



QUINOLONES SUBSTITUTED BY DIFFERENT MOIETIES

A Thesis Submitted

by

MOHAMED ABASS MOHAMED ABDEL-RAHMAN

B.Sc., Ed. (1988) & M.Sc. (1993)

Requirement for The Degree

of

Doctor of Philosophy

For The Teacher's Preparation In Science

(Organic Chemistry)

To

Chemistry Department
Faculty of Education
Ain Shams University
Cairo, Egypt

1996



بِسْمِ اللَّهِ الرَّحْمَنِ الرَّحِيمِ
 اللَّهُ نُورُ السَّمَوَاتِ
 وَالْأَرْضِ هُنَّ نُورُهُ كَمِشْكُوتٍ
 فِيهَا مِصْبَاحٌ الْفَصَاحُ فِي
 رُجَاةِ الرُّجَاةِ كَأَنَّمَا كَوْكَبٌ
 دُرِّيٌّ يُوقَدُ مِنْ شَجَرَةٍ مُبَارَكَةٍ
 زَيْتُونَةٍ لَا شَرْقِيَّةٍ وَلَا غَرْبِيَّةٍ يَكَادُ
 زَيْتُهَا يُضِيءُ وَلَوْ لَمْ تَمْسَسْهُ نَارُ نُورٍ
 عَلَى نُورٍ يَهْدِي اللَّهُ لِنُورِهِ مَن يَشَاءُ
 وَيَضْرِبُ اللَّهُ الْأَمْثَلَ لِلنَّاسِ وَاللَّهُ
 بِكُلِّ شَيْءٍ عَلِيمٌ

(الآية ٣٥ سورة النور)



Ain Shams University
Faculty of Education

APPROVAL

Degree : **Doctor of Philosophy in Science**
(For the teacher's preparation)
Title : **Quinolones Substituted by Different Moieties**
Candidate : **Mohamed Abass Mohamed Abdel-Rahman**

Approved

Prof. Dr. El-Hossain A. Mohamed

(Professor of Organic Chemistry, Faculty of Education, Ain Shams University)

Dr. Mostafa M. Ismail

(Lecturer of Organic Chemistry, Faculty of Education, Ain Shams University)

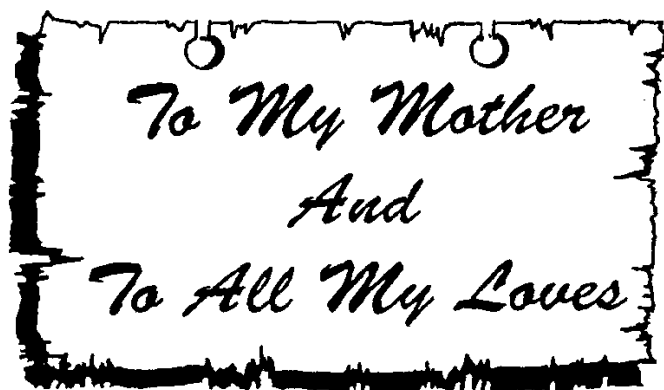
Cairo, Egypt

Date: / / 1996

Prof. Dr. Mohamed S. Abdel-Moez

Head of Chemistry Department

Faculty of Education, Ain Shams University



ACKNOWLEDGEMENT

The author wishes to express his sincere gratitude and indebtedness to his advisors Professor Dr. El-Hossain A. Mohamed, Professor of Organic Chemistry and Dr. Mostafa M. Ismail, Lecturer of Organic Chemistry, Faculty of Education, Ain Shams University, for their defining and directing this study and whose supervision, encouragement and involvement assured significant conclusions.

My special thanks are due to Dr. E.A. Mohamed who has the great aid in developing this work through continuous sincere guidance, valuable instructions and reviewing of the entire manuscript, making this thesis possible in the present form.

I'm particularly grateful to Dr. M. M. Ismail, who made many valuable and faithful helps.

