

Photochemical Access to Drug-Like Alkyl Azetidines: Batch and Flow Strategies

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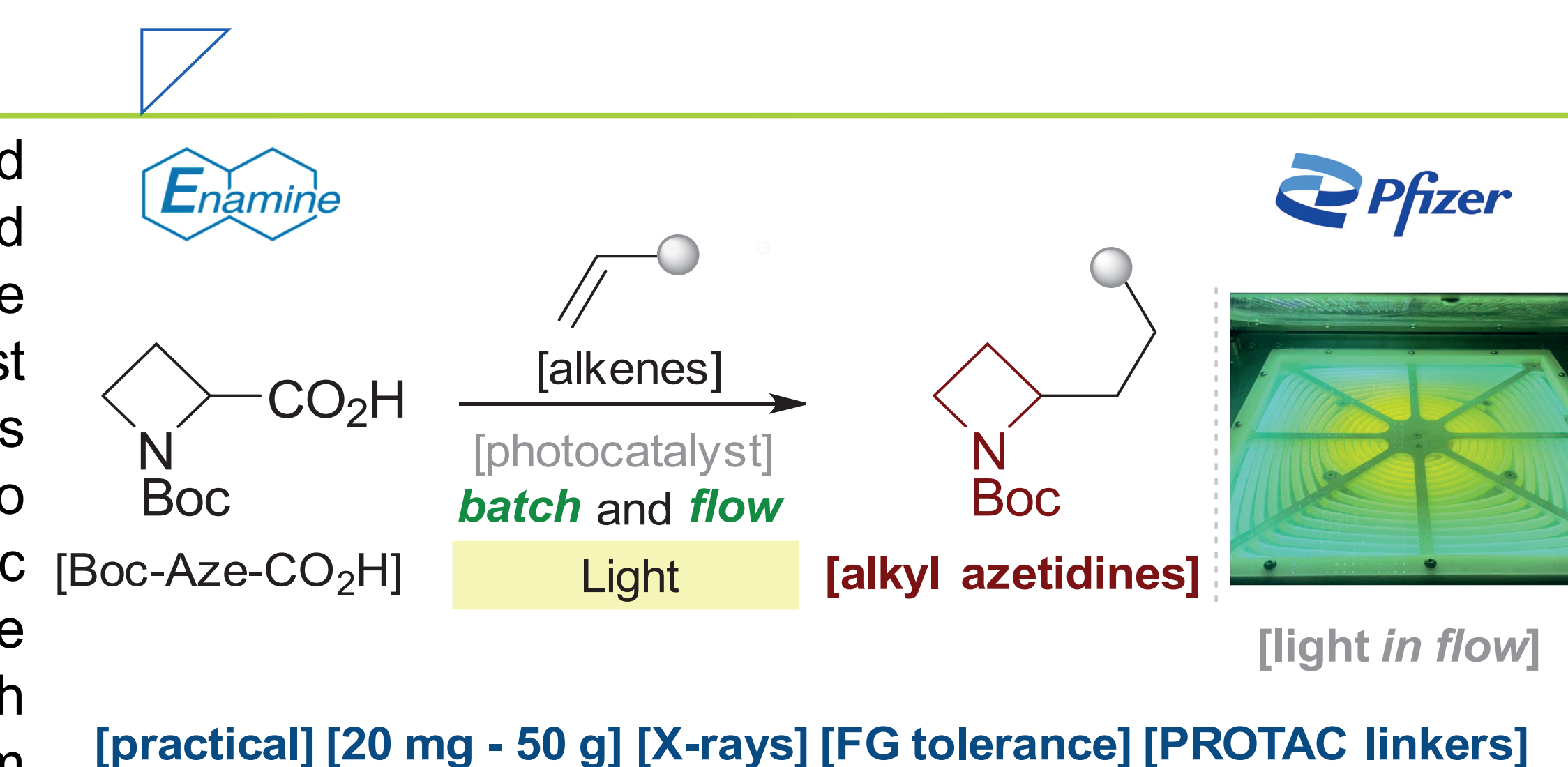
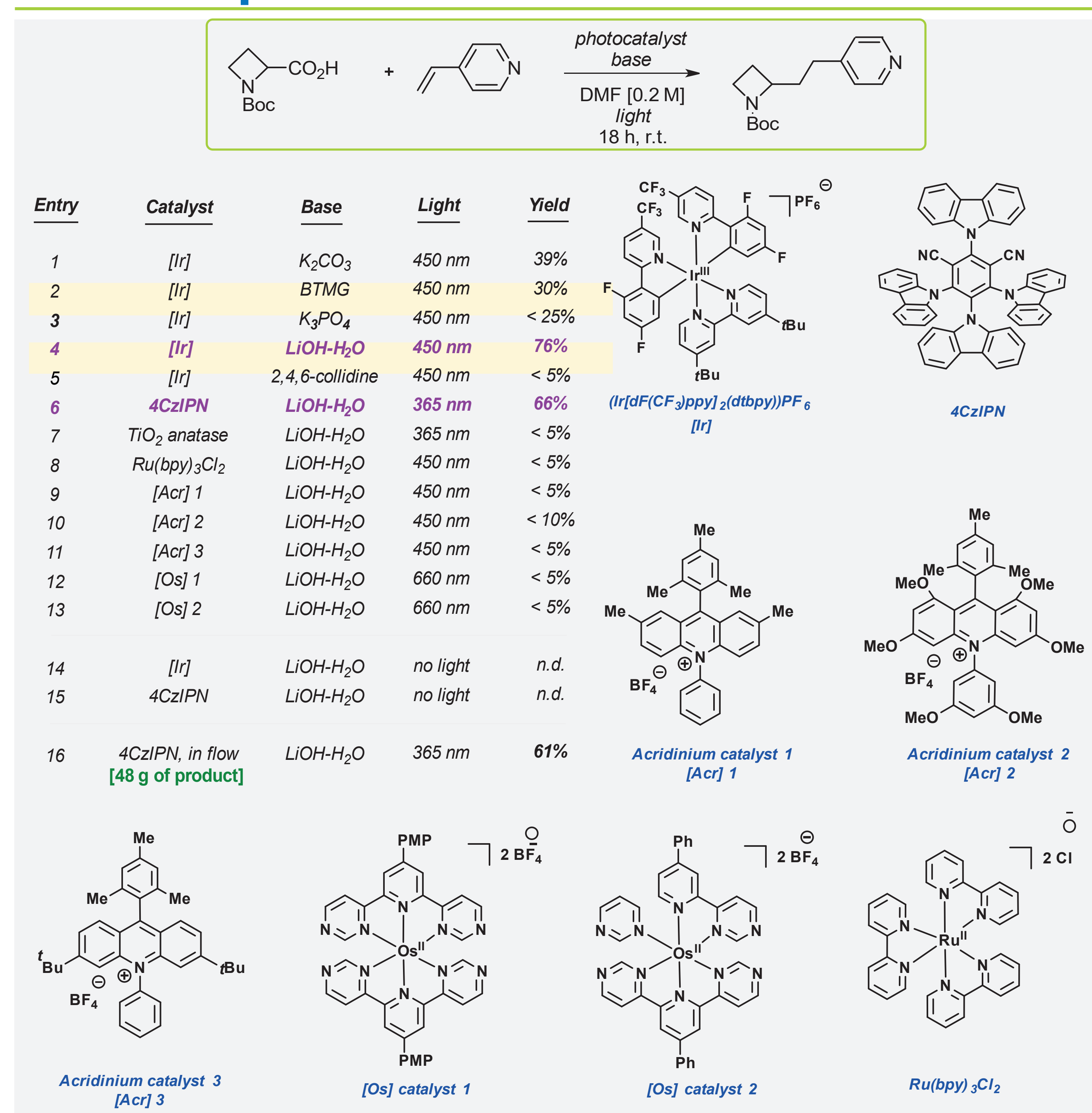
