

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

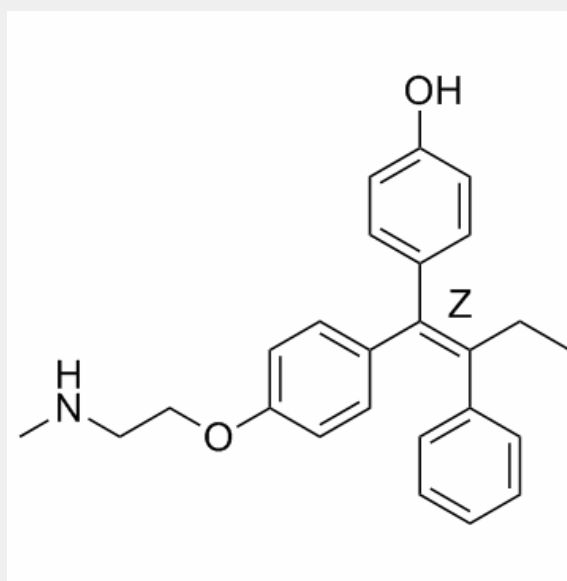
Product Description

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 (ER α). Endoxifen inhibits hERG tail currents at 50 mV in a concentration-dependent manner with IC50 values of 1.6 μ M.

IC50 value: 1.6 μ M [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 ER β 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 ER β , whereas much higher Endoxifen Z-isomer concentrations are needed when ER β is absent.[2]



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