

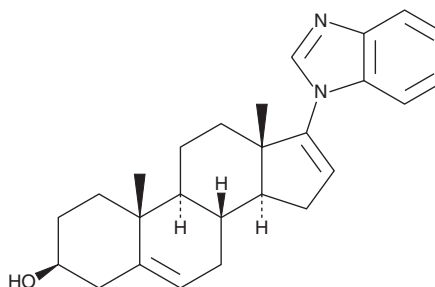
PRODUCT INFORMATION



Galeterone

Item No. 17586

CAS Registry No.: 851983-85-2
Formal Name: 17-(1H-benzimidazol-1-yl)-androst-5,16-dien-3 β -ol
Synonym: TOK-001
MF: C₂₆H₃₂N₂O
FW: 388.6
Purity: \geq 98%
Supplied as: A crystalline solid
Storage: -20°C
Stability: \geq 4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Galeterone is supplied as a crystalline solid. A stock solution may be made by dissolving the galeterone in the solvent of choice, which should be purged with an inert gas. Galeterone is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of galeterone in DMF is approximately 30 mg/ml and approximately 20 mg/ml in ethanol and DMSO.

Galeterone is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, galeterone should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Galeterone has a solubility of approximately 0.2 mg/ml in a 1:3 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

The cytochrome P450 (CYP) isoform CYP17 is also known as steroid 17 α -hydroxylase/17,20 lyase because it catalyzes both 17 α -hydroxylase and 17,20 lyase reactions in the synthesis of steroids, including androgens, estrogens, glucocorticoids, and mineralocorticoids.¹ Galeterone is a CYP17 inhibitor (IC₅₀ = 300 nM) that has been shown to competitively block synthetic androgen binding (EC₅₀ = 845 nM) and to antagonize the androgen receptor in transcriptional activation assays.² Galeterone can inhibit the growth of castration-resistant prostate cancer cells with an IC₅₀ value of 2.9 μ M and demonstrates synergy with everolimus (Item No. 11597) or gefitinib (Item No. 13166) for growth inhibition.^{2,3}

References

1. O'Donnell, A., Judson, I., Dowsett, M., *et al.* Hormonal impact of the 17 α -hydroxylase/C17,20-lyase inhibitor abiraterone acetate (CB7630) in patients with prostate cancer. *Br. J. Cancer* **90**(12), 2317-2325 (2004).
2. Njar, V.C.O. and Brodie, A.M.H. Discovery and development of galeterone (TOK-001 or VN/124-1) for the treatment of all stages of prostate cancer. *J. Med. Chem.* **58**(5), 2077-2087 (2015).
3. Gupta, E., Guthrie, T., and Tan, W. Changing paradigms in management of metastatic Castration Resistant Prostate Cancer (mCRPC). *BMC Urol.* **14**, 1-8 (2014).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the [complete](#) Safety Data Sheet, which has been sent via email to your institution.

WARRANTY AND LIMITATION OF REMEDY

Buyer agrees to purchase the material subject to Cayman's Terms and Conditions. Complete Terms and Conditions including Warranty and Limitation of Liability information can be found on our website.

Copyright Cayman Chemical Company, 10/12/2022

CAYMAN CHEMICAL

1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA

PHONE: [800] 364-9897
[734] 971-3335

FAX: [734] 971-3640

CUSTSERV@CAYMANCHEM.COM
WWW.CAYMANCHEM.COM