Medicinal chemistry, an important branch of chemical sciences, serving the mankind by helping them to overcome conditions unfavorable for their survival has fascinated the scientific community throughout the world. In the present era, developments of new technologies in all branches of sciences and their synergy has outominated in new materials both for physical and biochemical use. The most abundant source of organic materials has revolutionalized the drug discovery process from carbohydrates. Both drugs, diagnostic tools and even nanno materials are being developed from carbohydrates. The developments in chemistry and biochemistry of carbohydrates as information carrier during various physiological activities such as transport, modulation of protein function, energy storage, intercellular adhesion, signal transduction, malignant transformation, viral and bacterial cell surface recognition, as well as involvement in selective binding and molecular recognition phenomenon underline this class of molecule in pharmaceutical, medicinal and biological chemist.

One of the prominent disease Tuberculosis (TB) claiming with nearly two million death every year throughout the world and recent challenge of MDRTB and HIV/TB synergy has led to declare this disease as global health threat by WHO. Therefore, attempts are being made to develop new antituberculosis drugs with a novel and new mode of action.

The work of the present Ph.D. thesis has been divided into five chapters. Each chapter deals with a specific objective and references. The First chapter deals an exploratory review on tuberculosis: covering global surveillance, the disease, its biology, strategies in antitubercular drug development, their mode of action and methods of treatment with current and future perspectives.

In the 2nd chapter, synthesis of glycosylated β-amino acids, esters and $N^1, N^8$-diglycosylated diamino alkanes has been carried out by conjugate addition of amines to the preformed glycosyl olefinic esters and by reductive amination of glycosyl uloses with diamines. The compounds have been designed in such a way that they have all the essential structural features to inhibit more than one enzymes required in the biosynthesis of cell wall macromolecules. Conjugate addition of S-nucleophiles and certain
heterocyclic bases have also been carried out. An unusual observation of migration of double bond from $\alpha,\beta$ to $\beta,\gamma$ - position has been noticed and such products have been isolated for the first time.

Chapter 3 deals with the synthesis of glycosyl ureas and thioureas. Both techniques, conventional solution phase synthesis and solid phase combinatorial synthesis has been carried out using robotic synthesizer.

Chapter 4 describes the synthesis of glycoconjugates designed for antituberculosis drugs. The combinatorial library of glycohybrid with carboxamide functionality has been synthesized in a parallel format manner on solid phase using automatic robotic synthesizer of 96 well plates. The compounds showing prominent activity in vitro have also been synthesized using conventional approach for larger amount in order to carry out detailed biological screening.

Chapter 5 deals with the biological screening of the synthesized compounds against different strains of mycobacterium. Both in vitro and in vivo test models have been used. Attempts have been made to explore the SAR, in this sense of compounds HTS has also been used for in vitro screening.