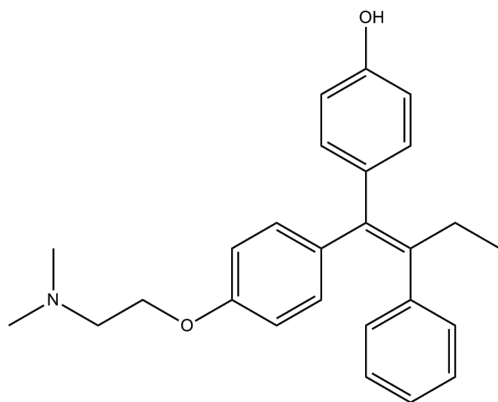
Formula $C_{26}H_{29}NO_2$ M.Wt 387.51

Solubility $\geq 42\text{mg/mL}$ in DMSO Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice. All other available size: ship with RT, or blue ice upon request.

Structure



Protocol

Cell experiment [1]:

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Cell lines Multiple myeloma cell lines

Multiple myeloma cell lines were treated for 48 hours with vehicle or various Preparation Method concentrations of 4-Hydroxytamoxifen (1-10 μ mol/L). Cell cycle analysis was done after propidium iodide staining of ethanol-permeabilized cells.

Reaction Conditions 1-10 μ mol/L; 48 hours

Applications G1-arrest and apoptosis induction of multiple myeloma cells after 4-Hydroxytamoxifen treatment.

Animal experiment [2]:

Animal models iCM-Akt1/2, iCM-Gsk3 β or iCM-p38 mice aged 3–4 month

For knockout induction, iCM-Akt1/2, iCM-Gsk3 β or iCM-p38 mice aged 3–4 month received 4-Hydroxytamoxifen intraperitoneally (20mg/kg) for either 5, 7, or 10 consecutive days, respectively, and hearts were excised two weeks after the Preparation Method end of the 4-Hydroxytamoxifen treatment for western blot analysis of protein depletion. In addition, immunohistological stainings were performed of hearts from iCM-Akt1/2KO or WT mice two weeks after 5 day 4-Hydroxytamoxifen treatment.

Dosage form 20mg/kg; for either 5, 7, or 10 consecutive days; i.p.

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Applications Cardiomyocyte restricted gene deletion was initiated by intraperitoneal application of 20mg/kg 4-Hydroxytamoxifen on 5, 7 or 10 consecutive days. Administration of 20 mg/kg 4-Hydroxytamoxifen for five consecutive days resulted in loss of both Akt1 and Akt2 in cardiomyocytes.

References:

- [1]. Gauduchon J, et al. 4-Hydroxytamoxifen inhibits proliferation of multiple myeloma cells in vitro through down-regulation of c-Myc, up-regulation of p27Kip1, and modulation of Bcl-2 family members. Clin Cancer Res. 2005 Mar 15;11(6):2345-54.
- [2]. Heinen A, et al. 4-hydroxytamoxifen does not deteriorate cardiac function in cardiomyocyte-specific MerCreMer transgenic mice. Basic Res Cardiol. 2021 Feb 5;116(1):8.

Background

4-Hydroxytamoxifen is an orally active, selective estrogen receptor modulator (SERM). 4-Hydroxytamoxifen is a major metabolite of tamoxifen and a selective estrogen receptor antagonist^[1]. 4-Hydroxytamoxifen has the superoxide anion radical-scavenging activity, the antioxidative characteristics of 4-Hydroxytamoxifen can attenuate the methamphetamine(MA)-induced dopaminergic toxicity^[2].

4-Hydroxytamoxifen decreased the transcriptional activity of ERR γ by more than 75%, with an EC₅₀ value of 2 μ M^[3]. In vitro, MPNST cells were treated with 8-12 μ M 4-Hydroxytamoxifen for 48 hours, concentration-dependently increased in caspase 3-like enzymatic activity. 4-Hydroxytamoxifen also triggers autophagic death in MPNST cells^[4]. In vitro, 2 or 10 μ M 4-Hydroxytamoxifen abolished the generation of action potentials and repolarized the membrane potential in rat pancreatic beta-cells stimulated by 16mM glucose in 2min. 4-Hydroxytamoxifen impaired beta-cell electrical and secretory activity by inhibiting calcium and anion channel currents^[5].

In vivo, α MHC-MerCreMer mice were treated with 20mg/kg 4-Hydroxytamoxifen intraperitoneally for 5 consecutive days, neither cardiac function nor cardiac energetic status in α MHC-MerCreMer mice was disturbed. In addition, the injection of 40mg/kg 4-Hydroxytamoxifen also did not impair cardiac

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function^[6]. In vivo, treatment with 6µg/0.1mL/day subcutaneously of 4-Hydroxytamoxifen significantly mitigated MA-induced nigrostriatal dopamine (DA) and 3,4-dihydroxyphenylaceticacid (DOPAC) depletions in both male and female mice^[2].

References:

- [1].Yu L., et al. (2002a) Ovarian hormones do not attenuate methamphetamine-induced dopaminergic neurotoxicity in mice gonadectomized at 4 weeks postpartum. *Neuroendocrinology* 75, 282–287.
- [2].Kuo YM, et al. 4-Hydroxytamoxifen attenuates methamphetamine-induced nigrostriatal dopaminergic toxicity in intact and gonadectomized mice. *J Neurochem.* 2003 Dec;87(6):1436-43.
- [3].Coward P, et al. 4-Hydroxytamoxifen binds to and deactivates the estrogen-related receptor gamma. *Proc Natl Acad Sci U S A.* 2001 Jul 17;98(15):8880-4.
- [4].Kohli L, et al. 4-Hydroxytamoxifen induces autophagic death through K-Ras degradation. *Cancer Res.* 2013 Jul 15;73(14):4395-405.
- [5].Best L. Inhibition of glucose-induced electrical activity by 4-hydroxytamoxifen in rat pancreatic beta-cells. *Cell Signal.* 2002 Jan;14(1):69-73.
- [6].Heinen A, et al. 4-hydroxytamoxifen does not deteriorate cardiac function in cardiomyocyte-specific MerCreMer transgenic mice. *Basic Res Cardiol.* 2021 Feb 5;116(1):8.

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