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Synthesis of 3,3-Diarylatedizidines
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ABSTRACT

Azetidine is a four-membered nitrogen-containing saturated heterocyclic ring that has recently become a molecular scaffold for drug discovery. Azetidines have been widely used as a scaffold for drug discovery encompassing several functional groups at different positions of the ring. Currently there are many drugs and clinical candidates that are azetidine derivatives. Azetidine heterocycles play an important role in pharmaceuticals, and many of them are commercially used and studied for the treatment of a variety of diseases and disorders. The 3,3-diarylatedizidines will be explored as potential psychotherapeutic agents and antidepressants.

INTRODUCTION

Azetidine is used currently used as an anticonvulsant. The 3,3-diarylatedizidines are widely used for as a scaffold for drug design. The 3,3-diarylatedizidines will be explored as potential psychotherapeutic agents and antidepressants.

CONCLUSION

The 3-4-methylphenyl-3-phenylazetidin-1-ol was successfully synthesized from N-Boc-3-phenylazetidin-3-one via reaction with phenyllithium to furnish N-Boc-substituted azetidine in 67% yield. The subsequent Friedel-Crafts alkylation reaction in the presence of the Lewis acid catalyst aluminum chloride gave 3-4-methylphenyl-3-phenylazetidine in 89% yield. This two-step procedure provides the desired azetidines in good overall yield. The scope and limitations of this new synthetic route will be explored.

ACKNOWLEDGMENTS

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REFERENCES


Future construction of novel 1-Substituted-3,3-Diarylatedizidines

1-Boc-3-phenylazetidine-3-ol

Synthesis of N-Boc-3-phenylazetidine-3-ol:

Proposed Synthetic Scheme for Synthesis of 3,3-Diarylatedizidine

Synthesis of 3-(4-methylphenyl)-3-phenylazetidine Oxalate salt:

Synthesis of 3,3-Diarylazetidines

N-Boc-3-phenylazetidine-3-one

1. NMR (300 MHz, CDCl3): δ 7.78 (d, J = 21Hz, 9Hz), 3.55 (s, 1H), 1.44 (s, 9H).
2. NMR (75 MHz, DMSO): δ 162.7, 146.7, 145.9, 142.7, 136.8, 129.1, 126.9, 110.0, 48.6, 20.9

3. NMR (75 MHz, DMSO): δ 162.7, 146.7, 145.9, 142.7, 136.8, 129.1, 126.9, 110.0, 48.6, 20.9

Synthesis of 3,3-Diaryl Azetidine

3,3-Diarylazetidine Oxalate salt

1. NMR (300 MHz, CDCl3): δ 7.50-7.26 (m, 5H), 4.18 (s, 4H), 2.23 (s, 3H).
2. NMR (75 MHz, DMSO): δ 7.50-7.26 (m, 5H), 4.18 (s, 4H), 2.23 (s, 3H).
3. NMR (75 MHz, DMSO): δ 7.50-7.26 (m, 5H), 4.18 (s, 4H), 2.23 (s, 3H).

Future construction of novel 1-Substituted-3,3-Diarylatedizidines

In the future, the synthesis of novel 1-alkyl-3,3-diarylatedizidines and 1-aryl-3,3-diarylatedizidines will be investigated. Conversion of 3,3-diarylatedizidines into N-substituted azetidine derivatives via an alkylation reaction of the amine will be attempted. The biological evaluation of these novel 1-alkyl-3,3-diarylatedizidines and 1-aryl-3,3-diarylatedizidines will be explored as potential psychotherapeutic agents and antidepressants.