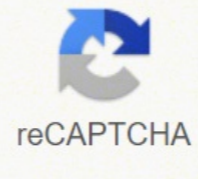




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Barbituric acid synthesis pdf

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Abstract: The synthesis, mutarization and antibacterial activity of several barbiturates is reported. In particular, 3-acyl and 3-carboamido-barbiturates exhibited antibacterial activity against susceptible and some resistant Gram-positive strains of particular interest in that these systems possess aromatic molecular weight, variable bond and number of proton-donors/acceptors for drug design as well as low lipophilic character, with oral and injectable use. Unfortunately, the reduction of plasma protein affinity by the barbiturates is not sufficient to reduce activity *in vivo*. Further optimization to reduce plasma protein affinity and/or circumvent antibiotic resistance is therefore required, but we believe that these systems offer unusual opportunities for antibiotic drug discovery.

Keywords: barbiturates, antibacterial, synthesis, mutarization

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Synthesis of barbituric acid derivatives using microwave irradiation method and *in vitro* evaluation of antimicrobial and cytotoxic activity

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ABSTRACT

The research work was involved in rapid and efficient procedure for the attachment of barbituric acid with arylidene acetophenone under microwave irradiation (MWI) and conventional heating. The result showed that the time was reduced from the conventional 24 hours to 5-10 minutes. In conventional heating, the yield of the compounds 2a-2e were very poor (75-81%), but in MW methods the yields were observed 96.48-98% which was comparatively too high. The structures of the compounds were characterized by FT-IR, ¹H-NMR spectral data. The antimicrobial and cytotoxic activities of the synthesized compounds were also investigated. *Staphylococcus aureus*, *Bacillus megaterium*, *Escherichia coli* and *Pseudomonas aeruginosa* revealed the zone of inhibition were 6-12 mm where sample concentration was 100 µg/disc. However, cytotoxic analysis, the mortality 47-95% were appeared when sample concentration were 0.78-25 (µg/ml) and more than 50 (µg/ml) concentration showed 100% mortality. The presence of a reactive and unsaturated ketone function in synthesized compounds was found to be responsible for their potential antimicrobial and cytotoxic activity.

INTRODUCTION

In general, Microwave-assisted synthesis is likely to have a large impact on synthetic organic chemistry, in particular the medicinal/combinatorial chemistry communities. Compared to traditional processing of organic synthesis, microwave-enhanced chemistry saves significant time and very often improves yields. The use of microwaves in organic synthesis has increased dramatically, receiving widespread acceptance (Thierney and Lidström, 2005). Microwave technology has become a powerful tool in organic synthesis, since by employing this technique it is generally possible to prepare organic compounds very fast, with high purity and better yields compared to other more conventional methods (Loupy, 2002; Hayes, 2002; Kappe and Stadler, 2005). Additionally, in the search for economic and environmentally friendly synthetic methods, one-pot syntheses could offer a significant step ahead.

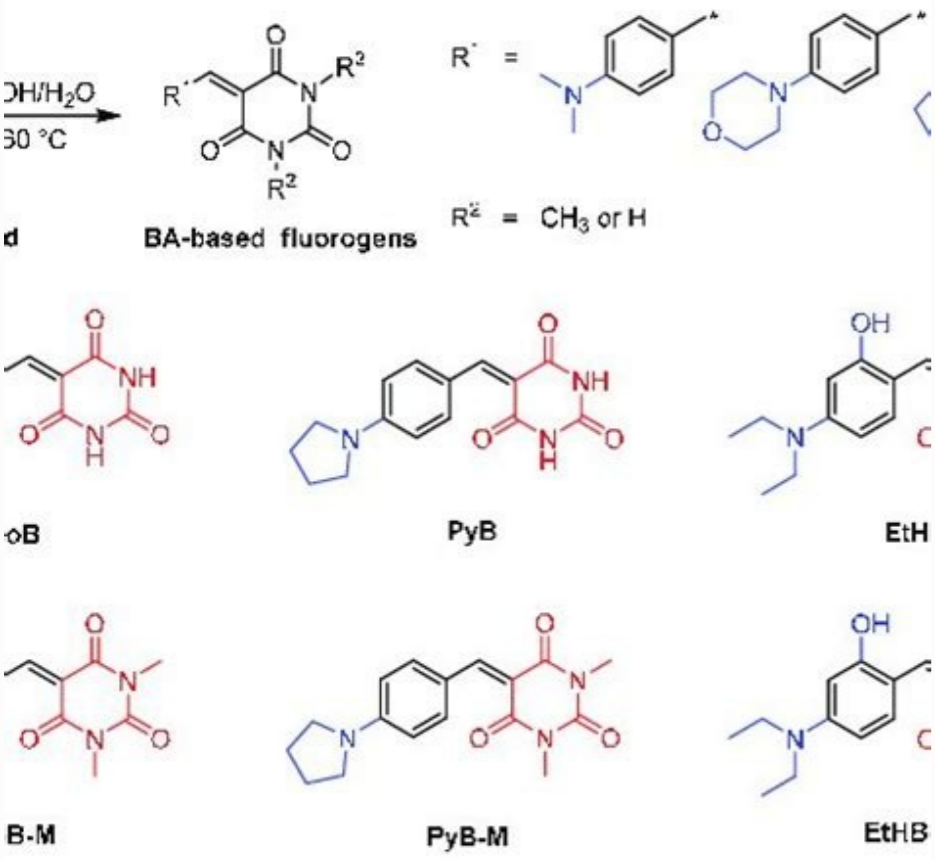
Barbituric acid, chemically 2,4,6-trioxohexahydropyrimidine, a cyclic amide used as the parent compound to produce barbiturates that act as central nervous system depressants. Barbituric acid itself does not give sedative and hypnotic effects but the substituted derivatives with alkyl or aryl group at position 5 provide effects. The derivatives of barbituric acid have especial place in pharmaceutical chemistry. Their biological activities range from classical applications in medical treatments as sedative, hypnotic, anticonvulsant, antiplasmodic and local anaesthetic drugs (Tanka *et al.*, 1998; Sokmen *et al.*, 2013). It has also more recent reports indicated that they have applications in anti-tumor, anti-cancer and anti-osteoporosis treatments (Jones, 1967; Khajuria *et al.*, 1996).

