Aziridines as Templates: A General Strategy for the Stereospecific Synthesis of 2-Azetidinones

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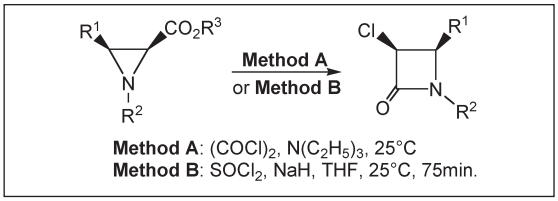

Various routes to a variety of azridine-2-carboxylates have been described and the stereochemistry of these compounds has been determined by spectroscopic methods. Further, greater diversity of β-lactams *via* ring expansion of these azridines-2-carboxylates were obtained by a general, efficient and direct stereospecific approach.

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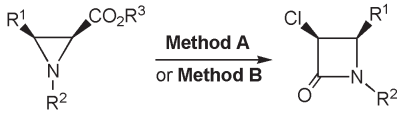
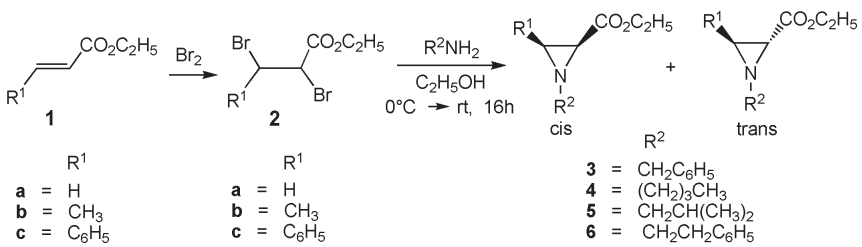
Aziridines represent a valuable class of useful and highly versatile synthetic intermediates [1]. In fact, they can be prepared by several stereo specific methods [2-3] and, due to the intrinsic high strain of the aziridine ring, they are capable of undergoing stereo controlled nucleophilic ring opening reactions leading to a wide variety of nitrogen-containing compounds bearing the most different functionalities [4-5]. By selecting suitable substitutents at the ring nitrogen or carbon atoms, aziridines can also be converted into less strained heterocycles, often in a single-step sequence.

The relief or ring strain represents the driving force also in another ring expansion of fame, namely the nucleophilic attack of chloride ion on to azridine-2-carboxylates, whereby the three-membered cycle is expanded to a β-lactam ring. The reaction has proved to be an efficient tool for the stereoselective synthesis of substituted β-lactams. Due to significant attention on the chemistry of β-lactamase inhibitors such as 6-halopenicillanic acids [6-8], 3-halo-2-azetidinone has been employed as synthetic intermediates [9-11] for the stereoselective synthesis of such class of compounds. Among them a notable example is the use of 3-chloroazetidin-2-ones for the preparation of β-lactamase inhibitors as well as the β-lactam antibiotic, carpetimycin. Although 3-haloazetidin-2-ones have been generally prepared by the ketene-imine cycloaddition methods [12-16], few reports deals with the preparation by the enolate-imine condensation reaction [17-21] as well. Though the classical methods have been successfully employed for the preparation of a variety of β-lactams, it should be noted that these routes are often limited as regards to the stereochemical outcome. Direct stereospecific approach, therefore, could provide a general route to a greater diversity of β-lactams and would reduce the dependence on other known non-stereospecific methods. One such approach to β-lactams is through ring expansion of aziridines.

In this paper, we disclose the synthesis of β-lactams by making elegant use of aziridines. The initial target in our synthesis was aziridine-2-carboxylates which serve as the repre-

Scheme 1

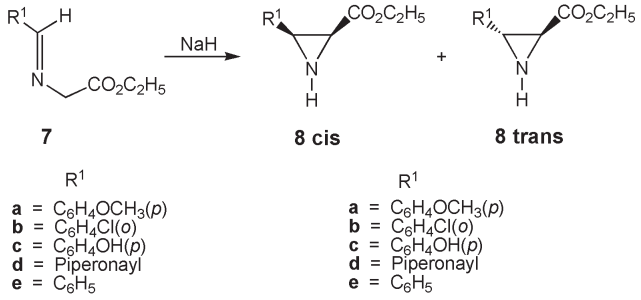




sentatives for β-lactam ring formation. This is due to the fact that carboxylate group acts as a handle for enlargement of 3-membered ring to 4-membered 2-azetidinone structure. We adopted the following three methods to obtain the desired aziridines. The first involves [22] synthesis of aziridine-2-carboxylates from α,β-unsaturated esters **1**, as outlined in Scheme 1. In particular, addition of bromine to α,β-unsaturated esters **1** in carbon tetrachloride at reflux smoothly provided dibromo derivatives **2**, which were subjected to aminative cyclization with variety of amines in anhydrous ethanol at room temperature affording N-substituted aziridine carboxyltaes **3** as 75:25 mixture of *cis/trans* isomers in 95% total yield. The two isomers were separated by column chromatography and the ring stereochemistry was assigned on the basis of ^{1}H NMR spectral analysis ($^3J_{cis} > {}^3J_{trans}$)[6] the *cis* stereochemistry was unambiguously assigned to aziridines **3** ***cis*** ($^3J_{2,3}$ 6.6 Hz) and *trans* stereochemistry to **3** ***trans*** ($^3J_{2,3}$ 2.7 Hz). The two isomers were separated by flash chromatography and the major *cis* isomer was taken for its further elaboration to the β-lactam.

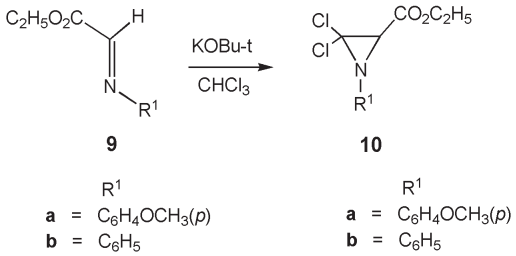
The second route to aziridine involves the use of Schiff's bases as the starting material. Two different types of Schiff's bases were used in three different methodologies to get aziridine-2-carboxylates. Aiming to explore the feasibility of intramolecular ring closure on Schiff's bases, the imines of type **7** were prepared by the reaction of appropriate aldehyde and glycine ethyl ester hydrochloride in presence of base in dichloromethane at reflux temperature. After Schiff's base formation, it was then cyclized to aziridine by the generation of a carbanion at the α-carbon. Subsequent intramolecular attack at the electrophilic imine carbon, results in the formation of three-membered ring **8** (Scheme 2). Again both isomers, *cis* and *trans*, were obtained in 85 % yield, and the mixture was chromatographed to obtain the major *cis* isomer.

Scheme 2



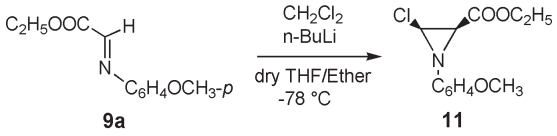
Finally aziridines of the type **10** [23] were prepared from Schiff's bases **9** through carbene addition, which were generated from chloroform using potassium *t*-butoxide as a base (Scheme 3).

Scheme 3



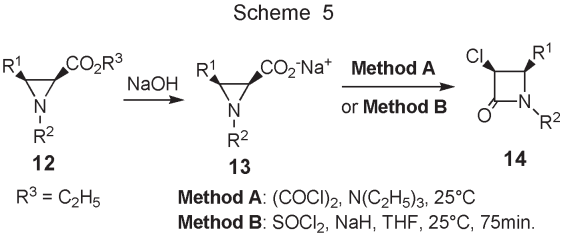
We also synthesized *cis* ethyl aziridine-2-carboxylate **11** [24] *via* carbenoid addition on Schiff's base **9a**, generated using dichloromethane and butyl lithium (Scheme 4).

