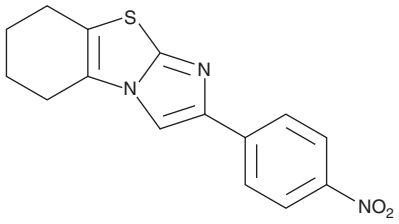
PRODUCT INFORMATION

p-nitro-Cyclic Pifithrin-α

Item No. 17848

CAS Registry No.: 60477-38-5
Formal Name: 5,6,7,8-tetrahydro-2-(4-nitrophenyl)-imidazo[2,1-b]benzothiazole
Synonyms: Cyclic pifithrin-α-*p*-nitro, *p*-nitro-Cyclic PFT-α
MF: $C_{15}H_{13}N_3O_2S$
FW: 299.3
Purity: ≥95%
UV/Vis.: λ_{max}: 234, 349 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

p-nitro-Cyclic pifithrin-α is supplied as a crystalline solid. A stock solution may be made by dissolving the *p*-nitro-cyclic pifithrin-α in the solvent of choice, which should be purged with an inert gas. *p*-nitro-Cyclic pifithrin-α is soluble in the organic solvent dimethyl formamide at a concentration of approximately 1 mg/ml.

Description

PFT-α (Item No. 13326) is an inactivator of p53 that blocks p53-dependent transcriptional activation and apoptosis.[1] Cyclic PFT-α (Item No. 14748) is a stable analog of PFT-α.[2] *p*-nitro-Cyclic PFT-α is a cell-permeable form of cyclic PFT-α.[3] It is one order of magnitude more active that PFT-α in protecting cortical neurons exposed to etoposide (ED_{50} = 30 nM).[3] *p*-nitro-Cyclic PFT-α acts in a p53-dependent manner but does not block phosphorylation of p53 on Ser^{15} in response to etoposide treatment, although it prevents p53 posttranscriptional activity.[3] Although it is more stable in solution than the parent compound, this derivative is not active *in vivo*.[3]

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**, 1733-1737 (1999).
2. Walton, M.I., Wilson, S.C., Hardcastle, I.R. , *et al.* An evaluation of the ability of pifithrin-α and -b to inhibit p53 function in two wild-type p53 human tumor cell lines. *Mol. Cancer Ther.* **4(9)**, 1369-1377 (2005).
3. 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).

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