

Biologisch aktive Heterozyklen

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Abstract: Low-valent titanium reagents, [Ti], have proven to be useful for heterocycle synthesis. In this thesis a [Ti] induced cascade reaction for the construction of biologically interesting [2,3]-annulated carbazole derivatives from polycarbonyl compounds is described. Furthermore, the reaction of 2,2'-biaryl ketoesters to form 9-phenanthrenol derivatives is reported. This transformation, however, is limited to aryl ketones to ensure high yields. Besides 2,2'-biaryl ketoesters, ketoacids, -amides, -cyanides and a -phthalimide reacted readily to the desired phenanthrene derivatives. Only an acid fluoride derivative reacted to afford a phenanthrenol rather than the desired 9-fluoreophenanthrene.

The second part focuses on the total synthesis of berkelic acid, a tetracyclic spiroketal natural product from an antropogenic source. A convergent synthesis furnished the tetracyclic core of berkelic acid via a 1,4-addition / thermodynamic acetalization cascade, thereby demonstrating the thermodynamic lability of this spiroketal. Careful analysis of NMR data, and the unexpected acid lability, led to the preparation of a diastereomer of the proposed structure. This stable diastereomer confirms the revision of relative configuration of the tetracyclic core of berkelic acid.