

D4-abiraterone

Catalog No: tcsc0033729



Available Sizes

Size: 5mg

Size: 10mg

Size: 25mg



Specifications

CAS No:

154229-21-7

Formula:

$C_{24}H_{29}NO$

Pathway:

Others;Metabolic Enzyme/Protease

Target:

Androgen Receptor;Cytochrome P450

Purity / Grade:

>98%

Solubility:

DMSO : 50 mg/mL (143.89 mM; Need ultrasonic)

Alternative Names:

Δ 4-Abiraterone;CB-7627;Abiraterone D4A metabolite

Observed Molecular Weight:

347.49

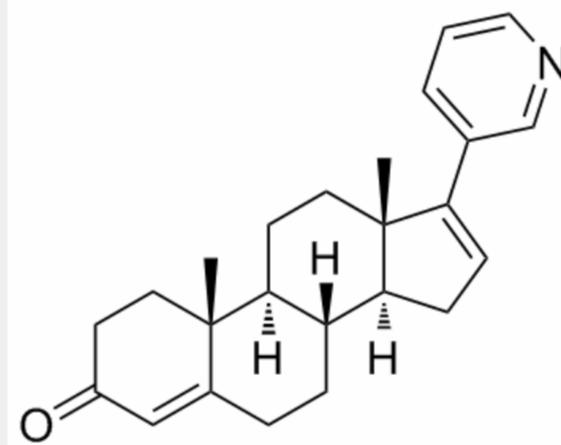
Product Description

D4-abiraterone is a major metabolite of abiraterone. D4-abiraterone is an inhibitor of **CYP17A1**, 3 β -hydroxysteroid dehydrogenase (**3 β HSD**) and steroid-5 α -reductase (**SRD5A**) and also an antagonist of **androgen receptor**.

IC₅₀ & Target: CYP17A1, 3 β HSD, SRD5A, androgen receptor^[1]

In Vitro: D4-abiraterone (D4A) (10 mM) nearly completely blocks conversion from D4-androstenedione (AD) to 5 α -androstenedione and other 5 α -reduced androgens. The affinity of D4-abiraterone for mutant (expressed in LNCaP, half-maximum inhibitory concentration (IC₅₀=5.3 nM)) and wild type (expressed in LAPC4, IC₅₀=7.9 nM) androgen receptor (AR) is greater than that of abiraterone (Abi) (IC₅₀=418 and >500 nM, respectively). Compare with Abi, D4-abiraterone clearly better suppresses PSA, TMPRSS2 and FKBP5 expression in LNCAP, LAPC4 and C4-2 cell lines. D4-abiraterone also inhibits AR target gene expression in a dose-dependent manner^[1].

In Vivo: D4-abiraterone (D4A) is tenfold more potent than abiraterone (Abi) in blocking conversion from dehydroepiandrosterone (DHEA) by 3 β -hydroxysteroid dehydrogenase (3 β HSD) to D4-androstenedione (AD) in LNCaP and VCaP xenografts. 0.1 μ M D4-abiraterone is equivalent to 1 μ M Abi for blocking AD accumulation at 48 h in both LNCaP and VCaP xenografts. Progression is significantly delayed in the D4-abiraterone group compare with the Abi acetate group (P=0.011). D4-abiraterone treatment increases progression-free survival compare with Abi acetate^[1].



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