Scheme 4



After successful formation of various *cis* aziridine-2-carboxylates **12**, the three-membered ring was enlarged stereospecifically to yield *cis* 2-azetidinones **14** using inexpensive and easily available starting materials (Scheme 5) [25]. The purified aziridine-2-carboxylates were first converted to their sodium salts **13** by reaction with sodium hydroxide. The isolated sodium salts were made to react with acid activating agent either oxalyl chloride or thionyl chloride in presence of base at room temperature to furnish *cis* 3-chloro-2-azetidinones **14**. The β-lactams were fully characterized by elemental and spectral analysis and it was observed that conversion of aziridine-2-carboxylates to 2-azetidinones was stereospecific as only *cis* β-lactams were isolated and no *trans* isomer were detected within the limits of nmr spectral detection. A variety of β-lactams have been prepared *via* this route (Table 1).

Scheme 5



The ring enlargement involves initial conversion of aziridine-2-carboxylate to sodium salt (**13**) using saponification with aqueous sodium hydroxide. Further reaction with oxalyl chloride or thionyl chloride furnished the corresponding β-lactams **14** as shown in Scheme 6 [27]. The ring expansion reactions were carried out at ambient temperature. Although sensitive to structural change, it is significant that changes in the carboxylate activating reagent and proton scavenger had relatively little effect on the yield. We thus con-

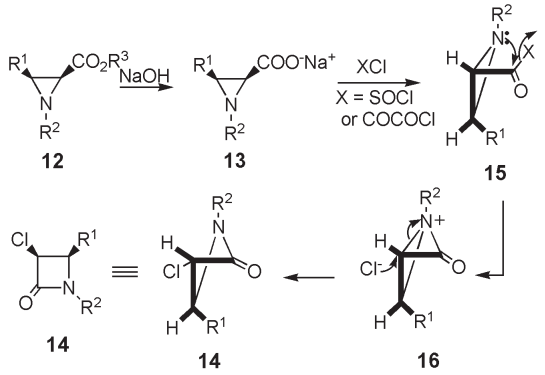
Table 1

Various *cis* 3-Chloro-2-azetidinones Prepared from Aziridnes

S. No.	R^1	R^2	Yield (%)
a	H	$CH_2C_6H_5$	56
b	H	$(CH_2)_3CH_3$	55
c	H	$CH_2CH(CH_3)_2$	65
d	H	$CH_2CH_2C_6H_5$	63
e	CH_3	$CH_2C_6H_5$	67
f	CH_3	$(CH_2)_3CH_3$	62
g	CH_3	$CH_2CH(CH_3)_2$	65
h	CH_3	$CH_2CH_2C_6H_5$	68
i	C_6H_5	$CH_2C_6H_5$	62
j	C_6H_5	$(CH_2)_3CH_3$	61
k	C_6H_5	$CH_2CH_2C_6H_5$	60
l	C_6H_5	H	63
m	$C_6H_4OCH_3(p)$	H	64
n	$C_6H_4Cl(o)$	H	69
o	$C_6H_4OH(p)$	H	62
p	Piperonyl	H	60
q	C_6H_5	H	60

clude that the mechanism for ring expansion does not involve acid-catalyzed nucleophilic ring opening of the aziridine ring and that the activating reagent plays no role other than to foster acyl-oxygen cleavage. Since formation of the β-lactam is totally stereo specific as determined by ^{1}H NMR spectra, it is therefore unlikely that "free" carbonium ions intervene in the expansion process. The mechanism shown in Scheme 6 fits the experimental data and is also in agreement with observed stereochemistry of products **14** by virtue of the back side attack on the C_3-N_1 bond.

Scheme 6



All attempts to convert the dichloro aziridine-2-carboxylates **10a, 10b** and monochloroazridine-2-carboxylate **11** to the corresponding β-lactam structures were not successful. The reason may be attributed to the unstable nature of these type of aziridines under the reaction conditions used.

Conclusion.

In the course of our study, we have amply demonstrated the use of a large no. of convenient routes to a variety of substituted aziridines. Further, several *cis* α-chloro-β-alkyl/aryl azetidin-2-ones have been synthesized efficiently by ring enlargement of *cis* aziridine-2-carboxylates, which can serve as suitable precursors for other heterocyclic systems especially the antibiotic drugs.

EXPERIMENTAL

All melting points (m.p., °C) are uncorrected. The FT-IR spectra were recorded on a Perkin-Elmer Model 1430 spectrophotometer and were calibrated against polystyrene. Only the principal peaks of interest are reported and expressed in cm^{-1}. ^{1}H NMR spectra were recorded on a 300 MHz Bruker AC 300F spectrometer. Chemical shifts are expressed as δ values (ppm) downfield from tetramethylsilane. Elemental analysis (C, H, N) was recorded using a Perkin Elmer 2400 (C, H, N) elemental analyzer. Thin layer chromatography was performed using tlc grade Silica gel (G) and was developed in an atmosphere of iodine vapours.

General Procedure for the Preparation of 2,3-Dibromopropionic Acid Ethyl Ester **2a**.

To a stirred solution of acrylic acid ethyl ester (0.1 mmole) in carbon tetrachloride, bromine (0.101 mmole) was added dropwise at room temperature. After the contents were gently refluxed for 24 hour, the solution was cooled and solvent was removed under reduced pressure to get **2a** in 88% yield. ^{1}H nmr (deuteriochloroform): δ 1.36 (t, J = 7.1 Hz, 3H, CH_2CH_3), 4.32 (q, J = 7.1 Hz, 2H, CH_2CH_3), 4.36 (m, 1H, $CHBrCO_2$), 4.48 (m, 2H, CH_2Br); IR (chloroform): 1744 cm^{-1}.

Anal. Calcd. for $C_5H_8O_2Br_2$: C 23.08, H 3.08. Found: C 22.97, H 3.15.

2,3-Dibromobutyric Acid Ethyl Ester (**2b**).

This compound was obtained in 78 % yield; ^{1}H nmr (deuteriochloroform): δ 1.37 (t, J = 7.1 Hz, 3H, CH_2CH_3), 1.93 (d, J = 6.3 Hz, 3H, CH_3CHBr,), 4.32 (q, J = 7.1 Hz, 2H, CH_2CH_3,), 4.36 (d, J = 7.0 Hz, 1H, $CHBrCO_2$), 4.48 (m, 1H, CH_3CHBr); IR (chloroform): 1745 cm^{-1}.

Anal. Calcd. for $C_6H_{10}O_2Br_2$: C 26.27, H 3.65. Found: C 26.11, H 3.54.

2,3-Dibromo-3-phenylpropionic Acid Ethyl Ester (**2c**).

This compound was obtained in 78 % yield; ^{1}H nmr (deuteriochloroform): δ 1.37 (t, 3H, CH_2CH_3), 4.34 (q, J = 7.2 Hz, 2H, CH_2CH_3), 4.76 (d, J = 7.3 Hz, 1H, C*H*Ph), 5.06 (d, J = 7.3 Hz, 1H, C*H*BrCO_2), 7.34 (s, 5H, C_6H_5); IR (chloroform): 1743 cm^{-1}.

Anal. Calcd. for $C_{11}H_{12}O_2Br_2$: C 37.07, H 3.37. Found: C 36.99, H 3.21.

1-Benzyl-Aziridine-2-Carboxylic Acid Ethyl Ester (**3a**).

