EPZ031686

Cat. No.: HY-19324
CAS No.: 1808011-22-4
Molecular Formula: C₂₆H₃₄ClF₃N₄O₄S
Molecular Weight: 591.09
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 : ≥ 5.9 mg/mL (9.98 mM)
* “≥” means soluble, but saturation unknown.

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>Solvent Concentration</th>
<th>Mass</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td>1 mM</td>
<td></td>
<td>1.6918 mL</td>
<td>8.4589 mL</td>
<td>16.9179 mL</td>
</tr>
<tr>
<td></td>
<td>5 mM</td>
<td></td>
<td>0.3384 mL</td>
<td>1.6918 mL</td>
<td>3.3836 mL</td>
</tr>
<tr>
<td></td>
<td>10 mM</td>
<td></td>
<td>0.1692 mL</td>
<td>0.8459 mL</td>
<td>1.6918 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: 30% PEG300 >> 70% (20% SBE-β-CD in saline)
Solubility: 10 mg/mL (16.92 mM); Suspended solution; Need ultrasonic and warming

BIOLOGICAL ACTIVITY

Description
EPZ031686 is a noncompetitive inhibitor for SMYD3 and MEKK2 with a Ki=1.2 and 1.1 nM respectively. In vitro: EPZ031686 have a cellular potency at a level sufficient to probe the in vitro biology of SMYD3 inhibition. The first SMYD3 inhibitor identify to show double-digit nanomolar cellular activity. EPZ031686 show < 30% inhibition against 16 histone methyltransferase targets at a 10 uM screening concentration. In vivo: EPZ031686 show good bioavailability following oral dosing in mice. The administration for mice is 1 mg/kg by i.v.

REFERENCES

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