
Preface

The nitron moiety can be regarded as a 3 centered dipolar 4π system, which enables 1,3-dipolar cycloaddition reactions with different dipolarophilic reagents. 1,3-dipolar cycloadditions are susceptible to both electronic and steric influences. 1,3-dipolar cycloaddition reaction between a nitron and an olefinic dipolarophiles is an efficient method for the synthesis of isoxazolidine systems.

The question of reactivity and substituent effects in 1,3-dipolar cycloaddition reaction has been rationalized successfully using *frontier molecular orbital theory* which provides relative interaction energies of frontier orbitals between 1,3-dipole and dipolarophiles. The electron attracting or electron releasing moiety influences the atomic orbital coefficients and has a significant influence on the regioselectivity of the reaction.

Further more, the cycloadducts (isoxazolidine and isoxazoline derivatives) synthesized from α -amino nitrones have found numerous applications in synthesis through reductive cleavage of the N – O bond to give a variety of γ -amino alcohols and aziridine derivatives as well. In addition α -amino nitrones may be employed as a good oxidizing reagent in the synthesis of aldehydes and ketones. Asymmetric induction in nitron olefin cycloaddition has been achieved through the incorporation of chirality in both the dipole and the dipolarophiles. *Greener approaches* in the synthesis and cycloaddition reactions have made this chemistry much more attractive nowadays. *Use of water* as the solvent has been found to *influence the rate, regioselectivity and stereoselectivity* of cycloaddition reactions remarkably.

The present dissertation entitled “*Greener synthesis and 1,3-Dipolar cycloaddition reactions of α -amino nitrones and studies of biological activities of the cycloadducts*” reports synthesis and 1,3-dipolar cycloaddition reactions of α -amino nitrones. The cycloaddition reactions have been executed with these nitrones and a variety of olefins and alkynes leading to the generation of regio and stereoselective cycloadducts and their further applications to synthetically more important molecules as well. Synthesis of few new spiro cycloadducts (spiro isoxazolidine derivatives) and peptide synthesis is also an important feature in this dissertation. Significant biological activity of the newly synthesized cycloadducts has also been noticed.

The following chapters fulfill these ideas:-

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- Chapter I** This chapter deals with the general theoretical approach and basic concepts of different 1,3-dipoles. The chapter also explains their stabilities and general nature of intra, inter molecular 1,3-dipolar cycloaddition reactions of nitrones. Special emphasis has been given on HOMO – LUMO approach in this regard. Attempts have been made in this chapter to cover a comprehensive review of the literature and latest developments up to March, 2017.
- Chapter II** It deals with the most important experimental section. In this section, the method of synthesis of α -amino nitrones (*N-Phenyl- α -amino nitrone* and *N-Methyl- α -amino nitrone* respectively derived from formamide) has been discussed. 1,3-dipolar cycloaddition reactions of these nitrones with different activated olefins and alkynes have been studied using *green chemistry methodologies* like *aqueous phase synthesis* and *solvent less solid phase reactions (using microwave irradiations)*. Some useful synthetic applications of the new cycloadducts have been also performed successfully for their conversion into the synthesis of *amino alcohols*, *peptides* and *aziridine derivatives* as well. This chapter also describes how α -amino nitrones may be utilized as an oxidizing reagent in the synthesis of aldehydes and ketones. The chapter also deals with *biological study* of the new cycloadducts.
- Chapter III** This chapter deals with results and discussion and achievements of the work done. Spectral interpretation *viz.* ^1H NMR, ^{13}C NMR, MS, IR and elemental analysis has been discussed in detail. The chapter also explains in detail how the stereoselectivity of the new cycloadducts have been assigned.
- Chapter IV** This chapter is focused on the future perspectives of the work done and opens new dimensions of further research in this chemistry.
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