Novel Class of Piperidine Bioisosteres

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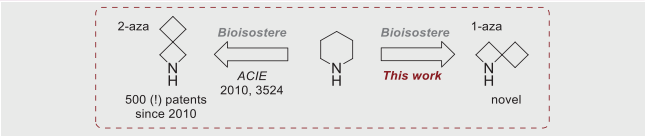
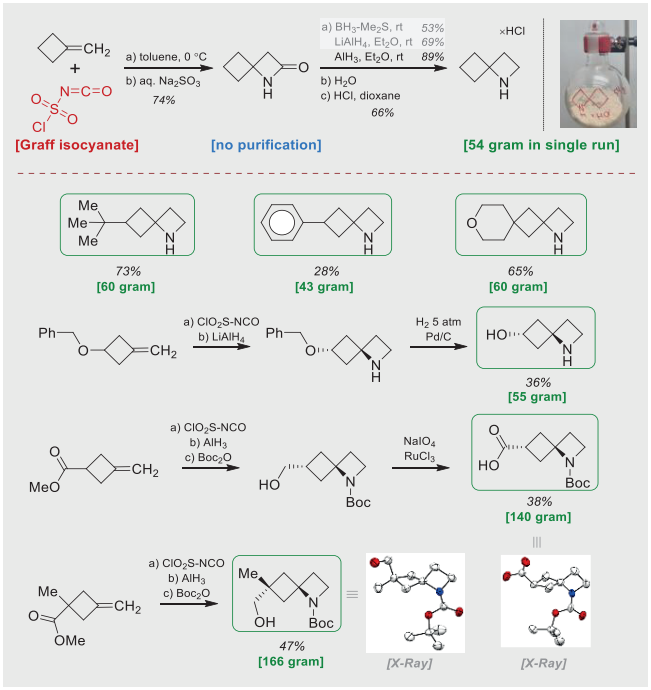
Introduction and Aim

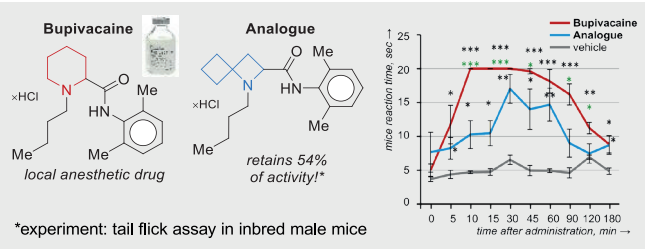
Piperidine is extremely common, being the third most frequently used ring structure in pharmaceuticals.[1] Replacement of piperidine with bioisosteres allows keeping the overall molecular shape, while improving the pharmacokinetics owning to far lesser susceptibility to natural degrading enzymes.[2] Herein, we developed 2-azaspiro[3.3]heptane as a novel class of piperidine bioisosteres.[3]



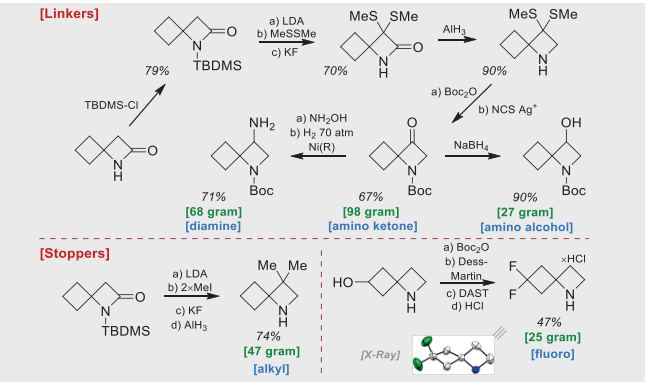
Synthesis



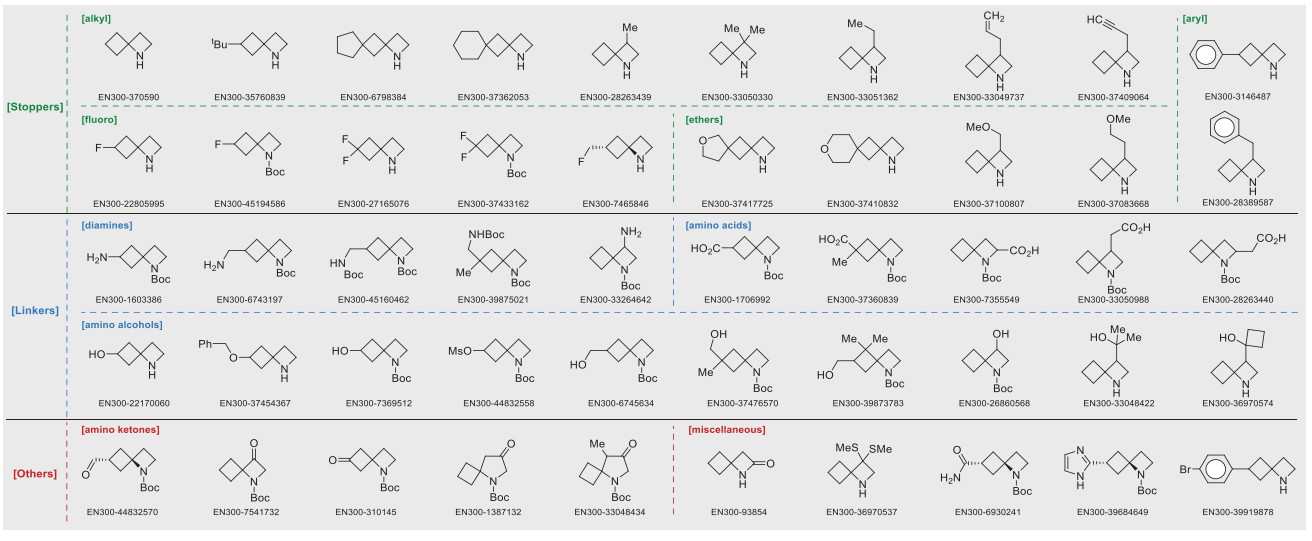
Validation



Modifications



Results



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References

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