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Diagnostik & molekulare Diagnostik



Laborgeräte & Service

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- Trockeneiszuschlag
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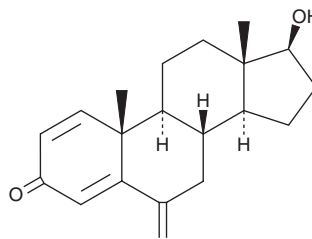
PRODUCT INFORMATION



17 β -hydroxy Exemestane

Item No. 27989

CAS Registry No.: 122370-91-6
Formal Name: 17 β -hydroxy-6-methylene-
androsta-1,4-dien-3-one
MF: C₂₀H₂₆O₂
FW: 298.4
Purity: \geq 98%
Supplied as: A 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

17 β -hydroxy Exemestane is supplied as a solid. A stock solution may be made by dissolving the 17 β -hydroxy exemestane in the solvent of choice, which should be purged with an inert gas. 17 β -hydroxy Exemestane is slightly soluble in chloroform (warmed) and methanol (warmed).

Description

17 β -hydroxy Exemestane is the primary active metabolite of exemestane (Item No. 15008).¹ It is formed by metabolism of exemestane by the cytochrome P450 (CYP) isoforms CYP1A and CYP4A11.² 17 β -hydroxy Exemestane is an aromatase inhibitor (IC₅₀ = 69 nM using human placental microsomes) and an androgen receptor (AR) agonist (IC₅₀ = 39.6 nM) that is selective for AR over estrogen receptor α (ER α ; IC₅₀ = 21.2 μ M).^{3,4} It stimulates growth of AR- and ER α -positive MCF-7 (EC₅₀ = 2.7 μ M) and T47D breast cancer cells (EC₅₀s = 0.43 and 1,500 nM for AR- and ER-mediated growth, respectively) and inhibits proliferation of testosterone-treated aromatase-overexpressing MCF-7aro cells in a concentration-dependent manner.^{4,5} 17 β -hydroxy Exemestane (20 mg/kg) inhibits increases in serum cholesterol and LDL levels and prevents decreases in bone mineral density in the lumbar vertebrae and femur, as well as femoral bending strength and compressive strength of the fifth lumbar vertebrae, in ovariectomized rats.¹

References

1. Goss, P.E., Qi, S., Cheung, A.M., *et al.* Effects of the steroidal aromatase inhibitor exemestane and the nonsteroidal aromatase inhibitor letrozole on bone and lipid metabolism in ovariectomized rats. *Clin. Cancer Res.* **10(17)**, 5717-5723 (2004).
2. Kamdem, L.K., Flockhart, D.A., and Desta, Z. In vitro cytochrome P450-mediated metabolism of exemestane. *Drug Metab. Dispos.* **39(1)**, 98-105 (2011).
3. Buzzetti, F., Di Salle, E., Longo, A., *et al.* Synthesis and aromatase inhibition by potential metabolites of exemestane (6-methylenandrosta-1,4-diene-3,17-dione). *Steroids* **58(11)**, 527-532 (1993).
4. Ariazi, E.A., Leitão, A., Oprea, T.I., *et al.* Exemestane's 17-hydroxylated metabolite exerts biological effects as an androgen. *Mol. Cancer Ther.* **6(11)**, 2817-2827 (2007).
5. Varela, C.L., Amaral, C., Tavares da Silva, E., *et al.* Exemestane metabolites: Synthesis, stereochemical elucidation, biochemical activity and anti-proliferative effects in a hormone-dependent breast cancer cell line. *Eur. J. Med. Chem.* **87**, 336-345 (2014).

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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