Naftopidil dihydrochloride

Cat. No.: HY-B0391A

CAS No.: 57149-08-3

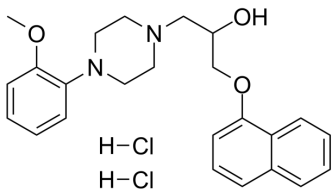
Molecular Formula: $C_{24}H_{30}Cl_2N_2O_3$

Molecular Weight: 465.41

Target: Adrenergic Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

Naftopidil dihydrochloride (KT-611 dihydrochloride) 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 dihydrochloride has antiproliferative effects. Naftopidil dihydrochloride 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
3.7 nM (Ki)	20 nM (Ki)	1.2 (Ki)

In Vitro

Naftopidil dihydrochloride suppresses human prostate tumor growth by altering interactions between tumor cells and stroma[2].

Naftopidil dihydrochloride (10 μM for PCa cells, 0.1-10 μM for PrSC; 3 days) shows growth inhibitory effects on PCa cells and PrSC[2].

Naftopidil dihydrochloride (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 dihydrochloride (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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