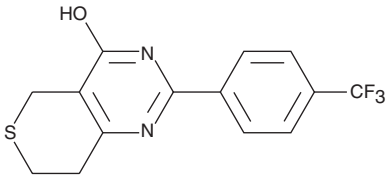
PRODUCT INFORMATION

XAV939

Item No. 13596

CAS Registry No.: 284028-89-3
Formal Name: 3,5,7,8-tetrahydro-2-[4-(trifluoromethyl) phenyl]-4H-thiopyrano[4,3-d]pyrimidin-4-one
MF: $C_{14}H_{11}F_3N_2OS$
FW: 312.3
Purity: ≥98%
Supplied as: A crystalline solid
Storage: -20C
Stability: As supplied, 2 years from the QC date provided on the Certificate of Analysis, when stored properly



Laboratory Procedures

XAV939 is supplied as a crystalline solid. A stock solution may be made by dissolving the XAV939 in the solvent of choice. XAV939 is soluble in organic solvents such as DMSO and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of XAV939 in DMSO is approximately 2 mg/ml and approximately 5 mg/ml in DMF.

XAV939 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, XAV939 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. XAV939 has a solubility of approximately 0.15 mg/ml in a 1:5 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

The Wnt signaling pathway is integral to normal biological processes and inappropriately active in many cancers. It is regulated through degradation of the downstream effector β-catenin *via* a complex consisting of the tumor suppressor APC, axin, and glycogen synthase kinase 3 (GSK3). Axin is the concentration-limiting factor for this degradation complex. Tankyrases, initially indentified as telomere-associated proteins[1], promote axin ubiquitination, possibly through poly-ADP-ribosylation (PARsylation).[2] XAV939 is a potent, small molecule inhibitor of tankyrase (TNKS) 1 and 2 with IC_{50} values of 11 and 4 nM, respectively.[2] By inhibiting TNKS activity, XAV939 increases the protein levels of the axin-GSK3β complex and promotes the degradation of β-catenin in SW480 cells.[2] At concentrations as low as 0.33 µM, XAV939 inhibits colony formation of APC-deficient colorectal cancer cells.[2]

References

1. Smith, S., Giriat, I., Schmitt, A., *et al*. Tankyrase, a poly(ADP-ribose) polymerase at human telomeres. *Science* **282**, 1484-1487 (1998).
2. Huang, S.-M.A., Mishina, Y.M., Liu, S., *et al*. Tankyrase inhibition stabilizes axin and antagonizes Wnt signalling. *Nature* **461**, 614-619 (2009).

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