SB-431542

Cat. No.: HY-10431
CAS No.: 301836-41-9
Molecular Formula: C₂₂H₁₆N₄O₃
Molecular Weight: 384.39
Target: TGF-β Receptor
Pathway: TGF-beta/Smad
Storage: Powder -20°C 3 years
         4°C 2 years
         In solvent -80°C 6 months
              -20°C 1 month

Solvent & Solubility

<table>
<thead>
<tr>
<th>Solvent</th>
<th>Concentration</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>DMSO</td>
<td>1 mM</td>
<td>2.6015 mL</td>
<td>13.0076 mL</td>
<td>26.0152 mL</td>
</tr>
<tr>
<td>Ethanol</td>
<td>5 mM</td>
<td>0.5203 mL</td>
<td>2.6015 mL</td>
<td>5.2030 mL</td>
</tr>
<tr>
<td></td>
<td>10 mM</td>
<td>0.2602 mL</td>
<td>1.3008 mL</td>
<td>2.6015 mL</td>
</tr>
</tbody>
</table>

In Vivo
1. SB-431542 is dissolved in 10% ethanol at a concentration of 0.5 mg/mL[^6].

BIOLOGICAL ACTIVITY

Description
SB-431542 is a potent and selective inhibitor of ALKS with an IC₅₀ value of 94 nM, and is also an inhibitor of TGF-β Receptor.

IC₅₀ & Target
IC₅₀: 94 nM (ALK5)[^2]

In Vitro
SB-431542 (1 μM) significantly reduces the TGF-β-induced nuclear accumulation of Smad proteins in A498 cells. SB-431542 inhibits TGF-β1-induced collagen Iα1 and PAI-1 mRNA with IC₅₀ values of 60 and 50 nM, respectively. In addition, SB-431542 inhibits TGF-β1-induced fibronectin mRNA and protein with IC₅₀ values of 62 and 22 nM, respectively[^1]. SB-431542 (10 μM) is a selective inhibitor of endogenous activin and TGF-β signaling but has no effect on BMP signaling in NIH 3T3 cells[^2]. TRKI, SB-431542, inhibits TGF-beta-induced transcription, gene expression,
apoptosis, and growth suppression. SB-431542 attenuates the tumor-promoting effects of TGF-beta, including TGF-beta-induced EMT, cell motility, migration and invasion, and vascular endothelial growth factor secretion in human cancer cell lines. SB-431542 induces anchorage independent growth of cells that are growth-inhibited by TGF-beta, whereas it reduces colony formation by cells that are growth-promoted by TGF-beta[3]. SB-431542 (0.3 μM) inhibits cell proliferation induced by TGF-β in MG63 cells[4].

In Vivo
SB-431542 (10 mg/kg, i.p.) decreases lung metastasis but does not significantly alter growth of the primary tumor 4T1 xenograft[5].

PROTOCOL

Kinase Assay [3]
A total of 100,000 cells from each pool of A549 and HT29 are seeded into each well of 12-well plate. Cells are cultured in media containing 0.2% FBS for 18 hours, and then treated with 5 ng/mL TGF-β1 in the presence of SB-431542 (10 μM) in 0.5 mL of media for 24 hours. One hundred μLs of each supernatant media is used for VEGF assay according to the manufacturer’s instruction. For TGF-β1 ELISA, 100,000 cells from each pool of A549, VMRC-LCD, and HT29 are seeded into each well of 12-well plates and serum-starved for 20 hours. Cells are then treated with SB-431542 in 0.5 mL of serum-free RPMI media for 24 hours. One hundred μLs of each supernatant media is activated and used for TGF-β1 assay according to the manufacturer’s instruction.
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Assay [1]
A498 cells are seeded at 5,000 to 10,000 cells/well in 96-well plates. The cells are serum-deprived for 24 h and then treated with SB-431542 for 48 h to assess the cellular toxicity. Cell viability is determined by incubating cells for 4 h with XTT labeling and electron coupling reagent according to the manufacturer’s directions. Live cells with active mitochondria produce an orange-colored product, formazan, which is detected using a plate reader at between A 450 nm and A 500 nm with a reference wavelength greater than 600 nm. The absorbance values correlate with the number of viable cells.
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Administration [5]
Ten thousand 4T1 cells are injected subcutaneously into the second mammary fat pad of 6-week-old Balb/c female mice. Tumors are measured twice weekly, and volume is calculated using the following formula: Volume = width² × length × 0.52. Mice are randomly assigned to two treatment groups: control, n = 14 (20% DMSO/80% corn oil); SB-431542-treated, n = 15 (10 mg/kg body weight in 20% DMSO/80% corn oil, administered intraperitoneally three times per week starting one day after tumor cell inoculation. Primary tumors are resected when the volume at day 10 post-injection of 4T1 cells. All mice are monitored daily and euthanized after 4 weeks. The metastases are dissected to snap-freeze for further analysis.
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION


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