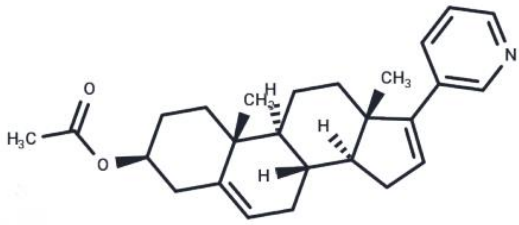
Abiraterone Acetate

#Cat: NB-64-32634-1ml **Size: 1 mL**
#Cat: NB-64-32634-5mg **Size: 5 mg**
#Cat: NB-64-32634-10mg **Size: 10 mg**
#Cat: NB-64-32634-50mg **Size: 50 mg**
#Cat: NB-64-32634-100mg **Size: 100 mg**
#Cat: NB-64-32634-500mg **Size: 500 mg**

Chemical Properties:



CAS No: 154229-18-2
Formula: $C_{26}H_{33}NO_2$
Molecular Weight: 391.55
Appearance: no data available
Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	Abiraterone Acetate (CB7630) is an androstene derivative that inhibits STEROID 17-ALPHA-HYDROXYLASE and is used as an ANTINEOPLASTIC AGENT in the treatment of metastatic castration-resistant PROSTATE CANCER.
Targets (IC50)	Cytochromes P450
In vitro	In rodent models, intraperitoneal administration of Abiraterone rapidly undergoes deacetylation. Administered as its acetate prodrug (CB7630), it suppresses circulating testosterone to undetectable levels and significantly reduces the weight of androgensensitive organs. Abiraterone is well-tolerated, with an average elimination half-life of 27.6 hours, permitting once-daily dosing. Preclinical studies using Abiraterone demonstrate a reduction in CYP17 downstream androgen production, leading to a decrease in the weight of the mouse ventral prostate, testes, and seminal vesicles.
In vivo	Abiraterone inhibits the in vitro proliferation and androgen receptor (AR)-regulated gene expression of AR-positive prostate cancer cells, potentially due to its AR antagonistic effects beyond steroidogenesis inhibition. It blocks the enzyme 3βhydroxysteroid dehydrogenase (3βHSD), essential for synthesizing bioactive androgens, thereby inhibiting DHT synthesis and androgen receptor responses. Abiraterone uniquely demonstrates a favorable complex formation with heme iron only in SM1. It inhibits CYP17A1, blocking androgen synthesis, and prevents the conversion of DHEA to Δ4-androstenedione and Δ5-androstenediol to testosterone. In rat testicular microsomes, abiraterone inhibits C17,20-lyase with an IC50 of 5.8 nM, significantly reducing testosterone secretion by 48% and correspondingly increasing LH concentration by 192%.

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Kinase Assay	C17,20-lyase activity assay: Microsomes are diluted to a final protein concentration of 50 µg/mL in the reaction mixture which contains 0.25 M sucrose, 20 mM Tris-HCl (pH 7.4), 10 mM G6P and 1.2 IU/mL G6PDH. After equilibration at 37 °C for 10 minutes, the reaction is initiated by addition of βNADP to obtain a final concentration of 0.6 mM. Prior to the distribution of 600 µL of the reaction mixture in each tube, test compounds are evaporated to dryness under a stream of nitrogen and then are incubated at 37 °C for 10 minutes. After incubation with Abiraterone, 500 µL of the reaction mixture is transferred to tubes containing 1 µM of the enzyme substrate, 17OHP. After a further 10 minutes incubation, tubes are placed on ice and the reaction is stopped by addition of 0.1 ml NaOH 1N. Tubes are deep-frozen and stored at -20 °C until assayed for Δ4A levels. A Δ4A RIA is developed and automated on a microplate format in our laboratory using a specific antibody against Δ4A and instructions provided by Biogenesis. The separation of free and bound antigen is achieved with a dextran-coated charcoal suspension. After centrifugation, aliquots of the clear supernatant are counted in duplicates in a liquid scintillation counter. The Δ4A concentrations of unknown samples are determined from the standard curve. The detection limit is 0.5 ng/mL and the within and between assay coefficients of variation are 10.7 and 17.6%, respectively at an assay value of 13 ng/mL. The rate of enzymatic reaction is expressed as pmol of Δ4A formed per 10 minutes and per mg of protein. The value of maximum activity without inhibitor (control) is set at 100%. The IC50 values are calculated using non-linear analysis from the plot of enzyme activity (%) against log of inhibitor concentration.
Cell Research	LNCaP and VCaP cells are seeded in 96-well plates and grown in CSS-supplemented phenol red-free or FBS-supplemented media for 7 days. Cells are treated with Abiraterone at 24 hours and 96 hours after plating and cell viability is determined on day 7 by adding CellTiter Glo and measuring luminescence. (Only for Reference)

Solubility Information:

Solubility	DMSO: 3.92 mg/mL (10 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.554 mL	12.7698 mL	25.5395 mL
5 mM	0.5108 mL	2.554 mL	5.1079 mL
10 mM	0.2554 mL	1.277 mL	2.554 mL
50 mM	0.0511 mL	0.2554 mL	0.5108 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

Pinto-Bazurco Mendieta MA, et al. J Med Chem. 2008, 51(16), 52009-52018.
Rice M A, Kumar V, Tailor D, et al. SU086, an inhibitor of HSP90, impairs glycolysis and represents a treatment strategy for advanced prostate cancer. Cell Reports Medicine. 2022: 100502.
Zhang X, Cheng L, Gao C, et al.Androgen Signaling Contributes to Sex Differences in Cancer by Inhibiting NF-κB Activation in T Cells and Suppressing Anti-Tumor Immunity.Cancer Research.2023
Li R, et al. Clin Cancer Res. 2012, 18(13), 3571-3579.
Duc I, et al. J Steroid Biochem Mol Biol. 2003, 84(5), 537-542.
Richards J, et al. Cancer Res. 2012, 72(9), 2176-2182.