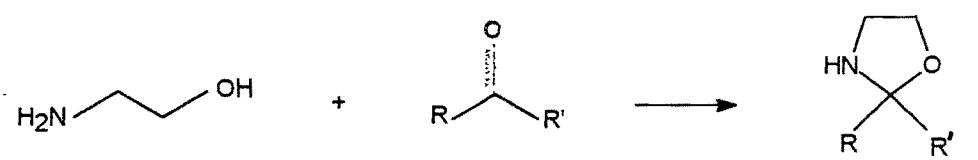
CHAPTER - 3

Diastereoselective formation of 1,3-Oxazolidines

DIASTEREOSELECTIVE FORMATION OF 1,3-OXAZOLIDINES

3.1 INTRODUCTION

The oxazolidine ring system has had a remarkable history. Knorr *etal* [1,2] assigned the cyclic structure **(3)** to products obtained by the reaction of ethanolamine **(1)** and aldehyde or ketone **(2)** with the loss of one water molecule without taking into account the possibility of the formation of imines **(Scheme III.1)**.

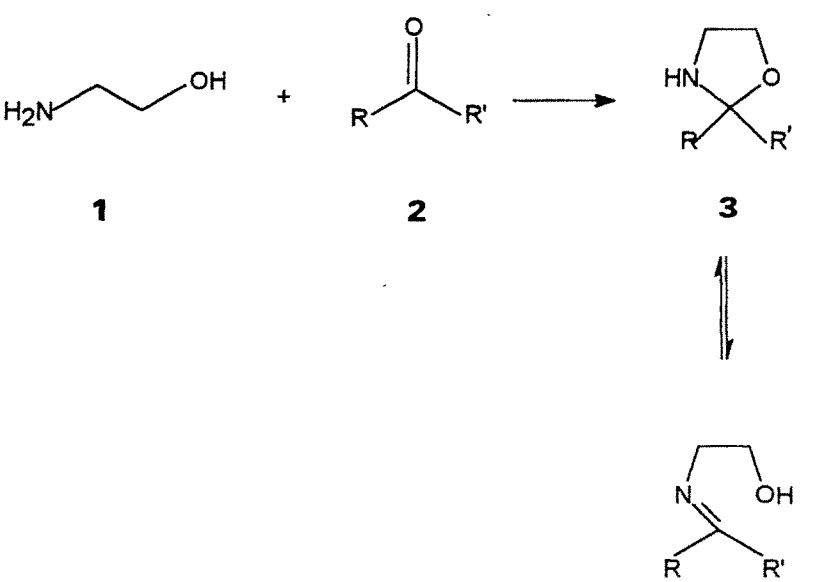


1 **2** **3**

R=R´=H,aryl,alkyl.

Scheme III.1

In 1951, Casland and Horswill[3] arrived at the conclusion that no simple oxazolidine without substituent on the nitrogen atom of well established structure and purity is known. The conclusion may be anticipated that oxazolidines do indeed exist, but they form sometimes a very mobile tautomeric system with the corresponding imines **(Scheme III.2)**.



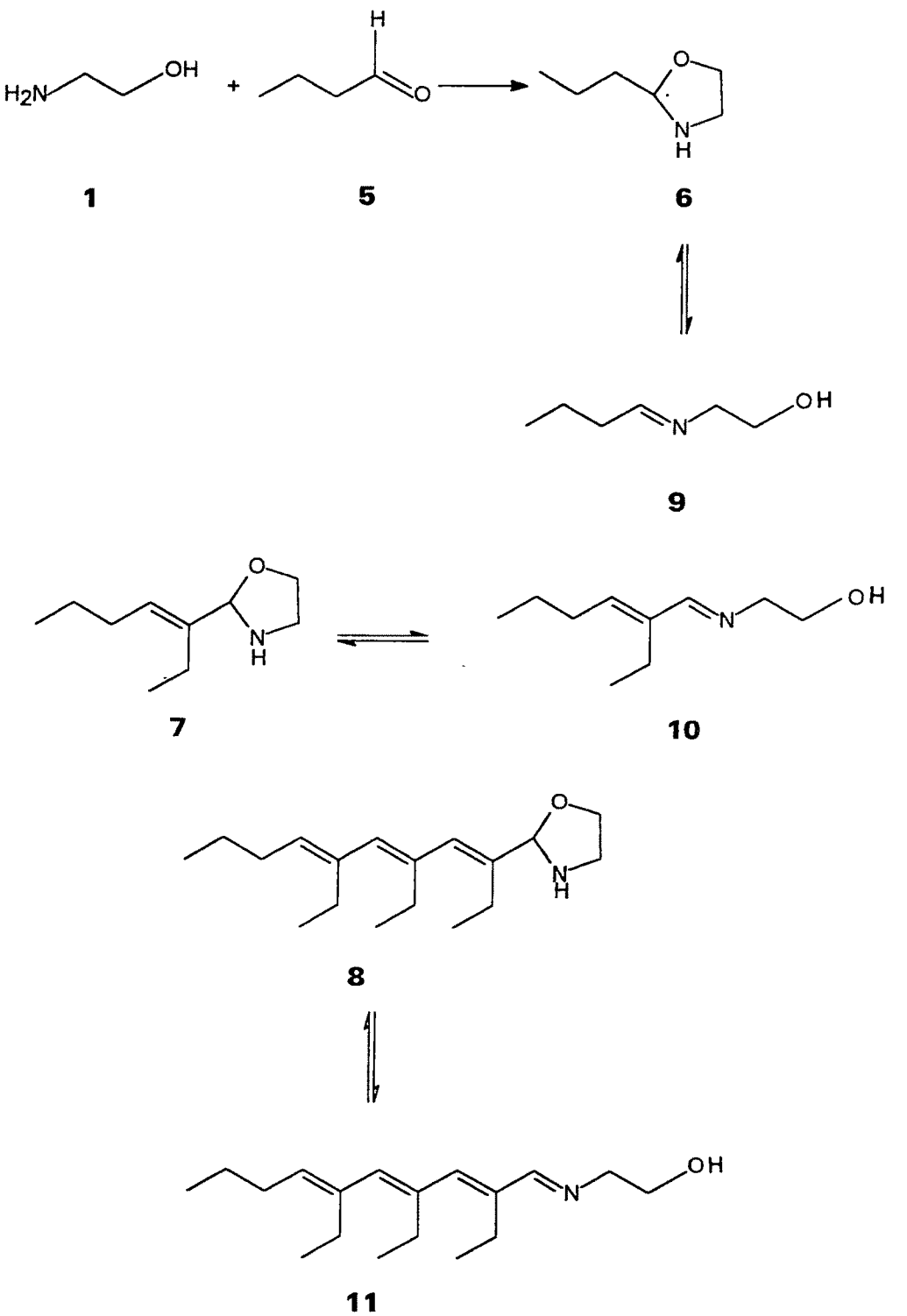
Scheme III.2

The general method for the preparation of oxazolidines is by the condensation of an aminoalcohol with aldehydes or ketones[4-7]. Knorr *etal*[1,2] condensed the reactants in boiling ether in the presence of solid potassium carbonate. As the reaction media, the following have been suggested : ether,

chloroform, alcohol, butylether or its mixture with butylalcohol, and even water especially for formaldehyde. The best and common method is azeotropic distillation, in which benzene, toluene or xylene is used as water entraining agent in the reaction. The condensation step is often accelerated by trace of iodine.

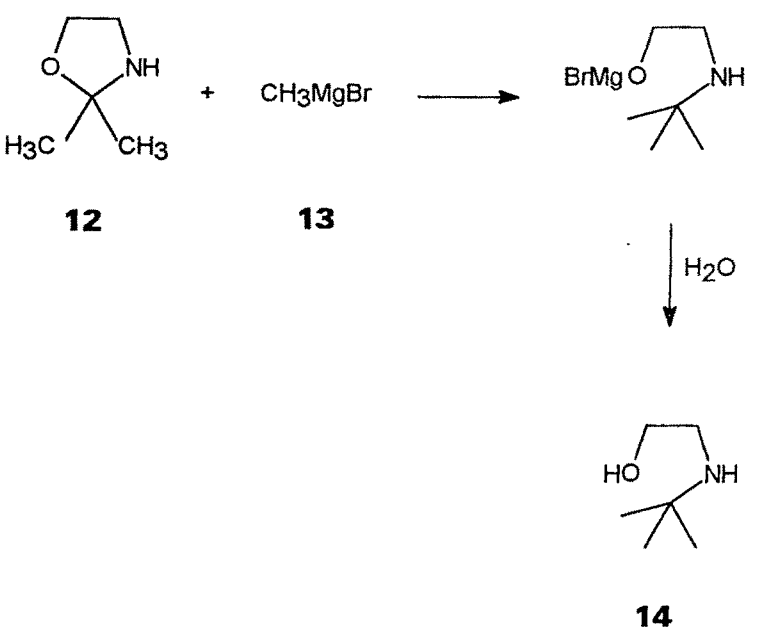
All aldehydes condense with aminoalcohols containing primary or secondary amino groups. If the amino group is secondary , the substituent present on the nitrogen atom appears to exert a decisive influence on the course of the reaction[8].

The oxazolidines are generally liquids or solids of basic character, and their stability to hydrolysis is generally low, but appears to be significantly influenced by the substituents. The oxazolidines are known to undergo a variety of reactions such as polymerisation, oxidation, reduction and reaction with grignard reagents. Some oxazolidines get resinified on standing. Paquin[9] has studied the condensation of ethanolamine **(1)** and butyraldehyde **(5)**. Three products were obtained which upon distillation showed a relatively low boiling point, low viscosity and low solubility in water, but were reversibly transformed, upon standing into highly viscous water soluble products. Paquin claimed that the three products were derived, respectively, from butyraldehyde 2-ethyl-2-hexenal, and 2,4,6-triethyl-2,4,6-decatrienal and ascribed the oxazolidine structures **(6-8)** to the forms of low viscosity and isomeric schiff base formulae to those of high viscosity **(9-11)** **(Scheme III.3)**.



Scheme III.3

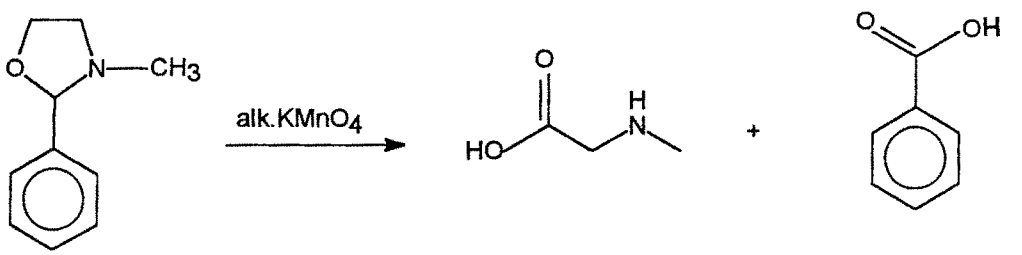
The cleavage of oxazolidines by Grignard reagents have been reported by senkus[10]. Thus for example the reaction of 2,2-dimethyl-1,3-oxazolidine **(12)** with methyl magnesium bromide **(13)** has been reported to give - N,N,N-trimethyl aminoethanol **(Scheme III.4)**.



12 **13** **14**

Scheme III.4

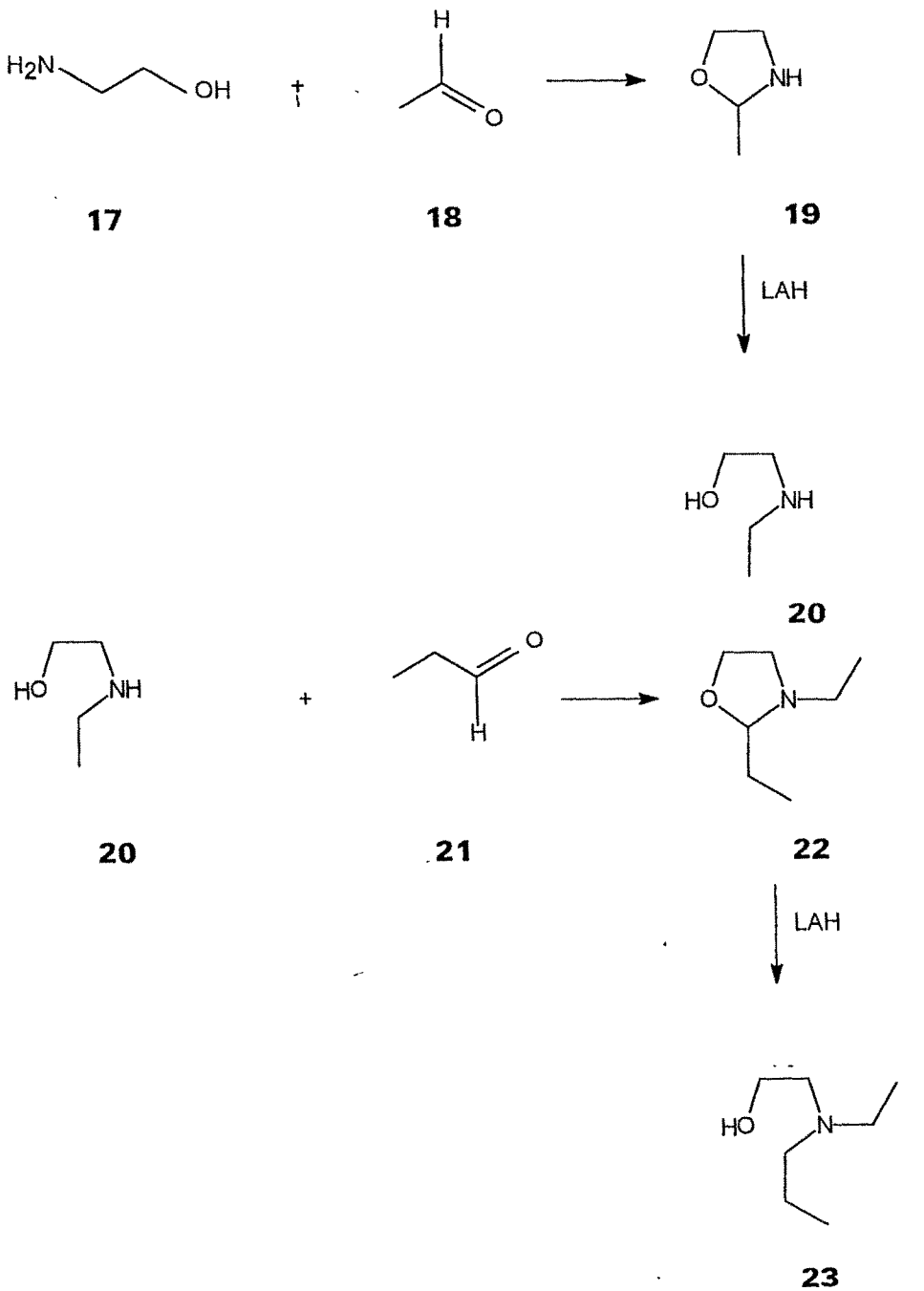
The oxidation of oxazolidines has scarcely been studied. Knorr and Mathes[1] reported the oxidationof 3-methyl-2-phenyl-1,3-oxazolidine **(15)** to sarcosine **(16)** and benzoic acid **(17)** **(Scheme III.5)**.



15 **16** **17**

Scheme III.5

It has been suggested that α-amino acids may be prepared by oxidation of the condensation products of primary β-amino alcohols with saturated aliphatic or aromatic aldehydes[11]. The oxazolidine ring undergoes fission between O and C-2 upon treatment with reducing agents. Reducing agents convert the oxazolidines into amino alcohols with the same number of carbon atoms and thus permit the N-alkylation of the amino alcohols from which the oxazolidines have been prepared. If the amino alcohol has a primary amino group, the process can be repeated and leads to di-N-alkyl derivatives. For example ethanol amine **(1)** on condensation with acetaldehyde **(18)** produced 2-methyl-1,3-oxazolidine **(19)** which was reduced to N-ethyl amino ethanol **(20)**. N-ethyl amino ethanol **(20)** on reaction with propionaldehyde **(21)** furnished 2-ethyl-3-ethyl-1,3-oxazolidine **(22)** which was reduced to N-ethyl N-propyl ethanol amine **(23)** **(Scheme III.6)**.



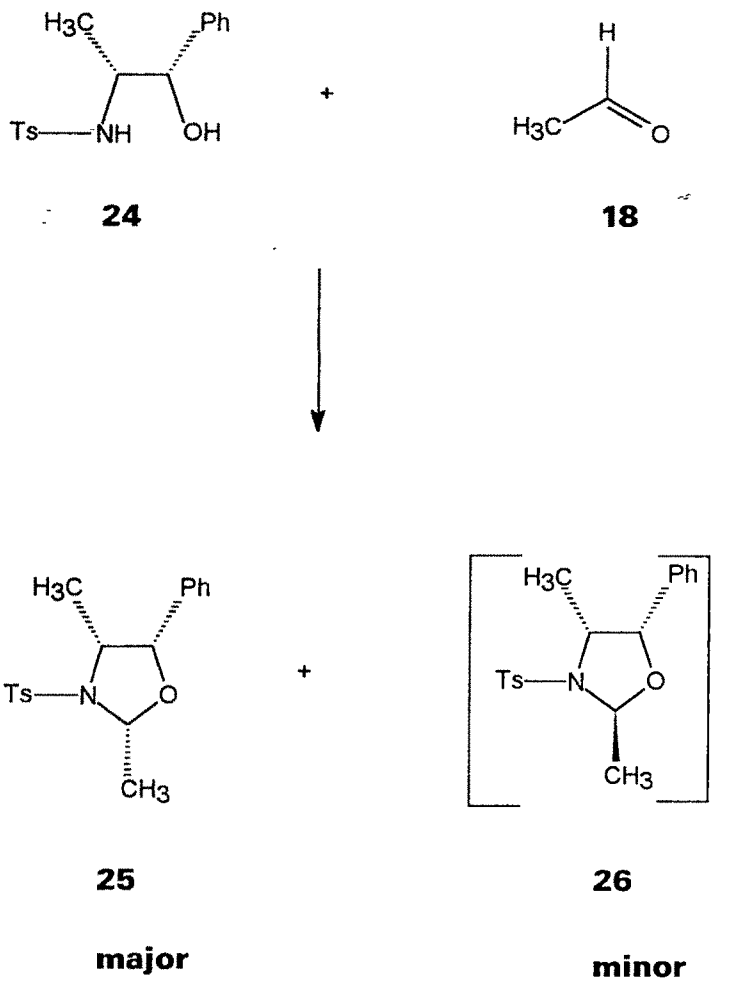
Scheme III.6

The reduction of the oxazolidine system can be carried out by various reducing agents such as sodium and alcohol[12] aluminium amalgam[13], hydrogen over palladium / charcoal[10,14,17], lithium aluminium hydride[18] and sodium borohyride[19,20].

Oxazolidines are used as chiral templates for asymmetric synthesis[21-25]. 3-Tosyl-1,3-oxazolidines derived from enantiomerically pure β-amino alcohols have been recognised as valuable chiral templates in asymmetric synthesis. The chiral auxilliary may easily be split off in a second stage thus releasing the free carbonyl function. The high values of asymmetric induction and chemical yields are the obvious features which give synthetic value to the above approach.

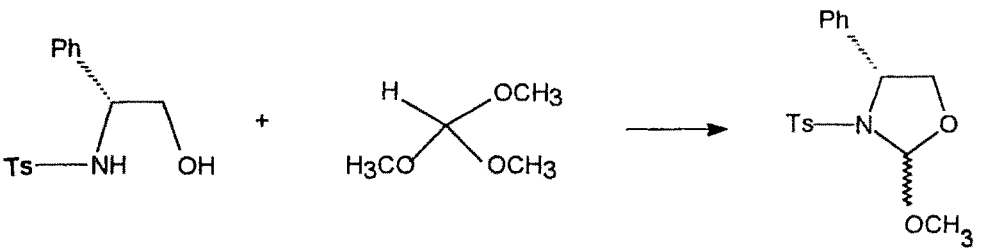
Some of the examples for the formation of 1,3-oxazolidines which are used as chiral templates are given below :

N-tosyl-L-norephedrin **(24)** on treatment with acetaldehyde **(18)** has been reported to give *cis* 2-methyl-3-tosyl-4-methyl-5-phenyl-1,3-oxazolidine **(25)** as the major product **(Scheme III.7)** and *trans* 2-methyl-3-tosyl-4-methyl-5-phenyl-1,3-oxazolidine **(26)** in small yields.