To the stirred solution of benzyl amine (0.1 mmole) in anhydrous ethanol maintained at 0° was slowly added a solution of **2a** (0.03 mmole) in absolute ethanol. The reaction mixture was allowed to warm to room temperature and stirred overnight. The solvent was removed under vacuum to get a solid which was dissolved in ether and washed with water. The aqueous layer was extracted with ether. The combined organic extract was dried over anhydrous magnesium sulphate and concentrated to afford dark yellow oil, which was purified by chromatography over silica gel using petroleum ether: ethyl ether to get pure **3a**. Yield 79%. ^{1}H nmr (deuteriochloroform): δ 1.3 (t, 3H, CH_2CH_3), 1.8 (dd, J = 6.2 Hz & 6.6 Hz, 1H, C_3H), 2.2 (dd, J = 5.8 Hz & 6.6 Hz, 1H, C_3H), 3.51 (dd, J = 6.2 Hz, & 5.8 Hz, 1H, C_2H), 3.56 (d, J = 13.9 Hz, 1H, CH_2Ph,), 3.63 (d, J = 13.9 Hz, 1H, CH_2Ph), 4.2 (q, 2H, CH_2CH_3), 7.45 (s, 5H, C_6H_5); IR (chloroform): 1731.8 cm^{-1}.

Anal. Calcd. for $C_{12}H_{15}NO_2$: C 70.24, H 7.31, N 6.82. Found: C 69.98, H 7.15, N 6.90.

Cis 1-Benzyl-3-methylaziridine-2-carboxylic Acid Ethyl Ester (**3b**).

This compound was obtained in 72 % yield; ^{1}H nmr (deuteriochloroform): δ 1.27 (t, J = 7.2 Hz, 3H, CH_2CH_3), 1.31 (d, J = 5.6 Hz, 3H, $CHCH_3$), 2.01 (m, 1H, C_3H), 2.22 (d, J = 5.3 Hz, 1H, C_2H), 3.56 (d, J = 13.9 Hz, 1H, CH_2Ph), 3.65 (d, J = 13.9 Hz, 1H, CH_2Ph), 4.21(q, J = 7.2 Hz, 2H, CH_2CH_3), 7.42-7.84 (s, 5H, C_6H_5); IR (chloroform): 1732 cm^{-1}.

Anal. Calcd. for $C_{13}H_{17}NO_2$: C 71.23, H 7.76, N 6.39. Found: C 69.99, H 7.49, N 6.59.

Trans 1-Benzyl-3-methylaziridine-2-carboxylic Acid Ethyl Ester (**3b**).

This compound was obtained in 27 % yield; ^{1}H nmr (deuteriochloroform): δ 1.25 (t, J = 7.2 Hz, 3H, CH_2CH_3), 1.35 (d, J = 5.6 Hz, 3H, $CHCH_3$), 2.13 (d, J = 2.4 Hz, 1H, C_2H), 2.46 (m, 1H, C_3H), 3.56 (d, J = 13.9 Hz, 1H, CH_2Ph), 3.65 (d, J = 13.9 Hz, 1H, CH_2Ph), 4.20(q, J = 7.2 Hz, 2H, CH_2CH_3), 7.40-7.80 (s, 5H, C_6H_5); IR (chloroform): 1732 cm^{-1}.

Anal. Calcd. for $C_{13}H_{17}NO_2$: C 71.23, H 7.76, N 6.39. Found: C 69.99, H 7.49, N 6.59.

1-Benzyl-3-phenylaziridine-2-carboxylic Acid Ethyl Ester (**3c**).

This compound was obtained in 70 % yield; ^{1}H nmr (deuteriochloroform): δ 1.32 (t, J = 7.1 Hz, 3H, CH_2CH_3), 2.66 (d, J = 6.8 Hz, 1H, C_2H), 3.07 (d, J = 6.8 Hz, 1H, C_3H,), 3.84 (d, J = 13.9 Hz, 1H, $CH_2C_6H_5$), 3.93 (d, J = 13.9 Hz, 1H, $CH_2C_6H_5$), 4.20 (q, J = 7.1 Hz, 2H, CH_2CH_3), 7.2-7.5 (m, 10H, Ar*H*); IR (chloroform): 1725 cm^{-1}.

Anal. Calcd. for $C_{18}H_{19}NO_2$: C 64.86, H 10.27, N 7.57. Found: C 64.61, H 10.11, N 7.72.

1-Butylaziridine-2-carboxylic Acid Ethyl Ester (**4a**).

This compound was obtained in 77 % yield; ^{1}H nmr (deuteriochloroform): δ 0.82-1.83 (m, 10H, $CH_3(CH_2)_2$, CH_2CH_3), 1.79 (dd, J = 5.8 Hz & 6.6 Hz, 1H, C_3H,), 2.18 (dd, J = 6.2 Hz & 6.6 Hz, 1H, C_3H,), 2.92 (t, 2H, NCH_2), 3.43 (dd, J = 5.8 Hz & 6.7 Hz, 1H, C_2H), 4.21 (q, 2H, CH_2CH_3); IR (chloroform): 1732 cm^{-1}.

Anal. Calcd. for $C_9H_{17}NO_2$: C 63.16, H 9.94, N 8.18. Found: C 63.01, H 9.91, N 8.21.

1-Butyl-3-methylaziridine-2-carboxylic Acid Ethyl Ester (**4b**).

This compound was obtained in 74 % yield; ^{1}H nmr (deuteriochloroform): δ 0.81-1.82 (m, 7H, $(CH_2)_2CH_3$,), 1.28 (d, J = 5.6 Hz, 3H, CH_3), 1.31 (t, J = 7.2 Hz, 3H, CH_2CH_3), 1.96-2.05 (m, 1H, C_3H), 2.22 (d, J = 6.6 Hz, 1H, C_2H), 2.84 (t, 2H, NCH_2), 4.25 (q, J = 7.2 Hz, 2H, CH_2CH_3); IR (chloroform): 1730 cm^{-1}.

Anal. Calcd. for $C_{10}H_{19}NO_2$: C 63.16, H 10.27, N 7.57. Found: C 64.55, H 10.00, N 7.46.

1-Butyl-3-phenylaziridine-2-carboxylic Acid Ethyl Ester (**4c**).

This compound was obtained in 70 % yield; ^{1}H nmr (deuteriochloroform): δ 0.78-1.79 (m, 7H, $CH_2(CH_2)_2CH_3$, CH_2CH_3), 1.32 (t, J = 7.2 Hz, 3H, CH_2CH_3), 2.51 (d, J = 6.8 Hz, 1H, C_2H), 2.89 (t, 2H, NCH_2), 2.92 (d, J = 6.8 Hz, 1H, C_3H), 4.21 (q, J = 7.2 Hz, 2H, CH_2CH_3), 7.21-7.31 (s, 5H, C_6H_5); IR (chloroform): 1727 cm^{-1}.

Anal. Calcd. for $C_{15}H_{21}NO_2$: C 72.87, H 8.50, N 5.67. Found: C 72.71, H 8.49, N 5.79.

1-Isobutylaziridine-2-carboxylic Acid Ethyl Ester (**5a**).

This compound was obtained in yield 70 %; ^{1}H nmr (deuteriochloroform): δ 1.21 (d, 6H, $CH(CH_3)_2$), 1.31 (t, 3H, CH_2CH_3), 1.42 (m, 1H, $CH(CH_3)_2$), 1.80 (d, J = 6.1 Hz, 2H, NCH_2) 1.89 (dd, J = 6.2 Hz & 6.8 Hz, 1H, C_3H), 2.25 (dd, J = 5.9 Hz & 6.8 Hz, 1H, C_3H), 3.42 (dd, J = 6.2 Hz & 5.9 Hz, 1H, C_2H), 4.21 (q, 2H, CH_2CH_3); IR (chloroform): 1732 cm^{-1}.

Anal. Calcd. for $C_9H_{17}NO_2$: C 63.16, H 9.94, N 8.18. Found: C 62.99, H 9.89, N 8.30.

