SB-505124

Cat. No.: HY-13521
CAS No.: 694433-59-5
Molecular Formula: C₂₀H₂₁N₃O₂
Molecular Weight: 335.4
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

In Vitro
DMSO: 113.33 mg/mL (337.90 mM; Need ultrasonic)

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>Solvent Concentration</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td>1 mM</td>
<td>2.9815 mL</td>
<td>14.9076 mL</td>
<td>29.8151 mL</td>
</tr>
<tr>
<td></td>
<td>5 mM</td>
<td>0.5963 mL</td>
<td>2.9815 mL</td>
<td>5.9630 mL</td>
</tr>
<tr>
<td></td>
<td>10 mM</td>
<td>0.2982 mL</td>
<td>1.4908 mL</td>
<td>2.9815 mL</td>
</tr>
</tbody>
</table>

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description
SB-505124 is a selective inhibitor of TGFβR with IC₅₀ of 129 nM and 47 nM for ALK4, ALK5, respectively, and it does not inhibit ALK1, 2, 3, or 6 but ALK7.

IC₅₀ & Target
IC₅₀: 129 nM (ALK4), 47 nM (ALK5)

In Vitro
SB-505124 demonstrates no toxicity to renal epithelial A498 cells at concentrations up to 100 μM for 48 h. 505124 inhibits the closely related ALK4 with an IC₅₀ value of 129±11 nM (about 2.5-fold less sensitive than ALK5) but does not inhibit ALK2 at concentrations up to 10 μM. SB-505124 (1 μM) inhibits the TGF-β-induced phosphorylation of Smad2 in all three of these cell lines in a concentration-dependent fashion. SB-505124 (1 or 5 μM) potently inhibits TGF-β-induced activation of JNK/SAP, extracellular signal-regulated kinase 1/2, and p38 despite the different patterns of activation in these cells[1]. SB-505124 (10 μM) impairs Smad2 phosphorylation and CTGF and α-SMA expression in vitro[2]. SB-505124 suppresses CTGF and α-SMA observed by immunofluorescence. Cell outgrowth from explants dissected from eyes to which SB-505124 is applied during GFS is robust while outgrowth is poor from those treated with MMC[3].
**PROTOCOL**

**Kinase Assay [1]**

Briefly, phospho-p38 is immunoprecipitated from 200 μg of cell lysates with an immobilized phospho-p38 antibody overnight at 4°C. p38 kinase activity is measured using 2 μg of ATF-2 fusion protein as the substrate with addition of 200 μM ATP. After a 30-min incubation at 30°C, the reaction is terminated with Laemmli sample buffer, and the proteins are boiled and resolved by 10% SDS-polyacrylamide gel electrophoresis, transferred to nitrocellulose membrane, and immunoblotted with phospho-ATF-2 antibody.

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

**Cell Assay [1]**

Cell viability is measured as described previously or by using the modified tetrazolium salt WST-1. Approximately 2000 cells are seeded in 96-well dishes in 100 μL of 0.2% FBS phenol red-free media overnight. The cells are treated with 50 μL of SB-505124 (to achieve the final concentrations indicated) for 30 min before being treated with or without TGF-β1 and TNF-α to a final volume of 200 μL. Cell growth is measured at the indicated time points by incubating each well with 10 μL of WST-1 for 3 h at 37°C. Metabolically active cells cleave WST-1 to water-soluble formazan, which is directly quantitated with an enzyme-linked immunosorbent assay plate reader. Each experiment is done at least twice, and treatment for each cell line is done in triplicate.

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

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**REFERENCES**


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