Republic of Iraq
Ministry of Higher Education and Scientific Research
University of Basrah
College of Pharmacy

Synthesis, Characterization and Biological Activity of Some New 1,3,4-Oxadiazoline Compounds

A Thesis
Submitted to the Council of College of Pharmacy-University of Basrah in Partial Fulfillment of the Requirements for the Degree of Master in Pharmaceutical Chemistry

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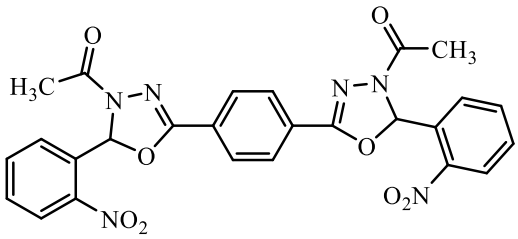
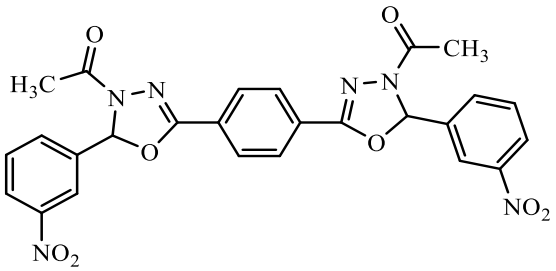
Summary

This study describes the synthesis of six new oxadiazoline compounds (5a-5f) from terephthalic acid. The structures of these compounds as shown below were characterized by FT-IR, ^{1}H-NMR, mass spectroscopies and confirmed by elemental analysis (CHN). In addition, this study involves *in vitro* evaluation of antibacterial, antifungal and anticancer activities of these compounds.



5a



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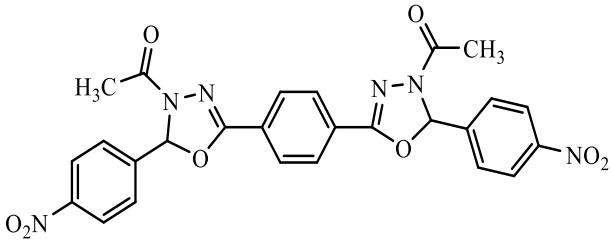

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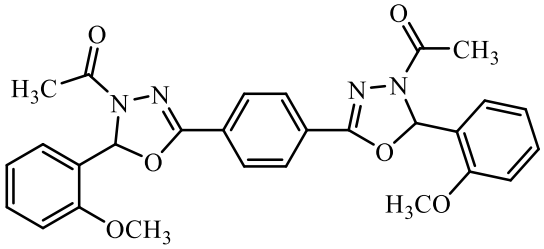
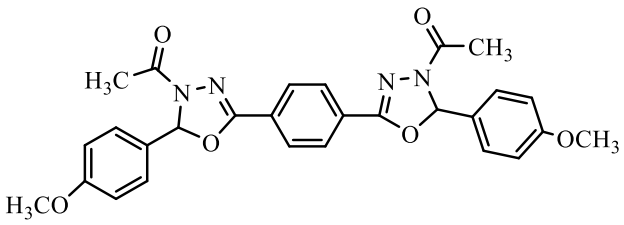
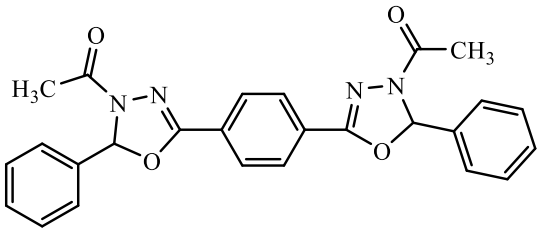


5d



5e



5f

The FT-IR spectra validate the formation of oxadiazoline compounds. The results of ^{1}H-NMR spectra for oxadiazoline compounds showed that the structures of the oxadiazolines that were prepared in our study were true.

The oxadiazoline compounds were identified by mass spectra, which indicated that the structures of the oxadiazolines that were synthesized in our study were correct. Also, the outcomes of CHN are closely related to the calculated values, which support the structures of the synthesized compounds.

All the screened compounds showed excellent antibacterial activity against the isolated pathogenic G+ve bacteria (*Staphylococcus aureus*) and G-ve bacteria (*Escherichia coli* and *Pseudomonas aeruginosa*) as compared to standard drugs (cefepime and amoxicillin).

All the oxadiazoline compounds were showed a good antifungal activity against the isolated pathogenic (*Aspergillus flavus*) as compared to the standard drug fluconazole while these compounds were displayed a moderate antifungal activity against (*Aspergillus flavus*) as compared to the standard drug clotrimazole. All the compounds were exhibited a moderate antifungal action against the isolated pathogenic (*Candida albicans*) as compared to the standard drugs (fluconazole and clotrimazole). In general, the prepared compounds (5a, 5b, 5c and 5d) were showed a significant antifungal activity against *Aspergillus flavus* and *Candida albicans* whereas the compound (5f) showed the least activity against the fungal strain.

The examination of anticancer activity revealed that only three compounds (5b, 5e and 5f) have effects on the MCF-7 cell line of breast cancer. The compound (5e) has higher efficacy of suppression on MCF-7 cell line of breast cancer than the other compounds (5f) and (5b) respectively.