# Naftopidil

**Cat. No.:** HY-B0391

**CAS No.:** 57149-07-2

**Molecular Formula:** $C_{24}H_{28}N_2O_3$

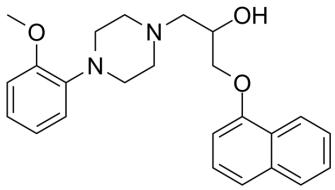
**Molecular Weight:** 392.49

**Target:** Adrenergic Receptor

**Pathway:** GPCR/G Protein; Neuronal Signaling

**Storage:**

| | | |
|---|---|---|
| Powder | -20°C | 3 years |
| | 4°C | 2 years |
| In solvent | -80°C | 2 years |
| | -20°C | 1 year |



## SOLVENT & SOLUBILITY

**In Vitro**

DMSO : 33.33 mg/mL (84.92 mM; Need ultrasonic)

$H_2O$ : < 0.1 mg/mL (insoluble)

**Preparing Stock Solutions**

| Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|
| 1 mM | 2.5478 mL | 12.7392 mL | 25.4784 mL |
| 5 mM | 0.5096 mL | 2.5478 mL | 5.0957 mL |
| 10 mM | 0.2548 mL | 1.2739 mL | 2.5478 mL |

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

**In Vivo**

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (6.37 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (6.37 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.37 mM); Clear solution

## BIOLOGICAL ACTIVITY

**Description**

Naftopidil (KT-611) is is a selective alpha1-adrenoceptor antagonist, with $K_i$s of 3.7 nM, 20 nM and 1.2 nM for the cloned human $\alpha_{1a}$-, $\alpha_{1b}$- and $\alpha_{1d}$-adrenoceptor subtypes, respectively. Naftopidil has antiproliferative effects. Naftopidil can be used for the research of prostate hyperplasia[1][2].

| $IC_{50}$ & Target | | | |
|---|---|---|---|
| | Alpha-1A adrenergic receptor | Alpha-1B adrenergic receptor | Alpha-1D adrenergic receptor<br>1.2 nM (Ki) |

| | | | |
|---|---|---|---|
| | 3.7 nM (Ki) | 20 nM (Ki) | |

**In Vitro**

Naftopidil suppresses human prostate tumor growth by altering interactions between tumor cells and stroma[2].
Naftopidil (10 μM for PCa cells, 0.1-10 μM for PrSC; 3 days) shows growth inhibitory effects on PCa cells and PrSC[2].
Naftopidil (50 μM for E9 cells, 25 μM for PrSC; 48 hours) increases the level of cell-cycle regulatory protein p27 in E9 cells, but not PrSC[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[2]

| | |
|---|---|
| Cell Line: | PCa cells, PrSC |
| Concentration: | 10 μM (PCa cells); 0.1 μM, 1 μM, 10 μM (PrSC) |
| Incubation Time: | 3 days |
| Result: | Exhibited growth inhibitory effects on PCa cells and PrSC in dose-dependent manners. |

Western Blot Analysis[2]

| | |
|---|---|
| Cell Line: | PCa cells, PrSC |
| Concentration: | 50 μM (E9 cells), 25 μM (PrSC) |
| Incubation Time: | 48 hours |
| Result: | Increased the level of cell-cycle regulatory protein p27 in E9 cells, but not PrSC. |

**In Vivo**

Naftopidil (10 mg/kg; p.o; daily; for 28 days) decreases microvessel density (MVD) in E9+PrSC tumors mice model[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

| | |
|---|---|
| Animal Model: | Male athymic mice (7-8 weeks), with E9+PrSC xenograft[2] |
| Dosage: | 10 mg/kg |
| Administration: | Oral administration, daily, for 28 days |
| Result: | Decreased tumor weights. |

## REFERENCES


[1]. Yasuhide Hori, et al. 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.

[2]. R Takei, et al. 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.





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