A Flow System for Enantioselective Carbonyl Ylide Cycloaddition

Polymer-supported chiral dirhodium(II) catalyst:

- 1a** R¹ = H, R² = H
1b R¹ = H, R² = CF₃
1c R¹ = CF₃, R² = H

Flow reactor:

solution of
2 and **3** or
2 and **5**

diameter: 11 mm
 length: 80 mm

○ : sea sand (4 g)

● : **1** (0.006 mmol of
 Rh^{II} catalyst)

Enantioselective carbonyl ylide cycloaddition:

Significance: Polymer-supported chiral dirhodium(II) catalysts **1a–c** were prepared and applied to the enantioselective carbonyl ylide cycloaddition under batch and flow conditions. Thus, the reaction of 2-diazo-3,6-diketo esters **2** with styrene (**3**) and phenylacetylene (**5**) was carried out with **1c** to give the corresponding cycloadducts **4** and **6**, respectively, in up to 80% yield and up to 99% ee.

Comment: The flow reactor packed with **1c** (0.1 mol% Rh) and sea sand was used for the reaction of **2a** and **3** to give **4** in 78% yield with 99% ee (leaching of Rh: 2.1 ppm; 0.013% of the initial catalyst charge). The flow reaction of **2b** and **5** with 0.0067 mol% Rh of **1c** afforded the cycloadduct **6** in 78% yield with 97% ee (TON = 11700, TOF = 780 h⁻¹).

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