Recent Research Trends in CHEMISTRY

Volume - 1

Chief Editor

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> Integrated Publications New Delhi

Contents

Chapters		Page No.
1.	Biological, Pharmacological Activities of Schiff Bases of Transition Metal Complexes are derived from Substituted Pyridine, Aminopyridine and Aminopyrimidine (D.T. Sakhare)	01-21
2.	Green Approach to Chemo-Selective N-Boc Protection of Amines using Catalytic amount of Lithium Hydroxide Monohydrate under Solvent Free Condition (Sandip P. Gondake, Santosh R. Kshirsagar, Ashok S. Pise, Valmik S. Kapase and Sagar I. Shinde)	23-33
3.	One-Pot Green Method for the Synthesis of Oxazine Derivatives under Aqueous Medium (Santosh R. Kshirsagar, Ashok S. Pise, Sagar I. Shinde, Sandip P. Gondake and Valmik S. Kapase)	35-47
4.	Zeolite: Introduction, Classification, Structure, Method of Synthesis and Application (Dr. Sachin Pandit Gadekar)	49-65
5.	Applications of Nano-Catalysts in the Synthesis of Triazoles, Oxadiazoles and Tetrazoles (P.M. Jadhav)	67-89
6.	Toxic Effect of Hazardous Lead on Printing Press Worker (Dr. Shweta Hingwasiya)	91-109
7.	Inhibition of Mild Steel Corrosion in 1 M HCl Solution using Triazole Derivatives (<i>Pratap P. Kamble</i>)	111-127

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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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°, 2°, 3°) were carried out with di-tert-butyl carbonate (Boc)₂ O 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-tertmethoxycarbonylation has great attention due to extra stability of N-Boc group towards nucleophilic attack, alkaline condition and catalytic hydrogenation ^[2].