Uniwersytet Wrocławski

Repozytorium

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Application of the intramolecular Diels–Alder vinylarene (IMDAV) approach for the synthesis of thieno[2,3-f]isoindoles.

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Streszczenie

3-(Thien-2-yl)- and 3-(thien-3-yl)allylamines, readily accessible from the corresponding thienyl aldehydes, can interact with a broad range of anhydrides and α,β-unsaturated acids chlorides (maleic, citraconic, and phenyl maleic anhydrides, crotonyl and cinnamyl chlorides, etc.) leading to the formation of a thieno[2,3-f]isoindole core. Usually, the reaction sequence involves three successive steps: acylation of the nitrogen atom of the initial allylamine, the intramolecular Diels–Alder vinylarene (IMDAV) reaction, and the final aromatization of the dihydrothiophene ring in the Diels–Alder adducts. The scope and limitations of the proposed method were thoroughly investigated. It was revealed with the aid of X-ray analysis that the key step, the IMDAV reaction, proceeds through an exo-transition state, giving rise to the exclusive formation of a single diastereomer of the target heterocycle. In the case of maleic anhydrides, the method allows to obtain functionally substituted thieno[2,3-f]isoindole carboxylic acids, which are potentially useful substrates for further transformations and subsequent bioscreening.

Słowa kluczowe

intramolecular Diels–Alder reaction, vinylarenes, IMDAV reaction, thieno[2,3-f]isoindole, thiophene, tandem reaction, intramolecular [4+2] cycloaddition

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