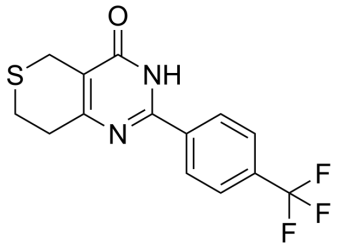
XAV-939

Cat. No.:	HY-15147
CAS No.:	284028-89-3
Molecular Formula:	$C_{14}H_{11}F_3N_2OS$
Molecular Weight:	312.31
Target:	β-catenin; PARP
Pathway:	Stem Cell/Wnt; Cell Cycle/DNA Damage; Epigenetics
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 1 year -20°C 6 months



SOLVENT & SOLUBILITY

In Vitro

DMSO : 15.62 mg/mL (50.01 mM; ultrasonic and warming and heat to 60°C)
H_2O : < 0.1 mg/mL (insoluble)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.2019 mL	16.0097 mL	32.0195 mL
5 mM	0.6404 mL	3.2019 mL	6.4039 mL
10 mM	0.3202 mL	1.6010 mL	3.2019 mL

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

In Vivo

1. Add each solvent one by one: 50% PEG300 >> 50% saline
   Solubility: 5 mg/mL (16.01 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: 1.56 mg/mL (5.00 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 1.56 mg/mL (5.00 mM); Suspended solution; Need ultrasonic
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: 1.56 mg/mL (5.00 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

XAV-939 is a Tankyrase inhibitor. XAV-939 has inhibitory activity for TNKS1 and TNKS2 with IC_{50} values of 5 nM and 2 nM, respectively. XAV-939 also is an enhancer of osteoblastic differentiation of hMSCs. XAV-939 can be used for the research of conditions associated with activated Wnt signaling, such as cancer, fibrotic diseases and conditions associated with low bone formation[1][2][3].

IC_{50} & Target	TNKS2	TNKS1	ARTD2	ARTD1
	2 nM (IC_{50})	5 nM (IC_{50})	479 nM (IC_{50})	5500 nM (IC_{50})

In Vitro

XAV-939 has activity against TNKS1 and TNKS2 with IC_{50} values of 5 nM and 2 nM, respectively[1].
XAV-939 (0.3-30 μM; 3 or 10 days) enhances osteoblast differentiation of hBMSCs[2].
XAV-939 (3 μM) promotes osteoblast differentiation of hMSCs via accumulation of SH3BP2[2].
XAV-939 (3 μM; 10 days) upregulates the expression of OPG and downregulates the expression of RANKL in hBMSCs during osteoblast differentiation[2].
XAV-939 suppresses Wnt/β-catenin signaling and promotes SFRP3 and SFRP4 expression[3].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[2]

Cell Line:	hMSC-TERT cell line
Concentration:	0.3, 3, and 30 μM
Incubation Time:	3 days
Result:	Showed no significant effect on proliferation at day 1, 2, and 3 at dose of 0.3 and 3 μM but inhibited hMSCs cell proliferation on day 3 at dose of 30 μM.

Apoptosis Analysis[2]

Cell Line:	hMSC-TERT cell line
Concentration:	3 μM
Incubation Time:	3 days
Result:	Showed a minute percentage of cell death (apoptosis and necrosis) in the XAV-939-treated hBMSC

RT-PCR[2]

Cell Line:	hMSC-TERT cell line
Concentration:	3 μM
Incubation Time:	10 days
Result:	Upregulated gene expression of osteoblast-associated gene markers including: ALP, COL1A1, RUNX2, and OC.

In Vivo

XAV-939 rescues mechanical stress-induced cartilage degeneration in vivo[3].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Nature. 2022 Jan;601(7894):600-605.
- Signal Transduct Target Ther. 2023 Feb 17;8(1):66.
- Cell Discov. 2020 Jun 9;6:35.
- Nat Metab. 2023 Jun;5(6):1014-1028.
- Sci Bull. 64 (2019) 986-997.

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REFERENCES

[1]. Mohit Narwal, et al. Discovery of tankyrase inhibiting flavones with increased potency and isoenzyme selectivity. J Med Chem. 2013 Oct 24;56(20):7880-9.

[2]. Nuha Almasoud, et al. Tankyrase inhibitor XAV-939 enhances osteoblastogenesis and mineralization of human skeletal (mesenchymal) stem cells. Sci Rep. 2020 Oct 7;10(1):16746.

[3]. Senxin Cai, et al. Mechanical stress reduces secreted frizzled-related protein expression and promotes temporomandibular joint osteoarthritis via Wnt/β-catenin signaling. Bone. 2022 Aug;161:116445.

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