



Zawia University



Faculty of Science
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Synthesis, Characterization and Biological Activity Study of a New Class of N-(3-Phthalidyl) amines

A THESIS:

Submitted to Chemistry Department Faculty of Science, Zawia University

In Partial Fulfillment for the requirement of The Degree of Master of
Science in Chemistry

By:

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B. Sc. In Chemistry

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Zawia University

2022



جامعة الزاوية



كلية العلوم
قسم الكيمياء

تحضير وتشخيص ودراسة الأهمية الحيوية لسلسلة جديدة من ن - (3- فثاليديل) أمينات

رسالة:

مقدمة إلى قسم الكيمياء
كلية العلوم – جامعة الزاوية
لإستيفاء الحصول على درجة الماجستير في العلوم (الكيمياء)

من:

﴿سهيلة سالم النائي﴾

بكالوريوس علوم (كيمياء)
كلية العلوم – جامعة الزاوية
2012-2013 م

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2022

Synthesis, Characterization and Biological Activity

Study of a New Class of N-(3-Phthalidyl) amines

Abstract

The thesis divided in three parts, the first chapter deals with the synthetic methods that has been published in the literature for the synthesis of the 3-aryl phthalide and the uses of these phthalides in organic synthesis, finally with biological importance.

The second chapter deals with the reaction of *o*-phthalaldehydic acid with a new series of amines; including 2-methylaniline, 2-(propan-2-yl) aniline, 4-(propan-2-yl) aniline, N-benzoyl-aniline, hydrazine, phenyl hydrazine, 2,4-dinitrophenyl-hydrazine, pyrimidin-2-amine, 4-chloro-6-methylpyrimidin-2-amine, 5-ethyl-1,3,4-thiadiazol-2-amine and morpholine.

The second part including esterification of *o*-phthalaldehydic acid with different alcohols and attempts to separate the corresponding 3-alkoxyphthalide and its reaction with the following amines: 2-methylaniline, 2-(propan-2-yl) aniline and 4-(propan-2-yl) aniline, finally deals with the study of biological activity of the obtained products.

In chapter three, the result is discussed and structure of the isolated products were illustrated depending on the IR, NMR and MS.

Conclusion

1- The reaction of *o*-phthalaldehydic acid with primary aliphatic or aromatic amines. The type of products obtained from the reaction of *o*-phthalaldehydic acid with different amines was found to be dependent mainly upon the basicity of the amines, with weak amines the reaction takes place at carbon number 3 of the *o*-phthalaldehydic acid giving rise to N-(3-phthalidyl) amines as a primary product (aminated product). If there is no steric effect as with hydrazine hydrate, the Schiff's base was obtained when using methanol as solvent, the reaction mechanism of this reaction was assumed via a nucleophilic attack of the NH₂ of hydrazine hydrate on the carbonyl group of the *o*-phthalaldehydic acid followed by elimination of water molecule to afford the product type (B), for example with 2-amino pyrimidine N-(3-phthalidyl)-2-amino pyrimidine formed, while with hydrazine 2-Hydrazonomethyl-benzoic acid is obtained.

On the other hand, the reaction of 3-ethoxyphthalide with the same amines afforded aminated product (the close product) in similar to 3-hydroxyphthalide the only exception the low yield of obtained products.

2- The reaction of *o*-phthalaldehydic acid with secondary aromatic amines (e. g, N-benzoyl aniline), afforded in parallel to those with primary amines N-(3-phthalidyl) amines due to the less nucleophilic characters of the amines.

3- The reaction of *o*-phthalaldehydic acid with secondary aliphatic amines (e. g Morphline), afforded in parallel to those with primary amines N-(3-phthalidyl) amines due to the less nucleophilic characters of the amines.

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دراسة في تحضير وتشخيص ودراسة الأهمية الحيوية

لسلسلة جديدة من ن-(3-فتاليديل) أمينات

ملخص الرسالة

تتكون هذه الرسالة من ثلاثة فصول:

الفصل الأول يحتوي على طرق تحضير مركبات 3-أريل فتالايد من خلال الأبحاث المنشورة

في هذا المجال وكذلك ذكر الأهمية الحيوية لها واستخداماتها في التصنيع العضوي.

وفي **الفصل الثاني** تم وصف جميع التجارب التي أجريت حيث قمنا بمفاعلة حمض أورثو-

فتالالديهيدك مع سلسلة جديدة من الأمينات المختلفة وتشمل؛ 2-ميثيل أنيلين، 2- (بروبان-2-يل)

أنيلين، 4- (بروبان-2-يل) أنيلين، N-بنزويل-أنيلين، هيدرازين، فينيل هيدرازين، 2،4-ثنائي

نيتروفينيل هيدرازين، بيريميدين-2-أمين، 4-كلورو-6-ميثيل بيريميدين-2-أمين، 5-إيثيل-

1،3،4-ثياديازول-2-أمين، المورفولين، ثم قمنا بعمليات الاسترة لحمض أورثو-فتالالديهيدك مع

الكحولات المختلفة وفصل مركبات 3-ألوكسي فتالايد وتفاعلها مع الأمينات التالية: 2-ميثيل

أنيلين، 2- (بروبان-2-يل) أنيلين، 4- (بروبان-2-يل) أنيلين، وأخيرا قمنا بإجراء تجارب للتعرف

علي الأهمية الحيوية للمركبات المحضرة.

و**ثم في الفصل الثالث** مناقشة وتشخيص النواتج وتحديد الصيغة التركيبية لها بالاعتماد على

أطياف الأشعة تحت الحمراء والرنين النووي المغناطيسي ومطياف الكتلة.