A large number of reports are available on the reactions of barbituric acid and with carbonyl compounds-aldehydes, ketones and ester (Ahlrwalia *et al.*, 1999; Ahmed *et al.*, 2006; Nasrin *et al.*, 2012). But it is observed that very little extent of work has been done on the reactions of barbituric acid with α,β -unsaturated carbonyl systems.

Although various routes for the synthesis of these compounds have been described, the majority of them involve a number of steps and the yields are poor (Nasrin *et al.*, 2012).

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The symptoms of common acid reflux the symptoms of acid reflux may vary in gravity of a slight discomfort to the criston pain that interferes with daily activities. If you need more relief than these we provide, your doctor can prescribe medicines called H-2 receptor blockers that prevent your stomach from producing both acidic. Smoking cigarettes can keep your esophageal spherner to construct totally, then there is no longer time to give up. At the bottom of your esé fago, where you find your stomach, there is a tight muscle band and similar ring called the lower esophagon spherner that relaxes to allow food and builds again when you end up eating and drinking. As the scars grow, they can make their esophageal opening narrow, which physically makes it harder for things you eat to fit into your esophagus, even after chewing them. Laryngitis: The prolonged exposition to the stomachic acid that happens when you experience reflux while you are also lying down can irritate your vocal ropes. If your reflux is smooth or you are already using heavy drugs that neutralizing your esáo, your doctor will probably recommend that you initially try and see what Well they work. Common night reflux symptoms interrupted sleep: When you are lying down to sleep and experiencing acid reflux, your body is relaxed. Problems swallowing: also called dysphagia, having trouble swallowing means that you have to exercise more effort to move food or liquid from your mouth to the ESÁÁ fago. The position of your body also results in prolonged contact between the stomachic acid and the fabric esophagus, which results in pain that makes sleep difficult. Common Reflux Heartburn Symptoms: This is a burning pain that you feel in the chest behind your Frequently, having acid reflux can lead to several other health issues, including open wounds or formation of scars due to exposure to the stomach. This makes it easier for the stomachic acid and the partially digested food flowing back through your esÁgo. However, sometimes the fisher can weaken or relax and open backup, allowing stomach food and figures to travel to the Esémago. Many people - about 20% of the US population, according to the national health institutes - experience the effects of this time in times, but a light case can develop in something serious that needs more extensive treatment. Treating the acid reflux if you find yourself experiencing acid reflux on a regular basis or you are taking anti-drugs with more than twice a week, it is time to mark an appointment with your mother Dico. Also can lead to changes in the fabric esé Fago that can increase your chances of developing cances that first ways there. They can help determine more effective treatments such as medications and lifestyle changes, which can help reduce the frequency with which you experience symptoms and prevent your agricide from leading to other conditions of Safters. This type of medication blocks the agriculture better than H-2 receptor blockers and encourages the healing of their damaged fabric. This is because he annoys his throat and mouth, that his body tries to wipe with a cough. Breast pain: Alc reflux is the most common cause of toracic pain that is not related to your heart, according to cleveland clinical. You should also avoid lying through three hours after eating to give your body long enough to digest your food and start pushing you away from the stomach. 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If you notice that you experience reflux primarily after you eat certain foods, avoid those triggers as much as possible. Normally, your esophageal sphincter stays tight and closed to keep partially digested food and the acidic liquid from flowing back up into your esophagus while your stomachÁÁÁs muscles mix its contents. Heartburn happens when your esophageal sphincter allows stomach acid into your esophagus, and that acid travels back up into your throat. When you chew and swallow food, it travels down through your esophagus and into your stomach where itÁÁÁs digested. Losing weight means thereÁÁÁs less pressure on your stomach, which keeps it from pressing upward and leaking acid into your esophagus. It can feel like food is getting stuck in your throat, or swallowing may become painful. Often iteÁÁÁs a result of heartburn, but it can also happen if your esophagus muscles start to spasm when the tissues there become irritated and inflamed due to the presence of stomach acid. The acid then irritates the lining of your esophagus, causing it to become swollen and inflamed.

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