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

≥103.5 mg/mL in DMSO; insoluble in H2O; insoluble in EtOH

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>Mass</th>
<th>1mg</th>
<th>5mg</th>
<th>10mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>Solvent Concentration</td>
<td></td>
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</tr>
<tr>
<td></td>
<td>1 mM</td>
<td>2.8590 mL</td>
<td>14.2951 mL</td>
<td>28.5902 mL</td>
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<tr>
<td></td>
<td>5 mM</td>
<td>0.5718 mL</td>
<td>2.8590 mL</td>
<td>5.7180 mL</td>
</tr>
<tr>
<td></td>
<td>10 mM</td>
<td>0.2859 mL</td>
<td>1.4295 mL</td>
<td>2.8590 mL</td>
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</tbody>
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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

Cell Line: Jurkat cells
Preparation method: The solubility of this compound in DMSO is >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.
Reacting conditions: 4d; IC50=0.1 μM
CK2 inhibition was confirmed by measuring the phosphorylation level of the 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

<table>
<thead>
<tr>
<th>Animal models:</th>
<th>Athymic mice</th>
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<tbody>
<tr>
<td>Dosage form:</td>
<td>75 mg/kg; bid; oral taken</td>
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</tbody>
</table>

**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.

### References


Caution

FOR RESEARCH PURPOSES ONLY.
NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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