بسم الله الرحمن الرحيم

HOSSAM MAGHRABY

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قسم

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بالرسالة صفحات

لم ترد بالأصل

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SYNTHETIC STUDIES AND REACTIONS OF SOME HETEROCYCLIC COMPOUNDS

A THESIS

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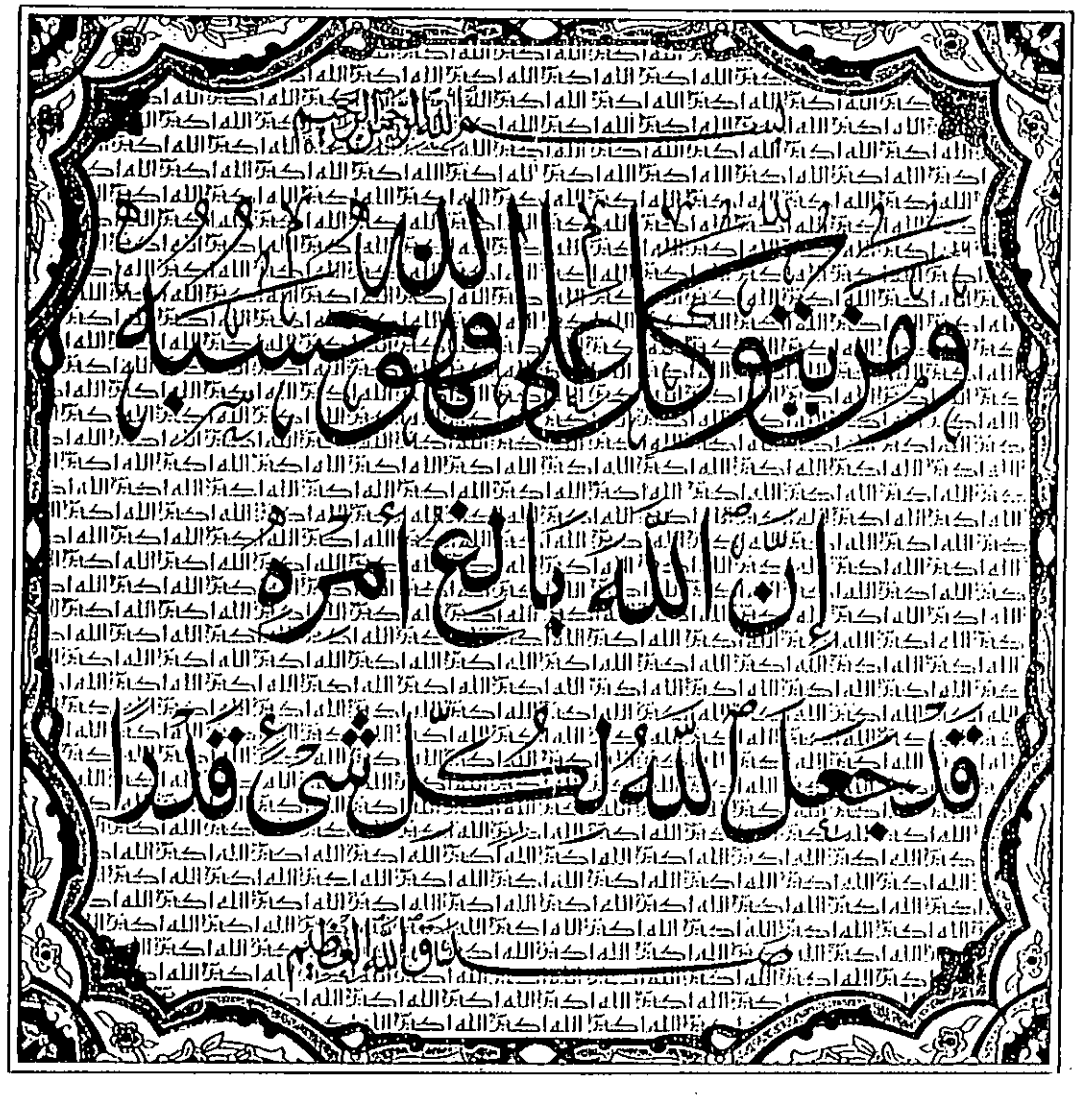
ومن يتوكل على الله فهو حسبه
إن الله بالغ أمره
قد جعل الله لكل شيء قدرا

To :

MY PARENTS

AND

MY BROTHER ..... KHALED

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"I do thank **ALLAH** for all gifts endowed me "

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SUMMARY

SUMMARY

Pyrazolone and isatin compounds show a great importance due to their wide applications for industrial uses and biological fields. In this work, we aimed to synthesis some new heterocyclic compounds from pyrazolone and isatin series hopping that such new compounds will have certain biological effects or other applied uses.

