

Product Data Sheet

Product Name: STK16-IN-1

Cat. No.: GC32984

Chemical Properties

Cas. No. 1223001-53-3

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

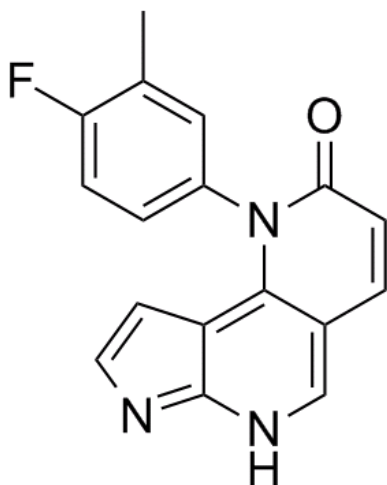
Formula $C_{17}H_{12}FN_3O$ M.Wt 293.3

Solubility DMSO : 15 mg/mL (51.14 mM) Storage Store at -20°C

General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

Structure



Protocol

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

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Kinase experiment: 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 μ M) for ATP competition experiments. The kinase reaction is performed with 1 $\times$ 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 μ L 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 μ L of kinase detection reagent is added into the well and incubated for 1 hour to produce a luminescence signal[1].

Cell experiment: MCF-7, HCT116, HeLa cells are treated with STK16-IN-1 (0, 5, 10 μ M) 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.

Background

STK16-IN-1 is a STK16 kinase inhibitor with an IC₅₀ of 295 nM.

STK16-IN-1, which exhibits potent inhibitory activity against STK16 kinase (IC₅₀=0.295 μ M) with excellent selective across the kinome as assessed using the KinomeScan™ profiling assay. STK16-IN-1 inhibits mTOR kinase with an IC₅₀ of 5.56 μ M. 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].

[1]. Liu F, et al. Discovery of a Highly Selective STK16 Kinase Inhibitor. ACS Chem Biol. 2016 Jun

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