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ABSTRACT E-BOOK

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Design, synthesis, and biological evaluation of 1,2,4-oxadiazole salts and their derived functional materials

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The worldwide rise in antibacterial resistance is making commonly used antibiotics and traditional treatments increasingly ineffective, presenting a significant danger to contemporary healthcare^[1]. This issue transcends particular clinical environments and signifies a systemic problem impacting domains such as surgery, oncology, and medical device implantation^[2].

To this end, inspired by a prior study, a range of novel 1,2,4-oxadiazole pyridinium salts have been synthesized and characterized^[3].

Initially, 37 substances were evaluated in vitro against the standard strains of Gram-positive *S. aureus* and Gram-negative *E. coli* using dilution and minimum inhibitory concentration (MIC) assays. Subsequently, the five most active salts were evaluated against multidrug-resistant bacteria (*K. pneumoniae*, *E. coli*, *S. aureus*, *S. haemolyticus*, and *E. faecium*). Furthermore, their cytotoxicity, haemolytic activity, and selectivity index have been assessed. Following the integration into PVC films and glycerol gels, disk diffusion assays and diffusion in aqueous solutions were conducted, revealing relevant antibacterial efficacy. This study constitutes a preliminary phase in the creation of potential antibacterial coatings for medical implants.

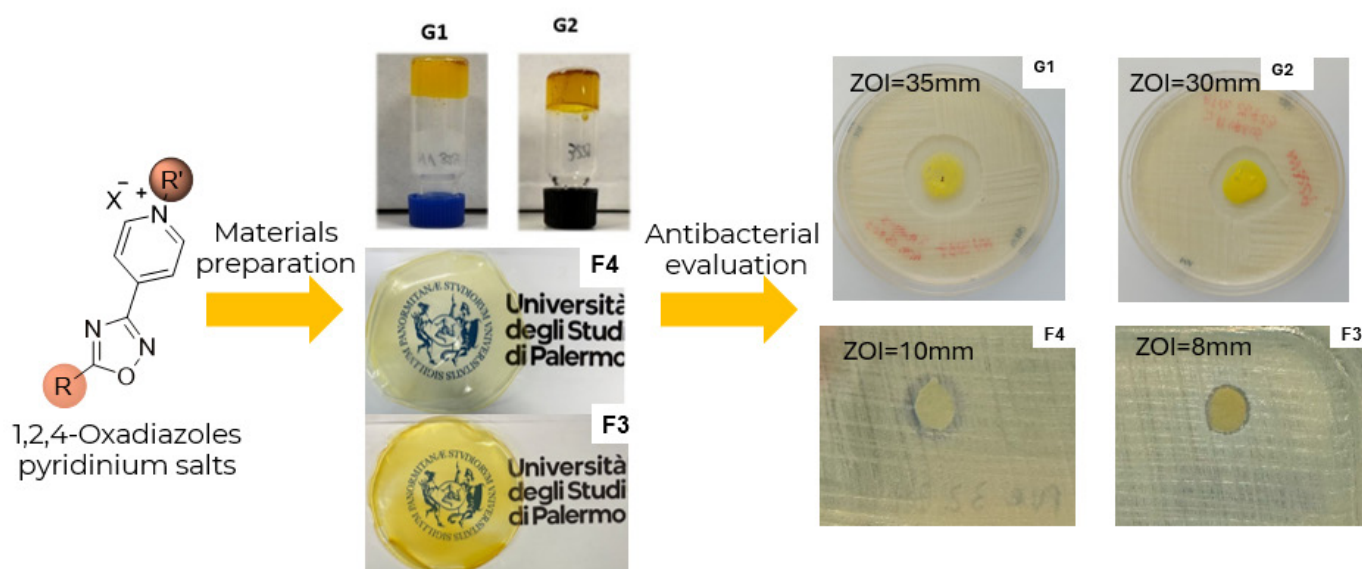


Figure 1. Antibacterial compounds general structure, derived gels and PVC films and disk diffusion test results.

References:

- [1] U. Theuretzbacher, Global antibacterial resistance: The never-ending story, *Journal of Global Antimicrobial Resistance*, 2013, 1, 63-69.
- [2] E. Avershina, V. Shapovalova, G. Shipulin, Fighting Antibiotic Resistance in Hospital-Acquired Infections: Current State and Emerging Technologies in Disease Prevention, Diagnostics and Therapy, *Frontiers in Microbiology*, 2021, 12.
- [3] Amata S, Calà C, Rizzo C, Pibiri I, Pizzo M, Buscemi S, Palumbo Piccionello A. Synthesis and Antibacterial Activity of Mono- and Bi-Cationic Pyridinium 1,2,4-Oxadiazoles and Triazoles. *International Journal of Molecular Sciences*. 2023 Dec 27;25(1):377.