

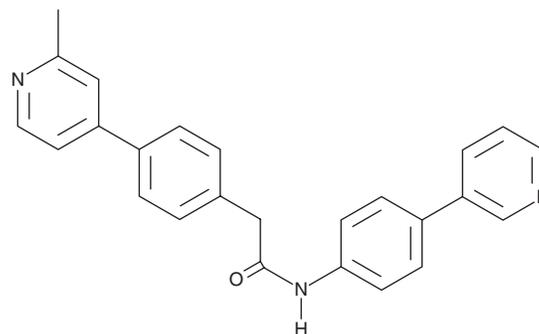
PRODUCT INFORMATION



Wnt-C59

Item No. 16644

CAS Registry No.: 1243243-89-1
Formal Name: 4-(2-methyl-4-pyridinyl)-N-[4-(3-pyridinyl)phenyl]-benzeneacetamide
Synonym: PORCN Inhibitor II
MF: C₂₅H₂₁N₃O
FW: 379.5
Purity: ≥98%
UV/Vis.: λ_{max}: 275 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

Wnt-C59 is supplied as a crystalline solid. A stock solution may be made by dissolving the Wnt-C59 in the solvent of choice, which should be purged with an inert gas. Wnt-C59 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of Wnt-C59 in these solvents is approximately 20 mg/ml.

Wnt-C59 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, Wnt-C59 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Wnt-C59 has a solubility of approximately 0.25 mg/ml in a 1:3 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} Wnt-C59 is a potent inhibitor of PORCN, as measured by prevention of Wnt3A activation (IC₅₀ = 74 pM).² As palmitoylation of Wnt is required for its secretion, Wnt-C59 completely blocks Wnt3A secretion into culture media.² Wnt-C59 prevents activation of all evaluated Wnt family members.² This compound is effective *in vivo* as well as *in vitro*. Whether given by intravenous (2.5 mg/kg) or oral administration (5 mg/kg), Wnt-C59 displays a half-life in blood of approximately 1.94 hours, and remains greater than 10-fold above the *in vitro* IC₅₀ concentration for at least 16 hours after a single oral dose.² It blocks the progression of both basal-like and triple-negative breast cancers while downregulating Wnt/β-catenin pathway target genes in mice.^{2,3}

References

1. Gao, X. and Hannoush, R.N. Single-cell imaging of Wnt palmitoylation by the acyltransferase porcupine. *Nat. Chem. Biol.* **10**(10), 61-68 (2014).
2. Proffitt, K.D., Madan, B., Ke, Z., *et al.* Pharmacological inhibition of the Wnt acyltransferase PORCN prevents growth of WNT-driven mammary cancer. *Cancer Res.* **73**(2), 502-507 (2013).
3. Wend, P., Runke, S., Wend, K., *et al.* WNT10B/β-catenin signalling induces HMGA2 and proliferation in metastatic triple-negative breast cancer. *EMBO Mol. Med.* **5**(2), 264-279 (2013).

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.

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