Scheme III.7

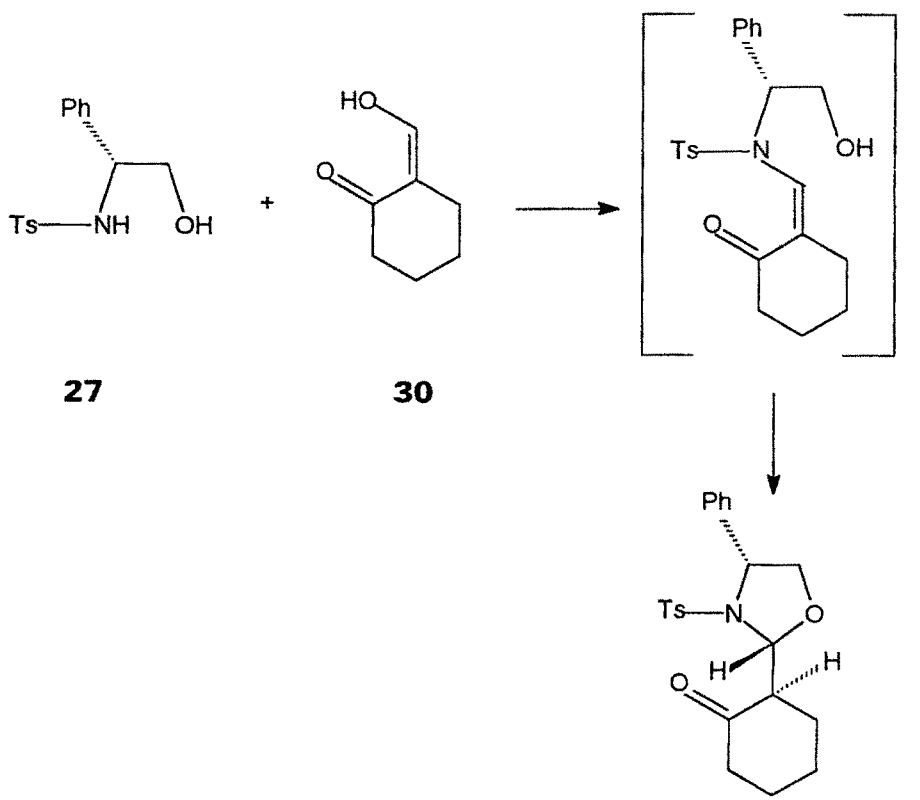
N-tosyl derivative of ***(R)*** phenylglycinol **(27)** on condensation with trimethylorthoformate **(28)** produced 2-methoxy-3-tosyl-4-phenyl-1,3-oxazolidine **(29) (Scheme III.8)**.



27 **28** **29**

Scheme III.8

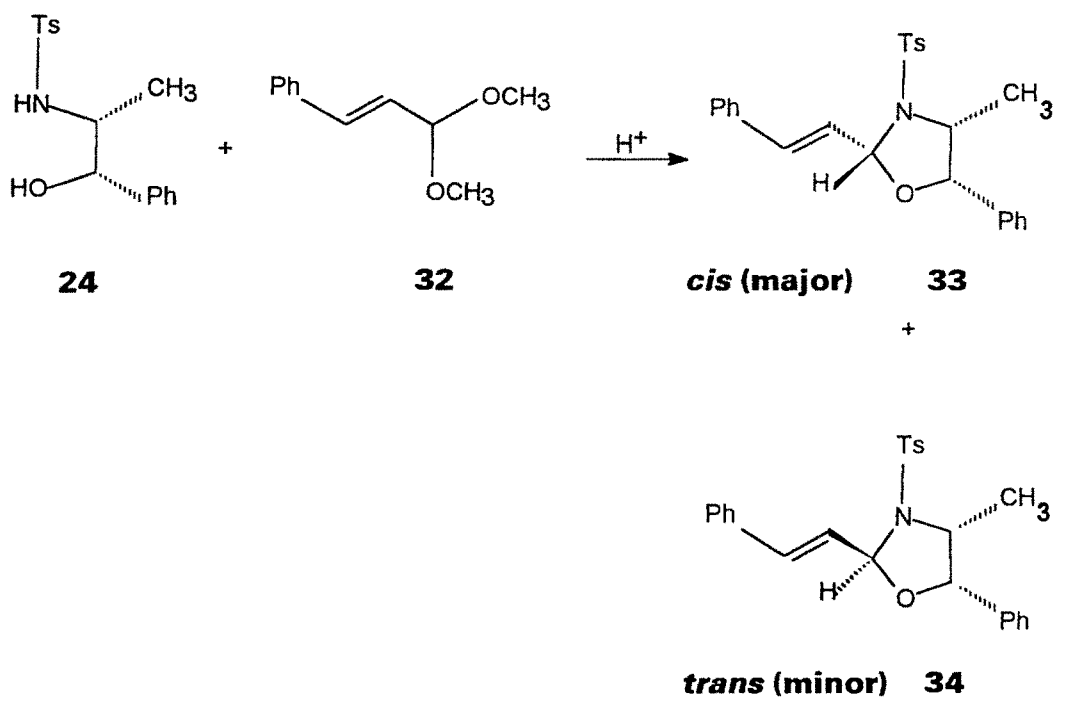
(R) N-tosyl phenylglycinol **(27)** is reported to undergo acid catalyzed condensation with 2-hydroxy methylene cyclohexanone **(30)** resulting in the formation 2-(2-carboxy)cyclohexyl-3-tosyl-4-phenyl-1,3-oxazolidine **(31)** **(Scheme III.9)**.



27 **30** **31**

Scheme III.9

The chiral masking of an α,β-unsaturated aldehyde through the incorporation of the carboxylic carbon into the C-2 of a suitable chiral oxazolidine ring is possible[26,27]. The newly generated allylic centre when stereohomogeneously obtained, can direct useful asymmetric transformation at the olefinic carbon. For example, the N-tosyl derivative of L-norephedrin **(24)** on acid catalyzed condensation with the dimethylacetal of cinnamaldehyde **(32)** led to the formation of corresponding 1,3-oxazolidines[33,34], thus masking the carbonyl functionality of the cinnamaldehyde **(Scheme III.10)**.



Scheme III.10

This chapter describes the preparation of 2-aryl-3-arenesulfonyl-4-ethyl-1,3-oxazolidine and 2-aryl-3-benzyloxycarbonyl-4-ethyl-1,3-oxazolidines from 2-amino-1-butanol. The easy availability of both the enantiomers of 2-amino-1-butanol facilitates the formation of both the diastereomers of the oxazolidines. The condensation of 2-amino-1-butanol with different aromatic aldehydes furnished a mixture of the imines and the corresponding 1,3-oxazolidines[28].

The N-tosyl, N-benzenesulfonyl and N-benzyloxycarbonyl derivatives of 2-amino-1-butanol were prepared which on condensation with different aromatic aldehydes resulted in the formation of 1,3-oxazolidines with diastereoselectivity.

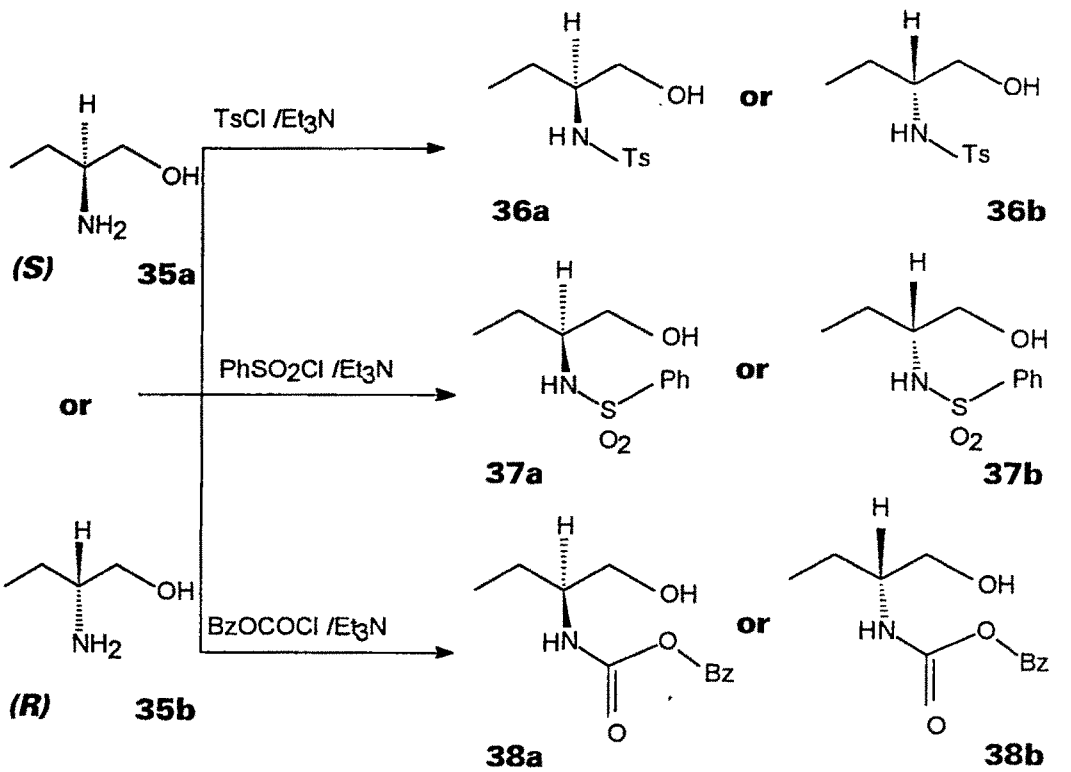
3.2 RESULTS AND DISCUSSION

(S) or ***(R)*** 2-amino-1-butanol **(35a or 35b)** were reacted with tosyl chloride in presence of triethyl amine resulting in the formation of ***(S)*** & ***(R)*** N-tosyl 2-amino-1-butanol **(36a & 36b) (Scheme III.11)**.

The IR spectrum of ***(S)*** N-tosyl-2-amino-1-butanol **(36a)** (Fig 3.I) shows absorption bands at 3340,2900 & 1500 cm^{-1}. The absorption at 3340 is due to the presence of hydroxyl group, 2900 corresponds to the $-SO_2NH$ group and 1500 was accounted by the aromatic moeity.

The ^1H NMR spectrum of ***(S)*** N-tosyl 2-amino-1-butanol **(36a)** (Fig. 3.II) showed a triplet at 0.8, due to the methyl protons, the multiplet at 1.4 accounted for the methylene protons. The -CH proton appeared at 1.7. The signal at 2.4 is assigned for the methyl protons of the tosyl group. The -NH protons appeared at 3.2. The signal at 3.5 is due to $-CH_2-O$. The signals at 7.3 & 7.8 δ were accounted for the aromatic protons.

(R) N-tosyl-2-amino-1-butanol **(35b)** also has shown similar spectral characteristics as that of **35a**.



Scheme III.11

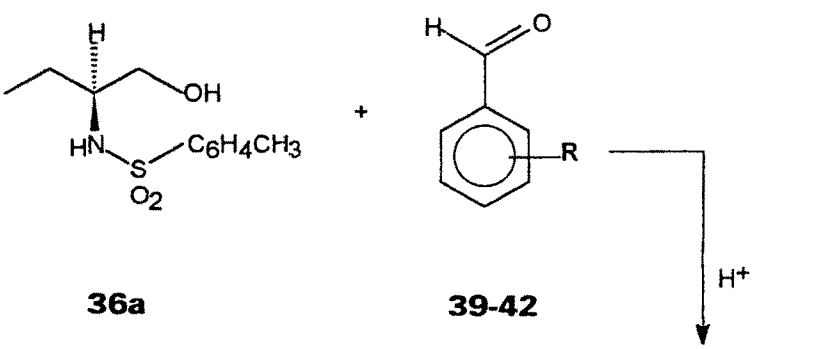
Similarly ***(S)*** or ***(R)*** 2-amino-1-butanol **(35a or 35b)** were reacted with benzenesulfonylchloride and benzyloxycarbonylchloride resulting in the formation of ***(S)*** & ***(R)*** N-benzenesulfonyl-2-amino-1-butanol **(37a & 37b)** and ***(S)*** & ***(R)*** -N-benzyloxycarbonyl-2-amino-1-butanol **(38a & 38b)** respectively.

In the ^{1}H NMR spectrum of ***(S)*** N-benzenesulfonyl-2-amino-1-butanol **(37a)** (Fig. 3.III), the signal at 0.75 (triplet) is assigned to the methyl protons. The multiplet at 1.5 is due to the -NH proton. The $-CH_2-O$ protons appeared as doublet at 3.6. The signals at 7.7 - 8.0 δ is assigned to the aromatic protons. Similar spectral characteristics were observed in the case of **(37b)** also.

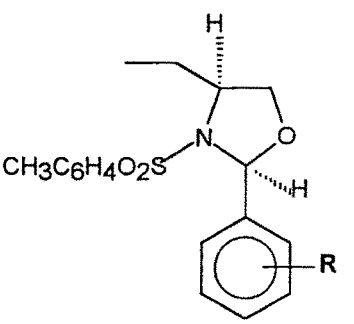
The IR spectrum of ***(S)*** N-benzyloxycarbonyl-2-amino-1-butanol **(38a)** Fig(3.IV) showed absorption at 3450 due to hydroxyl group. The band at 3250 is due to the presence of -NH group. The absorption at 3050 is accounted by the aromatic groups present. The carbonyl group absorption is at 1700 cm^{-1}.

The ^1H NMR spectrum of ***(S)*** N-benzyloxycarbonyl-2-amino-1-butanol **(38a)** (Fig 3.V) showed a triplet at 0.90 due to the methyl protons. The methylene protons appeared at 1.50. The broad singlet at 2.85 is assigned to the -CH protons. The singlet at 3.50 is due to the $-CH_2-O$ protons. The singlet at 5.00 accounted for the methylene protons of the benzyl group. The singlet at 7.20 is due to the aromatic protons. ***(R)*** N-benzyloxycarbonyl-2-amino-1-butanol **(38b)** also has shown similar spectral characteristics as that of **38a**.

The condensation of ***(S)*** N-Tosyl-2-amino-1-butanol **(36a)** with benzaldehyde **(39)**, 3-nitrobenzaldehyde **(40)**, 4-chlorobenzaldehyde **(41)** and 2-chlorobenzaldehyde **(42)** were carried out in acidic medium, resulted in the formation of ***(2S*, 4S*)*** 2-phenyl-3-tosyl-4-ethyl-1,3-oxazolidine **(43a)**, ***(2S*, 4S*)*** 2-(3-nitrophenyl)-3-tosyl-4-ethyl-1,3-oxazolidine **(44a)**, ***(2S*, 4S*)*** 2-(4-chlorophenyl)-3-tosyl-4-ethyl-1,3-oxazolidine **(45a)** and ***(2S*, 4S*)*** 2-(2-chlorophenyl)-3-tosyl-4-ethyl-1,3-oxazolidine **(46a)** respectively **(Scheme III.12)**.



36a **39-42**



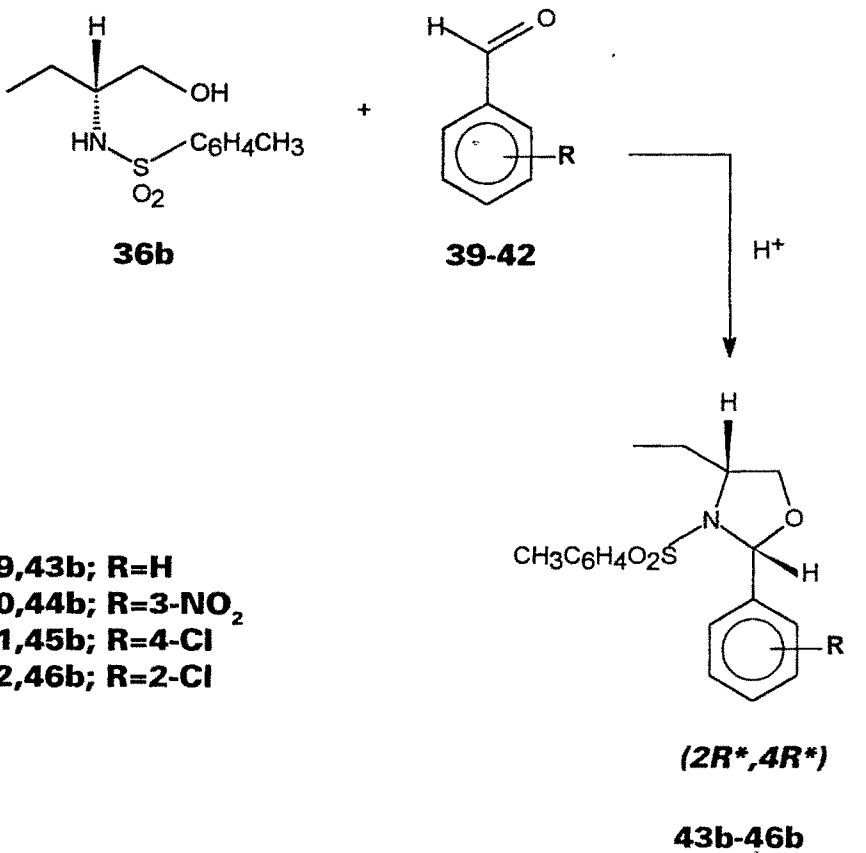
(2S*,4S*)

43a-46a

39,43a; R=H
40,44a; R=3-NO_2
41,45a; R=4-Cl
42,46a; R=2-Cl

Scheme III.12

Similarly ***(R)*** N-Tosyl-2-amino-1-butanol **(36b)** was reacted with benzaldehyde **(39)**, 3-nitrobenzaldehyde **(40)**, 4-chlorobenzaldehyde **(41)** and 2-chlorobenzaldehyde **(42)** which furnished the corresponding ***(2R*, 4R*)*** 2-phenyl-3-tosyl-4-ethyl-1,3-oxazolidine **(43b)**, ***(2R*, 4R*)*** 2-(3-nitrophenyl)-3-tosyl-4-ethyl-1,3-oxazolidine **(44b)**, ***(2R*, 4R*)*** 2-(4-chlorophenyl)-3-tosyl-4-ethyl-1,3-oxazolidine **(45b)** and ***(2R*, 4R*)*** 2-(2-chlorophenyl)-3-tosyl-4-ethyl-1,3-oxazolidine **(46b)** respectively **(Scheme III.13)**.



Scheme III.13

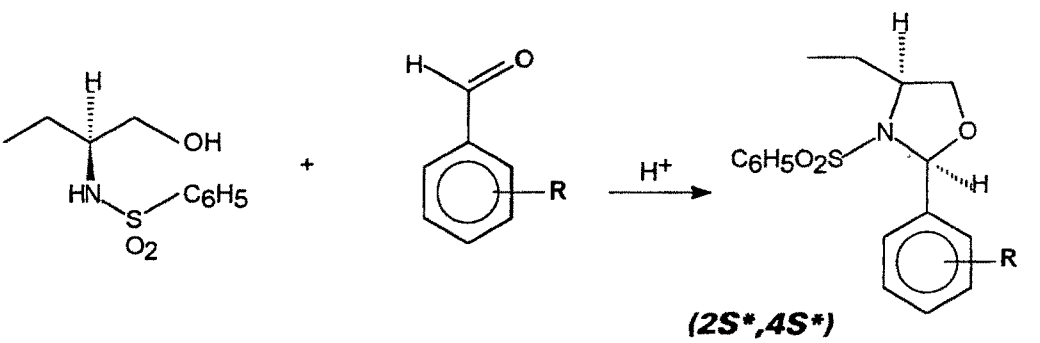
The IR spectrum of ***(2S*,4S*)*** 2-(2-chlorophenyl)-3-tosyl-4-ethyl-1,3-oxazolidine **(46a)** (Fig 3.VI) showed absorption at 1600 cm^{-1} due to the phenyl ring. The absence of frequencies corresponding to the hydroxyl and secondary amino group indicated that the cyclisation has taken place.

In the ^{1}H NMR spectrum of ***(2S*,4S*)*** 2-(2-chlorophenyl)-3-tosyl-4-ethyl-1,3-oxazolidine **(46a)** (Fig 3.VII) the triplet at 1.00 accounted for the methyl protons. The methylene protons were observed at 1.60 and 2.10. A sharp singlet at 2.40 is due to the methyl protons of the tosyl group. The multiplet at 3.50 is assigned to the -CH proton of the oxazolidine ring and the doublet at 3.70 accounted for the methylene protons of the 1,3-oxazolidine ring. The sharp singlet at 6.20 corresponds to the -N-CH-O proton. The aromatic protons appeared at 7.30 and 7.90 δ.

(2R*,4R*) 2-(2-chlorophenyl)-3-tosyl-4-ethyl-1,3-oxazolidine **(46b)** also showed similar spectral characteristics as that of **46a**. The structures of ***(2S*, 4S*)*** 2-phenyl-3-tosyl-4-ethyl-1,3-oxazolidine **(43a)**, ***(2R*,4R*)*** 2-phenyl-3-tosyl-4-ethyl-1,3-oxazolidine **(43b)**, ***(2S*,4S*)*** 2-(3-nitrophenyl)-3-tosyl-4-ethyl-1,3-oxazolidine **(44a)**, ***(2R*,4R*)*** 2-(3-nitrophenyl)-3-tosyl-4-ethyl-1,3-oxazolidine **(44b)**, ***(2S*,4S*)*** 2-(4-chlorophenyl)-3-tosyl-4-ethyl-1,3-oxazolidine **(45a)** and ***(2R*,4R*)*** 2-(4-chlorophenyl)-3-tosyl-4-ethyl-1,3-oxazolidine **(45b)** were consistent with the analytical data.

(S) N-benzenesulfonyl-2-amino-1-butanol **(37a)** was reacted with benzaldehyde **(39)** and various substituted benzaldehydes such as 3-nitrobenzaldehyde **(40)**, 4-chlorobenzaldehyde **(41)** and 2-chlorobenzaldehyde **(42)**, to give ***(2S*,4S*)*** 2-phenyl-3-benzenesulfonyl-4-ethyl-1,3-oxazolidine **(47a)**, ***(2S*,4S*)*** 2-(3-nitrophenyl)-3-benzenesulfonyl-4-ethyl-1,3-oxazolidine **(48a)** and ***(2S*,4S*)*** 2-(4-chlorophenyl)-3-benzenesulfonyl-4-ethyl-1,3-oxazolidine **(49a)** and

(2S*,4S*) 2-(2-chlorophenyl)-3-benzenesulfonyl-4-ethyl-1,3-oxazolidine **(50a)** respectively **(Scheme III.14)**.

