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# SYNTHESIS OF BICYCLIC PROLINE ANALOGUES

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α – Amino acids are widely used in drug design and peptide chain synthesis. Proline is the only cyclic natural amino acid, therefore its conformational rigidity plays an important role in protein secondary structures, such as alpha helices.
Herein we report the synthesis of previously unreported bicyclic amino acid derivatives 4 substituted at bridgehead positions. These amino acids are even more conformationally rigid than proline, thereby ensuring that substituents are at fixed positions relative to one another.
The key intermediate that is used for synthesis of amino acid 4 is TBS protected amino alcohol 2, which is obtained by α – lithiation of N-Boc protected bicycle 1. The next lithiation step allows convenient functionalization of the other bridgehead position. Diversity of derivatives 3 can be achieved using transmetallation of organolithium intermediate with CuCN·2LiCl complex, which allows the use of a broad scope of electrophiles R-X.

Amino acid precursors 3 are deprotected and oxidized to give bicyclic α – amino acids 4.

**Primary author:** MEIBERGA, Mērija Agnese

**Presenter:** MEIBERGA, Mērija Agnese

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