Application of Dieckmann Condensation to Pyrrole Diesters

Organic Chemistry

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Introduction

• The Dieckmann condensation is commonly used in preparation of cyclic indole
• The heterocyclic indole can be biologically active against cancer
• The application of the Dieckmann condensation to make five-membered pyrroles was attempted using Lewis Acids as coordinators
• The modified Dieckmann condensation has never need published, making its procedure novel

Results

<table>
<thead>
<tr>
<th>#</th>
<th>Equivalence (v/w) of Et₃N to (2)</th>
<th>Equivalence (v/w) of AlCl₃ to (2)</th>
<th>Time (days)</th>
<th>Reaction Process a</th>
</tr>
</thead>
<tbody>
<tr>
<td>A</td>
<td>1.4</td>
<td>1.4</td>
<td>2</td>
<td>Incomplete</td>
</tr>
<tr>
<td>B</td>
<td>2.3</td>
<td>3.4</td>
<td>1</td>
<td>Incomplete</td>
</tr>
<tr>
<td>C</td>
<td>3</td>
<td>3</td>
<td>3</td>
<td>Incomplete</td>
</tr>
<tr>
<td>D</td>
<td>3.4</td>
<td>3.4</td>
<td>3</td>
<td>Complete</td>
</tr>
</tbody>
</table>

Table 1: Synthesis of (3) using AlCl₃ and Et₃N with difference equivalences

a based on GC-Mass and proton NMR

Scheme: Synthesis of 2, 3

Mechanism: Synthesis of 3

NMR data of 3

Conclusions

• Using extra equivalents of AlCl₃ and Et₃N allowed for the successful synthesis of 3
• Whether another choice of Lewis acids may also succeed the reaction remains to be discovered.

References