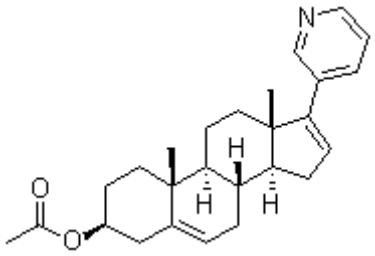
Product Introduction

Abiraterone Acetate

Abiraterone Acetate is an acetate salt form of Abiraterone which is a steroidal cytochrome CYP17 **inhibitor with** IC50 **of 72 nM**

Technical Data:

Molecular Weight (MW):	391.55	
Formula:	$C_{26}H_{33}NO_2$	
Solubility (25 ℃) * <1 mg/ml means slightly soluble or insoluble:	DMSO <1 mg/mL	
	Water <1 mg/mL	
	Ethanol 28 mg/mL	
Purity:	>98%	
Storage:	3 years -20℃Powder	
	6 months-80℃in DMSO	
CAS No.:	154229-18-2	

Biological Activity

Abiraterone shows a good complexation with the heme iron only in SM1. [1] Abiraterone blocks the synthesis of androgens by inhibiting CYP17A1. Abiraterone also blocks 3β-hydroxysteroid dehydrogenase (3βHSD), an enzyme that is absolutely required for the synthesis of biologically active androgens. Abiraterone inhibits conversion of DHEA to Δ^4-androstenedione. Abiraterone inhibition of 3βHSD blocks DHT synthesis and the androgen receptor response. Abiraterone inhibits the conversion of Δ^5-androstenediol to testosterone. [2]Abiraterone inhibits $C_{17,20}$-lyase, with an IC50 of 5.8 nM, in rat testis microsomes. Abiraterone significantly inhibits testosterone secretion (−48%) and in turn increases LH

concentration (192%). [3] Abiraterone inhibits in vitro proliferation and AR-regulated gene expression of AR-positive prostate cancer cells, which could be explained by AR antagonism in addition to inhibition of steroidogenesis. [4]

At doses of 100 mg/kg, Erlotinib HCl completely prevents EGF-induced autophosphorylation of EGFR in human HN5 tumors growing as xenografts in athymic mice and of the hepatic EGFR of the treated mice.[2] Erlotinib HCl (100 mg/Kg) inhibits H460a and A549 tumor models with 71 and 93% inhibition rate.[6]

Abiraterone is a drug used in castration-resistant prostate cancer.

References

[1] Pinto-Bazurco Mendieta MA, et al. J Med Chem. 2008, 51(16), 5009-5018.

[2] Li R, et al. Clin Cancer Res. 2012, 18(13), 3571-3579.

[3] Duc I, et al. J Steroid Biochem Mol Biol. 2003, 84(5), 537-542.

[4] Richards J, et al. Cancer Res. 2012, 72(9), 2176-2182.

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