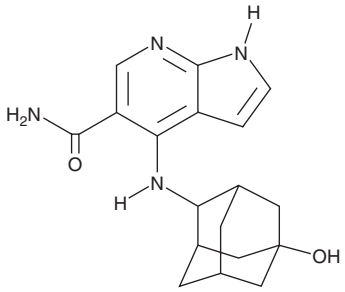
PRODUCT INFORMATION

Peficitinib

Item No. 22954

CAS Registry No.: 944118-01-8
Formal Name: 4-[(5-hydroxytricyclo[3.3.1.1^{3,7}]dec-2-yl)amino]-1H-pyrrolo[2,3-b]pyridine-5-carboxamide, stereoisomer
Synonyms: ASP015K, JNJ-54781532
MF: $C_{18}H_{22}N_4O_2$
FW: 326.4
Purity: ≥98%
UV/Vis.: λ_{max}: 246, 294 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 years



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

Laboratory Procedures

Peficitinib is supplied as a crystalline solid. A stock solution may be made by dissolving the peficitinib in the solvent of choice, which should be purged with an inert gas. Peficitinib is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of peficitinib in these solvents is approximately 1, 10, and 2 mg/ml, respectively.

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

Description

Peficitinib is an inhibitor of JAK (IC_{50}s = 3.9, 5, and 0.71 nM for JAK1-3, respectively).[1] It is 14-fold selective for JAK1 and JAK3 over JAK2 in erythropoietin-induced leukemia cell proliferation assays. Peficitinib inhibits IL-2-induced proliferation of rat splenocytes (IC_{50} = 10 nM) and phosphorylation of STAT5 in rat and human whole blood (IC_{50}s = 124 and 127 nM, respectively).[2] *In vivo*, peficitinib reduces paw swelling (ED_{50} = 5.6 mg/kg) and bone destruction in a rat model of adjuvant-induced arthritis when administered at a dose of 30 mg/kg.

References

1. Norman, P. Selective JAK inhibitors in development for rheumatoid arthritis. *Expert Opin. Investig. Drugs* **23(8)**, 1067-1077 (2014).
2. Ito, M., Yamazaki, S., Yamagami, K., *et al*. A novel JAK inhibitor, peficitinib, demonstrates potent efficacy in a rat adjuvant-induced arthritis model. *J. Pharmacol. Sci.* **133(1)**, 25-33 (2017).

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