

Regioselective Diels-Alder reaction using hydrogen bonding of amides

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Keywords: Diels-Alder reaction, hydrogen bonding, amide, regioselectivity

Regioselective Diels-Alder reaction has been carried out by using electronic effect of functional groups. Therefore, when 1,2-di-substituted dienophile has electronically similar functional groups such as ester and amide, Diels-Alder reaction proceeds non-regioselectively. When both the diene and dienophile are aligned by utilizing intermolecular interaction such as hydrogen bonding between amides, regioselective Diels-Alder reaction is expected even with electronically symmetrical dienophile. The diene **1** and dienophile **2** bearing formamide moieties were designed as the substrates. A xanthene derivative **3** with two uracil moieties was also designed as the reaction field. When Diels-Alder reaction of **1** and **2** is carried out in the presence of **3**, the regioselective formation of **4** is expected via the alignment of **1** and **2** on **3** by the hydrogen bonding between amide groups.

Bromination of **5** afforded bromide **6**, by which formamide was alkylated to give **1**. Half ester **9** of fumaric acid **7** was converted to acid chloride to used it for the acylation of formhydrazide to obtain **2**. Cu(I)-catalyzed condensation of **11** and uracil **12** was investigated to prepare **3**.

