

Product Data Sheet

Product Name: Cinobufotalin

Cat. No.: GC32757

Chemical Properties

Cas. No. 1108-68-5

SMILES C[C@]([C@@H](C(C=C1)=COC1=O)[C@H]2OC(C)=O)(CC[C@@]3([H])[C@@]4([H])CC[C@@]5(O)[C@@]3(CC[C@H](O)C5)C)[C@@]64[C@@H]2O6

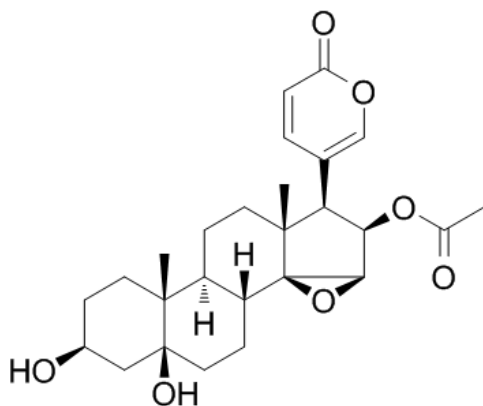
Formula $C_{26}H_{34}O_7$ M.Wt 458.54

Solubility DMSO : ≥ 35 mg/mL (76.33 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.

Structure



Protocol

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

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Cell experiment [1]:

Cell lines SK-OV-3、 CRL-1978、 CRL-11731 cells

Cell cultures were treated with Cinobufotalin (0.1, 1, 5 and 10 μ M) for 24, 48, and Preparation Method 72h. Cell proliferation, migration, and invasion were measured using CellTiter, Cytoselect, and FluoroBlock assays, respectively.

Reaction
Conditions 0.1, 1, 5, 10 μ M; 24, 48, 72h

Applications Cinobufotalin dose-dependently inhibited the proliferation, migration, and invasion of SK-OV-3, CRL-1978, and CRL-11731 cells.

Animal experiment [2]:

Animal models Male nude mice

A549 cells were subcutaneously injected at the right thigh of nude mice, and treatment was started when the tumors reached an average volume of 200-300mm³. Animals were randomized into 3 groups with 10 mice each group: (a) Preparation Method vehicle; (b) 1.0mg/kg of Cinobufotalin; (c) 5.0mg/kg of Cinobufotalin. Cinobufotalin was injected intraperitoneally (i.p.) twice daily for 1 weeks. The mice were examined daily for toxicity/mortality relevant to treatment, and the tumor was measured with a caliper once a week for up to 5 weeks.

Dosage form 1.0, 5.0mg/kg twice daily for 1 weeks; i.p.

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Applications Cinobufotalin significantly inhibited A549 xenograft growth in mice.

References:

- [1]Afroze S H, Peddaboina C, MCDOWELL A B, et al. Differential effects of in vitro treatment with cinobufotalin on three types of ovarian cancer cells[J]. Anticancer Research, 2018, 38(10): 5717-5724.
- [2]Kai S, Lu J, Hui P, et al. Pre-clinical evaluation of cinobufotalin as a potential anti-lung cancer agent[J]. Biochemical and biophysical research communications, 2014, 452(3): 768-774.

Background

Cinobufotalin is a steroidal glycoside with multiple biological activities^[1]. Cinobufotalin is a cardiotonic steroid or butadiene lactone extracted from the skin secretions of toads^[2]. Cinobufotalin has been used as a cardiotonic, diuretic and hemostatic drug, and also has antitumor activity^[3].

In vitro, Cinobufotalin (0.1, 1, 5, 10 μ M) treatment of SK-OV-3, CRL-1978 and CRL-11731 cells for 24-72h dose-dependently inhibited cell proliferation, migration and invasion^[4]. Cinobufotalin (40, 60, 80nM) was used to treat HepG2, SMMC-7721 and SNU-368 cells for 24-48h, which upregulated epithelial markers (E-cadherin), downregulated mesenchymal markers (N-cadherin, snail, slug and ZEB1), and reduced the mRNA and protein expression of β -catenin^[5].

In vivo, Cinobufotalin (1, 5mg/kg) was used to treat A549 cell xenograft mice by intraperitoneal injection for 1 week, which significantly inhibited the growth of transplanted tumors in mice and improved the survival rate of mice^[6]. Cinobufotalin (5mg/kg) was used to treat H22 liver cancer mice by intraperitoneal injection, which significantly inhibited tumor growth, enhanced the immune function of mice, and enhanced the chemotherapy effect of cisplatin^[7].

References:

- [1] El-Seedi H R, Yosri N, El-Aarag B, et al. Chemistry and the potential antiviral, anticancer, and anti-inflammatory activities of cardiotonic steroids derived from toads[J]. Molecules, 2022, 27(19): 6586.
- [2] Cheng C, Wang J, Chen J, et al. New therapeutic aspects of steroidal cardiac glycosides: the anticancer properties of Huachansu and its main active constituent Bufalin[J]. Cancer Cell

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International, 2019, 19: 1-27.

[3] Liu Q, Shu L, Wen Y, et al. Cinobufagin and cinobufotalin from Traditional Chinese Medicine and chemical synthesis[J]. Authorea Preprints, 2023.

[4] Afroze S H, Peddaboina C, MCDOWELL A B, et al. Differential effects of in vitro treatment with cinobufotalin on three types of ovarian cancer cells[J]. Anticancer Research, 2018, 38(10): 5717-5724.

[5] Li W, Pei S, Zhang X, et al. Cinobufotalin inhibits the epithelial-mesenchymal transition of hepatocellular carcinoma cells through down-regulate β -catenin in vitro and in vivo[J]. European Journal of Pharmacology, 2022, 922: 174886.

[6] Kai S, Lu J, Hui P, et al. Pre-clinical evaluation of cinobufotalin as a potential anti-lung cancer agent[J]. Biochemical and biophysical research communications, 2014, 452(3): 768-774.

[7] Wang P P, Wang Y H, Wang L S, et al. Anti-tumor effect and its related mechanisms of cinobufotalin combined with cisplatin on H22 liver cancer mice[J]. Zhongguo Zhong yao za zhi= Zhongguo Zhongyao Zazhi= China Journal of Chinese Materia Medica, 2020, 45(16): 3945-3951.

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