سامية محمد مصطفى



شبكة المعلومات الحامعية

بسم الله الرحمن الرحيم



-Caro-

سامية محمد مصطفي



شبكة العلومات الحامعية



شبكة المعلومات الجامعية التوثيق الالكتروني والميكروفيلم





سامية محمد مصطفى

شبكة المعلومات الجامعية

جامعة عين شمس

التوثيق الإلكتروني والميكروفيلم

قسو

نقسم بالله العظيم أن المادة التي تم توثيقها وتسجيلها علي هذه الأقراص المدمجة قد أعدت دون أية تغيرات



يجب أن

تحفظ هذه الأقراص المدمجة يعيدا عن الغيار



سامية محمد مصطفي



شبكة المعلومات الجامعية



المسلمة عين شعور المسلمة عين شعور المسلمة عين شعور المسلمة عين شعور المسلمة ا

سامية محمد مصطفى

شبكة المعلومات الحامعية



بالرسالة صفحات لم ترد بالأصل





SYNTHESIS OF SOME SUBSTITUTED 1,2,4-TRIAZOLO [1,5-a] PYRIMIDINES OF POTENTIAL BIOLOGICAL ACTIVITY

A thesis

Submitted in partial fulfillment of the requirements for the master degree of pharmaceutical Science (Pharmaceutical Medicinal Chemistry)

By

Salah Abd El Muttalib Abd El Aziz

B. Pharm. Sci., Al Azhar University Cairo, 1996

Supervisors

Prof. Dr. Farghaly A. Omar

Prof. of Pharm. Med. Chem. and Vice dean, Faculty of Pharmacy, Assiut University

Dr. Nawal A. El-Koussi

Dr. Mohammed F. Radwan

Associate Prof. of Pharm. Med. Chem., Faculty of Pharmacy Assiut University Lecturer of Pharm. Med. Chem Faculty of Pharmacy, El- Minia University

Assiut University

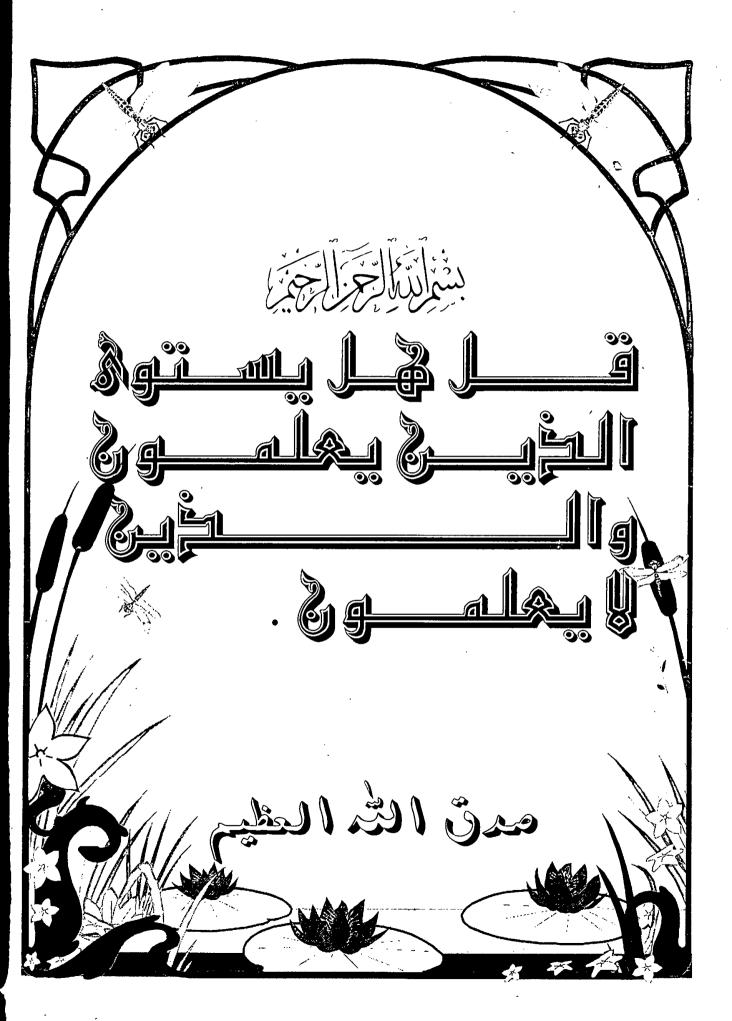
(2002)

