Product Data Sheet

Product Name: IWP-2-V2
Cat. No.: GC14546

Chemical Properties

Cas. No.	877618-79-6		
Chemical Name	N-(6-methyl-2-benzothiazolyl)-2-[[3,4,6,7-tetrahydro-4-oxo-3-(phenylmethyl)thieno[3,2-d]pyrimidin-2-yl]thio]-acetamide		
SMILES	O=C(CSC(N1CC2=CC=CC=C2)=NC3=C(SCC3)C1=O)NC4=NC5=C(C=C(C)C=C5)S4		
Formula	$C_{23}H_{20}N_4O_2S$	M.Wt	480.6
Solubility	≤2mg/ml in DMSO;5mg/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-2-V2		

Background

IC50: 27 nM

IWP-2-V2 is a Wnt production inhibitor.

Wnt signaling proteins are small secreted proteins that are active in tissue homeostasis, embryonic development, and tumorigenesis. Wnt proteins bind to receptors, initiating a signaling cascade that results in β-catenin activation of gene transcription.

In vitro: IWP-2 was identified as an inhibitor of Wnt production inactivating porcupine, a membrane-bound O-acyltransferase whose palmitoylation activity was essential for the signaling ability and secretion of Wnt proteins. IWP-2-V2 is a less potent IWP-2 derivative whose chemical structure retains the benzothiazole group of its parent compound. IWP-2-V2 was used to evaluate which structural features of IWP-2 were critical for impairing Wnt/β-catenin pathway activity [1].

In vivo: In CCI rats, repetitive i.t. administration of IWP-2 (20 μM) in the early phase could significantly delay production of mechanical allodynia. The same drug administrated in the late phase produced long-lasting inhibitory effects on the established mechanical allodynia.

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Such analgesia lasted 4–6 days for IWP-2 after termination of the third treatment. These results showed similar inhibitory effects of IWP-2 on thermal hyperalgesia after CCI treatment [2].

Clinical trial: So far, no clinical study has been conducted.

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] Y. Zhang et al. WNT signaling underlies the pathogenesis of neuropathic pain in rodents. J Clin Invest. 2013 May 1; 123(5): 2268–2286.

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