Web: www.taiclone.com
Tel: +886-2-2735-9682
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Endoxifen (Z-isomer)

Catalog No: tcsc5082

Available Sizes

Size: 1mg

Size: 5mg

Size: 10mg

Specifications

CAS No:
112093-28-4

Formula:
$C_{25}H_{27}NO_2$

Pathway:
Others;Membrane Transporter/Ion Channel

Target:
Estrogen Receptor/ERR;Potassium Channel

Purity / Grade:
>98%

Solubility:
10 mM in DMSO

Observed Molecular Weight:
373.49

Product Description

Endoxifen Z-isomer 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.

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IC50 value: 1.6 μM [1]

Target: hERG Potassium Channel, Estrogen Receptor/ERR

Endoxifen Z-isomer 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 Z-isomer 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 Z-isomer concentrations are needed when ERβ is absent.[2]