



Ain Shams University
Faculty of Science
Chemistry Department

SYNTHESIS OF TARGET HETEROCYCLIC COMPOUNDS CONTAINING NITROGEN ATOM WITH EXPECTED BIOLOGICAL ACTIVITY

**A Thesis Submitted for the degree of Master of Science as
a Partial fulfillment for requirements of the master of
Science**

In
(Organic Chemistry)

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To
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(2020)**



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Approval Sheet

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**Submitted for M.Sc. Degree of Science in Chemistry to
Chemistry department, Faculty of Science,
Ain Shams University**

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Arabic abstract

Arabic Summary

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Youssef Magdy Youssef

ABSTRACT

Name: *Youssef Magdy Youssef*

Title of thesis: *Synthesis of Target Heterocyclic Compounds Containing Nitrogen Atom with Expected Biological Activity.*

The original work of this thesis can be classified into two parts:

1st part: Benzoxazinone **1** was prepared via a cyclization of 5-bromo-2-hexanamidobenzoic acid in acetic anhydride. Benzoxazinone **1** was treated with 1-naphthyl amine, 2-amino thiophenol, o-phenylene diamine, thio semicarbazide, ethanol amine, hydrazine hydrate and formamide, to afford the quinazolinone derivatives **2-8**, respectively. Meanwhile, compound **7** was allowed to react with phosphorous pentasulphide, carbon disulphide, phenyl isocyanate, phenyl isothiocyanate, acetic acid, acetic anhydride, benzoyl chloride, ethyl chloroacetate, diethyl malonate and triethyl orthoformate, afforded compounds **9-17**, respectively. Treatment of compound **7** with benzoxazinone **1** and phthalic anhydride to form quinazolinone derivatives **18 & 19**, respectively. Likewise, condensation of compound **7** with different aldehydes gave products **20a-e**. Also, reaction of **7** with arylidine malononitrile gave Schiff's base **21**. The treatment of Schiff's base with methyl thioglycolate and thiophenol produced products **22 & 23**. The reaction of quinazolinone **8** with phosphorus pentasulphide and ethyl chloroacetate yielded the derivatives **24 & 25**, respectively. The treatment of **25** with sodium hydroxide, p-nitro aniline and hydrazine hydrate, produced products **26-28**, respectively. The reaction of the hydrazide **28** with p-anisaldehyde and phthalic anhydride

gave products **29 & 30**, respectively. On the other hand, compound **28** was allowed to react with thioglycolic acid, ethyl acetoacetate, acetyl acetone, ethyl cyanoacetate and diethyl malonate to produce compounds **31-35**, respectively. Also, hydrazide **28** was treated with carbon disulphide, phenyl isothiocyanate and ethyl chloroformate to afford the derivatives **36-38**, respectively. The newly synthesized compounds were characterized by IR, ^1H NMR, ^{13}C NMR and Mass spectra.

2nd part: Some of the newly synthesized compounds have been evaluated *in vitro* as insecticidal agents against two kinds of insects named *Mythimna separata* and *Nilaparvata lugens*.

Keywords: benzoxazin-4-one, quinazolin-4-one, quinazoline-4-thione, insecticidal potency.

SUMMARY

The starting benzoxazinone **1** was prepared *via* cyclization of 5-bromo-2-hexanamidobenzoic acid by using acetic anhydride as dehydrating agent. The synthesis was performed using both conventional (grinding, refluxing) and microwave methods.

Benzoxazinone **1** reacted with 1-naphthyl amine, 2-amino thiophenol, o-phenylene diamine and thiosemicarbazide to afford compounds **2-5**, respectively, (**Scheme 1**).

