Naftopidil

Cat. No.: HY-B0391

CAS No.: 57149-07-2

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

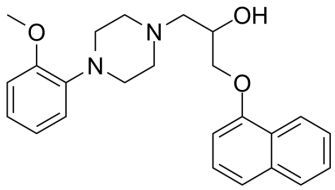
Molecular Weight: 392.49

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_is of 3.7 nM, 20 nM and 1.2 nM for the cloned human α_{1a}-, α_{1b}- and α_{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 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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