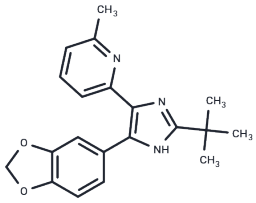
Data Sheet (Cat.No.T21637)

TargetMol

SB-505124 hydrochloride

Chemical Properties



CAS No. : 356559-13-2

Formula: C20H22ClN3O2

Molecular Weight: 371.86

Storage: Keep away from moisture
Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	SB-505124 hydrochloride (SB505124 hydrochloride) is an orally available, selective and potent inhibitor of TGF-β type I receptors (ALK4, ALK5, ALK7) with IC50 values of 129 nM and 47 nM for ALK4 and ALK5, respectively. SB-505124 hydrochloride inhibits IL-6 production by synovial explants and reduces Th17 differentiation in mice by decreasing Il17a and Rorc gene expression and IL-17 protein production.SB-505124 hydrochloride is used in the study of colorectal cancer.
Targets(IC50)	ALK,TGF-beta/Smad
In vitro	**METHODS**: Rabbit subconjunctival fibroblasts were incubated with 10 μM SB-505124 or 0.04% MMC and then incubated with or without TGF-β2 (2 ng/ml) in 12-well plates for 48 h. The effects of ALK-5 inhibitor SB-505124 on TGF-β2-induced downstream effects were analyzed by Western blotting. **RESULTS**: The levels of pSmad2, CTGF, and α-SMA in rabbit subconjunctival fibroblasts treated with SB-505124 were decreased in a concentration-dependent manner. [3]
In vivo	SB-505124 (5 mg/kg; i.p.) alone does not elicit any response in C57Bl6 mice bearing A549 xenografts. However, when combined with a single dose of Carboplatin (60 mg/kg), SB-505124 induces sustained therapeutic effects in five subjects, eliminating the need for ongoing maintenance therapy. This synergy presents a potent treatment strategy without continuous intervention in the specified animal model, highlighting its potential efficacy.

Solubility Information

Solubility	Methanol: 120 mg/mL (322.7 mM),Sonication is recommended. DMSO: 40 mg/mL (107.57 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (5.38 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.6892 mL	13.4459 mL	26.8918 mL
5 mM	0.5378 mL	2.6892 mL	5.3784 mL
10 mM	0.2689 mL	1.3446 mL	2.6892 mL
50 mM	0.0538 mL	0.2689 mL	0.5378 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

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.
Sutariya V, et al. Thermoreversible gel for delivery of activin receptor-like kinase 5 inhibitor SB-505124 for glaucoma filtration surgery. Pharm Dev Technol. 2013 Jul-Aug;18(4):957-62.
Sapitro J, et al. Suppression of transforming growth factor-β effects in rabbit subconjunctival fibroblasts by activin receptor-like kinase 5 inhibitor. Mol Vis. 2010 Sep 16;16:1880-92.
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):eaat3504.