

Abstract

Design, Synthesis, and Biological Activity of 18 β -Glycyrrhetic Acid Derivatives and Their Metal Complexes [†]

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Abstract: The increasing morbidity and mortality caused by infections with pathogenic bacteria (fungus or bacteria) have highlighted an urgent requirement for developing novel anti-bacterial agents to protect the health and integrity of human life. 18 β -glycyrrhetic acid has a wide range of pharmacological effects including anti-bacterial, anti-inflammatory, and anti-tumor effects. Nevertheless, its relatively low biological activity and high toxicity limit its potential for anti-bacterial and other pharmaceutical applications. To improve the anti-bacterial activity of 18 β -glycyrrhetic acid, we designed and synthesized a total of 21 glycyrrhizic acid derivatives in 40–82% yields, among which 18 novel glycyrrhetic acid derivatives, and the anti-bacterial and anti-fungal activities of all synthesized derivatives, were evaluated in vitro by measuring the minimum inhibitory concentration (MIC) of the compounds against the strain. The evaluation results showed that most of the compounds showed good inhibitory activity against different strains, among which compound 1 (MIC: 2 μ g/mL) and compound 3 (MIC: 2 μ g/mL) showed the strongest anti-fungal activity against *Cryptococcus*; compound 20 (MIC: 4 μ g/mL) showed high anti-bacterial activity against *Pseudomonas aeruginosa* and merits further exploration as a new anti-bacterial and anti-fungal agent.

Keywords: glycyrrhetic acid; synthesis; anti-bacterial activity; anti-fungal activity



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