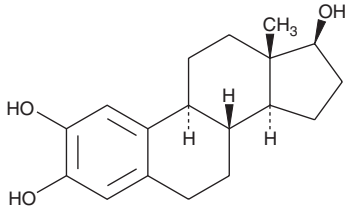
PRODUCT INFORMATION

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%
UV/Vis.: λ_{max}: 289 nm
Supplied as: A crystalline solid
Storage: -20°C
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.[1] 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).
3. 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).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM