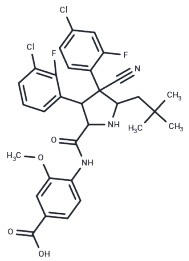
Data Sheet (Cat.No.T6254)

TargetMol

Idasanutlin

Chemical Properties



CAS No. : 1229705-06-9

Formula: C31H29Cl2F2N3O4

Molecular Weight: 616.48

Appearance: no data available

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

Biological Description

Description	Idasanutlin (Ro 5503781) (RG-7388) is an effective and specific p53-MDM2 inhibitor (IC50: 6 nM).
Targets(IC50)	Mdm2,E1/E2/E3 Enzyme
In vitro	Idasanutlin inhibits cell proliferation with IC50 of 30 nM, and induces dose-dependent p53 stabilization, cell cycle arrest, as well as cell apoptosis in cancer cells expressing wild-type p53. [1]
In vivo	In a mouse SJSA human osteosarcoma xenograft model, Idasanutlin (25 mg/kg p.o.) causes tumor growth inhibition and regression. [1] In a SJSA xenograft model, Idasanutlin results in induction of apoptosis and antiproliferation. [2]
Kinase Assay	Biochemical Binding Affinity - HTRF Assay: The p53-MDM2 HTRF assay is performed in buffer containing 50 mM Tris-HCl, pH 7.4, 100 mM NaCl, 1 mM DTT, 0.02 or 0.2 mg/ml BSA. Small-molecule inhibitors are stored in aliquots as 10 mM stock solutions in DMSO at 4°C in 96-deep-well plates. It is thawed and mixed immediately prior to testing. The compound is incubated with GST-MDM2 and a biotinylated p53 peptide for one hour at 37°C. Phycolink goat anti-GST (Type 1) allophycocyanin and Eu-8044-streptavidin are then added and followed by one hour incubation at room temperature. Plates are read using the Envision fluorescence reader. IC50 values are determined from inter-plate duplicate or triplicate sets of data. Data are analyzed by XLfit4 (Microsoft) using a 4 Parameter Logistic Model (Sigmoidal Dose-Response Model) and the equation Y= (A+ ((B-A)/ (1+ ((C/x)^D)))), where A and B are enzyme activity in the absence or presence of infinite inhibitor compound, respectively, C is the IC50 and D is the Hill coefficient.
Cell Research	Cell proliferation is evaluated by the tetrazolium dye assay. The concentration at which 50% inhibition (IC50) or 90% inhibition (IC90) of cell proliferation is determined from the linear regression of a plot of the logarithm of the concentration versus percent inhibition. (Only for Reference)

Solubility Information

Solubility	H2O: < 1 mg/mL (insoluble or slightly soluble), DMSO: 55 mg/mL (89.22 mM),Sonication is recommended. Ethanol: 8 mg/mL (12.97 mM),Heating is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.6221 mL	8.1106 mL	16.2211 mL
5 mM	0.3244 mL	1.6221 mL	3.2442 mL
10 mM	0.1622 mL	0.8111 mL	1.6221 mL
50 mM	0.0324 mL	0.1622 mL	0.3244 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

Ding Q, et al. J Med Chem. 2013, 56(14), 5979-5983.
Vollmer J, Ecker J, Hielscher T, et al.Class I HDAC inhibition reduces DNA damage repair capacity of MYC-amplified medulloblastoma cells.Journal of Neuro-Oncology.2023: 1-16.
Higgins B, et al. Clin Cancer Res. 2014, 20(14), 3742-3752.