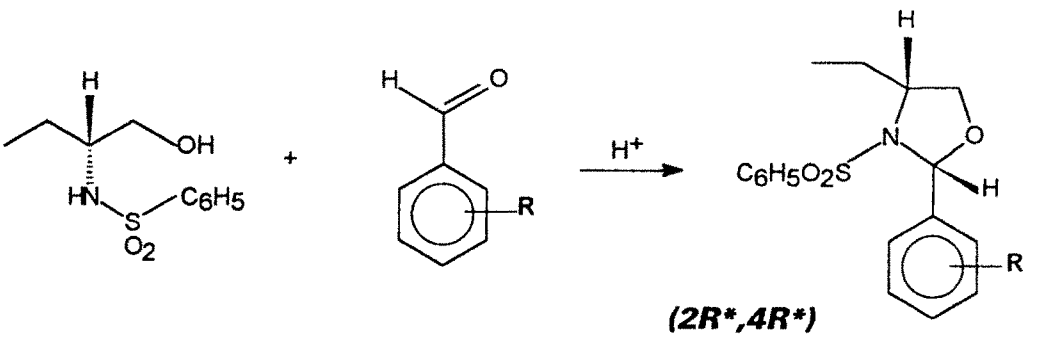


37a **39-42** **47a-50a**

39,47a; R=H
40,48a; R=3-NO_2
41,49a; R=4-Cl
42,50a; R=2-Cl

Scheme III.14

Similarly ***(R)*** N-benzenesulfonyl-2-amino-1-butanol **(37b)** was reacted with benzaldehyde **(39)**, 3-nitrobenzaldehyde **(40)**, 4-chlorobenzaldehyde **(41)** and 2-chlorobenzaldehyde **(42)** resulting in the formation of ***(2R*,4R*)*** 2-phenyl-3-benzenesulfonyl-4-ethyl-1,3-oxazolidine **(47b)**, ***(2R*,4R*)*** 2-(3-nitrophenyl)-3-benzenesulfonyl-4-ethyl-1,3-oxazolidine **(48b)**, ***(2R*,4R*)*** 2-(4-chlorophenyl)-3-benzenesulfonyl-4-ethyl-1,3-oxazolidine **(49b)** and 2-(2-chlorophenyl)-3-benzenesulfonyl-4-ethyl-1,3-oxazolidine **(50b)** respectively **(Scheme III.15)**.



37b **39-42** **47b-50b**

39,47b; R=H
40,48b; R=3-NO_2
41,49b; R=4-Cl
42,50b; R=2-Cl

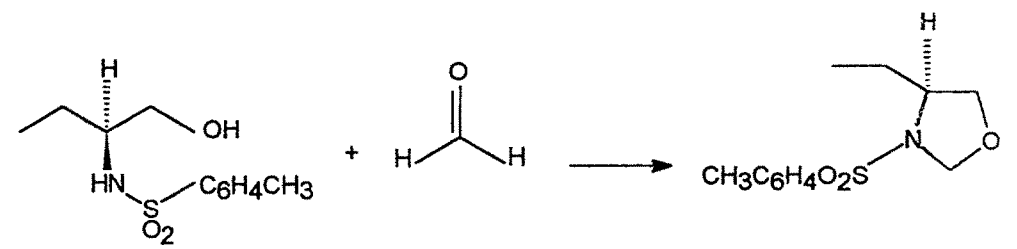
Scheme III.15

The ^{1}H NMR spectrum of ***(2S*,4S*)*** 2-(3-nitrophenyl)-3-benzenesulfonyl-4-ethyl-1,3-oxazolidine **(48a)** (Fig 3.VIII) showed a triplet at 0.88 δ which is accounted for the methyl protons. The multiplets at 1.38 and 1.60 were assigned to the methylene protons. The multiplets appeared at 3.60 and 3.80 corresponds to the -CH-CH_2 of the oxazolidine ring. A sharp singlet at 6.20 is due to the -N-CH-O proton, which confirmed the formation of 1,3-oxazolidine. The aromatic protons were observed at 7.56 and 8.30 δ.

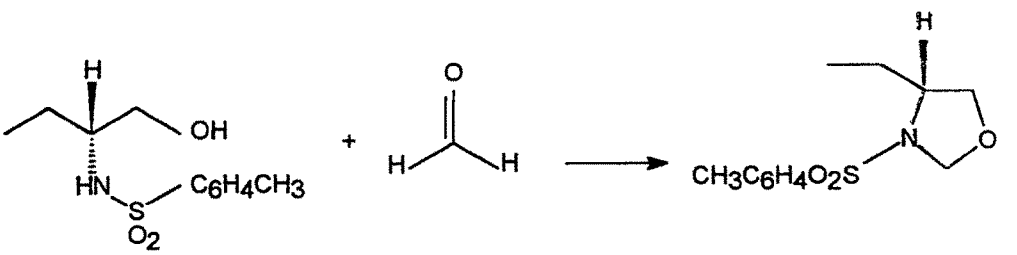
The structure of the products ***(2S*,4S*)*** 2-phenyl-3-benzenesulfonyl-4-ethyl-1,3-oxazolidine **(47a)**, ***(2R*,4R*)*** 2-phenyl-3-benzenesulfonyl-4-ethyl-1,3-oxazolidine **(47b)**, ***(2R*,4R*)*** 2-(3-nitrophenyl) 3-benzenesulfonyl-4-

ethyl-1,3-oxazolidine **(48b)**, ***(2S*,4S*)*** 2-(4-chlorophenyl)-3-benzenesulfonyl-4-ethyl-1,3-oxazolidine **(49a)**, ***(2R*,4R*)*** 2-(4-chlorophenyl)-3-benzenesulfonyl-4-ethyl-1,3-oxazolidine **(49b)**, ***(2S*,4S*)*** 2-(2-chlorophenyl)-3-benzenesulfonyl-4-ethyl-1,3-oxazolidine **(50a)** and ***(2R*,4R*)*** 2-(2-chlorophenyl)-3-benzenesulfonyl-4-ethyl-1,3-oxazolidine **(50b)**, were consistent with the analytical & spectral data.

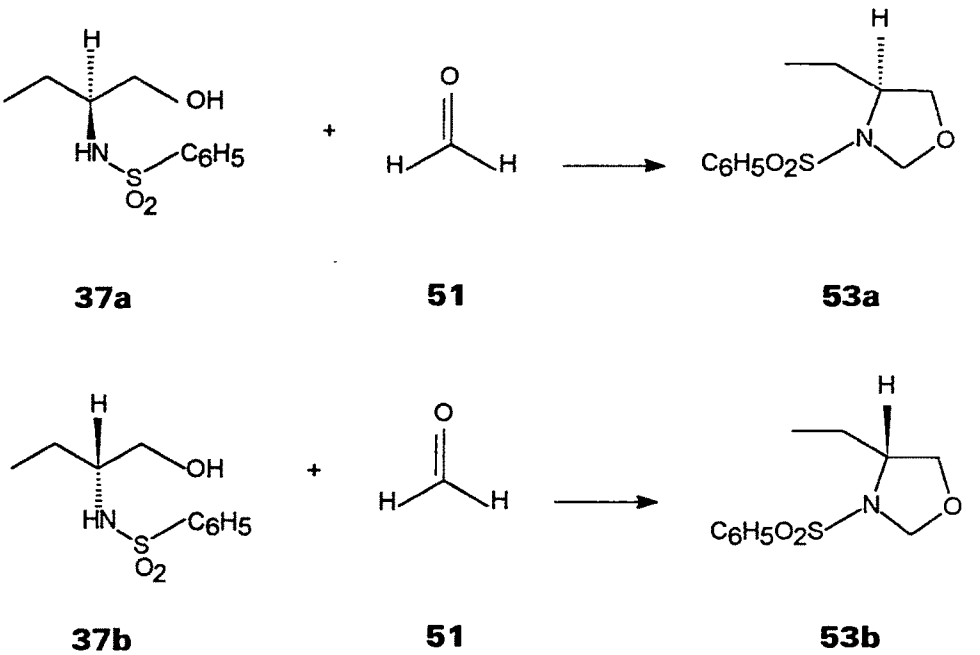
(S) N-Tosyl-2-amino-1-butanol **(36a)** or ***(R)*** N-Tosyl-2-amino-1-butanol **(36b)** were reacted with formaldehyde **(51)** to give the corresponding ***(S)*** 3-Tosyl-4-ethyl-1,3-oxazolidine **(52a)** or ***(R)*** 3-Tosyl-4-ethyl-1,3-oxazolidine **(52b)**. ***(S)*** N-benzenesulfonyl-2-amino-1-butanol **(37a)** or ***(R)*** N-benzenesulfonyl-2-amino-1-butanol **(37b)** reacted with formaldehyde[51] produced the corresponding ***(S)*** 3-benzenesulfonyl-4-ethyl-1,3-oxazolidine **(53a)** or ***(R)*** 3-benzenesulfonyl-4-ethyl-1,3-oxazolidine **(53b)** **(Scheme III.16)**.



36a **51** **52a**



36b **51** **52b**

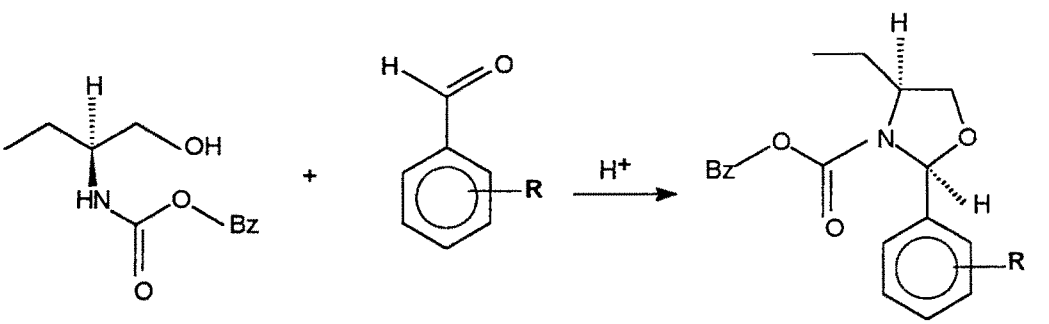


Scheme III.16

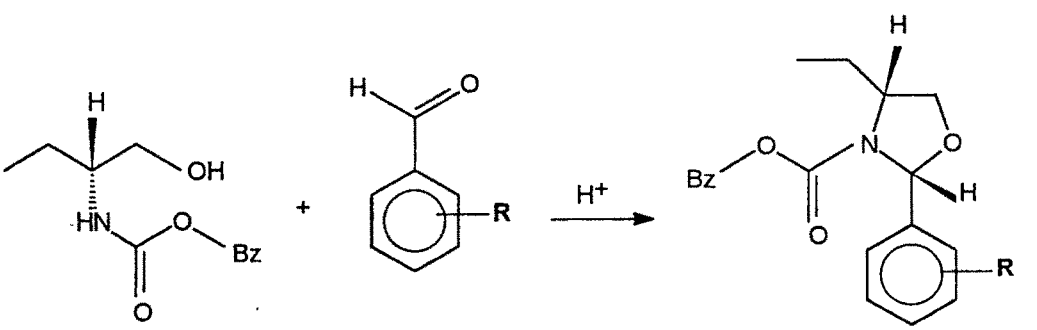
In the ^{1}H NMR spectrum of ***(S)*** 3-Tosyl-4-ethyl-1,3-oxazolidine **(52a)** (Fig 3.IX) a triplet at 1.0 δ accounted for the methyl protons. The multiplets at 1.6 and 1.7 were due to the methylene protons, the singlet at 2.4 was assigned to the methyl protons of the tosyl group. The multiplet at 3.4 was due to the -CH proton of the oxazolidine ring. The multiplet at 3.6 corresponds to the -CH_2-O protons of the oxazolidine ring. The doublets at 4.5 and 5.2 were assigned to the -N-CH_2-O protons. The aromatic protons were observed at 7.3 and 7.7. The structures of ***(R)*** 3-tosyl-4-ethyl-1,3-oxazolidine **(52b)**, ***(S)*** 3-benzenesulfonyl-4-ethyl-1,3-oxazoldine **(53a)** and ***(R)*** 3-benzenesulfonyl-4-ethyl-1,3-oxazolidine **(53b)** were consistent with the analytical data.

(S) N-benzyloxycarbonyl-2-amino-1-butanol **(38a)** and ***(R)*** N-benzyloxycarbonyl-2-amino-1-butanol **(38b)**, was reacted with 4-chlorobenzaldehyde **(41)**, 2-chlorobenzaldehyde **(42)**, 4-nitrobenzaldehyde **(54)** and 4-hydroxybenzaldehyde **(55)**, which resulted in the formation of

(2S*,4S*) 2-(4-chlorophenyl)-3-(benzyloxycarbonyl)4-ethyl-1,3-oxazolidine **(56a)**, ***(2R*,4R*)*** 2-(4-chlorophenyl)-3-(benzyloxycarbonyl)-4-ethyl-1,3-oxazolidine **(56b)**, ***(2S*,4S*)*** 2-(2-chlorophenyl)-3-(benzyloxycarbonyl)-4-ethyl-1,3-oxazolidine **(57a)**, ***(2R*,4R*)*** 2-(2-chlorophenyl)-3-(benzyloxycarbonyl)-4-ethyl-1,3-oxazolidine **(57b)**, ***(2S*,4S*)*** 2-(4-nitrophenyl)-3-(benzyloxycarbonyl)-4-ethyl-1,3-oxazolidine **(58a)**, ***(2R*,4R*)*** 2-(4-nitrophenyl)-3-(benzyloxycarbonyl)-4-ethyl-1,3-oxazolidine **(58b)**, ***(2S*,4S*)*** 2-(4-hydroxyphenyl)-3-(benzyloxycarbonyl)-4-ethyl-1,3-oxazolidine **(59a)** and ***(2R*,4R*)*** 2-(4-hydroxyphenyl)-3-(benzyloxycarbonyl)-4-ethyl-1,3-oxazolidine **(59b)** **(Scheme III.17)**. The structure of the products **56a - 59a** and **56b - 59b** were consistent with the analytical and spectral data.



38a **(41,42,54,55)** **56a-59a**



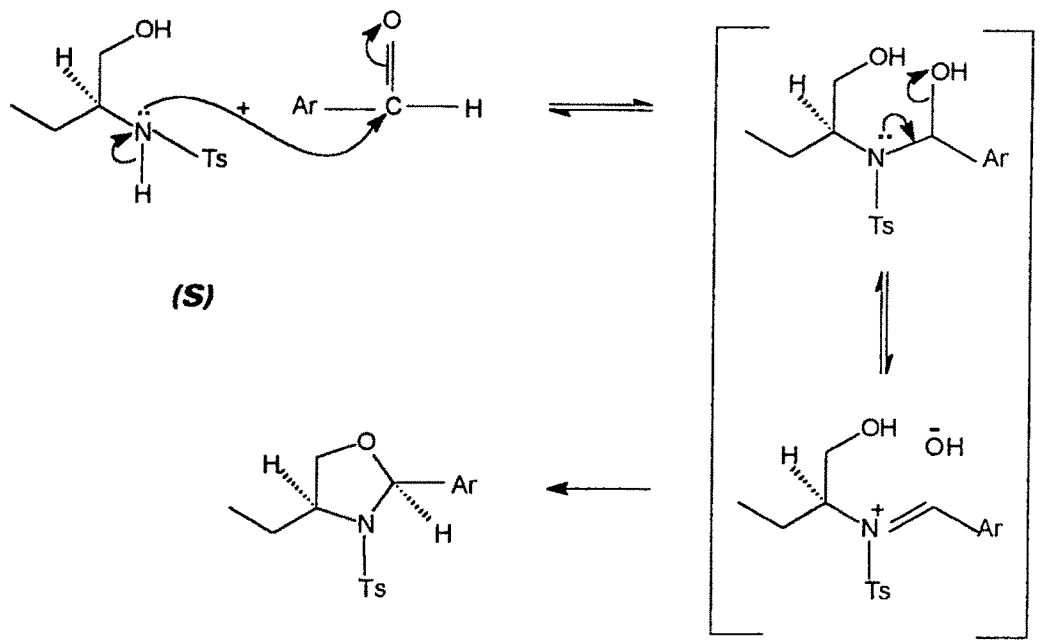
38b **(41,42,54,55)** **56b-59b**

41,56a,56b; R=4-Cl
42,57a,57b; R=2-Cl
54,58a,58b; R=4-NO_2
55,59a,59b; R=4-OH

Scheme III.17

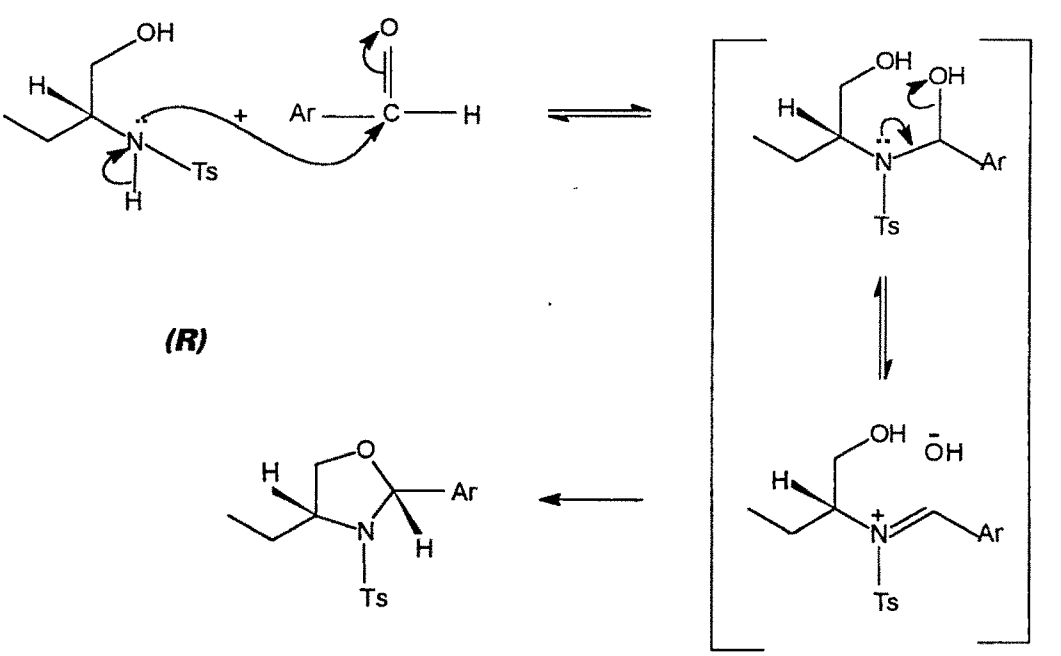
The formation of a single diastereomer is confirmed by X-ray diffraction. 2-(2-chlorophenyl)-3-tosyl-4-ethyl-1,3-oxazolidines **(46a & 46b)** were subjected to X-ray crystallographic studies. As the chiral centre at C-4 is not involved in the condensation step, the original configuration of the 2-amino-1-alcohol is retained in the oxazolidine at C-4[29]. The configuration at the newly formed stereogenic centre C-2 is ***(S)*** in the case of oxazolidines derived from the sulfonamides of ***(S)*** 2-amino-1-butanol and ***(R)*** in the case of oxazolidines derived from the sulfonamides of ***(R)*** 2-amino-1-butanol. The configuration of **46a** is ***(2S*,4S*)*** and that of **46b** is ***(2R*, 4R*)***. The 2,4-relative stereochemistry of hydrogen atoms were found to be '*cis*' to each other in the case of both the diastereomers. These results can be rationalized by '*cis*' selectivity observed during the formation of 3-alkyl oxazolidines[30-36]. The stereodirecting step is the intramolecular addition of hydroxy group to the iminium ion[37-41].

A plausible mechanism for the formation of 1,3-oxazolidine is given in **(Scheme III.18)**.



(S)

(2S*,4S*)



(R)

(2R*,4R*)

Scheme III.18

Figures (3.X) & (3.XI) show the molecular perspectives of **46a** and **46b**. Unit cell parameters and basic information about data collection and structure refinement are summarised in experimental section. In both the figures it is seen that the H at C-2 and C-4 are '*cis*' to each other. The X-ray data is consistent with the ^{1}H NMR data, which show the exclusive formation of a single diasteromer in both the cases.

