

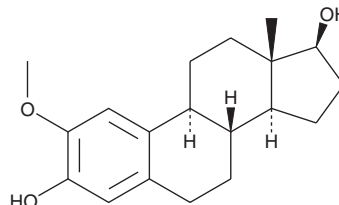
PRODUCT INFORMATION



2-Methoxyestradiol

Item No. 13021

CAS Registry No.: 362-07-2
Formal Name: 2-methoxy-estra-1,3,5(10)-triene-3,17 β -diol
Synonyms: 2-Hydroxyestradiol 2-methyl ether, 2-ME2, NSC 659853, Panzem
MF: C₁₉H₂₆O₃
FW: 302.4
Purity: \geq 95%
UV/Vis.: λ_{max} : 209, 287 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: \geq 2 years



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

Laboratory Procedures

2-Methoxyestradiol (2-ME2) is supplied as a crystalline solid. A stock solution may be made by dissolving the 2-ME2 in the solvent of choice. 2-ME2 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of 2-ME2 in these solvents is approximately 1, 20, and 30 mg/ml, respectively.

2-ME2 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, 2-ME2 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. 2-ME2 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

2-ME2 is a natural metabolite formed by CYP450-mediated hydroxylation followed by catechol-O-methyltransferase (COMT) methylation of estradiol. Administration of 2-hydroxy estradiol (2-HE2) to rats results in formation and clearance of 2-ME2 with $t_{1/2}$ values of 7.9 and 24.9 minutes, respectively.¹ 2-ME2 exhibits no affinity for estrogen receptors. 2-ME2 has achieved considerable attention as an anti-cancer agent acting as an angiogenesis inhibitor via the HIF-1 α pathway.²⁻⁴ The levels of COMT and 2-ME2 are significantly lower in women with severe pre-eclampsia.⁵

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-1 α and suppresses growth of lesions in a mouse model of endometriosis. *Am. J. Pathol.* **172(2)**, 534-544 (2008).
5. Kanasaki, K., Palmsten, K., Sugimoto, H., *et al.* Deficiency in catechol-O-methyltransferase and 2-methoxyestradiol is associated with pre-eclampsia. *Nature* **453(7198)**, 1117-1123 (2008).

WARNING

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

SAFETY 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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