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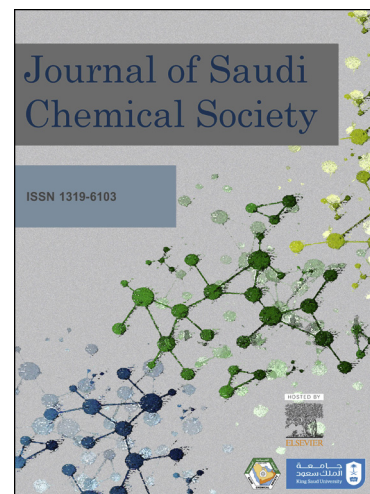
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# Antimicrobial activities of pyridinium-tailored pyrazoles bearing 1,3,4-oxadiazole scaffolds

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## Abstract

Herein, a series of pyridinium-tailored 5-trifluoromethylpyrazoles containing 1,3,4-oxadiazole moieties were constructed through coupling key pharmaceutical fragments of pyridinium, pyrazole, and 1,3,4-oxadiazole scaffolds in single molecular architecture. Antimicrobial results suggested that this kind of compounds exhibited significant activities against three types of pathogenic bacteria and six fungal strains *in vitro*. The minimal EC<sub>50</sub> values of designed compounds against *Xanthomonas oryzae pv. oryzae*, *Ralstonia solanacearum*, and *Xanthomonas axonopodis pv. citri* could reach to 0.467, 1.04, and 0.600  $\mu\text{g/mL}$ , respectively, through tuning and optimizing *N*-substituents, bridging atom, and alkyl length of the tail. Antifungal assays revealed that all title molecules possessed considerable activity against *Botrytis cinerea* with the minimal EC<sub>50</sub> value up to 2.71  $\mu\text{g/mL}$ ; and compounds **I-8**, **I-10**, **I-12**, **II-12**, and **IV-12** showed the strongest growth suppression toward *Rhizoctonia solani* with EC<sub>50</sub> values ranging from 10.2 to 24.0  $\mu\text{g/mL}$ . Given the above results, this kind of compounds could serve as new lead compounds in the research of antimicrobial chemotherapy.

## Keywords

5-trifluoromethylpyrazole; pyridinium; 1,3,4-oxadiazole; antibacterial; antifungal

## 1. Introduction

Trifluoromethyl-functionalized heterocyclic nucleus has been extensively studied in the field of medicinal chemistry owing to the significant applications in construction and creation of bioactive molecules [1-3]. Particularly, trifluoromethyl-tailored pyrazole core has aroused considerable attentions on account of its derivatives are always associated with an impressive array of biological activities, such as anticancer, anti-inflammatory, anti-thrombotic, herbicidal, insecticidal, antifungal, as well as antibacterial activities [4-14]. Due to the trifluoromethylpyrazole ring

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