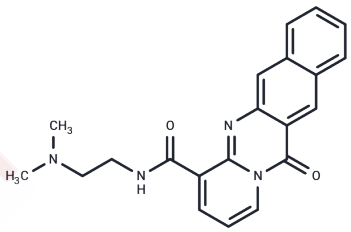
Data Sheet (Cat.No.T1767)

TargetMol

BMH-21

Chemical Properties



CAS No. : 896705-16-1

Formula: C21H20N4O2

Molecular Weight: 360.41

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	BMH-21, a small molecule DNA intercalator, binds ribosomal DNA and inhibits RNA polymerase I (Pol I) transcription and not affects phosphorylation of H2AX.
Targets(IC50)	DNA/RNA Synthesis
In vitro	In xenograft models using mice, BMH-21 can inhibit tumor growth.
In vivo	In the U2OS cancer cell line, BMH-21 induces the translocation of NCL (IC50: 0.07 μM) and the degradation of RPA194 (IC50: 0.05 μM). BMH-21 triggers proteasomal destruction dependent on RPA194, where RPA194 is the large catalytic subunit protein of the Pol I complex. By inducing nucleolar stress, BMH-21 also effectively inhibits cellular activity.
Kinase Assay	[14C]-monosaccharide uptake inhibition experiments: Stable cell lines over-expressing hSGLT-1, -2, -4, -5 or -6 or rSGLT-1 or -2 are used for the sodium-dependent monosaccharide transport inhibition assay. Cells are pre-incubated in 200 μL uptake buffer (10 mM HEPES, 137 mM NaCl, 5.4 mM KCl, 2.8 mM CaCl2, 1.2 mM MgCl2, 50 μg/ml Gentamycin, 0.1% BSA) for 25 minutes at 37°C. 10 μM Cytochalasin B and test compound is added at different concentrations 15 minutes before the initiation of the uptake experiment. The uptake reaction is started by the addition of 0.6 μCi [14C]-labelled monosaccharide i.e. [14C]-labelled AMG, glucose, fructose, mannose or myo-inositol, in 0.1 mM AMG (or the respective non-radioactive monosaccharide). After incubation for 60 minutes (hSGLT-5), 90 minutes (hSGLT-4) or 4 hours (hSGLT-2) at 37°C, the cells are washed three times with 300 μL PBS and then lysed in 0.1 N NaOH with intermittent shaking for 5 minutes. The lysate is mixed with 200 μL MicroScint 40 and shaken for 15 minutes and counted for radioactivity in the TopCount NXT. For SGLT-4 and SGLT-5 assays cells are pre-incubated in pre-treatment buffer (uptake buffer containing choline chloride instead of NaCl) for 25 minutes prior to addition of uptake buffer.
Cell Research	The cells are maintained at 37 °C in a humidified atmosphere containing 5% CO2. U2OS osteosarcoma cells are cultured in DMEM supplemented with 15% fetal bovine serum. Cells are plated in 96-well plates at a density of 10000 cells/well in triplicate and incubated for 48 h with the compounds. Viability is determined using WST-1 cell proliferation reagent. (Only for Reference)

Solubility Information

Solubility	Ethanol: 2 mg/mL (5.55 mM),Heating is recommended. DMSO: < 1 mg/mL (insoluble or slightly soluble),Heating is recommended. H2O: < 1 mg/mL (insoluble or slightly soluble), (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.7746 mL	13.8731 mL	27.7462 mL
5 mM	0.5549 mL	2.7746 mL	5.5492 mL
10 mM	0.2775 mL	1.3873 mL	2.7746 mL
50 mM	0.0555 mL	0.2775 mL	0.5549 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.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Peltonen K, et al. Cancer Cell. 2014, 25(1), 77-90.
Scalera, Claudia, et al. Transcriptional Stress Induces Chromatin Relocation of the Nucleotide Excision Repair Factor XPG. International Journal of Molecular Sciences. 22.12 (2021): 6589.
Colis L, et al. J Med Chem. 2014, 57(11), 4950-4961.