

PRODUCT INFORMATION

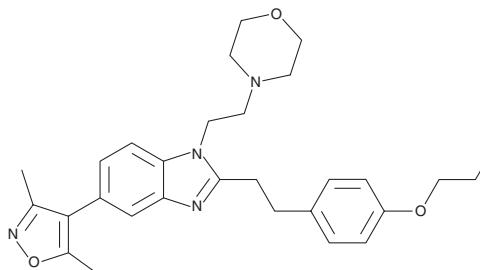


PF-CBP1

Item No. 18811

CAS Registry No.: 1962928-21-7
Formal Name: 5-(3,5-dimethyl-4-isoxazolyl)-
1-[2-(4-morpholinyl)ethyl]-2-
[2-(4-propoxyphenyl)ethyl]-1H-
benzimidazole

MF: $C_{29}H_{36}N_4O_3$
FW: 488.6
Purity: $\geq 98\%$
UV/Vis.: λ_{max} : 223, 285 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

PF-CBP1 is supplied as a crystalline solid. A stock solution may be made by dissolving the PF-CBP1 in the solvent of choice, which should be purged with an inert gas. PF-CBP1 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of PF-CBP1 in DMF is approximately 30 mg/ml and approximately 20 mg/ml in ethanol and DMSO.

PF-CBP1 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, PF-CBP1 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. PF-CBP1 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

CREB-binding protein (CBP) and E1A-associated protein p300 are transcriptional co-activators that modulate DNA replication, DNA repair, cell growth, transformation, and development.^{1,2} Both CBP and p300 contain bromodomains, which mediate their binding to acetylated lysine residues on histones and other proteins.³ PF-CBP1 is an inhibitor of the CBP and p300 bromodomains (IC_{50} s = 125 and 363 nM, respectively).⁴ It displays greater than 100-fold selectivity for the bromodomain of CBP over those of BRD4 and a panel of other proteins.⁴ PF-CBP1 reduces the expression of inflammatory cytokines by LPS-stimulated primary macrophages.⁴ It also suppresses the expression of RGS4 (regulator of G protein signaling 4) in primary cortical neurons.⁴

References

1. Iyer, N.G., Özdag, H., and Caldas, C. p300/CBP and cancer. *Oncogene* **23**, 4225-4231 (2004).
2. Kalkhoven, E. CBP and p300: HATs for different occasions. *Biochem. Pharmacol.* **68**, 1145-1155 (2004).
3. Zeng, L., Zhang, Q., Gerona-Navarro, G., *et al.* Structural basis of site-specific histone recognition by the bromodomains of human coactivators PCAF and CBP/p300. *Structure* **16**(4), 643-652 (2008).
4. Piatnitski Chekler, E.L., Pellegrino, J.A., Lanz, T.A., *et al.* Transcriptional profiling of a selective CREB binding protein bromodomain inhibitor highlights therapeutic opportunities. *Chem. Biol.* **22**(12), 1588-1596 (2015).

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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