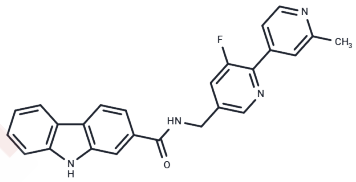
Data Sheet (Cat.No.T4438)

TargetMol

Porcn-IN-1

Chemical Properties



CAS No. : 2036044-77-4

Formula: C25H19FN4O

Molecular Weight: 410.44

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Porcn-IN-1 (Porcupine-IN-1) is an effective porcupine inhibitor (IC50: 0.5±0.2 nM).
Targets(IC50)	Porcupine
In vitro	Porcupine, an enzyme, facilitates the attachment of palmitoleate to a serine residue within Wnt proteins, essential for their secretion. Porcupine-IN-1 exhibits potency comparable to the clinical compound LGK974 in a cell-based STF reporter gene assay and effectively inhibits the secretion of Wnt3A, thereby confirming its role as a porcupine inhibitor[1].
In vivo	Porcupine-IN-1 exhibits moderate metabolic clearance in human liver microsomes (57 mL/min/kg) and rat liver microsomes (24 mL/min/kg), while demonstrating high clearance rates in mouse liver microsomes (109 mL/min/kg)[1].
Cell Research	HEK293T cells are transfected with pLinbin-Wnt3A plasmid or vehicle control. The HEK293T cells are then treated with or without compounds (Porcupine-IN-1). Western Blot is used after 48 h to analyze both the cell lysis and culture medium[1].

Solubility Information

Solubility	DMSO: 100 mg/mL (243.64 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.4364 mL	12.182 mL	24.3641 mL
5 mM	0.4873 mL	2.4364 mL	4.8728 mL
10 mM	0.2436 mL	1.2182 mL	2.4364 mL
50 mM	0.0487 mL	0.2436 mL	0.4873 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Xu Z, Xu X, O'Laoi R, et al. Design, synthesis, and evaluation of novel porcupine inhibitors featuring a fused 3-ring system based on the 'reversed'amide scaffold[J]. Bioorganic & medicinal chemistry, 2016, 24(22): 5861-5872.
Wu W, Xu H, Liao C, et al. Blockade of USP14 potentiates type I interferon signaling and radiation-induced antitumor immunity via preventing IRF3 deubiquitination. Cellular Oncology. 2022: 1-15
Yue X, Liu T, Wang X, et al.Pharmacological inhibition of BAP1 recruits HERC2 to competitively dissociate BRCA1-BARD1, suppresses DNA repair and sensitizes CRC to radiotherapy.Acta Pharmaceutica Sinica B.2023