Product Data Sheet

Product Name: Telomeric G4s ligand 2
Cat. No.: GC79068

Chemical Properties

Cas. No.	3094716-52-3		
Formula	$C_{25}H_{32}N_6O$	M.Wt	432.56
Solubility		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			

Background

Telomeric G4s ligand 2 is an orally active, selective ligand of telomeric G-quadruplex (G4), with an IC_{50} of 0.4 μM. Telomeric G4s ligand 2 binds to dimeric telomeric G4, inhibits the activities of DHX36 and BLM helicases. Telomeric G4s ligand 2 activates cGAS-STING and TERRA-ZBP1 pathways, inducing autophagy and G2/M cell cycle arrest, and exhibits antiproliferative effects across cancer cell lines. Telomeric G4s ligand 2 can be used for the study of colorectal cancer [1].

In Vivo, Telomeric G4s ligand 2 (20-40 mg/kg; p.o.; every other day; 26 days) significantly suppresses MC38 colorectal tumor growth in mice, activates antitumor immunity, and is well tolerated

systemically[1].

In Vitro, Telomeric G4s ligand 2 (CA11) binds selectively to dimeric telomeric G-quadruplex HTG57 with a Kd of 5.8 μM[1]. Telomeric G4s ligand 2 (1 μM; 2 min equilibration after each addition) binds with high affinity to dimeric telomeric DNA and RNA G4s (Kd = 0.4 μM and 0.1 μM, respectively) and shows no measurable binding to monomeric G4s, non-G4 nucleic acids, or promoter G4s[1]. Telomeric G4s ligand 2 (0-50 μM; 30 min at 37°C) inhibits the binding of G4-resolving helicases DHX36 and BLM to telomeric G4 DNA, with maximum inhibition rates of 80% and 50% at 50 μM, respectively[1]. Telomeric G4s ligand 2 (0-25 μM) potently inhibits the unwinding of telomeric G4 DNA by its complementary antisense strand, reaching 90% inhibition at 25 μM[1]. Telomeric G4s ligand 2 potently inhibits the proliferation of HCT116 colorectal cancer cells with an IC_{50} of 0.4 μM[1]. Telomeric G4s ligand 2 (0.25-0.5 μM; 48 h) dose-dependently induces telomere-specific DNA damage and dysfunction in both HCT116 and U2OS cancer cells, activates dual innate immune sensing pathways (TERRA-ZBP1 and cGAS-STING), induces autophagy[1]. Telomeric G4s ligand 2 (0-100 nM; 9 days) potently inhibits long-term colony formation of U2OS, MC38, HCT116, and HeLa cancer cells

References:
[1]. Cai JH, et al. An Orally Available Telomeric G-Quadruplex Ligand Induces Telomere Crisis and Dual DNA/RNA-Sensing Innate Immunity for Cancer Therapy. J Med Chem. Published online February 13, 2026.

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