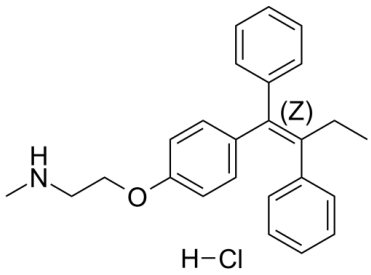
Data Sheet

Product Name:	N-Desmethyltamoxifen (hydrochloride)
Cat. No.:	CS-0112190
CAS No.:	15917-65-4
Molecular Formula:	$C_{25}H_{28}ClNO$
Molecular Weight:	393.95
Target:	Drug Metabolite; Endogenous Metabolite; Estrogen Receptor/ERR; PKC
Pathway:	Epigenetics; Metabolic Enzyme/Protease; Others; TGF-beta/Smad
Solubility:	DMSO : 62.5 mg/mL (158.65 mM; Need ultrasonic); H2O : 1 mg/mL (2.54 mM; ultrasonic and warming and heat to 60°C)



BIOLOGICAL ACTIVITY:

N-Desmethyltamoxifen hydrochloride is the major metabolite of tamoxifen in humans. N-Desmethyltamoxifen, a poor antiestrogen, is a ten-fold more potent **protein kinase C (PKC)** inhibitor than Tamoxifen. N-Desmethyltamoxifen hydrochloride is also a potent regulator of ceramide metabolism in human AML cells, limiting ceramide glycosylation, hydrolysis, and sphingosine phosphorylation[1][2][3]. **In Vitro:** N-desmethyltamoxifen hydrochloride (20-500 ng/ml; 48 hours) has a profound inhibitory effect upon all seven glioma lines (T98G, U87, U138, U373, ALW, AUK, CAS cells)[1].
N-desmethyltamoxifen hydrochloride (1.5-10 μM; 114 hours) inhibits growth of MCF 7 human mammary carcinoma cells[2].
N-desmethyltamoxifen hydrochloride, resulting from the CYP3A4/5-mediated catalysis of tamoxifen, is the major primary quantitative metabolite of tamoxifen[3].

References:

[1]. Vertosick FT Jr, et al. A comparison of the relative chemosensitivity of human gliomas to tamoxifen and n-desmethyltamoxifen in vitro. J Neurooncol. 1994;19(2):97-103.

[2]. Morad SA, et al. Modification of sphingolipid metabolism by tamoxifen and N-desmethyltamoxifen in acute myelogenous leukemia--Impact on enzyme activity and response to cytotoxics. Biochim Biophys Acta. 2015 Jul;1851(7):919-28.

[3]. Reddel RR,et al. N-desmethyltamoxifen inhibits growth of MCF 7 human mammary carcinoma cells in vitro. Eur J Cancer Clin Oncol. 1983 Aug;19(8):1179-81.

CAIndexNames:

Ethanamine, 2-[4-[(1Z)-1,2-diphenyl-1-buten-1-yl]phenoxy]-N-methyl-, hydrochloride (1:1)

SMILES:

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

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

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