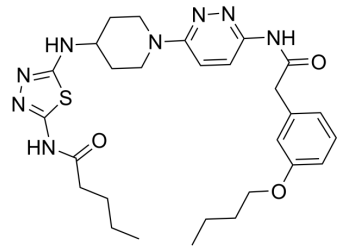
LWG-301

Cat. No.:	HY-152207
Molecular Formula:	$C_{28}H_{38}N_8O_3S$
Molecular Weight:	566.72
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

LWG-301 is an allosteric inhibitor of Glutaminase 1 (GLS1) with an IC_{50} value of 7 nM. LWG-301 significantly block glutamine metabolism, increases intracellular ROS, thus induces apoptosis. LWG-301 exhibits moderate antitumor effects in HCT116 xenograft model[1].

In Vitro

LWG-301 (compound 41a) inhibits HCT116 cancer cells growth with an IC_{50} value of 61 nM[1].
LWG-301 has great metabolic stability in human liver microsomes with $T_{1/2}$=108 min, CL=32 μL/min/mg[1].
LWG-301 (10 μM; 6 h) interacts with GLS1 protein in a dose- and time-dependent manner[1].
LWG-301 (0.03-3 μM; 14 d) inhibits the colony formation of HCT116 cells in a concentration-dependent manner[1].
LWG-301 (0.2 μM, 1 μM, 5 μM; 24 h) blocks the metabolism of glutamine thus results a decrease of glutamate, glutathione, and fumaric acid[1].
LWG-301 (1-10 μM; 24 h) induces ROS production and (0.1-10 μM; 24 h) induces apoptosis in HCT116 cells[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[1]

Cell Line:	HCT116 cells
Concentration:	0.001, 0.01, 0.1, 1, and 10 μM
Incubation Time:	10, 30, 60, 180, 240 min
Result:	Showed the interaction with GLS1 in a dose- and time-dependent manner.

Immunofluorescence[1]

Cell Line:	HCT116 cells
Concentration:	1, 5, and 10 μM
Incubation Time:	6 hours
Result:	Induced ROS production in HCT116 cells.

Apoptosis Analysis[1]

Cell Line:	HCT116 cells

Concentration:	0.1, 0.3, 1, 3, and 10 μM
Incubation Time:	24 hours
Result:	Induced apoptosis in HCT116 cells

In Vivo

LWG-301 (compound 41a) (100 mg/kg; i.p.; once daily for 20 d) shows anti-tumor activity without in HCT116 xenograft tumor model in mice[1].

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

Animal Model:	HCT116 tumor-bearing nude mice[1]
Dosage:	100 mg/kg;
Administration:	Intraperitoneal injection; once a day for 20 days;
Result:	Reduced tumor growth, resulting in 38.9% tumor growth inhibition. Showed little toxicity on main organ.

REFERENCES

[1]. Chang X, et al. Design, synthesis, and biological evaluation of novel glutaminase 1 allosteric inhibitors with an alkane chain tail group. Eur J Med Chem. 2023 Jan 15;246:115014.

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