Inhibitors

Naftopidil hydrochloride

Cat. No.: HY-B0391B CAS No.: 1164469-60-6 Molecular Formula: $C_{24}H_{29}CIN_{2}O_{3}$

Molecular Weight: 428.95

Target:

Pathway: GPCR/G Protein; Neuronal Signaling

Adrenergic Receptor

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

Analysis.

Product Data Sheet

BIOLOGICAL ACTIVITY

Description Naftopidil hydrochloride (KT-611 hydrochloride) is a selective alpha1-adrenoceptor antagonist, with Kis 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 hydrochloride has antiproliferative effects. Naftopidil hydrochloride can be used for the research of prostate hyperplasia^[1].

IC₅₀ & Target Alpha-1A adrenergic Alpha-1B adrenergic Alpha-1D adrenergic receptor

> receptor receptor 1.2 nM (Ki)

3.7 nM (Ki) 20 nM (Ki)

In Vitro Naftopidil hydrochloride suppresses human prostate tumor growth by altering interactions between tumor cells and stroma

Naftopidil hydrochloride (10 μ M for PCa cells, 0.1-10 μ M for PrSC; 3 days) shows growth inhibitory effects on PCa cells and

Naftopidil hydrochloride (50 μ M for E9 cells, 25 μ M 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 hydrochloride (10 mg/kg; p.o; daily; for 28 days) decreases microvessel density (MVD) in E9+PrSC tumors mice $model^{[2]}$.

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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.

Caution: Product has not been fully validated for medical applications. For research use only.

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