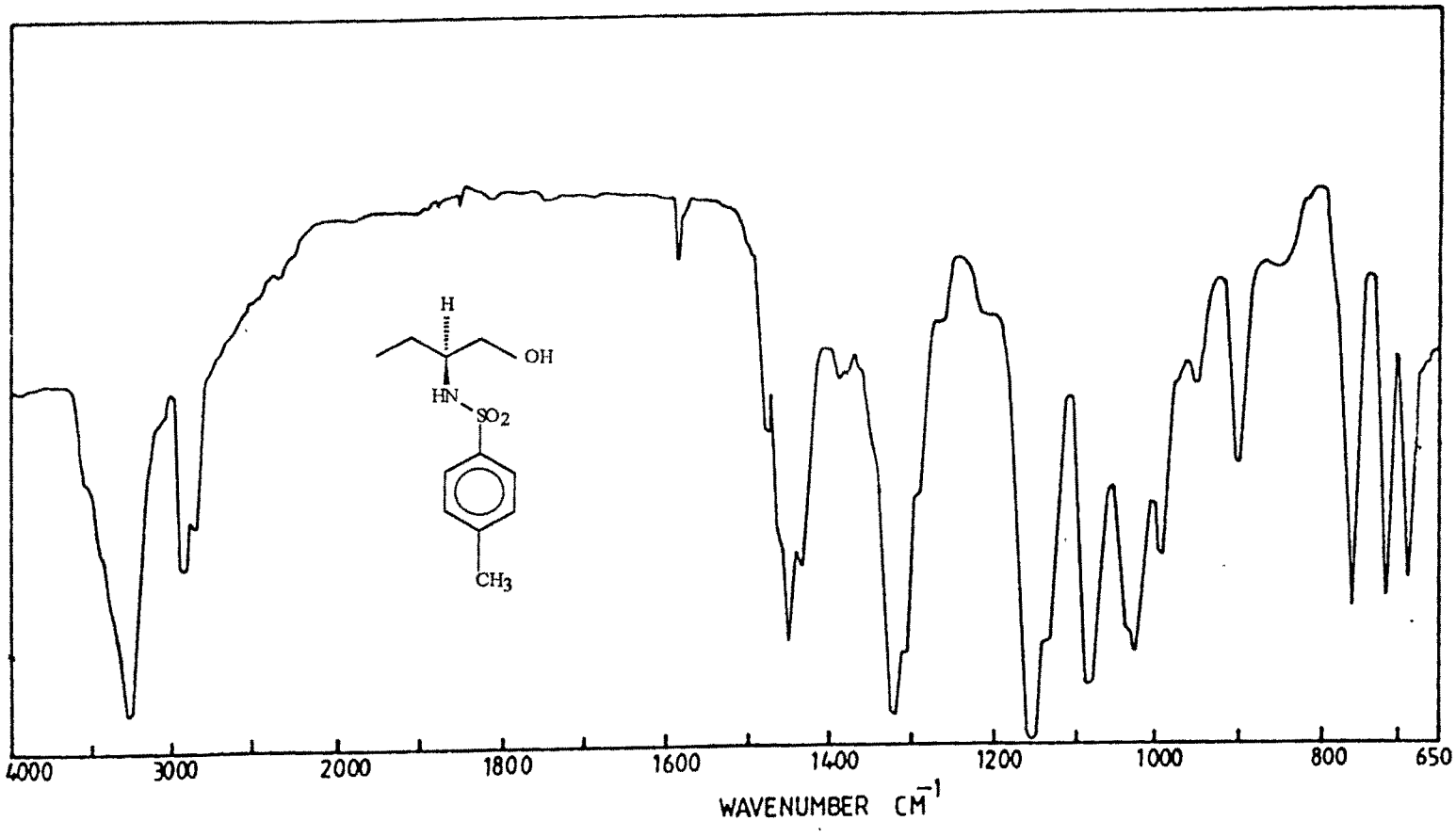


Fig. 3.I IR (KBr) spectrum of ***(S)*** N-Tosyl-2-amino-1-butanol. **(36a)**

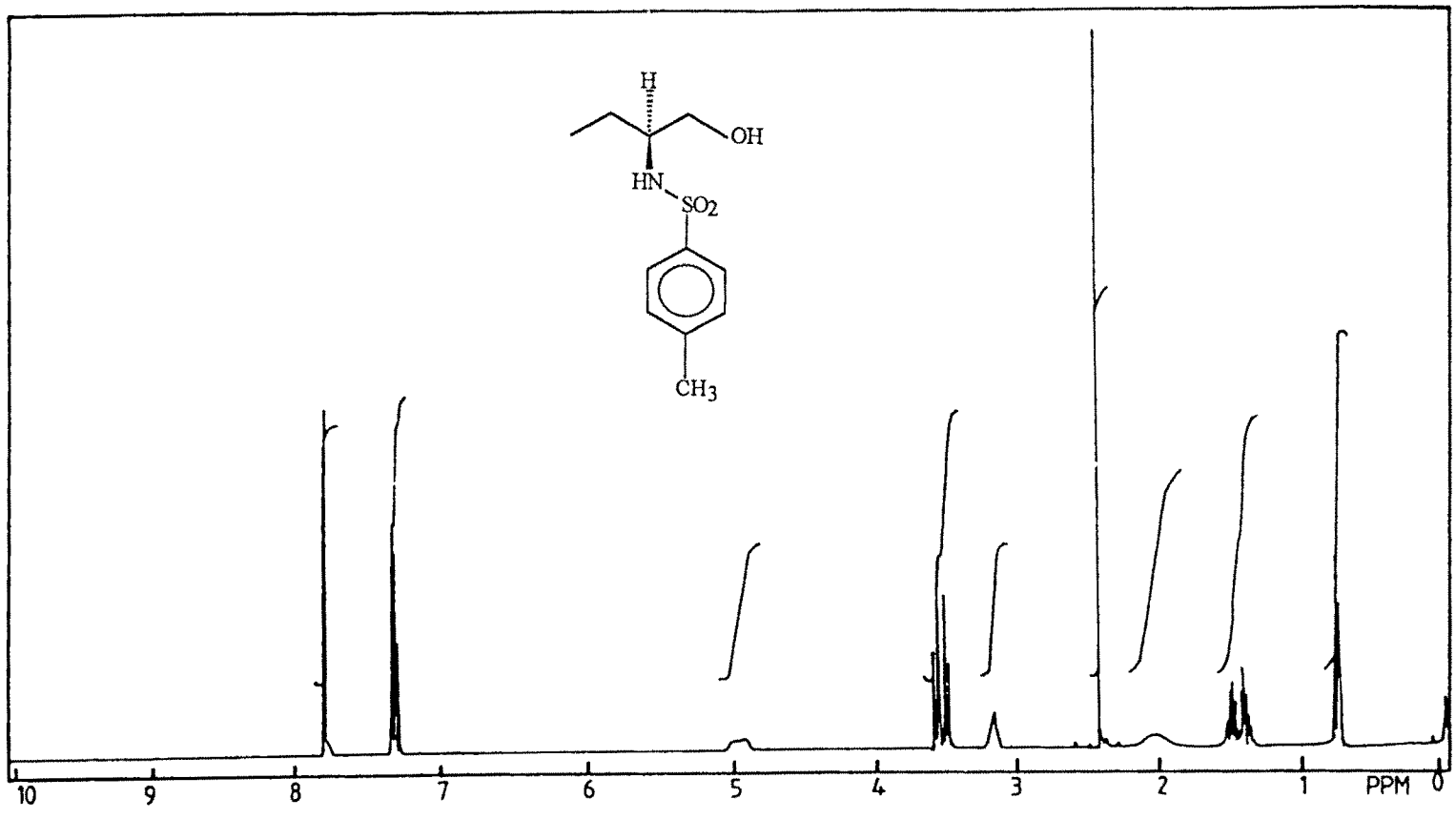


Fig. 3.II ^1H NMR ($CDCl_3$) spectrum of ***(S)*** N-Tosyl-2-amino-1-butanol. **(36a)**

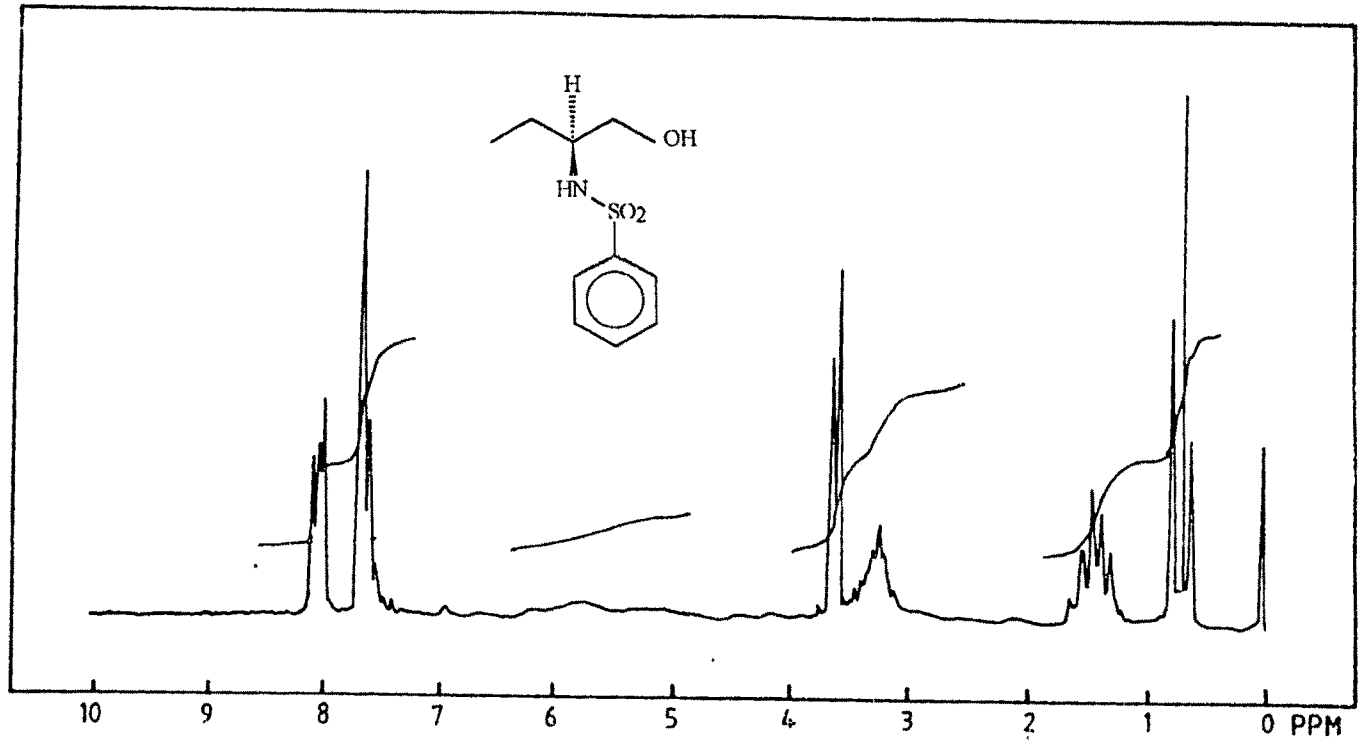


Fig. 3.III ^1H NMR ($CDCl_3$) spectrum of ***(S)*** N-benzenesulfonyl-2-amino-1-butanol. **(37a)**

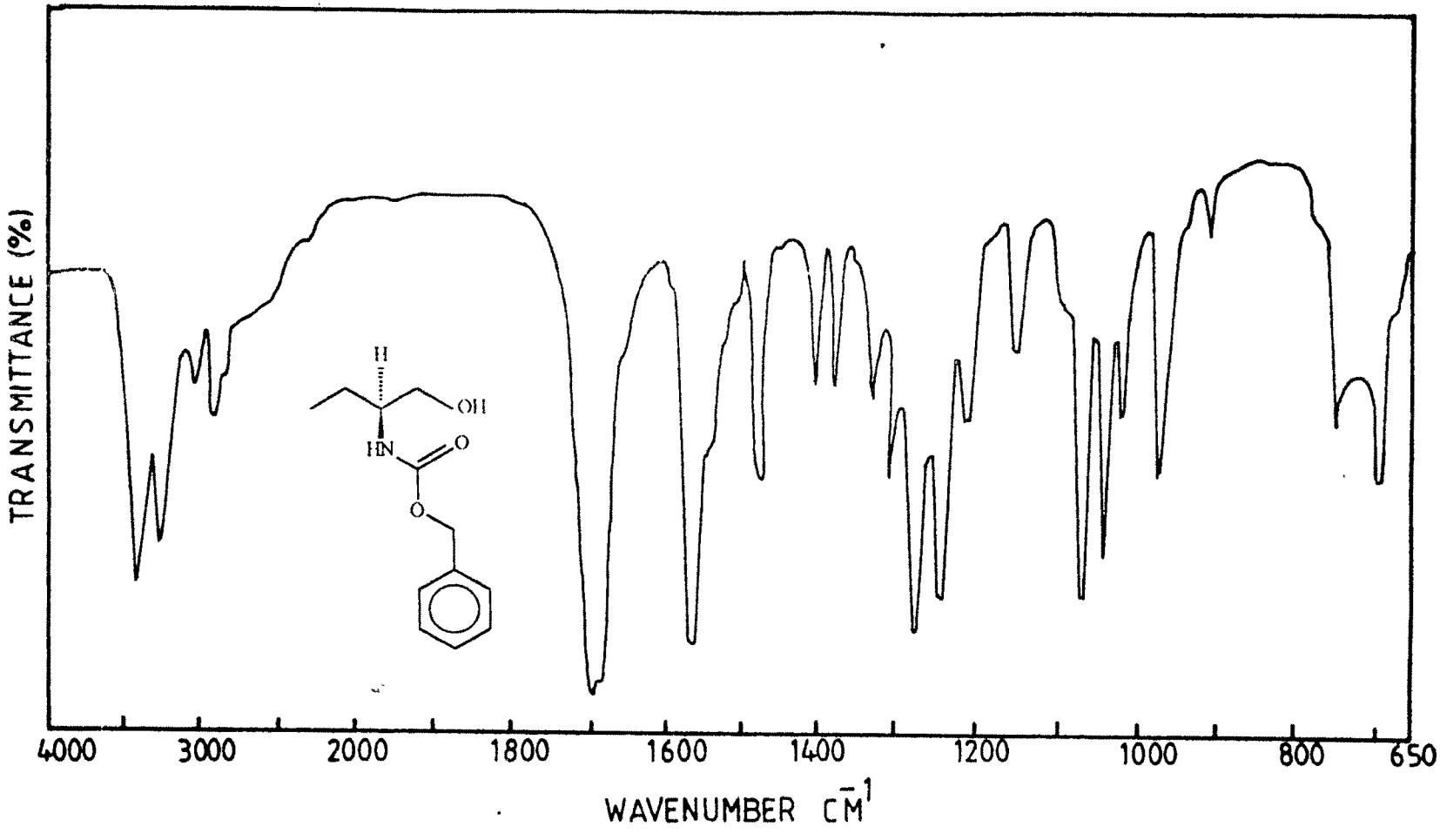


Fig. 3.IV IR (KBr) spectrum of ***(S)*** N-bezyloxycarbonyl-2-amino-1-butanol. **(38a)**

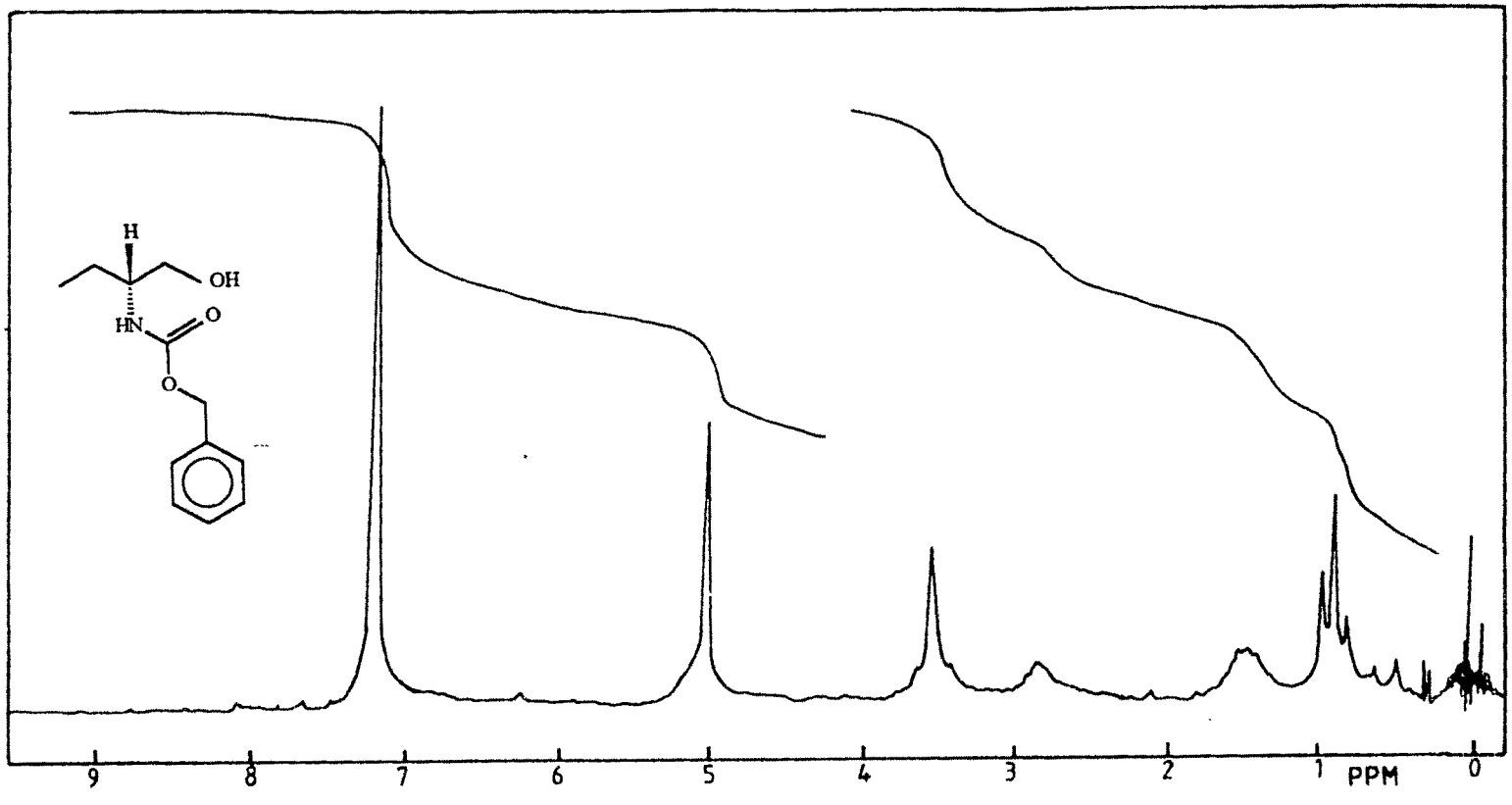


Fig. 3.V ^{1}H NMR ($CDCl_3$) spectrum of ***(S)*** N-bezyloxycarbonyl-2-amino-1-butanol. **(38a)**

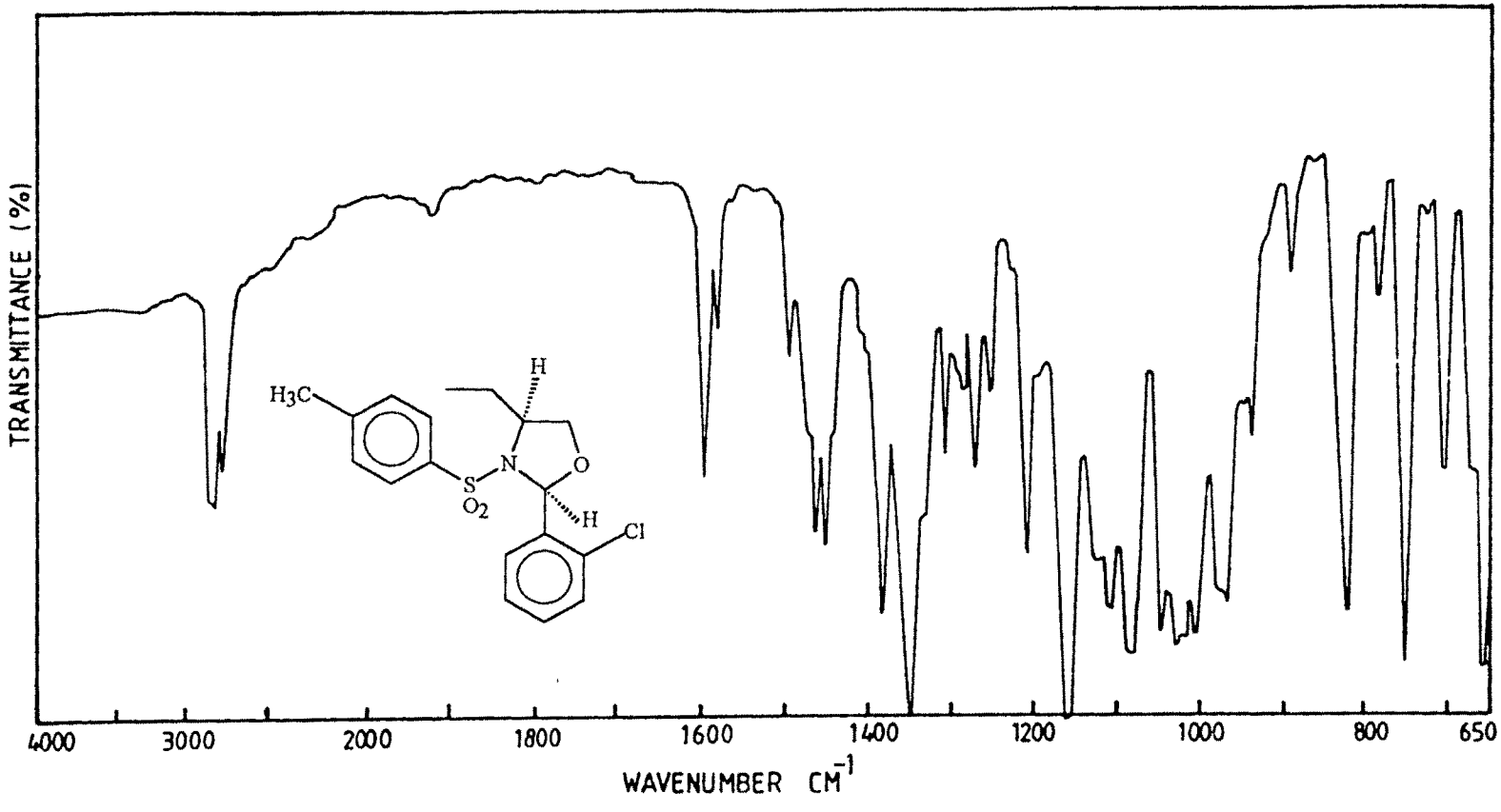


Fig. 3.VI IR (KBr) spectrum of ***(2S*,4S*)*** 2-(2-chlorophenyl)-3-tosyl-4-ethyl-1,3-oxazolidine. (**46a**)

