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參展科別 **化學**

作品名稱 **Reactivity of styrylmalonates as synthetic equivalents of Donor–acceptor cyclopropanes with aldehydes in the presence of BF_3•Et_2O**

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Abstract

Donor–acceptor cyclopropanes (DACs), which can act as sources of 1,2- and 1,3-zwitterions in the presence of Lewis acids, are widely used in organic synthesis for the preparation of various carbo- and heterocyclic compounds, including natural compounds and their analogues. To date, many types of DACs reactivity have been identified. However, the chemistry of styrylmalonates (isomers of DACs, which can be easily generated from DACs) is almost undescribed and has a powerful synthetic potential. The use of styrylmalonates as synthetic equivalents of DACs allows us cardinally change the known reaction pathways of DACs.

In this work, a new strategy for cascade assembly of substituted pyrenes based on the reactions of styrylmalonates with aldehydes in the presence of BF_3•Et_2O has been developed. Generation of formal 1,2-zwitterionic intermediates owing to complexation of dicarboxylate groups with BF_3•Et_2O is the driving force of the reaction discovered. This method makes it possible to assemble pyrenes or 5,6-dihydro-2H-pyran-2-ones in one synthetic stage from readily available starting compounds with high regio- and diastereoselectivity, and use these pyrenes in futher reactions.

We’ve optimized conditions of the reaction and synthesized a number of various substituted pyrenes. Moreover, the reaction shows good results with various aromatic and heteroaromatic substituents. Pyrenes can be easily purified by crystallization. Every product was obtained selectively and determined by full set of physical-chemical methods, including X-ray analysis.

5,6-dihydro-2H-pyran-2-one skeleton is found in various natural compounds demonstrating a broad spectrum of biological activity, such as antiviral and antineoplastic.

【評語】030022

Congratulations! The candidate report an excellent on using

styrylmalonates as synthetic equivalents of donor-acceptor cyclopropanes with aldehydes to from 5,6-dihydor-2H-pyran-one in the presence of BF3 etherate as the promoter. The results are fruitful and solid. The produce shows high synthetic yields and good diastereomeric control. The procedure should be very practically useful in organic.