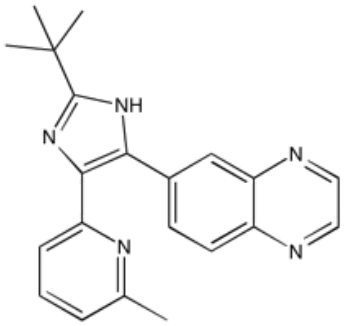
Catalog # 10-2983

SB-525334

CAS# 356559-20-1

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

Lot # X106813



Potent and selective inhibitor of the transforming growth factor beta 1 receptor, activin receptor-like kinase (ALK5), IC_{50} = 14.3 nM and ALK4 (IC_{50} = 58.5 nM) with no activity at ALK2, 3 and 6.[1] Blocks fibrosis markers and renal injury in the puromycin-induced nephritis model.[1] It causes significant attenuation in Smad2/3 nuclear translocation, decrease in CTGF-expressing cells, myofibroblast proliferation and type 1 collagen deposition resulting in an overall attenuation in bleomycin-induced pulmonary fibrosis.[2] Sensitizes drug-resistant pancreatic cancer cells to gemcitabine.[3] Cell permeable and active *in vivo*.

1) Grygielko *et al*. (2005), *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. **313** 943
2) Higashiyama *et al*. (2007), *Inhibition of activin receptor-like kinase 5 attenuates bleomycin-induced pulmonary fibrosis*; Exp. Mol. Pathol. **83** 39
3) Kim *et al*. (2012), *Transforming growth factor beta receptor I inhibitor sensitizes drug-resistant pancreatic cancer cells to gemcitabine*; Anticancer Res. **32** 799

PHYSICAL DATA

Molecular Weight:	343.42
Molecular Formula:	$C_{21}H_{21}N_5$
Purity:	99% by HPLC
	NMR: (Conforms)
Solubility:	DMSO (up to 30 mg/ml)
Physical Description:	Yellow solid
Storage and Stability:	Store as supplied desiccated at -20°C for up to 2 years from the date of purchase. Solutions in DMSO may be stored at -20°C for up to 3 months.

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