

Product Data Sheet

Product Name: Endoxifen E-isomer hydrochloride

Cat. No.: GC35989

Chemical Properties

Cas. No. 1197194-61-8

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

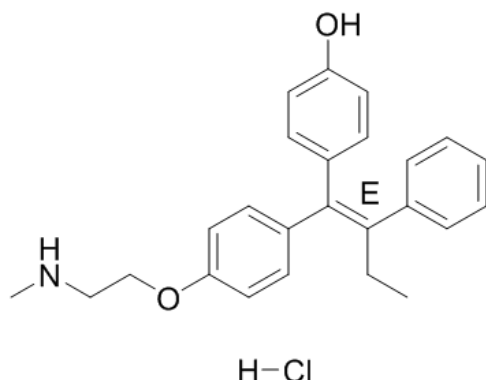
Formula $C_{25}H_{28}ClNO_2$ M.Wt 409.95

Solubility DMSO: ≥ 30 mg/mL (73.18 mM) Storage Store at $-20^{\circ}C$

General tips For obtaining a higher solubility, please warm the tube at $37^{\circ}C$ and shake it in the ultrasonic bath for a while. Stock solution can be stored below $-20^{\circ}C$ 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 (E-isomer hydrochloride) is a tamoxifen metabolite and potent Selective Estrogen Response Modifier (SERM). Target: 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 $ER\beta$ is expressed. Additionally, low concentrations of Endoxifen observed in Tamoxifen treated patients with deficient CYP2D6 activity (20 to 40 nM)

Caution: Product has not been fully validated for medical applications. For research use only.

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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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