PF-CBP1 hydrochloride

Cat. No.: HY-19999A

CAS No.: 2070014-93-4

Molecular Formula: $C_{29}H_{37}ClN_4O_3$

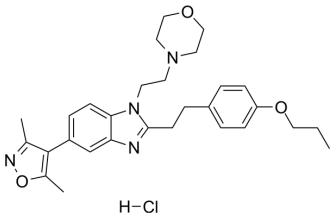
Molecular Weight: 525.08

Target: Epigenetic Reader Domain; Histone Acetyltransferase

Pathway: Epigenetics

Storage: 4°C, sealed storage, away from moisture

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (190.45 mM; Need ultrasonic)

H_2O : < 0.1 mg/mL (insoluble)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.9045 mL	9.5224 mL	19.0447 mL
	5 mM	0.3809 mL	1.9045 mL	3.8089 mL
	10 mM	0.1904 mL	0.9522 mL	1.9045 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 100 mg/mL (190.45 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (4.76 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (4.76 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.76 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

PF-CBP1 hydrochloride is a highly selective inhibitor of the CREB binding protein bromodomain (CBP BRD). PF-CBP1 inhibits CREBBP and EP300 bromodomains with IC_{50} of 125 nM and 363 nM respectively. PF-CBP1 hydrochloride reduces LPS-induced inflammatory cytokines expression (IL-1β, IL-6 and IFN-β) in primary macrophages. PF-CBP1 hydrochloride also downregulates RGS4 expression cortical neurons and can be used for the research of neurological disorders, including epilepsy and parkinson's disease, et al[1].

IC_{50} & Target	CREBBP	EP300	CBP	BRD4
	125 nM (IC_{50})	363 nM (IC_{50})	.19 μM (Kd)	20 μM (Kd)

In Vitro

ITC is the label-free technique for determining K_D values, PF-CBP1 is against CBP (K_d=0.19 μM) and >105-fold selective over BRD4 (K_d>20 μM) by ITC[1].

PF-CBP1 displays greater than 100-fold selectivity for the bromodomain of CBP over those of BRD4 and a panel of other proteins, it against BRD2-1,BRD3-1, BRD3-2,BRD4-1, BRD4-2, BRDT-1, TAF1-2, and TAF1L-2 with IC_{50} values of 1.24 μM, 1.38 μM, 4.22 μM, 1.54 μM, 9.75 μM, 2.44 μM, 3.39 μM and 7.29 μM, respectively[1].

PF-CBP1 (3-10 μM; pretreatment 30 mins; 4 hours) moderately reduces LPS-induced IL-6 and IFN-b expression in the J774 cell at 10 μM. And it decreases IL-1b expression evidently at 3 μM[1].

PF-CBP1 (100 nM-1000 nM;24 hours) significantly reduced RGS4 mRNA levels(49% reduction) relative to vehicle in cortical neuron cells[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Biochem Pharmacol. 31 October 2022, 115334.

See more customer validations on www.MedChemExpress.com

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