PRODUCT INFORMATION



α-hydroxy Tamoxifen

Item No. 17204

CAS Registry No.: Formal Name:	97151-02-5 (βE)-[[4-[2-(dimethylamino)ethoxy]phenyl]	
	phenylmethylene]-a-methyl-benzeneethanol	
MF:	$C_{26}H_{29}NO_2$	↓ OH
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

a-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.

a-hydroxy Tamoxifen is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, a-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

a-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).
- 2. Mugundu, G.M., Sallans, L., Guo, Y., et al. Assessment of the impact of CYP3A polymorphisms on the formation of α -hydroxytamoxifen and N-desmethyltamoxifen in human liver microsomes. Drug Metab. Dispos. 40(2), 389-396 (2012).
- 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).
- Kim, S.Y., Laxmi, Y.R.S., Suzuki, N., et al. Formation of tamoxifen-DNA adducts via O-sulfonation, not 6. O-acetylation, of α -hydroxytamoxifen in rat and human livers. Drug Metab. Dispos. 33(11), 1673-1678 (2005).

WARNING THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFFTY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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