

Abstract

Synthesis of Azetidine-Based Beta-Amino Alcohols †

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† Presented at the 8th International Electronic Conference on Medicinal Chemistry, 1–30 November 2022; Available online: <https://ecmc2022.sciforum.net/>.

Abstract: Beta-amino alcohols are versatile chemicals used as scaffolds in medicinal chemistry and they are key factors for the efficacy of numerous pharmaceutical products. Locking the conformation of the active fragment in bioactive molecules may increase the potency and selectivity towards target receptors. Small rings, especially the azetidine framework, can serve as conformational lock yet providing the necessary size for receptor binding. Herein, we report the synthesis of enantiopure beta-amino alcohols where the motif is combined with a structurally constrained azetidine cycle. The key steps towards target molecules include base-induced azetidine ring closure and subsequent beta-amino alcohol core installation.

Keywords: beta-amino alcohols; 2,4-azetidines; 2,2,4-azetidines; asymmetric synthesis

Supplementary Materials: The following are available online at <https://www.mdpi.com/article/10.3390/ECMC2022-13471/s1>.

Funding: This research was funded by ERDF grant number 1.1.1.2/VIAA/4/20/755.

Institutional Review Board Statement: Not applicable.

Informed Consent Statement: Not applicable.

Conflicts of Interest: The author declares no conflict of interest.



Citation: Supe, L. Synthesis of Azetidine-Based Beta-Amino Alcohols. *Med. Sci. Forum* **2022**, *14*, 23. <https://doi.org/10.3390/ECMC2022-13471>

Academic Editor: Alfredo Berzal-Herranz

Published: 1 November 2022

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