Asymmetric Reduction of α-Amino Ketones Catalyzed by Lewis Acids

**Significance:** The authors developed a metal-catalyzed asymmetric reduction of α-amino ketones using KBH₄ as hydride source. Under mild conditions, desired amino alcohols are obtained with high enantioselectivities.

**Comment:** β-Amino alcohols are important structural motif in natural or pharmaceutical compounds. The authors also presented a gram-scale version of this reaction and its possible transition state.

**Selected examples:**

<table>
<thead>
<tr>
<th>R₁</th>
<th>R₂</th>
<th>OH</th>
<th>NH₂HCl</th>
<th>KBH₄ aq (0.6 equiv)</th>
<th>ligand (8 mol%)</th>
<th>Ni(OTf)₂ (8 mol%)</th>
<th>THF–CH₂Cl₂ → 20 to 0 °C, 24 h</th>
</tr>
</thead>
<tbody>
<tr>
<td>Ph</td>
<td>Ph</td>
<td>OH</td>
<td>NH₂HCl</td>
<td>98% yield 92% ee</td>
<td></td>
<td></td>
<td></td>
</tr>
<tr>
<td>MeO</td>
<td>F</td>
<td>OH</td>
<td>NH₂HCl</td>
<td>90% yield 97% ee</td>
<td></td>
<td></td>
<td></td>
</tr>
<tr>
<td>Ph</td>
<td>Cl</td>
<td>OH</td>
<td>NH₂HCl</td>
<td>90% yield 77% ee</td>
<td></td>
<td></td>
<td></td>
</tr>
<tr>
<td>Ph</td>
<td>MeO</td>
<td>OH</td>
<td>NH₂HCl</td>
<td>80% yield 85% ee</td>
<td></td>
<td></td>
<td></td>
</tr>
</tbody>
</table>

**Possible transition state:**

Namen

**Synfacts Contributors:** Hisashi Yamamoto, Yasushi Shimoda

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