# PRODUCT INFORMATION



## p-nitro-Pifithrin-α

Item No. 16209

CAS Registry No.: 389850-21-9

Formal Name: 1-(4-nitrophenyl)-2-(4,5,6,7-tetrahydro-

> 2-imino-3(2H)-benzothiazolyl)ethanone, monohydrobromide

Synonym: *p*-nitro-PFT-α

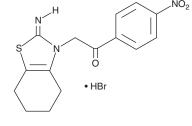
MF: C<sub>15</sub>H<sub>15</sub>N<sub>3</sub>O<sub>3</sub>S • HBr

FW: 398.3 **Purity:** ≥95%

UV/Vis.:  $\lambda_{\text{max}}$ : 263 nm Supplied as: A crystalline solid

-20°C Storage: Stability: ≥4 years

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



### **Laboratory Procedures**

p-nitro-Pifithrin-α is supplied as a crystalline solid. A stock solution may be made by dissolving the p-nitro-pifithrin- $\alpha$  in the solvent of choice, which should be purged with an inert gas. p-nitro-Pifithrin- $\alpha$  is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of p-nitro-pifithrin- $\alpha$  in these solvents is approximately 1 mg/ml.

p-nitro-Pifithrin-α is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, p-nitro-pifithrin- $\alpha$  should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. p-nitro-Pifithrin-α has a solubility of approximately 0.1 mg/ml in a 1:10 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

#### Description

Pifithrin-α (Item No. 13326) is an inactivator of p53 that blocks p53-dependent transcriptional activation and apoptosis.  $^1$  p-nitro-Pifithrin- $\alpha$  is a cell-permeable analog of pifithrin- $\alpha$ .  $^2$  It blocks p53-mediated expression of p21/WAF1 and apoptosis in cortical neurons ten-fold more potently than pifithrin-a.2 p-nitro-Pifithrin- $\alpha$ , at 10  $\mu$ M, suppresses p53-mediated TGF- $\beta$ 1 expression in human proximal tubular cells and attenuates steatosis and liver injury in mice fed a high-fat diet.<sup>3,4</sup> It is slowly converted into a more potent cyclized form, p-nitro cyclic pifithrin- $\alpha$ , when incubated in biological media ( $t_{1/2}$  = 8 h).<sup>2</sup>

#### References

- 1. Komarov, P.G., Komarova, E.A., Kondratov, R.V., et al. A chemical inhibitor of p53 that protects mice from the side effects of cancer therapy. Science 285(5434), 1733-1737 (1999).
- 2. Pietrancosta, N., Moumen, A., Dono, R., et al. Imino-tetrahydro-benzothiazole derivatives as p53 inhibitors: Discovery of a highly potent in vivo inhibitor and its action mechanism. J. Med. Chem. 49(12), 3645-3652 (2006).
- 3. Shimizu, H., Yisireyili, M., Nishijima, F., et al. Indoxyl sulfate enhances p53-TGF-β<sub>1</sub>-Smad3 pathway in proximal tubular cells. Am. J. Nephrol. 37(2), 97-103 (2013).
- Derdak, Z., Villegas, K.A., Harb, R., et al. Inhibition of p53 attenuates steatosis and liver injury in a mouse model of non-alcoholic fatty liver disease. J. Hepatol. 58(4), 785-791 (2013).

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

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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