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PHOSPHOTUNGSTIC ACID: AN EFFICIENT CATALYST FOR SYNTHESIS OF 2-SUBSTITUTED TETRAHYDROQUINOLINE VIA IMINO DIELS-ALDER REACTION AND FLUORESCENT STUDIES

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For the first time Phosphotungstic acid (PTA), is used as a some new catalyst for the synthesis of tetrahydroquinoline derivatives with high yields is described. PTA a heterogeneous catalyst is inexpensive, easily available, eco-friendly, water soluble, recoverable, stable to aqueous reaction conditions and further more, these 2-methyl tetrahydroquinoline derivatives shows a remarkable fluorescence property.

Keywords: Fluorescence; imino Diels-Alder reaction; phosphotungstic acid; reusable; 2-substituted-1,2,3,4-tetrahydroquinoline

INTRODUCTION

We have interested in catalytic reaction to synthesize tetrahydroquinoline derivatives by using p-substituted aniline, Schiffs base and N-Vinyl pyrrolidinone (NVP). The tetrahydroquinoline derivatives are the biologically most potential compounds containing pyrrolidin-2-one ring. The functionalized quinolines have found various applications in pharmaceutical industries, agrochemical industries and also explored as synthetic blocks in the preparation of several alkaloids.\(^1\)\(^-\)\(^5\) Hence, there has been considerable interest in the development of new and efficient protocols for the synthesis of tetrahydroquinoline derivatives.\(^6\)\(^-\)\(^9\) The synthesis of 2-methylquinoline derivatives\(^6\)\(^-\)\(^9\) have usually synthesized on the traditional methods.

We describe herein the synthesis of 2-substituted tetrahydroquinoline using novel, efficient and eco-friendly phosphotungstic acid as a catalyst.

RESULTS AND DISCUSSIONS

Our primary investigation was focused on the use of PTA as the catalyst in the imino Diels-Alder reaction of p-substituted anilines (I), and NVP (3) stirred...
Imino Diels–Alder reaction — An efficient synthetic protocol for 2-methyl-4-substituted tetrahydroquinolines catalyzed by copper dipyridine dichloride

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Abstract: For the first time, copper dipyridine dichloride (CuPy2Cl2) is used as an efficient and reusable catalyst for the imino Diels–Alder reaction of para-substituted anilines with N-vinylpyrrolidone, N-vinylcarbazole, and N-vinylcaprolactam in acetonitrile to afford the corresponding 2-methyl-4-substituted-1,2,3,4-tetrahydroquinoline derivatives in excellent yields with good purity. The products were characterized by FTIR, 1H NMR, 13C NMR, MS, and elemental analysis.

Key words: CuPy2Cl2, reusable catalyst, aromatic amines, imino Diels–Alder reaction, 2-methyl-4-substituted tetrahydroquinolines.

Introduction

One of the most challenging tasks in modern organic chemistry is the synthesis of natural products containing heterocyclic rings. Despite the considerable exploration to date within this field, there is still a need for further development of alternative methods for the synthesis of heterocyclic compounds. Among numerous families of natural products, tetrahydroquinolines seem to attract considerable attention because of their abundant presence in plants along with their promising biological activities. Therefore, their synthesis via newer and atom economical approaches has been the subject of current research. Since the pioneering work of Povarov, this reaction has been extensively studied with use of different Lewis acids, such as BF3OEt2, GaCl3, InCl3, LiClO4, ZrCl4, BiCl3, and SbCl5, and protic acids, such as TFA, TsOH, and (COOH)2. Although the imino Diels–Alder reaction promoted by Lewis acid is known, many of these methods suffer from some limitations, such as more than stoichiometric amounts of the Lewis acid are required because of the coordination of the Lewis acid to the imine nitrogen. Further, most of these acids are moisture-sensitive and easily decompose or become deactivated in the presence of water and are thus difficult to handle. Moreover, these reactions have some drawbacks like drastic reaction conditions, prolonged reaction time, tedious work-up procedures, and the occurrence of side reactions, low yields, and expensive reagents/catalysts. Some of the catalysts are destroyed in the work-up procedure and cannot be recovered or reused. Furthermore, the disposal of these acids leads to environmental pollution. Therefore, the search continues for a better catalyst for the synthesis of tetrahydroquinolines in terms of operational simplicity, reusability of catalyst, low cost, and greater selectivity.


