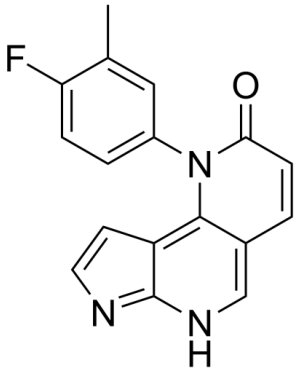
Data Sheet

Product Name:	STK16-IN-1
Cat. No.:	CS-6463
CAS No.:	1223001-53-3
Molecular Formula:	$C_{17}H_{12}FN_3O$
Molecular Weight:	293.30
Target:	Others
Pathway:	Others
Solubility:	DMSO : 15 mg/mL (ultrasonic;warming)



BIOLOGICAL ACTIVITY:

STK16-IN-1 is a **STK16** kinase inhibitor with an **IC_{50}** of 295 nM. IC50 & Target: IC50: 295 nM (STK16)[1] ***In Vitro:*** STK16-IN-1, which exhibits potent inhibitory activity against STK16 kinase (IC_{50}=0.295 μM) with excellent selective across the kinome as assessed using the KinomeScanTM profiling assay. STK16-IN-1 inhibits mTOR kinase with an IC_{50} of 5.56 μM. In MCF-7 cells, treatment with STK16-IN-1 results in a reduction in cell number and accumulation of binucleated cells, which can be recapitulated by RNAi knockdown of STK16. Co-treatment of STK16-IN-1 with chemotherapeutics such as cisplatin, doxorubicin, colchicine and paclitaxel results in a slight potentiation of the anti-proliferative effects of the chemotherapeutics. STK16-IN-1 provides a useful tool compound for further elucidating the biological functions of STK16)[1].

PROTOCOL (Extracted from published papers and Only for reference)

Kinase Assay: [1]STK16-IN-1 is generally prepared with 1:3 serial dilutions for 4 concentrations (100 nM, 50 nM, 20 nM, and 10 nM); 6 concentrations are used (1 mM to 10 μM) for ATP competition experiments. The kinase reaction is performed with 1×kinase reaction buffer. Reactions in each well are started immediately by adding ATP and kept going for half an hour under 37°C. After the plate cooled for 5 minutes at room temperature, 5 μL of ADP-Glo reagent is added into each well to stop the reaction and consume the remaining ADP within 40 minutes. At the end, 10 μL of kinase detection reagent is added into the well and incubated for 1 hour to produce a luminescence signal[1]. **Cell Assay:** [1]MCF-7, HCT116, HeLa cells are treated with STK16-IN-1 (0, 5, 10 μM) for 72 hours and apoptotic cells are analyzed by flow cytometry using Annexin V/PI apoptosis detection kit[1].

References:

[1]. Liu F, et al. Discovery of a Highly Selective STK16 Kinase Inhibitor. ACS Chem Biol. 2016 Jun 17;11(6):1537-43.

CAIndexNames:

2H-Pyrrolo[2,3-h]-1,6-naphthyridin-2-one, 1-(4-fluoro-3-methylphenyl)-1,7-dihydro-

SMILES:

FC1=CC=C(N2C(C(C=CC2=O)=CN3)=C4C3=NC=C4)C=C1C

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: 610-426-3128 Fax: 888-484-5008 E-mail: sales@ChemScene.com
Address: 1 Deer Park Dr, Suite F, Monmouth Junction, NJ 08852, USA