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RMC Synthesis and Biological Effects of Nitrogen Heterocyclic Chromenons Compounds

Benanane Siham[1*], Cheikh Nawel[1], Bendehina Nour El Houda[1], Rahou Ismahane[1], Berbaoui Naima[1], Villemin Didier[3], Boumendjel Ahcene[4]

[1] Chemistry and Environmental Sciences Laboratory (LCSE), Bechar University, BP 417, 08000 Bechar, Algéria.

[2] Catalysis and Synthesis in Organic Chemistry Laboratory (LCSCO), AbouBekr Belkaid University, BP119, 13000 Tlemcen, Algéria

[3] Molecular and Thioorganic Chemistry Laboratory (LCMT), UMR CNRS 6507, ENSICAEN de Caen, 14050 Caen, France

[4] Univ. Grenoble Alpes, INSERM, LRB, 38000, Grenoble, France

*Corresponding author's email: benanane.siham@univ-bechar.dz

ABSTRACT

The synthesis of chromenones, aromatic fused heterocyclic compounds consisting of a chromenones and a pyridine ring, has been associated with various biological activities. In this study, we have devised a novel, uncomplicated, and more broadly applicable method for the multicomponent reaction synthesis (RMCs) of chromeno-pyridins[1] and its analogues, while adhering to select criteria of green chemistry. Our approach involves the synthesis of chromenons from hydroxyacetophenones, crucial components contributing to the diversity of structures achieved through the utilization of primary amines[2]. Subsequently, the newly prepared compounds were characterized through diverse spectroscopic analyses, including IR, 1H NMR, 13C NMR, and MS. To evaluate the antifungal efficacy of our products, we chose to assess them against a specific fungal strain,namely Aspergillus niger. The results of the antifungal activity, determined through the solid-state dilution method, yielded positive outcomes gave good results.

Keywords: Hydroxyacetophenone, RMCs, Chromenons , antifungal activity, Aspergillus niger.

1 Introduction

The concept of ideal synthesis is based on obtaining the desired product in a minimum of time and steps, from inexpensive reagents, and without danger to both the handler and the environment.

The method for preparing chromenopyridines from acidic methylenes, primarily using malononitrile, is a three step process. Or "one-pot", i.e. in a single vessel "cascade", which is a new synthesis method based on multicomponent reactions (RMC). In this method, we go from the first reaction with hydroxyacetophenones directly to the second intermediate step, then to the last step to produce chromenopyridines.

2 Experimental

For the first stage, the synthesis of 2*H*-chromenes we did reactivity study to select the best operating mode. In our protocol of condensation, no organic solvents have been used in the reaction process.This synthesis is realized of hydroxyacetophenone with methylen acids malononitrile under five conditions in different basic medium for the purpose of comparing the yields of every one condition.

3 Results and Discussion

The synthetic strategy of our study to obtain the targeted chromenopyridine compounds begin by preparing a 2-Imino-2*H*-chromene-3-carbonitrile figure 1. is a molecule belonging to the large family of phenolic compounds figure 2.

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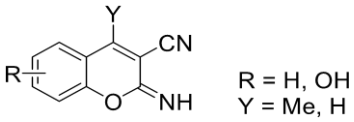

Figure1: Structure of 2-Imino-2*H*-chromene-3-carbonitrile.

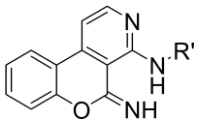

Figure2: Structure of chromenopyridins

4 Conclusions

The aim of total product synthesis is to manufacture or even produce compounds that are often biologically active, but it is also increasingly aimed at avoiding the destruction of large quantities of biomass to obtain just a few milligrams of product. It also provides flexible access to potentially more active analogues, which can then be tested and studied within the framework of structure-biological activity relationships. The main challenge now is undoubtedly to develop new synthetic methods that also offer an economic advantage over traditional syntheses, so that they can be adopted by industry. In our work, we have focused on :

- Solvent-free reactions.
- Multi-component reactions synthesis (RMCs).
- Ambient temperature reactions.
- Organic synthesis under microwave irradiation.

These "green" conditions have been the guideline for most of our syntheses during this thesis work to obtain new nitrogen heterocycles.To achieve these different objectives, our laboratory has succeeded in developing a methodology using similar strategies that has already enabled numerous compounds of great chemical and biological value to be synthesized efficiently within this framework, and is attempting to increase the structural diversity of these scaffolds.

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