

Synthesis of some Heterocyclic compounds containing non-mixed and mixed Systems of Potential Biological Activity.

By

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(M.Sc. Degree)

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CHEMISTRY

Under the supervision of

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Dr. Magda Ismail Marzouk

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Dr. Soheir Shaker



Chemistry Department

Faculty of Science

Ain Shams University

2016

بِسْمِ اللَّهِ الرَّحْمَنِ الرَّحِيمِ

سبحانك لا علم لنا

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إنك أنتَ العليم الحكيم



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The auther would like to express her sincere

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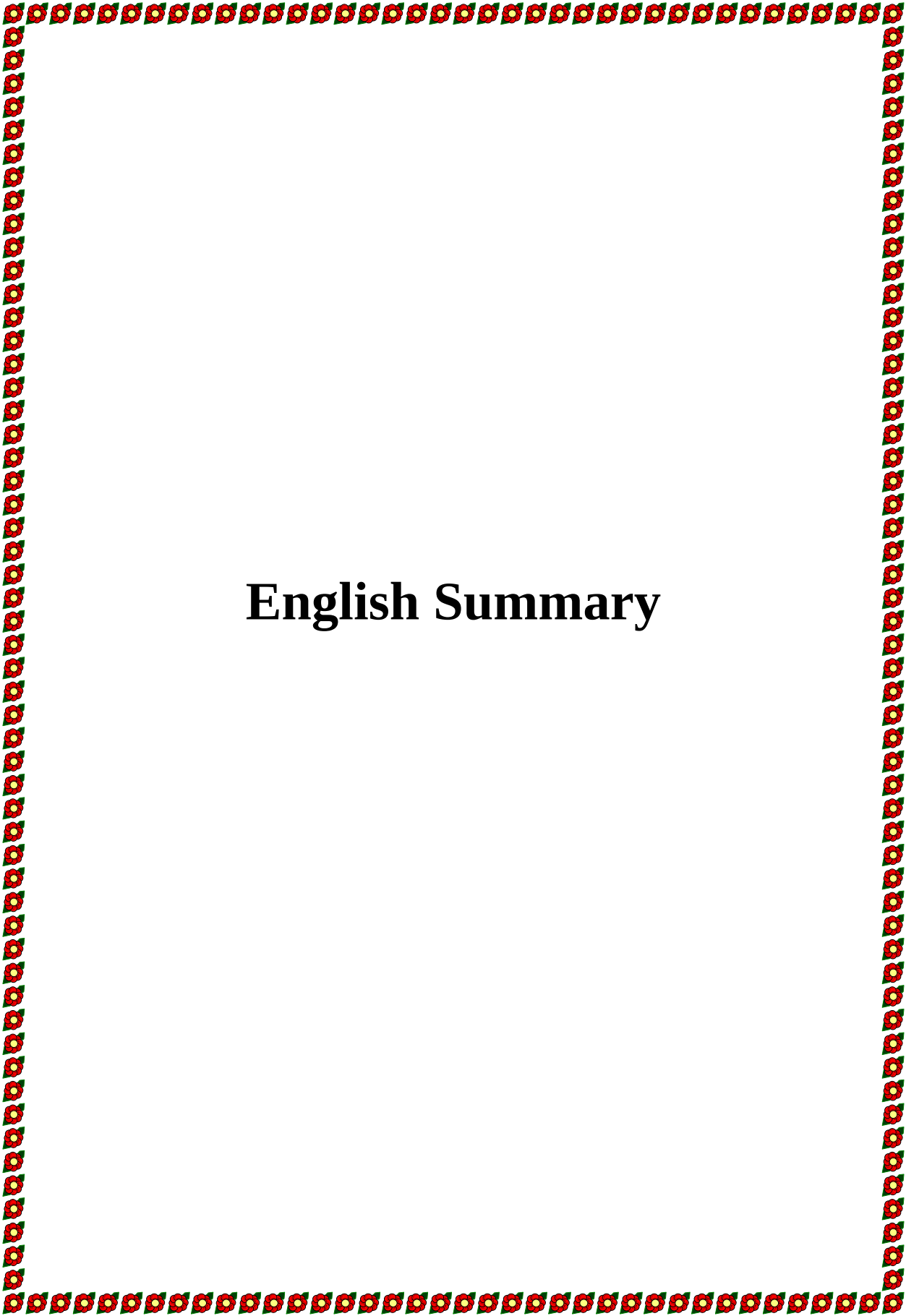
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Contents

	Page No.
Summary	
Introduction	1-66
Results and Discussion	67-159
Spectral Figures	160-412
Experimental Section	413-439
References	440-471
Arabic Summary	



