

Recent Research in the Terpenoid Field: Synthetic Approaches to the C₂₀ and C₁₅ Gingkolides

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The synthesis of the C₁₅ ginkgolide 2 (bilobalide) has been undertaken by a route corresponding to the retrosynthetic plan summarized in Scheme B. In this approach the keto diester 3, available in one step from the Diels-Alder adduct of butadiene and dimethyl maleate, has been converted to an epoxide identical to that obtained from bilobalide by (i) acetylation-dehydration and (ii) epoxidation.

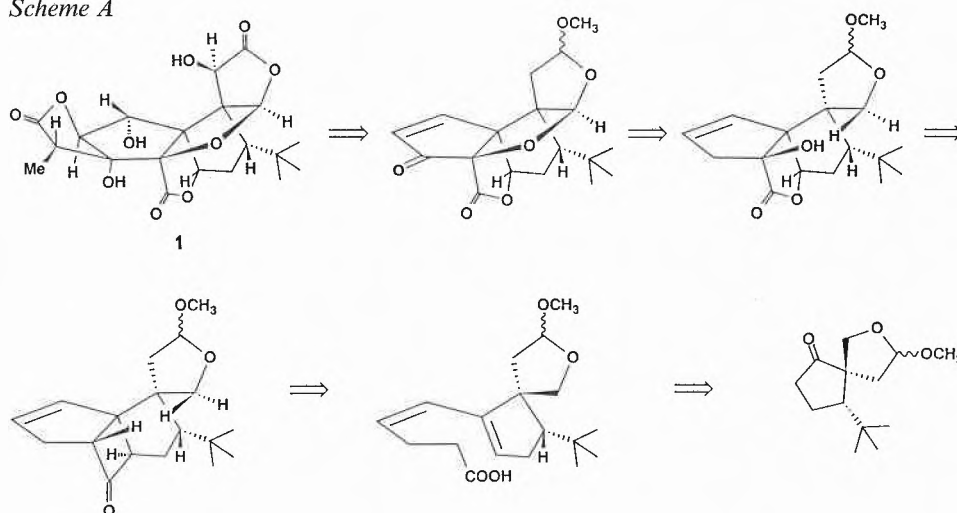
The final steps for the synthesis of 1 and 2 are now under investigation.



Extracts of the ginkgo tree (*Ginkgo biloba*), long a mainstay of traditional Chinese Medicine, are now widely used in Europe for the treatment of cerebrovascular and peripheral circulatory problems of the elderly. These extracts contain several unusual substances of the «ginkgolide» family.

It has been discovered that certain of the C₂₀ ginkgolides, for example ginkgolide B (1), are potent antagonists of platelet acting factor (PAF ether). This may account for many of the physiological properties of the ginkgo extract. The synthesis of an intermediate containing the complete ring system of ginkgolide B has been accomplished following the retrosynthetic plan outlined in Scheme A.

Scheme A



Scheme B

