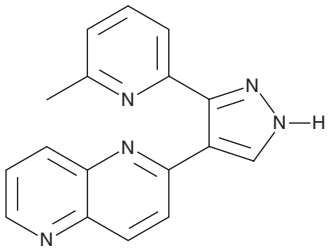
PRODUCT INFORMATION

ALK5 Inhibitor II

Item No. 14794

CAS Registry No.: 446859-33-2
Formal Name: 2-[3-(6-methyl-2-pyridinyl)-1H-pyrazol-4-yl]-1,5-naphthyridine
Synonyms: E 616452, RepSox, SJN 2511
MF: $C_{17}H_{13}N_5$
FW: 287.3
Purity: ≥98%
UV/Vis.: λ_{max}: 331 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥2 years



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

Laboratory Procedures

ALK5 inhibitor II is supplied as a crystalline solid. A stock solution may be made by dissolving the ALK5 inhibitor II in the solvent of choice, which should be purged with an inert gas. ALK5 inhibitor II is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of ALK5 inhibitor II in these solvents is approximately 1, 10, and 12.5 mg/ml, respectively.

ALK5 inhibitor II is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, ALK5 inhibitor II should first be dissolved in DMF and then diluted with the aqueous buffer of choice. ALK5 inhibitor II has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

ALK5 inhibitor II is a cell permeable, selective inhibitor of the TGF-β type 1 activin like kinase receptor ALK5 (IC_{50}s = 4, 18, and 23 nM for ALK5 autophosphorylation, TGF-β cellular assay, and ALK5 binding in HepG2 cells, respectively).[1] This inhibitor demonstrated less potent activity (IC_{50}s > 16 µM) when tested against a panel of 9 related kinases, including p38 MAPK and GSK3.[1] At 25 µM, this compound has been used to induce stem cell pluripotency by replacing the reprogramming transcription factor Sox2 *via* inhibition of the TGF-β signaling pathway and induction of Nanog transcription.[2]

References

1. Gellibert, F., Wollven, J., Fouchet, M.H., *et al.* Identification of 1,5-naphthyridine derivatives as a novel series of potent and selective TGF-β type I receptor inhibitors. *J. Med. Chem.* **47(18)**, 4494-4506 (2004).
2. Ichida, J.K., Blanchard, J., Lam, K., *et al.* A small-molecule inhibitor of Tgf-β signaling replaces Sox2 in reprogramming by inducing *Nanog*. *Cell Stem Cell* **5(5)**, 491-503 (2009).

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