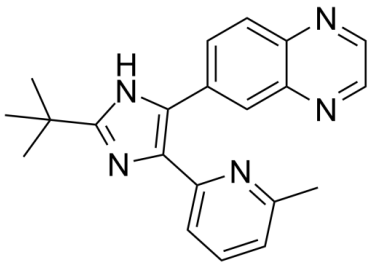
Data Sheet

Product Name:	SB 525334
Cat. No.:	CS-0199
CAS No.:	356559-20-1
Molecular Formula:	C21H21N5
Molecular Weight:	343.42
Target:	TGF-β Receptor
Pathway:	TGF-beta/Smad
Solubility:	DMSO : ≥ 46 mg/mL (133.95 mM)



BIOLOGICAL ACTIVITY:

SB 525334 is a potent and selective transforming growth factor β1 receptor **(ALK5)** inhibitor with an **IC_{50}** of 14.3 nM. IC50 & Target: IC50: 14.3 nM (ALK5)[1] **In Vitro:** SB525334 (1 μM; for 15 minutes before stimulating with 0.625 ng/ml of TGF-β1, assesses after 6 days) inhibits TGF-β1-mediated proliferation of familial idiopathic pulmonary arterial hypertension (iPAH) pulmonary artery smooth muscle cells (PASMCs) at an IC_{50} of 295 nM [2]. **In Vivo:** SB525334 (3-30 mg/kg; p.o.; daily from days 17 to 35) significantly reverses pulmonary arterial pressure in a rat model of pulmonary arterial hypertension (PAH)[2].

References:

[1]. Grygielko ET, et al. Inhibition of gene markers of fibrosis with a novel inhibitor of transforming growth factor-beta type I receptor kinase in puromycin-induced nephritis. J Pharmacol Exp Ther, 2005, 313(3), 943-951.

[2]. Thomas M, et al. ALK5 mediates abnormal proliferation of vascular smooth muscle cells from patients with familial pulmonary arterial hypertension and is involved in the progression of experimental pulmonary arterial hypertension induced by monocrotaline. Am J Pathol, 2009, 174(2), 380-389.

[3]. Laping NJ, et al. Tumor-specific efficacy of transforming growth factor-beta RI inhibition in Eker rats. Clin Cancer Res, 2007, 13(10), 3087-3899.

CAIndexNames:

Quinoxaline, 6-[2-(1,1-dimethylethyl)-5-(6-methyl-2-pyridinyl)-1H-imidazol-4-yl]-

SMILES:

CC(C)(C1=NC(C2=CC=CC(C)=N2)=C(C3=CC=C4N=CC=NC4=C3)N1)C

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

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