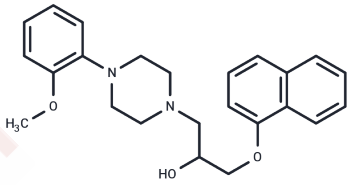
Data Sheet (Cat.No.T0696)

TargetMol

Naftopidil

Chemical Properties



CAS No. : 57149-07-2

Formula: C24H28N2O3

Molecular Weight: 392.49

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Naftopidil (KT-611) (INN, marketed under the brand name Flivas), an antihypertensive medicine, is used as a selective α1-adrenergic receptor antagonist or α-blocker.
Targets(IC50)	Adrenergic Receptor
In vitro	Naftopidil is selective for the alpha1d-adrenoceptor with approximately 3- and 17-fold higher affinity than for the alpha1a- and alpha1b-adrenoceptor subtypes, respectively. [1] Naftopidil has growth inhibitory effect in androgen-sensitive and -insensitive human prostate cancer cell lines. Naftopidil induces p21(cip1) but not p27(kip1) in PC-3 cells. [2] Naftopidil induces apoptosis in all the investigated malignant mesothelioma cells, and a similar effect is obtained with prazosin, another α1-adrenoceptor blocker. Naftopidil-induced reduction in cell viability is inhibited by GF109203X, while prazosin-induced in cell viability is less affected. [3] Naftopidil, an alpha 1-adrenoreceptor antagonist produces a concentration-dependent inhibition ofcollagen-induced Ca2+ mobilization, maximum inhibition (22.9%) occurring with 40 mM Naftopidil. Naftopidil also inhibits the adrenaline-induced rise in [Ca2+]i in a concentration-dependent manner (30 mM doxazosin), significant inhibitions of platelet aggregation also being produced. [4] Naftopidil (0.3, 1, and 3 μM) inhibits 5-HT-induced bladder contraction in a concentration-dependent manner. Naftopidil inhibits 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 pKi values of 6.55 and 7.82, respectively. [5]
In vivo	Naftopidil selectively inhibits the phenylephrine-induced increase in prostatic pressure compared with mean blood pressure in the anesthetized dog model. [1] Naftopidil inhibits 5-HT-induced bladder contraction via blockade of the 5-HT(2A) and 5-HT(2B) receptors in rats. [5]

Solubility Information

Solubility	H2O: < 1 mg/mL (insoluble or slightly soluble), Ethanol: < 1 mg/mL (insoluble or slightly soluble), DMSO: 76.92 mg/mL (195.98 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

In vivo Formulation	10% DMSO+90% (20% SBE-β-CD in Saline): < 7.69 mg/mL (19.59 mM),Lower concentrations may be soluble, but exact solubility limit is unknown. 10% DMSO+90% Saline: < 7.69 mg/mL (19.59 mM),Lower concentrations may be soluble, but exact solubility limit is unknown. 10% DMSO+40% PEG300+5% Tween 80+45% Saline: < 7.69 mg/mL (19.59 mM),Lower concentrations may be soluble, but exact solubility limit is unknown. 10% DMSO+90% Corn oil: < 7.69 mg/mL (19.59 mM),Lower concentrations may be soluble, but exact solubility limit is unknown. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
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
50 mM	0.051 mL	0.2548 mL	0.5096 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Takei R, et al. Jpn J Pharmacol,1999, 79(4), 447-454.
Kanda H, et al. Int J Cancer,2008, 122(2), 444-451.
Masachika E, et al. Anticancer Res,2013, 33(3), 887-894.
Alarayyed NA, et al. Br J Clin Pharmacol,1997, 43(4), 415-420.
Sakai T, et al. Eur J Pharmacol,2013, 700(1-3), 194-200.