

International Conference and Exhibition on

Marine Drugs and Natural Products

July 25-27, 2016 Melbourne, Australia

Bufadienolides with cytotoxic activity from the skins of *Bufo bufo gargarizans*

Lei Wang, Bao-Jing Li, Dong-Mei Zhang, Ren-Wang Jiang and Wen-Cai Ye
Jinan University, China

The toad *Bufo bufo gargarizans* Cantor is distributed in most regions of China. The dried skin of *B. bufo gargarizans* has been used as a traditional Chinese medicine ('Chan-Pi' in Chinese) for the treatment of tumor, carbuncle, scrofula and heart failure. Cinobufacini (Hua-Chan-Su) injection, prepared from the skins of *B. bufo gargarizans*, has been widely used in clinical cancer therapy in China for a long time. Pharmacological studies revealed that this injection has antitumor and anti-hepatitis B virus activities. The bioactive constituents of cinobufacini injection were considered to be bufadienolides. Bufadienolides are typically C-24 steroid with a characteristic α -pyrone ring at C-17 position, which attracted much attention of pharmacologists due to their significant antitumor activities. Recent research on the action mechanism revealed that bufalin could activate ClC-3 Cl⁻ channel and induce apoptosis through the PI3K/Akt/mTOR pathway. Although about forty bufadienolides had been isolated from the skins of *B. bufo gargarizans*, further investigation to exploit other bioactive compounds and trace constituents of this commercial toad skin are necessary. As a part of our work to discover new potential anti-tumor agents, twelve new bufadienolides (1-12) and fourteen known ones (13-26) were isolated from the skins of *B. bufo gargarizans*. Among them, compound 1 was an unusual bufadienolide with 3,19-epoxy moiety. Compounds 2-3 and 4 were rare bufadienolides possessing 10-H atom and 10-carboxyl unit, respectively. In addition, the cytotoxic effects of the isolated compounds against HepG2, A549 and HeLa cell lines were evaluated. Six new compounds (2, 3, 5, 6, 10, 12) displayed significant anti-proliferative activities with IC₅₀ values ranging from 0.049 to 1.856 μ M. Arenobufagin (24) exhibited the most potent cytotoxic activity with IC₅₀ value 0.011 μ M.

Biography

Lei Wang has completed his PhD from China Pharmaceutical University and Post-doctoral studies from Jinan University. He has published more than 52 papers in reputed journals and has applied for 5 patents.

cpuwanglei@126.com

Notes: