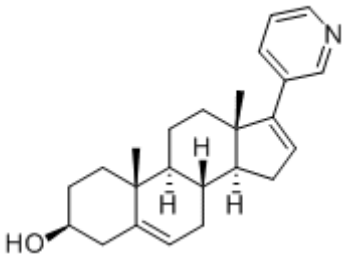
Product Introduction

Abiraterone

biraterone is a potent **CYP17** inhibitor with **IC50** of 2 nM.

Technical Data:

Molecular Weight (MW):	349.51	
Formula:	$C_{24}H_{31}NO$	
Solubility (25℃) * <1 mg/ml means slightly soluble or insoluble:	DMSO 0.1 mg/mL	
	water 0.02 mg/mL	
	Ethanol 0.2 mg/mL	
Purity:	>98%	
Storage:	3 years -20℃ Powder	
	6 months-80℃ in DMSO	
CAS No.:	874902-19-9	

Biological Activity

Abiraterone binds and inhibits wild-type and mutant androgen receptor (AR). Abiraterone inhibits in vitro proliferation and androgen receptor-regulated gene expression of androgen receptor-positive prostate cancer cells, which could be explained by androgen receptor antagonism in addition to inhibition of steroidogenesis. In fact, activation of mutant androgen receptor by eplerenone is inhibited by greater concentrations of Abiraterone. Abiraterone displaces ligand from both WT-AR and T877A with EC50 of 13.4 μM and 7.9 μM, respectively. [2]Abiraterone inhibits lyase activity with an IC50 of 5.8 nM in rat testis microsomes. Abiraterone acetate significantly inhibits T secretion (−48%) and in turn increased LH concentration (192%).[3]

Abiraterone inhibits CYP17 with an IC50 of 72 nM, in human testicular microsomes. [4] Abiraterone fails to significantly reduce the size of any of the organs. [5] Abiraterone reduces the testosterone levels strongly,

almost reaching the level of the orchiectomy control. The testosterone levels are reduced by Abiraterone for more than 95% compared to the control group. [6]
Approved for the treatment of docetaxel-treated castration-resistant prostate cancer.

References

[1] Attard G, et al. J Clin Oncol. 2008, 26(28), 4563-4571.

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

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

[4] Hu Q, et al. J Med Chem. 2010, 53(15), 5749-5758.

[5] Bruno RD, et al. Steroids. 2011, 76(12), 1268-1279.

[6] Haidar S, et al. J Steroid Biochem Mol Biol. 2003, 84(5),555-562.