SZABO SCANDIC

Part of Europa Biosite

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

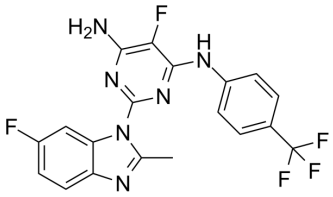
mail@szabo-scandic.com

www.szabo-scandic.com

linkedin.com/company/szaboscandic

Unesbulin

Cat. No.:	HY-112041		
CAS No.:	1610964-64-1		
Molecular Formula:	$C_{19}H_{13}F_5N_6$		
Molecular Weight:	420.34		
Target:	Apoptosis		
Pathway:	Apoptosis		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	2 years
		-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 16.67 mg/mL (39.66 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.3790 mL	11.8951 mL	23.7903 mL
	5 mM	0.4758 mL	2.3790 mL	4.7581 mL
	10 mM	0.2379 mL	1.1895 mL	2.3790 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: ≥ 1.67 mg/mL (3.97 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 1.67 mg/mL (3.97 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Unesbulin (PTC596) is an orally active and selective B-cell-specific Moloney murine leukemia virus integration site 1 (BMI-1) inhibitor. Unesbulin downregulates MCL-1 and induces p53-independent mitochondrial apoptosis in acute myeloid leukemia (AML) cells. Unesbulin has anti-leukemic activity[1][2].

IC_{50} & Target

BMI-1[1]

In Vitro

Unesbulin (PTC596; 20-200 nM; for 48 hours) induces apoptosis in AML cells in a p53-independent manner. BMI-1 overexpression desensitizes AML cells to PTC596-induced apoptosis[1].
Unesbulin (200 nM; for 10 hours) leads to an accumulation of cells in G2/M phase[1].
Unesbulin (0.012-1 μM; for 20 hours) significantly reduces protein levels of BMI-1[1].

Unesbulin inhibits APC/CCDC20 activity resulting in the persistent activation of CDK1 and CDK2 which mediate the hyperphosphorylation of BMI1[2].

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

Apoptosis Analysis[1]

Cell Line:	AML cell lines (MOLM-13, OCI-AML3, MOLM-14, MV4-11, U-937, HL-60)
Concentration:	20, 50, 100, 200 nM
Incubation Time:	For 48 hours
Result:	Induced apoptosis in a dose- and time-dependent manner with the average IC_{50} and ED_{50} values among six cell lines were 30.7 nM and 60.3 nM, respectively.

Cell Cycle Analysis[1]

Cell Line:	MOLM-13 and U-937 cells
Concentration:	200 nM
Incubation Time:	For 10 hours
Result:	Led to an accumulation of cells in G2/M phase, whereas the percentage of cells in G1 phase decreased.

Western Blot Analysis[1]

Cell Line:	MOLM-13 cell
Concentration:	0.012, 0.037, 0.11, 0.33, 1 μM
Incubation Time:	For 20 hours
Result:	Significantly reduced protein levels of BMI-1 and its downstream target ubiquitinated histone H2A. Increased cyclin B1 and securin levels.

In Vivo

Unesbulin (PTC596; 5 mg/kg; oral gavage; every 3 days for 13 days) significantly prolongs mouse survival[1].

Unesbulin (20 mg/kg; oral gavage; once a week for 15 days) causes tumor volume significantly smaller than that of control SCID mice with K562 cells[1].

Unesbulin (10 or 12.5 mg/kg; oral gavage; twice a week until death) causes the survival significantly longer than the vehicle-treated group in NOD-SCID mice with HL-60 cells[1].

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

Animal Model:	NOD-SCID/IL2Rγ-KO (NSG) mice with MOLM-13 cells[1]
Dosage:	5 mg/kg
Administration:	Oral gavage; every 3 days for 13 days
Result:	Significantly prolonged mouse survival compared with the vehicle-treated mice in a dose-dependent manner.

CUSTOMER VALIDATION

- Clin Cancer Res. 2022 Sep 1;CCR-22-1357.
- Int J Mol Sci. 2022, 23(20), 12587.
- Cancers. 2021 Feb 2;13(3):581.

See more customer validations on www.MedChemExpress.com

REFERENCES

[1]. Nishida Y, et al. The novel BMI-1 inhibitor PTC596 downregulates MCL-1 and induces p53-independent mitochondrial apoptosis in acute myeloid leukemia progenitor cells. Blood Cancer J. 2017 Feb 17;7(2):e527.

[2]. BMI1 inhibitor PTC596

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