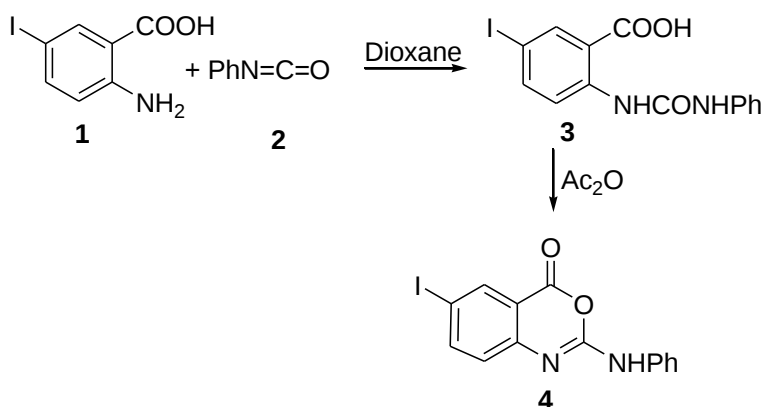
English Summary

Summary

The thesis includes three Parts :

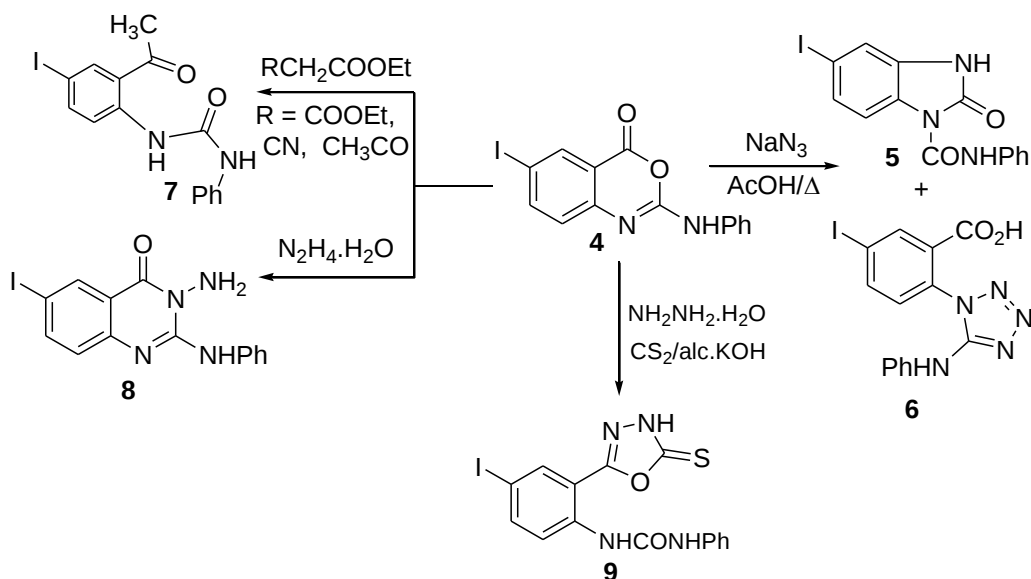
Part I & II: The Reactivity and uses of 6-iodo-2-(phenylamino)-4H-benzo[d][1,3]oxazin-4-one in the synthesis of quinazolinones

1) 6-Iodo-2-(phenylamino)-4H-benzo[d][1,3]oxazin-4-one **4** was synthesized *via* the reaction of 5-iodo anthranilic acid **1** with phenyl isocyanate **2** followed by refluxing with acetic anhydride.



Scheme 1

2) The benzoxazinone derivative **4** reacted with sodium azide, ethyl acetoacetate, ethyl cyanoacetate, malonitrile, hydrazine hydrate, hydrazine hydrate in presence of carbon disulphide and potassium hydroxide in boiling ethanol to give *N*-(6-Iodo-4-oxo-2-(phenylamino)quinazolin-3(4*H*)-yl)benzamide **5**, *N'*-(6-Iodo-4-oxo-2 (phenylamino)-2,4-dihydro-1*H*-benzo[d][1,3]-oxazin-2yl)benzohydrazide **6**, 1-(2-acetyl-4-iodophen-yl)-3-phenylurea **7**, 3-Amino-6-iodo-2-(phenylamino)quinazolin-4(3-*H*)-one **8**, 1-(4-iodo-2-(5-thioxo-4,5-dihydro-1,3,4-oxadiazol-2-yl)phenyl)-3-phenylurea **9** respectively.

