

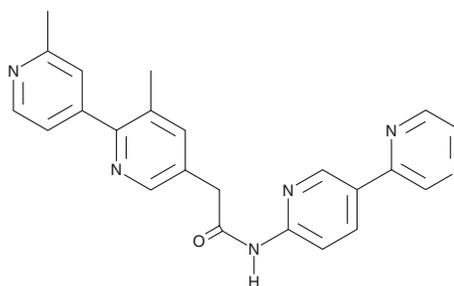
PRODUCT INFORMATION



LGK974

Item No. 14072

CAS Registry No.: 1243244-14-5
Formal Name: 2',3-dimethyl-N-[5-(2-pyrazinyl)-2-pyridinyl]-[2,4'-bipyridine]-5-acetamide
Synonym: PORCN Inhibitor IV
MF: C₂₃H₂₀N₆O
FW: 396.4
Purity: ≥98%
UV/Vis.: λ_{max}: 210, 300 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

LGK974 is supplied as a crystalline solid. A stock solution may be made by dissolving the LGK974 in the solvent of choice. LGK974 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of LGK974 in ethanol is approximately 0.25 mg/ml and approximately 30 mg/ml in DMSO and DMF.

LGK974 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, LGK974 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. LGK974 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

Porcupine (PORCN) is a membrane bound O-acyltransferase that mediates palmitoylation of Wnt family proteins.¹ This step is required for secretion and biologic activity of Wnt proteins, which have roles in embryonic development and cancer.^{1,2} LGK974 is a potent and specific small molecule inhibitor of PORCN (IC₅₀ = 0.4 nM).³ It greatly reduces Wnt secretion without decreasing its synthesis and without increasing cytotoxicity.³ LGK974 is orally bioavailable in mice and induces tumor regression in mouse breast tumors produced by mammary tumor virus-driven Wnt1 signaling.³ It also blocks carcinogenesis in other Wnt-related tumors at doses that have limited impact on stem cell renewal.³⁻⁵

References

1. Gao, X. and Hannoush, R.N. *Nat. Chem. Biol.* **10(10)**, 61-68 (2014).
2. Proffitt, K.D., Madan, B., Ke, Z., et al. *Cancer Res.* **73(2)**, 502-507 (2013).
3. Liu, J., Pan, S., Hsieh, M.H., et al. *Proc. Natl. Acad. Sci. USA* **110(50)**, 20224-20229 (2013).
4. Jiang, X., Hao, H.-X., Gowney, J.D., et al. *Proc. Natl. Acad. Sci. USA* **110(31)**, 12649-12654 (2013).
5. Lum, L. and Clevers, H. *Science* **337**, 922-923 (2012).

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, 10/27/2022

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