Studies on Heterocyclic Ketones For Biological Evaluation

A Thesis

Submitted in Partial Fulfilment of The Requirments of M.Sc. Degree in Chemistry

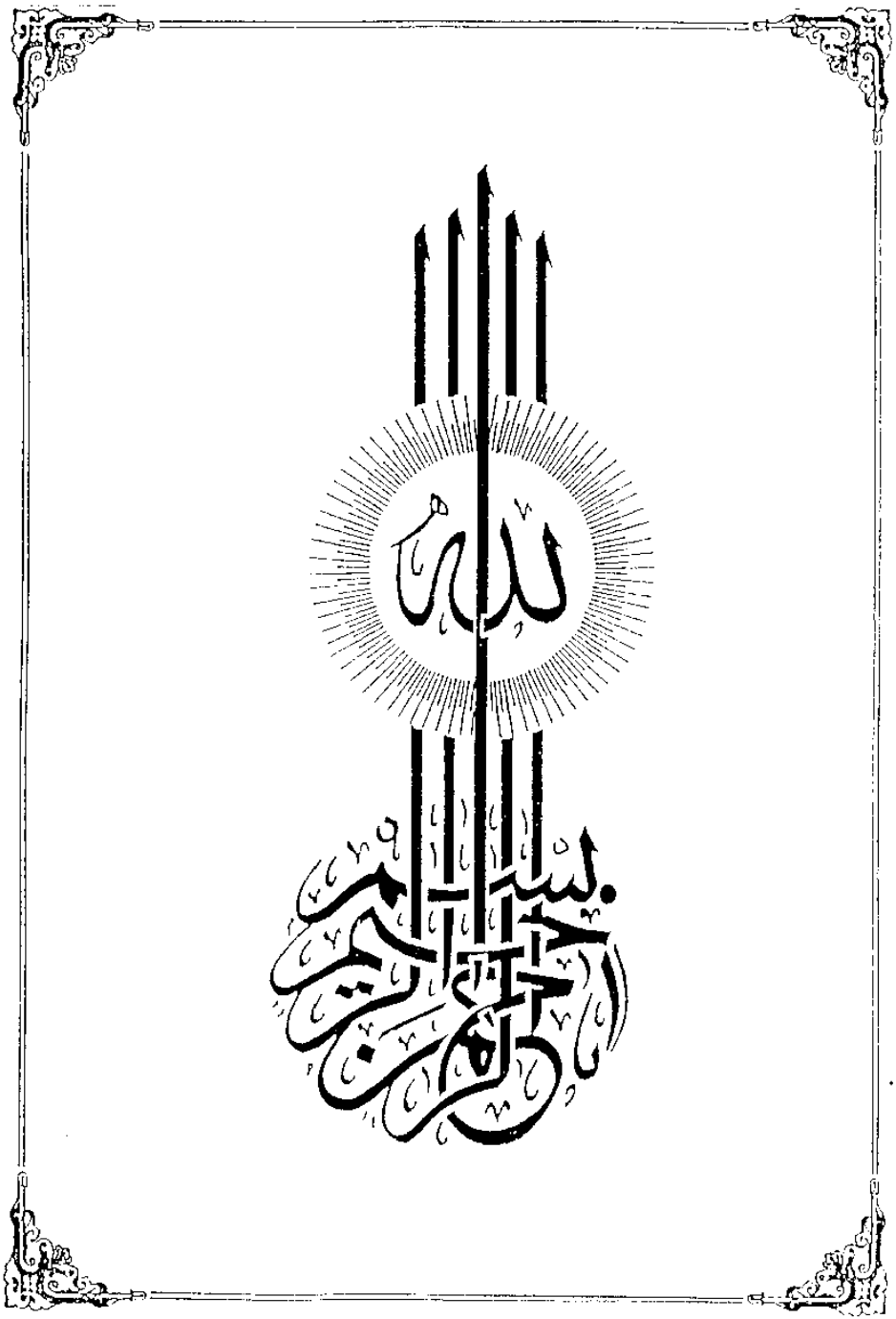
Presented By

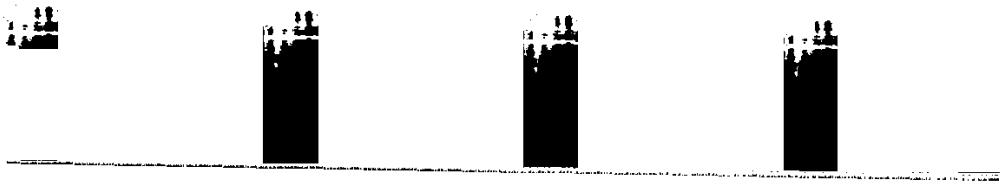
Ibrahim Shouyb Ali Mabrouk

(B. Sc)

Department of Chemistry
Faculty of Science
Ain Shams University
Cairo, Egypt

1996



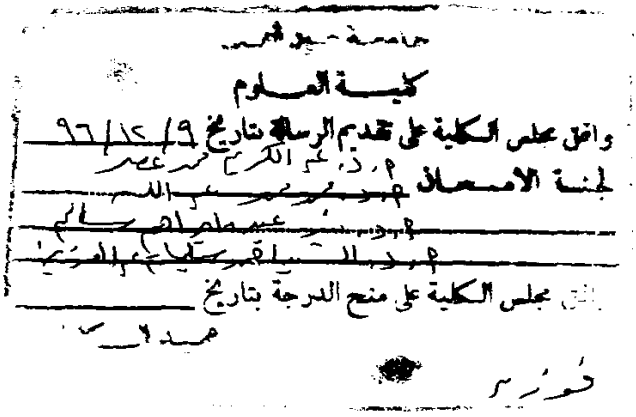


Studies on Heterocyclic Ketones for Biological Evaluation

A Theses
Submitted in Partial Fulfilment of the Requirments
M.Sc Degree in Chemistry

presented by
Ibrahim Shouyb Ali Mabrouk
B.Sc

Department of Chemistry
Faculty of Science
Ain Shams University
Cairo , Egypt
1996


جامعة عين شمس

كلية العلوم

وافق مجلس الكلية على تقديم الرسالة بتاريخ 9/12/96

لجنة الاشراف

أ.د. ابراهيم محمد عبد الكريم

أ.د. [illegible]

أ.د. [illegible]

أ.د. [illegible]

وافق مجلس الكلية على منح الدرجة بتاريخ [illegible]

[illegible]

Studies on Heterocyclic Ketones for Biological Evaluation

