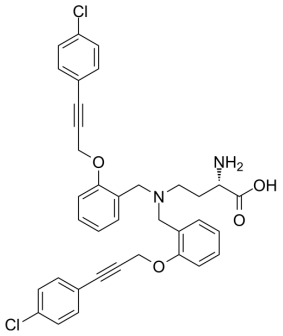
ASCT2-IN-1

Cat. No.:	HY-163198
CAS No.:	3032651-18-3
Molecular Formula:	$C_{36}H_{32}Cl_2N_2O_4$
Molecular Weight:	627.56
Target:	Apoptosis; ASCT; mTOR; Autophagy
Pathway:	Apoptosis; PI3K/Akt/mTOR; Autophagy
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	ASCT2-IN-1 (compound 20k) is an ASCT2 inhibitor with IC_{50} values of 5.6 μM and 3.5 μM in cells A549 and HEK293, respectively. ASCT2-IN-1 induces cell apoptosis. ASCT2-IN-1 inhibits tumor growth[1].
IC_{50} & Target	human ASCT2 3.5 μM (IC_{50})

In Vitro

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

ASCT2-IN-1 (0-50 μM, 15 min) improved metabolic stability in murine liver microsome, with a half-time of 37.15 min and a clearance of 37.48 μL/min•mg[1].

ASCT2-IN-1 (0-50 μM, 15 min) inhibits amino acid transporter SNAT2 in cells A549 as well as transporter LAT1 in overexpressing HEK293 [1].

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

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

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

ASCT2-IN-1 (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.

Western Blot Analysis[1]

Cell Line:	A549
Concentration:	5 and 10 μM
Incubation Time:	24 h
Result:	Activated Caspase reaction and induced apoptosis.

Western Blot Analysis[1]

Cell Line:	A549
Concentration:	5 and 10 μM

Incubation Time:	24 h
Result:	Decreased mTORC1 and phosphorylarion of AKT.

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 65% in NSCLC xenograft model in BALB/c mice [1].

Pharmacokinetic Analysis of ASCT2-IN-1 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	2674.95	2824.42	9.41	1.17	323.07	49.54	3.63	13.69	77.04

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

Animal Model:	NSCLC Xenograft model in BALB/c nude mice [1]
Dosage:	25, 50 mg/kg
Administration:	Intraperitoneal injection, once every two days for 3 weeks
Result:	Inhibited tumor growth with TGI of 65%.

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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