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Base Mediated Carboxylation of Unprotected Indole Derivatives with Carbon Dioxide


**Carboxylation of Unprotected Indole Derivatives with Carbon Dioxide**

**Significance:** A practical and straight-forward method for the preparation of indole-3-carboxylic acids has been reported. Deprotonation with LiOt-Bu under an atmospheric pressure of carbon dioxide furnishes a variety of indole-3-carboxylic acids in high yield.

**Comment:** The described reaction is very versatile since it tolerates various functional groups and has therefore a broad substrate scope. According to the authors, the large excess of LiOt-Bu suppresses the undesired decarboxylation side reaction.

**Selected examples:**

- \( \text{R}^1 = \text{H}, \text{Me}, \text{OH}, \text{OME}, \text{OBn}, \text{CN}, \text{F}, \text{Br} \)
- \( \text{R}^2 = \text{H}, \text{Me}, \text{Ph} \)

\[
\text{LiOt-Bu (5 equiv)} \quad \text{CO}_2 \quad (1 \text{ atm}) \\
\text{DMF, 100 °C, 24 h} \\
\text{up to 97% yield}
\]

95% yield
92% yield
90% yield
83% yield
88% yield
80% yield
96% yield
94% yield
31% yield