



# SZABO SCANDIC

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### Lieferung & Zahlungsart

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### Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

### SZABO-SCANDIC HandelsgmbH

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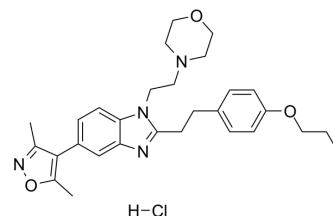
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## PF-CBP1 hydrochloride

|                    |                                                                                     |
|--------------------|-------------------------------------------------------------------------------------|
| Cat. No.:          | HY-19999A                                                                           |
| CAS No.:           | 2070014-93-4                                                                        |
| Molecular Formula: | C <sub>29</sub> H <sub>37</sub> ClN <sub>4</sub> O <sub>3</sub>                     |
| 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<sub>2</sub>O : < 0.1 mg/mL (insoluble)

|                              | Solvent<br>Concentration | Mass | 1 mg      | 5 mg      | 10 mg      |
|------------------------------|--------------------------|------|-----------|-----------|------------|
|                              |                          |      |           |           |            |
| Preparing<br>Stock Solutions | 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<sub>50</sub> 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<sup>[1]</sup>.

| IC <sub>50</sub> & Target | CREBBP<br>125 nM (IC <sub>50</sub> )                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                           | EP300<br>363 nM (IC <sub>50</sub> ) | CBP<br>.19 μM (K <sub>d</sub> ) | BRD4<br>20 μM (K <sub>d</sub> ) |
|---------------------------|----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|-------------------------------------|---------------------------------|---------------------------------|
| In Vitro                  | <p>ITC is the label-free technique for determining K<sub>D</sub> values, PF-CBP1 is against CBP (K<sub>d</sub>=0.19 μM) and &gt;105-fold selective over BRD4 (K<sub>d</sub>&gt;20 μM) by ITC<sup>[1]</sup>.</p> <p>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<sub>50</sub> 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<sup>[1]</sup>.</p> <p>PF-CBP1 (3-10 μM; pretreatment 30 mins; 4 hours) moderately reduces LPS-induced IL-6 and IFN-β expression in the J774 cell at 10 μM. And it decreases IL-1β expression evidently at 3 μM<sup>[1]</sup>.</p> <p>PF-CBP1 (100 nM-1000 nM; 24 hours) significantly reduced RGS4 mRNA levels (49% reduction) relative to vehicle in cortical neuron cells<sup>[1]</sup>.</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> |                                     |                                 |                                 |

## CUSTOMER VALIDATION

- Biochem Pharmacol. 31 October 2022, 115334.

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## 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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