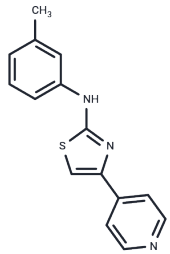
Data Sheet (Cat.No.T6683)

TargetMol
YOUR TARGET MOLECULES

STF-62247

Chemical Properties



CAS No. : 315702-99-9

Formula: C15H13N3S

Molecular Weight: 267.35

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	STF-62247 is TGN inhibitor with IC50 of 0.625 μM and 16 μM in RCC4 and RCC4/VHL cells, respectively.
Targets(IC50)	Estrogen/progestogen Receptor,Autophagy
In vitro	In vitro, STF-62247 shows cytotoxicity and tumor growth inhibitory activity against wild-type VHL and VHL-deficient renal cell carcinoma (RCC) in a HIF-independent manner with IC50 of 16 μM and 0.625 μM, respectively. Moreover, STF-62247 also leads to cell death by increasing acidification and inducing autophagy in VHL-deficient cells. [1] STF-62247 specifically induces macroautophagy and enhances the fusion of autophagosome and lysosomes to form autolysosomes by interfering with Golgi-endoplasmic reticulum transport in cells that have lost VHL . [2] A recent study shows that induction of autophagy by STF-62247 increases sensitivity of RCC under hypoxic conditions to radiation in a VHL-dependent manner. [3]
In vivo	In vivo mouse model, STF-62247 at a dose of 8 mg/kg by intraperitoneal injection significantly reduces tumor growth of VHL-deficient SN12C tumor cells. [1]
Kinase Assay	SIRT1 fluorescence polarization assay and HTS: In the SIRT1 FP assay, SIRT1 activity is monitored using a 20 amino acid peptide (Ac-Glu-Glu-Lys(biotin)-Gly-Gln-Ser-Thr-Ser-Ser-His-Ser-Lys(Ac)-Nle-Ser-Thr-Glu-Gly-Lys(MR121 or Tamra)-Glu-Glu-NH2) derived from the sequence of p53. The peptide is N-terminally linked to biotin and C-terminally modified with a fluorescent tag. The reaction for monitoring enzyme activity is a coupled enzyme assay where the first reaction is the deacetylation reaction catalyzed by SIRT1 and the second reaction is cleavage by trypsin at the newly exposed lysine residue. The reaction is stopped and streptavidin is added in order to accentuate the mass differences between substrate and product. The fluorescence polarization reaction conditions are as follows: 0.5 μM peptide substrate, 150 μM βNAD +, 0-10 nM SIRT1, 25 mM Tris-acetate pH 8, 137 mM Na-Ac, 2.7 mM K-Ac, 1 mM Mg-Ac, 0.05% Tween-20, 0.1% Pluronic F127, 10 mM CaCl 2 , 5 mM DTT, 0.025% BSA, and 0.15 mM nicotinamide. The reaction is incubated at 37°C and stopped by addition of nicotinamide, and trypsin is added to cleave the deacetylated substrate. This reaction is incubated at 37 °C in the presence of 1 μM streptavidin. Fluorescent polarization is determined at excitation (650 nm) and emission (680 nm) wavelengths.

Cell Research	For cell viability, 100,000 cells are plated in a 12-well plate. The following day, 1.25 μM STF-62247 is added in the presence or absence of 1 mM 3-MA for 24 hours at 37 °C. Cells are trypsinized and counted by trypan blue exclusion. For XTT assays, 5000 RCC4 with and without VHL cells or 2,500 SN12C with and without VHL shRNA cells are plated in 96-well plates. The following day, vehicle (DMSO), STF-62247 is added to media by serial dilution. Four days later, the media is aspirated and XTT solution containing 0.3 mg/ml of XTT in Phenol Red-free media, 20% FCS and 2.65 mg/ml N-methyl dibenzopyrazine methyl sulfate (PMS) is added to the cells and incubated at 37 °C for 1-2 hours. Metabolism of XTT is quantified by measuring the absorbance at 450 nm on a plate reader. (Only for Reference)

Solubility Information

Solubility	DMSO: 49 mg/mL (183.3 mM), H2O: < 1 mg/mL (insoluble or slightly soluble), Ethanol: 3 mg/mL (11.22 mM), (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.7404 mL	18.7021 mL	37.4042 mL
5 mM	0.7481 mL	3.7404 mL	7.4808 mL
10 mM	0.374 mL	1.8702 mL	3.7404 mL
50 mM	0.0748 mL	0.374 mL	0.7481 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

Turcotte S, et al. Cancer Cell. 2008, 14(1), 90-102.
Chan DA, et al. Cell Cycle. 2008, 7(19), 21987-21990.