1-Isobutyl-3-methylaziridine-2-carboxylic Acid Ethyl Ester (**5b**).

This compound was obtained in 73 % yield; ^{1}H nmr (deuteriochloroform): δ 1.26 (d, 6H, $CH(CH_3)_2$), 1.29 (d, J = 5.6 Hz, 3H, $CHCH_3$), 1.31 (t, J = 7.1 Hz, 3H, CH_2CH_3), 1.43 (m, 1H, $CH(CH_3)_2$), 1.81 (d, 2H, NCH_2), 1.96-2.04 (1H, m, C_3H), 2.19 (d, J = 6.8 Hz, 1H, C_2H,), 4.22 (q, J = 7.1 Hz, 2H, CH_2CH_3); IR (chloroform): 1729 cm^{-1}.

Anal. Calcd. for $C_{10}H_{19}NO_2$: C 64.88, H 10.27, N 7.57. Found: C 64.71, H 10.01, N 7.61.

1-Isobutyl-3-phenylaziridine-2-carboxylic Acid Ethyl Ester (**5c**).

This compound was obtained in 68 % yield; ^{1}H nmr (deuteriochloroform): δ 1.24 (d, 6H, $CH(CH_3)_2$), 1.44 (m, 1H, $CH(CH_3)_2$), 1.31 (t, J = 7.1 Hz, 3H, CH_2CH_3), 1.90 (d, 2H, NCH_2), 2.90 (1H, J = 6.8 Hz, d, C_2H), 3.45 (1H, J = 6.8 Hz, d, C_3H), 4.32 (q, J = 7.1 Hz, 2H, CH_2CH_3), 6.98-7.56 (m, 5H, Ar*H*); IR (chloroform): 1725 cm^{-1}.

Anal. Calcd. for $C_{15}H_{21}NO_2$: C 72.87, H 8.50, N 5.67. Found: C 72.59, H 8.30, N 5.77.

1-Phenylethylaziridine-2-carboxylic Acid Ethyl Ester (**6a**).

This compound was obtained in 75 % yield; ^{1}H nmr (deuteriochloroform): δ 1.33 (t, 3H, CH_2CH_3), 2.00 (dd, J = 6.0 Hz & 6.59 Hz, 1H, C_3H,), 2.21 (dd, J = 5.7 Hz & 6.59 Hz, 1H, C_3H),

3.50 (m, 2H, CH_2C$_6$H$_5$), 3.62 (m, 2H, NCH_2), 3.65 (dd, J = 6.0 Hz & 5.7 Hz, 1H, C$_2$*H*), 4.29 (q, 2H, CH_2CH$_3$), 7.1-7.53 (m, 5H, Ar*H*); IR (chloroform): 1735 cm^{-1}.

Anal. Calcd. for $C_{13}H_{17}NO_2$: C 71.23, H 7.76, N 6.39. Found: C 70.05, H 7.52, N 6.69.

1-Phenylethyl-3-methylaziridine-2-carboxylic Acid Ethyl Ester (**6b**).

This compound was obtained in 75 % yield; ^{1}H nmr (deuteriochloroform): δ 1.29 (t, 3H, CH$_2$CH_3), 1.32 (d, J = 5.6 Hz, 3H, CHCH_3), 2.15 (m, 1H, C$_3$*H*), 2.35 (d, J = 6.8 Hz, 1H, C$_2$*H*), 3.62 (m, 2H, CH_2C$_6$H$_5$), 4.29 (q, 2H, CH_2CH$_3$), 6.89-7.56 (m, 10H, Ar*H*); IR (chloroform): 1730 cm^{-1}.

Anal. Calcd. for $C_{14}H_{19}NO_2$: C 72.10, H 8.15, N 6.01. Found: C 71.99, H 7.98, N 5.96.

1-Phenylethyl-3-phenylaziridine-2-carboxylic Acid Ethyl Ester (**6c**).

This compound was obtained in 74 % yield; ^{1}H nmr (deuteriochloroform): δ 1.32 (t, 3H, CH$_2$CH_3), 2.56 (m, 2H, CH_2C$_6$H$_5$), 3.41 (m, 2H, NCH_2), 3.21 (d, J = 6.7 Hz, 1H, C$_2$*H*), 3.52 (d, J = 6.8 Hz, 1H, C$_3$*H*), 4.22 (q, 2H, CH_2CH$_3$), 7.01-7.53 (m, 10H, Ar*H*); IR (chloroform): 1730 cm^{-1}.

Anal. Calcd. for $C_{19}H_{21}NO_2$: C 77.29, H 7.11, N 4.75. Found: C 76.97, H 6.93, N 4.83.

[(4-Methoxybenzylidene)amino]acetic Acid Ethyl Ester (**7a**).

p-Anisaldehyde (0.1 mmole) and carbethoxymethyl amine hydrochloride (0.1 mmole) were stirred in dry dichloromethane while a solution of triethylamine (0.1 mmole) in dry dichloromethane was added dropwise at room temperature. After the complete addition, the solution was refluxed for 2 hour and then stirred overnight at room temperature. The resulting solution was washed with water and dried over sodium sulphate. Removal of the solvent afforded **7a**, as an oil in 76 % yield, which was used as such in the next reaction. ^{1}H nmr (deuteriochloroform): δ 1.45 (t, 3H, CH$_2$CH_3), 3.35 (d, J = 14.9 Hz, 1H, NCH_2,), 3.98 (s, 3H, OCH_3), 4.19 (d, J = 14.9 Hz, 1H, NCH_2,), 4.32 (q, 2H, CH_2CH$_3$), 7.21 (d, 2H, *ArH*), 8.05 (d, 2H, *ArH*), 8.45 (s, 1H, *H*CN); IR (chloroform): 1736, 1686 cm^{-1}.

Anal. Calcd. for $C_{12}H_{15}NO_3$: C 65.16, H 6.79, N 6.33. Found: C 64.89, H 6.61, N 6.45.

[(2-Chlorobenzylidene)amino]acetic Acid Ethyl Ester (**7b**).

This compound has the following properties: ^{1}H nmr (deuteriochloroform): δ 1.72 (t, 3H, CH$_2$CH_3), 4.12 (q, 2H, CH_2CH$_3$), 4.51 (d, J = 15.0 Hz, 1H, NCH_2,), 4.72 (d, J = 15.0 Hz, 1H, NCH_2,), 7.81 (s, 4H, *ArH*), 8.71 (s, 1H, *H*CN); IR (chloroform): 1736, 1641 cm^{-1}.

Anal. Calcd. for $C_{11}H_{12}NO_2CI$: C 58.54, H 5.32, N 6.21. Found: C 58.41, H 5.10, N 5.94.

[(4-Hydroxybenzylidene)amino]acetic Acid Ethyl Ester (**7c**).

This compound has the following properties: ^{1}H nmr (deuteriochloroform): δ 1.31 (t, 3H, CH$_2$CH_3), 3.91 (d, J = 15.2 Hz, 1H, NCH_2), 4.09 (d, J = 15.2 Hz, 1H, NC*H*), 4.21 (q, 2H, CH_2CH$_3$), 6.71-7.51 (m, 4H, *ArH*), 8.45 (s, 1H, *H*CN); IR (chloroform): 3316, 1744 cm^{-1}.

Anal. Calcd. for $C_{11}H_{13}NO_3$: C 63.77, H 6.28, N 6.76. Found: C 63.45, H 5.96, N 6.52.

[(Benzo[1,3]dioxol-5-ylmethylene)amino]acetic Acid Ethyl Ester (**7d**).

