# SB-505124

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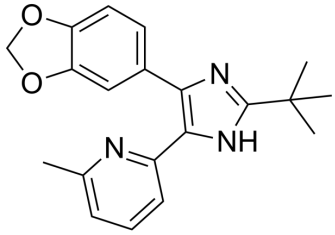
| **Cat. No.:** | HY-13521 |
| **CAS No.:** | 694433-59-5 |
| **Molecular Formula:** | $C_{20}H_{21}N_3O_2$ |
| **Molecular Weight:** | 335.4 |
| **Target:** | TGF-β Receptor |
| **Pathway:** | TGF-beta/Smad |
| **Storage:** | Powder -20°C 3 years<br>4°C 2 years<br>In solvent -80°C 2 years<br>-20°C 1 year |



## SOLVENT & SOLUBILITY

**In Vitro**

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

**Preparing Stock Solutions**

| Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
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| 1 mM | 2.9815 mL | 14.9076 mL | 29.8151 mL |
| 5 mM | 0.5963 mL | 2.9815 mL | 5.9630 mL |
| 10 mM | 0.2982 mL | 1.4908 mL | 2.9815 mL |

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: ≥ 2.5 mg/mL (7.45 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (7.45 mM); Clear solution

## BIOLOGICAL ACTIVITY

**Description**

SB-505124 is a selective inhibitor of TGF-β Receptor type I receptors (ALK4, ALK5, ALK7), with $IC_{50}$s of 129 nM and 47 nM for ALK4, ALK5, respectively, but it does not inhibit ALK1, 2, 3, or 6.

**$IC_{50}$ & 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 $IC_{50}$ 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

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| | 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].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |
| **In Vivo** | SB-505124 (5 mg/kg; i.p.) alone has no effect in C57Bl6 mice with A549 xenografts, but administration of SB-505124 with a single dose of Carboplatin (60 mg/kg) results in durable responses without the need for maintenance therapy in five animals [4].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |

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| Animal Model: | C57Bl6 mice with A549 xenografts[4] |
| Dosage: | 5 mg/kg |
| Administration: | I.p.; daily |
| Result: | Had no effect alone, but administration with a single dose of carboplatin (60 mg/kg) resulted in durable responses without the need for maintenance therapy in five animals. |

## CUSTOMER VALIDATION

- Nat Metab. 2022 Oct;4(10):1306-1321.
- ACS Nano. 2022 Jan 13.
- Adv Sci (Weinh). 2022 Aug 10;e2201451.
- Exp Mol Med. 2022 Oct 12.
- Bone Res. 2019 Mar 6;7:8.

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## REFERENCES


[1]. DaCosta Byfield S, et al. SB-505124 is a selective inhibitor of transforming growth factor-beta type I receptors ALK4, ALK5, and ALK7. Mol Pharmacol. 2004 Mar;65(3):744-52.

[2]. Sutariya V, et al. Thermoreversible gel for delivery of receptor-like kinase 5 inhibitor SB-505124 for glaucoma filtration surgery. Pharm Dev Technol. 2013 Jul-Aug;18(4):957-62.

[3]. Sapitro J, et al. Suppression of transforming growth factor-β effects in rabbit subconjunctival fibroblasts by receptor-like kinase 5 inhibitor. Mol Vis. 2010 Sep 16;16:1880-92.

[4]. Marini KD, et al. Inhibition of activin signaling in lung adenocarcinoma increases the therapeutic index of platinum chemotherapy. Sci Transl Med. 2018 Jul 25;10(451). pii: eaat3504.





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