Direct Amination of Alkyl and Aryl Pinacol Boronates

**Significance:** Herein, the direct and stereospecific amination of various aryl and alkyl pinacol boronates with lithiated methoxyamine is disclosed. The corresponding (un)protected amines are obtained in very good yield and, in case of chiral compounds, with high enantiomeric excess.

**Comment:** Sensitive functional groups such as CN, OMe and CF₃ moieties are well tolerated with this methodology. In addition, this strategy might even be applied to gram-scale reactions without any further drawbacks.

**Selected examples:**

<table>
<thead>
<tr>
<th>R¹</th>
<th>R²</th>
<th>R³</th>
<th>R⁴</th>
<th>Yield</th>
<th>ee</th>
</tr>
</thead>
<tbody>
<tr>
<td>Alk</td>
<td>Me, OMe, Cl, NMe₂, TMS, CF₃</td>
<td>Ph, n-Hex</td>
<td>Me, Bn</td>
<td>up to 82%</td>
<td>up to 93% ee</td>
</tr>
</tbody>
</table>

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**Category:** Metal-Mediated Synthesis

**Key words:** boron, lithium, direct amination, pinacol boronates

**DOI:** 10.1055/s-0032-1317730; **Reg-No.:** P15212SF

**SYNFACTS 03012013, 9(1), 0086 Published online: 17.12.2012**