Product Data Sheet

Product Name: SB-505124 hydrochloride
Cat. No.: GC10421

Chemical Properties

Cas. No.	356559-13-2		
Chemical Name	2-[4-(1,3-benzodioxol-5-yl)-2-tert-butyl-1H-imidazol-5-yl]-6-methylpyridine		
SMILES	CC1=CC=CC(=N1)C2=C(N=C(N2)C(C)(C)C)C3=CC4=C(C=C3)OCO4.Cl		
Formula	$C_{20}H_{22}ClN_3O_2$	M.Wt	371.86
Solubility	≥ 9.3 mg/mL in DMSO, ≥ 87 mg/mL in EtOH	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	SB-505124 hydrochloride		

Protocol

Cell experiment [1]:

Cell lines	Human bone marrow mesenchymal stem cells (hBMSCs)

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Preparation Method	Human bone marrow mesenchymal stem cells (hBMSCs) were cultured in Dulbecco's Modified Eagle Medium (DMEM), supplemented with 4500mg/l D-glucose, 4mM L-glutamine, 110mg/l 10% sodium pyruvate, 10% fetal bovine serum (FBS), 1% non-essential amino acids, and 1% penicillin-streptomycin. The incubator temperature was set at 37°C, humidity at 95%, and CO_2 concentration at 5% to maintain cell growth. The cells were seeded in 96-well plates, with 300μl of culture medium added to each well, and 0.3, 3, and 30μM of SB-505124 hydrochloride were added respectively. The control group cells were added with DMSO. After 72h, cell viability was analyzed.
Reaction Conditions	0.3, 3, and 30μM; 72h
Applications	SB-505124 hydrochloride treatment significantly decreased the cell viability of U87MG cells at 30μM.

Animal experiment [2]:

Animal models	C57BL/6 mice
Preparation Method	The C57BL/6 mice were raised in a standard environment and were allowed to freely consume food and water. 2×10^6 LLC cells were suspended in 100μl of sterile PBS, or an equal volume of PBS solution was injected subcutaneously into the left abdomen of 8-week-old male mice. From the 7th day to the 21st day after tumor implantation, 5mg/kg doses of SB-505124 hydrochloride or DMSO were injected intraperitoneally daily. Under anesthesia, blood samples were drawn from the inferior vena cava of the mice for analysis.
Dosage form	5mg/kg/day for 2 weeks; i.p.

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Applications	SB-505124 hydrochloride treatment attenuated the symptoms of cancer-related anemia in the LLC cell-xenograft mouse models.

References:
[1] Almuraikhi N. Inhibition of TGF-β type I receptor by SB-505124 hydrochloride down-regulates osteoblast differentiation and mineralization of human mesenchymal stem cells[J]. Cell Biochemistry and Function, 2023, 41(5): 564-572.
[2] Wang B, Wang Y, Chen H, et al. Inhibition of TGFβ improves hematopoietic stem cell niche and ameliorates cancer-related anemia[J]. Stem Cell Research & Therapy, 2021, 12(1): 65.

Background

SB-505124 hydrochloride is a selective inhibitor of TGF-β Receptor type I receptors (ALK4, ALK5, ALK7), with IC_{50} values of 129nM and 47nM for ALK4, ALK5, respectively[1]. SB-505124 hydrochloride can inhibit the Wnt and TGF-β1 signaling

pathways, reduce inflammatory responses, and decrease the levels of fibrosis markers (such as collagen, α-SMA and fibronectin)[2]. SB-505124 hydrochloride has been widely used to inhibit the differentiation of Th17 cells and regulate inflammation in mouse models of arthritis[3].

In vitro, SB-505124 hydrochloride treatment at 3μM for 10 days significantly inhibited the osteogenic differentiation of human bone marrow mesenchymal stem cells (hBMSCs), reduced the formation of mineralized matrix, and downregulated osteogenic-related genes[4]. Treatment with SB-505124 hydrochloride (2.5μM) for 24 hours significantly enhanced the sensitivity of doxorubicin (DTX)-resistant DU 145 cells to DTX and induced cell death[5]. Pretreatment of rabbit conjunctival submucosal fibroblasts with 10μM SB-505124 hydrochloride for 1 hour significantly reduced the level of pSmad2 induced by TGF-β2 as well as the expressions of CTGF and α-SMA[6].

In vivo, SB-505124 hydrochloride treatment via intraperitoneal injection at a dose of 10mg/kg once every 12 hours for 5 days alleviated the extent of tertiary neurodegeneration and blood-brain barrier dysfunction in the perinatal mouse model with hypoxic-ischemic brain injury, and improved sensory-motor deficits[7]. SB-505124 hydrochloride treatment for 2 weeks (5mg/kg/day; i.p.) caused the increase of erythrocytes in the peripheral blood and the normalized proportion of erythroblast cell clusters in the Lewis lung carcinoma (LLC) cell-xenograft mouse models[8].

References:
[1] Byfield S D C, Major C, Laping N J, et al. SB-505124 is a selective inhibitor of transforming growth factor-β type I receptors ALK4, ALK5, and ALK7[J]. Molecular pharmacology, 2004, 65(3): 744-752.
[2] Tang Y, Liu J, Liu L. Angiotensin-converting Enzyme 2 Suppresses Pulmonary Fibrosis Associated with Wnt and TGF-β1 Signaling Pathways[J]. Discovery medicine, 2024, 36(190): 2274-2286.
[3] Aarts J, Van Caam A, Helsen M, et al. Ab0082 Inhibition Of Tgfβ Signaling Using Sb-505124 Blocks Th17 Differentiation And Restores The Th17/Treg Balance In Vivo, But Does Not Suppress Experimental Arthritis[J]. Annals of the Rheumatic Diseases, 2020, 79: 1341.
[4] Almuraikhi N. Inhibition of TGF-β type I receptor by SB-505124 hydrochloride down-regulates osteoblast differentiation and mineralization of human mesenchymal stem

cells[J]. Cell Biochemistry and Function, 2023, 41(5): 564-572.
[5] Li Y, Zhang B, Xiang L, et al. TGF-β causes docetaxel resistance in prostate cancer via the induction of Bcl-2 by acetylated KLF5 and protein stabilization[J]. Theranostics, 2020, 10(17): 7656.
[6] Sapitro J, Dunmire J J, Scott S E, et al. Suppression of transforming growth factor-β effects in rabbit subconjunctival fibroblasts by activin receptor-like kinase 5 inhibitor[J]. Molecular Vision, 2010, 16: 1880.
[7] Kanal H D, Levison S W. Neuroprotective effects of delayed TGF-β1 receptor antagonist administration on perinatal hypoxic-ischemic brain injury[J]. Developmental Neuroscience, 2024, 46(3): 188-200.
[8] Wang B, Wang Y, Chen H, et al. Inhibition of TGFβ improves hematopoietic stem cell niche and ameliorates cancer-related anemia[J]. Stem Cell Research & Therapy, 2021, 12(1): 65.

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