Product Data Sheet

Product Name: IWP-3
Cat. No.: GC17071

Chemical Properties

Cas. No.	687561-60-0		
Chemical Name	2-[[3-(4-fluorophenyl)-3,4,6,7-tetrahydro-4-oxothieno[3,2-d]pyrimidin-2-yl]thio]-N-(6-methyl-2-benzothiazolyl)-acetamide		
SMILES	O=C(CSC(N1C2=CC=C(F)C=C2)=NC3=C(SCC3)C1=O)NC4=NC5=C(C=C(C)C=C5)S4		
Formula	$C_{22}H_{17}FN_4O_2S_3$	M.Wt	484.6
Solubility	≤0.1mg/ml in DMSO;2mg/ml in dimethyl formamide	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	IWP-3		

Background

IC50: 40 nM

IWP-3 is an inhibitor of Wnt production.

Wnt signaling proteins, a group of small secreted proteins, are active in tissue homeostasis, embryonic development, as well as tumorigenesis. Wnt proteins can bind to the cell surface receptors, initiating a signaling cascade that results in the β-catenin activation of gene transcription.

In vitro: In previous study, IWP-3 was identified as an inhibitor of Wnt production that could regulate in vitro Wnt pathway activity with an IC50 value of 40 nM. In addition, IWP-3 was found to be able to inactivate porcupine, a O-acyltransferase for palmitoylating Wnt proteins that was critical for the signaling ability and secretion. Moreover, IWP-3 at 5 μM was found to block Wnt-dependent phosphorylation of the low-density lipoprotein receptor-related protein 6 and the scaffold protein Dishevelled, resulting in preventing the accumulation of β-catenin [1]. In another study, IWP-3 was applied to promote cardiomyocyte generation from human embryonic stem cells by inhibiting Wnt signaling [2].

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In vivo: So far, there is no animal in vivo data reported.

Clinical trial: Up to now, IWP-3 is still in the preclinical development stage.

References:
[1] B. Chen, M. E. Dodge, W. Tang, et al. Small molecule-mediated disruption of Wnt-dependent signaling in tissue regeneration and cancer. Nature Chemical Biology 5(2), 100-107 (2009).
[2] E. Willems, S. Spiering, H. Davidovics, et al. Small molecule inhibitors of the Wnt pathway potently promote cardiomyocytes from human embryonic stem cell derived mesoderm. Circulation Research 109(4), 360-364 (2011).

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