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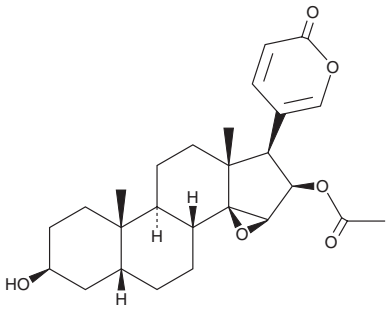
PRODUCT INFORMATION

Cinobufagin

Item No. 19844

CAS Registry No.:	470-37-1
Formal Name:	(5β)-16β-(acetyloxy)-14,15β-epoxy-3β-hydroxy-bufa-20,22-dienolide
Synonym:	NSC 90325
MF:	$C_{26}H_{34}O_6$
FW:	442.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 295 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥2 years
Item Origin:	Animal/*Bufonis venenum*



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Cinobufagin is supplied as a crystalline solid. A stock solution may be made by dissolving the cinobufagin in the solvent of choice. Cinobufagin is soluble in organic solvents such as DMSO and dimethyl formamide, which should be purged with an inert gas. The solubility of cinobufagin in these solvents is approximately 10 mg/ml.

Cinobufagin is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, cinobufagin should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Cinobufagin has a solubility of approximately 0.33 mg/ml in a 1:2 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Cinobufagin is a cardiotonic steroid that has been found in the skin of toads of genus *Bufo* and has diverse biological activities.[1-4] It inhibits Na^+/K^+-ATPase activity in guinea pig heart ventricular muscle homogenates by 45% when used at a concentration of 0.3 µM.[1] Cinobufagin is cytotoxic to HCT116 colorectal cancer cells *in vitro* with IC_{50} values of less than 50 ng/ml at 48- and 72-hour time points and induces apoptosis in a concentration-dependent manner.[2] *In vivo*, cinobufagin (10 mg/kg) reduces tumor growth in an HCT116 mouse xenograft model. Cinobufagin (1 µg/ml) inhibits LPS-induced expression of MHC class II, CD80, and CD86 and release of IL-6, IL-8, TNF-α, and IL-10 in human monocyte-derived dendritic cells.[3] It also increases expression of the antimicrobial peptides hBD2 and hBD3 in dendritic cells. Cinobufagin exhibits dose-dependent antinociceptive effects in the hot-plate, acetic acid writhing, and formalin tests in mice.[4]

References

1. Tõma, S., Morishita, S., Kuronuma, K., *et al.* Metabolism and pharmacokinetics of cinobufagin. *Xenobiotica* **17(10)**, 1195-1202 (1987).
2. Lu, X.-s., Qiao, Y.-b., Li, Y., *et al.* Preclinical study of cinobufagin as a promising anti-colorectal cancer agent. *Oncotarget* **8(1)**, 988-998 (2017).
3. Xie, S., Spelmink, L., Codemo, M., *et al.* Cinobufagin modulates human innate immune responses and triggers antibacterial activity. *PLoS One* **11(8)**, e0160734 (2016).
4. Xu, L., Zhang, X., Feng, Q., *et al.* Alpha-7 nicotinic receptor-targeted cinobufagin induces antinociception and inhibits NF-κB signaling pathway in DRG neurons. *ACS Chem. Neurosci.* **10(1)**, 497-506 (2019).

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