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Short communication

In-vivo analgesic and anti-inflammatory activities of newly synthesized benzimidazole derivatives

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ABSTRACT

A series of 2-methylaminobenzimidazole derivatives (1–11) were synthesized by the reaction of 2-(chloromethyl)-1H-benzimidazole derivatives with primary aromatic amines. All these compounds were characterized by IR, 1H NMR, 13C NMR, GC-MS and elemental analysis. The newly synthesized compounds were screened for analgesic and anti-inflammatory activities on acetic acid induced writhing in mice and carrageenan induced paw oedema in rats. Compounds (7) and (2) showed a potent analgesic (89% at 100 mg/kg b.w) and anti-inflammatory (100% at 100 mg/kg b.w) activities compared with standard drug Nimesulide (100% at 50 mg/kg b.w) respectively. The other compounds showed good analgesic and anti-inflammatory activities.

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1. Introduction

Inflammation is a local reaction of the vascular and supporting elements of a tissue to injury resulting in the formation of a protein-rich exudates; it is a protective response of the nonspecific immune system that serves to localize, neutralize, or to destroy an injurious agent in preparation for the process of healing. The cardinal signs of inflammation are rubor (redness), calor (heat), dolor (pain), tumor (swelling), and functio laesa (loss of function). Cause of inflammation includes physical agents, chemical agents, immunological reactions, and infection by pathogenic organism [1].

Inflammation is divided into acute and chronic patterns. The characteristics of acute inflammation are the exudation of fluid and plasma proteins (oedema) and the emigration of leukocytes, predominantly neutrophils. Chronic inflammation is considered to be inflammation of prolonged duration (weeks or months) in which active inflammation, tissue destruction, and attempts at repair are proceeding simultaneously. Chronic inflammation includes some of the most common and disabling human diseases, such as rheumatoid arthritis, atherosclerosis, tuberculosis, and chronic lung diseases [2].

Nonsteroidal anti-inflammatory drugs (NSAIDs) are widely used for the choice treatment in various inflammatory diseases such as arthritis, rheumatism as well as to relieve the aches and pain of everyday life [3]. Classical NSAIDs exhibit their action by restricting the biosynthesis of prostaglandin, some of which are pro-inflammatory. This is essentially brought about by inhibiting the rate limiting cyclooxygenase (COX) enzyme involved in the inflammatory cascade [4]. Among different types of NSAIDs, imidazole and fused imidazole with six-membered rings [5], occupy central position among those compounds that are used as analgesic and anti-inflammatory agents.

Fused imidazole derivatives have occupied a prominent place in medicinal chemistry because of their significant properties as therapeutics in clinical applications [6–9]. Thus, benzimidazole is being explored in the pharmaceutical industries and the substituted benzimidazole derivatives have also been found in the diverse therapeutic applications [10,11]. Because of the versatile core contained in several substances of benzimidazole derivatives are possess a broad spectrum of pharmacological activities [12–15]. In particular, it has been an important pharmacophore and privileged structure in medicinal chemistry [16,17], encompassing a diverse range of biological activities including anti-arthritic, HIV–RT inhibitor [18], anti-cancer, pesticide, anti-ulcer, anti-inflammatory, antihistamin, inotropic, anti-microbial, anti-viral and cytotoxicity [19–22]. Therefore, the optimization of benzimidazole derivatives based on their structures have resulted various potent drugs that are now being currently practiced in the market, amongst Albendazole (inhibitor of Encephalitozoon Intestinalis infection in AIDS patients), Omeprazole (proton pump inhibitor), Pimobendan (ionodilator), and Mebendazole.
List of Publications & Conference Attended

List of Publication

   Kavitha C.S. Achar, K.M. Hosamani, Harisha R. S.

2. Imino Diels-Alder Reaction: An efficient synthetic protocol for 2-Methyl-4-substituted tetrahydroquinolines catalyzed by Copper dipyridine dichloride.
   Kavitha C.S. Achar, K.M. Hosamani, Harisha R. S.

3. In-vivo analgesic and anti-inflammatory activities of newly synthesized benzimidazole derivatives.
   Kavitha C.S. Achar, K.M. Hosamani, Harisha R. S.

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➤ DST Sponsored National Workshop on” NMR SPECTROSCOPY—THEORY AND APPLICATIONS” held at the Madurai Kamaraj University Madhurai on 28th & 29th March, 2008.

➤ 45th Annual Convention of Chemists and International Conference on Recent Advances in Chemistry held at PG Department Studies in Chemistry Karnataka University Dharwad on 24-28th, November 2008.