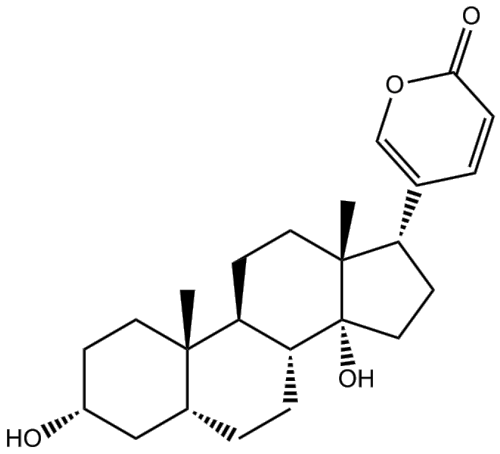
Product Data Sheet

Product Name: Bufalin
Cat. No.: GN10365

Chemical Properties

Cas. No.	465-21-4		
Chemical Name	5-[(3S,5R,8R,9S,10S,13R,14S,17R)-3,14-dihydroxy-10,13-dimethyl-1,2,3,4,5,6,7,8,9,11,12,15,16,17-tetradecahydrocyclopenta[a]phenanthren-17-yl]pyran-2-one		
SMILES	CC12CCC(CC1CCC3C2CCC4(C3(CCC4C5=COC(=O)C=C5)O)C)O		
Formula	$C_{24}H_{34}O_4$	M.Wt	386.52
Solubility	≥ 38.7mg/mL in DMSO	Storage	Store at -20°C
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

Cell experiment [1]:

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Cell lines	NCI-H640 cells
Preparation Method	Approximately 2 × 10^5 cells/well of NCI-H640 cells in 12-well plates were incubated with Bufalin at final concentrations of 0 (vehicle, 0.5% DMSO), 1, 2 and 4μM for 24 hours. Cells from each treatment were stained with PI (5 g/ml) and analyzed by flow cytometry and cell viability was calculated.
Reaction Conditions	1μM, 2μM, 4μM; 24h
Applications	After treatment with Bufalin, the activity of cells decreased significantly.

Animal experiment [2]:

Animal models	Carrageenan-induced paw edema rat model
Preparation Method	The anti-inflammatory effect was based on the inhibition of carrageenan-induced hind paw edema. Bufalin (0.15, 0.3, and 0.6mg/kg, i.p.), indomethacin (10mg/kg, i.p.), and vehicle were administered intraperitoneally 30min prior to carrageenan injection. Each rat received a subplantar injection of carrageenan (0.1mL, 1% w/v in saline) in the right hind paw. A plethysmometer measured the volume of paw edema before and at various times (1, 2, 4, and 6h) after the carrageenan injection. The paw swelling ratio was calculated as a percentage increase from the paw volume measured prior to carrageenan injection. Rat paws were collected after the final assessment. Each hind paw was cut at the level of the calcaneus bone and used for Western blot analysis.
Dosage form	0.15mg/kg, 0.3mg/kg, 0.6mg/kg; ip; single injection

Caution: Product has not been fully validated for medical applications. For research use only.
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Applications	After Bufalin treatment, the volume of paw edema in rats was significantly reduced.

References:
[1]. Wu S H, Wu T Y, Hsiao Y T, et al. Bufalin induces cell death in human lung cancer cells through disruption of DNA damage response pathways[J]. The American Journal of Chinese Medicine, 2014, 42(03): 729-742.
[2]. Wen L, Huang Y, Xie X, et al. Anti-inflammatory and antinociceptive activities of bufalin in rodents[J]. Mediators of inflammation, 2014, 2014(1): 171839.

Background

Bufalin is a Na^+/K^+-ATPase inhibitor (α1: K_d = 42.5nM; α2: K_d = 45nM; α3: K_d = 40nM) [1]. Bufalin primarily inhibits the activity of Na^+/K^+-ATPase on cell membranes, leading to elevated intracellular calcium concentrations [2]. Bufalin induction of apoptosis and inhibition of tumor cell proliferation can also have multiple anti-tumor mechanisms, including triggering autophagy and inhibiting tumor angiogenesis [3]. Bufalin is used to treat various tumors, including liver cancer, lung cancer, breast cancer, and leukemia [4].

In NCI-H640 cells, after treatment with Bufalin (1μM, 2μM, 4μM; 24h), the activity of cells decreased significantly [5]. In Ishikawa, SK-OV-3 and OMC-3 ovarian cancer cells, Bufalin (0.1-10ng/mL; 48h) can inhibit the proliferation of endometrial and ovarian cancer cells [6]. In human RCC ACHN cells, Bufalin (0-80nM; 12-72h) suppresses the proliferation and metastasis of renal cell carcinoma by inhibiting the PI3K/Akt/mTOR signaling pathway [7].

In carrageenan-induced paw edema rat model, after Bufalin (0.15mg/kg, 0.3mg/kg, 0.6mg/kg; ip; single injection) treatmentthe, volume of paw edema in rats was significantly reduced [8]. In HCCLM3 cell metastatic orthotopic tumor mouse model, orthotopic transplanted tumor tissues undergo necrosis and apoptosis induced by Bufalin (1mg/kg, 1.5mg/kg; ip; 30d) treatment [9].

References:
[1]. Katz A, Lifshitz Y, Bab-Dinitz E, et al. Selectivity of digitalis glycosides for isoforms of human Na,

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K-ATPase[J]. Journal of Biological Chemistry, 2010, 285(25): 19582-19592.
[2]. Wu S H, Bau D T, Hsiao Y T, et al. Bufalin induces apoptosis in vitro and has Antitumor activity against human lung cancer xenografts in vivo[J]. Environmental Toxicology, 2017, 32(4): 1305-1317.
[3]. Yin P H, Liu X, Qiu Y Y, et al. Anti-tumor activity and apoptosis-regulation mechanisms of bufalin in various cancers: new hope for cancer patients[J]. Asian Pacific journal of cancer prevention, 2012, 13(11): 5339-5343.
[4]. Lan Y L, Lou J C, Jiang X W, et al. A research update on the anticancer effects of bufalin and its derivatives[J]. Oncology letters, 2019, 17(4): 3635-3640.
[5]. Wu S H, Wu T Y, Hsiao Y T, et al. Bufalin induces cell death in human lung cancer cells through disruption of DNA damage response pathways[J]. The American Journal of Chinese Medicine, 2014, 42(03): 729-742.
[6]. Takai N, Ueda T, Nishida M, et al. Bufalin induces growth inhibition, cell cycle arrest and apoptosis in human endometrial and ovarian cancer cells[J]. International journal of molecular medicine, 2008, 21(5): 637-643.
[7]. Xie J, Lin W, Huang L, et al. Bufalin suppresses the proliferation and metastasis of renal cell carcinoma by inhibiting the PI3K/Akt/mTOR signaling pathway[J]. Oncology letters, 2018, 16(3): 3867-3873.
[8]. Wen L, Huang Y, Xie X, et al. Anti-inflammatory and antinociceptive activities of bufalin in rodents[J]. Mediators of inflammation, 2014, 2014(1): 171839.
[9]. Zhang Z J, Yang Y K, Wu W Z. Bufalin attenuates the stage and metastatic potential of hepatocellular carcinoma in nude mice[J]. Journal of translational medicine, 2014, 12(1): 57.

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