

بسم الله الرحمن الرحيم





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Cairo University



Faculty of Science

Department of Chemistry

SYNTHESIS AND STUDIES OF SOME CONDENSED PYRIDONE DERIVATIVES

A Thesis

**Submitted in Partial Fulfillment of the Requirements for
the Master Degree of Science in Chemistry**

By

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Ghada M. Mahmoud

APPROVAL SHEET FOR SUMISSION

Title of the M. Sc. Thesis: **SYNTHESIS AND STUDIES OF SOME
CONDENSED HETEROCYCLIC COMPOUNDS**

Name of Candidate: **GHADA MOHAMED MAHMOUD**

This thesis has been approved for submission by supervisors:

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ABSTRACT

Title of Thesis : SYNTHESIS AND STUDIES OF SOME
CONDENSED HETEROCYCLIC COMPOUNDS

Name of Candidate: GHADA MOHAMED MAHMOUD

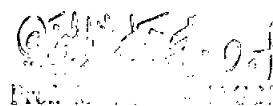
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This work has been carried out to investigate the utility of 1,6-diamino-3,5-dicyano-4-methylpyridin-2-one for the synthesis of a variety of new heterocyclic systems such as 1,6-diamino-3,5-dicyano-4-(arylhydrazonomethine)pyridin-2-one, 2,3-di-amino-1,2,11,12-tetrahydro-1,12-dioxo-pyrido[3',4': 5',4']pyridazino[3,2-*b*]quinazoline-4-carbonitrile, 2,3,8-triamino-6-aryl-7-thioamideiso-quinolin-1-one, 2,3,8-triamino-4,7-dicyano-6-arylisoquinolin-1-one, 2,3,8-triamino-4-cyano-7-ethoxycarbonyl-6-arylisoquinolin-1-one, 2,3-diamino-4,7,7-tricyano-5,6,7-trihydro-8-imino-6-methyl-6-phenylisoquinolin-1-one, 2,3-diamino-4,6,7,7-tetracyano-8-iminoisoquinolin-1-one, 2,3,8-triamino-4-cyano-6-thiocarbonyl-7-arylpyrido[3,4-*c*]pyridin-2-one, 1,5-diamino-7-cyano-8-methylpyrido[2,3-*d*]pyrimidin-6-one, 5-amino-7-cyano-1-hydroxy-8-methylpyrido[2,3-*d*]pyrimidin-6-one, 4,6-dicyano-1,2,3-trihydro-5-methyl-2,7-dioxotriazolo[2,3-*a*]pyridine, 4,6-dicyano-5-methyl-7-oxotriazolo[2,3-*a*]pyridine, 4,6,7-triamino-1,2,3,4,5,6-hexahydro-1,3,5-trioxo-2-phen-ylpyrrolo[3,4-*e*]isoquinoline-8-carbonitrile, 2-amino-4,6-dicyano-5-methyl-7-oxotriazolo[2,3-*a*]pyridine, 2,3,7-triamino-4-cyano-1-oxothieno[3,4-*c*]pyridine derivatives of potential biological activity.

Key Words: Pyridin-2-one, isoquinolinone, pyridopyridazinoquinazoline, pyridopyridinone, triazolopyridine, pyrroloisoquinoline, thienopyridine.

SUPERVISORS

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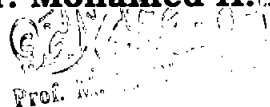
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Besides the work carried out in this thesis, the *candidate*: **Ghada Mohamed Mahmoud** has attended post-graduate courses during the academic year **1992-1993** in the following topics:

- Advanced physical Organic Chemistry
- Carbohydrate chemistry
- Designing Organic Chemistry
- Natural Product Chemistry
- Biochemistry
- Applied Organic Spectroscopy
- Heterocyclic Chemistry
- Pericyclic Reactions
- Organic Microanalysis
- Selected Topics.
- Quantum Chemistry
- Techniques of Molecular Structure Determination
- New Trends in Analytical Chemistry
- Mathematics
- German Language
- Polymer Chemistry
- Organic Photochemistry

She has passed successfully an examination in the above mentioned topics.