B 17.90



他成的是少维的

本位,1位10年的中国的特殊的,1000年的1000年的1000年的1000年的1000年的1000年的1000年的1000年的1000年的1000年的1000年的1000年的1000年的1000年的



Approval Sheet

Committee in charge

Prof. Dr. Mahmoud A. El-Gendy

Prof. Dr. Hassanin H. Hassanin

Prof. Dr. Fargaly A. Omar

Dr. Nawal A. El-Koussi

Dr. Mohammed F. Radwan

Date 27/8/2002

M.A. SIGENSY L'OMM.
Nowal EL Konss,

TO

MY PARENTS AND MY TEACHERS

ACKNOWLEDGMENT

Praise be to Allah, the Benevolent, the Bounteous of his Guidnance to perform this work.

It is a pleasure to express my sincere appreciation and deep gratitude to Prof. Dr. Farghaly A. Omar, Professor of Pharmaceutical Medicinal Chemistry, Assiut University for proper choice, planning of the research project and for his kind continuous encouragement and unfailing advice throughout this investigation and finally for revising the text.

I am deeply indebted to Dr. Nawal A. El-Koussi, Associate Professor of Pharmaceutical Medicinal Chemistry, Assiut University for proper choice, generous help, direct kind supervision, continuous encouragement during the course of this work and revising of the text.

I am deeply indebted to Dr. Mohammad F. Radwan, Lecturer of Pharmaceutical Medicinal Chemistry, El-Minia University for his kind continuous encouragement during the course of this work.

My cordial gratitude to all the members of Pharmaceutical Medicinal Chemistry Department, Faculty of Pharmacy, Assiut University; for their kind hospitality, support and co-operation.

I am much indebted to all the members of Pharmaceutical Medicinal Chemistry Department, Faculty of Pharmacy, Al-Azhar University at Assiut; for their generous help.

My sincere appreciation and everlasting thanks to Prof. Dr. Ahmad M. Moharem, Professor of Mycology, Faculty of Science, Assiut University; for performing the antibacterial biological screening.

CONTENTS

		page
	Contents	i
	Lists of figures	iv
	Lists of tables	V
	Lists of schemes	vi
Part I	Introduction	1
1.1	The Quinolone antibacterials and their related compounds	4
1.2	Triazolopyrimidines with chemotherapeutic activities	12
1.2.1	Antibacterial and antifungal activity	12
1.2.2	Antiprotozoal and Leishmanicidal activity	14
1.2.3	Antiviral activity	15
1.2.4	Antitumor activity	16
1.3	Pharmacologically active triazolopyrimidines	18
1.3.1	Potential Cardiovascular agents	18
1.3.2	Antihistaminic and bronchospasmolytic activity	23
1.3.3	Analgesic activity	25
1.3.4	Centrally acting triazolopyrimidine derivatives	26
1.3.5	Calcium metabolism disturbance	28
136	Gastric acid secretion inhibitors	29

į

Ť

19

1.3.7	Hypoglycemic activity	30
Part II	Scope of Investigation	31
Part III:	Results and Discussion	35
3.1	Structural and spectroscopic characteristic of 1,2,4-triazolo[1,5-a] pyrimidines	36
3.2	Synthesis of 5,7-disubstituted-1,2,4-triazolo[1,5-a] pyrimidine	38
3.2.1	Reaction of aminotriazoles with 1,3 diketones	38
3.2.2	Reaction of 3(5)-amino 1,2,4-triazole with α , β -unsaturated ketones	39
3.2.3	Reaction of aminotriazole with β -keto esters	51
3.2.4	Reaction of heterocyclic β -enamino esters with cyclic amidines	52
3.2.5	Reaction of vinylogous iminium salts with aminotriazoles	52
3.2.6	The reaction of ortho esters with diamino 4(3H) pyrimidinone.	53
3.2.7	Reaction of 1-amino-pyrimidines	54
3.2.8	Reaction of 2-aminopyrimidines	54
3.3	Synthesis of 5-amino-3-(pyridyl)-1, 2, 4-triazoles	55
3.4	Synthesis of 1,3-disubstituted phenyl-2-propen-1-one (chalchone derivatives).	55
3.5	The spectroscopic characteristic of the synthesized 1,2,4-triazolo[1,5-a]pyrimidines (V-VII)a-m.	56