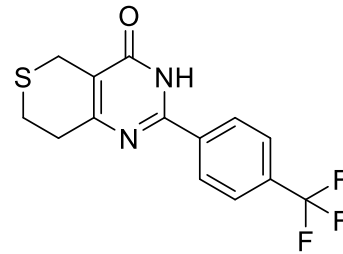


Product data sheet



MedKoo Cat#: 406134 Name: XAV-939 CAS#: 284028-89-3 Chemical Formula: C ₁₄ H ₁₁ F ₃ N ₂ OS Exact Mass: 312.05442 Molecular Weight: 312.31	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years. In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:

XAV-939 is a Tankyrase (TNKS) inhibitor with potential anticancer activity. XAV-939 antagonizes Wnt signaling via stimulation of β -catenin degradation and stabilization of axin. XAV-939 inhibits proliferation of the μ -catenin-dependent colon carcinoma cell line DLD-1. Promotes cardiomyogenic development in mesoderm progenitor cells.

2. CoA, QC data, SDS, and handling instruction

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under “QC And Documents” section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	10.42	33.36

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	3.20 mL	16.01 mL	32.02 mL
5 mM	0.64 mL	3.20 mL	6.40 mL
10 mM	0.32 mL	1.60 mL	3.20 mL
50 mM	0.06 mL	0.32 mL	0.64 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator

Please refer the product web page under section of “Calculator”

6. Recommended literature which reported protocols for in vitro and in vivo study

In vitro study

1. Dittfeld C, Reimann G, Mieting A, Büttner P, Jannasch A, Plötze K, Steiner G, Tugtekin SM, Matschke K. Treatment with XAV-939 prevents in vitro calcification of human valvular interstitial cells. *PLoS One*. 2018 Dec 7;13(12):e0208774. doi: 10.1371/journal.pone.0208774. PMID: 30532256; PMCID: PMC6286025.

2. Almasoud N, Binhamdan S, Younis G, Alaskar H, Alotaibi A, Manikandan M, Alfayez M, Kassem M, AlMuraikhi N. Tankyrase inhibitor XAV-939 enhances osteoblastogenesis and mineralization of human skeletal (mesenchymal) stem cells. *Sci Rep*. 2020 Oct 7;10(1):16746. doi: 10.1038/s41598-020-73439-9. Erratum in: *Sci Rep*. 2021 Feb 19;11(1):4559. PMID: 33028869; PMCID: PMC7541626.

In vivo study

1. Lietman C, Wu B, Lechner S, Shinar A, Sehgal M, Rossomacha E, Datta P, Sharma A, Gandhi R, Kapoor M, Young PP. Inhibition of Wnt/ β -catenin signaling ameliorates osteoarthritis in a murine model of experimental osteoarthritis. *JCI Insight*. 2018 Feb 8;3(3):e96308. doi: 10.1172/jci.insight.96308. PMID: 29415892; PMCID: PMC5821202.

7. Bioactivity

Biological target:

Product data sheet



XAV-939 (NVP-XAV939) selectively inhibits Wnt/ β -catenin-mediated transcription through tankyrase1/2 inhibition with IC50 of 11 nM/4 nM in cell-free assays, regulates axin levels and does not affect CRE, NF- κ B or TGF- β .

In vitro activity

Calcification of human VIC cultures was induced by cultivation in an osteogenic medium and the effect of co-incubation with 1 μ M XAV-939 was monitored. Calcification was quantified when mineral deposits were visible in culture and was histologically verified by von Kossa or Alizarin red staining and by IR-spectroscopy. Protein expression of alkaline phosphatase, Axin, β -catenin and Sox9 were quantified by western blotting. In 58% of the VIC preparations, calcification was induced in an osteogenic culture medium and was accompanied by upregulation of alkaline phosphatase. The calcification induction was prevented by the XAV-939 co-treatment and the alkaline phosphatase upregulation was suppressed. As expected, Axin was upregulated, but the levels of active non-phospho- β -catenin were also enhanced. Sox9 was induced during XAV-939 treatment but apparently not as a result of downregulation of β -catenin signalling. XAV-939 was therefore able to prevent calcification of human VIC cultures, and XAV-939 treatment was accompanied by upregulation of active non-phospho- β -catenin. Although XAV-939 does not downregulate active β -catenin, treatment with XAV-939 results in Sox9 upregulation that may prevent the calcification process.

Reference: PLoS One. 2018 Dec 7;13(12):e0208774. <https://www.ncbi.nlm.nih.gov/pmc/articles/PMC30532256/>

In vivo activity

Mice underwent destabilization of the medial meniscus surgery and were treated by intra-articular injection with XAV-939, a small-molecule inhibitor of Wnt/ β -catenin signaling. Wnt/ β -catenin signaling was highly activated in murine synovial fibroblasts as well as in OA-derived human synovial fibroblasts. XAV-939 ameliorated OA severity associated with reduced cartilage degeneration and synovitis in vivo. Wnt inhibition using XAV-939 attenuated the proliferation and type I collagen synthesis in synovial fibroblasts in vitro but did not affect human OA-derived chondrocyte proliferation. However, Wnt modulation increased COL2A1 and PRG4 transcripts, which are downregulated in chondrocytes in OA. I

Reference: JCI Insight. 2018 Feb 8;3(3):e96308. <https://www.ncbi.nlm.nih.gov/pmc/articles/PMC29415892/>

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.