SZABO SCANDIC

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Produktinformation

- Forschungsprodukte & Biochemikalien
- Zellkultur & Verbrauchsmaterial
- Diagnostik & molekulare Diagnostik
- Laborgeräte & Service

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

EN4

Cat. No.: HY-134761

CAS No.: 1197824-15-9

Molecular Formula: $C_{25}H_{24}N_2O_4$

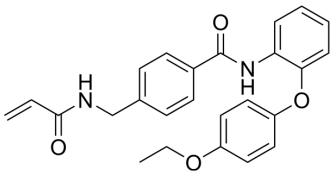
Molecular Weight: 416.47

Target: c-Myc

Pathway: Apoptosis

Storage: 4°C, protect from light

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



SOLVENT & SOLUBILITY

In Vitro

DMSO : 125 mg/mL (300.14 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.4011 mL	12.0057 mL	24.0113 mL
	5 mM	0.4802 mL	2.4011 mL	4.8023 mL
	10 mM	0.2401 mL	1.2006 mL	2.4011 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: 2.08 mg/mL (4.99 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.08 mg/mL (4.99 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.99 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

EN4 is a covalent ligand that targets cysteine 171 (C171) of MYC. EN4 is selective for c-MYC over N-MYC and L-MYC. EN4 inhibits MYC transcriptional activity, downregulates MYC targets, and impairs tumorigenesis[1].

In Vitro

EN4 (1-50 μM; for 72 hours) treatment significantly impaires 231MFP breast cancer cell proliferation in a dosedependent manner, with >90% inhibition of proliferation at 50 μM[1].

?EN4 (50 μM; for 60 hours) treatment significantly decreases the protein levels of representative MYC-regulated target genes, including CDK2 and CDC25A. EN4 treatment also substantially reduces MYC levels[1].

?EN4 shows the strongest inhibition of both MYC/MAX binding to its DNA consensus sequence in vitro as well as MYC transcriptional activity in cells. EN4 inhibited MYC/MAX binding to the E-box response element DNA consensus sequence in a

dose-responsive manner with an IC_{50} value of 6.7 μM. EN4 also inhibits MYC luciferase reporter activity in a dose-responsive manner with an IC_{50} value of 2.8 μM[1].

?EN4 (50 μM; for 2 hours) treatment significantly reduced MYC thermal stability in 231MFP breast cancer cells[1].

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

Cell Proliferation Assay[1]

Cell Line:	231MFP breast cancer cells
Concentration:	1 μM, 10 μM, 50 μM
Incubation Time:	72 hours
Result:	Significantly impaired 231MFP breast cancer cell proliferation in a dose-dependent manner.

Western Blot Analysis[1]

Cell Line:	231MFP breast cancer cells
Concentration:	50 μM
Incubation Time:	60 hours
Result:	The protein levels of CDK2 and CDC25A were significantly lowered.

In Vivo

EN4 (50 mg/kg; intraperitoneal injection; daily; for 3 weeks) treatment significantly attenuated tumor growth in 231MFP breast tumor xenograft mice[1].

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

Animal Model:	SCID mice injected with 231MFP breast cancer cells[1]
Dosage:	50 mg/kg
Administration:	Intraperitoneal injection; daily; for 3 weeks
Result:	Significantly attenuated tumor growth in vivo.

REFERENCES

[1]. Lydia Boike, et al. Discovery of a Functional Covalent Ligand Targeting an Intrinsically Disordered Cysteine within MYC. Cell Chem Biol. 2021 Jan 21;28(1):4-13.e17.

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