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Mini Review

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Obtaining and application of some homoisoflavonoids

Abstract

Although there are recent advances for the understanding of many diseases, even man has the need to search for new agents that help to control or eradicate them. In the present mini-review, the synthetic obtaining of some homoisoflavonoids and the possible applications that these compounds can obtain in the area of medicine are reported.

Keywords: synthesis, homoisoflavonoids, medicine

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Introduction

The homoisoflavonoids have a structure constituted by carbon atoms which consists of a group such as chromone, chromanone or chromana and a benzyl or benzylidene group attached to carbon (Figure 1).

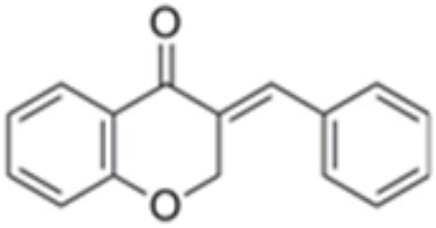


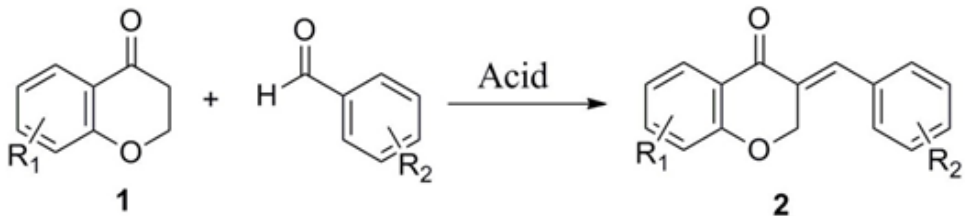
Figure 1 Structure of homoiso flavonoid.

Homoisoflavonoids, derivatives of 3-benzylchrom-4-ones, are constitutionally derived from 1,2-diphenylpropane. They comprise a small family of natural polyphenolics related to flavonoids1. Homoisoflavonoids are distributed in many species of the Liliaceae family (*Eucomis bicolor,*[1] *Ophiopogon japonicas,*[2] *Muscari racemosum,*[1,3] *Cremastra appendiculata,*[4] and *Veltheimia viridifolia*[5]) and several other plant species (e.g., *Dracaena cinnabari*[6,7] and *Caesalpinia sappan*[8]).

Considering above and existence the great interest in synthesis of important pharmacological active heterocycles, the synthesis of homoisoflavonoids has also attracted the interest of chemists and pharmaceutics.

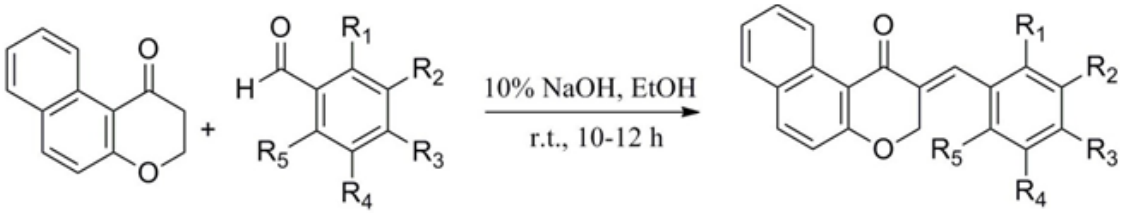
Synthesis of some homoisoflavonoids.

The usual method for synthesizing (*E*)-3-benzylidenechroman-4-ones **2** is based on the condensation of Croman-4-one **1** with an aromatic aldehyde in the presence of an acid in catalytic amounts.

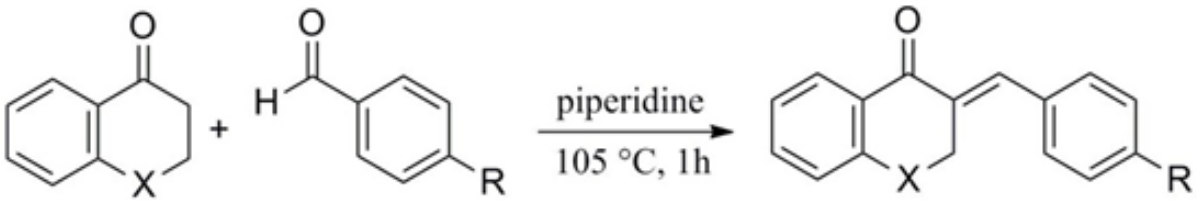


1 **2**

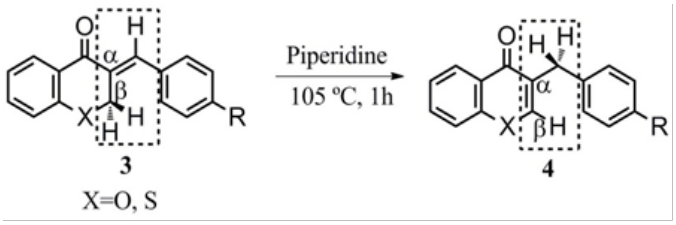
One of the few methods reported in the literature for the synthesis of these compounds is based on the use of bases. Brien et al.,[9] synthesized them by reacting the benzochromanone with an aldehyde in ethanol at room temperature 10-12h, using 10% aqueous sodium hydroxide as shown.



The piperidine is another base used for the synthesis of homoisoflavonoids.[10] Lévai et al.,[11] synthesized a serie of 3-benzylidene chroman-4-ones (X=O) and 3-benzylidentiochroman-4-ones (X=S) by mixing equimolar amounts of chroman-4-ones (X=O) or thiochroman-4-ones (X=S) with the corresponding aromatic aldehyde and few drops of piperidine under reflux.



It has sometimes been observed that one of the two hydrogen atoms that is located in the beta position β in the thiopyranone ring migrates to the carbon atom that is in the beta β position of the double bond C = C exocyclic, to give rise to an isomer compound as shown below:



Our research group recently showed through computational calculations that the migration of any of the hydrogen atoms that locate in beta position β in the ring thiopyran-4-one 3, can occur regardless of whether this atom is in axial or equatorial position in the ring 4. Each of these hydrogen atoms shows the same activation energy during displacement. The transition state of the rearrangement showed a structure with a ring of four members and a folding of 124° (Figure 2).[12]

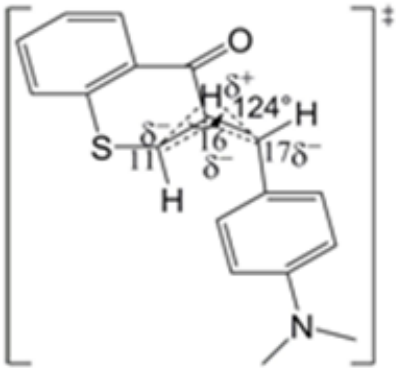


Figure 2 Structure for the transition state of (Z)-3-(4-(Dimethylamino) benzylidene)_ thiochroman-4-one.

Applications of some homoisoflavonoids

Some isolated or synthetic homoisoflavonoids, different biological properties such as: anti-inflammatory,[13] antiproliferative10, antifungal,[14–16] antioxidant,[17,18] antiviral,[19] anticancer drugs[20,21] and as inhibitors of HIV-1.[22,23] Studies of structure-activity relationship have revealed that the volume, electronic density and steric hindrance of these compounds are parameters that are related to their anti-inflammatory activity.[24] Another study states that the interaction energy and the electrostatic potential are descriptors of antibacterial activity, where these compounds show the ability to bind to a receptor or a macromolecule.[25]

Acknowledgements

None.

Conflict of interest

The author declares that there is no conflict of interest.

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