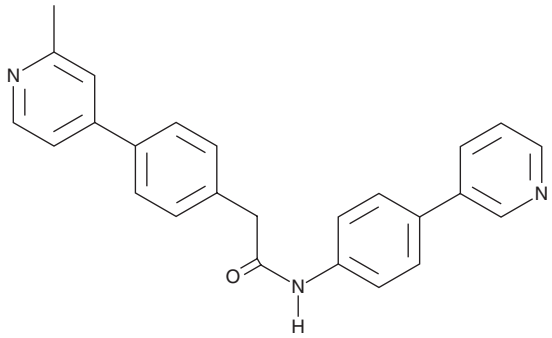
PRODUCT INFORMATION

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:	$C_{25}H_{21}N_3O$
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 (IC_{50} = 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* IC_{50} 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).

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