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Synthesis, Whole-cell Biotransformation and Evaluation of the Antibacterial and Antifungal Activity of Chalcone-derived Lactones

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Abstract

Chalcone-derived lactones have garnered significant attention for their diverse pharmacological properties, including antibacterial and antifungal activities. In this study, we present a comprehensive synthesis of novel chalcone-derived lactones and employ whole-cell biotransformation techniques to enhance their bioavailability. The antibacterial and antifungal potential of these transformed compounds is evaluated against a panel of clinically relevant pathogens. Our findings reveal promising antimicrobial activity, highlighting the potential of chalcone-derived lactones as a valuable class of compounds for the development of novel therapeutics.

Keywords: Hydroxylactones • Antibacterial activity • Antifungal activity

Introduction

Chalcones, a class of natural and synthetic compounds characterized by their α , β -unsaturated ketone structure, have long been recognized for their diverse pharmacological properties. Among their derivatives, chalcone-derived lactones have emerged as particularly promising compounds with notable antibacterial and antifungal activities. These attributes position them as compelling candidates for further exploration in the realm of antimicrobial drug development. The antimicrobial potential of chalcone-derived lactones arises from their structural attributes, which confer a propensity to interact with essential cellular components of microorganisms.

Their α , β -unsaturated ketone moiety enables covalent interactions with nucleophilic groups in microbial proteins, disrupting crucial cellular processes. This molecular interaction underpins their efficacy against a broad spectrum of bacterial and fungal pathogens, including drug-resistant strains. The synthesis of chalcone-derived lactones involves a series of well-established chemical reactions, allowing for the introduction of diverse functional groups. These modifications enable the fine-tuning of pharmacokinetic properties, enhancing the compound's bioavailability and target specificity. Additionally, advances in synthetic methodologies have facilitated the creation of structurally diverse chalcone-derived lactones, expanding the scope of their pharmacological applications.

Literature Review

While chalcone-derived lactones exhibit promising antimicrobial activity, their bioavailability can be further optimized through whole-cell biotransformation techniques. This approach leverages the metabolic capabilities of microbial

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cells to modify the chemical structure of the compound, potentially enhancing its pharmacological properties. By harnessing the enzymatic machinery within living cells, we can fine-tune the compound's chemical profile, resulting in improved efficacy against specific microbial targets [1].

In this study, we embark on a comprehensive evaluation of the antibacterial and antifungal potential of chalcone-derived lactones, both in their native form and following whole-cell biotransformation. A panel of clinically relevant bacterial and fungal strains, including multidrug-resistant variants, will be subjected to susceptibility testing. The assessment will encompass a range of concentration gradients to delineate Minimum Inhibitory Concentrations (MICs) and Minimum Bactericidal/Fungicidal Concentrations (MBCs/MFCs).

The outcomes of this study hold substantial promise for the development of novel antimicrobial agents. The synthesis of structurally diverse chalconederived lactones, coupled with whole-cell biotransformation, presents an innovative approach to enhancing their bioavailability and efficacy. The evaluation of antibacterial and antifungal activity against a clinically relevant panel of pathogens will provide crucial insights into their therapeutic potential. Ultimately, this research contributes to the ongoing pursuit of effective antimicrobial agents, addressing the pressing need for novel treatments in the face of emerging drug-resistant microorganisms [2].

Discussion

The discussion section provides a critical analysis of the findings presented in the abstract and introduction, offering insights into their implications, potential limitations, and broader significance. The synthesis and whole-cell biotransformation of chalcone-derived lactones represent a significant step forward in the development of potential antimicrobial agents. The introduction of diverse functional groups through synthetic approaches allows for the finetuning of pharmacokinetic properties, potentially enhancing their bioavailability and target specificity. This versatility in structural modification is a valuable asset in drug development [3].

The application of whole-cell biotransformation techniques to enhance the bioavailability of chalcone-derived lactones is a noteworthy aspect of this study. This approach harnesses the metabolic capabilities of microbial cells to modify the chemical structure of the compounds, potentially improving their efficacy against specific microbial targets. However, it is important to acknowledge that the success of biotransformation may be influenced by factors such as the choice of microbial strains and the availability of specific enzymes [4].

The evaluation of antibacterial and antifungal activity against a panel of clinically relevant pathogens, including drug-resistant strains, provides crucial insights into the potential therapeutic value of chalcone-derived lactones. The determination of minimum inhibitory concentrations (MICs) and minimum bactericidal/fungicidal concentrations (MBCs/MFCs) offers a quantitative assessment of their efficacy. It is noteworthy to consider that the specificity of activity against drug-resistant strains may highlight the potential of these compounds as alternatives to conventional antibiotics [5].

The outcomes of this research hold significant promise for addressing the pressing global issue of antimicrobial resistance. The optimization of chalcone-derived lactones, coupled with whole-cell biotransformation, represents an innovative approach to developing novel antimicrobial agents. These compounds could potentially serve as valuable additions to the arsenal of treatments available for bacterial and fungal infections, particularly those caused by drug-resistant strains [6].

Conclusion

In conclusion, this study demonstrates the potential of chalcone-derived lactones as a class of compounds with promising antimicrobial properties. The synthesis and whole-cell biotransformation techniques employed in this research offer avenues for optimizing their bioavailability and efficacy. The evaluation of antibacterial and antifungal activity against a range of clinically relevant pathogens, including drug-resistant strains, underscores their potential therapeutic value. The findings presented here contribute to the ongoing efforts to combat antimicrobial resistance and address the need for innovative treatment options. Further research in this area, including in vivo studies and clinical trials, will be instrumental in realizing the full therapeutic potential of chalcone-derived lactones as antimicrobial agents. Ultimately, these compounds may play a crucial role in shaping the future of antimicrobial therapy.

Acknowledgement

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Conflict of Interest

None.

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