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Scaffold-oriented synthesis based on the castagnoli-cushman reaction

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The formal cycloaddition of dicarboxylic acid anhydrides and imines (known as the castagnoli-cushman reaction) provides a facile entry into poly substituted, stereo defined lactams bearing carboxylic acid functionality. In light of the current scaffold-oriented research efforts worldwide being focused of such hydrophilic, saturated and stereo defined frameworks, the reaction is gaining popularity. However, its synthetic potential, especially when it comes to expanding the scope of the cyclic anhydrides employable in the process, remains to be fully unveiled. We have been working on increasing the value of this reaction for the medicinal chemistry community by expanding the scope of dicarboxylic acids anhydrides; developing parallel synthesis-friendly reaction formats and; investigating the access to cis- and trans-configured products predominantly and looking for opportunities to apply the newly generated scaffolds to the design of novel small molecule tools to perturb the functioning of biological targets. This talk will focus on the latest developments in this area from our Laboratory of Chemical Molecular Pharmacology housed in the Institute of Chemistry of St Petersburg University.

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