

DONOR–ACCEPTOR CYCLOPROPANES: A REACTIVITY STUDY

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In the past decade, donor-acceptor-substituted (D-A) cyclopropanes have become versatile building blocks in organic synthesis. Their unique reactivity can be explained with their high ring strain ($\sim 115 \text{ kJ}\cdot\text{mol}^{-1}$) and their substitution pattern bearing an electron-donating and an electron-withdrawing group in vicinal positions. Because of a formal 1,3-zwitterionic character, they are able to undergo reactions with dipoles or nucleophiles and electrophiles to generate a huge variety of functionalized alkanes or heterocyclic compounds.^[1,2]

We present a reactivity study for different D-A cyclopropanes by a comparative analysis of the kinetic data of different formal cycloaddition reactions (see Fig. 1). We demonstrate that the measured rate constants for these reactions range over more than four orders of magnitude. Furthermore, a comparison of the evaluated data with different physical parameters is presented, showing that only the computed relaxed forced constants correlate well with the observed reactivity.^[3]

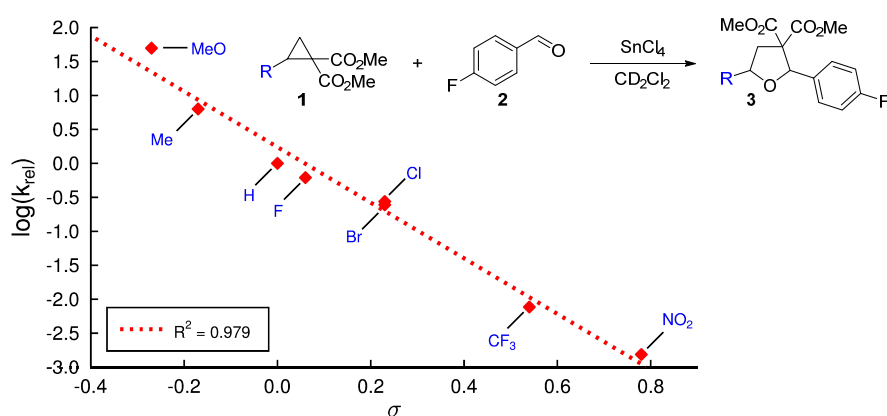


Figure 1: Hammett plot of the kinetic data of the formal cycloaddition reaction of various *para*-substituted D-A cyclopropanes with 4-fluorobenzaldehyde.

[1] T. F. Schneider, J. Kaschel, D. B. Werz, *Angew. Chem. Int. Ed.* **2014**, *53*, 5504–5523.

[2] H.-U. Reissig, R. Zimmer, *Chem. Rev.* **2003**, *103*, 1151–1196.

[3] A. Kreft, A. Lücht, J. Grunenberg, P. G. Jones, D. B. Werz, *Angew. Chem. Int. Ed.* **2019**, *58*, 1955–1959.