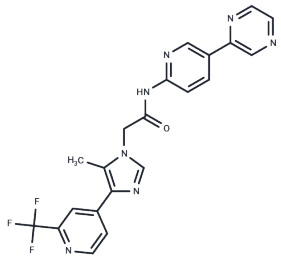
Data Sheet (Cat.No.T77780)

TargetMol

Zamaporvint

Chemical Properties



CAS No. : 1900754-56-4

Formula: C21H16F3N7O

Molecular Weight: 439.39

Storage: Store at low temperature,Keep away from direct sunlight
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	Zamaporvint (RXC004) is a selective, orally active and potent inhibitor of the Wnt pathway that acts on the membrane-bound fatty acyltransferase porcupine to block Wnt ligand palmitoylation, secretion, and pathway activation.Zamaporvint has shown anti-tumor and anti-proliferative activity in a wide range of cancer cell lines.
Targets(IC50)	Others,Porcupine,Acyltransferase,Wnt/beta-catenin
In vitro	Treatment of L-wnt3a cells with Zamaporvint (300 nM, 48 h) dose-dependently reduced the ability to activate β-catenin responsive luciferase reporter gene in conditioned medium, with an IC50 of 64 pM. The addition of recombinant Wnt3a restored luciferase activity, indicating no impact on downstream Wnt signaling transduction[1].The impact of Zamaporvint (100 nM, 24 h) on proliferation reflected a concentration-dependent downregulation of c-Myc mRNA. There was a decrease in the proportion of cells in the S phase, and a strong inhibition of the expression of mitotic marker phosphorylated histone-H3 in cells with aberrant upstream Wnt pathway components, suggesting cell cycle arrest. Additionally, an additive effect was observed at the same dose, revealing a reduction in its immunosuppressive properties after administration[1].
In vivo	Zamaporvint, administered orally at doses of 1.5 mg/kg or 5 mg/kg twice daily, or 5 mg/kg once daily for 28 days, has demonstrated the ability to reduce tumor growth. In ligand-dependent SNU-1411, AsPC1, and HPAF II models with observable inhibition of Wnt-responsive gene expression (including cMyc), as well as in Wnt ligand-independent HCT116 xenograft models, tumor growth remained unaffected[1].At a dose of 1.5 mg/kg and 5 mg/kg once daily, Zamaporvint reduced the number of Ki67-positive cells in the overall tumor area, with a more pronounced effect in the differentiated tumor regions. Its anti-tumor effects were observed in the B16F10 "cold" tumor model through the inhibition of immune evasion[1].Zamaporvint, at doses of 1.5 or 5 mg/kg once daily, stimulated the host tumor immune response by decreasing bone marrow-derived suppressor cells within B16F10 tumors. It also exhibited a synergistic effect with anti-programmed cell death protein-1 (PD-1) to increase the proportion of regulatory T cells (CD8+/CT26) within the tumor[1].

Solubility Information

Solubility	DMSO: 100 mg/mL (227.59 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.2759 mL	11.3794 mL	22.7588 mL
5 mM	0.4552 mL	2.2759 mL	4.5518 mL
10 mM	0.2276 mL	1.1379 mL	2.2759 mL
50 mM	0.0455 mL	0.2276 mL	0.4552 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

Phillips C. The Wnt Pathway Inhibitor RXC004 Blocks Tumor Growth and Reverses Immune Evasion in Wnt Ligand-dependent Cancer Models. Cancer Res Commun. 2022 Sep 2;2(9):914-928.