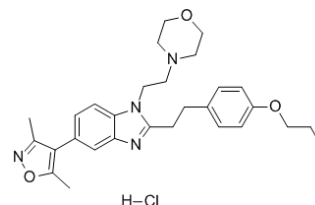


Data Sheet

Product Name:	PF-CBP1 (hydrochloride)
Cat. No.:	HY-19999A
CAS No.:	2070014-93-4
Molecular Formula:	C ₂₉ H ₃₇ N ₄ O ₃
Molecular Weight:	525.08
Target:	Epigenetic Reader Domain
Pathway:	Epigenetics
Solubility:	DMSO: ≥ 34 mg/mL



BIOLOGICAL ACTIVITY:

PF-CBP1 hydrochloride is a highly selective inhibitor of the CREB binding protein bromodomain.

Target: CREB

in vitro: PF-CBP1 modulates key inflammatory genes in primary macrophages. PF-CBP1 downregulates RGS4 in neurons, a target linked to Parkinson's disease. PF-CBP1 is 139-fold selective over BRD4 in the biochemical assays and >105-fold selective by ITC.

F-CBP1 is also a potent inhibitor of EP300 (a result observed for other CBP inhibitors. [1])

PROTOCOL (Extracted from published papers and Only for reference)

Assay [1]

Bone marrow-derived macrophages were differentiated from bone marrow extracted from the femur and tibia of 6- to 8-week-old female C57BL/6 mice using 5 ng/ml each of recombinant macrophage colony stimulating factor and IL-3 (Peprotech) for 7 days. 2 × 10⁵ cells were seeded in triplicate in a 24-well plate. Macrophages were pre-incubated with compounds (PF-CBP1, INOX-INACT, and ISOX-DUAL) dissolved in DMSO or a DMSO vehicle control for 30 min prior to stimulation. Following pre-incubation, cells were activated with LPS (Escherichia coli 0111:B4, 100 ng/ml) or medium control in the presence of PF-CBP1, INOX-INACT, and ISOX-DUAL for 4 hr. Culture medium was collected and analyzed with the Cell Titer Glo Kit.

References:

[1]. Chekler EL, et al. Transcriptional Profiling of a Selective CREB Binding Protein Bromodomain Inhibitor Highlights Therapeutic Opportunities. Chem Biol. 2015 Dec 17;22(12):1588-96.

Caution: Product has not been fully validated for medical applications. For research use only.

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