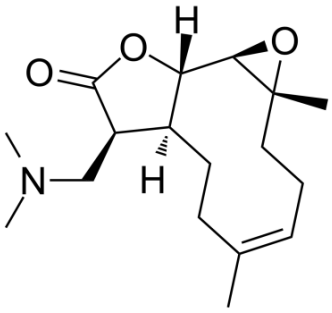
DMAPT

Cat. No.:	HY-16172		
CAS No.:	870677-05-7		
Molecular Formula:	$C_{17}H_{27}NO_3$		
Molecular Weight:	293.4		
Target:	NF-κB		
Pathway:	NF-κB		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	6 months
		-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 125 mg/mL (426.04 mM; Need ultrasonic)
H_2O : < 0.1 mg/mL (insoluble)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.4083 mL	17.0416 mL	34.0832 mL
	5 mM	0.6817 mL	3.4083 mL	6.8166 mL
	10 mM	0.3408 mL	1.7042 mL	3.4083 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 (7.09 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (7.09 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (7.09 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

DMAPT (Dimethylamino Parthenolide), an analogue of Parthenolide (PTL), is an oral active NF-κB inhibitor, with a LD_{50} of 1.7 μM for cell population in AML cells. Has potential anti-cancer and anti-metastatic effect[1].

IC_{50} & Target

NF-κB[1].

In Vitro

DMAPT treatment decreased constitutive NF-κB binding activity, inhibits cell proliferation and viability of PC-3 and DU145

cells[2].
Treatment of PC-3 and DU145 cells with 5 and 4 μM DMAPT, respectively, increases the population doubling times of PC-3 prostate cancer cells from 23.0 ± 5.0 h to 42.0 ± 3.0 h and of the DU145 cells from 20.4 ± 2.2 h to 72.5 ± 24.8 h[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[2]

Cell Line:	PC-3 and DU145 cells.
Concentration:	PC-3 cells (0, 2.5, 5 μM), DU145 cells (0 and 4 μM).
Incubation Time:	24 and 48 hours.
Result:	Decreased constitutive NF-κB binding activity, inhibits cell proliferation and viability of PC-3 and DU145 cells.

In Vivo

Treatment with DMAPT (100 mg/kg, Oral gavage daily for 7 days) increases sensitivity of PC-3 tumor xenografts to X-rays[2].
DMAPT (100 mg/kg, Oral gavage thrice weekly from 42 to 300 days since birth) treatment slows normal tumor development in TRAMP mice, extending the time-to-palpable prostate tumor by 20%[3].
DMAPT further reduces the metastatic area below that of the water vehicle treatment group in lung tissues (0.10% ± 0.15 SD, 92% reduction, p = 0.0028) in TRAMP mice[3].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	PC-3 tumor xenograft in athymic nude mice[2].
Dosage:	100 mg/kg.
Administration:	Oral gavage daily for 7 days.
Result:	Increased sensitivity of PC-3 tumor xenografts to X-rays.

Animal Model:	Six-week-old male TRAMP mice[3].
Dosage:	100 mg/kg.
Administration:	Oral gavage thrice weekly from 42 to 300 days since birth.
Result:	Slowed normal tumor development in TRAMP mice, extending the time-to-palpable prostate tumor by 20%.

REFERENCES

[1]. Neelakantan S, et al. Aminoparthenolides as novel anti-leukemic agents: Discovery of the NF-kappaB inhibitor, DMAPT (LC-1). Bioorg Med Chem Lett. 2009 Aug 1;19(15):4346-9.

[2]. Mendonca MS, et al. DMAPT inhibits NF-κB activity and increases sensitivity of prostate cancer cells to X-rays in vitro and in tumor xenografts in vivo. Free Radic Biol Med. 2017 Nov;112:318-326.

[3]. Morel KL, et al. Chronic low dose ethanol induces an aggressive metastatic phenotype in TRAMP mice, which is counteracted by parthenolide. Clin Exp Metastasis. 2018 Oct;35(7):649-661.

Caution: Product has not been fully validated for medical applications. For research use only.

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