THESIS ADVISORS

Prof.Dr.M.A.I. Salem
Prof.Dr.E.A. Soliman
Dr.M.R.Mahmoud

THESIS APPROVED

M.A. Salem
E.A. Soliman
M.R. Mahmoud

Head of Chemistry Department
A.F.M. Fahmy
Prof.Dr.A.F.M.Famhmy

Studies on Heterocyclic Ketones For Biological Evaluation

THESIS ADVISORS

Prof. Dr. M.A.I. Salem
Prof. Dr. E.A. Soliman
Dr. M.R.Mahmoud

THESIS APPROVED

Head of Chemistry Department

Prof. Dr. A.F.M. Fahmy

NOTE

The candidate has attended courses for one year, covering the following topics :

(1) Reaction Mechanism.
(2) Pericyclic Reaction Mechanism.
(3) ^{1}H NMR.
(4) IR.
(5) UV.
(6) Mass Spectroscopy.
(7) Free Radical Reaction Mechanism.
(8) Heterocyclic Compounds.
(9) Organic Reactions.
(10) Natural Products.
(11) Polymers.
(12) Aromaticity.
(13) Photochemistry.
(14) Organometallic Compounds.
(15) Instrumental Analysis.
(16) English Language.

He has successfully passed a written examination in these courses, in partial fulfilment for the degree of Master of Science.

