

Product Data Sheet

Product Name: Bufotalin
Cat. No.: GC33134

Chemical Properties

Cas. No. 471-95-4

SMILES C[C@@]1(CC[C@@]2([H])[C@@]3([H])CC[C@@]4([H])[C@@]2(CC[C@H](O)C4)C)[C@@H](C(C=C5)=COC5=O)[C@@H](OC(C)=O)C[C@@]13O

Formula C₂₆H₃₆O₆ M.Wt 444.56

Solubility DMSO : ≥ 4.6 mg/mL (10.35 mM) Storage Store at -20°C, protect from light

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT, or blue ice upon request.

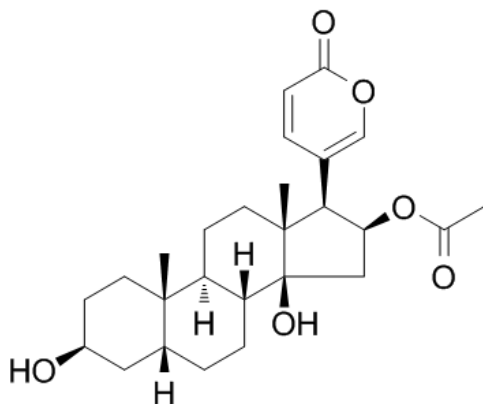
Caution: Product has not been fully validated for medical applications. For research use only.

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Structure



Protocol

Cell experiment [1]:

Cell lines R-HepG2 cells

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Preparation Method	Cell cycle distribution of R-HepG2 cells after Bufotalin treatment was detected by flow cytometry. Briefly, R-HepG2 cells (about 6×10^5 /well) were collected and fixed in 70% ethanol at 4°C overnight. Before analysis, cells were centrifuged at 2500rpm for 3min to remove the ethanol. Then, cells were suspended in 500mL phosphate-buffered saline (PBS) containing 0.02mg/mL propidium iodide (PI) and 0.1mg/mL Ribonuclease A (RNase A) in darkness at 37°C for 30min. Fluorescence emitted from PI-DNA complexes was measured by Epics XL flow cytometry.
Reaction Conditions	0.05μM, 0.125μM; 24h, 48h
Applications	Cell cycle analysis showed that treatment with a relatively low concentrations of Bufotalin induced a remarkable G2/M arrest in R-HepG2 cells.
Animal experiment [2]:	
Animal models	Bleomycin (BLM)-induced lung fibrosis mice model

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Preparation Method

Male C57BL/6 mice (6 weeks old, average weight approximately 22g) were housed in a standard environment which was characterized by 12h light/dark cycle, 22-25°C, and 40–60% humidity with free access to water and chow. A BLM-induced lung fibrosis model was performed. Firstly, a total of thirty-five mice were randomly divided into five groups: (i) sham control group; (ii) vehicle group; (iii) Bufotalin (1mg/kg) group; (iv) Bufotalin (2mg/kg) group; (v) positive control [pirfenidone (PFD, 30mg/kg)] group. Then, mice were anesthetized with 1% pentobarbital sodium (50mg/kg) by intraperitoneal injection and intratracheally administered 3mg/kg BLM in 50μL of sterile saline. Mice administered the same volume of sterile saline served as sham controls. From day 7 after BLM administration, mice were treated with Bufotalin, PFD, or their vehicle (15% PEG400 and 15% tween 80 saline solution) by intraperitoneal injection every day for 14 consecutive days. Finally, the mice were sacrificed 21 days post-BLM challenge.

Dosage form

1mg/kg, 2mg/kg; ip; 7d

Applications

Bufotalin reduces lung injury, inflammation, and fibrosis, and protects lung function.

References:

- [1]. Zhang DM, Liu JS, Tang MK, et al. Bufotalin from Venenum Bufonis inhibits growth of multidrug resistant HepG2 cells through G2/M cell cycle arrest and apoptosis. European journal of pharmacology. 2012 Oct 5; 692(1-3): 19-28.
- [2]. Yin JZ, Li ZQ, Zhang XD, et al. Bufotalin attenuates pulmonary fibrosis via inhibiting Akt/GSK-3 β -catenin signaling pathway. European Journal of Pharmacology. 2024 Feb 5; 964: 176293.

