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- Laborgeräte & Service

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siehe unsere Liefer- und Versandbedingungen

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- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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PRODUCT INFORMATION

17β-hydroxy Exemestane-d_3

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-d_3

MF: $C_{20}H_{23}D_3O_2$

FW: 301.4

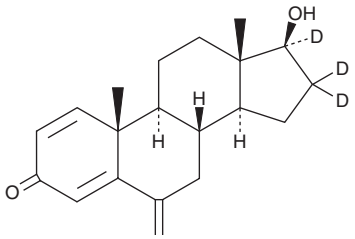
Chemical Purity: ≥95% (17β-hydroxy Exemestane)

Deuterium Incorporation: ≥99% deuterated forms (d_1-d_3); ≤1% d_0

Supplied as: A solid

Storage: -20°C

Stability: ≥2 years



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

Laboratory Procedures

17β-hydroxy Exemestane-d_3 is intended for use as an internal standard for the quantification of 17β-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).

17β-hydroxy Exemestane-d_3 is supplied as a solid. A stock solution may be made by dissolving the 17β-hydroxy exemestane-d_3 in the solvent of choice. 17β-hydroxy Exemestane-d_3 is soluble in organic solvents such as chloroform and methanol, which should be purged with an inert gas.

Description

17β-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] 17β-hydroxy Exemestane is an aromatase inhibitor (IC_{50} = 69 nM using human placental microsomes) and an androgen receptor (AR) agonist (IC_{50} = 39.6 nM) that is selective for AR over estrogen receptor α (ERα; IC_{50} = 21.2 µM).[3,4] It stimulates growth of AR- and ERα-positive MCF-7 (EC_{50} = 2.7 µM) and T47D breast cancer cells (EC_{50}s = 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] 17β-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

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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).

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