Investigation embodied in this thesis entitled “Studies directed towards the synthesis of Eremophilanes, Varenicline and Ascochlorine: A Diels-Alder approach” divided in to five main chapters, which are as follows.

Chapter 1
Introduction

The Diels–Alder (DA) cycloaddition [4 + 2] reaction was first described in 1928. DA a pericyclic process (Fig. 1) involving a electron-rich conjugated diene (4π-electron component) and a electron-deficient dienophile (2π-electron component). The DA reaction is regio, diastereo selective and stereospecific. DA can be used to generate a six-membered ring, a substituted π-bond, two new σ-bonds and up to four contiguous stereogenic centres in one operation. The DA reaction opened up new vistas in the field of synthetic organic chemistry, and it duly established itself as a crucial synthetic tool.

Figure 1. The fundamental mechanism of Diels-Alder reaction
Chapter 2

Synthesis of (±)-Eremophilanes

This chapter describes a new and efficient route to the family of eremophilanes. The key steps are the highly stereocontrolled Diels–Alder reaction and aldol condensation to furnish a cis-decalin system with the desired stereochemistry present in the eremophilane family of natural products. This approach is general and was utilized for the synthesis of (±)-eremophiledinone 11, (±)-eremophilenolide 12, (±)-deoxyeremopetasidione 13, (±)-fukinone 14 and (±)-petasitolone 15.

Diels-Alder reaction: (a) BF₃·Et₂O, CH₂Cl₂, -78 °C to rt., 75%.
Chapter 3

Synthesis of Varenicline

This chapter presents two new efficient syntheses for Pfizer’s antismoking aid varenicline 22 from commercially available norbornadiene 39 and 2, 3-dimethyl pyrazine 41 using Diels-Alder approach and double reductive amination protocol.

**Route 1:** synthesis of varenicline

**Route 2:** synthesis of varenicline
Chapter 4

Studies directed towards the synthesis of (±)-Ascochlorin

This chapter describes our efforts to synthesize sequiterpene moiety 48 of (±)-ascochlorin 1 has been achieved by stereo controlled Diels-Alder reaction between piperlen and tiglic aldehyde followed by iodolactonization. The sesquiterpene moiety 48 can be easily synthesized from lactone 52 in seven steps. Compound 48 can be transformed to (±)-ascochlorin 1 via olefin cross metathesis approach subsequently.

Chapter 5

Synthesis of (±)-Oxa-lipoic acid

This chapter presents an efficient synthesis of Oxa-lipoic acid 25 a derivative of lipoic acid 1 has been achieved from acrolein by two Michel addition reactions, Knowenogal condensation, Birch reduction followed by dithiol ring formation using FeCl₃ in presence stream of oxygen.