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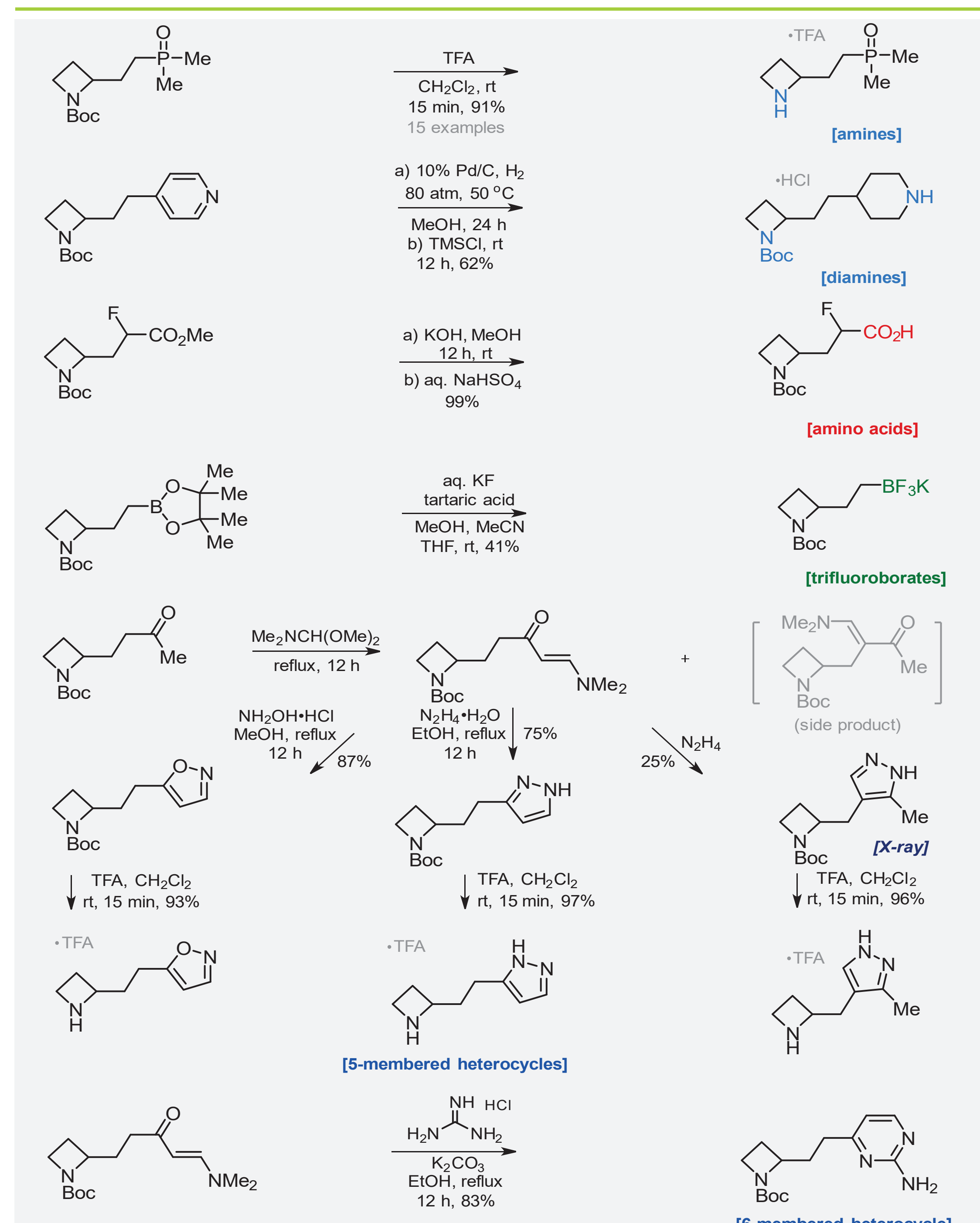
Introduction and Aim

