CPI-169

Cat. No.: HY-15956A
CAS No.: 1802175-07-0
Molecular Formula: C_{27}H_{36}N_{4}O_{5}S
Molecular Weight: 528.66
Target: Histone Methyltransferase
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 : ≥ 100 mg/mL (189.16 mM)
* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

<table>
<thead>
<tr>
<th>Solvent</th>
<th>Mass</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td></td>
<td>1.8916 mL</td>
<td>9.4579 mL</td>
<td>18.9157 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td></td>
<td>0.3783 mL</td>
<td>1.8916 mL</td>
<td>3.7832 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td></td>
<td>0.1892 mL</td>
<td>0.9458 mL</td>
<td>1.8916 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 (4.73 mM); Clear solution

2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (4.73 mM); Clear solution

3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.73 mM); Clear solution

BIOLOGICAL ACTIVITY

Description
CPI-169 (CPI 169 R-enantiomer) is a novel and potent EZH2 inhibitor, with IC_{50}s of 0.24 nM, 0.51 nM, and 6.1 nM for EZH2 WT, EZH2 Y641N, and EZH1, respectively.

IC_{50} & Target

<table>
<thead>
<tr>
<th></th>
<th>EZH2 WT</th>
<th>EZH2 Y641N</th>
<th>EZH1</th>
</tr>
</thead>
<tbody>
<tr>
<td>IC_{50}</td>
<td>0.24 nM</td>
<td>0.51 nM</td>
<td>6.1 nM</td>
</tr>
</tbody>
</table>

Product Data Sheet
### In Vitro

CPI-169 (CPI 169 R-enantiomer) inhibits the catalytic activity of PRC2 with an IC\(_{50}\) of < 1nM, decreases cellular levels of H3K27me3 with an EC\(_{50}\) of 70 nM, and triggers cell cycle arrest and apoptosis in a variety of cell lines\(^1\). In KARPAS-422 cells, CPI-169 shows a dose-dependent inhibitory effect on cell viability, and produces synergy anti-proliferative activity when used in combination with ABT-199. In 16 out of 25 NHL cell lines, CPI-169 also suppresses cell growth with GI\(_{50}\) of <5 \(\mu\)M\(^2\).

### In Vivo

CPI-169 (CPI 169 R-enantiomer) (200 mpk, s.c. BID) is well tolerated in mice with no observed toxic effect or body weight loss. CPI-169 treatment leads to tumor growth inhibition (TGI) of an EZH2 mutant KARPAS-422 DLBCL xenograft. CPI-169 (100 mpk, BID) with a single dose of CHOP leads tumors to rapidly regress and become unpalpable\(^1\). In mice bearing KARPAS-422 xenografts, CPI-169 (200 mg/kg, s.c.) effectively suppresses H3K27me3 levels and results in lymphoma tumor regression without affecting body weight or causing any overt adverse effects \(^2\).

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### REFERENCES
