GLS1 Inhibitor-6

Cat. No.: HY-151434

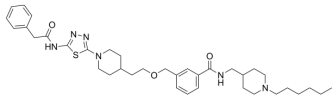
Molecular Formula: $C_{37}H_{52}N_6O_3S$

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-6 (Compound 24y) is an orally active, potent and selective glutaminase 1 (GLS1) inhibitor (IC_{50}=68 nM), shows 220-fold selectivity for GLS2. GLS1 Inhibitor-6 shows good anti-tumor activity, antitumor cell proliferation activity and induces apoptosis[1].

IC_{50} & Target

IC50: 68 nM (GLS1)[1]

In Vitro

GLS1 Inhibitor-6 (0-10 μM) inhibts cancer cell growth[1].
GLS1 Inhibitor-6 (1-10 μM, 48 h) can induce A549 cell apoptosis[1].
GLS1 Inhibitor-6 (50-800 nM, 48 h) can induce cell cycle arrest in the G1 phase[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

Cell Line:	A549 and HCT116 cell
Concentration:	0-10 μM
Incubation Time:	
Result:	Inhibited A549 and HCT116 cell growth with IC_{50}s of 0.57 and 0.42 μM, respectively.

Apoptosis Analysis[1]

Cell Line:	A549 cell
Concentration:	1, 5, and 10 μM
Incubation Time:	48 h
Result:	Showed the population of apoptotic cells to 84% at the concentration of 10 μM.

Cell Cycle Analysis[1]

Cell Line:	A549 cell
Concentration:	50, 100, 200, 400 and 800 nM

Incubation Time:	48 h
Result:	Resulted in G1 phase cell cycle arrest in a dose-dependent manner, and decreased proportion of cells in the S phase.

In Vivo

GLS1 Inhibitor-6 (oral gavage; 100 mg/kg; once daily; 28 d) treatment inhibits tumor growth in the preclinical mouse models [1].

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Animal Model:	Rats[1]
Dosage:	3 mg/kg
Administration:	I.V. and P.O.; 3 mg/kg; once
Result:	The pharmacokinetic parameters of GLS1 Inhibitor-5 (compound 24y)[1].

Parameters	I.V.	P.O.
$t_{1/2}$ (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	

Animal Model:	Human non-small cell lung A549 xenograft tumor model, GLS1 high-expression HCT116 xenograft tumor model[1]
Dosage:	100 mg/kg
Administration:	Oral gavage; 100 mg/kg; once daily; 28 days
Result:	Showed 40.9% tumor growth inhibition in A549 model, and showed 42% tumor growth inhibition in HCT116 model.

REFERENCES

[1]. Tao Yang, 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. Eur J Med Chem. 2022 Aug 19;243:114686.

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

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA