

Product Data Sheet

Product Name: Exemestane

Cat. No.: GC11813

Chemical Properties

Cas. No. 107868-30-4

Chemical Name (8R,9S,10R,13S,14S)-10,13-dimethyl-6-methylidene-7,8,9,11,12,14,15,16-octahydrocyclopenta[a]phenanthrene-3,17-dione

SMILES CC12CCC3C(C1CCC2=O)CC(=C)C4=CC(=O)C=CC34C

Formula $C_{20}H_{24}O_2$ M.Wt 296.4

Solubility $\geq 14.82\text{mg/mL}$ in DMSO Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice All other available size: ship with RT, or blue ice upon request.

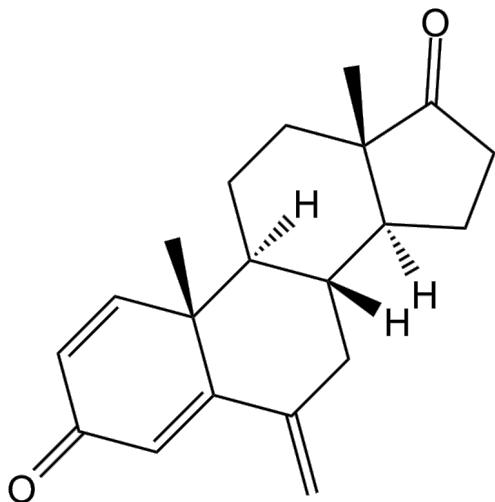
Caution: Product has not been fully validated for medical applications. For research use only.

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Structure



Background

Exemestane is a novel selective and irreversible aromatase inhibitor with an IC₅₀ value of 27 nM. The human placental aromatase was proved to be inhibited by exemestane with K_i of 26 nM and t_{1/2} of 13.9 min.[1]

Aromatase is a cytochrome P450 enzyme, catalyzing the transformation from androgens to estrogen. [2] Structurally similar to androstenedione, exemestane might have a big impact on androgenic effect. Exemestane can irreversibly inactivate aromatase by interacting with the substrate binding site on the peptide moiety of the enzyme. After binding to the active site, it will be converted to an intermediate that covalently binds to the aromatase binding site, rendering the enzyme inactive. Exemestane has been proved to inhibit aromatase activity in human placental microsomes in-vitro, besides, it can inhibit aromatase activity in the cultured tissue fibroblasts and breast cancer specimens as well. On

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the other hand, exemestane has been suggested to affect the blood levels and urinary estrogens in-vivo.[3]

References:

- [1] Franco Buzzetti, Enrico Di Salle, Antonio Longo, Gabriella Briatico. Synthesis and aromatase inhibition by potential metabolites of exemestane (6-methylenandrosta-1,4-diene-3,17-dione). Steroids. November 1993. 58(11): 527-532.
- [2] Gustavo de Albuquerque Cavalcanti, Bruno Carius Garrido, Felipe Dias Leal, Monica Costa Padilha, Xavier de la Torre, Francisco Radler de Aquino Neto. Detection of new urinary exemestane metabolites by gas chromatography coupled to mass spectrometry. Steroids. September–October 2011. 76(10-11): 1010-1015.
- [3] Stephanie A. Jones, Stephen E. Jones. Exemestane: A Novel Aromatase Inactivator for Breast Cancer. Clinical Breast Cancer. October 2000. 1(3): 211-216.

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