The thesis is divided into three chapters : -

CHAPTER I :

This chapter includes the literature survey on the reactions of isatin with pyrazolones, the synthesis of isatylidenes and the synthesis and reactions of pyrazolone blue..

CHAPTER II : -

This chapter is concerned with the discussion of the experimental results obtained in this work. The starting material, pyrazolidineindolone derivative (78) was synthesised by condensing of 2H-1-phenylpyrazolidine-3,5-dione (77) with isatin (2) in absolute ethanol.

The reaction of pyrazolidineindolone derivative (78) with active methylenes. was studied. Thus treating of pyrazolidineindolone derivative (78) with malononitrile gave 6-amino-5-cyano-2H-3-oxo-1-phenylspiro-[pyrazolo-(3,4-b)-pyran-4(4H),3′(3H)-indol]2′(1′H)-one (81) as shown in chart (1).

pyrazolidineindolone derivative (78) reacted with 3-methyl-1-phenyl-2-pyrazolin-5-one and / or 3-methyl-2-pyrazolin-5-one to give the addition products 3-[(3′,5′-dioxo-2′H-1′-phenylpyrazolo)],3-[(3″-methyl-5″-oxo-1″-phenylpyrazol-2″-yl)]-1H-indol-2-one (82 a) and 3-[(3′,5′-dioxo-2′H-1′-phenylpyrazolo)],3-[(3″-methyl-1″H-5″-oxopyrazol-2″-yl)]-1H-indol-2-one (82 b), respectively (cf. chart 1).

Heating of (78) with 2H-1-phenylpyrazolidine-3,5-dione (77) in absolute ethanol and in the presence of sodium ethoxide as basic catalyst gave 3,3-bis(3′,5′-dioxo-2′H-1′-phenylpyrazolo) indol-2[1H]-one (83) as shown in chart (1).

Reaction of pyrazolidineindolone (78) with 4-bromo-3-methyl-1-phenyl-2-pyrazolin-5-one in aqueous DMF led to furlone yellow analouge (84).

The chemical structure of these products was identified by IR, ^{1}H-NMR, MS. and elemental analyses.

Stirring of pyrazolidineindolone derivative (78) with an excess of primary aliphatic amines (methyl-, ethyl-, propyl-, benzyl-, and cyclohexyl amines) in absolute ethanol resulted into the formation of the addition products [85a-c, 87, 89] (cf. chart 1).

Secondary aliphatic amines e.g. : piperidine adds to pyrazolidine-indolone derivative (78) through 1,4-addition to give the adduct (90) as shown in chart (1).

The reaction of pyrazolidineindolone derivative (78) with aliphatic and aromatic diamines was studied. Aliphatic diamines e.g. ethylenediamine adds to (78) to give (92), while when aromatic diamines e.g. o-phenylenediamine reacts with (78) under reflux condition with ring fission of the exocyclic double bond and give compound (93) as shown in chart (2).

Stirring of pyrazolidineindolone derivative (78) with hydrazines at room temperature gives the addition products (96, 97) via 1,4-addition (cf. chart 2), while when the above reactions were carried out under reflux condition, isatin-3-hydrazone (98a) and isatin-3-phenylhydrazone (98b) were obtained.

On the other hand, the isatin-3-hydrazones (98a,b) can also be obtained by heating of the corresponding addition products (96, 97) in ethanol. The structure of these products were identified by IR; ^{1}H-NMR; MS.; elemental analyses and m.m.p. with authentic samples (cf. chart 2).

Refluxing of pyrazolidineindolone derivative (78) with semicarbazide hydrochloride in the presence of triethylamine as basic catalyst gave isatin-3-semicarbazone (100) in addition to 2H-1-phenylpyrazolidine-3,5-dione (77).