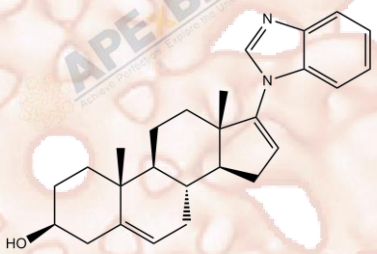
Product Data Sheet

TOK-001



Cat. No.:	A8623
CAS No.:	851983-85-2
Formula:	C26H32N2O
M.Wt:	388.56
Synonyms:	
Target:	Endocrinology and Hormones
Pathway:	Androgen Receptor
Storage:	Store at -20°C

Solvent & Solubility

In Vitro

insoluble in H2O; ⩾12.4 mg/mL in DMSO; ⩾26.5 mg/mL in EtOH

Preparing Stock Solutions

Mass / Solvent / Concentration	1mg	5mg	10mg
1 mM	2.5736 mL	12.8680 mL	25.7361 mL
5 mM	0.5147 mL	2.5736 mL	5.1472 mL
10 mM	0.2574 mL	1.2868 mL	2.5736 mL

Please refer to the solubility information to select the appropriate solvent.

Biological Activity

Shortsummary	CYP17 inhibitor and androgen receptor (AR) antagonist
IC_{50} & Target	300 nM (CYP17), 384 nM (Androgen Receptor)

In Vitro

Cell Viability Assay

Cell Line:	LNCaP Cells
Preparation method:	The solubility of this compound in DMSO is > 10 mM. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20 °C for several months.
Reacting conditions:	1 ~ 15 μM; 24 hrs

	Applications:	Compared with all Galeterone analogues, Galeterone was still the most effective compound in vitro, down-regulating > 95% AR at the concentration of 15 μM.
In Vivo	**Animal experiment**	
	Animal models:	Male SCID mice bearing LAPC-4 tumors
	Dosage form:	0.15 mmol/kg; s.c.; b.i.d., for 31 days
	Applications:	Galeterone effectively inhibited the average volume of LAPC-4 tumors in SCID mice by more than 80%. After 31 days of Galeterone treatment, the final tumor weight was also significantly reduced by more than 50%.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

See more customer validations on www.apexbt.com.

References

[1]. Handratta VD, Vasaitis TS, Njar VC, Gediya LK, Kataria R, Chopra P, Newman D Jr, Farquhar R, Guo Z, Qiu Y, Brodie AM. Novel C-17-heteroaryl steroidal CYP17 inhibitors/antiandrogens: synthesis, in vitro biological activity, pharmacokinetics, and antitumor activity in the LAPC4 human prostate cancer xenograft model. J Med Chem. 2005 Apr 21;48(8):2972-84.

[2]. Bruno R D, Vasaitis T S, Gediya L. K, et al. Synthesis and biological evaluations of putative metabolically stable analogs of VN/124-1 (TOK-001): Head to head anti-tumor efficacy evaluation of VN/124-1 (TOK-001) and abiraterone in LAPC-4 human prostate cancer xenograft model. Steroids, 2011,76: 1268-1279.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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