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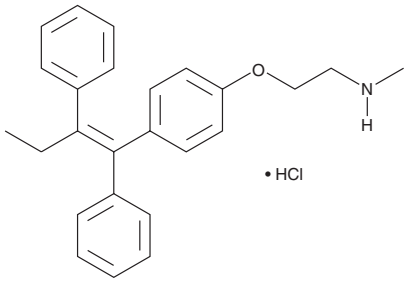
PRODUCT INFORMATION

N-Desmethyltamoxifen (hydrochloride)

Item No. 40673

CAS Registry No.:	15917-65-4
Formal Name:	2-[4-[(1Z)-1,2-diphenyl-1-buten-1-yl]phenoxy]-N-methyl-ethanamine, monohydrochloride
MF:	$C_{25}H_{27}NO \bullet HCl$
FW:	394.0
Purity:	≥95%
Supplied as:	A solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

N-Desmethyltamoxifen (hydrochloride) is supplied as a solid. A stock solution may be made by dissolving the N-desmethyltamoxifen (hydrochloride) in the solvent of choice, which should be purged with an inert gas. N-Desmethyltamoxifen (hydrochloride) is sparingly soluble (1-10 mg/ml) in DMSO and slightly soluble (0.1-1 mg/ml) in ethanol

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of N-desmethyltamoxifen (hydrochloride) can be prepared by directly dissolving the solid in aqueous buffers. N-Desmethyltamoxifen (hydrochloride) is slightly soluble (0.1-1 mg/ml) in PBS (pH 7.2). We do not recommend storing the aqueous solution for more than one day.

Description

N-Desmethyltamoxifen is an active metabolite of the estrogen receptor antagonist tamoxifen (Item Nos. 13258 | 11629).[1] It is formed from tamoxifen by the cytochrome P450 (CYP) isoforms CYP2D6, CYP2C19, CYP3A5, or CYP3A4. N-Desmethyltamoxifen is an inhibitor of PKC (IC_{50} = 8 µM for the rat enzyme).[2] It decreases iron (III) chloride and ascorbate-induced increases in thiobarbituric acid reactive substances (TBARS) in rat liver microsomes (IC_{50} = 17.5 µM).[3] N-Desmethyltamoxifen induces relaxation in isolated and washed rat aortic rings (pD_2 = 9), an effect that can be blocked with the selective estrogen receptor degrader ICI 182780 (fulvestrant; Item No. 10011269).[4]

References

1. Desta, Z., Ward, B.A., Soukhova, N.V., *et al.* Comprehensive evaluation of tamoxifen sequential biotransformation by the human cytochrome P450 system in vitro: Prominent roles for CYP3A and CYP2D6. *J. Pharmacol. Exp. Ther.* **310(3)**, 1062-1075 (2004).
2. O'Brian, C.A., Liskamp, R.M., Solomon, D.H., *et al.* Triphenylethylenes: A new class of protein kinase C inhibitors. *J. Natl. Cancer Inst.* **76(6)**, 1243-1246 (1986).
3. Wiseman, H., Laughton, M.J., Arnstein, H.R., *et al.* The antioxidant action of tamoxifen and its metabolites. Inhibition of lipid peroxidation. *FEBS Lett.* **263(2)**, 192-194 (1990).
4. Montenegro, M.F., Ceron, C.S., Salgado, M.C.O., *et al.* Tamoxifen and its metabolites cause acute vasorelaxation of aortic rings by inducing vasodilator prostanoid synthesis. *J. Cardiovasc. Pharmacol.* **58(6)**, 647-653 (2011).

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