Endoxifen Z-isomer hydrochloride

Cat. No.: HY-18719A

CAS No.: 1032008-74-4

Molecular Formula: $C_{25}H_{28}ClNO_2$

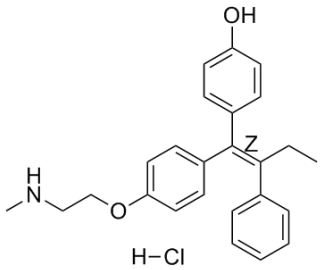
Molecular Weight: 409.95

Target: Estrogen Receptor/ERR; Potassium Channel

Pathway: Others; Membrane Transporter/Ion Channel

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (121.97 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.4393 mL	12.1966 mL	24.3932 mL
	5 mM	0.4879 mL	2.4393 mL	4.8786 mL
	10 mM	0.2439 mL	1.2197 mL	2.4393 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 (6.10 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (6.10 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 1.25 mg/mL (3.05 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Endoxifen Z-isomer hydrochloride is the most important Tamoxifen metabolite responsible for eliciting the anti-estrogenic effects of this drug in breast cancer cells expressing estrogen receptor-alpha (ERα). Endoxifen inhibits hERG tail currents at 50 mV in a concentration-dependent manner with IC50 values of 1.6 μM.IC50 value: 1.6 μM [1]Target: hERG Potassium Channel, Estrogen Receptor/ERREndoxifen is considered a prodrug, since it has a much higher potency for the estrogen receptor than its parent drug. Endoxifen inhibits the hERG channel protein trafficking to the plasma membrane in a concentration-dependent manner with Endoxifen being more potent than Tamoxifen. [1] Endoxifen is also shown to be a more potent inhibitor of estrogen target genes when ERβ is expressed. Additionally, low concentrations of Endoxifen

observed in Tamoxifen treated patients with deficient CYP2D6 activity (20 to 40 nM) markedly inhibit estrogen-induced cell proliferation rates in the presence of ERβ, whereas much higher Endoxifen concentrations are needed when ERβ is absent.[2]

CUSTOMER VALIDATION

- North Dakota State University of Agriculture and Applied Science. 2018 Feb.

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REFERENCES

[1]. Chae YJ, et al. Endoxifen, the active metabolite of tamoxifen, inhibits cloned hERG potassium channels. Eur J Pharmacol. 2015 Apr 5;752:1-7.

[2]. Wu X, et al. Estrogen receptor-beta sensitizes breast cancer cells to the anti-estrogenic actions of endoxifen. Breast Cancer Res. 2011 Mar 10;13(2):R27.

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