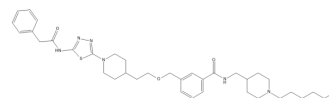


GLS1 Inhibitor-6

Cat. No.:	HY-151434
Molecular Formula:	C ₃₇ H ₅₂ N ₆ O ₃ S
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] .																				
IC₅₀ & Target	IC ₅₀ : 68 nM (GLS1) ^[1]																				
In Vitro	<p>GLS1 Inhibitor-6 (0-10 μM) inhibits cancer cell growth^[1].</p> <p>GLS1 Inhibitor-6 (1-10 μM, 48 h) can induce A549 cell apoptosis^[1].</p> <p>GLS1 Inhibitor-6 (50-800 nM, 48 h) can induce cell cycle arrest in the G1 phase^[1].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <p>Cell Viability Assay^[1]</p> <table border="1"> <tr> <td>Cell Line:</td> <td>A549 and HCT116 cell</td> </tr> <tr> <td>Concentration:</td> <td>0-10 μM</td> </tr> <tr> <td>Incubation Time:</td> <td></td> </tr> <tr> <td>Result:</td> <td>Inhibited A549 and HCT116 cell growth with IC₅₀s of 0.57 and 0.42 μM, respectively.</td> </tr> </table> <p>Apoptosis Analysis^[1]</p> <table border="1"> <tr> <td>Cell Line:</td> <td>A549 cell</td> </tr> <tr> <td>Concentration:</td> <td>1, 5, and 10 μM</td> </tr> <tr> <td>Incubation Time:</td> <td>48 h</td> </tr> <tr> <td>Result:</td> <td>Showed the population of apoptotic cells to 84% at the concentration of 10 μM.</td> </tr> </table> <p>Cell Cycle Analysis^[1]</p> <table border="1"> <tr> <td>Cell Line:</td> <td>A549 cell</td> </tr> <tr> <td>Concentration:</td> <td>50, 100, 200, 400 and 800 nM</td> </tr> </table>	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.	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 Line:	A549 cell	Concentration:	50, 100, 200, 400 and 800 nM
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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.

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