

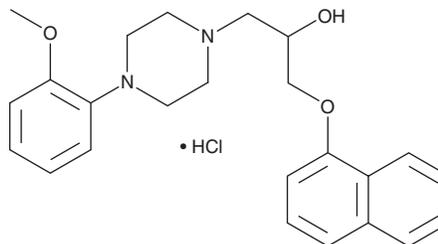
# PRODUCT INFORMATION



## Naftopidil (hydrochloride)

Item No. 21122

**CAS Registry No.:** 1164469-60-6  
**Formal Name:** 4-(2-methoxyphenyl)- $\alpha$ -[(1-naphthalenyloxy)methyl]-1-piperazineethanol, monohydrochloride  
**Synonym:** KT611  
**MF:** C<sub>24</sub>H<sub>28</sub>N<sub>2</sub>O<sub>3</sub> • HCl  
**FW:** 429.0  
**Purity:**  $\geq$ 98%  
**UV/Vis.:**  $\lambda_{\text{max}}$ : 211, 231, 288 nm  
**Supplied as:** A crystalline solid  
**Storage:** -20°C  
**Stability:**  $\geq$ 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  $\alpha_1$ -adrenergic receptor antagonist that competitively inhibits  $\alpha$ -adrenoceptor-mediated contractions induced by noradrenaline with pA<sub>2</sub> values of 6.73-8.15 in various blood vessels from dog, rabbit, guinea pig, and rat.<sup>1</sup> It binds to the cloned human  $\alpha_1$ -adrenergic receptors with K<sub>i</sub> values of 3.7, 20, and 1.2 nM for  $\alpha_{1A}$ ,  $\alpha_{1B}$  and  $\alpha_{1D}$ , respectively.<sup>2</sup> Clinical formulations of naftopidil are used in the treatment of benign prostatic hyperplasia in Japan.<sup>2</sup> Naftopidil also exhibits antiproliferative activity, inhibiting the growth of androgen-sensitive LNCaP cells and androgen-insensitive PC-3 cancer cell lines with IC<sub>50</sub> values of 22.2 and 33.2  $\mu$ M, respectively.<sup>3</sup>

### References

1. Muramatsu, I., Yamanaka, K., and Kigoshi, S. Pharmacological profile of the novel  $\alpha$ -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  $\alpha_1$  adrenoceptor antagonist, inhibits growth of human prostate cancer cells by G<sub>1</sub> cell cycle arrest. *Int. J. Cancer* **122**(2), 444-451 (2008).

#### WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

#### SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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