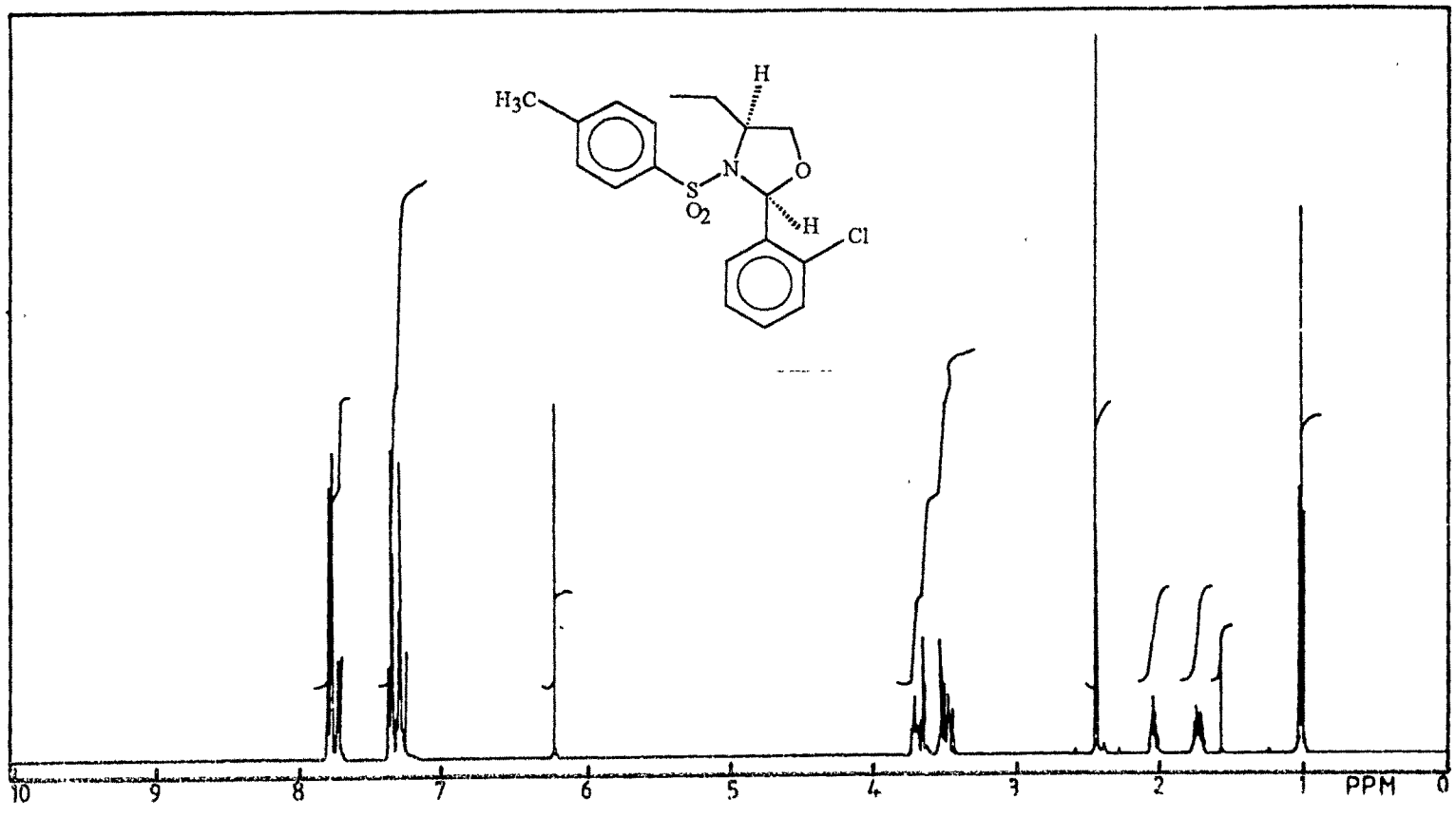


Fig. 3.VII ^1H NMR ($CDCl_3$) spectrum of ***(2S*,4S*)*** 2-(2-chlorophenyl)-3-tosyl-4-ethyl-1,3-oxazolidine. **(46a)**

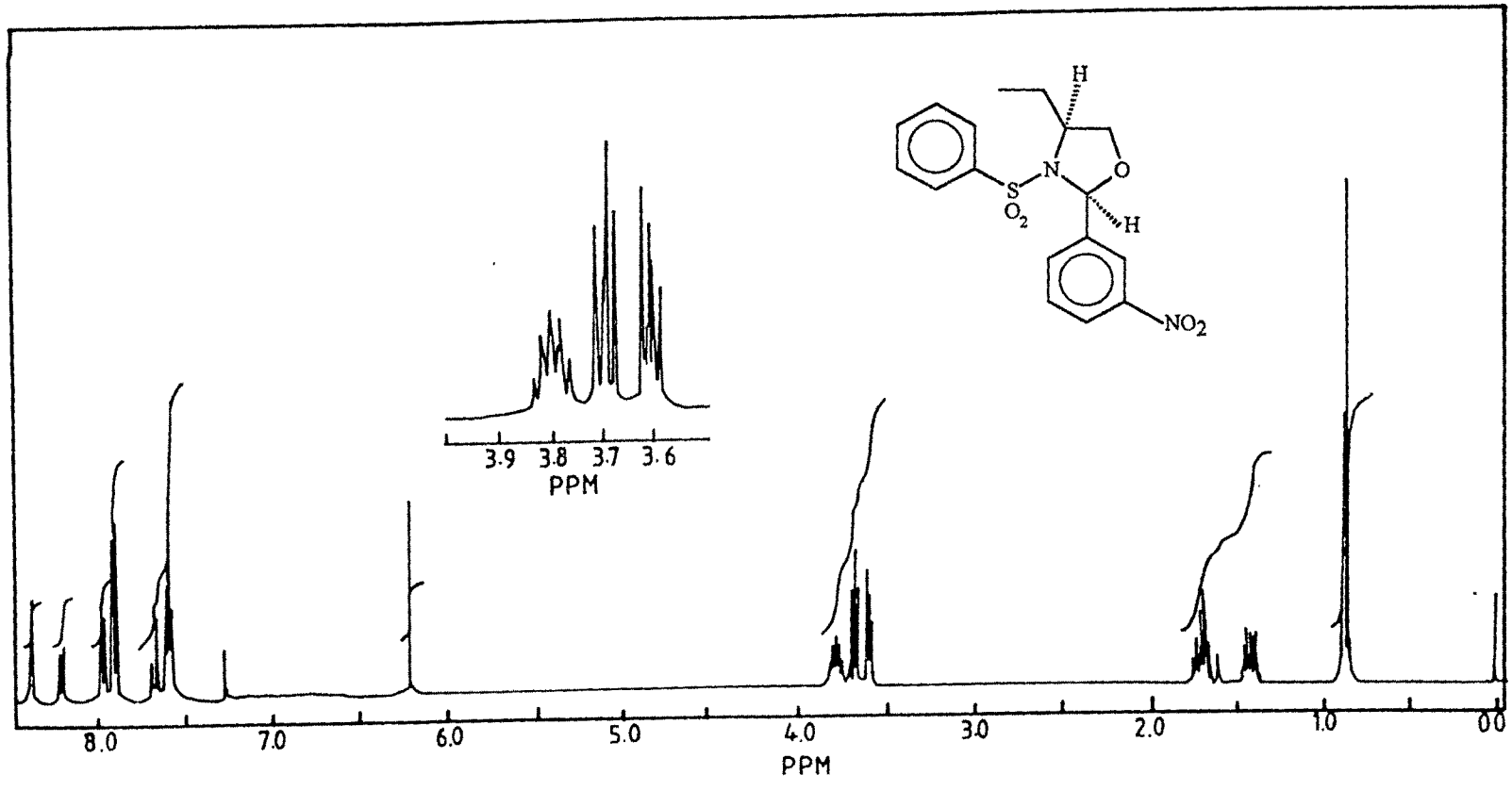


Fig. 3.VIII ^1H NMR ($CDCl_3$) spectrum of ***(2S*,4S*)*** 2-(3-nitrophenyl)-3-benzene sulfonyl-4-ethyl-1,3-oxazolidine. **(48a)**

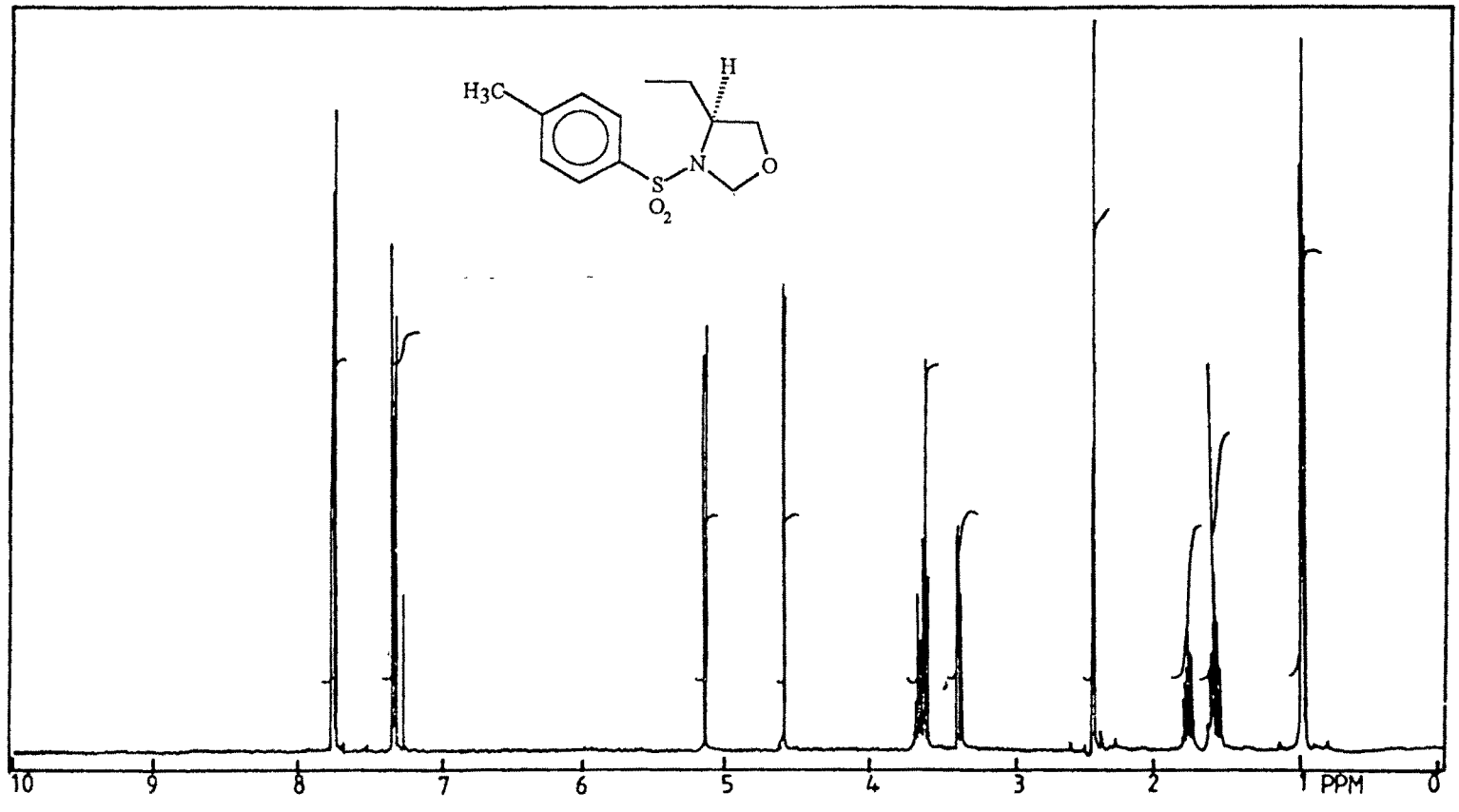


Fig. 3.IX ^1H NMR ($CDCl_3$) spectrum of ***(S)*** 3-Tosyl-4-ethyl-1,3-oxazolidine. **(52a)**

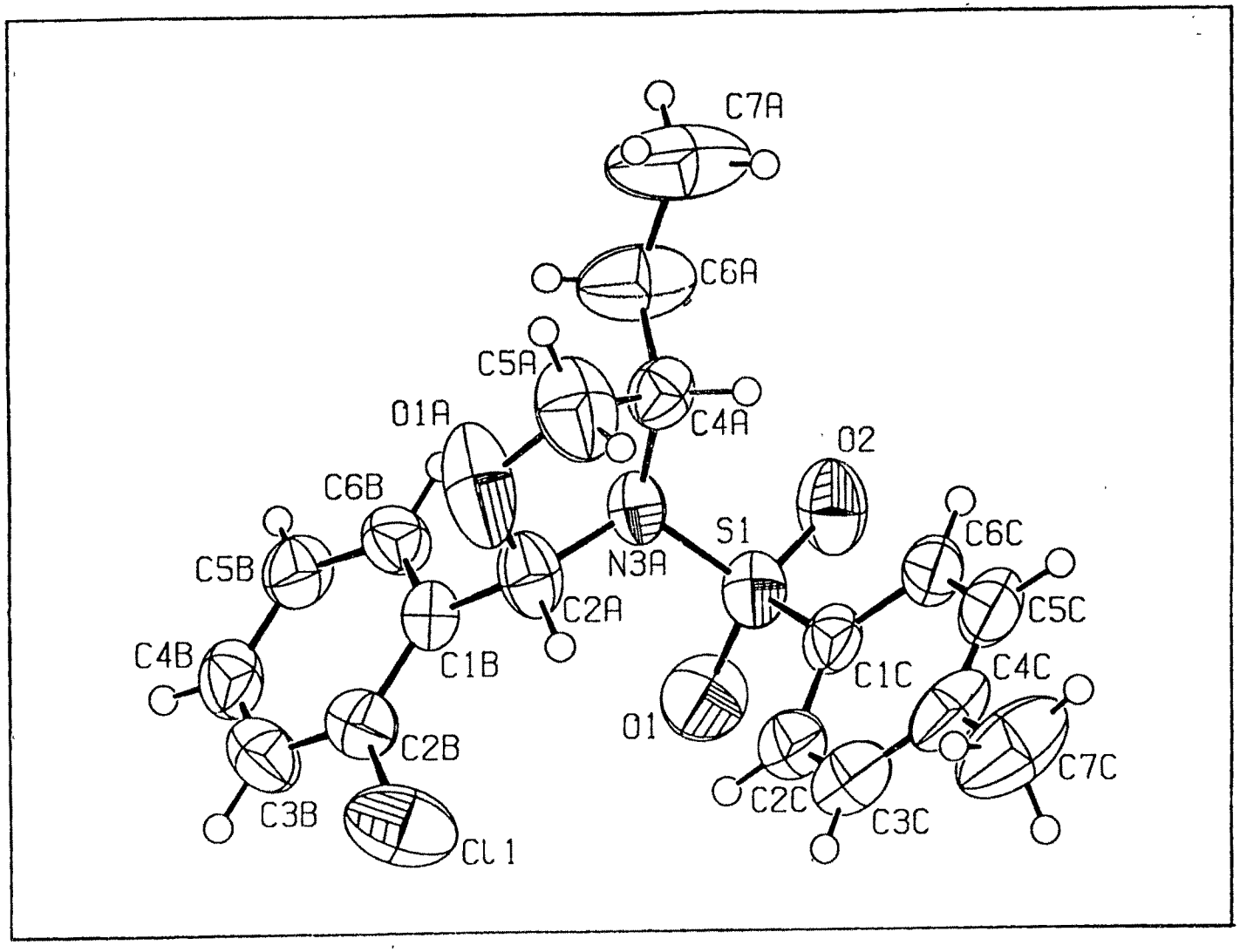


Fig. 3.X ORTEP plot of ***(2S*,4S*)***2-(2-chlorophenyl)-3-tosyl-4-ethyl-1,3-oxazolidine. **(46a)**

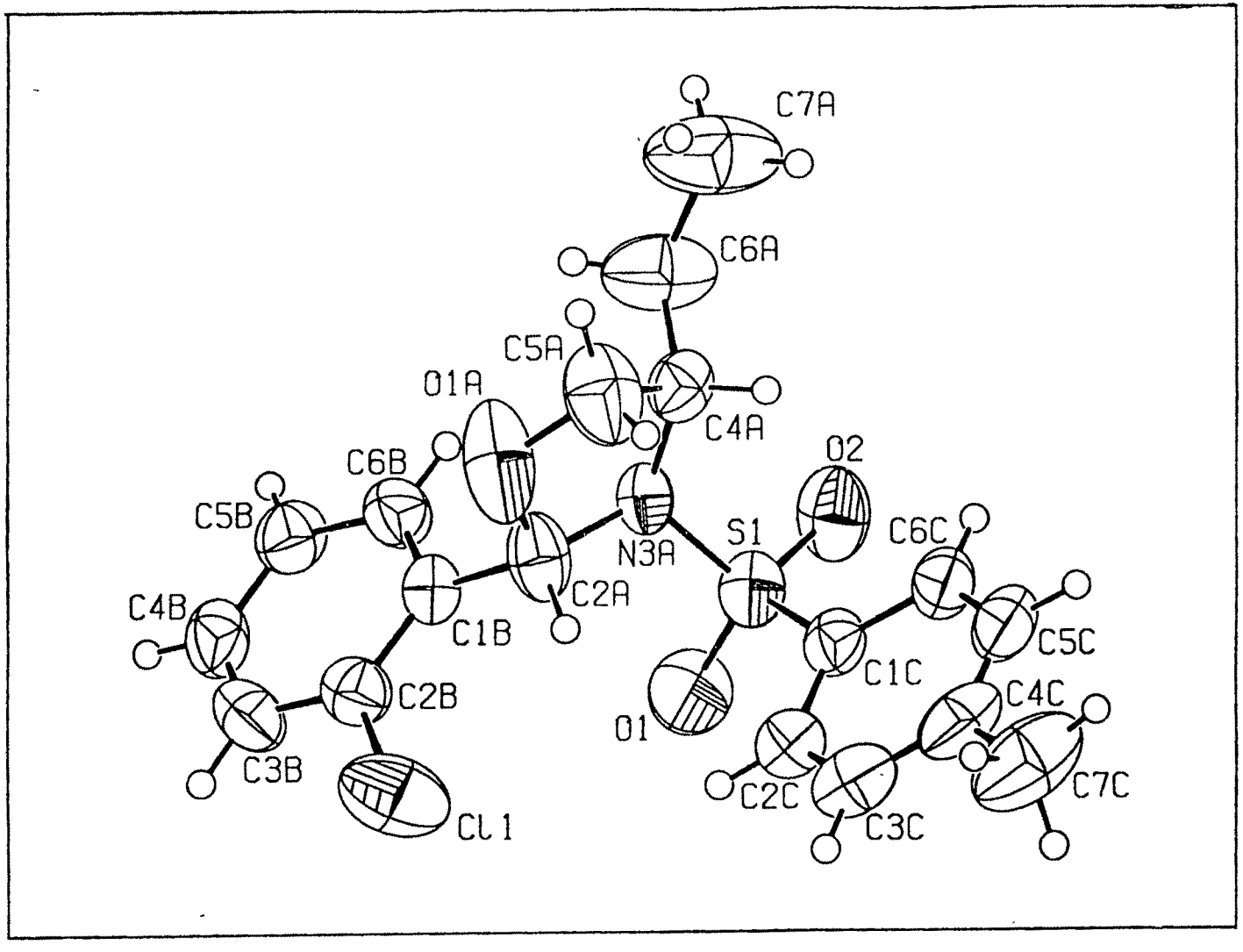


Fig. 3.XI ORTEP plot of ***(2R*,4R*)*** 2-(2-chlorophenyl)-3-tosyl-4-ethyl-1,3-oxazolidine. **(46b)**

3.3 EXPERIMENTAL

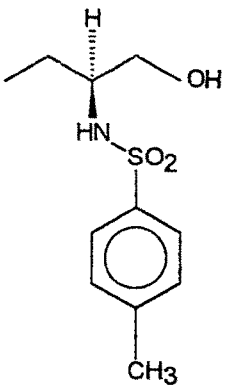
^{1}H NMR spectra were recorded on a varian EM-390 at 90 MHz or varian XLAA-400 MHz. Chemical shifts are relative to tetramethylsilane. The infrared spectra were recorded on a shimadzu IR-408 spectrophotometer.

Optical rotations were measured on a Jasco-Dip-370 polarimeter. Elemental analysis were carried out on a Coleman instrument. Melting points were obtained on a Gallen Kamp-350 micro melting apparatus by open capillary method, and are uncorrected. An Enraf Nonius CAD-4 single crystal X-ray diffractometer was used for the X-ray crystallographic studies.

