Synthesis of unique pyrrolidines for drug discovery

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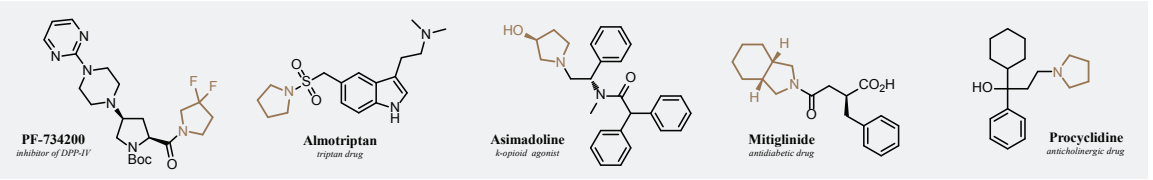
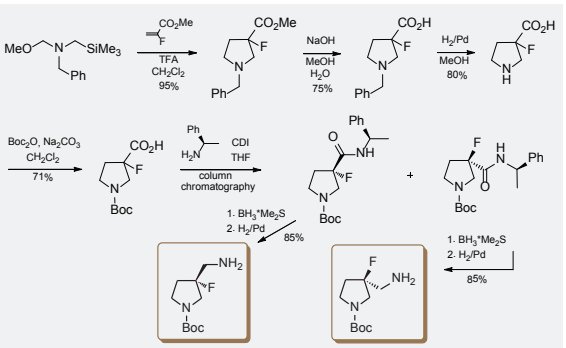
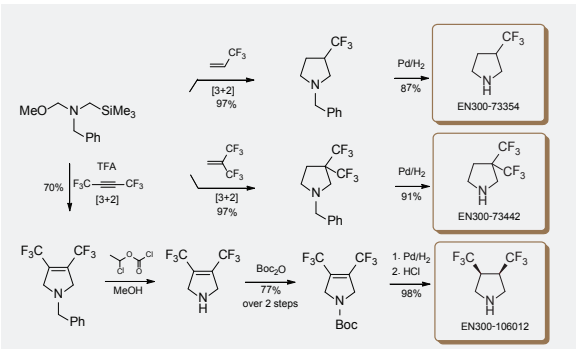
Introduction and Aim

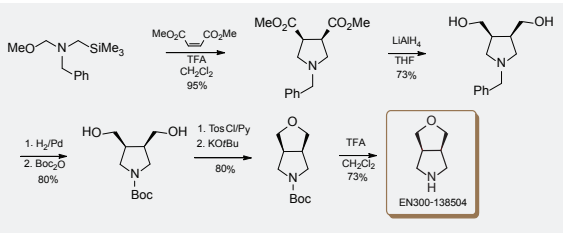
Pyrrolidine-moiety is common in drug discovery, as it is found in more than 20 FDA-approved drugs. We have designed and synthesized a library of unique substituted pyrrolidines as promising building blocks for medicinal chemistry. The key reaction was a [3+2]-cycloaddition of azomethine ylides with electron-deficient alkenes.

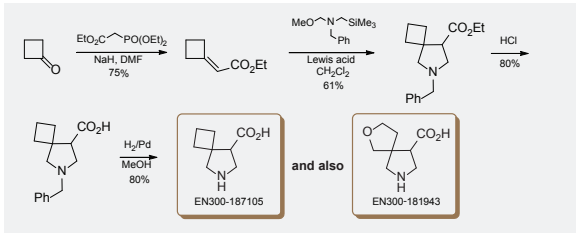


Synthesis



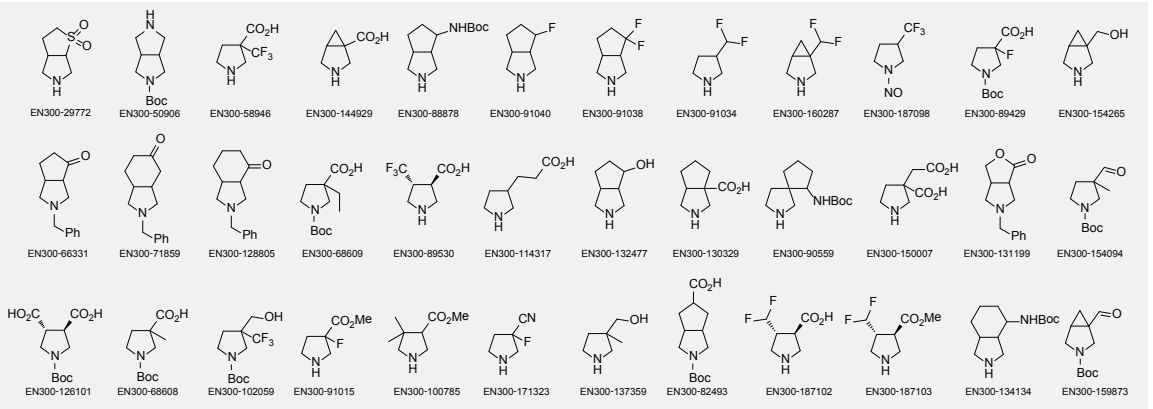






Results

A library of novel and/or previously scarcely accessible substituted pyrrolidines has been synthesized in multi-gram amounts.[1-4] All compounds are in stock.



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References

1. V. Yarmolchuk et al. T*etrahedron* **2014**, 3011.
2. V. Yarmolchuk et al. *Eur. J. Org. Chem.* **2013**, 3086.
3. V. Yarmolchuk et al. *J. Org. Chem.* **2011**, 7010.
4. V. Yarmolchuk et al. *Tetrahedron Lett.* **2011**, 1300.