

Product Data Sheet

Product Name: Endoxifen Z-isomer hydrochloride

Cat. No.: GC35991

Chemical Properties

Cas. No. 1032008-74-4

SMILES OC1=CC=C(/C(C2=CC=C(OCCNC)C=C2)=C(C3=CC=CC=C3)\CC)C=C1.[H]Cl.[Z]

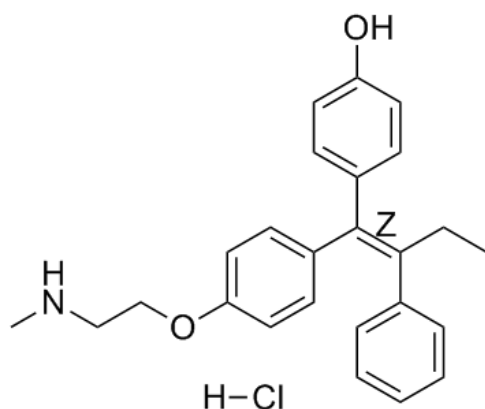
Formula $C_{25}H_{28}ClNO_2$ M.Wt 409.95

Solubility DMSO: 12.5 mg/mL (30.49 mM); Storage Store at -20°C
Water: < 0.1 mg/mL (insoluble)

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



Background

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 (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/ER. Endoxifen 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

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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 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 concentrations are needed when ER β is absent.[2]

[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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