AN EFFICIENT PROTOCOL FOR THE SYNTHESIS OF N^{α} PROTECTED β AMINO ALCOHOLS BY EMPLOYING EDC/HBTU

(Under the Student Project Programme of KSCST)

Project report

36th Series of Student Project Programme 2012-2013

Project Members

ASHWIN M. K. **[1SI09CH008]**

RACHANA PRASAD **[1SI09CH040]**

RAHUL PRASAD B. A. **[1SI09CH042]**

RITWIK KAR **[1SI09CH045]**

Guides:

DR. K.L. SHIVABASAPPA M. Tech., Ph.D
Professor and Head
Department of Chemical Engineering
SIT, Tumkur

DR. H.S. LALITHAMBA M.Sc., Ph.D
Assistant Professor
Department of Chemistry
SIT, Tumkur

DEPARTMENT OF CHEMICAL ENGINEERING

Siddaganga Institute of Technology, Tumkur-572103

Karnataka, India

(An autonomous Institution affiliated to Visvesvaraya Technological University, Belgaum)

Recognized by AICTE &Accredited by NBA, New Delhi)

2012-2013

ABSTRACT

Coupling agents such as HBTU and EDC have been widely used for the synthesis of N^{α}-urethane protected amino acid azides and their conversion to ureidopeptides. Despite extensive applications of these coupling agents in numerous reactions, the utility of these reagents in the synthesis of N^{α}-protected-β-amino alcohols has not been explored. In view of this, it is proposed to employ these coupling reagents for the preparation of synthetically important and biologically significant peptidomimetic scaffolds. In view of their wide spread applications, it is desirable to have a convenient and high yielding procedure for the synthesis of β-amino alcohols.

In the present work it is proposed to demonstrate an efficient protocol for the reduction of the *in situ* generated *N*-protected amino *O*-acyl isourea/ester to the corresponding β-amino alcohols employing EDC /HBTU and $NaBH_4$ in water. The formation of the protected alcohol is initially tested on a TLC plate. The protected alcohols are further confirmed by ^{13}C NMR, ^{1}H NMR and HRMS.