Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

Abiraterone

Catalog No: tcsc0156

Available Sizes

Size: 10mg

Size: 50mg

Size: 100mg

Size: 200mg

Size: 500mg

Size: 1g

Size: 2g

Size: 5g

Specifications

CAS No:
154229-19-3

Formula:
$C_{24}H_{31}NO$

Pathway:
Metabolic Enzyme/Protease

Target:
Cytochrome P450

Purity / Grade:
>98%

Solubility:

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DMF : 8.75 mg/mL (25.04 mM; Need ultrasonic and warming)

Alternative Names:
CB-7598

Observed Molecular Weight:
349.51

Product Description

Abiraterone is a potent, selective, and irreversible **CYP17** inhibitor with **IC_{50}** of 2 to 4 nM.

IC50 & Target: IC50: 2 to 4 nM (CYP17)[1]

In Vitro: Significant inhibition of proliferation of the AR-positive prostate cancer cell lines LNCaP and VCaP with doses of Abiraterone ≥5 μM is confirmed[2]. Abiraterone shows IC_{50} values of 15 nM and 2.5 nM for the 17,20-lyase and 17α-hydroxylase (CYP17 is a bifunctional enzyme with both 17α-hydroxylase and 17,20-lyase activity). Abiraterone inhibits human 17,20-lyase and 17α-hydroxylase with IC_{50} of 27 and 30 nM respectively[3]. Abiraterone inhibits recombinant human 3βHSD1 and 3βHSD2 activity with competitive K_i values of 2.1 and 8.8 μM. 10 μM Abiraterone is sufficient to completely block synthesis of 5α-dione and DHT in both cell lines.Treatment with abi significantly inhibited CRPC progression in the robustly growing subset, effectively putting a ceiling on tumor growth over 4 weeks of treatment (P3H]-dehydroepiandrosterone (DHEA) depletion and Δ^4-androstenedione (AD) accumulation are inhibited by Abiraterone in LNCaP, with an IC_{50}[4].

In Vivo: The 0.5 mmol/kg/d Abiraterone treatment dose is previously shown to yield serum concentrations of about 0.5 to 1 μM. Xenograft tumor growth in the control group is widely variable, with some tumors growing slowly and only a subset of tumors exhibiting robust growth[4]. Following i.v. administration (5 mg/kg) the clearance (Cl) and volume of distribution (V_d) are found to be 31.2 mL/min/kg and 1.97 L/kg, respectively. The $AUC_{0-\infty}$ (area under the plasma concentration-time curve from time zero to infinity time point) is found to be 2675 ng*h/mL. The terminal half-life ($t_{1/2}$) is 0.73 h. Because of high clearance, Abiraterone (ART) is quantifiable only until 2 h following i.v. administration[5].