3.3.1 Sulfonamides from *(R)* and *(S)* 2-amino-1-butanol. 36a-36b, 37a-37b

(S) or ***(R)*** 2-amino-1-butanol **(35a or 35b)** (5m.mol) was dissolved in dichloromethane (20ml). Triethylamine was added (5 m.mol) to the above solution and cooled in an icebath. A solution of the arenesulfonyl chloride (5m.mol) in dichloromethane (10ml) was added to the solution, drop by drop by means of a pressure equalising funnel and kept under constant stirring at 0-3°C for 4 hrs. and the reaction mixture was brought to room temperature. The mixture was washed with 2N aqueous H_2SO_4, and water. The organic layer was dried over anhydrous sodium sulfate, filtered and evaporated under reduced pressure on a rotary evaporator. The solid residue was crystallised from diethyl ether to give the products.

(S) N-Tosyl-2-amino-1-butanol (36a)



Yield : 94.0%. M.P. : 73°C.

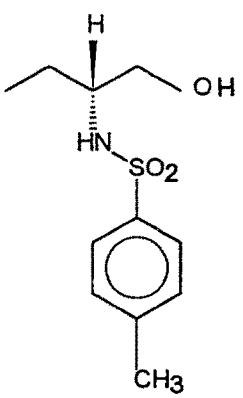
CHN found (calculated): C - 54.10 (54.32), H - 6.73 (6.99), N - 5.67 (5.76).

$[\alpha]_D^{25}$: -70.8° (*c* 1.0 in $CHCl_3$).

ν_{max} (KBr)/cm^{-1} : 3340,2900,1500,1315 and 1160.

δ ppm ($CDCl_3$) : 0.80 (3H,t,-CH_3), 1.40 (2H,m,-CH_2). 1.70 (1H,s, -CH), 2.40 (3H,s,-CH_3 on ring), 3.20 (1H,br.s,-NH), 3.50 (2H,d,-CH_2-O), 7.30,7.80(2H,2H,d,d,-C_6H_4).

(R) N-Tosyl-2-amino-1-butanol (36b)



Yield : 94.6%. M.P. : 74°C.

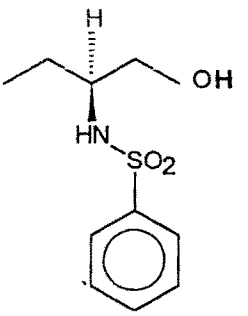
CHN found (calculated): C - 54.20 (54.32), H - 6.79 (6.99), N - 5.68 (5.76).

$[\alpha]_D^{25}$: +71.2° (*c* 1.0 in $CHCl_3$).

ν_{max} (KBr)/cm^{-1} : 3340,2900,1500,1310 and 1160.

δ ppm ($CDCl_3$) : 0.80 (3H,t,-CH_3), 1.40 (2H,m,-CH_2), 1.70 (1H,m, -CH), 2.40 (3H,s,-CH_3 on ring), 3.20 (1H,s,-NH), 3.50 (2H,d,-CH_2-O), 7.30, 7.80 (2H,2H,d,d,-C_6H_4).

(S) N-Benzenesulfonyl-2-amino-1-butanol (37a)



Yield : 96.0%. M.P. : 68°C.

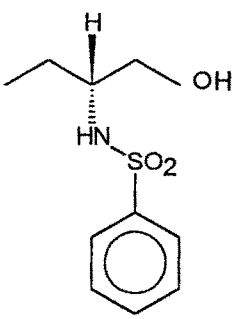
CHN found (calculated) : C - 56.20 (56.30), H - 7.01 (7.04), N - 6.39 (6.57).

$[\alpha]_D^{25}$: -28.64° (*c* 1.0 in $CHCl_3$).

ν_{max} (KBr)/cm^{-1} : 3300,2900,1450,1320 and 1150.

δ ppm ($CDCl_3$) : 0.75(3H,t,-CH_3), 1.50 (3H,m,-CH_2-CH), 3.20(1H,m, -NH), 3.60(2H,d,-CH_2-O), 7.70,8.00(5H,m,C_6H_5).

(R) N-Benzenesulfonyl-2-amino-1-butanol (37b)



Yield : 95.7%. M.P. : 69° C.

CHN found (calculated) : C - 56.20 (56.30), H - 7.03 (7.04), N - 6.37 (6.57).

$[\alpha]_D^{25}$: +28.97° (*c* 1.0 in $CHCl_3$).

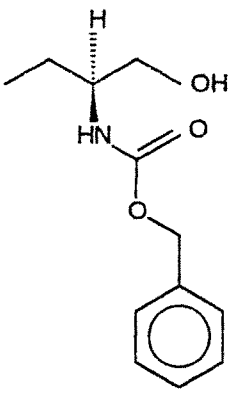
ν_{max} (KBr)/cm^{-1} : 3300,2900,1460,1310 and 1150.

δ ppm ($CDCl_3$) : 0.75(3H,t,-CH_3), 1.50 (3H,m,-CH_2-CH), 3.10(1H,m, -NH), 3.60(2H,d,-CH_2-O), 7.90,8.00(5H,m,C_6H_5).

3.3.2 *(R)* and *(S)* N-benzyloxycarbonyl-2-amino-1-butanol. 38a & 38b

To a solution of triethylamine (5m.mol) in 20 ml dichloromethane was added ***(R)*** or ***(S)*** 2-amino-1-butanol (5m.mol). The reaction mixture was cooled to 0-5°C. N-Benzyloxycarbonyl chloride (5m.mol) was added dropwise under constant stirring during 15 minutes. The reaction mixture was stirred for 4 hours at room temperature and washed with saturated solution of sodium bicarbonate, dilute hydrochloric acid and water. The organic layer was dried over anhydrous sodium sulfate. Removal of solvent furnished the product which was recrystallised from petroleum ether (60-80°C) - dichloromethane (50 : 50).

(S) N-Benzyloxy carbonyl-2-amino-1-butanol (38a)



Yield : 60.0%. M.P. : 68°C.

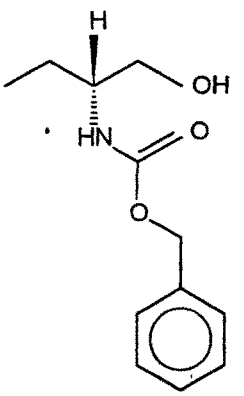
CHN found (calculated): C - 64.22 (64.50), H - 7.15 (7.60), N - 6.29 (6.20).

$[\alpha]_D^{25}$: -30.0° (*c* 1.0 in $CHCl_3$).

ν_{max} (KBr)/cm^{-1} : 3450,3250,3050,2950,2850,1700,1285.

δ ppm ($CDCl_3$) : 0.90(3H,t,-CH_3), 1.50(2H,m,-CH_2), 2.85 (1H,m,-CH), 3.50 (2H,m,-CH_2), 5.00 (2H,s,-CH_2), 7.20(5H,M,-C_6H_5).

(R) N-Benzyloxycarbonyl-2-amino-1-butanol (38b)



Yield : 61.0%. M.P. : 69°C.

CHN found(calculated) : C - 64.37 (64.50), H - 7.37 (7.60), N - 6.10 (6.20).

$[\alpha]_D^{25}$: +29.8° (*c* 1.0 in $CHCl_3$).

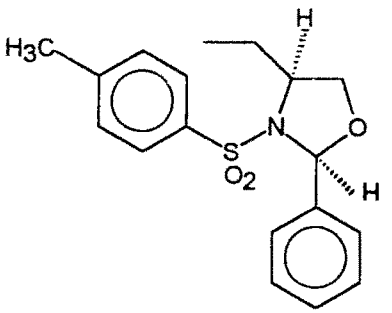
ν_{max} (KBr)/cm^{-1} : 3450,3250,3050,2950,2850,1700,1285,1250.

3.3.3 1,3-OXAZOLIDINES :

(43a-50a,43b-50b,52a,52b,53a,53b,56a-59a,56b-59b)

(S) N-Tosyl-2-amino-1-butanol **(36a)**, ***(R)*** N-Tosyl-2-amino-1-butanol **(36b)**, ***(S)*** N-benzenesulfonyl-2-amino-1-butanol **(37a)**, ***(R)*** N-benzenesulfonyl-2-amino-1-butanol **(37b)**, ***(S)*** N-benzyloxycarbonyl-2-amino-1-butanol **(38a)** and ***(R)*** N-benzyloxycarbonyl-2-amino-1-butanol **(38b)** (5 m mol) were dissolved in 20ml chloroform and the aldehyde (5 m mol) was added. A drop of concentrated sulfuric acid was also added and stirred the reaction mixture for 3 hours at room temperature. The reaction mixture was washed with 5% solution of $NaHCO_3$ and water. The organic layer was dried over anhydrous sodium sulfate, filtered, and evaporated under reduced pressure on a rotary evaporator. The residue was crystallised from dichloromethane-petroleum ether (60-80°C) (50:50) to give the 1,3-oxazolidines.

(2S,4S*)* 2-Phenyl-3-tosyl-4-ethyl-1,3-oxazolidine (43a)



Yield : 84.00%. M.P. : 122°C

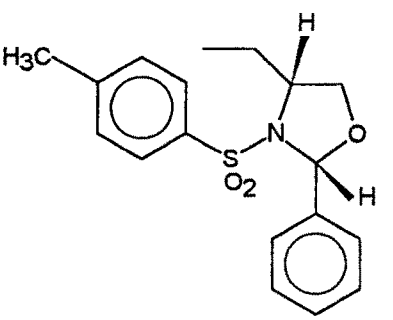
CHN found (calculated) : C - 65.67 (65.25), H - 6.12 (6.34), N - 3.99 (4.22).

$[\alpha]_D^{25}$: -76.4° (*c* 1.0 in $CHCl_3$).

ν_{max} (KBr)/cm^{-1} : 2950,1600,1160,1120,1090.

δ ppm ($CDCl_3$) : 0.80 (3H,t,-CH_3), 1.40, 1.60 (2H,m.-CH_2), 2.50 (3H,s,-CH_3 on ring), 3.50 (1H,m, -CH), 3.70 (2H,d, -CH_2-O), 6.20 (1H, s,-N-CH-O), 7.20,7.60 (9H,m, -C_6H_5,-C_6H_4).

(2R,4R*)* 2-Phenyl-3-tosyl-4-ethyl-1,3-oxazolidine (43b)



Yield : 83.90%. M.P. : 124°C.

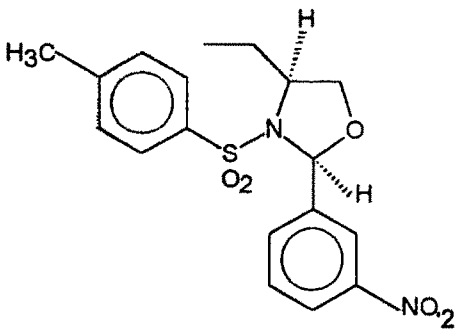
CHN found (calculated) : C - 65.52 (65.25), H - 6.20 (6.34), N - 3.97 (4.22).

$[\alpha]_D^{25}$: +77.2° (*c* 1.0 in $CHCl_3$).

ν_{max} (KBr)/cm^{-1} : 2950,1610,1160,1130,1090.

δ ppm ($CDCl_3$) : 0.82 (3H,t,-CH_3) 1.40, 1.60 (2H,m,-CH_2), 2.47 (3H,s,-CH_3 on ring), 3.50 (1H,m,-CH), 3.80 (2H,d,-CH_2-O), 6.20 (1H,s,N-CH-O), 7.20, 7.60 (9H,m, -C_6H_5, -C_6H_4).

(2S,4S*)* 2-(3-nitrophenyl)-3-Tosyl-4-ethyl-1,3-oxazolidine (44a)



Yield : 92.00%. M.P. : 157° C.

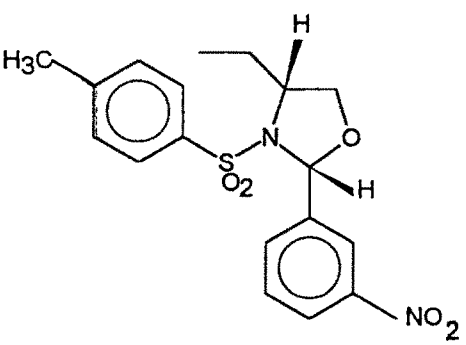
CHN found (calculated) : C - 57.20 (57.44), H - 5.20 (5.31), N - 7.62 (7.44).

$[\alpha]_D^{25}$: -355.8° (*c* 1.0 in $CHCl_3$).

ν_{max} (KBr)/cm^{-1} : 2950,1600,1525 and 1345.

δ ppm ($CDCl_3$) : 0.90 (3H,t,-CH_3), 1.60 (2H,m, -CH_2), 2.40 (3H,s,-CH_3 on ring), 3.60 (1H,m,-CH), 3.80 (2H,d,-CH_2-O), 6.20 (1H,s,-N-CH-O), 7.20, 7.70 (8H,m,-C_6H_4,-C_6H_4).

(2R, 4R*)* 2-(3-nitrophenyl)3-Tosyl-4-ethyl-1,3-oxazolidine (44b)



Yield : 92.00%. M.P. : 154° C.

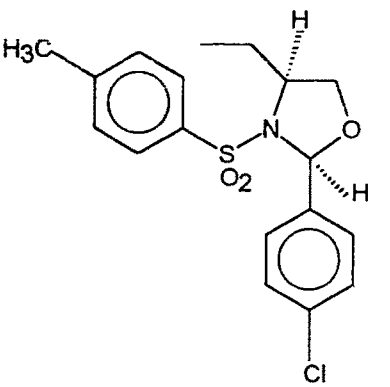
CHN found (calculated) : C - 57.59 (57.44), H - 5.42 (5.31), N - 7.62 (7.44).

$[\alpha]_D^{25}$: +355.6° (*c* 1.0 in $CHCl_3$).

ν_{max} (KBr)/cm^{-1} : 3040, 2950, 1590, 1525 and 1350.

δ ppm ($CDCl_3$) : 0.90 (3H,t,-CH_3), 1.60 (2H,m, -CH_2), 2.40 (3H,s,-CH_3 on ring), 3.60 (1H,m,-CH), 3.80 (2H,d,-CH_2-O), 6.20 (1H,s,-N-CH-O), 7.20, 7.70 (8H,m,-C_6H_4,-C_6H_4).

(2S*,4S*) **2-(4-chlorophenyl) -3-Tosyl-4-ethyl-1,3-oxazolidine (45a)**



Yield : 87.0%. M.P. : 121°C.

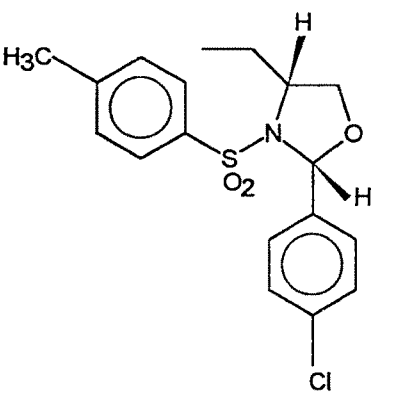
CHN found (calculated) : C - 58.96 (59.09), H - 5.34 (5.47), N - 4.02 (3.83).

$[\alpha]_D^{25}$: -271.85° (*c* 1.0 in $CHCl_3$).

ν_{max} (KBr)/cm^{-1} : 2950, 1600, 1160, 1120, 1090.

δ ppm ($CDCl_3$) : 0.80 (3H,t,-CH_3),1.40, 1.60 (2H,m, -CH_2), 2.50 (3H,s,-CH_3 on ring), 3.50 (1H,m,-CH), 3.70 (2H,d,-CH_2-O), 6.20 (1H,s,-N-CH-O), 7.30, 7.60 (8H,m,-C_6H_4,-C_6H_4).

(2R*,4R*) **2-(4-chlorophenyl)-3-Tosyl-4-ethyl-1,3-oxazolidine (45b)**



Yield : 88.0%. M.P. : 122° C.

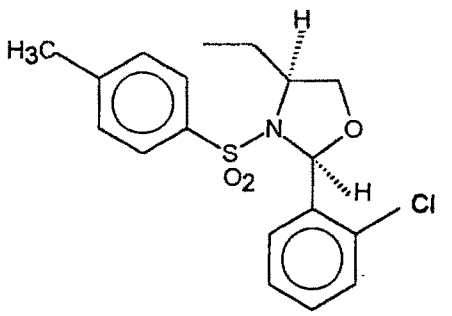
CHN found (calculated) : C - 59.16 (59.09), H - 5.26 (5.47), N - 3.77 (3.83).

$[a]_D^{25}$: +271.53° (*c* 1.0 in $CHCl_3$).

ν_{max} (KBr)/cm^{-1} : 2950, 1600, 1160, 1120, 1090.

d ppm ($CDCl_3$) : 0.80 (3H,t,-CH_3),1.40, 1.60 (2H,m, -CH_2), 2.50 (3H,s,-CH_3 on ring), 3.50 (1H,m,-CH), 3.70 (2H,d,-CH_2-O), 6.20 (1H,s,-N-CH-O), 7.30, 7.60 (8H,m,-C_6H_4,-C_6H_4).

(2S*, 4S*) 2-(2-chlorophenyl)-3-Tosyl-4-ethyl-1,3-oxazolidine (46a)



Yield : 89.0%. M.P. : 163°C.

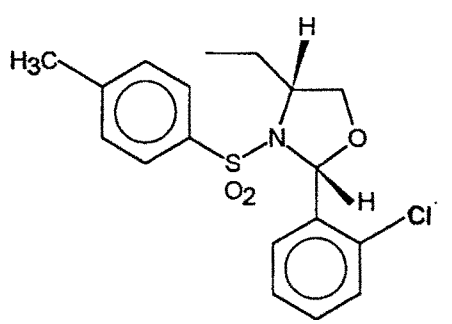
CHN found (calculated): C - 58.95 (59.05), H - 5.46 (5.47), N - 3.79 (3.83).

$[\alpha]_D^{25}$: -88.4° (*c* 1.0 in $CHCl_3$).

ν_{max} (KBr)/cm^{-1} : 2950, 1600, 1160, 1110, 1090.

δ ppm ($CDCl_3$) : 1.00 (3H,t,-CH_3),1.60, 2.10 (2H,m, -CH_2), 2.40 (3H,s,-CH_3 on ring), 3.50(1H,m,-CH), 3.70 (2H,d,-CH_2-O), 6.20 (1H,s,-N-CH-O), 7.30, 7.90 (8H,m,-C_6H_4,-C_6H_4).

(2R*,4R*) 2-(2-chlorophenyl)-3-Tosyl-4-ethyl-1,3-oxazolidine (46b)



Yield : 91.0%. M.P. : 160° C.

CHN found (calculated): C - 59.12 (59.09), H - 5.20 (5.47), N - 3.79 (3.83).

$[\alpha]_D^{25}$: +89.2° (*c* 1.0 in $CHCl_3$).

ν_{max} (KBr)/cm^{-1} : 2950, 1600, 1170, 1140, 1090.

δ ppm ($CDCl_3$) : 1.00 (3H,t,-CH_3),1.60, 2.10 (2H,m, -CH_2), 2.40 (3H,s,-CH_3 on ring), 3.50(1H,m,-CH), 3.70 (2H,d,-CH_2-O), 6.20 (1H,s,-N-CH-O), 7.30, 7.90 (8H,m,-C_6H_4,-C_6H_4).

CRYSTAL DATA AND STRUCTURE REFINEMENT

Crystal data for **46a** : $C_{18}H_{20}ClNO_3S$, *M* 365.86. crystal size 0.3 x 0.2 x 0.2mm. T 293(2)K., Crystal system - orthorhombic, space group $P2_12_12_1$,. *a* = 9.113 A°, *b* = 13.833 A°, *c* = 14.196 A°. *U* =1789.5 A^3, Z = 4; D_c 1.358 mg/ m^3. μ = 0.346 mm^{-1}, F(000) = 768, λ(Mo-Kα) = 0.71073 A°.

Crystal data for **46b** : $C_{18}H_{20}ClNO_3S$, *M* 365.86. crystal size 0.3 x 0.2 x 0.2mm. T 293(2)K., Crystal system - orthorhombic, space group $P2_12_12_1$,. *a* = 9.233 A°, *b* = 14.017A°, *c* = 14.241A°. *U* = 1866A^3, Z=4; D_c 1.302 mg/m^3. μ = 0.331mm^{-1}, F(000) = -768, λ(Mo-Kα) = 0.71073A°.

Crystals of **46a** and **46b** were mounted on glass fibers, and intensity data were measured on each separately using the small Mar Research image plate scanner and Mo radiation. 95 frames were measured with a 2° rotation and an exposure time of 4 min per frame. Data were processed with the Mar version of the XDS package, to give 9001 reflections for **46a**. 3202 were unique with a merging R of 2.37%. θ range for data collection 2.66 to 25.87°, Index ranges 0 <= h <= 10, -16 <= k <= 16, -17 <= l <= 17.

9367 reflections were collected for **46b** of which 3134 were unique with a merging R of 4.2%. θ range for data collection 2.82 to 25.06°. Index ranges 0 <= h <= 10, -16 <= k <= 16, -17 <= 1 <= 17.

The structures were solved[42] by direct methods using SHELX-86 and refined with SHELXL. The final conventional R factor is 4.35% for **46a** based on 2745 observations for which Fo > 4 σ (Fo) and 5.52% for all 3202 data. The refinement method (for both structures) was full matrix least squares on F^2. Goodness of fit on F^2 was 1.114. The absolute structure parameter of Flack as implemented in the package refined to a value of 0.2205 (.1054). The largest difference peak and hole in the final map were 0.205 and -0.167e A^{-3}.

