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Produktinformation

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Weitere Information auf den folgenden Seiten!
See the following pages for more information!

Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

SZABO-SCANDIC HandelsgmbH

Quellenstraße 110, A-1100 Wien

T. +43(0)1 489 3961-0

F. +43(0)1 489 3961-7

mail@szabo-scandic.com

www.szabo-scandic.com

linkedin.com/company/szaboscandic

ASCT2-IN-2

Cat. No.: HY-163199

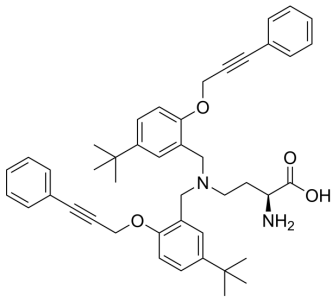
Molecular Formula: $C_{44}H_{50}N_2O_4$

Molecular Weight: 670.88

Target: ASCT; Apoptosis; Autophagy; mTOR

Pathway: Apoptosis; Autophagy; PI3K/Akt/mTOR

Storage: -20°C, protect from light, stored under nitrogen
* In solvent : -80°C, 6 months; -20°C, 1 month (protect from light, stored under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 150 mg/mL (223.59 mM)
* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.4906 mL	7.4529 mL	14.9058 mL
5 mM	0.2981 mL	1.4906 mL	2.9812 mL
10 mM	0.1491 mL	0.7453 mL	1.4906 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 3.75 mg/mL (5.59 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 3.75 mg/mL (5.59 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 3.75 mg/mL (5.59 mM); Clear solution

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

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