Data Sheet (Cat.No.T6842)

TargetMol

G007-LK

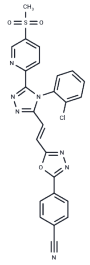
Chemical Properties

CAS No. : 1380672-07-0

Formula: C25H16ClN7O3S

Molecular Weight: 529.96

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	G007-LK is a selective inhibitor of TNKS1 and TNKS2, with IC50s of 46 nM and 25 nM, respectively.
Targets(IC50)	PARP,Wnt/beta-catenin
In vitro	G007-LK demonstrated anti-tumor efficacy in xenograft and genetically engineered CRC models. In the COLO-320DM model, it reduced levels of tankyrases 1 and 2, stabilized AXIN1 and AXIN2, and decreased β-catenin levels. G007-LK treatment increased the expression of KRT20 and TM4SF4 in COLO-320DM tumors. Administered at 20 mg/kg twice daily, G007-LK achieved a 61% inhibition of tumor growth. Additionally, it reduced Wnt/β-catenin signaling and cell proliferation in normal intestinal tissue.
In vivo	G007-LK inhibits Wnt/β-catenin signaling by preventing poly(ADP-ribosyl)ation-dependent AXIN degradation, thus promoting β-catenin destabilization. In cell culture, it completely blocks ligand-driven Wnt/β-catenin signaling and demonstrates inhibition of approximately 50% in APC mutation-driven signaling across most CRC cell lines. G007-LK reduces mitotic COLO-320DM cells from 24% to 12% and S-phase HCT-15 cells from 28% to 18% at a concentration of 0.2 μM. It also inhibits colony formation in CRC cell lines COLO-320DM and SW403. Furthermore, G007-LK suppresses organ growth with an IC50 of 80 nM.
Kinase Assay	TNKS1 and TNKS2 in vitro biochemical assays: G007-LK inhibitory activity at various doses (duplicates) is tested twice by TNKS1, TNSK2 Chemiluminescent Assay Kits, and the luminescence is measured.
Cell Research	Cell lines: APC-mutant CRC cell lines COLO-320DM. Concentrations: ~0.2 μM. Method: For colony formation assays,cells are seeded at 500 cells/well in 2 mL medium.Cell line in triplicate wells is treated with either 0.06% DMSO or compound in 0.06% DMSO and incubated for up to 17 days or until colonies became sufficiently large to quantify. Colonies are stained with 200 μL of 12 mM 3-(4,5-dimethylthiazol-2-yl)-2,5-diphenyltetrazolium bromide to each well for 1 h,and colony numbers are quantitated with a GelCount scanner at 1200 dpi resolution.
Animal Research	Animal Models: Human APC -mutant CRC xenograft COLO-320DM. Formulation: 15% DMSO,17.5% Cremophor EL,8.75% ethanol,8.75% Miglyol 810N,50% PBS. Dosages: 20 mg/kg. Administration: intraperitoneal injection twice daily

Solubility Information

Solubility	Ethanol: < 1 mg/mL (insoluble or slightly soluble), H2O: < 1 mg/mL (insoluble or slightly soluble), DMSO: 93 mg/mL (175.48 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+90% Corn Oil: 3.3 mg/mL (6.23 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.8869 mL	9.4347 mL	18.8693 mL
5 mM	0.3774 mL	1.8869 mL	3.7739 mL
10 mM	0.1887 mL	0.9435 mL	1.8869 mL
50 mM	0.0377 mL	0.1887 mL	0.3774 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

Voronkov A, et al. J Med Chem, 2013, 56(7), 32012-3023.
Lau T, et al. Cancer Res, 2013, 73(10), 3132-3144.

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Tel:781-999-4286 E_mail:info@targetmol.com Address:34 Washington Street,Wellesley Hills,MA 02481