Bufotalin

Cat. No.: HY-N0878

CAS No.: 471-95-4

Molecular Formula: $C_{26}H_{36}O_6$

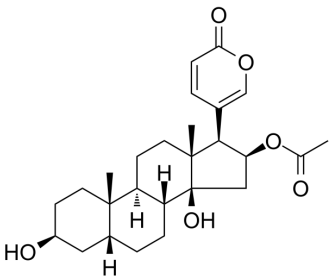
Molecular Weight: 444.56

Target: Reactive Oxygen Species; Apoptosis

Pathway: Immunology/Inflammation; Metabolic Enzyme/Protease; NF-κB; 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 : 100 mg/mL (224.94 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.2494 mL	11.2471 mL	22.4942 mL
5 mM	0.4499 mL	2.2494 mL	4.4988 mL
10 mM	0.2249 mL	1.1247 mL	2.2494 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: ≥ 2.5 mg/mL (5.62 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.62 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.62 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Bufotalin is a steroid lactone isolated from Venenum Bufonis with potently antitumor activities. Bufotalin induces cancer cell apoptosis and also induces endoplasmic reticulum (ER) stress activation[1][2].

In Vitro

Bufotalin (0.1-2.5 μM; 12-96 hours) treatment dose- and time-dependently inhibits MG-63 osteoblastoma cell survival[1]. Bufotalin (0.5-2.5 μM; 48 hours) treatment dose-dependently increases the percentage of Annexin V positive cells (apoptotic cells) and caspase-12 activity in MG-63 cells. Bufotalin-induced osteoblastoma cell apoptosis is associated with caspase-12 activation[1].

Bufotalin (0.5-2.5 μM; 12 hours) treatment dose-dependently induces C/EBP homologous protein (CHOP) expression as well as PERK and IRE1 phosphorylation in MG-63 cells. Bufotalin induces endoplasmic reticulum (ER) stress activation in cells[1]. Bufotalin treatment induces cell cycle arrest at G2/M phase through down-regulation of Aurora A, CDC25, CDK1, cyclin A and cyclin B1, as well as up-regulation of p53 and p21 in HepG2 cells. Bufotalin treatment also induces apoptosis which was accompanied by decrease in mitochondrial membrane potential, increases in intracellular calcium level and reactive oxygen species production, activations of caspase-9 and -3, cleavage of poly ADP-ribose polymerase (PARP) as well as changes in the expressions of bcl-2 and bax[2].

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

Cell Viability Assay[1]

Cell Line:	MG-63 osteoblastoma cells
Concentration:	0.1 μM, 0.5 μM, 1 μM, 2.5 μM
Incubation Time:	12 hours, 24 hours, 48 hours, 72 hours, 96 hours
Result:	Inhibited MG-63 osteoblastoma cell survival.

Apoptosis Analysis[1]

Cell Line:	MG-63 cells
Concentration:	0.5 μM, 1 μM, 2.5 μM
Incubation Time:	48 hours
Result:	Dose-dependently increased the percentage of Annexin V positive cells (apoptotic cells) and caspase-12 activity in MG-63 cells.

Western Blot Analysis[1]

Cell Line:	MG-63 cells
Concentration:	0.5 μM, 1 μM, 2.5 μM
Incubation Time:	12 hours
Result:	Dose-dependently induced CHOP expression as well as PERK and IRE1 phosphorylation in MG-63 cells.

In Vivo

Bufotalin (0.5-1 mg/kg; intraperitoneal injection; twice daily; for 7 days) treatment shows a significantly reduced tumor growth in mice[1].

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

Animal Model:	SCID male mice (4-6 weeks old) injected with U2OS cells[1]
Dosage:	0.5 mg/kg, 1 mg/kg
Administration:	Intraperitoneal injection; twice daily; for 7 days
Result:	Inhibited U2OS osteoblastoma cell growth in mice.

CUSTOMER VALIDATION

- Pharmacol Res. 2021 Nov 2;105927.
- Phytomedicine. 2023 Oct 28, 155169.
- Free Radic Biol Med. 14 January 2022.
- Microb Pathog. 2022: 105918.

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REFERENCES

[1]. Zhu YR, et al. Bufotalin-induced apoptosis in osteoblastoma cells is associated with endoplasmic reticulum stress activation. Biochem Biophys Res Commun. 2014 Aug 15;451(1):112-8.

[2]. Zhang DM, et al. Bufotalin from Venenum Bufonis inhibits growth of multidrug resistant HepG2 cells through G2/M cell cycle arrest and apoptosis. Eur J Pharmacol. 2012 Oct 5;692(1-3):19-28.

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