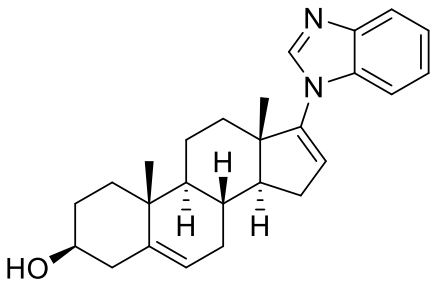
Product data sheet

MedKoo Cat#: 205646 Name: Galeterone CAS#: 851983-85-2 Chemical Formula: $C_{26}H_{32}N_2O$ Exact Mass: 388.25146 Molecular Weight: 388.54508	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:
Galeterone, also known as TOK-001 and NX41765; is an orally bioavailable small-molecule androgen receptor modulator and CYP17 lyase inhibitor with potential antiandrogen activity. Galeterone exhibits three distinct mechanisms of action: 1) as an androgen receptor antagonist, 2) as a CYP17 lyase inhibitor and 3) by decreasing overall androgen receptor levels in prostate cancer tumors, all of which may result in a decrease in androgen-dependent growth signaling.

2. CoA, QC data, SDS, and handling instruction
SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	25	64.34
Ethanol	24	61.77

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.57 mL	12.87 mL	25.74 mL
5 mM	0.51 mL	2.57 mL	5.15 mL
10 mM	0.26 mL	1.29 mL	2.57 mL
50 mM	0.05 mL	0.26 mL	0.51 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator
Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study
In vitro study

1. Xu Y, Liao S, Wang L, Wang Y, Wei W, Su K, Tu Y, Zhu S. Galeterone sensitizes breast cancer to chemotherapy via targeting MNK/eIF4E and β-catenin. Cancer Chemother Pharmacol. 2021 Jan;87(1):85-93. doi: 10.1007/s00280-020-04195-w. Epub 2020 Nov 7. PMID: 33159561.

2. Kwegyir-Afful AK, Ramalingam S, Purushottamachar P, Ramamurthy VP, Njar VC. Galeterone and VNPT55 induce proteasomal degradation of AR/AR-V7, induce significant apoptosis via cytochrome c release and suppress growth of castration resistant prostate cancer xenografts in vivo. Oncotarget. 2015 Sep 29;6(29):27440-60. doi: 10.18632/oncotarget.4578. PMID: 26196320; PMCID: PMC4695001.

In vivo study

1. Xu Y, Liao S, Wang L, Wang Y, Wei W, Su K, Tu Y, Zhu S. Galeterone sensitizes breast cancer to chemotherapy via targeting MNK/eIF4E and β-catenin. Cancer Chemother Pharmacol. 2021 Jan;87(1):85-93. doi: 10.1007/s00280-020-04195-w. Epub 2020 Nov 7. PMID: 33159561.

2. Kwegyir-Afful AK, Ramalingam S, Purushottamachar P, Ramamurthy VP, Njar VC. Galeterone and VNPT55 induce proteasomal degradation of AR/AR-V7, induce significant apoptosis via cytochrome c release and suppress growth of castration resistant prostate cancer xenografts in vivo. Oncotarget. 2015 Sep 29;6(29):27440-60. doi: 10.18632/oncotarget.4578. PMID: 26196320; PMCID: PMC4695001.

7. Bioactivity

Biological target:

Galeterone (TOK-001) is a selective CYP17 inhibitor and androgen receptor (AR) antagonist with an IC50 of 300 nM and 384 nM, respectively.

In vitro activity

The effectiveness of galeterone as single drug alone on viability, growth and migration of breast cancer cell lines was evaluated. Normal breast cells were used as normal control. Exposure to galeterone at 0.25 to 16 μM dose-dependently decreased viability of six breast cancer cell lines (Fig. 1a). Galeterone inhibited proliferation in these cells as assessed by labelling BrdU (a proliferative marker), with IC50 from 0.5 to 4 μM (Fig. 1b and Supplementary Table 1). It was found that galeterone also significantly inhibited proliferation of normal breast cells, but to a lesser extent than in breast cancer cells (Supplementary Fig. 1 and Supplementary Table 1). The IC50 of galeterone on normal breast cells are 9.5 μM and 15.7 μM, which is > tenfold higher than the IC50 of the most sensitive breast cancer cell lines tested in our study. In addition, galeterone inhibited breast cancer cell migration with IC50 > 4 μM (Fig. 1c, d). The results demonstrated that (1) galeterone at nanomolar concentration is active against breast cancer cells regardless of subtypes and genetic profiles; (2) IC50 of galeterone varies among breast cancer cell lines, with MDA-MB-231 being most sensitive and MCF-7 most resistant; (3) galeterone has preferential anti-proliferative activity to breast cancer cells compared to normal counterparts; (4) galeterone is more effective in inhibiting growth and survival than cell migration.

Reference: Cancer Chemother Pharmacol. 2021 Jan;87(1):85-93. https://dx.doi.org/10.1007/s00280-020-04195-w

In vivo activity

The in vivo efficacy of galeterone alone was evaluated. A breast cancer xenograft mouse model was generated by subcutaneously injecting MDA-MB-231 cells to mice flank. After development of palpable tumor, drug treatment started and tumor size was monitored for signs of possible toxicity due to treatment. No significant weight loss, abnormality in appearance or behavior in mice was observed suggesting that the treatment given to mice are not toxic. Notably, oral galeterone at 150 mg/kg significantly inhibited breast cancer growth in vivo (Fig. 5a).

Reference: Cancer Chemother Pharmacol. 2021 Jan;87(1):85-93. https://dx.doi.org/10.1007/s00280-020-04195-w