

SYNTHESIS OF 1-ALKYL-2-(TRIFLUOROMETHYL)AZETIDINES AND THEIR REGIOSPECIFIC RING OPENING TOWARD DIVERSE -(TRIFLUOROMETHYL)AMINES VIA INTERMEDIATE AZETIDINIUM SALTS

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TÓM TẮT:

A convenient approach toward nonactivated 1-alkyl-2-(trifluoromethyl)azetidines as a new class of constrained azaheterocycles was developed starting from ethyl 4,4,4-trifluoroacetoacetate via imination, hydride reduction, chlorination, and base-induced ring closure. Furthermore, the reactivity profile of these 2-CF₃-azetidines was assessed by means of quaternization and subsequent regiospecific ring opening at C4 of the azetidinium intermediates by oxygen, nitrogen, carbon, sulfur, and halogen nucleophiles, pointing to a clear difference in reactivity compared to azetidines bearing other types of electronwithdrawing groups at C2.

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