This compound has the following properties: ^{1}H nmr (deuteriochloroform): δ 1.43 (t, 3H, CH$_2$CH_3), 4.11 (d, J = 15 Hz, 1H, NCH_2), 4.20 (d, J = 15 Hz, 1H, NCH_2), 4.31 (q, 2H, CH_2CH$_3$), 6.12 (s, 2H, OCH_2O), 6.85-7.67 (m, 3H, *ArH*), 8.32 (s, 1H, *H*CN); IR (chloroform): 1741, 1688, 1645 cm^{-1}.

Anal. Calcd. for $C_{12}H_{13}NO_4$: C 61.27, H 5.53, N 5.95. Found: C 61.11, H 5.36, N 5.46.

[(Benzylidene)amino]acetic Acid Ethyl Ester (**7e**).

This compound has the following properties: ^{1}H nmr (deuteriochloroform): δ 1.32 (t, 3H, CH$_2$CH_3), 3.89 (m, 2H, NCH_2), 4.23 (q, 2H, CH_2CH$_3$), 6.89-7.12 (m, 5H, *ArH*), 8.23 (s, 1H, *H*CN); IR (chloroform): 1743, 1686 cm^{-1}.

Anal. Calcd. for $C_{11}H_{13}NO_2$: C 69.10, H 6.81, N 7.33. Found: C 69.00, H 6.52, N 7.01.

3-(4-Methoxyphenyl)aziridine-2-carboxylic Acid Ethyl Ester (**8a**).

Sodium hydride (0.81 mmole) was washed with dry hexane and to this **7a** (0.1 mmole) in dry benzene was added dropwise under stirring. The reaction mixture was heated to reflux for 5-6 hour, cooled to room temperature and poured over crushed ice slowly taking care of froth formation. The organic layer was separated and dried over anhydrous sodium sulphate, filtered and concentrated under vacuum to get **8a**, which was purified using column chromatography (ethyl acetate:hexane 2:3) to obtain only the major *cis* isomer in 65 % yield. ^{1}H nmr (deuteriochloroform): δ 1.21 (t, 3H, CH$_2$CH_3), 1.65 (s, 1H, N*H*), 3.25 (d, J = 6.1 Hz, 1H, C$_2$*H*), 3.45 (d, J = 6.3 Hz, 1H, C$_3$*H*), 3.82 (s, 3H, OCH_3), 4.21 (q, 2H, CH_2CH$_3$), 6.9-7.51 (m, 4H, Ar*H*); IR (chloroform): 3250, 1721 cm^{-1}.

Anal. Calcd. for $C_{12}H_{15}NO_3$: C 65.16, H 6.79, N 6.33. Found: C 64.99, H 6.65, N 6.45.

3-(2-Chlorophenyl)aziridine-2-carboxylic acid ethyl ester (**8b**).

This compound was obtained in 60 % yield; ^{1}H nmr (deuteriochloroform): δ 1.35 (t, 3H, CH$_2$CH_3), 1.71 (s, 1H, N*H*), 3.12 (d, J = 6.1 Hz, 1H, C$_2$*H*), 3.31 (d, J = 6.1 Hz, 1H, C$_3$*H*), 4.32 (q, 2H, CH_2CH$_3$), 6.95-7.32 (m, 4H, Ar*H*); IR (chloroform): 3250, 1720, 1225 cm^{-1}.

Anal. Calcd. for $C_{11}H_{12}NO_2C$: C 58.54, H 5.32, N 6.20. Found: C 58.35, H 5.12, N 6.19.

3-(4-Hydroxyphenyl)aziridine-2-carboxylic Acid Ethyl Ester (**8c**).

This compound was obtained in 62 % yield; ^{1}H nmr (deuteriochloroform): δ 1.31 (t, 3H, CH$_2$CH_3), 1.52 (s, 1H, N*H*), 3.23 (d, J = 6.2 Hz, 1H, C$_2$*H*), 3.41 (d, J = 6.2 Hz, 1H, C$_3$*H*), 4.32 (q, 2H, CH_2CH$_3$), 6.95-7.32 (m, 4H, Ar*H*); IR (chloroform): 3230, 1725 cm^{-1}.

Anal. Calcd. for $C_{11}H_{13}NO_3$: C 63.77, H 6.28, N 6.76. Found: C 63.42, H 6.11, N 6.52.

3-Benzo[1,3]dioxol-5-yl-aziridine-2-carboxylic Acid Ethyl Ester (**8d**).

This compound was obtained in 63 % yield; ^{1}H nmr (deuteriochloroform): δ 1.33 (t, 3H, CH$_2$CH_3), 1.62 (s, 1H, N*H*), 3.25 (d, J = 6.0 Hz, 1H, C$_2$*H*), 3.59 (d, J = 6.0 Hz, 1H, C$_3$*H*), 4.28 (q, 2H, CH_2CH$_3$), 6.12 (s, 2H, OCH_2O), 6.85-7.41 (m, 3H, Ar*H*); IR (chloroform): 3240, 1721 cm^{-1}.

Anal. Calcd. for $C_{12}H_{13}NO_4$: C 61.28, H 5.53, N 5.96. Found: C 61.00, H 5.21, N 5.99.

3-Phenylaziridine-2-carboxylic Acid Ethyl Ester (**8e**).

This compound was obtained in 65 % yield; ^{1}H nmr (deuteriochloroform): δ 1.35 (t, 3H, CH_2CH_3), 1.58 (s, 1H, N*H*), 3.31 (d, J = 5.9 Hz, 1H, C_2H), 3.66 (d, J = 5.9 Hz, 1H, C_3H), 4.23 (q, 2H, CH_2CH_3), 6.90-7.20 (m, 5H, Ar*H*); IR (chloroform): 3245, 1723 cm^{-1}.

Anal. Calcd. for $C_{11}H_{13}NO_2$: C 69.11, H 6.81, N 7.32. Found: C 69.00, H 6.79, N 7.45.

(4-Methoxyphenylimino)acetic Acid Ethyl Ester (**9a**).

Ethyl glyoxalate (50 % solution in toluene, 0.2 mmole) and *p*-anisidine (0.1 mmole) were stirred in dichloromethane over molecular sieves at room temperature. When the reaction was over (reaction monitored by tlc), molecular sieves were filtered and washed with dichloromethane and the filtrate concentrated under vacuum to get a brown coloured liquid in 87% yield, which was used as such for the next operation. ^{1}H nmr (deuteriochloroform): δ 1.35 (t, 3H, CH_2CH_3), 3.71 (s, 3H, OCH_3), 4.23 (q, 2H, CH_2CH_3), 6.89-7.32 (m, 4H, Ar*H*), 8.45 (s, 1H, *H*CN); IR (chloroform): 1740, 1645 cm^{-1}.

Anal. Calcd. for $C_{11}H_{13}NO_3$: C 63.77, H 6.28, N 6.76. Found: C 63.57, H 6.17, N 6.97.

Phenyliminoacetic Acid Ethyl Ester (**9b**).

This compound has the following properties; ^{1}H nmr (deuteriochloroform): δ 1.30 (t, 3H, CH_2CH_3), 4.20 (q, 2H, CH_2CH_3), 6.99-7.42 (m, 4H, Ar*H*), 8.35 (s, 1H, *H*CN); IR (chloroform): 1742, 1665cm^{-1}.

Anal. Calcd. for $C_{10}H_{11}NO_2$: C 67.80, H 6.21, N 7.91. Found: C 67.70, H 6.10, N 7.99.

3,3-Dichloro-1-(4-methoxyphenyl)aziridine-2-carboxylic Acid Ethyl Ester (**10a**).

