**PFI-1**

**Cat. No.:** HY-16586  
**CAS No.:** 1403764-72-6  
**Molecular Formula:** C_{16}H_{17}N_{3}O_{4}S  
**Molecular Weight:** 347.39  
**Target:** Epigenetic Reader Domain; Autophagy; Apoptosis  
**Pathway:** Epigenetics; Autophagy; Apoptosis  
**Storage:**  
- Powder: -20°C 3 years, 4°C 2 years  
- In solvent: -80°C 6 months, -20°C 1 month

**SOLVENT & SOLUBILITY**

**In Vitro**  
DMSO: 33.33 mg/mL (95.94 mM; Need ultrasonic)

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>Solvent Concentration</th>
<th>Mass (1 mg)</th>
<th>Mass (5 mg)</th>
<th>Mass (10 mg)</th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td></td>
<td>1 mM</td>
<td>5 mM</td>
<td>10 mM</td>
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<tr>
<td></td>
<td></td>
<td>1 mM</td>
<td>2.8786 mL</td>
<td>14.3930 mL</td>
</tr>
<tr>
<td></td>
<td></td>
<td>5 mM</td>
<td>0.5757 mL</td>
<td>2.8786 mL</td>
</tr>
<tr>
<td></td>
<td></td>
<td>10 mM</td>
<td>0.2879 mL</td>
<td>1.4393 mL</td>
</tr>
</tbody>
</table>

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

**In Vivo**  
1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline  
Solubility: ≥ 2.5 mg/mL (7.20 mM); Clear solution  
2. Add each solvent one by one: 10% DMSO >> 90% corn oil  
Solubility: ≥ 2.5 mg/mL (7.20 mM); Clear solution

**BIOLOGICAL ACTIVITY**

**Description**  
PFI-1 is a selective BET (bromodomain-containing protein) inhibitor for BRD4 with IC_{50} of 0.22 μM in a cell-free assay.

**IC_{50} & Target**  
IC_{50}: 0.22 μM (BRD4)

**In Vitro**  
PFI-1 has antiproliferative effects on leukemic cell lines and efficiently abrogates their clonogenic growth. Exposure of sensitive cell lines with PFI-1 results in G1 cell-cycle arrest, downregulation of MYC expression, as well as induction of apoptosis and induces differentiation of primary leukemic blasts. Cells exposed to PFI-1 show significant downregulation of Aurora B kinase, thus attenuating phosphorylation of the Aurora substrate H3S10, providing an alternative strategy for the specific inhibition of this well-established oncology target[1]. PFI-1 binds to with cyclic...
AMP response binding protein with $K_d$ of 49 μM. PFI-1 has an $EC_{50}$ of 1.89 μM for the inhibition of IL6 production from human blood mononuclear cells stimulated by LPS\(^2\). PFI-1 induces dose-dependent reduction of cell viability in T4302 CD133\(^+\) cells\(^3\). PFI-1 inhibits the proliferating of three NET cell lines (Bon-1 derived from a pancreatic NET, and H727 and H720 derived from lung NETs\(^4\)).

### In Vivo
PFI-1 administrated (1 mg/kg, i.v.) in the rat results in the volume of distribution of 1 L/kg, the plasma clearance of 18 mL/min/kg and half-life of 1 hour. PFI-1 oral dosed (2 mg/kg) in the rat results in the oral bioavailability as low as 32%. PFI-1 administrated (2 mg/kg, s.c.) in the mouse results in a Cmax of 58 ng/mL with a Tmax of 1 h and a half-life of approximately 2 hours\(^2\).

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**REFERENCES**


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

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