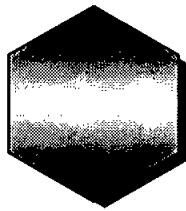
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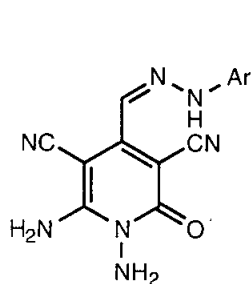
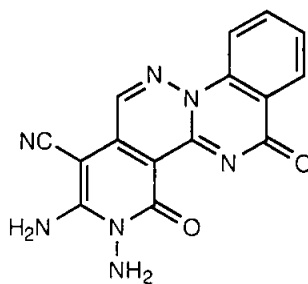
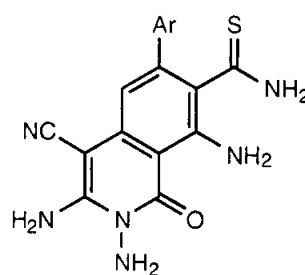
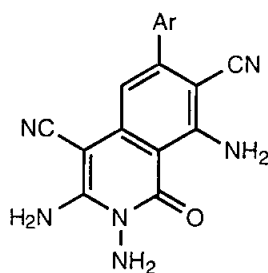
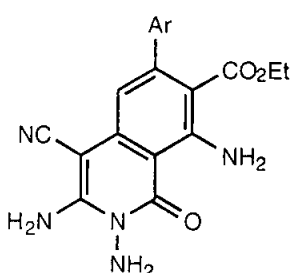
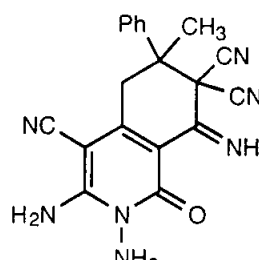
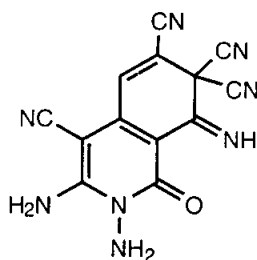
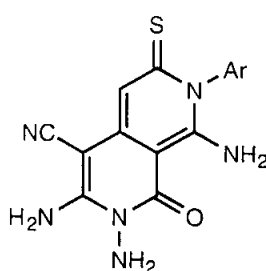
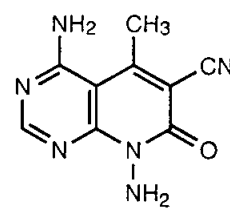
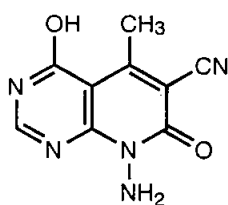
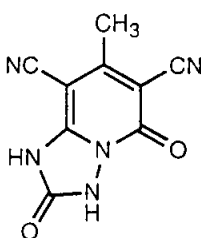
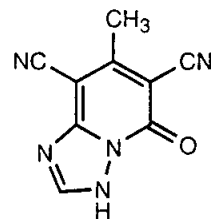
Aim of the Work

AIM OF THE PRESENT WORK

A number of pyridones has demonstrated medicinal activity. Several derivatives are used as antiparasitic agent¹ and as X-ray contrast media.² Several derivatives of pyridone were proved to be useful as a biochemical modulator of 5-fluorouracil.³ Pyridines are useful intermediates for many pharmaceutical products. Several pyridine derivatives are used for the treatment of osteoporosis, collagen diseases, bursitis⁴ and as analgesics⁵ and for treatment of muscular rheumatism. Pyridone derivatives are also used as herbicides, which are highly safe for crops.^{6,7}

In continuation of our interest in the synthesis of a variety of heterocyclic compounds from the readily available inexpensive starting materials for biological screening program.⁸⁻¹⁷ The present work was undertaken to synthesize several new heterocyclic systems such as 1,6-diamino-3,5-dicyano-4-(arylhydrazonomethylene)pyridin-2-ones (**13a-d**), 2,3-diamino-1,2,12-trihydro-1,12-dioxypyrido[3',4':5',4']pyridazino[3,2-*b*]quinazoline-4-carbonitrile (**17**), 2,3,8-triamino-6-aryl-7-thioamideisoquinolin-1-ones (**20a-d**), 2,3,8-triamino-4,7-dicyano-6-arylisoquinolin-1-ones (**24a-d**), 2,3,8-triamino-4-cyano-7-ethoxycarbonyl-6-arylisoquinolin-1-ones (**27a-e**), 2,3-diamino-4,7,7-tricyano-5,6,7-trihydro-8-imino-6-methyl-6-phenylisoquinolin-1-one (**30**), 2,3-diamino-4,6,7,7-tetracyano-7,8-dihydro-8-iminoisoquinolin-1-one (**33**), 2,3,8-triamino-4-cyano-6-thiocarbonyl-7-arylpyrido[3,4-*c*]pyridin-2-ones (**37a-e**), 1,5-diamino-7-cyano-8-methylpyrido[2,3-*d*]pyrimidin-6-one (**40**), 5-amino-7-cyano-1-hydroxy-8-methylpyrido[2,3-*d*]pyrimidin-6-one (**43**), 4,6-dicyano-1,2,3-trihydro-5-methyl-2,7-dioxo-

triazolo[2,3-*a*]pyridine (**45**), 4,6-dicyano-5-methyl-7-oxotriazolo[2,3-*a*]pyridine (**47b**), 4,6,7-triamino-1,2,3,5,6-pentahydro-1,3,5-trioxo-2-phenylpyrrolo[3,4-*e*]isoquinoline-8-carbonitrile (**51**), 2-amino-4,6-dicyano-5-methyl-7-oxotriazolo[2,3-*a*]pyridine (**55b**) and 2,3,7-triamino-4-cyano-1-oxothieno[3,4-*c*]pyridine (**57**), starting from 1,6-diamino-3,5-dicyano-4-methylpyridin-2-one (**10**).


13a-d

17

20a-d

24a-d

27a-d

30

33

37a-e

40

43

45

47b

