PRODUCT INFORMATION



2-Hydroxyestradiol

Item No. 13019

CAS Registry No.: 362-05-0

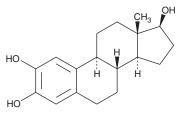
Formal Name: estra-1,3,5(10)-triene-2,3,17β-triol

Synonyms: 2-HE2, NSC 61711

MF: $C_{18}H_{24}O_{3}$ FW: 288.4 **Purity:** ≥95% λ_{max} : 289 nm A crystalline solid UV/Vis.: Supplied as:

-20°C Storage: Stability: ≥2 years

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



Laboratory Procedures

2-Hydroxyestradiol (2-HE2) is supplied as a crystalline solid. A stock solution may be made by dissolving the 2-HE2 in the solvent of choice, which should be purged with an inert gas. 2-HE2 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of 2-HE2 in ethanol and DMSO is approximately 25 mg/ml and approximately 20 mg/ml in DMF.

2-HE2 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, 2-HE2 should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. 2-HE2 has a solubility of approximately 0.25 mg/ml in a 1:3 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

2-HE2 is a cytochrome P450 metabolite of estradiol with low affinity for estrogen receptors. 2-HE is rapidly metabolized by catechol-O-methyltransferase (COMT) to form 2-methoxy estradiol (2-ME2) with a half-life of approximately ten minutes in rat. 2-ME2 has achieved considerable attention as an anti-cancer agent acting as an angiogenesis inhibitor via the HIF-1a pathway.²⁻⁴ Due to the rapid metabolism of 2-HE2, the effects attributed to 2-HE2, may actually be those of 2-ME2.¹

References

- 1. Zacharia, L.C., Piché, C.A., Fielding, R.M., et al. 2-hydroxyestradiol is a prodrug of 2-methoxyestradiol. J. Pharmacol. Exp. Ther. 309(3), 1093-1097 (2004).
- 2. Mooberry, S.L. New insights into 2-methoxyestradiol, a promising antiangiogenic and antitumor agent. Curr. Opin. Oncol. 15(6), 425-430 (2003).
- Mooberry, S.L. Mechanism of action of 2-methoxyestradiol: New developments. Drug Resist. Updat. 6(6), 355-361 (2003).
- 4. Becker, C.M., Rohwer, N., Funakoshi, T., et al. 2-methoxyestradiol inhibits hypoxia-inducible factor-1α and suppressess growth of lesions in a mouse model of endometriosis. Am. J. Pathol. 172(2), 534-544 (2008).

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

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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