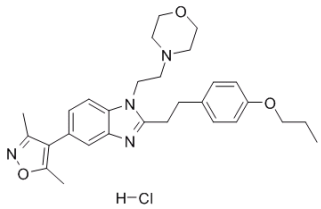
Data Sheet

Product Name:	PF–CBP1 (hydrochloride)
Cat. No.:	HY-19999A
CAS No.:	2070014-93-4
Molecular Formula:	$C_{29}H_{37}ClN_4O_3$
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.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA