Conformationally restricted scaffolds by Double-Mannich reaction of cyclic ketones

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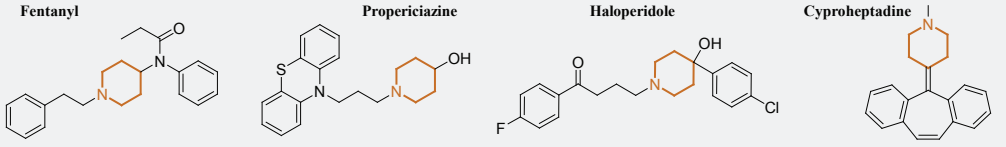
Introduction

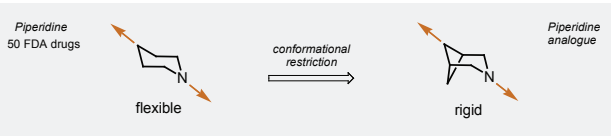
More than 50 FDA-approved drugs contain 1,4-disubstituted piperidine fragment. On the other hand, conformational restriction is effective to improve/modify pharmacological profiles of lead compounds: due to a fixation of the functional groups in a biologically active conformation, the sterically restricted compounds are often more efficient and are selective ligands for various targets, thus displaying pronounced biological activity.

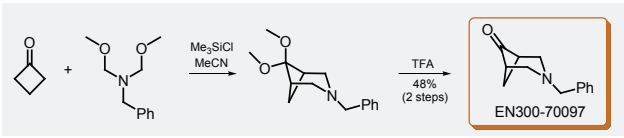


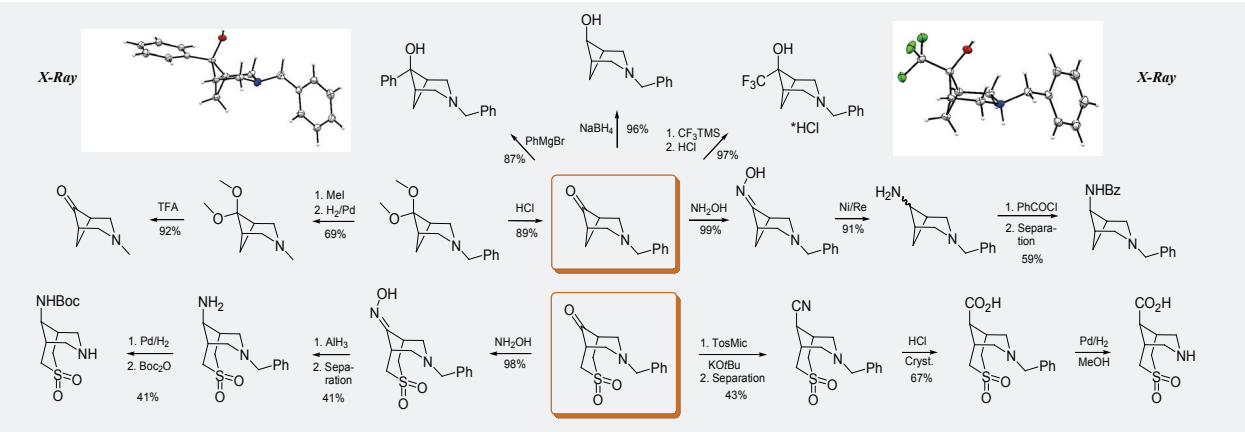
Aim

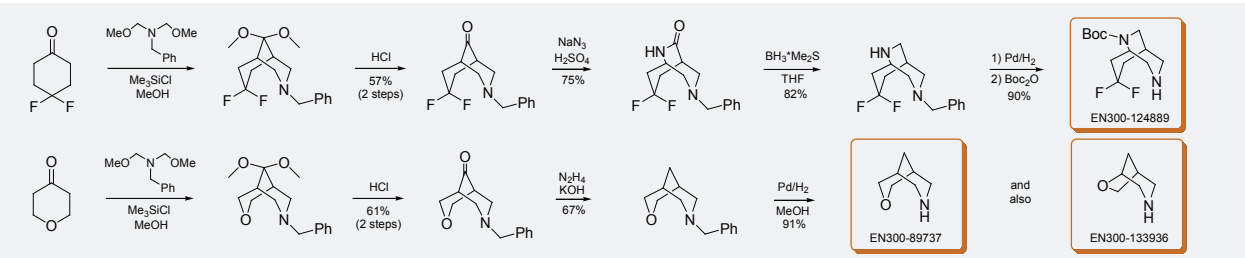
To synthesize a library of conformationally restricted piperidine scaffolds.

Design and Synthesis



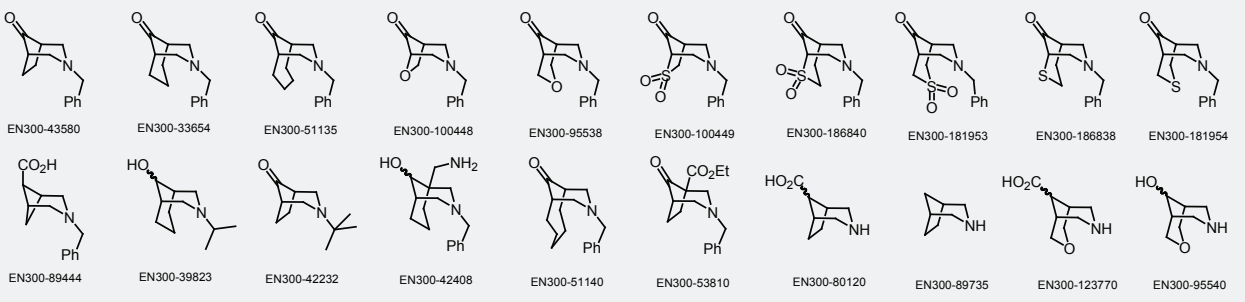






Results

A library of novel and/or previously scarcely accessible conformationally restricted piperidine scaffolds has been synthesized.[1-4] All compounds are in stock.



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References

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4. O. Denisenko et al. *Org. Lett.* **2010**, 12, 4372.