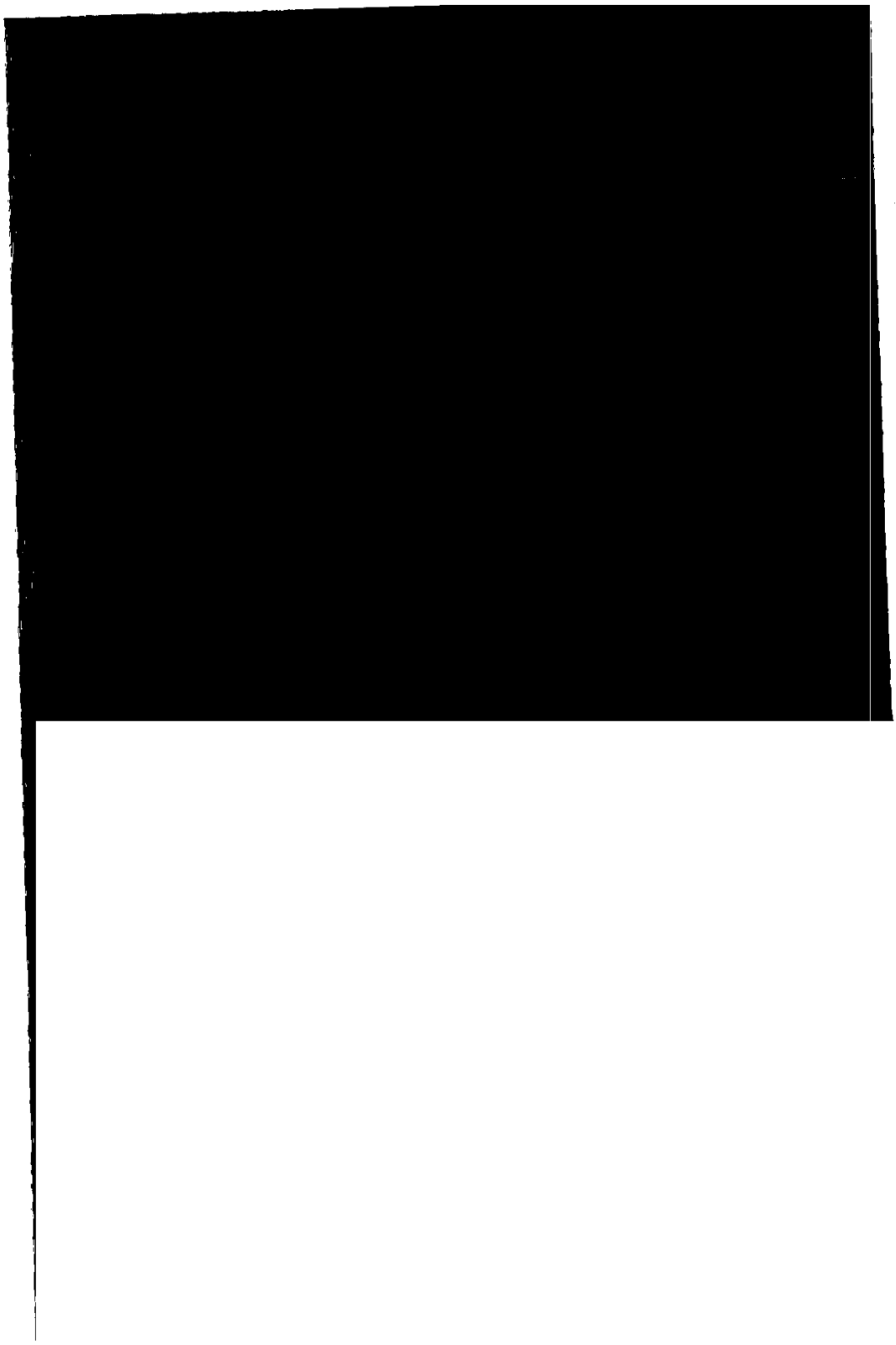
Head of Chemistry Department

Prof. Dr. A.F.M. Fahmy

To my mother

For her continuous inspiration

and encouragement

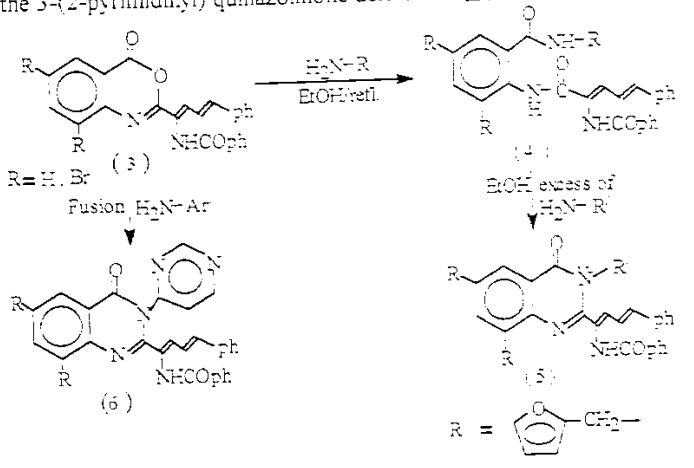


Summary

The present investigation deals with the synthesis of some new benzoxazinone and quinazolinone derivatives bearing a bulky moiety at position-2, in order to find out a role for the heterocyclic-2 moiety, on the stability of benzoxazinone nucleus and its reactivity towards some nucleophilic and electrophilic reagents.

The hitherto unknown 2-[1-benzoylamino-4-phenyl-1,3-butadienyl]-3,1-benzoxazin-4(H)one **3** was prepared via the reaction of oxazolone derivatives **1** with anthranilic acid and/or dibromoanthranilic acid in a boiling acetic acid to afford the anthranil **2** which cyclized under the influence of acetic anhydride to give **3**.

