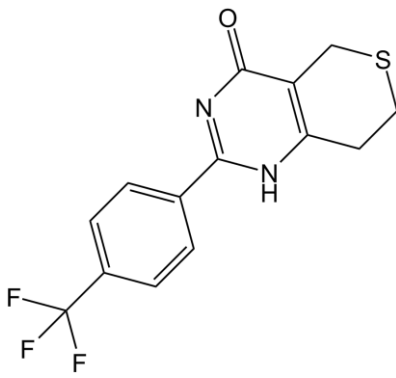


Product Data Sheet

Chemical Properties

Product Name:	XAV-939	
Cas No.:	284028-89-3	
M.Wt:	312.31	
Formula:	C ₁₄ H ₁₁ F ₃ N ₂ O ₂ S	
Synonyms:	N/A	
Chemical Name:	2-[4-(trifluoromethyl)phenyl]-1,5,7,8-tetrahydrothiopyrano[4,3-d]pyrimidin-4-one	
Canonical SMILES:	C1CSCC2=C1NC(=NC2=O)C3=CC=C(C=C3)C(F)(F)F	
Solubility:	≥15.62mg/mL in DMSO	
Storage:	Store at -20°C	
General tips:	For obtaining a higher solubility , please warm the tube at 37° C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20° C for several months.	
Shopping Condition:	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request	

Biological Activity

Targets : DNA Damage/DNA Repair

Pathways: PARP

Description:

XAV-939 is a small-molecule inhibitor of tankyrase 1/2 with IC₅₀ values of 4 and 11 nM, respectively [1].

XAV-939 was screened out as an inhibitor of Wnt/ β -catenin pathway. In HEK293 cells, XAV-939 significantly inhibited the Wnt3a-stimulated STF activity and β -catenin accumulation. In SW480 cells, XAV-939 also inhibited STF activity and increased β -catenin phosphorylation. XAV-939 was demonstrated to stabilize the axin levels through inhibiting tankyrases and subsequently inhibit

the Wnt signaling. It tightly bound to the catalytic domains of tankyrase 1 and tankyrase 2 with Kd values of 99 and 93 nM, respectively. In addition, XAV-939 significantly inhibited colony formation of β -catenin-dependent DLD-1 cells but not β -catenin-independent RKO cells [1].

Reference:

[1] Huang S M A, Mishina Y M, Liu S, et al. Tankyrase inhibition stabilizes axin and antagonizes Wnt signalling. *Nature*, 2009, 461(7264): 614-620.

Protocol

Cell experiment:

Cell lines	HCT116 cells
Preparation method	The solubility of this compound in DMSO is >10 mM. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.
Reacting conditions	20 μ M, 24 hours
Applications	HCT116 cells were treated with XAV-939, then stained with PI and subjected to flow cytometric analysis or lysed and subjected to immunoblot analysis. The results showed that the number of cells arrest in G1 phase was 71.01%, as compared with the 45.54% of untreated control samples. Western blot analysis revealed that XAV-939 increased the level of AXIN and inhibited the expression of β -catenin.

Animal experiment [3]:

Animal models	Mice
Dosage form	Intraperitoneal injection, 2.5 mg/kg, four times a day
Applications	Treatment of bleomycin challenged mice with XAV-939 reduced dermal thickening by 50% compared with sham-treated, bleomycin challenged mice. The number of myofibroblasts and the hydroxyproline content were also significantly decreased in mice treated with XAV-939.
Other notes	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Reference:

[1] He L, Lu N, Dai Q, et al. Wogonin induced G1 cell cycle arrest by regulating Wnt/ β -catenin signaling pathway and inactivating CDK8 in human colorectal cancer carcinoma cells. *Toxicology*, 2013, 312: 36-47.

[2] Distler A, Deloch L, Huang J, et al. Inactivation of tankyrases reduces experimental fibrosis by inhibiting canonical Wnt signalling. *Annals of the rheumatic diseases*, 2013, 72(9): 1575-1580.

Product Citations

1. Jia J, Qiao Y, et al. "Tankyrase inhibitors suppress hepatocellular carcinoma cell growth via modulating the Hippo cascade." *PLoS One*. 2017 Sep 6;12(9):e0184068. PMID:28877210

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most ApexBio products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Short-term storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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