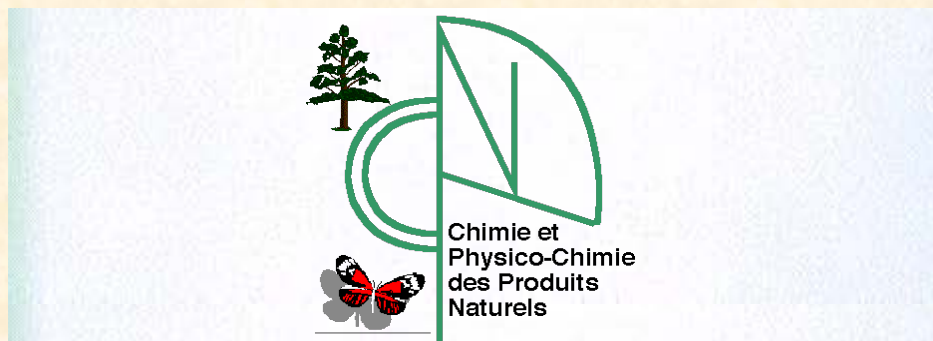


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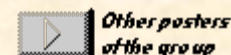


## New Strategy in Agarofuran synthesis : Baeyer-Villiger reaction of Wieland-Mischer ketone analogues

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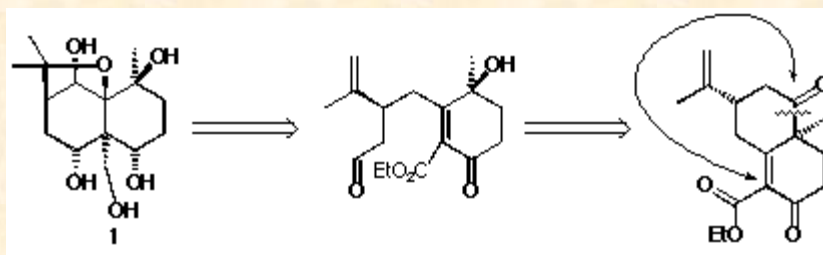
### Introduction :

The role of plant secondary metabolites in host plant recognition, especially in oligophagous insects, is of great importance. Substances eliciting feeding responses of the insects have been extensively studied and numerous attempts have also been made in the past decades to isolate antifeedants from plants avoided by insect species. As the most studied of these plant secondary metabolites with antifeedant activity, but also in some cases insecticide activity, one should cite polygodial **1**, waburganal **2**, azadirachtin **3**, toosendanin **4**, clerodanes **5** (clerodine **5**) and ecdysteroids **6** (20-hydroxyecdysone **6**). However, the diversity of structure of these products, the diversity of their biological activities and their structural complexity did not allow establishment of unambiguous structure-antifeedant activity relationships.

On the other hand, studies have allowed the emergence of a family of sesquiterpenic compounds of the Eudesmane family with significant antifeedant activity: **7** the agarofurans **7** **8** ([figure 1](#)). In the Celastraceae family, numerous polyhydroxylated agarofuran esters have been isolated and studied on account of their potential cytotoxic **9**, antitumor **10** and immunosuppressive **11** activities and have been proved to be in some cases insecticides. **7,8** Even if the antifeedant activity of these compounds was in most cases reported as lower than those of the other known compounds cited above, the structural diversity of the agarofuran esters encountered as secondary metabolites should allow in this family of natural products the establishment of structure-activity relationships. For this purpose, it is important to design versatile and efficient strategy toward the synthesis of the tricyclic core of agarofurans.

Albeit several syntheses of agarofurans have been reported in the literature, [12,13](#) the main problem of these syntheses remains the introduction of the oxygenated heterocycle with the right configurations of the asymmetric centers. Indeed, it forces either the hydroxy-isopropyl substituent on cycle B of the agarofuran to be introduced in an axial position or the configuration at C-6 to be controlled in the last steps of the synthesis devoted to the heterocycle ring closure. [14](#) We already have published a new synthetic approach toward the synthesis of highly hydroxylated agarofurans [15](#).

Beside this previous work on the synthesis of hydroxylated agarofurans, we have developed another approach to these compounds based on the possible rearrangement of the caprolactones obtained from the Baeyer-Villiger reaction of Wieland-Mischer ketone [16](#) derivatives [17](#).



## Results :

- [Baeyer-Villiger reaction of Wieland-Mischer ketone derivatives](#)
- [Rearrangement of caprolactones](#)
- [Application towards the synthesis of agarofurans](#)

References : [follow this link](#)

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