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Studies With Functionally Substituted N-Alkylheteroaromatics: Novel Synthesis of Azoles, Pyridazinones and Pyridines

A THESIS SUMBITTED

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For
The Ph. D. Degree
(Organic Chemistry)

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Title of [Ph.D.] thesis:

"Studies with functionally substituted N-alkylheteroaromatics:

Novel synthesis of Azyles, pyridazines and pyridines".

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ABSTRACT

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Title of thesis:

Studies with functionally substituted N- Alkylheteroaromatics: Novel synthesis of Azyles, Pyridazinones and Pyridines

Degree:

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This work has been carried out to investigate the:

Reactivity of benzotriazolylacetone toward a variety of carbon and nitrogen electrophiles and synthesis of novel azolylbenzotriazoles as well as benzotriazolylcinnolines and enaminonitriles for synthesis of condensed heterocycles.

Key words:

Benzotrizolylacetone, N, N-Dimethylformamide dimethylacetal, azolylbenzotriazolyl, benzotriazolyl cinnolines, enaminones, aminothiphene carbonitrile.

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CONTENTS

Contents

	Page
English Summary	i
Chapter 1: Literature Survey	!
1. Recent Development in The Chemistry of α-Enones.	1
1.1. Introduction	1
1.2. Chemical Reactivity.	2
1.2.1. Reactions with nitrogen-electrophiles.	2-2-3-5-7
1.2.2. Reactions with halogen-electrophiles.	3
1.2.3 Reactions with carbon-electrophiles.	\$
1.2.4 Reactions with Nitrogen-nucleophiles.	7
1.2.5. Reactions with halogen nucleophile.	12
1.2.6. Reactions with carbon-nucleophiles.	12
1.2.7. Cycloaddition reactions.	16
1.2.8. Oxidation reactions.	20
1.2.9. Reduction reactions.	23
1.2.10. Isomerization reactions.	29
1.3. Methods of preparation.	3 1
1.3.1 Introduction.	31
1.3.2 Condensation of aldehydes and ketones with methyl	31
and methylene ketones.	!
1.3.3 Acylation of terminal acetylenes.	36
1.3.4 Dehydrohalogenation of vic dibromides and other	37
elimination from β-substituted ketones	Ì
1.3.5 Adding of Heteronucleophile to β-unsaturated	4 1
alkynones.	
Chapter 2: Result and Discussion	
Part I	42
Part II	57
Chapter 3: Experimental	62
Figures	76
References	111
Arabic Summary	:

ENGLISH SUMMARY

Part I

In this thesis we report on the reactivity of alkylbenzotriazole acetone derivative toward nitrogen and carbon electrophiles.

Thus benzotriazole acetone 1a coupled with benzene diazonium chloride yielding the corresponding phenylhydrazone derivative (2) that cyclized to the cinnoline derivative (3) under basic condition.

The reaction of benzotriazole acetone 1a toward a variety of carbon electrophile has been also investigated with the aim of preparing novel functionally substituted heteroaromatics.

Thus treatment of 1a with phenylisothiocyanate in a basic medium and subsequent treatment of the formed adduct (4) in situ with phenacylbromide afforded the benzothiophene derivatives (5).

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while treatment of 1b with the same reagent under similar conditions the thiazole derivative 7 is obtained.

Compound 1a condensed with dimethyldiformamide acetal yielding the enaminone 8 that reacted with phenyl hydrazine to yield the pyrazole derivative 9. isomeric pyrazole derivative was obtained via initial condesation of 1a with phenyl hydrazine and subsequent treatment of the hydrazone (10) with dimethyldiformamide acetal.

Compound 1a reacted with hippuric acid in refluxing acetic anhydride to yield the pyranone 11 which is an extension of the Kepe-2H-pyranone synthesis [147].