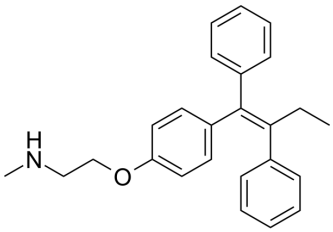
N-Desmethyltamoxifen

Cat. No.:	HY-129099
CAS No.:	31750-48-8
Molecular Formula:	$C_{25}H_{27}NO$
Molecular Weight:	357.5
Target:	PKC; Drug Metabolite; Estrogen Receptor/ERR; Endogenous Metabolite
Pathway:	Epigenetics; TGF-beta/Smad; Metabolic Enzyme/Protease; Vitamin D Related/Nuclear Receptor
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	N-Desmethyltamoxifen 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 is also a potent regulator of ceramide metabolism in human AML cells, limiting ceramide glycosylation, hydrolysis, and sphingosine phosphorylation[1][2][3].	
IC_{50} & Target	PKC	Estrogen Receptor

In Vitro

N-desmethyltamoxifen (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 (1.5-10 μM; 114 hours) inhibits growth of MCF 7 human mammary carcinoma cells[2].

N-desmethyltamoxifen, resulting from the CYP3A4/5-mediated catalysis of tamoxifen, is the major primary quantitative metabolite of tamoxifen[3].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[2]

Cell Line:	MCF 7 human mammary carcinoma cells
Concentration:	1.5, 2.5, 5, 7.5, 10 μM
Incubation Time:	114 hours
Result:	Inhibits growth of MCF 7 human mammary carcinoma cells

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.

[4]. Seong Hwan Kim,et al. Use of Antidepressants in Patients with Breast Cancer Taking Tamoxifen. J Breast Cancer. 2010 Dec;13(4):325-336.

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