ASCT2-IN-2

Cat. No.: HY-163199

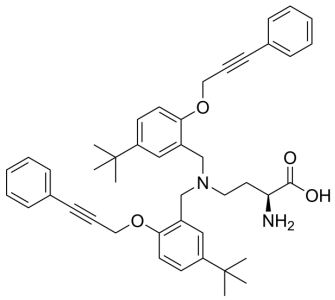
Molecular Formula: $C_{44}H_{50}N_2O_4$

Molecular Weight: 670.88

Target: ASCT; Apoptosis; Autophagy; mTOR

Pathway: Apoptosis; Autophagy; PI3K/Akt/mTOR

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



BIOLOGICAL ACTIVITY

Description

ASCT2-IN-2 (compound 25e) is an ASCT2 inhibitor with IC_{50} of 5.14 μM. ASCT2-IN-2 regulates amino acid metabolism as well as mTOR signaling and thereby induces cell apoptosis. ASCT2-IN-2 inhibits tumor growth[1].

In Vitro

ASCT2-IN-2 (50 μM, 15 min) inhibits Glutamine (Gln) uptake in cells A549 and HEK293 (Gln inhibition ratio 55.62% and 98.31%) by targeting hASCT2, with IC_{50} values of 5.6 μM and 3.5 μM, respectively[1].

ASCT2-IN-2 (0-50 μM, 15 min) improves metabolic stability in murine liver microsome, with a half-time of 166.51 min and a clearance of 8.27 μL/min•mg[1].

ASCT2-IN-2 (0-50 μM, 15 min) improves activity of LAT1 and thereby promotes leucine uptake in A549 cells[1].

ASCT2-IN-2 (5-10 μM, 24 h) inhibits Gln metabolism, upregulates the ROS production and thereby induces apoptosis in cell A549[1].

ASCT2-IN-2 (5-10 μM, 24 h) inhibits AKT phosphorylation and mTORC1 activity under starvation, promotes cell autophagy[1].

ASCT2-IN-2 (5-10 μM, 24 h) dose-dependently inhibits proliferation in A549[1].

ASCT2-IN-2 (0-10 nM, 96 h) inhibits organoid proliferation of drug resistant NSCLCs in cells H1975 OR and HCC827 OR [1].

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

Cell Viability Assay[1]

Cell Line:	A549
Concentration:	50 μM
Incubation Time:	72 h
Result:	Exhibited antiproliferation activity in A549, with IC_{50} of 5.83 μM.

In Vivo

ASCT2-IN-2 (i.p.;25 or 50 mg/kg, once every two days for 3 weeks) inhibits tumor growth with a TGI of 70% in A549 Xenograft Model in BALB/c mice[1].

Pharmacokinetic Analysis of ASCT2-IN-2 in Sprague-Dawley rats[1]

Route	Dose (mg/kg)	$AUC_{0\to t}$ (μg·h/L)	$AUC_{0\to\infty}$ (μg·h/L)	$T_{1/2}$ (h)	T_{max} (h)	C_{max} (ng/mL)	V/F(L/kg)	CL/F(L/h/kg)	$MRT_{0\to\infty}$ (h)	Fr(%)

i.p.	10 mg/kg	13804.10	14544.59	19.41	5.33	874.32	19.99	0.72	20.73	396.73

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

Animal Model:	Tumor Growth in A549 Xenograft Model in BALB/c mice[1]
Dosage:	25 and 50 mg/kg, once every two days for 3 weeks
Administration:	Intraperitoneal injection
Result:	Inhibited tumor growth with a TGI of 70%

REFERENCES

[1]. Qin L et al., Discovery of Novel Aminobutanoic Acid-Based ASCT2 Inhibitors for the Treatment of Non-Small-Cell Lung Cancer. J Med Chem. 2024 Jan 13. doi: 10.1021/acs.jmedchem.3c01093

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