PFI-1

Cat. No.: HY-16586
CAS No.: 1403764-72-6
Molecular Formula: C₁₆H₁₇N₃O₄S
Molecular Weight: 347.39
Target: Epigenetic Reader Domain
Pathway: Epigenetics
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>1 mM</td>
<td>2.8786 mL</td>
<td>14.3930 mL</td>
<td>28.7861 mL</td>
<td></td>
</tr>
<tr>
<td>5 mM</td>
<td>0.5757 mL</td>
<td>2.8786 mL</td>
<td>5.7572 mL</td>
<td></td>
</tr>
<tr>
<td>10 mM</td>
<td>0.2879 mL</td>
<td>1.4393 mL</td>
<td>2.8786 mL</td>
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</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 IC₅₀ of 0.22 µM in a cell-free assay.

IC₅₀ & Target
IC₅₀: 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 $\mu$M. PFI-1 has an EC$_{50}$ of 1.89 $\mu$M 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$^4$).

<table>
<thead>
<tr>
<th>In Vivo</th>
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</thead>
<tbody>
<tr>
<td>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$^2$.</td>
</tr>
</tbody>
</table>

CUSTOMER VALIDATION


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REFERENCES


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

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