CX-4945 (Silmitasertib)

Cat. No.: A8330
CAS No.: 1009820-21-6
Formula: C19H12ClN3O2
M.Wt: 349.77
Synonyms: CX 4945; CX4945
Target: PI3K/Akt/mTOR Signaling
Pathway: CK2
Storage: Store at -20°C

Solvent & Solubility

<table>
<thead>
<tr>
<th>In Vitro</th>
<th>Preparing Stock Solutions</th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td>Mass</td>
</tr>
<tr>
<td></td>
<td>Solvent Concentration</td>
</tr>
<tr>
<td></td>
<td>1 mM</td>
</tr>
<tr>
<td></td>
<td>5 mM</td>
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<tr>
<td></td>
<td>10 mM</td>
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</table>

≥8.74 mg/mL in DMSO

Please refer to the solubility information to select the appropriate solvent.

Biological Activity

Shortsummary: CK2 inhibitor
IC₅₀ & Target: 1 nM (CK2α), 1 nM (CK2α')

Cell Viability Assay

<table>
<thead>
<tr>
<th>In Vitro</th>
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</thead>
<tbody>
<tr>
<td>Cell Line: Jurkat cells</td>
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<tr>
<td>Preparation method: The solubility of this compound in DMSO is &gt;10 mM. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.</td>
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<tr>
<td>Reacting conditions: 4d; IC₅₀=0.1 μM</td>
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<td>Applications: CK2 inhibition was confirmed by measuring the phosphorylation level of the</td>
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</table>
CK2 specific phosphorylation site on Akt (S129). CX-4945 induced dephosphorylation of Akt (S129) and a rapid dephosphorylation of the Akt substrate p21 (T145). Apoptosis was induced by CX-4945. CX-4945 was also found to potently inhibit endogenous intracellular CK2 activity with an IC50 of 0.1 μM in Jurkat cells.

### Animal experiment

**Animal models:**

- Athymic mice

**Dosage form:**

- 75 mg/kg; bid; oral taken

**Applications:**

CX-4945 was tested for in vivo efficacy in established human prostate PC3 xenograft model in athymic mice. Mice bearing subcutaneous PC3 tumors were treated with CX-4945 (25 mg/kg, 50 mg/kg, and 75 mg/kg, p.o, bid). CX-4945 demonstrated tumor growth inhibition (TGI = 19%, 40%, and 86%, respectively) compared to vehicle treated control, and a dose responsive efficacy was observed. Last, CX-4945 was well tolerated in mice as assessed by minimal changes in body weight during the course of treatment compared to vehicle control.

**Other notes:**

- Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

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### Product Citations


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### References

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