

Open Peer Review on Qeios

## 2-Hydroxyestradiol

National Cancer Institute

## Source

National Cancer Institute. <u>2-Hydroxyestradiol</u>. NCI Thesaurus. Code C120474.

A metabolite formed during the metabolism of 17beta-estradiol by hydroxylation of the carbon at position 2 by the CYP450 enzymes 1A1/1A2. Theoretically, 2-hydroxyestradiol (2-OHE2) is able to undergo redox cycling, which generates active radicals and induces DNA damage; however, this estradiol metabolite is very unstable in vivo and is quickly inactivated by catechol-O-methyltransferase (COMT)-mediated O-methylation and converted to 2-methoxyestradiol (2-MeE2). 2-MeE2 exerts antineoplastic activities through its estrogen receptor antagonistic effect and the induction of apoptosis in susceptible cancer cells.

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