

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: C₂₃H₂₂N₄O

FW: 370.5

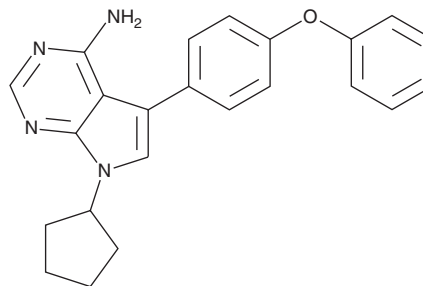
Purity: ≥95%

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 IC₅₀ values of <1 and 2 nM, respectively.²⁻³ It inhibits the related kinases Src, Kdr, and Tie-2 with much weaker potency (IC₅₀s = 70 nM, 1.57, and 1.98 μM, respectively) and only minimally inhibits the activities of EGFR, PKC, CDC2/B and ZAP-70 (IC₅₀s = 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 (ED₅₀s = 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).

WARNING

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

SAFETY DATA

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

Buyer agrees to purchase the material subject to Cayman's Terms and Conditions. Complete Terms and Conditions including Warranty and Limitation of Liability information can be found on our website.

Copyright Cayman Chemical Company, 12/15/2022

CAYMAN CHEMICAL

1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA

PHONE: [800] 364-9897
[734] 971-3335

FAX: [734] 971-3640

CUSTSERV@CAYMANCHEM.COM
WWW.CAYMANCHEM.COM