Product Data Sheet

Product Name: PF-CBP1
Cat. No.: GC15152

Chemical Properties

Cas. No.	1962928-21-7		
Chemical Name	4-(2-(5-(3,5-dimethylisoxazol-4-yl)-2-(4-propoxyphenethyl)-1H-benzo[d]imidazol-1-yl)ethyl)morpholine hydrochloride		
SMILES	CCCOC1=CC=C(CCC2=NC3=CC(C4=C(C)ON=C4C)=CC=C3N2CCN5CCOCC5)C=C1.Cl		
Formula	$C_{29}H_{37}ClN_4O_3$	M.Wt	525.08
Solubility	≥ 52.5mg/mL in DMSO	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	PF-CBP1		

Background

IC50: 125 and 363 nM for CREBBP and p300 bromodomain, respectively

PF-CBP1 is a CBP/p300 bromodomain inhibitor.

Bromodomains are reported to be involved in transcriptional regulation via the recognition of acetyl lysine modifications. However, selective bromodomain modulators are still lacking, though the hydrophobic pocket makes bromodomains attractive targets.

In vitro: PF-CBP1 showed a significant reduction in BRD4 potency, while retained CBP affinity. In order to evaluate compound-related cytotoxicity during gene transcription, primary macrophages were stimulated with LPS and were further analyzed to determine the cell viability. Results showed that PF-CBP1 and the negative control ISOX-INACT did not cause cytotoxicity even at high concentrations up to 30 mM. In addition, PF-CBP1 at 10 mM was able to moderately reduce LPS-induced IL-6 and IFN-b expression, and a decrease in IL-1b expression was observed at 3 mM. Moreover, the rat primary cortical neurons were treated with PF-CBP1, vehicle, or the negative control ISOX-INACT, and the results showed that at 1 hr, there were no changes in RGS4 expression compared with vehicle. However, the treatment of PF-CBP1 at 10-fold IC50 dose could significantly reduce RGS4 mRNA levels at 24 hr when compared with that of vehicle

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[1].

In vivo: So far, there is no animal in vivo data reported.

Clinical trial: Up to now, PF-CBP1 is still in the preclinical development stage.

Reference:
[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.