The final conventional R factor for **46b** is 4.27% based on 2743 observations for which Fo > 4 σ (Fo) and 5.23% for all 3134 data. Goodness of fit on F^2 was 1.070. The absolute structure parameter refined to a final value of -0.0482 (.0975). The largest difference peak and hole in the final map were 0.165 and -0.168e A^{-3}.

Tables of atomic co-ordinates and equivalent isotropic parameters, Anisotropic displacement parameters, bond lengths and bond angles are presented for **46a** and **46b** (Tables III.1 - III.8).

Table III.I.

Atomic coordinates (x 10^4) and equivalent isotropic displacement parameters (A^2 x 10^3) for 46a. U (eq) is defined as one third of the trace of the orthogonalized Uij tensor.

	x	y	z	U(eq)
S(1)	26(1)	7473(1)	9627(1)	58(1)
O(1)	-488(3)	6661(2)	10137(2)	87(1)
O(2)	753(3)	7352(2)	8753(2)	81(1)
O(1A)	-3093(3)	9180(2)	9981(3)	108(1)
C(2A)	-2477(4)	8277(2)	10183(2)	64(1)
N(3A)	-1412(3)	8133(2)	9415(2)	53(1)
C(4A)	-1201(3)	9067(2)	8925(2)	58(1)
C(5A)	-2026(5)	9745(3)	9548(4)	100(2)
C(6A)	-1742(6)	9001(3)	7926(3)	108(2)
C(7A)	-1354(10)	9844(4)	7334(4)	156(3)
C(1B)	-3688(3)	7546(2)	10206(2)	49(1)
C(2B)	-4316(3)	7246(2)	11039(2)	58(1)
C(3B)	-5515(4)	6649(2)	11052(3)	69(1)
C(4B)	-6113(3)	6334(2)	10240(3)	67(1)
C(5B)	-5503(4)	6593(2)	9396(2)	64(1)
C(6B)	-4309(3)	7206(2)	9384(2)	60(1)
Cl(1)	-3578(2)	7609(1)	12098(1)	103(1)
C(1C)	1186(3)	8142(2)	10364(2)	53(1)
C(2C)	937(4)	8150(2)	11326(2)	66(1)
C(3C)	1822(4)	8712(3)	11891(3)	74(1)
C(4C)	2935(4)	9267(2)	11528(3)	76(1)
C(5C)	3193(4)	9221(2)	10565(3)	72(1)
C(6C)	2323(3)	8672(2)	9982(3)	62(1)
C(7C)	3881(6)	9890(3)	12149(4)	122(2)

Table III.II

Anisotropic displacement parameters (A^2 x 10^3) for 46a. The anisotropic displacement factor exponent takes the form:

$$-2\pi^2 [h^2 a^{*2} U_{11} + ... + 2 h k a^* b^* U_{12}]$$

	U11	U22	U33	U23	U13	U12
S(1)	52(1)	47(1)	74(1)	-5(1)	4(1)	-1(1)
O(1)	89(2)	50(1)	121(2)	14(1)	-9(2)	-13(1)
O(2)	68(2)	90(2)	85(2)	-28(1)	16(1)	9(1)
O(1A)	78(2)	48(1)	199(3)	-29(2)	55(2)	-8(1)
C(2A)	52(2)	72(2)	68(2)	-22(2)	9(1)	-14(1)
N(3A)	41(1)	54(1)	62(1)	-5(1)	4(1)	-7(1)
C(4A)	51(2)	54(2)	68(2)	-2(1)	-10(1)	-5(1)
C(5A)	87(3)	68(2)	146(4)	-8(3)	27(3)	-7(2)
C(6A)	158(5)	81(3)	85(3)	11(2)	-49(3)	-37(3)
C(7A)	233(8)	121(4)	114(4)	46(3)	-88(5)	-75(5)
C(1B)	39(1)	52(1)	56(1)	-3(1)	2(1)	0(1)
C(2B)	65(2)	51(2)	57(2)	-2(1)	7(1)	5(1)
C(3B)	73(2)	59(2)	76(2)	10(2)	22(2)	-3(2)
C(4B)	48(2)	55(2)	98(2)	12(2)	1(2)	-7(1)
C(5B)	57(2)	60(2)	74(2)	5(2)	-13(2)	-14(1)
C(6B)	57(2)	65(2)	57(2)	5(1)	-1(1)	-14(1)
Cl(1)	155(1)	100(1)	53(1)	-12(1)	2(1)	-20(1)
C(1C)	43(2)	46(1)	71(2)	6(1)	-1(1)	7(1)
C(2C)	59(2)	66(2)	72(2)	12(2)	-2(2)	1(2)
C(3C)	77(2)	75(2)	71(2)	-1(2)	-15(2)	15(2)
C(4C)	69(2)	54(2)	104(3)	3(2)	-35(2)	10(2)
C(5C)	46(2)	61(2)	110(3)	20(2)	-12(2)	1(1)
C(6C)	45(2)	61(2)	81(2)	15(2)	0(1)	3(1)
C(7C)	128(4)	81(3)	155(5)	-8(3)	-82(4)	-7(3)

Table III.III.

Selected bond lengths [A°] for 46a.

S(1)-O(1)	1.417(2)	C(1B)-C(6B)	1.379(4)
S(1)-O(2)	1.417(2)	C(2B)-C(3B)	1.370(5)
S(1)-N(3A)	1.625(3)	C(2B)-Cl(1)	1.722(3)
S(1)-C(1C)	1.751(3)	C(3B)-C(4B)	1.347(5)
O(1A)-C(5A)	1.391(5)	C(4B)-C(5B)	1.369(5)
O(1A)-C(2A)	1.399(4)	C(5B)-C(6B)	1.380(4)
C(2A)-N(3A)	1.473(4)	C(1C)-C(6C)	1.380(4)
C(2A)-C(1B)	1.497(4)	C(1C)-C(2C)	1.385(4)
N(3A)-C(4A)	1.481(4)	C(2C)-C(3C)	1.377(5)
C(4A)-C(5A)	1.493(5)	C(3C)-C(4C)	1.373(5)
C(4A)-C(6A)	1.504(5)	C(4C)-C(5C)	1.389(6)
C(6A)-C(7A)	1.479(6)	C(4C)-C(7C)	1.504(5)
C(1B)-C(2B)	1.378(4)	C(5C)-C(6C)	1.375(5)

Table III.IV

Bond Angles (°) For 46a

O(1)-S(1)-O(2)	120.5(2)	C(2B)-C(1B)-C(2A)	121.9(3)
O(1)-S(1)-N(3A)	105.87(14)	C(6B)-C(1B)-C(2A)	121.0(3)
O(2)-S(1)-N(3A)	106.35(14)	C(3B)-C(2B)-C(1B)	121.6(3)
O(1)-S(1)-C(1C)	108.3(2)	C(3B)-C(2B)-Cl(1)	118.4(2)
O(2)-S(1)-C(1C)	107.7(2)	C(1B)-C(2B)-Cl(1)	120.0(2)
N(3A)-S(1)-C(1C	107.54(12)	C(4B)-C(3B)-C(2B)	120.4(3)
C(5A)-O(1A)-C(2A)	108.2(3)	C(3B)-C(4B)-C(5B)	120.0(3)
O(1A)-C(2A)-N(3A)	103.5(3)	C(4B)-C(5B)-C(6B)	119.5(3)
O(1A)-C(2A)-C(1B)	108.2(3)	C(1B)-C(6B)-C(5B)	121.5(3)
N(3A)-C(2A)-C(1B)	114.2(2)	C(6C)-C(1C)-C(2C)	120.4(3)
C(2A)-N(3A)-C(4A)	108.4(2)	C(6C)-C(1C)-S(1)	119.9(3)
C(2A)-N(3A)-S(1)	118.1(2)	C(2C)-C(1C)-S(1)	119.6(2)
C(4A)-N(3A)-S(1)	118.2(2)	C(3C)-C(2C)-C(1C)	118.8(3)
N(3A)-C(4A)-C(5A)	101.8(3)	C(4C)-C(3C)-C(2C)	122.0(4)
N(3A)-C(4A)-C(6A)	110.4(3)	C(3C)-C(4C)-C(5C)	118.0(3)
C(5A)-C(4A)-C(6A)	115.6(4)	C(3C)-C(4C)-C(7C)	121.6(4)
O(1A)-C(5A)-C(4A)	105.1(3)	C(5C)-C(4C)-C(7C)	120.4(4)
C(7A)-C(6A)-C(4A)	114.2(3)	C(6C)-C(5C)-C(4C)	121.3(3)
C(2B)-C(1B)-C(6B)	116.9(3)	C(5C)-C(6C)-C(1C)	119.4(3)

Table III.V.

Atomic coordinates (x 10^4) and equivalent isotropic displacement parameters (A^2 x 10^3) for 46b. U(eq) is defined as one third of the trace of the orthogonalized Uij tensor.

	x	y	z	U(eq)
S(1)	2473(1)	4972(1)	2874(1)	59(1)
O(1)	2998(3)	4160(2)	2365(3)	88(1)
O(2)	1746(3)	4846(2)	3748(2)	82(1)
O(1A)	5603(3)	6683(2)	2534(3)	109(1)
C(2A)	4980(4)	5774(3)	2319(3)	66(1)
N(3A)	3908(3)	5634(2)	3088(2)	54(1)
C(4A)	3697(4)	6570(2)	3574(2)	60(1)
C(5A)	4527(6)	7247(3)	2962(5)	98(2)
C(6A)	4255(8)	6508(4)	4570(4)	111(2)
C(7A)	3858(12)	7339(5)	5175(5)	155(4)
C(1B)	6193(3)	5048(2)	2299(2)	50(1)
C(2B)	6811(4)	4747(2)	1468(2)	59(1)
C(3B)	8014(5)	4145(3)	1454(3)	68(1)
C(4B)	8612(4)	3835(2)	2263(3)	69(1)
C(5B)	8012(4)	4098(3)	3106(3)	65(1)
C(6B)	6812(4)	4702(3)	3121(2)	62(1)
Cl(1)	6074(2)	5109(1)	404(1)	104(1)
C(1C)	1319(3)	5640(2)	2139(2)	55(1)
C(2C)	1561(4)	5655(3)	1172(3)	67(1)
C(3C)	675(5)	6211(3)	614(3)	78(1)
C(4C)	-434(5)	6767(3)	971(4)	77(1)
C(5C)	-692(4)	6719(3)	1929(4)	72(1)
C(6C)	174(4)	6164(3)	2517(3)	65(1)
C(7C)	-1384(7)	7382(4)	355(5)	122(2)

Table III.VI.

Anisotropic displacement parameters (A^2 x 10^3) for 46b. The anisotropic displacement factor exponent takes the form:

$$-2\pi^2 [h^2 a^{*2} U_{11} + ... + 2 h k a^* b^* U_{12}]$$

	U11	U22	U33	U23	U13	U12
S(1)	54(1)	47(1)	76(1)	6(1)	4(1)	0(1)
O(1)	91(2)	47(1)	126(2)	-12(2)	-6(2)	13(1)
O(2)	70(2)	93(2)	83(2)	29(2)	16(1)	-10(2)
O(1A)	77(2)	48(1)	201(4)	29(2)	51(2)	7(1)
C(2A)	55(2)	71(2)	73(2)	23(2)	12(2)	16(2)
N(3A)	44(1)	55(1)	63(2)	4(1)	4(1)	7(1)
C(4A)	54(2)	55(2)	72(2)	3(2)	-10(2)	6(2)
C(5A)	89(3)	65(2)	139(4)	8(3)	26(3)	9(2)
C(6A)	168(6)	78(3)	86(3)	-9(2)	-49(4)	36(3)
C(7A)	233(9)	118(5)	114(5)	-35(4)	-80(6)	67(6)
C(1B)	42(1)	51(1)	58(2)	5(2)	3(1)	1(1)
C(2B)	63(2)	56(2)	58(2)	1(2)	8(2)	-6(2)
C(3B)	74(2)	59(2)	71(2)	-11(2)	22(2)	1(2)
C(4B)	51(2)	54(2)	101(3)	-11(2)	3(2)	6(2)
C(5B)	57(2)	59(2)	78(2)	-5(2)	-13(2)	14(2)
C(6B)	57(2)	69(2)	60(2)	-5(2)	0(2)	13(2)
Cl(1)	157(1)	101(1)	53(1)	11(1)	2(1)	19(1)
C(1C)	47(2)	46(2)	70(2)	-5(2)	3(2)	-8(1)
C(2C)	59(2)	71(2)	70(2)	-10(2)	-4(2)	-2(2)
C(3C)	83(3)	81(3)	70(2)	4(2)	-17(2)	-19(2)
C(4C)	72(2)	55(2)	104(3)	-2(2)	-36(2)	-9(2)
C(5C)	48(2)	59(2)	110(3)	-18(2)	-13(2)	1(2)
C(6C)	46(2)	62(2)	87(3)	-15(2)	-1(2)	-2(2)
C(7C)	127(4)	81(3)	157(5)	11(3)	-78(5)	6(3)

Table III.VII.

Selected bond lengths [A°] For 46b.

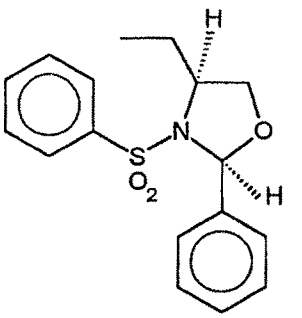
S(1)-O(2)	1.439(3)	C(1B)-C(6B)	1.402(5)
S(1)-O(1)	1.439(3)	C(2B)-C(3B)	1.395(5)
S(1)-N(3A)	1.647(3)	C(2B)-Cl(1)	1.754(4)
S(1)-C(1C)	1.771(3)	C(3B)-C(4B)	1.362(6)
O(1A)-C(5A)	1.411(6)	C(4B)-C(5B)	1.387(6)
O(1A)-C(2A)	1.432(5)	C(5B)-C(6B)	1.394(5)
C(2A)-N(3A)	1.500(4)	C(1C)-C(6C)	1.398(5)
C(2A)-C(1B)	1.513(4)	C(1C)-C(2C)	1.412(5)
N(3A)-C(4A)	1.500(4)	C(2C)-C(3C)	1.386(6)
C(4A)-C(5A)	1.507(6)	C(3C)-C(4C)	1.386(7)
C(4A)-C(6A)	1.528(6)	C(4C)-C(5C)	1.404(7)
C(6A)-C(7A)	1.501(9)	C(4C)-C(7C)	1.517(6)
C(1B)-C(2B)	1.393(5)	C(5C)-C(6C)	1.401(6)

Table III.VIII

Bond Angles (°) For (46b).

O(2)-S(1)-O(1)	120.5(2)	C(2B)-C(1B)-C(2A)	121.5(3)
O(2)-S(1)-N(3A)	106.2(2)	C(6B)-C(1B)-C(2A)	121.2(3)
O(1)-S(1)-N(3A)	105.7(2)	C(1B)-C(2B)-C(3B)	121.5(3)
O(2)-S(1)-C(1C)	108.0(2)	C(1B)-C(2B)-Cl(1)	120.4(3)
O(1)-S(1)-C(1C)	108.4(2)	C(3B)-C(2B)-Cl(1)	118.1(3)
N(3A)-S(1)-C(1C)	107.37(14)	C(4B)-C(3B)-C(2B)	120.2(3)
C(5A)-O(1A)-C(2A)	108.1(3)	C(3B)-C(4B)-C(5B)	120.3(3)
O(1A)-C(2A)-N(3A)	102.8(3)	C(4B)-C(5B)-C(6B)	119.5(3)
O(1A)-C(2A)-C(1B)	107.8(3)	C(5B)-C(6B)-C(1B)	121.4(3)
N(3A)-C(2A)-C(1B)	114.5(3)	C(6C)-C(1C)-C(2C)	119.8(4)
C(4A)-N(3A)-C(2A)	108.5(3)	C(6C)-C(1C)-S(1)	119.9(3)
C(4A)-N(3A)-S(1)	118.4(2)	C(2C)-C(1C)-S(1)	120.3(3)
C(2A)-N(3A)-S(1)	117.8(2)	C(3C)-C(2C)-C(1C)	119.2(4)
N(3A)-C(4A)-C(5A)	102.2(3)	C(4C)-C(3C)-C(2C)	122.4(4)
N(3A)-C(4A)-C(6A)	110.2(3)	C(3C)-C(4C)-C(5C)	117.6(4)
C(5A)-C(4A)-C(6A)	114.5(4)	C(3C)-C(4C)-C(7C)	121.9(5)
O(1A)-C(5A)-C(4A)	105.2(3)	C(5C)-C(4C)-C(7C)	120.4(5)
C(7A)-C(6A)-C(4A)	114.8(4)	C(6C)-C(5C)-C(4C)	121.7(4)
C(2B)-C(1B)-C(6B)	117.1(3)	C(1C)-C(6C)-C(5C)	119.1(4)

(2S,4S*)* 2-Phenyl-3-benzenesulfonyl-4-ethyl-1,3-oxazolididne (47a)



Yield : 87.0%. M.P. : 103° C.

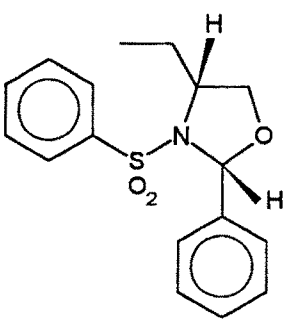
CHN found (calculated) : C - 65.77 (66.18), H - 5.36 (5.51), N - 3.76 (4.06).

$[\alpha]_D^{25}$: -112.6° (*c* 1.0 in $CHCl_3$).

ν_{max} (KBr)/cm^{-1} : 2900, 1450, 1340.

δ ppm ($CDCl_3$) : 0.90 (3H,t,-CH_3),1.40, 1.50 (1H,1H, m, -CH_2), 3.90 (3H,m,-CH-CH_2), 6.20(1H, s,-N,-CH-O), 7.90, 8.40 (10H,m,-C_6H_5,-C_6H_5).

(2R,4R*)* 2-Phenyl-3-benzenesulfonyl-4-ethyl-1,3-oxazolidine (47b)



Yield : 86.4%. M.P. : 102° C.

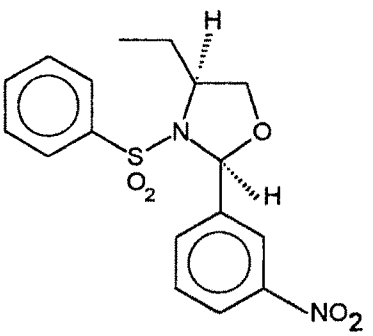
CHN found (calculated) : C - 65.89 (66.18), H - 5.72 (5.51), N - 3.91 (4.06).

$[\alpha]_D^{25}$: +111.8° (*c* 1.0 in $CHCl_3$).

ν_{max} (KBr)/cm^{-1} : 2900, 1460, 1350.

δ ppm ($CDCl_3$) : 0.92 (3H,t,-CH_3),1.40, 1.50 (1H,1H, m, -CH_2), 3.90 (3H,m,-CH-CH_2), 6.20(1H, s,-N,-CH-O), 7.92, 8.40 (10H,m,-C_6H_5,-C_6H_5).

(2S*,4S*) 2-(3-nitrophenyl)-3-Benzenesulfonyl-4-ethyl-1,3-oxazolidine (48a)



Yield : 89.%. M.P. : 124° C.

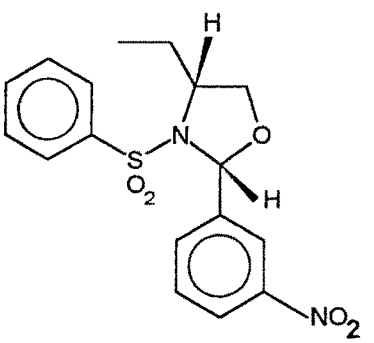
CHN found (calculated) : C - 58.40 (58.62), H - 5.22 (5.17), N - 4.00 (4.02).

$[\alpha]_D^{25}$: -355.7° (*c* 1.0 in $CHCl_3$).

ν_{max} (KBr)/cm^{-1} : 2900, 1530, 1450, 1360.

δ ppm ($CDCl_3$) : 0.88 (3H,t,-CH_3),1.38, 1.60 (m,m,2H,-CH_2), 3.60, 3.80 (3H,m,-CH-CH_2), 6.20(1H, s,-N-CH-O), 7.56,8.30 (9H,m,-C_6H_4,-C_6H_5).

(2R*,4R*) 2-(3-nitrophenyl)-3-Benzensulfonyl-4-ethyl-1,3-oxazolidine (48b)



Yield : 90 %. M.P. : 123° C.

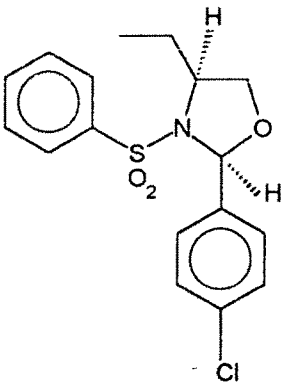
CHN found (calculated) : C - 58.37 (58.62), H - 5.28 (5.17), N - 4.21 (4.02).

$[\alpha]_D^{25}$: +354.2° (*c* 1.0 in $CHCl_3$).

ν_{max} (KBr)/cm^{-1} : 2900, 1530, 1450 and 1350.

δ ppm ($CDCl_3$) : 0.90 (3H,t,-CH_3),1.38, 1.50 (1H,1H,m,m,-CH_2), 3.50, 3.90 (3H,m,-CH,-CH_2), 6.20(1H, s,-N-CH-O), 7.60,8.40 (9H,m,-C_6H_4,-C_6H_5).

