

Acknowledgements

I would like to express my deep thanks to Dr. Ahmed Elsadig Mohammed Saeed (my supervisor) for suggesting the idea of this work, his helpful advice and guidance during the course of the work.

I want to thank the following:

The staff of chemistry department in Sudan University of Science and Technology and offer my special thanks to Ustaz Abd Elkarim Mohammed for help.

Ustaz Mohammed Asia (Faculty of Education in Khartoum University) for his help in U.V and I.R. Spectroscopic analysis.

Dr Ahmed Sherief for his help in NMR Spectroscopic analysis.

Elsadig Hassan Khamis (Amipharm lab) for his help in IR spectroscopic analysis, Malik Suliman for helping in screening of antibiotic activity.

Deep thanks to go Ustaz Eltahir Mohammed Eltahir for typing this thesis.

Abstract

The pyrazoles and barbiturates are heterocyclic compounds containing two atoms of nitrogen. These compounds were reported to possess various biological activities such as anticancer, antimicrobial, antifungal, antipyretic, anticonvulsant, antidepressant and other nervous system affecting activities. Preparation, reactions, and properties of these compounds are dealt with in chapter one.

Twenty final compounds were prepared in this work, together with their corresponding intermediates. The appropriate retrosynthetic analysis of the compounds revealed certain possible route. This route required two steps, the reaction between aryl diazonium salts and diethylmalonate to form the intermediate aryl diazo diethyl malonate compound. The reaction between the intermediate compounds and hydrazine form pyrazoles the second reaction between urea or thiourea to form barbiturates and thiobarbiturates. The reaction course was followed by TLC and the products were identified by U.V, I.R, ¹H-NMR and MS.

The retrosynthetic analysis of the target compounds was discussed in chapter three together with suitable mechanisms for each different reaction. The spectral data were interpreted and discussed in the same chapter. Some of the intermediates and final compounds were tested for their antimicrobial activity. The compounds showed activity when tested in different concentration, against two strains of gram positive and gram negative bacteria. The standard organisms are *Staphylococcus aureus*, *Bacillus subtilis*, *Escherichia coli* and *Pseudomonas aeruginosa*.

□□□□□

البيرازولات والباربتورات هي من المركبات الحلقية الغير متجانسة وتحتوي كل منهما على ذرتي نتروجين في الحلقة. عرفت هذه المركبات بأن لها انشطه بيولوجيه، مضادات حيوية للميكروبات والفطريات ومضادات لضيق الأوعية الدموية ومسكنات وكمواد فعالة في الأنظمة العصبية، ومضادات للسرطان.

تم تحضير عشرين مركب نهائي و عدد من المركبات الوسيطة ضمن هذه الدراسة. عملية التخليق الضديدي أتبعت في هذه الدراسة ومنها تم التوصل إلى طريقتين للحصول على المركبات المستهدفة. الطريقة الأولى وتكون في خطوتين: تفاعل الأمين مع الداى إيثايل مونييت للحصول على المركبات الوسطى. تفاعل المركب الوسطى مع أحد الهيدرازينات في الخطوة الثانية لعطاء (البيرازولات).

الطريقة الثانية: تفاعل المركب الوسطى مع اليوريا أو الثيوريا لعطاء الباربيتورايت. هذه التفاعلات تم تتبعها بواسطة كروماتوغرافيا الطبقة الرقيقة وتم تحديد المركبات النهائية والوسطية بواسطة الأشعة فوق البنفسجية، الأشعة تحت الحمراء، طيف الرنين النووي المغنطيسي، طيف الكتلة.

عملية التخليق الضديدي التحليلي وميكانيكيات التفاعل تم مناقشتها بالتفصيل في الفصل الثاني، البيانات الطيفية تم مناقشتها في ذات الفصل. بعض المركبات الوسطية والنهائية المحضرة وجدت أنها ذات فعالية ضد الميكروبات.

اظهرت المركبات فعاليتها عند اختبارها ضد نوعين من الإستارين موجبة الجرم وسالبة الجرام من البكتريا في تراكيز مختلفة، وهي (*Pseudomonas aeruginosa*, *Staphylococcus aureus*, *Bacillus subtilis*, and *Escherichia coli*). كما اوضحت الدراسة ان بعض المركبات ذات فعاليتها عالية .

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List of abbreviations

Ch = Chloroform .

Pet = petroleum ether .

EtoAc = ethyl acetate .

St.Vib = Stretching vibration .

S = Singlet .

bs = board singlet .

m = multiplet .

t = triplet .

q = quartet .