

Catalog Number: CM00854

产品信息

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CM00854

CAS号:
284028-89-3

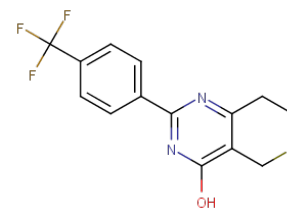
分子式:
C₁₄H₁₁F₃N₂O₅

主要靶点:
PARP|Wnt/beta-catenin

主要通路:
干细胞|表观遗传|DNA损伤和修复|
细胞骨架

分子量:
312.31

溶解度:
DMSO:6.3 mg/mL (20 mM)



靶点活性

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

体外活性

Examination of various treatment times (2, 5, 8, 12, 18, 24 and 48 hr) and XAV939 concentrations (0.1, 0.5 or 1.0 μM) revealed a significant reduction of DNA-PKcs protein levels by 8 hours with exposures of either 0.5 μM or 1.0 μM XAV939 [1]. XAV939 blocks TNKS binding at 0.1 μM and blocks PARP1/2 binding at 1 μM. XAV939 binds tightly to the catalytic (PARP) domains of TNKS1 and TNKS2 (K_d = 0.099 and 0.093 μM, respectively) [2].

体内活性

In contrast to vehicle control (VC), administration of XAV-939 resulted in a significant decrease in the IMQ-induced epidermal hyperplasia (indicated by acanthosis) and dermal inflammatory infiltrates in mice. XAV-939 administration remarkably decreased the infiltration of F4/80+ macrophages and CD3+ T cells in inflamed skin lesions induced by IMQ. Furthermore, reduced neutrophilic infiltrates in microabscesses were observed in the lesional skin treated with XAV-939 compared with VC [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].

描述

XAV-939 (NVP-XAV939) shows the selective inhibition against Wnt/β-catenin-mediated transcription by tankyrase1/2 inhibition (IC₅₀: 11/4 nM in cell-free assays).

储存

Powder: -20°C for 3 years | In solvent: -80°C for 2 years