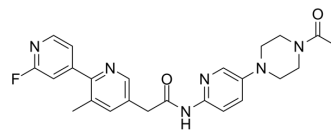


GNF-6231

Cat. No.:	HY-100408		
CAS No.:	1243245-18-2		
Molecular Formula:	C ₂₄ H ₂₅ FN ₆ O ₂		
Molecular Weight:	448.49		
Target:	Porcupine		
Pathway:	Stem Cell/Wnt		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	2 years
		-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 36 mg/mL (80.27 mM)
 * "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
	1 mM	2.2297 mL	11.1485 mL	22.2970 mL
	5 mM	0.4459 mL	2.2297 mL	4.4594 mL
	10 mM	0.2230 mL	1.1149 mL	2.2297 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
 Solubility: ≥ 3 mg/mL (6.69 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
 Solubility: ≥ 3 mg/mL (6.69 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil
 Solubility: ≥ 3 mg/mL (6.69 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

GNF-6231 is a porcupine (IC₅₀= 0.8 nM), Pron, and endoplasmic reticulum protein inhibitor with oral activity. GNF-6231 has anticancer activity. GNF-6231 can prevent the activation of the Wnt pathway by blocking the secretion of all Wnt ligands. GNF-6231 can be used in the study of myocardial infarction^{[1][2][3][4]}.

In Vitro

GNF-6231 inhibits Porcupine enzyme activity with IC₅₀ 0.8 nM and isn't cytotoxic in the concentration range of 20 μM^[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

GNF-6231 (5 mg/kg; Intravenous injection (i.v.); every 24 hours for 6 days) alleviates the symptoms of myocardial infarction in C57Bl/6 mice by inhibiting the activation of the Wnt pathway^[1].

GNF-6231 (0.3-3 mg/kg; p.o.; once daily for 2 weeks) shows antitumor activity in a mouse of MMTV-WNT1 xenograft tumor^[4].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	C57Bl/6 mice model of myocardial infarction ^[1]
Dosage:	5 mg/kg
Administration:	Intravenous injection (i.v.); Starting from 6 hours after myocardial infarction surgery to the sixth day after infarction, once every 24 hours
Result:	Reduced nuclear and cytoplasmic β -catenin levels. Reduced adverse cardiac remodeling and reduced myocardial infarction area in mice (9.07%). Enhanced the overall heart repair and recovery after left ventricular infarction.
Animal Model:	Mouse MMTV-WNT1 xenograft tumor model ^[2]
Dosage:	0.3 mg/kg, 1.0 mg/kg, 3 mg/kg
Administration:	Oral gavage; Once daily for 2 weeks
Result:	At a single dose of 3 mg/kg, reduced the level of Wnt target gene Axin2 mRNA. Promoted tumor regression in mice in a dose-dependent manner.

REFERENCES

[1]. Bastakoty, Dikshya et al. Temporary, Systemic Inhibition of the WNT/ β -Catenin Pathway promotes Regenerative Cardiac Repair following Myocardial Infarct. Cell, stem cells and regenerative medicine vol. 2,2 (2016): 10.16966/2472-6990.111.

[2]. Kang, Sheng. Low-density lipoprotein receptor-related protein 6-mediated signaling pathways and associated cardiovascular diseases: diagnostic and therapeutic opportunities. Human genetics vol. 139,4 (2020): 447-459.

[3]. Raeisi, Mortaza et al. Porcn as a novel therapeutic target in cancer therapy: A review. Cell biology international vol. 46,12 (2022): 1979-1991.

[4]. Cheng, Dai et al. Discovery of Pyridinyl Acetamide Derivatives as Potent, Selective, and Orally Bioavailable Porcupine Inhibitors. ACS medicinal chemistry letters vol. 7,7 676-80. 10 May. 2016,

[5]. Bastakoty, Dikshya et al. Temporary, Systemic Inhibition of the WNT/ β -Catenin Pathway promotes Regenerative Cardiac Repair following Myocardial Infarct. Cell, stem cells and regenerative medicine vol. 2,2 (2016): 10.16966/2472-6990.111.

Caution: Product has not been fully validated for medical applications. For research use only.

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