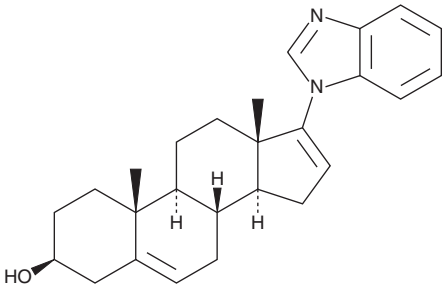
PRODUCT INFORMATION

Galeterone

Item No. 17586

CAS Registry No.: 851983-85-2
Formal Name: 17-(1H-benzimidazol-1-yl)-androsta-5,16-dien-3β-ol
Synonym: TOK-001
MF: $C_{26}H_{32}N_2O$
FW: 388.6
Purity: ≥98%
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥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 17α-hydroxylase/17,20 lyase because it catalyzes both 17α-hydroxylase and 17,20 lyase reactions in the synthesis of steroids, including androgens, estrogens, glucocorticoids, and mineralocorticoids.[1] Galeterone is a CYP17 inhibitor (IC_{50} = 300 nM) that has been shown to competitively block synthetic androgen binding (EC_{50} = 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 IC_{50} value of 2.9 µM 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 17a-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).

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