GLS1 Inhibitor-5

Cat. No.: HY-151163

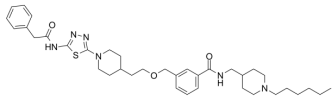
Molecular Formula: $C_{37}H_{52}N_6O_3S$

Molecular Weight: 660.91

Target: Glutaminase; Apoptosis

Pathway: Metabolic Enzyme/Protease; Apoptosis

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

GLS1 Inhibitor-5 (compound 24y) is an orally active selective glutaminase 1 (GLS1) inhibitor with an IC_{50} value of 68 nM. GLS1 Inhibitor-5 induces apoptosis and has anti-tumor activity[1].

In Vitro

GLS1 Inhibitor-5 (compound 24y) (0-10 μM, 48 h) can induce cell cycle arrest in the G1 phase in a dose-dependent manner, induce apoptosis and inhibit cancer cell proliferation[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[1]

Cell Line:	A549 and HCT116 cells
Concentration:	0-8 μM
Incubation Time:	
Result:	Inhibited cell proliferation with the IC_{50} values of 0.57 μM for A549 and 0.42 μM for HCT116, respectively. Significantly inhibited cell clone formation.

Cell Cycle Analysis[1]

Cell Line:	A549 cells
Concentration:	50-800 nM
Incubation Time:	48 hours
Result:	Showed an increase in the proportion of G1 phase cells and a decrease in the proportion of S phase cells.

Apoptosis Analysis[1]

Cell Line:	A549 cells
Concentration:	1-10 μM
Incubation Time:	48 hours

Result:	Induced 84% of cell apoptosis at a concentration of 10 μM.

In Vivo

GLS1 Inhibitor-5 (compound 24y) (p.o., 100 mg/kg, once daily, 28 days) significantly reduces tumor growth and results in 40.9% inhibition of tumor growth at the end of the experiment in mice with A549 xenograft tumor[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Rats[1]
Dosage:	3 mg/kg
Administration:	i.v. or p.o.
Result:	The pharmacokinetic parameters of GLS1 Inhibitor-5 (compound 24y)

Parameters	IV	PO
$t_{1/2}$ (h)	9.9	19.8
CL(L/h/kg)	6.1	33.4
C_{max}(ng/mL)	699.1	41.3
$AUC_{(0-t)}$(ng·h/mL)	2092.3	251.6
F(%)	12.4	12.4

REFERENCES

[1]. TaoYang, et al. Design, synthesis, and pharmacological evaluation of 2-(1-(1,3,4-thiadiazol-2-yl)piperidin-4-yl)ethan-1-ol analogs as novel glutaminase 1 inhibitors,

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