Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

Endoxifen

Catalog No: tcsc0028594

Available Sizes

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
110025-28-0

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

Pathway:
Others;Others

Target:
Estrogen Receptor/ERR;Aromatase

Purity / Grade:
>98%

Solubility:
10 mM in DMSO

Observed Molecular Weight:
373.49

Product Description

Endoxifen is a key active metabolite of tamoxifen (TAM) with higher affinity and specificity to **estrogen receptor** that also inhibits aromatase activity.

Copyright 2021 Taiclone Biotech Corp.

Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

IC50 & Target: Estrogen Receptor[1][2].

In Vitro: Endoxifen, a hydroxylated tamoxifen metabolite, is approximately 100-fold more potent as an antagonist of the ER than tamoxifen. It also suggests that endoxifen but not 4-hydroxytamoxifen results in ER-alpha degradation in addition to its effects on the ER at the level of transcription[1]. Endoxifen, is a potent antiestrogen that targets estrogen receptor α for degradation in breast cancer cells. Additionally, it is showed that Endoxifen blocks ERA transcriptional activity and inhibits estrogen-induced breast cancer cell proliferation even in the presence of tamoxifen, N-desmethyl-tamoxifen, and 4-hydroxytamoxifen[2]. Endoxifen is strongly growth inhibitory at 10 μM for all the breast cancer cell lines except for moderate inhibition for MDAMB-468.Cytotoxic effects are quite significant at 10 μM concentration for MCF7, HS 578T, and BT-549 cells. At lower Endoxifen concentrations (0.01-1 μM), the inhibitory effects are not as significant as 10 μM, whereas 100 μM Endoxifen concentration found to be lethal for all tested cells[3].

In Vivo: Orally administered Endoxifen is rapidly absorbed and systemically available when tested in female rats. The Endoxifen-treated rats show 787% higher exposure ($AUC_{0-\infty}$) and 1,500% higher concentration (C_{max}) levels of Endoxifen when compared with Tamoxifen. Oral Endoxifen administration once a day for 28 consecutive days at dosages 2, 4, and 8 mg/kg proves safe and results in progressive inhibition of the growth of the human mammary tumor xenografts in female mice[3].

Taiclone®
Quality Guarantee

All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!

Copyright 2021 Taiclone Biotech Corp.