To a stirred slurry of **9a** (0.10 mmole) and potassium *t*-butoxide (0.20 mmole) in *n*-hexane, chloroform (0.20 mmole) was slowly added at –20° to –30°. The reaction mixture was stirred for 3 hour at this temperature and then for 5 hour at room temperature. The mixture was suction filtered and the residue was washed three times with *n*-hexane, and the solvent was removed in vacuum from the combined filtrates, yielding 56 % of oily material. ^{1}H nmr (deuteriochloroform): δ 1.30 (t, 3H, CH_2CH_3), 3.06 (s, 1H, NC*H*), 3.85 (s, 3H, OCH_3), 4.23 (q, 2H, CH_2CH_3), 6.59-7.10 (m, 4H, Ar*H*); IR (chloroform): 1732 cm^{-1}.

Anal. Calcd. for $C_{12}H_{13}NO_3Cl_2$: C 49.83, H 4.50, N 4.84. Found: C 49.52, H 4.26, N 4.95.

3,3-Dichloro-1-phenyl-aziridine-2-carboxylic Acid Ethyl Ester (**10b**).

This compound was obtained in 50 % yield; ^{1}H nmr (deuteriochloroform): δ 1.35 (t, 3H, CH_2CH_3), 3.21 (s, 1H, C_2H), 4.19 (q, 2H, CH_2CH_3), 6.99-7.20 (m, 5H, Ar*H*); IR (chloroform): 1729 cm^{-1}.

Anal. Calcd. for $C_{11}H_{11}NO_2Cl_2$: C 50.97, H 4.25, N 5.41. Found: C 50.47, H 4.11, N 5.53.

3-Chloro-1-(4-methoxyphenyl)aziridine-2-carboxylic Acid Ethyl Ester (**11**).

A solution of dry tetrahydrofuran, dry ether and methylene chloride (0.1 mmole) was cooled in ether-liquid nitrogen bath under nitrogen atmosphere. A hexane solution of *n*-butyl lithium (0.05 mmole) was added dropwise with stirring to the above cooled solution. The reaction temperature was maintained below –90° at all times. The resulting opaque solution was stirred for 5 minutes at –100° and **9a** (0.013 mmole) in dry ether was added dropwise. After the addition (upon which the temperature was maintained below -90°) was complete, the reaction temperature was allowed to rise to –75° and the resulting homogenous orange-yellow solution was stirred at –75° for 5-10 minutes and then allowed to warm up slowly. At room temperature the reaction mixture was poured into water and the organic layer separated and washed with three portions of water, dried over sodium sulphate and evaporated *in vacuo* to leave the product **11** in 50% yield. ^{1}H nmr (deuteriochloroform): δ 1.32 (t, 3H, CH_2CH_3), 3.52 (d, 1H, C_2H), 3.85 (s, 3H, OCH_3), 4.22 (d, 1H, C_3H), 4.31 (q, 2H, CH_2CH_3), 6.89-7.12 (m, 4H, Ar*H*); IR (chloroform): 1730 cm^{-1}.

Anal. Calcd. for $C_{12}H_{14}NO_3Cl$: C 56.36, H 5.48, N 5.48. Found: C 56.01, H 5.26, N 5.61.

General Procedure for the Synthesis of Sodium Aziridine-2-carboxylates (**13a-q**).

Ethyl aziridine-2-carboxylate (0.1 mmole) and sodium hydroxide (0.1 mmole) were stirred together in water (0.2 ml) at room temperature overnight. The resulting solution was evaporated to dryness to yield sodium salt of aziridine. Analytical data of some of the representatives are given below.

Sodium 1-Benzylaziridine-2-carboxylate (**13a**).

This compound has the following properties: ^{1}H nmr (deuteriochloroform): δ 3.18 (dd, J = 2.1 Hz & 14.4 Hz, 1H, C_3H), 3.78 (dd, J = 5.1 Hz & 14.4 Hz, 1H, C_3H), 4.10 (d, J = 15.3 Hz, 1H, $CH_2C_6H_5$), 4.59 (d, J = 15.3 Hz, 1H, $CH_2C_6H_5$), 4.57 (dd, J = 2.1 Hz & 5.1 Hz, 1H, C_2H), 7.25-7.38 (m, 5H, C_6H_5); IR (chloroform): 1766 cm^{-1}.

Anal. Calcd. for $C_{10}H_{10}NO_2Na$: C 60.30, H 5.03, N 7.04. Found: C 59.99, H 4.89, N 7.32.

Sodium 1-Butylaziridine-2-carboxylate (**13b**).

This compound has the following properties: ^{1}H nmr (deuteriochloroform): δ 1.61-1.92 (m, 7H, CH_2CH_2 & CH_3), 3.10 (t, 2H, NCH_2), 3.20 (dd, J = 3.1 Hz & 13.8 Hz, 1H, C_3H), 3.71 (dd, J = 5.0 Hz & 13.8 Hz, 1H, C_3H), 4.49 (dd, J = 3.1 Hz & 5.0 Hz 1H, C_2H); IR (chloroform): 1757 cm^{-1}.

Anal. Calcd. for $C_7H_{12}NO_2Na$: C 50.91, H 7.27, N 8.49. Found: C 50.61, H 7.10, N 8.53.

Sodium 1-Isobutylaziridine-2-carboxylate (**13c**).

This compound has the following properties: ^{1}H nmr (deuteriochloroform): δ 1.32 (d, J = 6.2 Hz, 6H, $CH(CH_3)_2$), 1.92 (d, J = 6.0 Hz, 2H, $CH_2CH(CH_3)_2$), 3.01 (dd, J = 3.2 Hz & 13.8 Hz, 1H, C_3H), 3.69 (dd, J = 5.1 Hz & 13.8 Hz, 1H, C_3H), 4.51 (dd, J = 3.2 Hz & 5.1 Hz, 1H, C_2H); IR (chloroform): 1765 cm^{-1}.

Anal. Calcd. for $C_7H_{12}NO_2Na$: C 50.91, H 7.27, N 8.49. Found: C 50.75, H 7.07, N 8.53.

Sodium 1-Phenethylaziridine-2-carboxylate (**13d**).

This compound has the following properties: ^{1}H nmr (deuteriochloroform): 1.32 (d, J = 6.2 Hz, 6H, $CH(CH_3)_2$), 1.92 (d, J = 6.0 Hz, 2H, $CH_2CH(CH_3)_2$), 3.01 (dd, J = 3.2 Hz & 13.8 Hz, 1H, C_3H), 3.69 (dd, J = 5.1 Hz & 13.8 Hz, 1H, C_3H), 4.51 (dd, J = 3.2 Hz & 5.1 Hz, 1H, C_2H); IR (chloroform): 1600 cm^{-1}.

Anal. Calcd. for $C_{11}H_{12}NO_2Na$: C 61.97, H 5.63, N 6.57. Found: C 61.82, H 5.51, N 6.48.

Sodium 3-(4-Methoxyphenyl) aziridine-2-carboxylate (**13m**).

This compound has the following properties: ^{1}H nmr (deuteriochloroform): δ 3.39 (d, J = 6 Hz, 1H, C_2H), 3.52 (d, J = 6 Hz, 1H, C_3H), 3.86 (s, 3H, OCH_3), 6.81-7.32 (m, 4H, Ar*H*); IR (chloroform): 3245, 1600 cm^{-1}.

Anal. Calcd. for $C_{10}H_{10}NO_3Na$: C 55.81, H 4.65, N 6.51. Found: C 55.65, H 4.39, N 6.85.

Sodium 3-Benzo[1,3]dioxol-4-yl-aziridine-2-carboxylate (**13p**).

This compound has the following properties: ^{1}H nmr (deuteriochloroform): δ 3.25 (d, J = 6 Hz, 1H, C_2H), 2.56 (d, J = 6 Hz, 1H, C_3H), 6.31 (s, 2H, OCH_2O), 6.81-7.25 (m, 3H, Ar*H*); IR (chloroform): 3345, 1600 cm^{-1}.

