

PRODUCT INFORMATION



17 β -hydroxy Exemestane-d₃

Item No. 25756

Formal Name: (8R,9S,10R,13S,14S,17S)-17-hydroxy-10,13-dimethyl-6-methylene-6,7,8,9,10,11,12,13,14,15,16,17-dodecahydro-3H-cyclopenta[a]phenanthren-3-one-16,16,17-d₃

MF: C₂₀H₂₃D₃O₂

FW: 301.4

Chemical Purity: $\geq 95\%$ (17 β -hydroxy Exemestane)

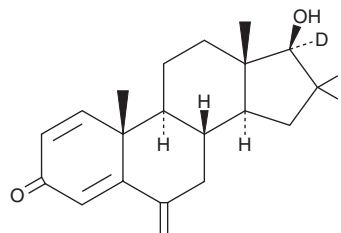
Deuterium

Incorporation: $\geq 99\%$ deuterated forms (d₁-d₃); $\leq 1\%$ d₀

Supplied as: A solid

Storage: -20°C

Stability: ≥ 4 years



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

Laboratory Procedures

17 β -hydroxy Exemestane-d₃ is intended for use as an internal standard for the quantification of 17 β -hydroxy exemestane and as a surrogate internal standard for related steroids such as exemestane (Item No. 15008) by GC- or LC-MS. The accuracy of the sample weight in this vial is between 5% over and 2% under the amount shown on the vial. If better precision is required, the deuterated standard should be quantitated against a more precisely weighed unlabeled standard by constructing a standard curve of peak intensity ratios (deuterated *versus* unlabeled).

17 β -hydroxy Exemestane-d₃ is supplied as a solid. A stock solution may be made by dissolving the 17 β -hydroxy exemestane-d₃ in the solvent of choice. 17 β -hydroxy Exemestane-d₃ is soluble in organic solvents such as chloroform and methanol, which should be purged with an inert gas.

Description

17 β -hydroxy Exemestane is the primary active metabolite of exemestane.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.* *Clin. Cancer Res.* **10**(17), 5717-5723 (2004).
2. Kamdem, L.K., Flockhart, D.A., and Desta, Z. *Drug Metab. Dispos.* **39**(1), 98-105 (2011).
3. Buzzetti, F., Di Salle, E., Longo, A., *et al.* *Steroids* **58**(11), 527-532 (1993).
4. Ariazi, E.A., Leitão, A., Oprea, T.I., *et al.* *Mol. Cancer Ther.* **6**(11), 2817-2827 (2007).
5. Varela, C.L., Amaral, C., Tavares da Silva, E., *et al.* *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.

WARRANTY AND LIMITATION OF REMEDY

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