Recent Research Trends in
CHEMISTRY

Volume - 1

Chief Editor

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Integrated Publications
New Delhi

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Chapter - 2

Green Approach to Chemo-Selective N-Boc Protection of Amines using Catalytic amount of Lithium Hydroxide Monohydrate under Solvent Free Condition

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Abstract

The protecting group plays important role in synthesis of multifunctional targets. A simple rapid efficient and green method for chemo-selective N-Boc protection of amines using Lithium hydroxide as a green catalyst. In the present work amine protection in presence of di-tert-butyl carbonate under solvent free condition is carried out. An efficient green protocol for chemoselective N-Boc protection of aryl, aliphatic, aromatic, acyclic and hetero cyclic amines (1 m mol %) (1^0, 2^0, 3^0) were carried out with di-tert-butyl carbonate $(Boc)_2O$ using Lithium hydroxide monohydrate (10 mol %) at room temperature under solvent free condition to give white solid monitored on TLC. No side reactions are observed. The present protocol were simple, rapid, efficient, shorter reaction times, high yielding, highly selective, economical and eco-friendly.

Keywords: N-Boc, lithium hydroxide monohydrate, protection of amines, solvent free, chemo-selective, green method

1. Introduction

The environmentally friendly and economically inexpensive synthetic procedures are being developed to reduce the harmful effect of organic solvent on environment is major challenge in synthetic and medicinal Chemistry. The amino functional group has important role in biological functions as well as organic synthesis. The protection and de-protection of organic functional groups play vital role in multistep organic synthesis [1]. There is need to protection amine function may biological active compound frequently used in synthetic and medicinal chemistry. Among them, the protection of N-tert-methoxycarbonylation has great attention due to extra stability of N-Boc group towards nucleophilic attack, alkaline condition and catalytic hydrogenation [2].