CCT020312

Cat. No.: HY-119240
CAS No.: 324759-76-4
Molecular Formula: C₃₁H₃₀Br₂N₄O₂
Molecular Weight: 650.4
Target: PERK; Autophagy
Pathway: Cell Cycle/DNA Damage; Autophagy
Storage: Powder -20°C 3 years
In solvent -80°C 6 months
-20°C 1 month

SOLVENT & SOLUBILITY

In Vitro
DMSO : 100 mg/mL (153.75 mM; Need ultrasonic)

Preparing Stock Solutions

<table>
<thead>
<tr>
<th>Solvent Concentration</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td>1.5375 mL</td>
<td>7.6876 mL</td>
<td>15.3752 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td>0.3075 mL</td>
<td>1.5375 mL</td>
<td>3.0750 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td>0.1538 mL</td>
<td>0.7688 mL</td>
<td>1.5375 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: ≥ 2.08 mg/mL (3.20 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.08 mg/mL (3.20 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (3.20 mM); Clear solution

BIOLOGICAL ACTIVITY

Description
CCT020312 is a selective EIF2AK3/PERK activator. CCT020312 elicits EIF2A phosphorylation in cells.

IC₅₀ & Target
EIF2AK3/PERK[1][2].

In Vitro
Treatment of HT29 cells with CCT020312 for 24 hours reveals a concentration-dependent loss of P-S608-pRB signal, with a linear response between 1.8 and 6.1 μM[1]. CCT020312 treatment effectively inhibits cell proliferation (as measured at 96 hours) even if treatment is for 2 hours only with subsequent compound washout, indicating that CCT020312 is capable of eliciting durable rather than transient...
cytostasis\[1\]. Treatment of HT29 cells with 10 μM CCT020312 for 24 hours reduces the amount of the G1/S cyclins D1, D2, E and A as well as the CDK catalytic subunit CDK2 and increased the level of the CDK inhibitor p27KIP1 present in such cells\[1\]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

**In Vivo**

Treatment of 15-week-old wildtype mice with the PERK activator CCT020312 (1-5 mg/kg; i.p.; once daily for 3 days) leads to increased levels of phosphorylated PERK and NRF2 in brain homogenates\[2\]. P301S transgenic mice treated with CCT020312 (2 mg/kg; i.p.; once daily for 6 weeks) performs significantly better in Morris water maze\[2\]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

<table>
<thead>
<tr>
<th>Animal Model:</th>
<th>9-week-old P301S tau transgenic mice[2]</th>
</tr>
</thead>
<tbody>
<tr>
<td>Dosage:</td>
<td>2 mg/kg</td>
</tr>
<tr>
<td>Administration:</td>
<td>Intraperitoneal injection; once daily for 6 weeks</td>
</tr>
<tr>
<td>Result:</td>
<td>P301S transgenic mice treated with CCT020312 performed significantly better in Morris water maze.</td>
</tr>
</tbody>
</table>

**CUSTOMER VALIDATION**

- Front Pharmacol. 2020 May 19;11:737.
- Biochem Biophys Res Commun. 557 (2021) 316-322.

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**REFERENCES**


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

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