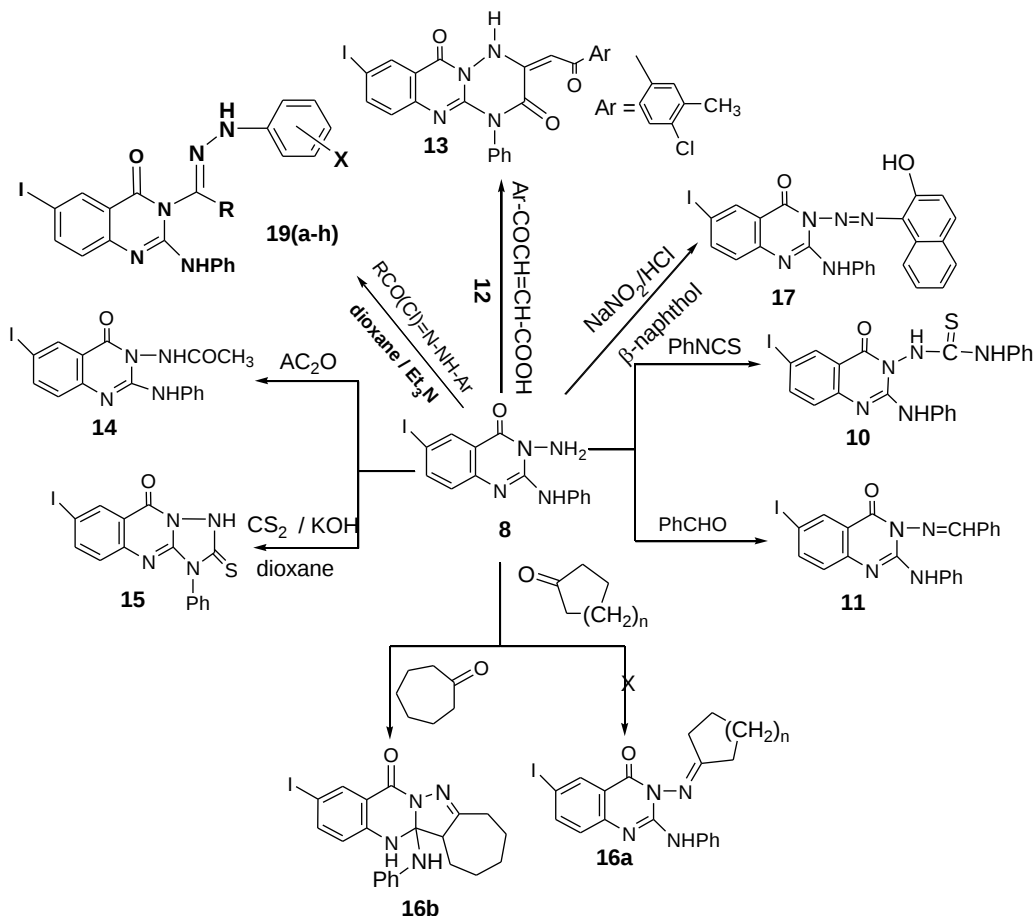


Scheme 2

Part III novel quinazolin-4(3H)-one derivatives were synthesized by the reaction of hydrazonoyl chlorides with each of 6-iodo-2-phenylamino-3H-quinazolin-4-one and 3-amino-6-iodo-2-phenylamino-3H-quinazolin-4-one:

3) Amino quinazolinone reacted with phenyl isothiocyanate, benzaldehyde and β -aroyl acrylic acid, acetic anhydride, carbon disulphide, cycloheptanone, sodium nitrite in presence of hydrochloric acid and hydrazonoyl chloride to afford 1-(6-iodo-4-oxo-2-(phenylamino)quinazolin-3(4H)-yl)-3-phenylthiourea **10**, 3-(benzylideneamino)-6-iodo-2-(phenylamino)quinazolin-4(3H)-one **11**, 2-(2-(4-chloro-3-methylphenyl)-2-oxoethylidene)-8-iodo-4-phenyl-1H-[1,2,4]triazino(3,2-b)quinazoline-3,10(2H,4H)-dione **13**, N-(6-iodo-4-oxo-2-(phenylamino)quinazolin-3(4H)-yl)acetamide **14**, 7-iodo-3-phenyl-2-thioxo-2,3-dihydro-[1,2,4]triazolo[5,1-b]quinazolin-9(1H)-one **15**, 3,4-cycloheptanopyrazole derivative **16**, 3-((2-

hydroxynaphthalen-1-yl)diazenyl)-6-iodo-2-(phenylamino)-quinazolin-4(3*H*)-one **17** and quinazolin-4(3*H*)-one derivatives **19** respectively.



Scheme 3

4) benzoxazinone react with *p*-toluidine, *o*-Phenylene diamine, benzylamine and benzoyl hydrazide in boiling ethanol to afford 6-Iodo-2-(phenylamino)-3-*p*-tolylquinazolin-4(3*H*)-one **21a**, 3-(2-aminophenyl)-6-iodo-2-(phenylamino)quinazolin-4(3*H*)-one **21b**, 1-(2-(benzylcarbamoyl)-4-iodophenyl)-3-phenylurea **22**, *N*-(6-Iodo-4-oxo-2-(phenylamino)-quinazolin-3(4*H*)-yl)benzamide **23** and *N'*-(6-