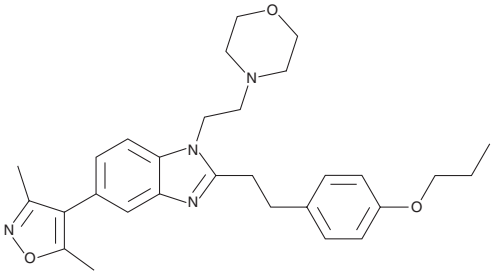
PRODUCT INFORMATION

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:	≥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).

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