STRAIGHTFORWARD SYNTHESIS OF 1-ALKYL-2-(TRIFLUOROMETHYL)AZETIDINES AND THEIR REGIOSPECIFIC RING OPENING TOWARD DIVERSE -CF3-AMINES VIA INTERMEDIATE AZETIDINIUM SALTS

Sara Kenis, Matthias D'hooghe, Guido Verniest, Tuyet Anh Dang Thi, Phạm Thế Chính, Nguyen Van Tuyen and Norbert De Kimpe

TÓM TẮT:

A straightforward 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 baseinduced ring closure. Furthermore, the reactivity profile of these 2-CF3-azetidines was assessed by means of quaternization and subsequent regiospecific ring opening of the azetidinium intermediates by oxygen, nitrogen, carbon, sulfur and halogen nucleophiles, providing a convenient entry into a variety of novel acyclic -(trifluoromethyl)amines.