One-pot synthesis of Fmoc/Cbz - protected *β*-amino alkyl azides directly from alcohols and their utility in the synthesis of 1,4-substituted 1,2,3-triazole based *N*-protected ε-amino acids

(Funded by Karnataka State Council for Science and Technology)

(Student Project Programme - 37th Series)

Project report

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June 2014

ABSTRACT

Azide is one of the most versatile functional groups in organic synthesis owing to the fact that it is the most convenient source of amines, which are very common in natural products as well as pharmaceutical heterocycles.

Additionally, the stability of azides under physiological conditions and their inimitable reactivity patterns make them one of the most sought-after functionalities in click chemistry as well as in bioconjugation *via* Straudinger ligation. Therefore, the development of efficient protocols for the synthesis of azide using easily available, yet efficient reagent systems is of immense importance. In spite of the availability of a wide range of indirect methods, the most common being the substitution of alkyl halides with inorganic azides, direct methods for the said transformation are only a few.

In the present work, an efficient protocol for the synthesis of $N^{\alpha-}$protected β-amino alkyl azides in excellent yields from their corresponding protected alcohol by stirring a solution of sodium azide in DMSO with a thoroughly ground equimolecular mixture of triphenylphosphine, iodine, and imidazole is proposed. The method is easy, fast, and free from racemization. After the usual work-up and column purification, the desired products obtained were fully characterized by ^{1}H and ^{13}C NMR and mass spectral studies.