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Synthesis and in-vitro anti-bacterial activity of new thiazolidin-4-one derivatives

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Background and Aims: The contemporary treatment of infectious diseases involves administration of multi-drug regimen which leads to rapid emergence of multi-drug resistance strain. The resistance problem demands to seek new antimicrobial agents. The antimicrobial activity of 5-nitrothiophene, 4-thiazolidinone and 1,3,4 thiadiazle are well documented. In view of the antimicrobial property of the above pharmacophores, it was envisaged that the combined effect of these entities by molecular hybridation would result in increased antimicrobial activity
Methods: The target compounds were synthesized by the reaction of 5-nitrothiophen-2-carbaldehyde 1 with thiosemicarbazide 2, the thiosemicarbazone intermediate 3 was converted to 1,3,4-thiadiazole 4 by oxidative cyclization. Reaction of compound 4 with chloroacetyl chloride and ammonium thiocyanate respectively gave thiazolidin-4-one 5. Final compounds 6 were prepared by aldol condensation of 5 with different aromatic aldehydes. The antibacterial acitivity of compounds was evaluated by microdilution method according to the standard NCCLS 2006.
Results: The purity of final products was confirmed by TLC. The structure of the synthesized compounds was characterized by FTIR, 1HNMR and Mass spectra.
Conclusions: The invitro antibacterial evaluation revealed that some of the synthesized compounds had good activity on both gram positive and gram negative bacteria. The Minimum Inhibitory Concentration (MIC) of the best compounds was 6.25µg/mL.

Keywords: 4-thiazolidinone; 1,3,4 thiadiazole; 5-nitrothiophene; Antibacterial acivity