Tanzisertib  

**Cat. No.:** HY-15495  
**CAS No.:** 899805-25-5  
**Molecular Formula:** C₂₁H₂₃F₃N₆O₂  
**Molecular Weight:** 448.44  
**Target:** JNK  
**Pathway:** MAPK/ERK Pathway  

**Storage:**  
- Powder: -20°C 3 years, 4°C 2 years  
- In solvent: -80°C 6 months, -20°C 1 month

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**SOLVENT & SOLUBILITY**

**In Vitro**  
DMSO : ≥ 33 mg/mL (73.59 mM)  
* "≥" means soluble, but saturation unknown.

<table>
<thead>
<tr>
<th>Solvent</th>
<th>Mass 1 mg</th>
<th>Mass 5 mg</th>
<th>Mass 10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td>2.2300 mL</td>
<td>11.1498 mL</td>
<td>22.2995 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td>0.4460 mL</td>
<td>2.2300 mL</td>
<td>4.4599 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td>0.2230 mL</td>
<td>1.1150 mL</td>
<td>2.2300 mL</td>
</tr>
</tbody>
</table>

Preparing Stock Solutions

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 (5.57 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)  
   Solubility: ≥ 2.5 mg/mL (5.57 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil  
   Solubility: ≥ 2.5 mg/mL (5.57 mM); Clear solution

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**BIOLOGICAL ACTIVITY**

**Description**

Tanzisertib (CC-930) is a potent JNK1/2/3 inhibitor with IC₅₀ of 61/7/6 nM, respectively.

**IC₅₀ & Target**

<table>
<thead>
<tr>
<th>JNK3</th>
<th>JNK2</th>
<th>JNK1</th>
</tr>
</thead>
<tbody>
<tr>
<td>6 nM (IC₅₀)</td>
<td>7 nM (IC₅₀)</td>
<td>61 nM (IC₅₀)</td>
</tr>
</tbody>
</table>
In Vitro

Tanzisertib (CC-930) inhibits the formation of phospho-cJun in human PBMC stimulated by phorbol-12-myristate-13-acetate and phytohemeagglutinin (IC$_{50}$ = 1 μM)$^{[1]}$. Tanzisertib (CC-930) (1-2 μM) substantially reduces hepatocyte apoptosis and necrosis, abrogates apoptosis and necrosis in FC-loaded WT hepatocytes$^{[2]}$. Tanzisertib (CC-930) blocks the JNK pathway that is activated by pro-fibrotic cytokines in systemic sclerosis$^{[3]}$.

In Vivo

Tanzisertib (CC-930) (10 and 30 mg/kg, p.o.) inhibits the production of TNFa by 23% and 77% in the acute rat LPS-induced TNFa production PK-PD model$^{[1]}$. Tanzisertib (CC-930) (150 mg/kg) prevents the development of fibrosis in different models, but can also induce the regression of pre-existing fibrosis$^{[3]}$.

PROTOCOL

Cell Assay$^{[3]}$

Systemic sclerosis (SSc) fibroblasts are incubated with 1 μM Tanzisertib (CC-930) in 96-well plates for 20 h. Then MTT is added at a final concentration of 1 mg/mL, and the cells are further incubated at 37°C for 4 h. Mock-treated fibroblasts are used as controls, and all other results are normalised to untreated cells.

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

Animal Administration$^{[3]}$

To evaluate the regression of fibrosis on inhibition of JNK, a modified model of bleomycin-induced dermal fibrosis is used. In this model, treatment is initiated 3 weeks after the beginning of the challenge with bleomycin, when significant dermal fibrosis is already established. The outcome of six different groups with a total number of 40 mice is analysed. The first group of mice receive subcutaneous injections of NaCl for 6 weeks. The second group is injected for 3 weeks with bleomycin followed by injections of NaCl for another 3 weeks to analyse the degree of fibrosis before treatment, and to control the spontaneous regression of fibrosis. The third group of mice is killed after 6 weeks of injections with bleomycin. The fourth and the fifth group are treated with Tanzisertib (CC-930) at doses of 50 mg/kg and 150 mg/kg for the last 3 weeks of continuous challenge with bleomycin for 6 weeks. The sixth group is a positive control group consisting of mice challenged with bleomycin for 6 weeks and treated in parallel with imatinib at doses of 50 mg/kg for the last 3 weeks.

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

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REFERENCES

