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Produktinformation

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Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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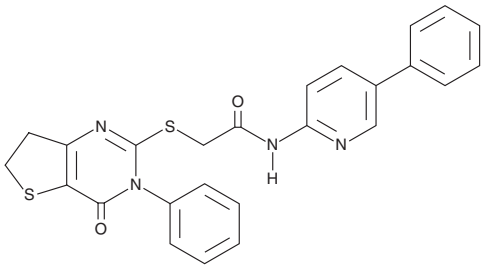
PRODUCT INFORMATION

IWP-L6

Item No. 15243

CAS Registry No.:	1427782-89-5
Formal Name:	N-(5-phenyl-2-pyridinyl)-2-[(3,4,6,7-tetrahydro-4-oxo-3-phenylthieno[3,2-d]pyrimidin-2-yl)thio]-acetamide
Synonyms:	PORCN Inhibitor III, Wnt Pathway Inhibitor XIX
MF:	$C_{25}H_{20}N_4O_2S_2$
FW:	472.6
Purity:	≥98%
UV/Vis.:	λ_{max}: 269, 340 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

IWP-L6 is supplied as a crystalline solid. A stock solution may be made by dissolving the IWP-L6 in the solvent of choice, which should be purged with an inert gas. IWP-L6 is soluble in organic solvents such as DMSO and dimethyl formamide (DMF). The solubility of IWP-L6 in these solvents is approximately 2 and 5 mg/ml, respectively.

IWP-L6 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, IWP-L6 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. IWP-L6 has a solubility of approximately 0.3 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

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] IWP-L6 is a potent inhibitor of PORCN (EC_{50} = 0.5 nM).[3] It suppresses the phosphorylation of dishevelled 2 in HEK293 cells. IWP-L6 displays high stability in human plasma ($t_{1/2}$ > 24 hours) and in zebrafish, but it is much less stable in plasma from mice and rats.[3] In zebrafish, IWP-L6 blocks Wnt/β-catenin-dependent developmental processes, including tailfin regeneration and posterior axis formation.[3] It also completely prevents branching morphogenesis in cultured mouse embryonic kidneys at doses of 50 nM and above, indicating complete inhibition of Wnt signaling.[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. Wang, X., Moon, J., Dodge, M.E., *et al*. The development of highly potent inhibitors for porcupine. *J. Med. Chem.* **56(6)**, 2700-2704 (2013).

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