Chemical Properties

Product Name: CX-4945 (Silmitasertib)

Cas No.: 1009820-21-6

M.Wt: 349.77

Formula: C19H12ClN3O2

Synonyms: CX 4945; CX4945

Chemical Name: 5-(3-chloroanilino)benzo[c][2,6]naphthyridine-8-carboxylic acid

Canonical SMILES: C1=CC(=CC(C1)Cl)NC2=C3C=CN=C3=C4C=CC=C(CC=C(N2)C(=O)O

Solubility: ≥8.74mg/mL in DMSO

Storage: Store at -20°C

General tips: For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shopping Condition: Evaluation sample solution: ship with blue ice
All other available size: ship with RT, or blue ice upon request

Biological Activity

Targets: PI3K/Akt/mTOR Signaling

Pathways: CK2

Description:

CX-4945 (Silmitasertib) is a potent and selective casein kinase 2 (CK2) inhibitor with IC50 value of 1 nM. It is ATP-competitive and can be taken orally [1]. CX-4945 has been reported to have antiproliferative activity against a wide range of tumor cell lines. It is suggested that CX-4945 suppresses the CK2 regulated PI3K/Akt signaling pathway by inhibiting Akt phosphorylation at Serine 129, but not by activating PTEN. Additionally, cells treated with CX-4945 had a reduction of p21 phosphorylation and an up-regulations of total p21 and p27. CX-4945 has been shown to induce cell-cycle arrest at G2/M phase in breast cancer cell
line BT-474. It also causes cell-cycle arrest at G1 phase the breast cancer cell line BxPC-3) [1]. In CX-4945 and BxPC-3 derived mouse xenograft model, CX-4945 induced a reduction of phos-p21 expression along with anti-carcinoma effects [1]

**Reference:**

### Protocol

#### Cell experiment:

<table>
<thead>
<tr>
<th>Cell lines</th>
<th>Jurkat cells</th>
</tr>
</thead>
<tbody>
<tr>
<td>Preparation method</td>
<td>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>
</tr>
<tr>
<td>Reacting conditions</td>
<td>4d; IC50=0.1 μM</td>
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<tr>
<td>Applications</td>
<td>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.</td>
</tr>
</tbody>
</table>

#### Animal experiment [3]:

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

Reference:


Product Citations

   PMID:29337146
   PMID:28223413
   PMID:27909846
   PMID:27098015

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.