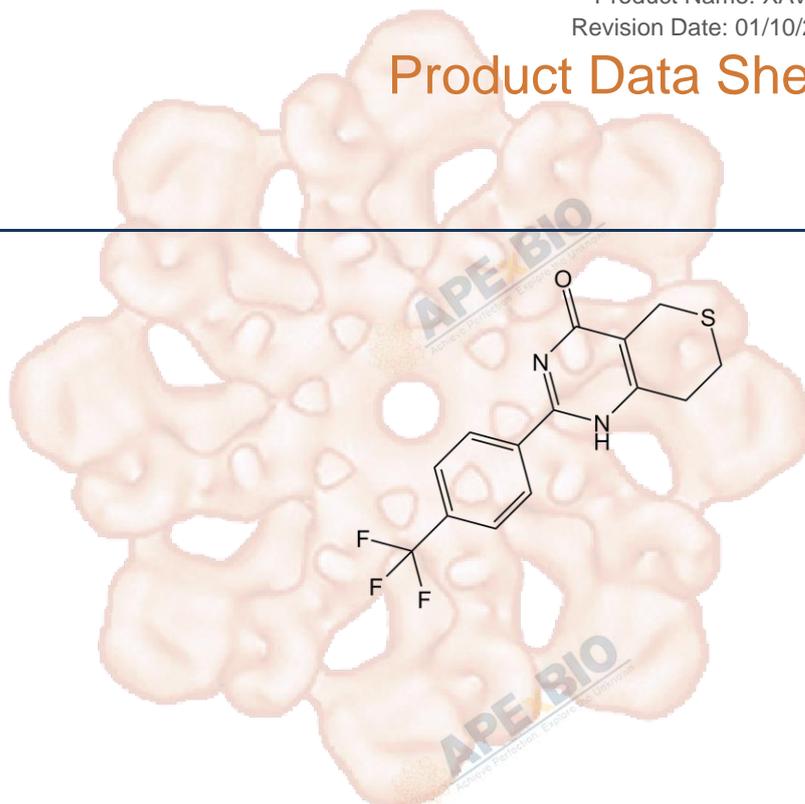


# Product Data Sheet

## XAV-939

<b>Cat. No.:</b>	A1877
<b>CAS No.:</b>	284028-89-3
<b>Formula:</b>	C <sub>14</sub> H <sub>11</sub> F <sub>3</sub> N <sub>2</sub> O <sub>2</sub> S
<b>M.Wt:</b>	312.31
<b>Synonyms:</b>	
<b>Target:</b>	DNA Damage/DNA Repair
<b>Pathway:</b>	PARP
<b>Storage:</b>	Store at -20°C



### Solvent & Solubility

insoluble in H<sub>2</sub>O; insoluble in EtOH; ≥15.62 mg/mL in DMSO

In Vitro

Preparing Stock Solutions	Solvent	Mass		
		1mg	5mg	10mg
	<b>Concentration</b>			
	<b>1 mM</b>	3.2019 mL	16.0097 mL	32.0195 mL
	<b>5 mM</b>	0.6404 mL	3.2019 mL	6.4039 mL
	<b>10 mM</b>	0.3202 mL	1.6010 mL	3.2019 mL

Please refer to the solubility information to select the appropriate solvent.

### Biological Activity

Shortsummary

Tankyrase 1/2 inhibitor

IC<sub>50</sub> & Target

11 nM (TNKS1), 4 nM (TNKS2)

In Vitro

#### Cell Viability Assay

Cell Line: 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 μM, 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 $\beta$ -catenin.
In Vivo	<b>Animal experiment</b>	
	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.

## Product Citations

1. Grunewald ME, Chen Y, et al. "The coronavirus macrodomain is required to prevent PARP-mediated inhibition of virus replication and enhancement of IFN expression." PLoS Pathog. 2019 May 16;15(5):e1007756.PMID:31095648
2. 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

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## References

- [1] He L, Lu N, Dai Q, et al. Wogonin induced G1 cell cycle arrest by regulating Wnt/ $\beta$ -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.

## 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. Shortterm 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

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of the product, follow the storage recommendations on the product data sheet.



**APExBIO Technology**

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