SB-431542

Cat. No.: HY-10431
CAS No.: 301836-41-9
Molecular Formula: C₂₂H₁₆N₄O₃
Molecular Weight: 384.39
Target: TGF-β Receptor; Apoptosis
Pathway: TGF-beta/Smad; Apoptosis
Storage: Powder -20°C 3 years
          4°C  2 years
          In solvent -80°C 2 years
          -20°C 1 year

**SOLVENT & SOLUBILITY**

**In Vitro**
DMSO: ≥ 100 mg/mL (260.15 mM)
Ethanol: 11.17 mg/mL (29.06 mM; Need ultrasonic and warming)
* “≥” means soluble, but saturation unknown.

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>Solvent</th>
<th>Concentration</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td></td>
<td></td>
<td>2.6015 mL</td>
<td>13.0076 mL</td>
<td>26.0152 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td></td>
<td></td>
<td>0.5203 mL</td>
<td>2.6015 mL</td>
<td>5.2030 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td></td>
<td></td>
<td>0.2602 mL</td>
<td>1.3008 mL</td>
<td>2.6015 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: ≥ 2.08 mg/mL (5.41 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (5.41 mM); Clear solution

**BIOLOGICAL ACTIVITY**

**Description**
SB-431542 is a TGF-β receptor kinase inhibitor (TRKI). SB-431542 has inhibitory activity for ALK4, ALK5 and ALK7 with IC₅₀ values of 1 μM, 0.75 μM and 2 μM, respectively. SB-431542 also inhibits TGF-β-induced transcription, gene expression, apoptosis, and growth suppression. SB-431542 can be used for the research of cancer and signal transduction pathways\(^1\)[\(^2\) \[^3\].

**IC₅₀ & Target**

<table>
<thead>
<tr>
<th>ALK4</th>
<th>ALK5</th>
<th>ALK7</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 μM (IC₅₀)</td>
<td>0.75 μM (IC₅₀)</td>
<td>2 μM (IC₅₀)</td>
</tr>
</tbody>
</table>
**In Vitro**

SB-431542 can inhibit the activity for ALK4, ALK5 and ALK7 with IC\textsubscript{50} values of 1 μM, 0.75 μM and 2 μM, respectively\[1\].

SB-431542 (0-10 μM; 24 h) inhibits ALK5 and also the activin type I receptor ALK4 and the nodal type I receptor ALK7, which are very highly related to ALK5 in their kinase domains\[1\].

SB-431542 (0.1, 0.5, 1, 5, or 10 μM; 30 min) efficiently inhibits Smad phosphorylation induced by TGF-β and activin but not BMP4\[1\].

SB-431542 (0-10 μM) inhibits TGF-beta-induced transcription, gene expression, apoptosis, and growth suppression\[2\].

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

### Western Blot Analysis\[1\]

<table>
<thead>
<tr>
<th>Cell Line</th>
<th>Concentration</th>
<th>Incubation Time</th>
<th>Result</th>
</tr>
</thead>
<tbody>
<tr>
<td>NIH 3T3 cells; HaCaT, NIH 3T3, C2C12 cells and T47D cells</td>
<td>10 μM; 0.1, 0.5, 1, 5, or 10 μM</td>
<td>24 h; 30 min</td>
<td>Inhibited efficiently phosphorylated Smad2. Inhibited the TGF-β- and activin-induced phosphorylation of Smad2 but not BMP-induced phosphorylation of Smad1.</td>
</tr>
</tbody>
</table>

### Apoptosis Analysis\[2\]

<table>
<thead>
<tr>
<th>Cell Line</th>
<th>Concentration</th>
<th>Incubation Time</th>
<th>Result</th>
</tr>
</thead>
<tbody>
<tr>
<td>A549 and HT29 cells</td>
<td>10 μM</td>
<td>24 h</td>
<td>Inhibited TGF-induced growth suppression and apoptosis.</td>
</tr>
</tbody>
</table>

### Cell Invasion Assay\[2\]

<table>
<thead>
<tr>
<th>Cell Line</th>
<th>Concentration</th>
<th>Incubation Time</th>
<th>Result</th>
</tr>
</thead>
<tbody>
<tr>
<td>A549 cells</td>
<td>2, 10 μM</td>
<td>21 h</td>
<td>Blocked TGF- induced tumor cell invasion.</td>
</tr>
</tbody>
</table>

### Cell Migration Assay \[2\]

<table>
<thead>
<tr>
<th>Cell Line</th>
<th>Concentration</th>
<th>Incubation Time</th>
<th>Result</th>
</tr>
</thead>
<tbody>
<tr>
<td>A549 cells</td>
<td>2, 10 μM</td>
<td>5 h, 30 h</td>
<td>Blocked TGF- induced tumor cell migration.</td>
</tr>
</tbody>
</table>

**In Vivo**

SB-431542 (subconjunctival; 0.5 and 2 mM; on days 1, 2, 3, and 7) inhibits scar formation after glaucoma filtration surgery in New Zealand rabbits\[3\].

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

<table>
<thead>
<tr>
<th>Animal Model</th>
<th>Dosage</th>
</tr>
</thead>
<tbody>
<tr>
<td>Rabbits (3 to 5 months, 1.8 - 2.5 kg)[3]</td>
<td>0.5 and 2 mM</td>
</tr>
</tbody>
</table>
### Administration

<table>
<thead>
<tr>
<th>Subconjunctival injection, on days 1, 2, 3, and 7</th>
</tr>
</thead>
</table>

### Result

<table>
<thead>
<tr>
<th>Showed wound healing and less severe scar formation.</th>
</tr>
</thead>
</table>

### CUSTOMER VALIDATION

- Immunity. 2022 Mar 15;S1074-7613(22)00124-8.
- Cell Metab. 2022 Aug 15;S1550-4131(22)00313-8.
- Gut. 2022 Jan 7;gutjnl-2021-325018.
- Chem Eng J. 1 January 2023, 138737.


### REFERENCES

