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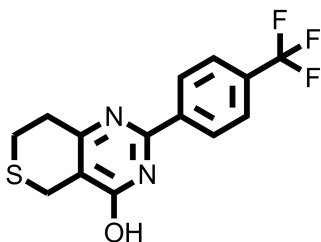
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Wnt Antagonist XAV939

Chemical Name: 2-(4-(trifluoromethyl)phenyl)-7,8-dihydro-5H-thiopyrano[4,3-d]pyrimidin-4-ol



Molecular Weight:	312.31
Formula:	C ₁₄ H ₁₁ F ₃ N ₂ OS
Purity:	≥98%
CAS#:	284028-89-3
Solubility:	DMSO up to 50mM
Storage	Powder: 4°C 1 year DMSO: 4°C 3 month -20°C 1 year

Biological Activity:

XAV939 is a potent and selective Wnt pathway antagonist. It functions to stabilize Axin by inhibiting the poly-ADP-ribosylating enzymes tankyrase 1 and tankyrase 2 with IC₅₀ of 11 nM and 4 nM, respectively. It has been used to study Wnt-dependent cancers. In addition, XAV939 was shown to stabilize Axin2 level in oligodendrocyte precursor cells in the spinal cord and accelerated their differentiation and myelination after hypoxic and demyelinating injury. It can also robustly induce cardiomyocyte differentiation from mouse and human ESCs/iPSCs.

How to Use:

In vitro: XAV939 was used at 1 μM concentration to stabilize Axin and in stem cell culture. It can be used to functionally replace Dkk protein in blocking Wnt signaling in many assay conditions (e.g., 40 times more effective in inducing cardiogenesis than recombinant Wnt inhibitor protein Dkk).

In vivo: n/a

Reference:

1. Huang SMA, et al. Tankyrase inhibition stabilizes axin and antagonizes Wnt signaling; (2009) Nature. 461, 614-620
2. Wang H, et al. Cardiac induction of embryonic stem cells by a small molecule inhibitor of Wnt/β-catenin signaling. (2011) ACS Chem Biol. 6(2):192-7.
3. Fancy SP, et al. Axin2 as regulatory and therapeutic target in newborn brain injury and remyelination. (2011) Nat Neurosci. 14(8):1009-16.
4. Willems E, et al. Small-molecule inhibitors of the Wnt pathway potently promote cardiomyocytes from human embryonic stem cell-derived mesoderm. (2011) Circ Res.109(4):360-4.

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