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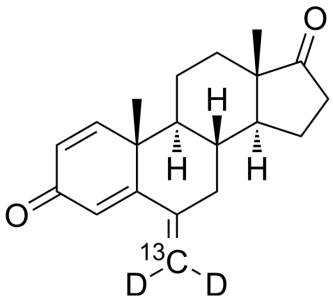
Exemestane-$^{13}C,d_2$

Cat. No.:	HY-13632S5
Molecular Formula:	$C_{19}{}^{13}CH_{22}D_2O_2$
Molecular Weight:	299.41
Target:	Cytochrome P450; Isotope-Labeled Compounds
Pathway:	Metabolic Enzyme/Protease; Others
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Exemestane-$^{13}C,d_2$ is ^{13}C and deuterated labeled Exemestane (HY-13632). Exemestane (FCE 24304) is a selective, irreversible and orally active steroidal aromatase inhibitor with IC_{50}s of 30 nM and 40 nM for human placental and rat ovarian aromatase , respectively. Exemestane can be used for hormone-dependent breast cancer research[1][2].
In Vitro	Stable heavy isotopes of hydrogen, carbon, and other elements have been incorporated into drug molecules, largely as tracers for quantitation during the drug development process. Deuteration has gained attention because of its potential to affect the pharmacokinetic and metabolic profiles of drugs[1]. Exemestane (EXE; 1-1000 nM; 72 hours; hFOB, Saos-2 cells<) treatment significantly increases the number of the cells[3]. ?Exemestane (72 hours) increases alkaline phosphatase activity in hFOB and Saos-2 cells and induces the expression of MYBL2, OSTM1, HOXD11, ADCYAP1R1, and glypican 2 in hFOB cells[3]. ?Exemestane competitively inhibits and time-dependently inactivates of human placental aromatase with K_i of 4.3 nM. Exemestane displaces [^{3}H]5α-dihydrotestosterone from rat prostate androgen receptor with IC_{50} of 0.9 μM[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Exemestane (EXE; 20-100 mg/kg; intramuscular injection; once weekly; for 16 weeks) treatment significantly increases the lumbar vertebral and femoral BMD, bending strength of the femur, compressive strength of the fifth lumbar vertebra, and trabecular bone volume. Exemestane significantly reduces an ovariectomy-induced increase in serum pyridinoline and serum osteocalcin. Exemestane causes significant reductions of serum cholesterol and low-density lipoprotein cholesterol [4]. ?Exemestane (20 mg/kg/day s.c.) induces 26% complete (CR) and 18% partial (PR) tumor regressions in rats with 7,12-dimethylbenzanthracene (DMBA)-induced mammary tumors[5]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

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[3]. Goss, P.E., 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, 2004. 10(17): p. 5717-23.

[4]. Zaccheo, T., D. Giudici, and E. Di Salle, Inhibitory effect of combined treatment with the aromatase inhibitor exemestane and tamoxifen on DMBA-induced mammary tumors in rats. J Steroid Biochem Mol Biol, 1993. 44(4-6): p. 677-80.

[5]. Russak EM, et al. Impact of Deuterium Substitution on the Pharmacokinetics of Pharmaceuticals. Ann Pharmacother. 2019 Feb;53(2):211-216.

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