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Book of Abstract

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Synthesis and SAR of 1,2,4-oxadiazole derivates as potential antibacterial agents

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Antibacterial resistance is increasing globally, making standard therapies for bacterial infections ineffective. [1,2] For this purpose, taking inspiration from a previously published study, [3] a series of novel 1,2,4-oxadiazole pyridinium salts derivates have been synthesized. Maintaining the central core, the bioactivity of different substituents in position 3 or 5 of oxadiazole have been explored, varying from small-length alkyl chains to variously substituted aromatic rings. The resulting 34 derivates have been tested *in vitro* against Gram-negative (*K.pneumoniae* and *E.coli*) and Gram-positive (*S.aureus, S.haemolitycus* and *E.faecium*) resistant strains with dilution and minimal inhibition concentration (MIC) methods. Through this structure-activity relationship (SAR) study, it was possible to demonstrate that as the substituent on the aromatic ring changes, the activity of the antibacterial compounds also changes. For example, an electron donating group ($-OCH_3$) on the phenyl ring, compared with an electron withdrawing group ($-NO_2$ and -F), causes a reduction in MIC values. On the other hand, if the substituent is an amide, the antibacterial activity of the compounds disappears. While aliphatic analogues did not show any activity against the resistant strains.

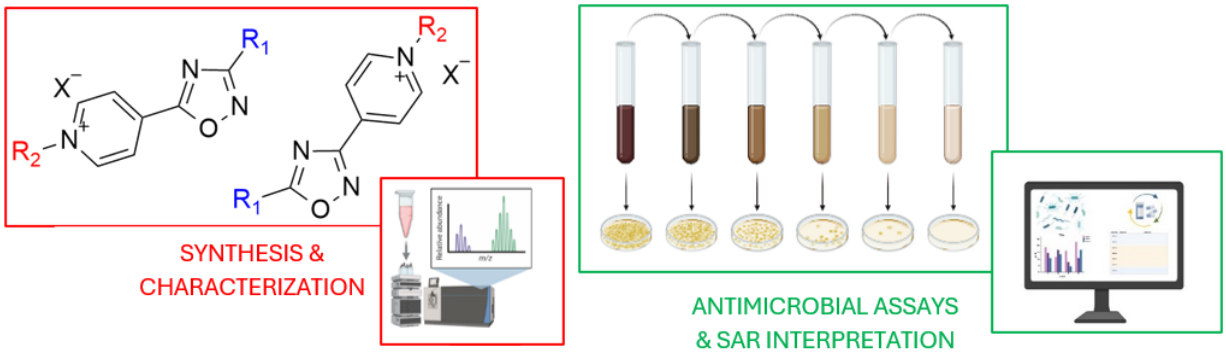

Figure 1: Workflow representation.

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[2] L. Tajer et al., Mechanisms of Bacterial Resistance to Antimicrobial Peptides in the Modern Era: An Updated Review, Microorganisms, 2024, 12, 1259.
[3] S. Amata et al., Synthesis and Antibacterial Activity of Mono- and Bi-Cationic Pyridinium 1,2,4-Oxadiazoles and Triazoles, Int. J. Mol. Sci., 2024, 25, 377.