Estradiol

Kinase Inhibitor

E1KS1709

Kinase Inhibitor Name: Estradiol

Catalog Number: E1KS1709

Quantity: 100 mg

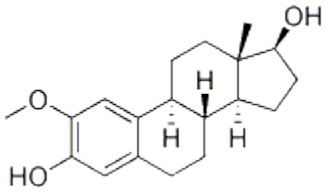
M.Wt: 302.41

Formula: $C_{19}H_{26}O_3$

Solubility: DMSO ≥61 mg/mL Water <1 mg/mL Ethanol ≥9 mg/mL

Stability:

2 years	-20℃	Powder
1 week	-4℃	in DMSO
1 month	-80℃	in DMSO



CAS No.: 362-07-2

Biological Activity

2-methoxyestradiol is a natural metabolite of estrogen that is known to inhibit HIF-1 alpha with an IC50 of 0.71 ± 0.11 μM for the inhibition of BPAEC migration.2-Methoxyestradiol is an endogenous metabolite of estradiol-17β and the oral contraceptive agent 17-ethylestradiol2-Methoxyestradiol targets on both the tumor cell and endothelial cell compartments by inducing apoptosis in rapidly proliferating cells and inhibiting blood vessel formation at several stages in the angiogenic cascade. Moreover, the ability of 2-Methoxyestradiol to inhibit metastatic spread in several models adds to its therapeutic value for cancer treatment at various stages of the disease. [1]New preclinical data show that 2-Methoxyestradiol has a broader spectrum of antitumor activities than first anticipated and suggest that 2-Methoxyestradiol may have utility in treating multiple myeloma, sarcoma, and other solid tumors. The mechanisms of action of 2-Methoxyestradiol are complex and still unclear. Recent mechanistic studies indicate that the pleiotropic activities of 2-Methoxyestradiol are not mediated through alpha and beta estrogen receptors. 2-Methoxyestradiol's actions are mediated through inhibition of the proangiogenic transcription factor hypoxia-inducible factor 1 alpha, c-Jun NH2-terminal kinase signaling, and the generation of reactive oxygen species. Both the intrinsic and extrinsic apoptotic pathways are initiated by 2-Methoxyestradiol. Although the relative roles of each pathway vary with specific cell types, this may help explain 2-Methoxyestradiol's wide spectrum of activity. [2]

References

2-Methoxyestradiol: An endogenous antiangiogenic and antiproliferative drug candidate Victor S. Pribluda, Edward R. Gubish, et al. Cancer and Metastasis Reviews 2000;19:173–179

New insights into 2-methoxyestradiol, a promising antiangiogenic and antitumor agent. Mooberry SL. Curr Opin Oncol. 2003 Nov;15(6):425-30

The pharmacological and toxicological properties of this product have not been fully investigated. Exercise caution in use and handling. This product must not be used in humans.

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