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Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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PRODUCT INFORMATION

PFI-4

Item No. 17663

CAS Registry No.: 900305-37-5

Formal Name: N-[2,3-dihydro-1,3-dimethyl-2-oxo-6-(1-pyrrolidinyl)-1H-benzimidazol-5-yl]-2-methoxybenzamide

MF: $C_{21}H_{24}N_4O_3$

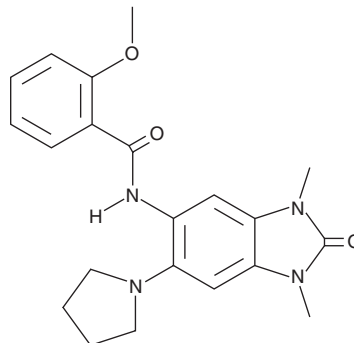
FW: 380.4

Purity: $\geq 98\%$

Stability: ≥ 2 years at -20°C

Supplied as: A crystalline solid

UV/Vis.: λ_{max} : 240, 325 nm



Laboratory Procedures

For long term storage, we suggest that PFI-4 be stored as supplied at -20°C . It should be stable for at least two years.

PFI-4 is supplied as a crystalline solid. A stock solution may be made by dissolving the PFI-4 in the solvent of choice. PFI-4 is soluble in the organic solvent dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of PFI-4 in DMF is approximately 2 mg/ml.

PFI-4 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, PFI-4 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. PFI-4 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

The bromodomain and PHD finger-containing (BRPF) proteins are scaffolding components of chromatin-binding MOZ/MORF histone acetyltransferase complexes, which have activity as transcriptional regulators.^{1,2} BRPF1, aka BR140 or Peregrin, is important for maintaining Hox gene expression and the development of multiple tissues, axial skeleton, and the hematopoietic system.² PFI-4 is a chemical probe that specifically binds to the bromodomain of BRPF1 ($K_d = 13$ nM), inhibiting binding to tri-acetylated histone 4 (residues 1-21).³ It has much lower affinity for bromodomain 1 of BRD4 ($K_d = >50$ μM) and is selective for BRPF1 over BRPF2 and BRPF3.³ PFI-4 is potent in cells, with an IC_{50} value of 250 nM. See the Structural Genomics Consortium (SGC) website for more information.

References

1. Ullah, M., Pelletier, N., Xiao, L., *et al.* Molecular architecture of quartet MOZ/MORF histone acetyltransferase complexes. *Mol. Cell Biol.* **28**(22), 6828-6843 (2008).
2. Klein, B.J., Lalonde, M.-E., Côté, J., *et al.* Crosstalk between epigenetic readers regulates the MOZ/MORF HAT complexes. *Epigenetics* **9**(2), 186-193 (2014).
3. Demont, E.H., Bamborough, P., Chung, C.-W., *et al.* 1,3-Dimethyl benzimidazolones are potent, selective inhibitors of the BRPF1 bromodomain. *ACS Med. Chem. Lett.* **5**, 1190-1195 (2014).

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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