

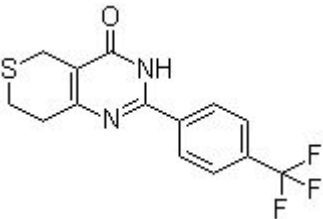


Product Introduction

XAV-939

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

Technical Data:

Molecular Weight (MW):	312.31	
Formula:	C ₁₄ H ₁₁ F ₃ N ₂ OS	
Solubility (25°C)	DMSO 12 mg/mL	
* <1 mg/ml means slightly soluble or insoluble:	Water <1 mg/mL	
	Ethanol <1 mg/mL	
Purity:	>98%	
Storage:	3 years -20°C Powder 6 months -80°C in DMSO	
CAS No.:	284028-89-3	

Biological Activity

XAV-939 specifically inhibits tankyrase PARP activity. XAV-939 dramatically decreases DNA-PKcs protein levels, confirming the critical role of tankyrase poly-ADP-ribosylation activity in maintaining stability of the DNA-PKcs protein. The greatest reduction of DNA-PKcs protein levels (< 25% relative expression compared to DMSO treated controls) occurs at 12 hours with 1.0 μ M XAV-939 exposure. Treatment of human lymphoblasts with 1.0 μ M XAV-939 results in marked elevation of tankyrase 1 levels. ^[1] XAV-939 is axin stabilizing agent. XAV-939 stimulates beta-catenin degradation by stabilizing axin, the

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concentration-limiting component of the destruction complex. XAV-939 stabilizes axin by blocking the poly-ADP-ribosylating enzymes tankyrase 1 and tankyrase 2. Both tankyrase isoforms interact with a highly conserved domain of axin and stimulate its degradation through the ubiquitin-proteasome pathway. XAV-939 deregulates the Wnt/b-catenin pathway which has been implicated in many cancers. [2]

References

- [1] Dregalla RC, et al. *Aging*, 2010, 2(10), 691-708.
[2] Huang SM, et al. *Nature*, 2009, 461(7264), 614-620.



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