(2S*,4S*) 2-(4-chlorophenyl)-3-Benzenesulfonyl-4-ethyl-1,3-oxazolidine (49a)



Yield : 87 %. M.P. : 189° C.

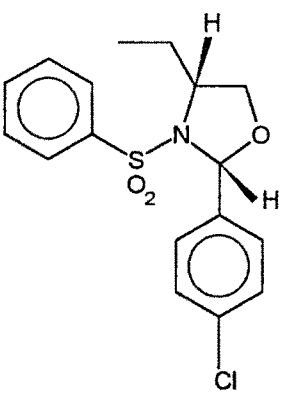
CHN found (calculated) : C - 58.10 (58.05), H - 5.01 (5.12), N - 3.89 (3.98).

$[\alpha]_D^{25}$: -7.4° (*c* 1.0 in $CHCl_3$).

ν_{max} (KBr)/cm^{-1} : 2900, 1450, 1350 and 1170.

δ ppm ($CDCl_3$) : 0.95 (3H,t,-CH_3), 1.50 (2H,m,-CH_2), 3.70 (3H,m,-CH-CH_2), 6.20 (1H, s,-N-CH-O), 7.50,7.90 (9H,m,-C_6H_5,-C_6H_4).

(2R*,4R*) 2-(4-chlorophenyl)-3-Benzenesulfonyl-4-ethyl-1,3-oxazolidine (49b)



Yield : 85 %. M.P. : 189° C.

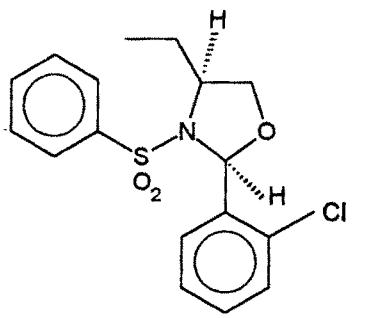
CHN found (calculated) : C - 58.18 (58.05), H - 5.21 (5.12), N - 3.79 (3.98).

$[\alpha]_D^{25}$: +7.66° (*c* 1.0 in $CHCl_3$).

ν_{max} (KBr)/cm^{-1} : 2900, 1450, 1350 and 1160.

δ ppm ($CDCl_3$) : 0.98 (3H,t,-CH_3), 1.50 (2H,m,-CH_2), 3.70 (3H,m,-CH-CH_2), 6.20 (1H, s,-N-CH-O), 7.60,7.90 (9H,m,-C_6H_5,-C_6H_4).

(2S*,4S*) 2-(2-chlorophenyl)-3-Benzenesulfonyl-4-ethyl-1,3-oxazolidine (50a)



Yield : 84 %. M.P. : 116-117° C.

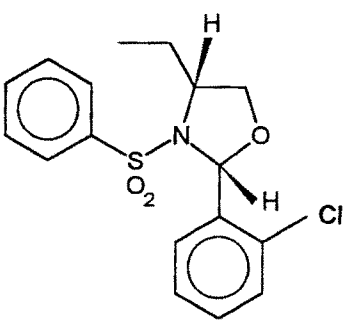
CHN found (calculated): C - 58.15 (58.05), H - 5.30 (5.12), N - 3.81 (3.98).

$[\alpha]_D^{25}$: -150.1° (*c* 1.0 in $CHCl_3$).

ν_{max} (KBr)/cm^{-1} : 2900, 1580, 1450 and 1340.

δ ppm ($CDCl_3$) : 1.00 (3H,t,-CH_3), 1.80 (2H,m,-CH_2), 3.70 (3H,m,-CH-CH_2), 6.35 (1H,s,-N-CH-O), 7.40,7.90 (9H,m,-C_6H_5,-C_6H_4).

(2R*,4R*) 2-(2-chlorophenyl)-3-Benzenesulfonyl-4-ethyl-1,3-oxazolidine (50b)



Yield : 83 %. M.P. : 116° C.

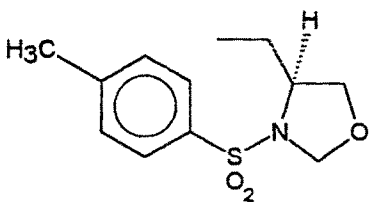
CHN found (calculated): C - 58.12 (58.05), H - 5.37 (5.12), N - 3.88 (3.98).

$[\alpha]_D^{25}$: +151.0° (*c* 1.0 in $CHCl_3$).

ν_{max} (KBr)/cm^{-1} : 2900, 1570, 1480 and 1350.

δ ppm ($CDCl_3$) : 1.00 (3H,t,-CH_3), 1.80 (2H,m,-CH_2), 3.70 (3H,m,-CH-CH_2), 6.35 (1H,s,-N-CH-O), 7.50,7.80 (9H,m,-C_6H_5,-C_6H_4).

(S) 3-Tosyl-4-ethyl-1,3-oxazolidine (52a)



Yield : 89 %. M.P. : 116-118° C.

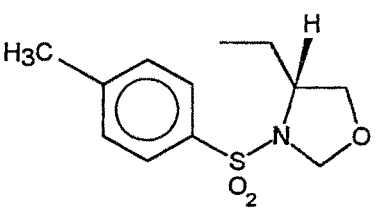
CHN found (calculated) : C - 56.66 (56.47), H - 6.21 (6.66), N - 5.72 (5.49).

$[\alpha]_D^{25}$: -279.33° (*c* 1.0 in $CHCl_3$).

ν_{max} (KBr)/cm^{-1} : 2950, 1600, 1170, 1140 and 1090.

δ ppm ($CDCl_3$) : 1.00 (3H,t,-CH_3), 1.60, 1.70 (2H,m,-CH_2), 2.40 (3H,s,-CH_3 on ring), 3.40 (1H,m,-CH-N), 3.60 (2H,m,-CH_2-O), 4.50, 5.20 (2H,d,-N-CH_2-O), 7.30, 7.70 (4H,d,-C_6H_4).

(R) 3-Tosyl-4-ethyl-1,3-oxazolidine (52b)



Yield : 90 %. M.P. : 114° C.

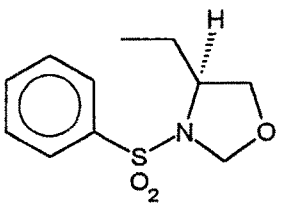
CHN found (calculated) : C - 56.91 (56.47), H - 6.21 (6.66), N - 5.65 (5.49).

$[\alpha]_D^{25}$: +276.67° (*c* 1.0 in $CHCl_3$).

ν_{max} (KBr)/cm^{-1} : 2940, 1600, 1150, 1110 and 1090.

δ ppm ($CDCl_3$) : 1.00 (3H,t,-CH_3), 1.60, 1.70 (2H,m,-CH_2), 2.40 (3H,s,-CH_3 on ring), 3.40 (1H,m,-CH-N), 3.60 (2H,m,-CH_2-O), 4.50, 5.20 (2H,d,-N-CH_2-O), 7.30, 7.70 (4H,d,-C_6H_4).

(S) 3-Benzenesufonyl-4-ethyl-1,3-oxazolidine (53a)



Yield : 87 %. M.P. : 63° C.

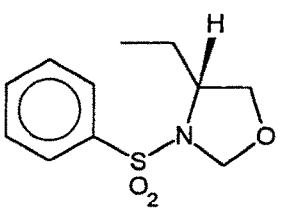
CHN found (calculated) : C - 54.44 (54.72), H - 6.02 (6.22), N - 5.75 (5.80)

$[\alpha]_D^{25}$: -259.96° (*c* 1.0 in $CHCl_3$).

ν_{max} (KBr)/cm^{-1} : 2900, 2800, 1450 and 1350 .

δ ppm ($CDCl_3$) : 0.95 (3H,t,-CH_3), 1.62 (2H,m,-CH_2), 3.59 (3H,m,-CH-CH_2), 4.59, 5.09 (2H,d,-N-CH_2-O), 7.80 (5H,m,-C_6H_5).

(R) 3-Benzenesufonyl-4-ethyl-1,3-oxazolidine (53b)



Yield : 86 %. M.P. : 65° C.

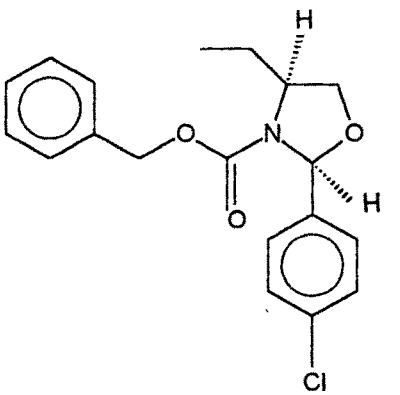
CHN found (calculated) : C - 55.05 (54.72), H - 6.38 (6.22), N - 5.56 (5.80)

$[\alpha]_D^{25}$: +257.83° (*c* 1.0 in $CHCl_3$).

ν_{max} (KBr)/cm^{-1} : 2900, 1580, 1450 and 1200.

δ ppm ($CDCl_3$) : 1.00 (3H,t,-CH_3), 1.70 (2H,m,-CH_2), 3.70 (3H,m,-CH-CH_2), 4.70, 5.20 (2H,d,-N-CH_2-O), 7.70, 8.00 (5H,m,-C_6H_5).

(2S*,4S*) 2-(4-chlorophenyl)-3-Benzyloxycarbonyl-4-ethyl-1,3-oxazolidine (56a)



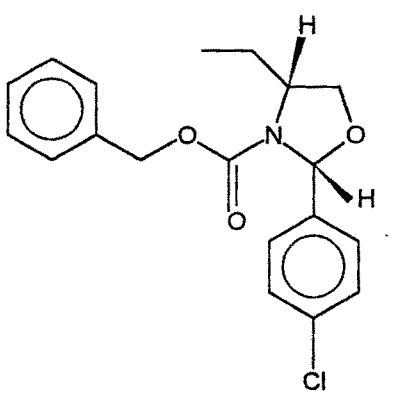
Yield : 82 %. M.P. : 102°C.

CHN found (calculated): C - 66.06 (66.18), H - 5.48 (5.51), N - 4.05 (4.06)

$[\alpha]_D^{25}$: -58.0° (*c* 1.0 in $CHCl_3$).

ν_{max} (KBr)/cm^{-1} : 1705, 1430, 1340, 1290 and 1120.

(2R*,4R*) 2-(4-chlorophenyl)-3-Benzyloxycarbonyl-4-ethyl-1,3-oxazolidine (56b)



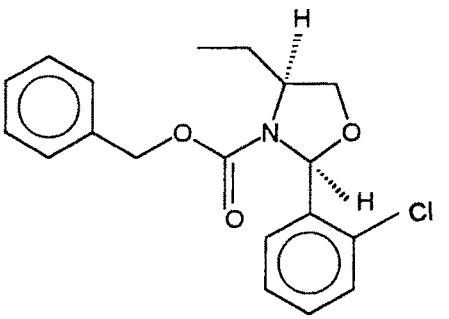
Yield : 79 %. M.P. : 100°C.

CHN found (calculated): C - 66.48 (66.18), H - 5.78 (5.51), N - 4.15 (4.06)

$[\alpha]_D^{25}$: +57.6° (*c* 1.0 in $CHCl_3$).

ν_{max} (KBr)/cm^{-1} : 1705, 1425, 1340, 1295 and 1220.

(2S*,4S*) 2 (2-chlorophenyl)-3-Benzyloxycarbonyl-4-ethyl-1,3-oxazolidine (57a)



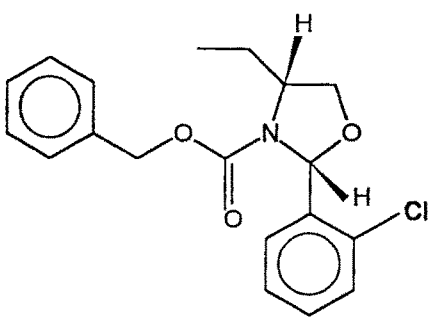
Yield : 73 %. M.P. : 96-98° C.

CHN found (calculated): C - 65.85 (66.18), H - 5.33 (5.51), N - 4.08 (4.06)

$[\alpha]_D^{25}$: -12.0° (*c* 1.0 in $CHCl_3$).

ν_{max} (KBr)/cm^{-1} : 1700, 1420, 1350, 1220 and 1180.

(2R*,4R*) 2 (2-chlorophenyl)-3-Benzyloxycarbonyl-4-ethyl-1,3-oxazolidine (57b)



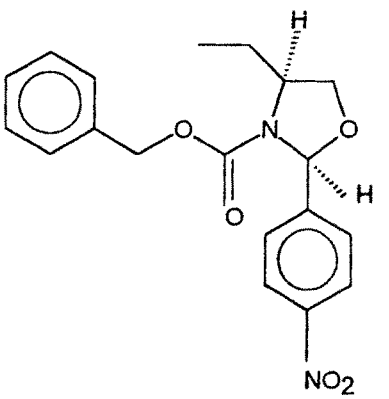
Yield : 71 %. M.P. : 95-97° C.

CHN found (calculated): C - 65.77 (66.18), H - 5.36 (5.51), N - 3.77 (4.06)

$[\alpha]_D^{25}$: +13.10° (*c* 1.0 in $CHCl_3$).

ν_{max} (KBr)/cm^{-1} : 1700, 1420, 1350, 1220, 1130 and 750.

(2S,4S*)* 2-(4-nitrophenyl)-3-Benzyloxycarbonyl-4-ethyl-1,3-oxazolidine (58a)



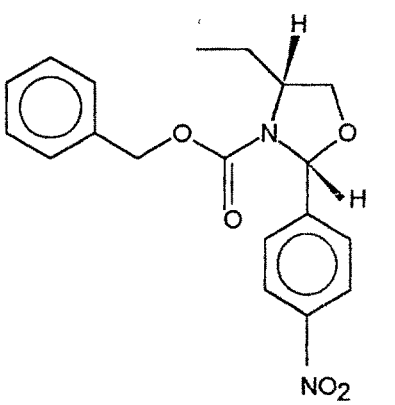
Yield : 72 %. M.P. : 110° C.

CHN found (calculated) : C - 63.64 (64.05), H - 5.27 (5.61), N - 7.59 (7.86)

$[\alpha]_D^{25}$: -48.33° (*c* 1.0 in $CHCl_3$).

ν_{max} (KBr)/cm^{-1} : 1705, 1525, 1345 and 1285.

(2R,4R*)* 2-(4-nitrophenyl)-3-benzyloxycarbonyl-4-ethyl-1,3-oxazolidine (58b)



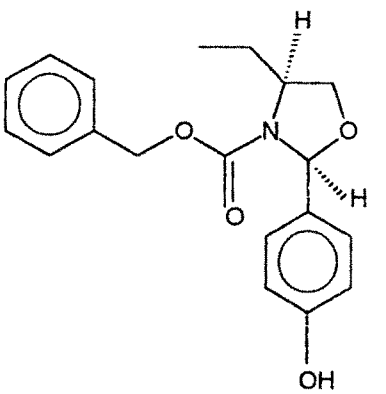
Yield : 70 %. M.P. : 106° C.

CHN found (calculated) : C - 64.32 (64.05), H - 5.41 (5.61), N - 7.73 (7.86)

$[\alpha]_D^{25}$: +49.76° (*c* 1.0 in $CHCl_3$).

ν_{max} (KBr)/cm^{-1} : 1750, 1520, 1360 and 1220.

(2S*,4S*) 2-(4-hydroxy phenyl)-3-Benzyloxycarbonyl-4-ethyl-1,3-oxazolidine (59a)



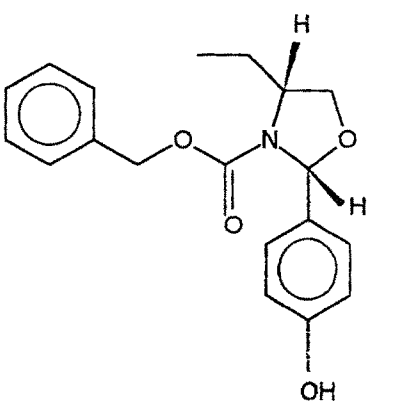
Yield : 70 %. M.P. : 108° C.

CHN found (calculated): C - 69.33 (69.72), H - 6.66 (6.42), N - 4.37 (4.28)

$[\alpha]_D^{25}$: -11.66° (*c* 1.0 in $CHCl_3$).

ν_{max} (KBr)/cm^{-1} : 3170, 1690, 1460, 1250 and 1150.

(2R*,4R*) 2-(4-hydroxy phenyl)-3-benzyloxycarbonyl-4-ethyl-1,3-oxazolidine (59b)



Yield : 72 %. M.P. : 106-107° C.

CHN found (calculated): C - 69.66 (69.72), H - 6.49 (6.42), N - 4.17 (4.28).

$[\alpha]_D^{25}$: +12.0° (*c* 1.0 in $CHCl_3$).

ν_{max} (KBr)/cm^{-1} : 3150, 1670, 1450, 1290 and 1260.

3.4 REFERENCES

1. L. Knorr & H. Mathes, ***Ber.***, **34** (1901) 3484.
2. L. Knorr & P. Rossler, ***Ber.***, **36** (1903) 1278.
3. G. E. Mc Casland & E. C. Horswill, ***J. Am. Chem. Soc.***, **73** (1951) 3923.
4. W. L. Nelson, ***J. Heterocyclic Chem.***, **5** (1968) 231.
5. E. Gil Av, ***J. Am. Chem. Soc.***, **81** (1959) 1602.
6. M. G. Ettlinger, ***J. Am. Chem. Soc.***, **72** (1950) 4792.
7. T. Taguchi & Y. Kawoze, ***J. Org. Chem.***, **26** (1961) 2699.
8. E. D. Bergmann, ***Chem. Rev.***, (1953) 309.
9. Paquin A. M., ***Ber.***, **82** (1949) 316.
10. M. Senkus, ***J. Am. Chem. Soc.***, **67** (1945) 1515.
11. J. H. Billman, ***U.S. Patent*** 2,433,979 ; ***CA*** **42** (1948) 2272.
12. L. H. Goodson & R. B. Moffett, ***U.S. Patent***, 2,506,588, ***CA*** **44** (1950) 7882.
13. S. Carlsson, ***Swedish Patent***, 132,772, ***CA*** **46** (1952) 6671.
14. E. Bergmann, E. Gil Av & S. Pinchas, ***J. Am. Chem. Soc.***, **75** (1953) 68.
15. A. C. Cope & E. M. Hancock, ***J. Am. Chem. Soc.***, **64** (1942) 1503.
16. E. Gil Av, ***J. Am. Chem. Soc.***, **74** (1952) 1346.
17. E. M. Hancock & A. C. Cope, ***J. Am. Chem. Soc.***, **66** (1944) 1738.

18. E. Bergmann, D. Lavie & S. Pinchas, ***J. Am. Chem. Soc.***, **73** (1951) 5662.

19. Joseph E. Saavedra, ***J. Org. Chem.***, **50** (1985) 2271.

20. S. Carlsson, ***Swedish Patent***, 132,874 ; ***CA*** **46** (1952) 6157.

21. K. C. Frieboes & D. Hoppe, ***Synlett.***, (1990) 99.

22. I. Hoppe, D. Hoppe, C. Wolff, E. Egert & R. Herbst, ***Angew. Chem. Int. Ed. Engl.***, **28** (1989) 67.

23. S. Cardani, G. Poli, C. Scolastico & R. Villa, ***Tetrahedron.***, **44** (1988) 5929.

24. A. Bernardi, S. Cardani, T. Pilati, G. Poli, C. Scolastico & R. Villa, ***J. Org. Chem.***, **53** (1988) 1600.

25. A. Bernardi, C. Scolastico & R. Villa, ***Tetrahedron Lett.***, **30** (1988) 3733.

26. P. Mangeney, A. Alexakis & J. F. Normant, ***Tetrahedron.***, **40** (1984) 1803.

27. C. Agami & T. Rizk, ***Tetrahedron.***, **41** (1985) 537.

28. G. Biju kumar & A. C. Shah, ***Ind. J. Chem.***, **35 B** (1996) 79.

29. L. Neelakantan, ***J. Org. Chem.***, **26** (1961) 2699.

30. L. Neelakantan, ***J. Org. Chem.***, **36** (1971) 2256.

31. A. H. Beckett & G. R. Jones, ***Tetrahedron.***, **33** (1972) 3313.

32. G. Just, P. Potvin & P. Uggowitzer, ***J. Org. Chem.***, **48** (1983) 2923.

33. H. Abdallah, R. Gree & R. Carrie, ***Tetrahedron Lett.***, **23** (1982) 503.

34. M. Huche, J. Aubouet, G. Pourcelot & J. Berlan, ***Tetrahedron Lett.***, **24** (1983) 585.

35. P. Mangeney, A. Alexakis & J. F. Normant, ***Tetrahedron Lett.***, **24** (1983) 373.

36. C. Agami & F. Couty, ***Tetrahedron Lett.***, **28** (1987) 5659.

37. C. Agami & T. Rizk, ***Tetrahedron.***, **41** (1985) 537.

38. S. Arseniyadis, P. Q. Huang, N. Norellet, J. C. Beloeil & H. P. Husson, ***Heterocycles.***, **31** (1990) 1789.

39. E. J. Baldwin, ***J. Chem. Soc. chem comm.***, (1976) 734.

40. R. Naef & D. Seebach, ***Helv. Chim. Acta.***, **68** (1985) 135.

41. R. Naef & D. Seebach, ***Helv. Chim. Acta.***, **64** (1981) 2704.

42. W. Kabsch, ***J. Appl. Cryst.***, **29** (1993) 795.