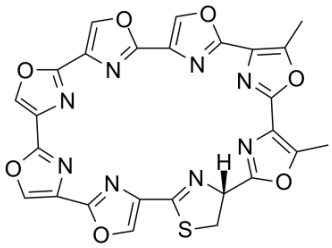
Telomestatin

Cat. No.:	HY-15225
CAS No.:	265114-54-3
Molecular Formula:	$C_{26}H_{14}N_8O_7S$
Molecular Weight:	582.5
Target:	Telomerase; ADC Cytotoxin
Pathway:	Cell Cycle/DNA Damage; Antibody-drug Conjugate/ADC Related
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Telomestatin is a very potent telomerase inhibitor and can be isolated from Streptomyces anulatus 3533-SV4. Telomestatin selectively facilitates the formation of intramolecular G-quadruplexes, in particular, that produced from the human telomeric sequence d[T2AG3]4. Telomestatin is an ADC cytotoxin and can be used for cancer research[1].
IC_{50} & Target	Traditional Cytotoxic Agents
In Vitro	Telomestatin (0-50 μM) promotes or stabilizes the formation of the intramolecular G-quadruplex. At the DNA concentrations of 0.005 and 0.2 μM, EC_{50} values of 0.03 μM and 0.53 μM telomestatin are found. In a parallel experiment with the mutated oligonucleotide d[T2AGAG]4, there is no conversion of the mutated sequence to a G-quadruplex structure by telomestatin[1]. Telomestatin (2-10 μM) effects the expression of DN-hTERT on telomerase activity and telomere length, at 10 μM the expression of DN-hTERT shows a significant reduction of telomerase activity. Additionally, at 2 μM , the terminal restriction fragment (TRF) length of U937 cells shortens progressively from 9.5 to 3.8 kb at population doubling (PD) 20 in U937 cells[2]. Telomestatin (2-5 μM; short-time or long trem) has less effect on normal diploid human fibroblasts and ALT-positive cells[2]. Telomestatin (5 μM; short-time 3 days) exposure has no affect the viability of normal human fibroblasts BJ or IMR-90; however, 5 μM of telomestatin reduces the viability of GM847 cells[2]. Telomestatin (2 μM; long-term 10-50 days) does not significantly change the proliferation rate or viability to that of control cells in BJ or IMR-90 cells and also does not change the proliferation of GM847 cells[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Telomestatin (intraperitoneal injection; 3-15 mg/kg; two times a week; 4 weeks) decreases tumor telomerase activity and inhibits the growth of U937 xenografts. Systemic administrations of 3 mg/kg or 9 mg/kg or 15 mg/kg of telomestatin decreases tumor telomerase activity by 60.2%, 74% and 92.5% compared to control, respectively[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Mice model with U937 xenografts[2]
Dosage:	3-15 mg/kg
Administration:	Intraperitoneal injection; 3-15 mg/kg; two times a week; 4 weeks
Result:	Treated with PBS for 21 days had a mean tumor volume of 1395 mm^3 compared with telomestatin treated with a mean tumor volume of 291 mm^3. Exhibited no adverse effects (body weight loss, clinical signs or survival).

	Reduced U937 cells in bone marrow and recovered the normal hematopoiesis in mice.

REFERENCES

[1]. Mu-Yong Kim, et al. Telomestatin, a potent telomerase inhibitor that interacts quite specifically with the human telomeric intramolecular g-quadruplex. J Am Chem Soc. 2002 Mar 13;124(10):2098-9.

[2]. Keita Amagai, et al. Identification of a gene cluster for telomestatin biosynthesis and heterologous expression using a specific promoter in a clean host. Sci Rep. 2017 Jun 13;7(1):3382.

[3]. T Tauchi, et al. Telomerase inhibition with a novel G-quadruplex-interactive agent, telomestatin: in vitro and in vivo studies in acute leukemia. Oncogene. 2006 Sep 21;25(42):5719-25.

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