Summary

The present thesis consists of two parts. Part A deals with Chemical investigations of *Piper* Spp. and *Koelpinia linearis* Pall. and Part B deals with Synthetic modifications of vasicine, an alkaloid from *Adhatoda vasica* Nees. for SAR studies. In the first part n-hexane extractive of the fruits of *Piper cubeb* was investigated and seven compounds were isolated which were characterized with the help of spectroscopic techniques and by comparison with the respective authentic samples. The study thus resulted in isolation and characterization of (+)-crotepoxide, (+)-zeyleanol, (-)-ledol, stearic acid, (-)-cubebin, (+)-piperenol A and 2,4,5-trimethoxybenzaldehyde from fruits of *Piper cubeb*. The investigation on the dichloromethane fraction of the methanolic extract of *Koelpinia linearis* Pall., a toxic alpine xerophyte growing in Ladakh region of Jammu and Kashmir, resulted in isolation of three compounds, one of them 8,14-secours-5,8(26),12-trien-3β-ol, appears to be new to the literature. The isolated compounds were tested in vitro for bronchodilatory activity using guinea pig tracheal chain. The compounds were however, found to be devoid of any bronchodilatory activity.

The part B of the thesis consists of synthetic modifications of vasicine, an alkaloid from *Adhatoda vasica* Nees. for structure activity relationship studies. Various analogues with changes in ring A, removal of ring A, substitutions in ring B and changes in ring C were prepared. The microwave mediated synthesis was also used to prepare some of the compounds in the series and compare the yields and reaction time. The yields were almost comparable with the classical methods and the reaction time was much less. The compounds were evaluated for in vitro bronchodilatory activity using tracheal chain of guinea pig, using Etofylline as standard bronchodilator. Relaxation effect of the compounds was studied on the
tracheal chain precontracted with histamine diphosphate (1x10^{-6} g/ml) or acetyl choline (1x10^{-6} g/ml). The structure activity relationship showed that the compounds with methylenedioxy group in the ring A and with seven member C ring were active relaxing the histamine precontracted guinea pig tracheal chain. The removal of the ring A resulted in the loss of activity. Thus, the quinazoline system was found to be essential for activity. The oxygen functionality at C-9 was found to be other essential feature for activity as its removal results in complete loss of bronchodilatory activity. The compounds with out ring C having aromatic and aliphatic substitutions in ring B also showed good in vitro bronchodilatory activity. The increase in chain length of aliphatic substituent results in decrease in activity thus suggesting that increase in lipophilicity cause decrease in activity. The compounds with para fluoro substituted phenyl ring at position 3 were less active than compounds without fluoro group.

Some other nitrogen heterocycles of medicinal interest were also prepared using microwave mediated synthesis. Three series of 4-aryl-1,4-dihydropyridines were prepared with different substitutions in aromatic ring and methoxycarbonyl or ethoxycarbonyl group at 3 and 5 positions in dihydropyridine ring. The yields were quite high and reaction time was reduced to less than ten minutes as compared to 10 hr by classical methods. The reaction was clean and environment friendly and can be scaled up. It will be of interest to subject these compounds to biological evaluation.