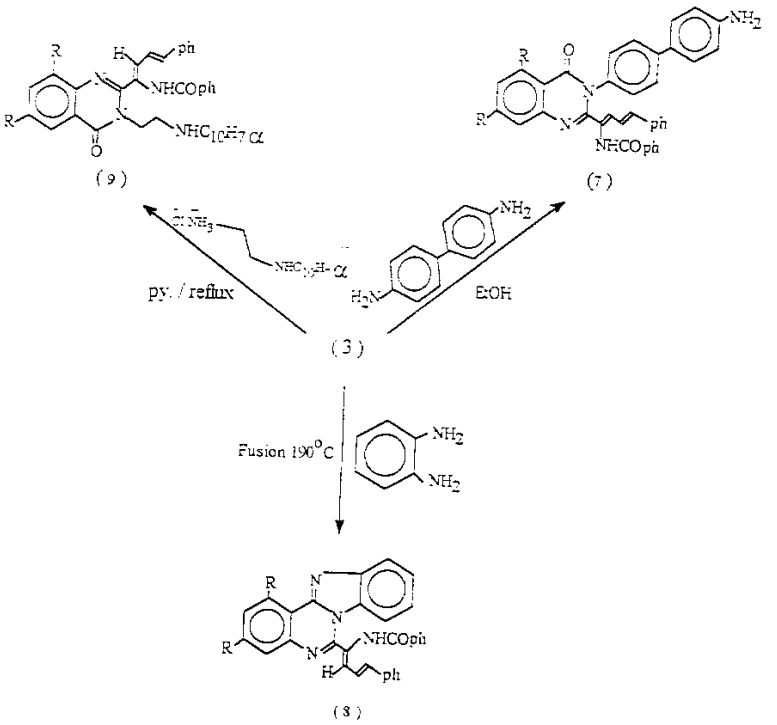
Reaction of **3** with primary amines such as furfuryl amine in moler ratio yielded **4**, addition of few drops of furfuryl amine to the ethanolic suspension of **4** affected cyclization readily to give **5**. On the other hand, fusion of **3** with 2-amino pyrimidine yielded the 3-(2-pyrimidiryl) quinazolinone derivatives **6** (Scheme1).



3 a) R= H **4** and **5** **6** R= H
b) R= Br b) R= Br

(Scheme 1)

Treatment of **3** with diamine such as benzidine, o-phenylene diamine and/or N-(α-naphthyl)ethylene diamine hydrochlorid afforded 7,**8** and **9** respectively (Scheme 2).



7,8 and 9

a) R = H

B) R = Br

(Scheme 2)