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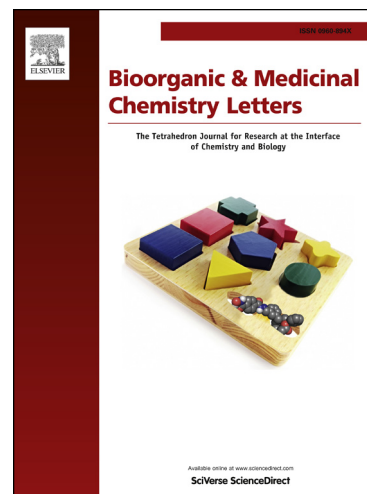
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Synthesis of biscoumarin and dihydropyran derivatives with promising antitumor and antibacterial activities

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Abstract

Two series of biscoumarin (1-3) and dihydropyran (4-12) derivatives were successfully synthesized as new antitumor and antibacterial agents. The molecular structures of four representative compounds 2, 4, 7 and 10 were confirmed by single crystal X-ray diffraction study. The synthesized compounds (1-12) were evaluated for their antitumor activities against human intestinal epithelial adenocarcinoma cell line (HuTu80), mammary adenocarcinoma cell line (4T1) and pancreatic cancer cell line (PANC1) and antibacterial activities against one drug-sensitive *S. aureus* (*S. aureus* ATCC 29213) strain and three MRSA strains (MRSA XJ 75302, Mu50, USA 300 LAC). The further mechanism study demonstrated that the most potent compound 1 could obviously inhibit the proliferation of cancer cells via the mechanism to induce apoptosis.

Key Words: Biscoumarin, Dihydropyran, Antitumor, Antibacterial

Cancer is one of the most serious threats against human health in the world^[1,2]. According to World Cancer Report from the International Agency for Research on Cancer, cases of cancer doubled globally between 1975 and 2000, will double again by 2020, and will nearly triple by 2030^[3]. In addition, *Staphylococcus aureus* is a main pathogen responsible for a number of diseases from serious hospital infections and community acquired infections, such as folliculitis, impetigo, and cellulitis, which is the main cause of in-hospital mortality as high as 15% to 60%^[4-6]. However, most of clinical drugs used for tumor and infection treatment show poor curative effect, high toxicity, low selectivity and severe drug resistance^[7].

Heterocyclic compounds attract special attention in chemical literature because of their abundance in natural products and the diverse biological properties associated with them^[8-11]. There are a large variety of heterocycles known and among them coumarin and pyran ring systems are of particular importance^[12-15]. Numerous interesting arrays of biological activities have been linked to natural and unnatural compounds possessing a substituted coumarin or pyran nucleus, making it a suitable building block for many therapeutic agents including antimicrobial activity^[16-18], growth stimulating effects^[19,20], antifungal and plant growth regulation effects^[21,22],

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