Background

Bufotalin is a natural cardiotonic steroid derived from toad secretions [1]. Bufotalin inhibits Na⁺/K⁺-ATPase and induces apoptosis of cancer cells [2]. Bufotalin has potent anti-tumor and cardiotonic effects [3-4].

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In R-HepG2 cells, treatment with a relatively low concentrations of Bufotalin (0.05 μ M, 0.125 μ M; 24h, 48h) induced a remarkable G2/M arrest [5]. In A375 cells, Bufotalin (10-80ng/mL; 24h) significantly inhibited cell proliferation [6]. In MDA-MB-231 cells, Bufotalin (1-10 μ M; 72h) inhibits the proliferation of cells in a dose-dependent manner [7].

In bleomycin-induced lung fibrosis mice model, Bufotalin (1mg/kg, 2mg/kg; ip; 7d) reduces lung injury, inflammation, and fibrosis, and protects lung function [8]. In Sjögren's syndrome (ESS) murine model, Bufotalin (10 μ g/kg; iv; twice a week for 7 weeks) inhibits Th17 polarization and secretion of cytokines IL-17 and IFN- γ [9]. In mice bearing U251 cell xenografts, Bufotalin (1mg/kg, 2mg/kg, 5mg/kg; ip; 14d) treatment resulted in a significant dose-dependent decrease in tumor volume and weight [10].

References:

- [1]. El-Seedi HR, Yosri N, El-Aarag B, et al. Chemistry and the potential antiviral, anticancer, and anti-inflammatory activities of cardiotonic steroids derived from toads. *Molecules*. 2022 Oct 5; 27(19): 6586.
- [2]. Mijatovic T, Dufrasne F, Kiss R. Cardiotonic steroids-mediated targeting of the Na⁺/K⁺-ATPase to combat chemoresistant cancers. *Current medicinal chemistry*. 2012 Feb 1; 19(5): 627-646.
- [3]. Tian H, Zhao F, Yue BS, et al. Combinational Antitumor Strategies Based on the Active Ingredients of Toad Skin and Toad Venom. *Drug Design, Development and Therapy*. 2024 Dec 31:3549-3594.
- [4]. Jia J, Li J, Zheng Q, et al. A research update on the antitumor effects of active components of Chinese medicine ChanSu. *Frontiers in Oncology*. 2022 Sep 27; 12: 1014637.
- [5]. Zhang DM, Liu JS, Tang MK, et al. Bufotalin from Venenum Bufonis inhibits growth of multidrug resistant HepG2 cells through G2/M cell cycle arrest and apoptosis. *European journal of pharmacology*. 2012 Oct 5; 692(1-3): 19-28.
- [6]. Pan Z, Qu C, Chen Y, et al. Bufotalin induces cell cycle arrest and cell apoptosis in human malignant melanoma A375 cells. *Oncology reports*. 2019 Apr; 41(4): 2409-2417.
- [7]. Park SJ, Jung HJ. Bufotalin suppresses proliferation and metastasis of triple-negative breast cancer cells by promoting apoptosis and inhibiting the STAT3/EMT axis. *Molecules*. 2023 Sep 23; 28(19): 6783.
- [8]. Yin JZ, Li ZQ, Zhang XD, et al. Bufotalin attenuates pulmonary fibrosis via inhibiting Akt/GSK-

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3 β / β -catenin signaling pathway. European Journal of Pharmacology. 2024 Feb 5; 964: 176293.

[9]. Huang Y, Yang G, Fei J, et al. Bufotalin ameliorates experimental Sjögren's syndrome development by inhibiting Th17 generation. Naunyn-Schmiedeberg's Archives of Pharmacology. 2020 Oct; 393: 1977-1985.

[10]. Tan J, Lin G, Zhang R, et al. Bufotalin induces oxidative stress-mediated apoptosis by blocking the ITGB4/FAK/ERK pathway in glioblastoma. Antioxidants. 2024 Sep 27; 13(10): 1179.

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