

---

**Product Data Sheet**

---

Product Name: Endoxifen

Cat. No.: GC12140

**Chemical Properties**

Cas. No. 112093-28-4

Chemical Name (Z)-4-(1-(4-(2-(methylamino)ethoxy)phenyl)-2-phenylbut-1-en-1-yl)phenol

SMILES OC1=CC=C(C=C1)/C(C(C=C2)=CC=C2OCCNC)=C(C3=CC=CC=C3)\CCFormula C<sub>25</sub>H<sub>27</sub>NO<sub>2</sub>

M.Wt 373.49

Solubility ≥ 37.3mg/mL in DMSO

Storage Store at -20°C

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 **Protocol**

**Cell experiment:** MCF7 and Ishikawa cells are grown in 10% triple charcoal-stripped serum-containing medium for 3 d. The cells are then plated at a density of 2,000 cells per well in 96-well tissue culture plates and treated as indicated every 48 h. Cell proliferation assays are conducted 8 days after the first treatment using a CellTiter-Glo Luminescent Cell Viability kit[1].

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

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

---

## Product Data Sheet

---

### Animal experiment:

Mice[2] GLAST::CreERT2 mice are used. Tamoxifen is injected as active metabolite 4-hydroxytamoxifen (4-OH-TAM), or 4-hydroxy-N-desmethyltamoxifen (Endoxifen). 4-OH-TAM is dissolved at 1 mM concentration in 2% ethanol/PBS and 5  $\mu$ L injected into the ventricles. Endoxifen has not been used before for the induction of the ERT2 system. Endoxifen hydrochloride hydrate has a recommended solubility of over 10 mg/mL (25 mM) in 100% DMSO, corresponding to a maximum concentration of 25 mM or a dose of 125 nmol in a 5  $\mu$ L volume. At this concentration, a proportion of mice developed neurological signs suggestive of neurotoxicity. Subsequently, concentrations of 2.5, 5, 10, 12.5 and 25 mM, dissolved in 10, 20, 40, 50 and 100% DMSO, respectively, corresponding to a drug dose of 12.5, 25, 50, 62.5 and 125 nmol are used. For testing DMSO toxicity, groups of three mice are injected with 5  $\mu$ L PBS, 50% DMSO in PBS or 100% DMSO in PBS. Mice are culled 9 days after injection and coronally sectioned brains are stained for cleaved caspase 3, GFAP and Iba1.

### References:

[1]. Wu X, et al. The tamoxifen metabolite, Endoxifen, is a potent antiestrogen that targets estrogen receptor alpha for degradation in breast cancer cells. Cancer Res. 2009 Mar

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

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

---

**Product Data Sheet**

---

1;69(5):1722-  
7.  
[2].  
Benedykcinska  
A, et  
al.Generation  
of brain  
tumours in  
mice by Cre-  
mediated  
recombination  
of neural  
progenitors in  
situ with the  
tamoxifen  
metabolite  
Endoxifen. Dis  
Model Mech.  
2016  
Feb;9(2):211-  
20.

**Background**

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 $\alpha$ ). Endoxifen inhibits hERG tail currents at 50 mV in a concentration-dependent manner with IC50 values of 1.6  $\mu$ M. IC50 value: 1.6  $\mu$ M [1] Target: hERG Potassium Channel, Estrogen Receptor/ERREndoxifen 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 $\beta$  is expressed. Additionally, low concentrations of Endoxifen Z-isomer observed in Tamoxifen treated patients with deficient CYP2D6 activity (20 to 40 nM) markedly inhibit

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

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

---

## Product Data Sheet

---

estrogen-induced cell proliferation rates in the presence of ER $\beta$ , whereas much higher Endoxifen Z-isomer concentrations are needed when ER $\beta$  is absent.[2]

### References:

[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.

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

**Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com**

**Address: 10292 Central Ave. #205, Montclair, CA, USA**