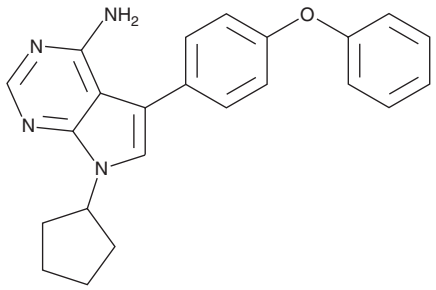
PRODUCT INFORMATION

LCK Inhibitor

Item No. 15135

CAS Registry No.: 213743-31-8
Formal Name: 7-cyclopentyl-5-(4-phenoxyphenyl)-7H-pyrrolo[2,3-d]pyrimidin-4-amine
Synonyms: Lymphocyte-specific Protein Tyrosine Kinase, RK-24466
MF: $C_{23}H_{22}N_4O$
FW: 370.5
Purity: ≥95%
UV/Vis.: λ_{max}: 258, 283 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

LCK inhibitor is supplied as a crystalline solid. A stock solution may be made by dissolving the LCK inhibitor in the solvent of choice, which should be purged with an inert gas. LCK inhibitor is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of LCK inhibitor in these solvents is approximately 0.33, 2.5, and 12 mg/ml, respectively.

LCK inhibitor is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, LCK inhibitor should first be dissolved in DMF and then diluted with the aqueous buffer of choice. LCK inhibitor has a solubility of approximately 0.33 mg/ml in a 1:2 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

LCK is a member of the Src-family of non-receptor protein tyrosine kinases and plays a critical role in the initial steps of T cell receptor signaling that trigger the production of cytokines.[1] LCK inhibitor is a pyrrolopyrimidine that blocks the activity of two forms of LCK kinase, LCK (64-509) and LCKCD, with IC_{50} values of <1 and 2 nM, respectively.[2-3] It inhibits the related kinases Src, Kdr, and Tie-2 with much weaker potency (IC_{50}s = 70 nM, 1.57, and 1.98 µM, respectively) and only minimally inhibits the activities of EGFR, PKC, CDC2/B and ZAP-70 (IC_{50}s = 3.2, >33, >50, and >50 µM, respectively).[2,3] This compound has been shown to inhibit T cell receptor-stimulated IL-2 production in mice (ED_{50}s = 4 and 25 mg/kg when administered either i.p. or orally).[2,4]

References

1. Khatik, R. and Pathak, A.K. *Der Pharma Chemica* **3(2)**, 310-320 (2011).
2. Burchat, A.F., Calderwood, D.J., Hirst, G.C., *et al. Bioorg. Med. Chem. Lett.* **10(19)**, 2171-2174 (2000).
3. Arnold, L.D., Calderwood, D.J., Dixon, R.W., *et al. Bioorg. Med. Chem. Lett.* **10(19)**, 2167-2170 (2000).
4. Calderwood, D.J., Johnston, D.N., Munschauer, R., *et al. Bioorg. Med. Chem. Lett.* **12(12)**, 1683-1686 (2002).

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