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Evaluation of the anti-bacterial and anti-fungal activity of xanthones obtained via semisynthetic modification of α -mangostin

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Abstract

The fruit of Garcinia mangostana Linn. (mangosteen), of the family Guttiferae, has been used in Asian traditional medicines for the treatment of various conditions including diarrhea, dysentery, suppuration, leucorrhea, chronic ulcers, gonorrhea, wounds and skin infections. Xanthones are naturally-occurring compounds with a distinct chemical structure, known as tricyclic aromatic system, with known antibacterial properties. Mangosteen fruit extracts were shown to contain different xanthones, identified by HPLC analysis: α-mangostin, β-mangostin, γ-mangostin, 8-desoxygartanin and gartanin, two isoprenylated xanthones and 9-hydroxycalabaxanthone. α-Mangostin (3,6,8-trihydroxy-2-methoxy-1,7-bis(3-methyl but-2-enyl)xanthen- 9-one) is a compound purified as a yellow crystalline solid, with molecular mass 410.45 g/mol, having a xanthone core structure. The microbial contamination in food packaging has been a major concern that has paved the way to search for novel, natural anti-microbial agents, such as modified α-mangostin. In the present study, 12 synthetic analogs were obtained through semi-synthetic modification of α-mangostin (I) by Ritter reaction, reduction by palladium-carbon (Pd-C), alkylation and acetylation. The evaluation of the antimicrobial potential of the synthetic analogs showed higher bactericidal activity than the parent molecule. The anti-microbial studies proved that II showed high anti-bacterial activity whereas III showed the highest anti-fungal activity. Due to their microbicidal potential, modified α-mangostin derivatives could be utilized as active anti-microbial agents in materials for the biomedical and food industry. he microbial contamination in food packaging has been a major concern that has paved the way to search for novel, natural anti-microbial agents, such as modified α-mangostin. In the present study, twelve synthetic analogs were obtained through semi-synthetic modification of α-mangostin by Ritter reaction, reduction by palladium-carbon (Pd-C), alkylation, and acetylation. The evaluation of the anti-microbial potential of the synthetic analogs showed higher bactericidal activity than the parent molecule. The anti-microbial studies proved that I E showed high anti-bacterial activity whereas I I showed the highest anti-fungal activity. Due to their microbicidal potential, modified α-mangostin derivatives could be utilized as active anti-microbial agents in materials for the biomedical and food industry. The perishable foods market is in the need of anti-microbial materials due to economic losses caused by bacterial and fungal growth on foods throughout the entire food supply chain. Such anti-microbial materials should extend the shelf-life of the product on the market shelves up to the consumer table. One challenge is to find methods for improved treatment (i.e., modified atmosphere, type of film, packages composed by various active materials) and application of effective, safe anti-bacterial and anti-fungal compounds. These methods may ensure the safety of foods and alleviate the economic losses due to food deterioration. It is envisaged that new anti-microbial compounds could be incorporated in food packaging and films to improve the shelf-life of ready-to-eat foods and packaged fresh products. In search for new anti-bacterial agents we performed semi-synthetic modification of α-mangostin using Ritter reaction, reduction by palladium-carbon (Pd-C), alkylation and acetylation to improve the bioactivity of the base compound. In this study, we describe the selective enrichment of α-mangostin (demonstrated by the NMR peaks and HPLC graphs) its semi-synthetic modification, the products generated, their chemical structure, and the inhibition activity against four pathogens, two Gram-positive and two Gram-negative bacteria, and two fungi, evaluated as diameter or halo of growth inhibition. Herein, we studied the anti-microbial activity of α-mangostin and its synthetic analogs and confirmed the development of new anti-microbial xanthones with higher antibacterial and antifungal activity. The candidate molecules with higher bioactivity may be applied in the composition of antimicrobial textiles and polymers that could find applications in biomedical devices and in food packaging.

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