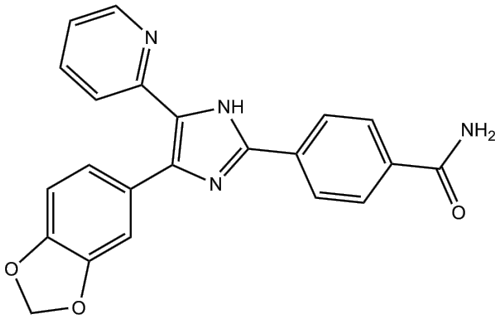
Product Data Sheet

Product Name: SB 431542
Cat. No.: GC11545

Chemical Properties

Cas. No.	301836-41-9		
Chemical Name	4-[4-(1,3-benzodioxol-5-yl)-5-pyridin-2-yl-1H-imidazol-2-yl]benzamide		
SMILES	C1OC2=C(O1)C=C(C=C2)C3=C(NC(=N3)C4=CC=C(C=C4)C(=O)N)C5=CC=CC=N5		
Formula	$C_{22}H_{16}N_4O_3$	M.Wt	384.39
Solubility	≥ 19.2mg/mL in DMSO, ≥ 10.06 mg/mL in EtOH with ultrasonic	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C 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			

Protocol

Cell experiment [1]:	
Cell lines	D423MG cells

Caution: Product has not been fully validated for medical applications. For research use only.
Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com
Address: 10292 Central Ave. #205, Montclair, CA, USA

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Preparation Method	D423MG cells were treated with SB 431542 (0.1, 1, 10μM) in the presence of TGF-β (100pM) for 48h in serum-free media, then VEGF levels in the conditioned media were measured using ELISA.
Reaction Conditions	0.1, 1, and 10μM; 48h
Applications	Treatment with SB 431542 can block TGF-β-induced VEGF expression in a concentration-dependent manner.
Animal experiment [2]:	
Animal models	C57B/6J mice subjected to TT+DN injury
Preparation Method	C57B/6J mice underwent TT+DN injury and received SB 431542 (10mg/kg/day) via intraperitoneal injection for 6 weeks. Supraspinatus muscles were harvested and stained with Oil red-O, and fat indices were calculated as the fraction of cross-sectional area positive for fat using the Adobe Photoshop “Color Range” tool.
Dosage form	10mg/kg/day; 6 weeks; i.p.
Applications	Treatment with SB 431542 significantly reduced fatty infiltration in the supraspinatus muscle on the injured side of mice, with the fat index decreasing from 25.7 ± 4.4% to 14.8 ± 2.8%.

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References:

[1] Hjelmeland M D, Hjelmeland A B, Sathornsumetee S, et al. SB-431542, a small molecule transforming growth factor-β-receptor antagonist, inhibits human glioma cell line proliferation and motility[J]. Molecular cancer therapeutics, 2004, 3(6): 737-745.

[2] Davies M R, Liu X, Lee L, et al. TGF-β small molecule inhibitor SB431542 reduces rotator cuff muscle fibrosis and fatty infiltration by promoting fibro/adipogenic progenitor apoptosis[J]. PloS one, 2016, 11(5): e0155486.

Background

SB 431542 is a highly potent and selective ATP-competitive activin receptor-like kinase 5 (ALK5) inhibitor, with an IC_{50} value of 94nM[1]. SB 431542 binds to the ATP-binding pocket of the ALK receptor kinase domain, preventing the receptor from utilizing ATP to phosphorylate downstream substrates, thereby reducing Smad2/3 phosphorylation and nuclear translocation[2]. SB 431542 is primarily used in studies on stem cell differentiation and reprogramming, liver/lung fibrosis, and cancer research through inhibition of the TGF-β signaling pathway[3,4].

In vitro, SB 431542 (0.1, 1, 10μM) treated D423MG cells for 48h, concentration-dependently blocking transforming growth factor-β (TGF-β)-induced vascular endothelial growth factor (VEGF) expression[5]. SB 431542 (1μM) pretreated normal human dermal fibroblasts for 1h, followed by incubation with TGF-β1 (10ng/mL) for 24h, significantly inhibited TGF-β1-induced collagen gel contraction[6].

In vivo, SB 431542 (10mg/kg/day) was administered via intraperitoneal injection to C57B/6J mice with tendon transection combined with suprascapular nerve (TT+DN) injury for 6 weeks, resulting in a significant reduction in fatty infiltration of the injured supraspinatus muscle, with the fat index decreasing from 25.7 ± 4.4% to 14.8 ± 2.8%[7].

References:

[1] Laping N J, Grygielko E, Mathur A, et al. Inhibition of transforming growth factor (TGF)-β1–induced extracellular matrix with a novel inhibitor of the TGF-β type I receptor kinase activity: SB-

431542[J]. Molecular pharmacology, 2002, 62(1): 58-64.

[2] Inman G J, Nicolás F J, Hill C S. Nucleocytoplasmic shuttling of Smads 2, 3, and 4 permits sensing of TGF-β receptor activity[J]. Molecular cell, 2002, 10(2): 283-294.

[3] Halder S K, Beauchamp R D, Datta P K. A specific inhibitor of TGF-β receptor kinase, SB-431542, as a potent antitumor agent for human cancers[J]. Neoplasia, 2005, 7(5): 509-521.

[4] Watabe T, Nishihara A, Mishima K, et al. TGF-β receptor kinase inhibitor enhances growth and integrity of embryonic stem cell–derived endothelial cells[J]. The Journal of cell biology, 2003, 163(6): 1303-1311.

[5] Hjelmeland M D, Hjelmeland A B, Sathornsumetee S, et al. SB-431542, a small molecule transforming growth factor-β-receptor antagonist, inhibits human glioma cell line proliferation and motility[J]. Molecular cancer therapeutics, 2004, 3(6): 737-745.

[6] Hasegawa T, Nakao A, Sumiyoshi K, et al. SB-431542 inhibits TGF-β-induced contraction of collagen gel by normal and keloid fibroblasts[J]. Journal of dermatological science, 2005, 39(1): 33-38.

[7] Davies M R, Liu X, Lee L, et al. TGF-β small molecule inhibitor SB431542 reduces rotator cuff muscle fibrosis and fatty infiltration by promoting fibro/adipogenic progenitor apoptosis[J]. PloS one, 2016, 11(5): e0155486.