**SB-505124 hydrochloride**

Cat. No.: HY-13521A  
CAS No.: 356559-13-2  
Molecular Formula: C₂₀H₂₂ClN₃O₂  
Molecular Weight: 371.86  
Target: TGF-β Receptor  
Pathway: TGF-beta/Smad  
Storage: Please store the product under the recommended conditions in the COA.

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**Solvent & Solubility**

<table>
<thead>
<tr>
<th>In Vitro</th>
<th>10 mM in DMSO</th>
</tr>
</thead>
<tbody>
<tr>
<td>Preparing Stock Solutions</td>
<td></td>
</tr>
<tr>
<td>Solvent</td>
<td>Mass</td>
</tr>
<tr>
<td>Concentration</td>
<td>1 mg</td>
</tr>
<tr>
<td>1 mM</td>
<td>2.6892 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td>0.5378 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td>0.2689 mL</td>
</tr>
</tbody>
</table>

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

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**BIOLOGICAL ACTIVITY**

**Description**

SB-505124 hydrochloride is a selective inhibitor of TGFβR with IC₅₀ of 129 nM and 47 nM for ALK4, ALK5, respectively, and it does not inhibits 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 susspresses 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 phosphor-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.

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**CUSTOMER VALIDATION**

- **Development.** 2018 Jul 6. pii: dev.162586.

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**REFERENCES**


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

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