I do much thanks to Prof. Mohamed Samir Abdel-Mooy Head of Chemistry Department, Faculty of Education Ain Shams University who introduced a great kind encouragement and facilities and to all members of the staff and colleagues in Chemistry Department.

M. Abass

Cairo 1996

Contents

ABSTRACT

SUMMARY OF THE ORIGINAL WORK(i-viii)

1 INTRODUCTION (1-37)

1.1 STRUCTURE OF 4-HYDROXY-2(1*H*)QUINOLONE 1

1.1.1 Tautomerism 2

1.1.2 Molecular Spectra 3

1.1.2.1 *IR Spectra* 3

1.1.2.2 *¹H NMR Spectra* 4

1.1.2.3 *¹³C NMR Spectra* 5

1.1.2.4 *UV Spectra* 7

1.2 IMPORTANCE AND APPLICATIONS OF 4-HYDROXY-2(1*H*)- QUINOLINONES 8

1.3 REACTIVITY AND REACTIONS OF 4-HYDROXY-2(1*H*)- QUINOLINONES 9

1.3.1 Alkylation 9

1.3.2 Acylation and Aroylation 14

1.3.3 Halogenation 19

1.3.3.1 *Chlorination* 19

1.3.3.2 *Bromination* 21

1.3.3.3 *Iodination* 23

1.3.3.4 *Fluorination* 23

1.3.4 Nitration 23

1.3.5 Sulphonation 24

1.3.6 Nitrosation 25

1.3.7 Coupling with Diazonium Salts 26

1.3.8	<u>Sulphurization</u>	26
1.3.8.1	<i>Reaction With Lawesson Reagent and P_4S_{10}</i>	26
1.3.8.2	<i>Reaction with Dimethylsulphoxide</i>	27
1.3.8.3	<i>Reaction with Thionyl chloride</i>	28
1.3.9	<u>Amination</u>	28
1.3.10	<u>Formation of Mannich Bases</u>	30
1.3.11	<u>Formation of Schiff Bases</u>	30
1.3.12	<u>Reaction with Aldehydes</u>	31
1.3.13	<u>Reaction with Schiff Bases</u>	32
1.3.14	<u>Addition Reaction with Unsaturated Compounds</u>	32
1.3.14.1	<i>Reaction with α-Naphthyl isothiocyanates</i>	32
1.3.14.2	<i>Reaction with α, β-Unsaturated Ketones</i>	32
1.3.15	<u>Reaction with Diethyl malonate</u>	33
1.3.16	<u>Reaction with Diethyl 2-oxosuccinate</u>	33
1.3.17	<u>Reaction with Diphenyl carbonate</u>	34
1.3.18	<u>Reaction with β-Ketoesters</u>	34
1.3.19	<u>Reaction with Ethylcyanoacetate</u>	34
1.3.20	<u>Reaction with Phenacyl cyanide</u>	35
1.3.21	<u>Reaction with Ethoxymethylenemalononitrile and Ethyl ethoxymethylenecyanoacetate</u>	35
1.3.22	<u>Reaction with 2-Aminothiophenol</u>	36
1.3.23	<u>Reaction with Catechol</u>	36
1.3.24	<u>Reaction with Benzoquinone</u>	36
1.3.25	<u>Oxidation</u>	36
1.3.25.1	<i>Oxidation Using Selenium dioxide</i>	36
1.3.25.2	<i>Oxidation Using Peroxides</i>	37
1.3.25.3	<i>Oxidation Using Chromic Acid</i>	37
1.3.25.4	<i>Oxidation Using Potassium Permanganate</i>	37

2	RESULTS AND DISCUSSION	(38 - 76)
2.1	REACTIONS WITH SOME ACYCLIC ACTIVE METHYLENE COMPOUNDS	38
2.2	REACTIONS WITH SOME CYCLIC ACTIVE METHYLENE COMPOUNDS	54
2.3	REACTIONS WITH SOME HYDRAZINE DERIVATIVES	65
3	EXPERIMENTAL	(77 - 91)
	REFERENCES	(93 - 100)
	ARABIC SUMMARY	