Azetidines are strained four-membered nitrogen heterocycles that have gained growing interest in medicinal chemistry due to their distinct structural and physicochemical features. At least seven approved drugs already contain azetidine units, with many more in clinical development. Despite this relevance, most synthetic methods target 3-substituted azetidines¹, while 2-substituted variants remain underexplored.² Here, we report two scalable photochemical strategies to access alkyl-substituted azetidines. The first enables ring construction from aliphatic ketones and vinyl azides; the second introduces a direct, systematic method for the photochemical functionalization of azetidine-2-carboxylic acids with alkenes. Both are compatible with batch and flow setups, allowing efficient synthesis from milligram to multigram scale – offering valuable tools for drug discovery.³

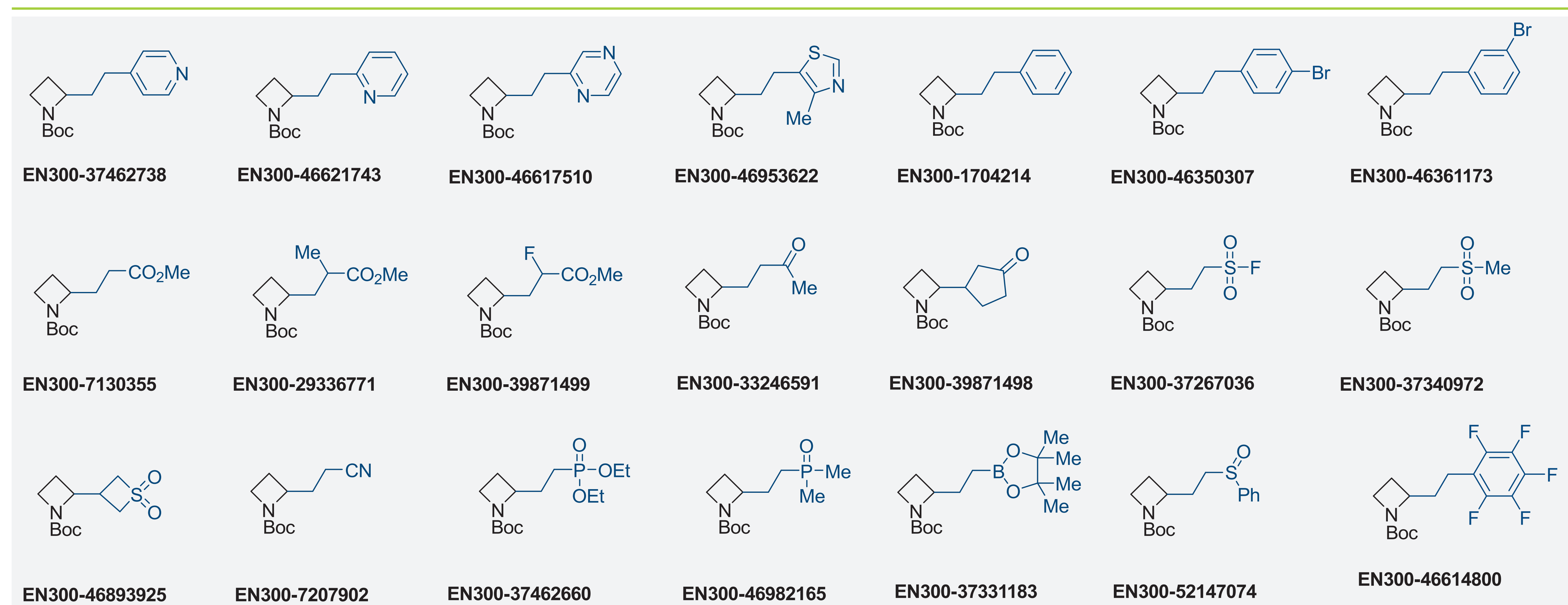
Reaction optimization



Azetidines for medchem



Results



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References

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