**Abstract**

In the present work, we have synthesized five membered heterocyclic isoxazoli(di)nes via 1,3-dipolar cycloaddition reaction. The key intermediate 1,3-dipole nitrones, were synthesized by *in situ* generation of hydroxylamine through the control reduction of nitroarenes.

Synthesized trimethoxyphenyl isoxazolidine derivatives are good inhibitors of the PLA₂ enzyme. The inhibition of isoxazolidine derivatives was further enhanced by substituting hydrophobic and aromatic groups in the 5<sup>th</sup> position of the ring, which is essential for binding at the catalytic domain of the enzyme. As the *in vitro* inhibition of the enzyme by these isoxazolidine derivatives correlated well with the *in vivo* inhibition of the edema-inducing activity, these derivatives are therapeutically important as anti-inflammatory drugs.

The imidazolyl isoxazolidines were synthesized and screened antimicrobial inhibition. We observed some of those were potent towards antifungal than antimicrobial activity. Further, to enhance the activity we have synthesized 96% ee pure isoxazolidine using chiral catalyst. 2D-NMR (COSY, HMBC, HMQC and DEPT) experiments for 3-(2-butyl-4-chloro-1<sup>H</sup>-imidazolyl)-2,5-diphenyl isoxazolidine has done and confirms that, 5-substituted product is stable than 4-substituted.

5-substituted isoxazoline derivatives of the tricyclic azepine were synthesized and the regioisomer of the product has characterized using 1D and 2D NMR. The study revealed that, steric hindrance is the key factor to form 5-substituted isoxazoline product.

Intramolecular 1,3 dipolar cycloaddition reaction of 1-allyl-2-butyl-4-chloro-1<sup>H</sup>-imidazole-5-carboxaldehyde and phenyl hydroxylamine leading to piperidine tricyclic imidazole isoxazolidine with high stereoselective than pyrrolidine tricyclic imidazole isoxazolidine. The detailed structural confirmation was done using 1D and 2D (COSY, HMBC, HMQC and NOESY) experiments.