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SYNFACTS Highlights in Chemical Synthesis

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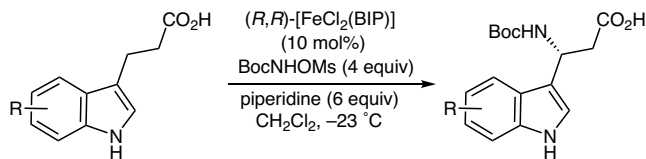
 **Thieme**

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β^3 -Tryptophans by Iron-Catalyzed Enantioselective Amination of 3-Indolepropionic Acids

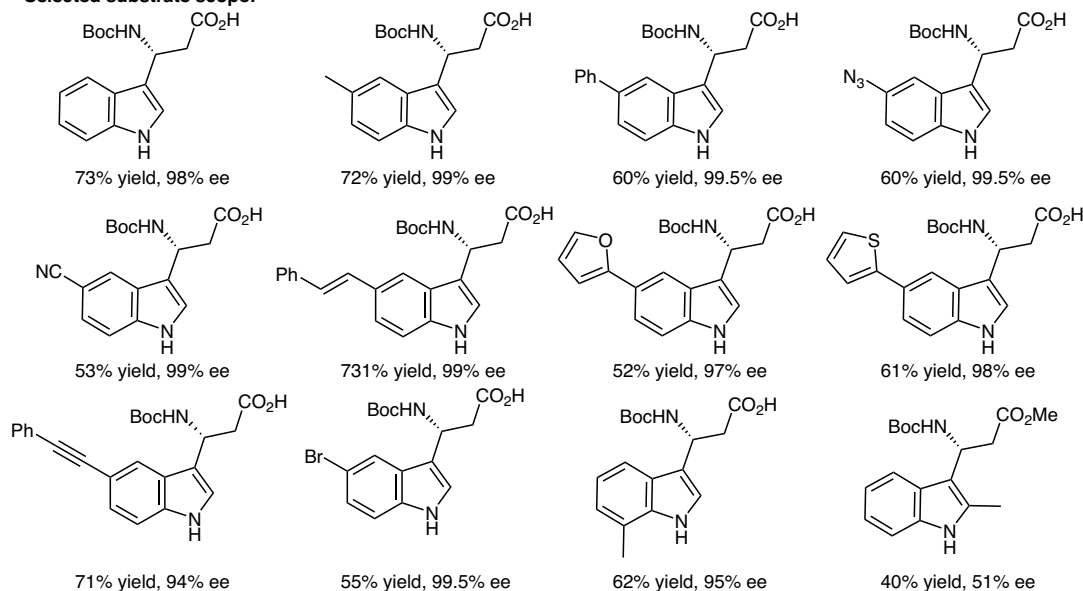
Org. Lett. 2024, 26, 8361–8365, DOI: 10.1021/acs.orglett.4c03130

Asymmetric Synthesis of β^3 -Tryptophan via C–H Amination



23 examples 46–74% yield ee from 94% to >99%

Selected substrate scope:



Significance: β -Amino acids are key building blocks for many drug molecules. The authors described a strategy of iron-catalyzed 1,6-hydrogen-atom transfer (HAT) followed by C–N bond formation to access enantioselective β^3 -tryptophan derivatives.

Comment: This new method for synthesizing N-Boc- β^3 -tryptophans using an iron-catalyzed C(sp³)-H amination of 3-indolepropionic acids provides high ee values and is versatile for various applications, aligning with sustainability principles by utilizing iron as a safe and eco-friendly catalyst.