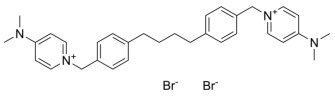
MN58b

Cat. No.:	HY-108431
CAS No.:	203192-01-2
Molecular Formula:	$C_{32}H_{40}Br_2N_4$
Molecular Weight:	640.49
Target:	Apoptosis
Pathway:	Apoptosis
Storage:	-20°C, sealed storage, away from moisture * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 14.71 mg/mL (22.97 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.5613 mL	7.8065 mL	15.6130 mL
	5 mM	0.3123 mL	1.5613 mL	3.1226 mL
	10 mM	0.1561 mL	0.7807 mL	1.5613 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: ≥ 1.47 mg/mL (2.30 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 1.47 mg/mL (2.30 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

MN58b is a selective choline kinase α (CHKα) inhibitor, and results in inhibition of phosphocholine synthesis. MN58b reduces cell growth through the induction of apoptosis, and also has antitumoral activity[1][2].

IC_{50} & Target

Choline kinase α (CHKα)[1]

In Vitro

The IC_{50}s of MN58b for parental and Gemcitabine-resistant Suit2 007 cells are 3.14 μM and 0.77 μM, respectively[1].
?MN58b (1-5 μM; 72 hours; SK-PC-1, Suit2 008, IMIM-PC2, and RWP-1 cells) has a marked effect on colony formation at 1 μM, and growth is completely abolished at 5 μM in all the cell lines[1].
?MN58b ((1-10 μM; 24-48 hours; SK-PC-1, Suit2 008, IMIM-PC2, and RWP-1 cells) induces apoptosis and this response correlates with CHKα expression[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

Cell Line:	SK-PC-1, Suit2 008, IMIM-PC2, and RWP-1 cells
Concentration:	1 μM, 5 μM
Incubation Time:	72 hours
Result:	Inhibited cells growth.

Apoptosis Analysis[1]

Cell Line:	SK-PC-1, Suit2 008, IMIM-PC2, and RWP-1 cells
Concentration:	1 μM, 2 μM, 5 μM, 10 μM
Incubation Time:	24 and 48 hours
Result:	Induced cell apoptosis.

In Vivo

MN58b (4 mg/kg; intraperitoneal injection; once a day; for 5 days; MF-1 nude mice) treatment significantly decreases phosphomonoesters in both HT29 and MDA-MB-231 xenografts. Phosphocholine levels are found to correlate with choline kinase activities[2].

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

Animal Model:	MF-1 nude mice with HT29 or MDA-MB-231 cells[2]
Dosage:	4 mg/kg
Administration:	Intraperitoneal injection; once a day; for 5 days
Result:	Phosphomonoesters decreased significantly.

REFERENCES

[1]. Mazarico JM, et al. Choline Kinase Alpha (CHKα) as a Therapeutic Target in Pancreatic Ductal Adenocarcinoma: Expression, Predictive Value, and Sensitivity to Inhibitors. Mol Cancer Ther. 2016 Feb;15(2):323-33.

[2]. Al-Saffar NM, et al. Noninvasive magnetic resonance spectroscopic pharmacodynamic markers of the choline kinase inhibitor MN58b in human carcinoma models. Cancer Res. 2006 Jan 1;66(1):427-34.

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