SEL120-34A monohydrochloride

Cat. No.: HY-111388A
Molecular Formula: C₁₅H₁₉Br₂ClN₄
Molecular Weight: 450.6
Target: CDK
Pathway: Cell Cycle/DNA Damage
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 : 13 mg/mL (28.85 mM; Need ultrasonic)

<table>
<thead>
<tr>
<th></th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td>2.2193 mL</td>
<td>11.0963 mL</td>
<td>22.1926 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td>0.4439 mL</td>
<td>2.2193 mL</td>
<td>4.4385 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td>0.2219 mL</td>
<td>1.1096 mL</td>
<td>2.2193 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: ≥ 1.3 mg/mL (2.89 mM); Clear solution

2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 1.3 mg/mL (2.89 mM); Clear solution

3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 1.3 mg/mL (2.89 mM); Clear solution

BIOLOGICAL ACTIVITY

Description
SEL120-34A monohydrochloride is an ATP-competitive and selective CDK8 inhibitor, inhibits kinase activities of CDK8/CycC and CDK19/CycC complexes with IC₅₀s of 4.4 nM and 10.4 nM, respectively, with a Kᵩ of 3 nM for CDK8. SEL120-34A monohydrochloride weakly inhibits CDK9 (calculated IC₅₀ = 1070 nM), but shows no obvious activity against CDK1, 2, 4, 6, 5, 7. SEL120-34A monohydrochloride inhibits phosphorylation of STAT1 S727 and STAT5 S726[1]. Has anti-tumor activity[1].

IC₅₀ & Target

<table>
<thead>
<tr>
<th></th>
<th>CDK8/CycC</th>
<th>CDK19/CycC</th>
<th>CDK9/cycT</th>
</tr>
</thead>
<tbody>
<tr>
<td>IC₅₀</td>
<td>4.4 nM (IC₅₀)</td>
<td>10.4 nM (IC₅₀)</td>
<td>1070 nM (IC₅₀)</td>
</tr>
</tbody>
</table>
**In Vitro**

SEL120-34A monohydrochloride is an ATP-competitive and selective CDK8 inhibitor, inhibits kinase activities of CDK8/CycC and CDK19/CycC complexes with IC₅₀s of 4.4 nM and 10.4 nM, respectively, with a Kᵣ of 3 nM for CDK8. SEL120-34A monohydrochloride weakly inhibits CDK9 (calculated IC₅₀ = 1070 nM), but shows no obvious activity against CDK1, 2, 4, 6, 5, 7[^1].

SEL120-34A (1.6 nM-5 μM) inhibits the growth of STAT5 S726 positive KG-1 AML cells, but is not cytotoxic to S726 negative MOLM13 AML cells[^1].

SEL120-34A monohydrochloride inhibits phosphorylation of STAT1 S727 and STAT5 S726, decreases IRF9 and STAT1 mRNA expression and mitogen-induced IER expression[^1].

**In Vivo**

SEL120-34A monohydrochloride (30, 60 mg/kg, p.o. once every day) inhibits growth of AML tumors in a dose-dependent manner in SCID mice after treatment for 17 days[^1].

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**REFERENCES**

[^1]: Rzymski T, et al. SEL120-34A is a novel CDK8 inhibitor active in AML cells with high levels of serine phosphorylation of STAT1 and STAT5 transactivation domains. Oncotarget. 2017 May 16;8(20):33779-33795.