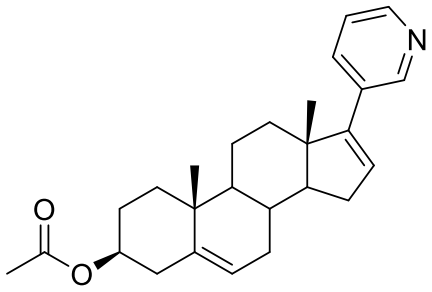
Product data sheet

MedKoo Cat#: 200030 Name: Abiraterone Acetate CAS#: 154229-18-2 (Abiraterone Acetate) Chemical Formula: $C_{26}H_{33}NO_2$ Exact Mass: 391.25113 Molecular Weight: 391.54	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:
Abiraterone acetate is an FDA approved drug, and is an orally active acetate ester of the steroidal compound abiraterone with antiandrogen activity. Abiraterone acetate was approved by the U.S. Food and Drug Administration (FDA) in April 2011. Abiraterone inhibits the enzymatic activity of steroid 17alpha-monooxygenase (17alpha-hydrolase/C17,20 lyase complex), a member of the cytochrome p450 family that catalyzes the 17alpha-hydroxylation of steroid intermediates involved in testosterone synthesis. Administration of this agent may suppress testosterone production by both the testes and the adrenals to castrate-range levels. FDA Approval this drug in May 2011.

2. CoA, QC data, SDS, and handling instruction
SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	14	35.76
Ethanol	26	66.40

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.55 mL	12.77 mL	25.54 mL
5 mM	0.51 mL	2.55 mL	5.11 mL
10 mM	0.26 mL	1.28 mL	2.55 mL
50 mM	0.05 mL	0.26 mL	0.51 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator
Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study
In vitro study

1. Grellety T, Callens C, Richard E, Briaux A, Vélasco V, Pulido M, Gonçalves A, Gestraud P, MacGrogan G, Bonnefoi H, Cardinaud B. Enhancing Abiraterone Acetate Efficacy in Androgen Receptor-positive Triple-negative Breast Cancer: Chk1 as a Potential Target. Clin Cancer Res. 2019 Jan 15;25(2):856-867. doi: 10.1158/1078-0432.CCR-18-1469. Epub 2018 Oct 23. PMID: 30352905.

2. Duc I, Bonnet P, Duranti V, Cardinali S, Rivière A, De Giovanni A, Shields-Botella J, Barcelo G, Adje N, Carniato D, Lafay J, Pascal JC, Delansorne R. In vitro and in vivo models for the evaluation of potent inhibitors of male rat 17alpha-hydroxylase/C17,20-lyase. J Steroid Biochem Mol Biol. 2003 Apr;84(5):537-42. doi: 10.1016/s0960-0760(03)00078-5. PMID: 12767278.

In vivo study

1. Li R, Evaul K, Sharma KK, Chang KH, Yoshimoto J, Liu J, Auchus RJ, Sharifi N. Abiraterone inhibits 3β-hydroxysteroid dehydrogenase: a rationale for increasing drug exposure in castration-resistant prostate cancer. Clin Cancer Res. 2012 Jul 1;18(13):3571-9. doi: 10.1158/1078-0432.CCR-12-0908. PMID: 22753664.

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2. Duc I, Bonnet P, Duranti V, Cardinali S, Rivière A, De Giovanni A, Shields-Botella J, Barcelo G, Adje N, Carniato D, Lafay J, Pascal JC, Delansorne R. In vitro and in vivo models for the evaluation of potent inhibitors of male rat 17alpha-hydroxylase/C17,20-lyase. J Steroid Biochem Mol Biol. 2003 Apr;84(5):537-42. doi: 10.1016/s0960-0760(03)00078-5. PMID: 12767278.

7. Bioactivity

Biological target:

Abiraterone acetate is an oral, potent, selective, and irreversible inhibitor of CYP17A1 with antiandrogen activity

In vitro activity

Abiraterone acetate inhibits recombinant 3βHSD activity in vitro and endogenous 3βHSD activity in LNCaP and LAPC4 cells, including conversion of [(3)H]-dehydroepiandrosterone (DHEA) to Δ(4)-androstenedione, androgen receptor nuclear translocation, expression of androgen receptor-responsive genes. Abiraterone acetate inhibits 3βHSD1 and 3βHSD2 enzymatic activity in vitro; blocks conversion from DHEA to androstenedione and DHT with an IC(50) value of less than 1 μmol/L in CRPC cell lines; inhibits androgen receptor nuclear translocation; expression of TMPRSS2, prostate-specific antigen, and FKBP5.

Reference: Clin Cancer Res. 2012 Jul 1;18(13):3571-9. https://clincancerres.aacrjournals.org/content/18/13/3571.long

In vivo activity

To mimic DHEA production in the human to test the effect of abi on 3βHSD in CRPC, LAPC4 xenografts were developed in orchiectomized mice that were implanted with 90-day sustained release DHEA pellets. Tumors reaching the threshold volume of 300 mm3 were randomly assigned to vehicle or Abiraterone acetate cohorts, and tumor volume relative to pretreatment values was monitored over 4 weeks of treatment. The 0.5 mmol/kg/d Abiraterone acetate treatment dose was previously shown to yield serum concentrations of about 0.5 to 1 μmol/L (26). Xenograft tumor growth in the control group was widely variable, with some tumors growing slowly and only a subset of tumors exhibiting robust growth. As shown in Fig. 5, treatment with Abiraterone acetate significantly inhibited CRPC progression in the robustly growing subset, effectively putting a ceiling on tumor growth over 4 weeks of treatment ($P < 0.00001$).

Reference: Clin Cancer Res. 2012 Jul 1;18(13):3571-9. https://clincancerres.aacrjournals.org/content/18/13/3571.long

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.