

## Product Data Sheet

Product Name: Naftopidil-d5

Cat. No.: GC48584

### Chemical Properties

Cas. No. 2747918-58-5

SMILES COC1=C(N2CCN(C([2H])([2H])C(C([2H])([2H])OC3=CC=CC4=C3C=CC=C4)([2H])O)C2)C=CC=C1

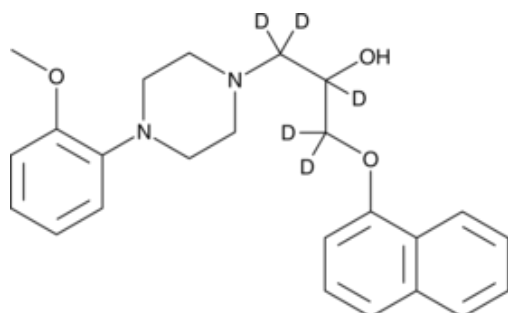
Formula C<sub>24</sub>H<sub>23</sub>D<sub>5</sub>N<sub>2</sub>O<sub>3</sub> M.Wt 397.5

Solubility Methanol: soluble Storage -20°C

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure



### Background

Naftopidil-d<sub>5</sub> is intended for use as an internal standard for the quantification of naftopidil by GC- or LC-MS. Naftopidil is an antagonist of  $\alpha_1$ -adrenergic receptors ( $\alpha_1$ -ARs;  $K_i$ s = 3.7, 20, and 1.2 nM for  $\alpha_{1A}$ -,  $\alpha_{1B}$ -, and  $\alpha_{1D}$ -ARs, respectively).<sup>1</sup> It is selective for  $\alpha_1$ -ARs over  $\alpha_2$ -ARs ( $K_i$  = 1,793 nM).<sup>2</sup> Naftopidil inhibits norepinephrine-induced contractions in various blood vessels isolated from dog, rabbit, guinea pig, or rat with pA<sub>2</sub> values ranging from 6.73 to 8.15.<sup>2</sup> It reduces prostatic pressure and mean blood pressure in anesthetized dogs when administered at doses of 100 or 1,000  $\mu$ g/kg.<sup>3</sup> Naftopidil also inhibits the growth of androgen-sensitive LNCaP cells and androgen-insensitive PC3 cancer cells with IC<sub>50</sub> values of 22.2 and 33.2  $\mu$ M, respectively.<sup>4</sup> Formulations containing naftopidil

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

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have been used in the treatment of benign prostatic hyperplasia.

1.Masumori, N.Naftopidil for the treatment of urinary symptoms in patients with benign prostatic hyperplasiaTher. Clin. Risk Manag.7227-238(2011) 2.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) 3.Takei, R.-i., Ikegaki, I., Shibata, K., et al.Naftopidil, a novel  $\alpha$ 1-adrenoceptor antagonist, displays selective inhibition of canine prostatic pressure and high affinity binding to cloned human  $\alpha$ 1-adrenoceptorsJpn. J. Pharmacol.79(4)447-454(1999) 4.Kanda, H., Ishii, K., Ogura, Y., et al.Naftopidil, a selective  $\alpha$ -1 adrenoceptor antagonist, inhibits growth of human prostate cancer cells by G1 cell cycle arrestInt. J. Cancer122(2)444-451(2008)

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