

Product data sheet



MedKoo Cat#: 328509 Name: Naftopidil CAS: 57149-07-2 Chemical Formula: C ₂₄ H ₂₈ N ₂ O ₃ Exact Mass: 392.21 Molecular Weight: 392.499	
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:

Naftopidil, also known as Flivas and BM-15275, is an alpha-1-D adrenoceptor antagonist used to treat dysuria in men with benign prostatic hypertrophy.

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	25.17	64.11

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.55 mL	12.74 mL	25.48 mL
5 mM	0.51 mL	2.55 mL	5.10 mL
10 mM	0.25 mL	1.27 mL	2.55 mL
50 mM	0.05 mL	0.25 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

- Hori Y, Ishii K, Kanda H, Iwamoto Y, Nishikawa K, Soga N, Kise H, Arima K, Sugimura Y. Naftopidil, a selective {alpha}1-adrenoceptor antagonist, suppresses human prostate tumor growth by altering interactions between tumor cells and stroma. *Cancer Prev Res (Phila)*. 2011 Jan;4(1):87-96. doi: 10.1158/1940-6207.CAPR-10-0189. PMID: 21205739.
- Kanda H, Ishii K, Ogura Y, Imamura T, Kanai M, Arima K, Sugimura Y. Naftopidil, a selective alpha-1 adrenoceptor antagonist, inhibits growth of human prostate cancer cells by G1 cell cycle arrest. *Int J Cancer*. 2008 Jan 15;122(2):444-51. doi: 10.1002/ijc.23095. PMID: 17918159.

In vivo study

- Sakai T, Kasahara K, Tomita K, Ikegaki I, Kuriyama H. Naftopidil inhibits 5-hydroxytryptamine-induced bladder contraction in rats. *Eur J Pharmacol*. 2013 Jan 30;700(1-3):194-200. doi: 10.1016/j.ejphar.2012.12.022. Epub 2012 Dec 26. PMID: 23274492.
- Takei R, Ikegaki I, Shibata K, Tsujimoto G, Asano T. Naftopidil, a novel alpha1-adrenoceptor antagonist, displays selective inhibition of canine prostatic pressure and high affinity binding to cloned human alpha1-adrenoceptors. *Jpn J Pharmacol*. 1999 Apr;79(4):447-54. doi: 10.1254/jjp.79.447. PMID: 10361884.

7. Bioactivity

Biological target:

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Naftopidil (KT-611) is a selective α_1 -adrenoceptor antagonist, with K_{iS} of 3.7 nM, 20 nM and 1.2 nM for the cloned human α_{1A} -, α_{1B} - and α_{1D} -adrenoceptor subtypes.

In vitro activity

In in vitro analyses, naftopidil and silodosin showed antiproliferative effects on PCa cells regardless of androgen sensitivity and $\alpha(1)$ -AR subtype expression. In PrSC, a strong growth inhibitory effect was observed with naftopidil but not silodosin. Flow cytometric analysis revealed that naftopidil, but not silodosin, induced G(1) cell-cycle arrest in both PCa cells and PrSC. In naftopidil-treated PrSC, total interleukin-6 protein was significantly reduced with increased suppression of cell proliferation.

Reference: Cancer Prev Res (Phila). <https://pubmed.ncbi.nlm.nih.gov/21205739/>

In vivo activity

This study investigated the effects of naftopidil on 5-hydroxytryptamine (5-HT)-induced rat bladder contraction ($10(-8)$ - $10(-4)$ M). Naftopidil (0.3, 1, and 3 μ M) inhibited 5-HT-induced bladder contraction in a concentration-dependent manner. Naftopidil inhibited both the 5-HT(2A) and 5-HT(2) receptor agonists-induced bladder contractions. Naftopidil binds to the human 5-HT(2A) and 5-HT(2B) receptors with pK_i values of 6.55 and 7.82, respectively.

Reference: Eur J Pharmacol. 2013 Jan 30;700(1-3):194-200. <https://pubmed.ncbi.nlm.nih.gov/23274492/>

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.