

Galeterone

Catalog No: tcsc0334



Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Size: 200mg

Size: 500mg



Specifications

CAS No:

851983-85-2

Formula:

$C_{26}H_{32}N_2O$

Pathway:

Metabolic Enzyme/Protease

Target:

Cytochrome P450

Purity / Grade:

>98%

Solubility:

DMSO : 18 mg/mL (46.33 mM; Need ultrasonic and warming)

Alternative Names:

TOK-001;VN-124-1

Observed Molecular Weight:

388.55

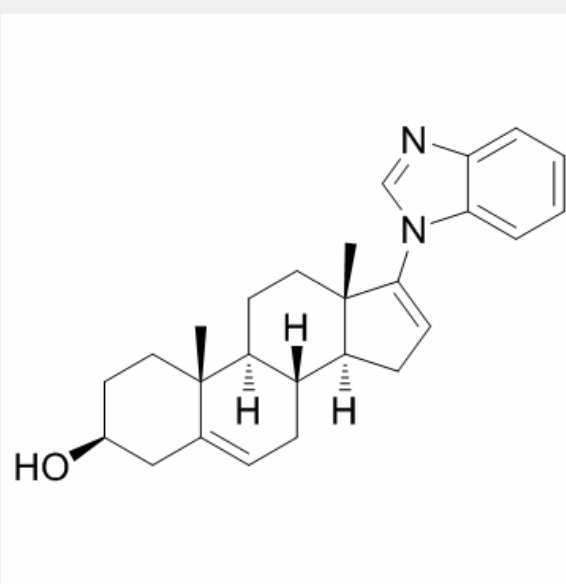
Product Description

TOK-001 is a multifunctional antiandrogen and **CYP17** inhibitor (**IC₅₀**=47 nM) in castration resistant prostate cancer (CRPC).

IC50 & Target: IC50: 47 nM (CYP17)^[1]

In Vitro: TOK-001 affords strong CYP17 lyase inhibition, with IC₅₀ of 47 nM^[1]. TOK-001 is both a CYP17A1 inhibitor and androgen receptor antagonist and the similarity of these binding modes is likely the reason for this dual mechanism of action. This CYP17A1 binds abiraterone and TOK-001 with absorbance decreases at 402 nm and increases at 424 nm, consistent with nitrogen binding to the heme iron (type II interaction) with K_d of [2]. When LNCaP cells are cultured in medium supplemented with charcoal-stripped serum (CSS, T[3].

In Vivo: Mice inoculated with LAPC-4 tumors are treated subcutaneously with 0.15 mmol/kg of TOK-001 twice daily. Mice treated with TOK-001 have smaller average tumor volume on day 31 when compared to control (p= 0.0001). TOK-001 treatment also significantly reduces the growth rate of tumor growth compared to control (p[1].



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