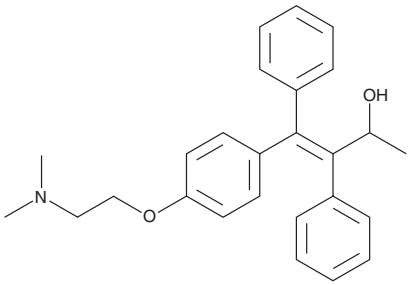
PRODUCT INFORMATION

α-hydroxy Tamoxifen

Item No. 17204

CAS Registry No.: 97151-02-5
Formal Name: (βE)-[[4-[2-(dimethylamino)ethoxy]phenyl] phenylmethylene]-α-methyl-benzeneethanol
MF: $C_{26}H_{29}NO_2$
FW: 387.5
Purity: ≥98%
UV/Vis.: λ_{max}: 237 nm
Supplied as: A crystalline 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

α-hydroxy Tamoxifen is supplied as a crystalline solid. A stock solution may be made by dissolving the α-hydroxy tamoxifen in the solvent of choice, which should be purged with an inert gas. α-hydroxy Tamoxifen is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of α-hydroxy tamoxifen in ethanol and DMF is approximately 20 mg/ml and approximately 2 mg/ml in DMSO.

α-hydroxy Tamoxifen is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, α-hydroxy tamoxifen should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. α-hydroxy Tamoxifen has a solubility of approximately 0.3 mg/ml in a 1:2 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

α-hydroxy Tamoxifen is a reactive metabolite of the estrogen receptor (ER) modulator tamoxifen (Item No. 13258) that is formed by the action of cytochrome P450 3A4 in human liver.[1,2] It can be further converted into genotoxic DNA adducts though a mechanism involving reversible *O*-sulfonation of the hydroxyl moiety, which in rats has been linked with hepatocarcinoma.[1,3-6]

References

1. Boocock, D.J., Maggs, J.L., White, I.N.H., *et al.* α-Hydroxytamoxifen, a genotoxic metabolite of tamoxifen in the rat: Identification and quantification *in vivo* and *in vitro*. *Carcinogenesis* **20(1)**, 153-160 (1999).
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3. White, I.N.H., Carthew, P., Davies, R., *et al.* Short-term dosing of α-hydroxytamoxifen results in DNA damage but does not lead to liver tumours in female Wistar/Han rats. *Carcinogenesis* **22(4)**, 553-557 (2001).
4. Yadollahi-Farsani, M., Davies, D.S., and Boobis, A.R. The mutational signature of α-hydroxytamoxifen at Hprt locus in Chinese hamster cells. *Carcinogenesis* **23(11)**, 1947-1952 (2002).
5. Shibutani, S., Dasaradhi, L., Terashima, I., *et al.* α-Hydroxytamoxifen is a substrate of hydroxysteroid (alcohol) sulfotransferase, resulting in tamoxifen DNA adducts. *Cancer Res.* **58(4)**, 647-653 (1998).
6. Kim, S.Y., Laxmi, Y.R.S., Suzuki, N., *et al.* Formation of tamoxifen-DNA adducts *via O*-sulfonation, not *O*-acetylation, of α-hydroxytamoxifen in rat and human livers. *Drug Metab. Dispos.* **33(11)**, 1673-1678 (2005).

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