For Research Use Only

# XAV-939

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## Catalog Number: CM00854

## 产品信息

**Catalog Number:**
CM00854

**CAS号:**
284028-89-3

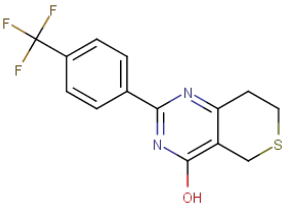
**分子式:**
$C_{14}H_{11}F_3N_2OS$

**主要靶点:**
PARP|Wnt/beta-catenin

**主要通路:**
细胞骨架|干细胞|DNA 损伤和修复|表观遗传

**分子量:**
312.31

**溶解度:**
10% DMSO+40% PEG300+5% Tween 80+45% Saline:1.25 mg/mL (4 mM);DMSO:12.5 mg/mL (40.02 mM)




## 靶点活性

TNKS1:11 nM (cell free)|TNKS2:4 nM (cell free)

## 体外活性

**方法：**人结直肠癌细胞 SW480 用 XAV-939 (1 μM) 处理 16 h，使用 Western Blot 检测靶点蛋白表达水平。**结果：**XAV-939 降低 SW480 细胞中 β-catenin 的丰度并增加 axin 和 p-β-catenin 的丰度。[1] **方法：**正常人表皮角质形成细胞 NHEK 用 XAV-939 (10-50 μM) 和 rhIL-6 (50 ng/mL) 处理 24 h，使用 APC BrdU flow kit 检测靶细胞数。**结果：**XAV-939 基本上抑制了 NHEK 的过度增殖。[2]

## 体内活性

**方法：**为研究 Wnt 信号的体内功能，将 XAV-939 (1 mg/mL，100 μL，10% DMSO/90% 0.9% NaCl) 腹腔注射给 IMQ 诱导的银屑病小鼠模型，每天一次，持续七天。**结果：**XAV-939 的给药导致小鼠 IMQ 诱导的表皮增生和真皮炎症浸润显著减少。XAV-939 给药显著减少了 IMQ 诱导的炎症皮肤病变中 F4/80+ 巨噬细胞和 CD3+T 细胞的浸润。Wnt 信号传导对 IMQ 介导的表皮增生至关重要。[2] **方法：**为检测体内抗肿瘤活性，将 paclitaxel (10 mg/kg) 和 XAV-939 (10 mg/kg) 腹腔注射给携带人乳腺癌肿瘤 MDA-MB-231 的 BALB/c nude 鼠，每周两次，持续四周。**结果：**与对照和每种单一治疗相比，paclitaxel 和 XAV-939 的联合治疗可以有效抑制乳腺肿瘤的生长。[3]

## 动物实验

XAV-939, a selective inhibitor of tankyrase (TNKS)-1 and TNKS-2, was injected i.p., at a dose of 1 mg/ml, once a day for seven consecutive days of IMQ treatment (injection volume 100 μl). Control mice were injected with 100 μl 10% DMSO/90% 0.9% NaCl, the solvent for XAV-939 [3].

## 细胞实验

XAV939, the recently identified small molecule shown to specifically inhibit PARP activity of tankyrase 1 (and tankyrase 2 at higher concentrations), was used here at much lower concentrations than 3-AB. The tankyrase specific inhibitor XAV939 was solubilized in DMSO at 55°C to a stock concentration of 10mM, which was diluted to a working concentration of 100 μM; final concentrations of 0.5 μM or 1 μM were well within the concentration parameters suggested for cell culture experiments to inhibit tankyrase specifically. Cultures were maintained under these conditions for the duration of the designated time course. Controls were exposed to DMSO alone. Following treatment, cells were lysed and prepared for western blot analysis. Tankyrase 1 and DNA-PKcs protein levels were normalized to the β-actin loading controls and quantified [1].

## 储存

Powder: -20°C for 3 years | In solvent: -80°C for 1 year | Shipping with blue ice.