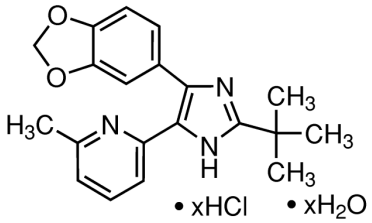
3050 Spruce Street, St. Louis, MO 63103 USA
Tel: (800) 521-8956 (314) 771-5765 Fax: (800) 325-5052 (314) 771-5757
email: techservice@sial.com sigma-aldrich.com

Product Information

SB-505124 hydrochloride hydrate

Catalog Number **S4696**
Storage Temperature 2–8 °C

CAS RN 694433-59-5 (free base)
CAS RN 356559-13-2 (hydrochloride)
Synonym: 2-(5-benzo[1,3]dioxol-5-yl-2-*tert*-butyl-3H-imidazol-4-yl)-6-methylpyridine hydrochloride hydrate



Product Description

Molecular Formula: $C_{20}H_{21}N_3O_2 \cdot xHCl \cdot xH_2O$
Molecular Weight: 335.40 (free base, anyhydrous basis)

Activins are members of the transforming growth factor-β (TGF-β) superfamily that control many physiological processes such as cell proliferation and differentiation, immune responses, wound repair, and various endocrine activities. Signaling by the TGF-β superfamily is mediated by two types of transmembrane receptor serine/threonine kinases, types I and II. Ligand interaction with a homodimer of type II receptors recruits and activates homodimers of type I receptors (activin receptor-like kinases (ALKs). There are seven known mammalian type I receptors (ALK1–7), five type II receptors, and unique combinations of the type I and type II receptors, which confer specificity of ligand signaling.

SB-505124 was developed as a competitive inhibitor of the ATP binding site of ALK5. SB-505124 selectively inhibits signaling from TGF-β and activin, but does not affect signaling from BMP, which activates ALK3 and ALK6. SB-505124 inhibits ALK5 and ALK4 with similar IC_{50} values (47±5 nM and 129±11 nM, respectively), but does not inhibit ALK2 up to concentrations of 10 μM. SB-505124 demonstrated no toxicity to renal epithelial A498 cells at concentrations up to 100 μM for 48 hours.

Precautions and Disclaimer

This product is for R&D use only, not for drug, household, or other uses. Please consult the Material Safety Data Sheet for information regarding hazards and safe handling practices.

Preparation Instructions

The product is soluble in DMSO (24 mg/mL). It is insoluble in water.

Storage/Stability

Store the product desiccated at 2–8 °C.

References

1. Harrison, C.A., et al., Antagonists of activin signaling: mechanisms and potential biological applications. *Trends Endocrinol. Metab.* **16**, 73-78 (2005).
2. DaCosta Byfield, S., et al. SB-505124 is a selective inhibitor of transforming growth factor-β type I receptors ALK4, ALK5, and ALK7. *Mol. Pharmacol.* **65**, 744-752 (2004).

BR,DXP,AH,PHC,MAM 09/08-1