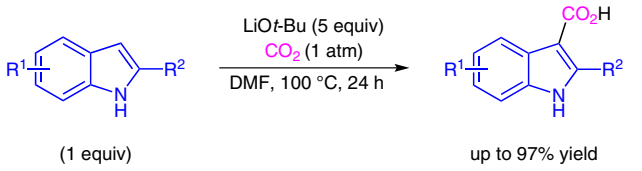
W.-J. YOO, M. G. CAPDEVILA, X. DU, S. KOBAYASHI* (THE UNIVERSITY OF TOKYO, JAPAN)

Base Mediated Carboxylation of Unprotected Indole Derivatives with Carbon Dioxide
Org. Lett. **2012**, *14*, 5326–5329.

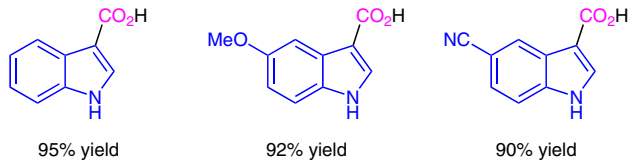
Carboxylation of Unprotected Indole Derivatives with Carbon Dioxide



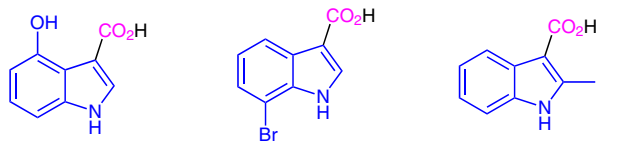
(1 equiv) up to 97% yield

R^1 = H, Me, OH, OMe, OBn, CN, F, Br
R^2 = H, Me, Ph

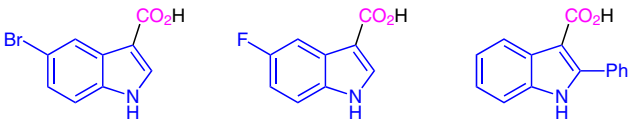
Selected examples:



95% yield 92% yield 90% yield



83% yield 88% yield 80% yield



96% yield 94% yield 31% yield

Significance: A practical and straight-forward method for the preparation of indole-3-carboxylic acids has been reported. Deprotonation with LiO*t*-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 LiO*t*-Bu suppresses the undesired decarboxylation side reaction.

SYNFACTS Contributors: Paul Knochel, Christoph Sämann
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Category

Metal-Mediated Synthesis

Key words

carboxylation
indoles
carbon dioxide
lithium