A 83-01

**Cat. No.:** HY-10432  
**CAS No.:** 909910-43-6  
**Molecular Formula:** C₂₅H₁₉N₅S  
**Molecular Weight:** 421.52  
**Target:** TGF-β Receptor  
**Pathway:** TGF-beta/Smad  
**Storage:** -20°C, protect from light

* The compound is unstable in solutions, freshly prepared is recommended.

### SOLVENT & SOLUBILITY

#### In Vitro

- **DMSO:** 30 mg/mL (71.17 mM; Need ultrasonic)
- **H₂O:** < 0.1 mg/mL (insoluble)

#### Preparing Stock Solutions

<table>
<thead>
<tr>
<th>Solvent Concentration</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>2.3724 mL</td>
<td>11.8618 mL</td>
<td>23.7237 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td>0.4745 mL</td>
<td>2.3724 mL</td>
<td>4.7447 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td>0.2372 mL</td>
<td>1.1862 mL</td>
<td>2.3724 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: ≥ 0.83 mg/mL (1.97 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)  
   Solubility: 0.83 mg/mL (1.97 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil  
   Solubility: ≥ 0.83 mg/mL (1.97 mM); Clear solution

### BIOLOGICAL ACTIVITY

#### Description

A 83-01 is a potent inhibitor of TGF-β type I receptor ALK5 kinase, type I nodal receptor ALK4 and type I nodal receptor ALK7, with IC₅₀ values of 12, 45 and 7.5 nM against the transcription induced by ALK5, ALK4 and ALK7, respectively.

#### IC₅₀ & Target

IC₅₀: 12 nM (ALK5, cell-based), 45 nM (ALK4 cell-based), 7.5 nM (ALK7 cell-based)\[1\]

#### In Vitro

A 83-01 is a potent inhibitor of TGF-β type I receptor ALK5 kinase, ALK4 and ALK7, reduces the level of ALK-5-
induced transcription with an IC\textsubscript{50} of 12 nM in Mv1Lu cells, also blocks the ALK4-TD and ALK7-TD induced transcription with IC\textsubscript{50}s of 45 nM and 7.5 nM in R4-2 cells, and weakly suppresses that induced by constitutively active ALK-6, ALK-2, ALK-3, and ALK-1. A 83-01 (0.03-10 µM) potently prevents the growth-inhibitory effects of TGF-β, and completely inhibits the effect at 3 µM. A 83-01 (1-10 µM) inhibits TGF-β-induced Smad activation in HaCaT cells\textsuperscript{[1]}. A 83-01 (1 µM) decreases cell motility, adhesion and invasion increased by TGF-β1 in HM-1 cells, but does not change cell proliferation\textsuperscript{[2]}.

### In Vivo

A 83-01 (50, 150 and 500 µg/mouse, i.p.) significantly improves survival of the mice without body weight or neurobehavioral appearances\textsuperscript{[2]}. A 83-01 (0.5 mg/kg, i.p.) shows a significantly strong antitumor effect in mice bearing M109 cells\textsuperscript{[3]}.

### PROTOCOL

#### Cell Assay \textsuperscript{[2]}

HM-1 cells are seeded into a 96-well plate and are incubated for 18 hr. A 83-01 (1 µM) or vehicle are then added for 12 hr followed by the addition of TGF-β1 (1 ng/mL) or vehicle for 60 hr. The number of viable cells in each well is examined using the WST-1 assay\textsuperscript{[2]}. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

#### Animal Administration \textsuperscript{[2]}

Female B6C3F1 mice used for the in vivo studies are maintained under specific pathogen-free conditions. To evaluate the effect of A 83-01 on the survival of mice bearing peritoneal dissemination, HM-1 cells (1×10\textsuperscript{6}) are injected into the abdominal cavity via the left flank of the mouse. Starting the next day, A 83-01 (150 µg/body) or vehicles (PBS with 0.5% DMSO) are injected into the abdominal cavity three times per week. Mice are euthanized before reaching the moribund state\textsuperscript{[2]}. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

### CUSTOMER VALIDATION


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### REFERENCES


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

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