PRODUCT INFORMATION



SB-525334

Item No. 16281

CAS Registry No.: 356559-20-1

Formal Name: 6-[2-(1,1-dimethylethyl)-5-(6-

methyl-2-pyridinyl)-1H-imidazol-

4-yl]-quinoxaline

TGF-β RI Kinase Inhibitor VIII Synonym:

MF: $C_{21}H_{21}N_{5}$ FW: 343.4 **Purity:** ≥98%

UV/Vis.: λ_{max} : 235, 365 nm Supplied as: A crystalline solid

-20°C Storage: Stability: ≥4 years

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

SB-525334 is supplied as a crystalline solid. A stock solution may be made by dissolving the SB-525334 in the solvent of choice. SB-525334 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of SB-525334 in ethanol and DMF is approximately 30 mg/ml and approximately 20 mg/ml in DMSO.

SB-525334 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, SB-525334 should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. SB-525334 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

SB-525334 is a potent inhibitor of the TGF- β receptor 1 (TGF- β R1, ALK5) kinase (IC₅₀ = 14.36 nM).¹ It is ~4-fold less effective against ALK4 and inactive against ALK2, ALK3, and ALK6. SB-525334 blocks TGF-β1-induced phosphorylation and nuclear translocation of SMAD2/3 as well as TGF-β1-directed gene expression. It is effective in vivo, preventing puromycin aminonucleoside-induced renal fibrosis and bleomycin-induced pulmonary fibrosis. 1-3 SB-525334 also modulates carcinogenesis and suppresses the development of pulmonary arterial hypertension in animals.³⁻⁵

References

- 1. Grygielko, E.T., Martin, W.M., Tweed, C., et al. J. Pharmacol. Exp. Ther. 313(3), 943-951 (2005).
- 2. Higashiyama, H., Yoshimoto, D., Kaise, T., et al. Exp. Mol. Pathol. 83, 39-46 (2007).
- 3. Jarman, E.R., Khambata, V.S., Yun Ye, L., et al. Physiol. Rep. 2(9), 1-19 (2014).
- Laping, N.J., Everitt, J.I., Frazier, K.S., et al. Clin. Cancer Res. 13(10), 3087-3099 (2007).
- 5. Thomas, M., Docx, C., Holmes, A.M., et al. Am. J. Pathol. 174(2), 380-389 (2009).

WARNING
THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

WARRANTY AND LIMITATION OF REMEDY

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