Atuveciclub Racemate

Cat. No.: HY-12871
CAS No.: 1414943-88-6
Molecular Formula: C₁₈H₁₈FN₅O₂S
Molecular Weight: 387.43
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: 100 mg/mL (258.11 mM; Need ultrasonic)

<table>
<thead>
<tr>
<th>Concentration</th>
<th>Mass (mL)</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mg</td>
<td>2.5811 mL</td>
</tr>
<tr>
<td>5 mg</td>
<td>12.9056 mL</td>
</tr>
<tr>
<td>10 mg</td>
<td>25.8111 mL</td>
</tr>
<tr>
<td>1 mM</td>
<td>2.5811 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td>12.9056 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td>25.8111 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 (6.45 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.45 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.45 mM); Clear solution

BIOLOGICAL ACTIVITY

Description
Atuveciclub Racemate (BAY-1143572 Racemate) is the racemate mixture of Atuveciclub. Atuveciclub is a potent and highly selective, oral P-TEFb/CDK9 inhibitor which suppresses CDK9/CycT1 with an IC₅₀ of 13 nM.

IC₅₀ & Target
CDK9

In Vitro
Atuveciclub (BAY-1143572) inhibits the proliferation of 7 MLL-rearrangements positive and negative AML cell lines with a median IC₅₀ of 385 nM (range 230-1100 nM) and induces apoptosis[1]. Atuveciclub (BAY-1143572) has potent and highly
selective PTEFb-kinase inhibitory activity in the low nanomolar range against PTEFb/CDK9 and an at least 50-fold selectivity against other CDKs. Atuveciclib (BAY-1143572) shows a favorable selectivity against a panel of non-CDK kinases. It shows broad antiproliferative activity against a panel of tumor cell lines with sub-micromolar IC\textsubscript{50} values. The concentration-dependent inhibition of the phosphorylation of the RNA polymerase II and downstream reduction of MYC mRNA and protein levels is observed\textsuperscript{[2]}.

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

| In Vivo | Atuveciclib (BAY-1143572) exhibits single agent efficacy at tolerated doses in 4 out of 5 AML xenograft tumor models in mice and in 2 out of 2 AML xenograft tumor models in rats upon once daily oral administration. Partial or even complete remissions could be achieved in several models\textsuperscript{[1]}. The inhibition of MYC mRNA is also observed in blood cells of Atuveciclib (BAY-1143572)-treated rats indicating the potential clinical utility of MYC in blood cells as a pharmacodynamic marker in clinical development. The in vivo efficacy of Atuveciclib (BAY-1143572) is significantly enhanced in combination with several chemotherapeutics in different solid tumor models\textsuperscript{[2]}.

MCE has not independently confirmed the accuracy of these methods. They are for reference only. |

---

**REFERENCES**


---

**CUSTOMER VALIDATION**


See more customer validations on [www.MedChemExpress.com](http://www.MedChemExpress.com)