Anal. Calcd. for $C_{10}H_8NO_4Na$: C 52.40, H 3.49, N 6.11. Found: C 52.00, H 3.31, N 6.32.

General Procedure for the Synthesis of 3-Chloro-2-Azetidinone (**14a-q**).

Using Oxalyl Chloride.

The sodium salt (**13**, 0.1 mmole) was slowly added to a mixture of oxalyl chloride (0.12 mmole) and triethylamine (0.12 mmole) in benzene (1 ml). The dark brown slurry was stirred at room temperature for 45 minutes, washed with 5% hydrochloric acid, sodium carbonate, and water, dried over anhydrous magnesium sulphate, and evaporated to obtain the corresponding 3-chloro-2-azetidinone **14**.

Using Thionyl Chloride.

A sodium hydride suspension (0.1 mmole), washed three times with cyclohexane, was added to tetrahydrofuran (1 ml) under nitrogen to form slurry; sodium aziridine-2-carboxylate **13** (0.34 mmole) was added to the slurry followed by dropwise addition of thionyl chloride (0.5 mmole). The resulting mixture was stirred at room temperature for 1.25 hour. Solvent was removed by evaporation and cyclohexane (2 ml) was added followed by careful addition of water to destroy the sodium hydride present. The organic layer was separated and washed with water, dried over magnesium sulphate, and, evaporation of solvent afforded 3-chloro-2-azetidinones **14**.

1-Benzyl-3-chloroazetidin-2-one (**14a**).

This compound has the following properties: ^{1}H nmr (deuteriochloroform): δ 3.18 (dd, J = 2.1 Hz & 14.4 Hz, 1H, C_4H), 3.78 (dd, J = 5.1 Hz & 14.4 Hz, 1H, C_4H), 4.10 (d, J = 15.3 Hz, 1H, $CH_2C_6H_5$), 4.59 (d, J = 15.3 Hz, 1H, $CH_2C_6H_5$), 4.57 (dd, J = 2.1 Hz & 5.1 Hz, 1H, C_3H), 7.25-7.38 (m, 5H, C_6H_5); ^{13}C nmr (deuteriochloroform): δ 49.94, 56.65, 56.83, 127.46, 128.97, 129.98, 137.27, 169.76; IR (chloroform): 1766 cm^{-1}.

Anal. Calcd. for $C_{10}H_{10}NOCl$: C 61.38, H 5.11, N 7.16. Found: C 61.01, H 5.10, N 7.21.

1-Butyl-3-chloroazetidin-2-one (**14b**.

This compound has the following properties: ^{1}H nmr (deuteriochloroform): δ 1.61-1.92 (m, 7H, $CH_2CH_2CH_3$), 3.10 (t, 2H, NCH_2CH_2), 3.20 (dd, J = 3.1 Hz &13.8 Hz, 1H, C_4H), 3.71 (dd, J = 5.0 Hz & 13.8 Hz, 1H, C_4H), 4.49 (dd, J =3.1 Hz & 5.0 Hz, 1H, C_3H); ^{13}C nmr (deuteriochloroform): δ 14.06, 20.36, 29.09, 47.20, 56.01, 56.99, 169.21; IR (chloroform): 1757 cm^{-1}.

Anal. Calcd. for $C_7H_{12}NOCl$: C 52.01, H 7.43, N 8.66. Found: C 51.88, H 7.29, N 8.75.

3-Chloro-1-isobutylazetidin-2-one (**14c**).

This compound has the following properties: ^{1}H nmr (deuteriochloroform): δ 1.32 (d, J = 6.1 Hz, 6H, $CH(CH_3)_2$), 1.92 (d, 2H, $CH_2CH(CH_3)_2$), 3.01 (dd, J = 3.2 Hz & 13.8 Hz, 1H, C_4H), 3.69 (dd, J = 5.1 Hz & 13.8 Hz, 1H, C_4H), 4.51 (dd, J = 3.2 Hz & 5.1 Hz, 1H, C_3H); ^{13}C nmr (deuteriochloroform): δ 20.33,24.79, 56.41, 57.24, 57.84, 170.04; IR (chloroform): 1765 cm^{-1}.

Anal. Calcd. for $C_7H_{12}NOCl$: C 52.01, H 7.43, N 8.66. Found: C 51.79, H 7.34, N 8.72.

3-Chloro-1-phenethylazetidin-2-one (**14d**).

This compound has the following properties: ^{1}H nmr (deuteriochloroform): δ 2.79 (t, 2H, $CH_2C_6H_5$), 3.32 (t, 2H, NCH_2), 3.44 (dd, J = 3.0 Hz & 14.2 Hz, 1H, C_4H), 3.59 (dd, J = 5.3 Hz & 14.2 Hz, 1H, C_4H), 4.89 (dd, J = 3.0 Hz & 5.3 Hz, 1H, C_3H), 7.02-7.53 (br, 5H, Ar*H*); ^{13}C nmr (deuteriochloroform): δ 33.13, 47.55, 55.83, 56.23, 126.09, 128.71, 128.92, 140.33, 169.80; IR (chloroform): 1752, 1754 cm^{-1}.

Anal. Calcd. for $C_{11}H_{12}NOCl$: C 63.00, H 5.72, N 6.68. Found: C 62.81, H 5.60, N 6.81.

1-Benzyl-3-chloro-4-methylazetidin-2-one (**14e**).

This compound has the following properties: ^{1}H nmr (deuteriochloroform): δ 1.22 (d, J = 6.4 Hz, 3H, $CHCH_3$), 3.57-3.60 (m, 1H, C_4H), 4.58 (d, J = 5.2 Hz, 1H, C_3H), 4.12 (d, J = 15.3 Hz, 1H, $CH_2C_6H_5$), 4.60 (d, J = 15.3 Hz, 1H, $CH_2C_6H_5$), 7.38 (br s, 5H, C_6H_5); ^{13}C nmr (deuteriochloroform): δ 14.79, 43.34, 59.18, 62.27, 127.43, 128.93, 129.58, 137.97, 170.10; IR (chloroform): 1748 cm^{-1}.

Anal. Calcd. for $C_{11}H_{12}NOCI$: C 63.00, H 5.72, N 6.68. Found: C 62.89, H 5.64, N 6.75.

1-Butyl-3-chloro-4-methylazetidin-2-one (**14f**).

This compound has the following properties: ^{1}H nmr (deuteriochloroform): δ 1.23 (d, J = 6.6 Hz, 3H, $CHCH_3$), 1.62-1.89 (m, 7H, $CH_2CH_2CH_3$), 3.15 (t, 2H, $CH_2(CH_2)_2CH_3$), 3.55-3.59 (m, 1H, C_4H), 4.55 (d, J = 5.2 Hz, 1H, C_3H); ^{13}C nmr (deuteriochloroform): δ 13.78, 14.00, 20.35, 29.99, 53.06, 59.52, 60.73, 169.77; IR (chloroform): 1748 cm^{-1}.

Anal. Calcd. for $C_8H_{14}NOCI$: C 54.70, H 7.98, N 7.98. Found: C 54.45, H 7.75, N 7.99.

3-Chloro-1-isobutyl-4-methylazetidin-2-one (**14g**).

This compound has the following properties: ^{1}H nmr (deuteriochloroform): δ 1.19 (d, J = 6.6 Hz, 3H, $CHCH_3$), 1.30 (d, J = 6.0 Hz, 6H, $CH(CH_3)_2$), 1.53 (m, 1H, $CH(CH_3)_2$), 1.95 (d, 2H, $CH_2CH(CH_3)_2$), 3.52-3.61 (m, 1H, C_4H), 4.60 (d, J = 5.4 Hz, 1H, C_3H); ^{13}C nmr (deuteriochloroform): δ 15.98, 20.29, 26.14, 55.63, 60.12, 62.01, 170.38; IR (chloroform): 1763 cm^{-1}.

