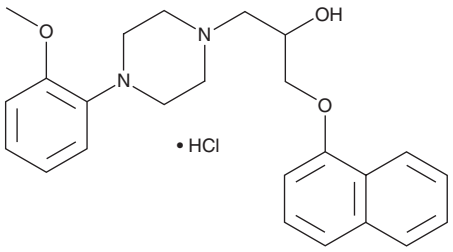
PRODUCT INFORMATION

Naftopidil (hydrochloride)

Item No. 21122

CAS Registry No.:	1164469-60-6
Formal Name:	4-(2-methoxyphenyl)-α-[(1-naphthalenyloxy)methyl]-1-piperazineethanol, monohydrochloride
Synonym:	KT611
MF:	$C_{24}H_{28}N_2O_3$ • HCl
FW:	429.0
Purity:	≥98%
UV/Vis.:	λ_{max}: 211, 231, 288 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Naftopidil (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the naftopidil (hydrochloride) in the solvent of choice. Naftopidil (hydrochloride) is soluble in organic solvents such as DMSO and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of naftopidil (hydrochloride) in these solvents is approximately 3 and 10 mg/ml, respectively.

Naftopidil (hydrochloride) is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, naftopidil (hydrochloride) should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Naftopidil (hydrochloride) has a solubility of approximately 0.2 mg/ml in a 1:4 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Naftopidil is an α_1-adrenergic receptor antagonist that competitively inhibits α-adrenoceptor-mediated contractions induced by noradrenaline with pA_2 values of 6.73-8.15 in various blood vessels from dog, rabbit, guinea pig, and rat.[1] It binds to the cloned human α_1-adrenergic receptors with K_i values of 3.7, 20, and 1.2 nM for α_{1A}, α_{1B} and α_{1D}, respectively.[2] Clinical formulations of naftopidil are used in the treatment of benign prostatic hyperplasia in Japan.[2] Naftopidil also exhibits antiproliferative activity, inhibiting the growth of androgen-sensitive LNcaP cells and androgen-insensitive PC-3 cancer cell lines with IC_{50} values of 22.2 and 33.2 µM, respectively.[3]

References

1. Muramatsu, I., Yamanaka, K., and Kigoshi, S. Pharmacological profile of the novel α-adrenoceptor antagonist KT-611 (naftopidil). *Jpn. J. Pharmacol.* **55(3)**, 391-398 (1991).
2. Masumori, N. Naftopidil for the treatment of urinary symptoms in patients with benign prostatic hyperplasia. *Ther. Clin. Risk Manag.* **7** 227-238 (2011).
3. Kanda, H., Ishii, K., Ogura, Y. *et al.* Naftopidil, a selective α-1 adrenoceptor antagonist, inhibits growth of human prostate cancer cells by G_1 cell cycle arrest. *Int. J. Cancer* **122(2)**, 444-451 (2008).

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