

SYNTHESIS AND REACTIONS OF SOME NEW PHTHALAZINE DERIVATIVES OF ANTICIPATED BIOLOGICAL ACTIVITY

By

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A number of phthalazine and phthalazinone derivatives occur very widely in nature and are essential to life, they are of utmost importance owing to their significant biological and pharmaceutical activities. Indeed, several phthalazinone derivatives have been reported to possess anticonvulsant, ⁸ cardiotonic, ⁹ antimicrobial, ¹⁰⁻¹² antitumor, ¹³ antihypertensive, ⁹ antithrombotic, ¹⁴ antidiabetic, ^{15,16} antitrypanosomally, ¹⁷ antiinflammatory, ¹⁸⁻²⁰ vasorelaxant activities, ^{9,21} anticancer, ²⁸⁹⁻²⁹⁶ and Anti-Alzheimer agents, ^{9,303}

Moreover, phthalazine derivatives represent key intermediate in the synthesis of various compounds with highly interesting pharmacological activities such as blood platelet aggregation inhibitors, ²³ poly (ADP ribose) polymerase inhibitors, ^{24,25} phosphodiesterase inhibitors. ²⁶ Therefore, a number of methods have been reported for the synthesis of phthalazine derivatives. Nevertheless, the development of new synthetic methods for the efficient preparation of heterocycles containing phthalazine ring fragment is interesting challenge.

The thesis consists of the following parts:

1) Summary:

2) Introduction:

In this section we were discussed different preparation methods and reactions of phthalazine and phthalazinone derivatives in a brief literature.

3) Results and Discussion:

In the present work, the phthalazinone derivatives **3 a-d** have been obtained by aldol condensation of phthalide with different aromatic aldehydes, then interacted with hydrazine hydrate in boiling ethanol. And phthalazinone derivatives **6 a, b** has been obtained *via* the condensation of *o*-aroylbenzoic acid derivatives **5 a, b** with hydrazine hydrate in boiling ethanol. In addition, studying the chemical activity of certain electrophiles and nucleophiles in order to prepare new phthalazinone derivatives, which proved by their spectral analyses data.

4) Experimental:

In this part, the practical procedures used for the synthesis of the new compounds. In addition to their physical, spectral and microanalytical data are cited.

5) Applications (Biological activity):

In this part, we reported the results of antimicrobial activity that have been tested for the synthesized compounds. In addition, MIC and MBC results.