Anal. Calcd. for $C_8H_{14}NOCI$: C 54.70, H 7.98, N 7.98. Found: C 54.53, H 7.81, N 8.80.

3-Chloro-4-methyl-1-phenethylazetidin-2-one (**14h**).

This compound has the following properties: ^{1}H nmr (deuteriochloroform): δ 1.30 (d, J = 6.8 Hz, 3H, $CHCH_3$), 2.78 (t, 2H, $CH_2CH_2C_6H_5$), 3.49 (t, 2H, $CH_2CH_2C_6H_5$), 3.68 (m, 1H, C_4H), 4.79 (d, J = 4.5 Hz, 1H, C_3H), 7.01-7.23 (br, 5H, Ar*H*); ^{13}C nmr (deuteriochloroform): δ 14.23, 35.12, 47.95, 56.25, 60.05, 126.09, 128.75, 128.95, 140.89, 169.85; IR (chloroform): 1763 cm^{-1}.

Anal. Calcd. for $C_{12}H_{14}NOCl$: C 64.43, H 6.26, N 6.26. Found: C 64.17, H 6.01, N 6.35.

1-Benzyl-3-chloro-4-phenylazetidin-2-one (**14i**).

This compound has the following properties: ^{1}H nmr (deuteriochloroform): δ 3.10 (d, J = 5.1 Hz, 1H, C_4H), 3.25 (d, J = 5.1 Hz, 1H, C_3H), 3.95 (d, J = 15.1 Hz, 1H, $CH_2C_6H_5$), 4.05 (d, J = 15.1 Hz, 1H, $CH_2C_6H_5$), 7.35-7.48 (m, 5H, C_6H_5), 7.58 (s, 5H, C_6H_5); ^{13}C nmr (deuteriochloroform): δ 43.79, 59.03, 70.39, 125.56, 127.69, 128.00, 128.79, 130.09, 138.95, 139.05, 169.75; IR (chloroform): 1766 cm^{-1}.

Anal. Calcd. for $C_{16}H_{14}NOCI$: C 70.71, H 5.16, N 5.16. Found: C 70.53, H 5.00, N 5.30.

1-Butyl-3-chloro-4-phenylazetidin-2-one (**14j**).

This compound has the following properties: ^{1}H nmr (deuteriochloroform): δ 1.64-1.89 (m, 7H, $CH_2CH_2CH_3$), 3.13 (d, J = 5.2 Hz, 1H, C_4H), 3.20 (t, 2H, NCH_2CH_2), 3.35 (d, J = 5.2 Hz, 1H, C_3H), 7.23-7.58 (m, 5H, C_6H_5); ^{13}C nmr (deuteriochloroform): δ 14.52, 20.95, 29.65, 54.79, 59.48, 68.83, 124.89, 127.69, 128.59, 138.32, 169.91; IR (chloroform): 1766 cm^{-1}.

Anal. Calcd. for $C_{13}H_{16}NOCI$: C 65.68, H 6.74, N 5.89. Found: C 65.52, H 6.51, N 5.90.

3-Chloro-1-isobutyl-4-phenylazetidin-2-one (**14k**).

This compound has the following properties: ^{1}H nmr (deuteriochloroform): δ 1.35 (d, J = 6.3 Hz, 6H, $(CH_3)_2$),1.48 (m, 1H, $CH(CH_3)_2$), 1.89 (d, 2H, $NCH_2CH(CH_3)_2$), 3.20 (d, J = 5.3 Hz, 1H, C_4H), 3.39 (d, J = 5.3 Hz, 1H, C_3H), 6.89-7.23 (m, 5H, Ar*H*); ^{13}C nmr (deuteriochloroform): δ 21.29, 26.59, 57.39, 59.68, 68.59, 124.98, 127.59, 127.99, 138.79, 170.05; IR (chloroform): 1774 cm^{-1}.

Anal. Calcd. for $C_{13}H_{16}NOCI$: C 65.68, H 6.74, N 5.89. Found: C 65.29, H 6.49, N 5.93.

3-Chloro-1-phenethyl-4-phenylazetidin-2-one (**14l**).

This compound has the following properties: ^{1}H nmr (deuteriochloroform): δ 2.81 (t, 2H, $CH_2C_6H_5$), 3.53 (t, 2H, NCH_2), 4.80 (d, J = 5.6 Hz, 1H, C_4H), 5.18 (d, J = 5.6 Hz, 1H, C_3H), 6.99-7.23 (m, 10H, Ar*H*); ^{13}C nmr (deuteriochloroform): δ 35.01, 46.99, 58.69, 69.79, 125.49, 126.09, 127.69, 128.17, 128.99, 140.99, 169.78; IR (chloroform): 1754 cm^{-1}.

Anal. Calcd. for $C_{17}H_{16}NOCI$: C 71.45, H 5.60, N 4.90. Found: C 71.19, H 5.43, N 5.12.

3-Chloro-4-(4-methoxyphenyl)azetidin-2-one (**14m**).

This compound has the following properties: ^{1}H nmr (deuteriochloroform): δ 3.79 (s, 3H, OCH_3), 4.27 (d, J = 6.98 Hz, 1H, C_3H), 4.73 (d, J = 6.98 Hz, 1H, C_4H), 6.86 (d, J = 9.08 Hz, 2H, Ar*H*), 7.51 (d, J = 9.08 Hz, 2H, Ar*H*); ^{13}C nmr (deuteriochloroform): δ 55.11, 59.12, 62.24, 114.59, 126.06, 131.59, 159.89, 165.58; IR (chloroform): 1775 cm^{-1}.

Anal. Calcd. for $C_{10}H_{10}NO_2CI$: C 56.74, H 4.73, N 6.62. Found: C 56.45, H 4.45, N 6.85.

3-Chloro-4-(2-chlorophenyl)azetidin-2-one (**14n**).

This compound has the following properties: ^{1}H nmr (deuteriochloroform): δ 4.31 (d, J = 6.25 Hz, 1H, C_3H), 4.71 (d, J = 6.25 Hz, 1H, C_4H), 6.80-7.51 (m, 4H, Ar*H*); ^{13}C nmr (deuteriochloroform): δ 59.26, 60.39, 125.34, 126.49, 128.09, 128.99, 131.65, 157.02, 165.59; IR (chloroform): 1776 cm^{-1}.

Anal. Calcd. for $C_9H_7NOCI_2$: C 50.23, H 3.26, N 6.51. Found: C 49.99, H 3.16, N 6.61.

3-Chloro-4-(4-hydroxyphenyl)azetidin-2-one (**14o**).

This compound has the following properties: ^{1}H nmr (deuteriochloroform): δ 4.25 (d, J = 6.70 Hz, 1H, C_3H), 4.68 (d, J = 6.70 Hz, 1H, C_4H), 6.91-7.51 (m, 4H, Ar*H*); ^{13}C nmr (deuteriochloroform): δ 59.12m 65.26, 117.49, 125.49, 130.95, 158.02, 165.29; IR (chloroform): 1776 cm^{-1}.

Anal. Calcd. for $C_9H_8NO_2CI$: C 54.68, H 4.05, N 7.09. Found: C 54.49, H 3.89, N 7.32.

4-Benzo[1,3]dioxol-5-yl-3-chloroazetidin-2-one (**14p**).

This compound has the following properties: ^{1}H nmr (deuteriochloroform): δ 4.32 (d, J = 6.5 Hz, 1H, C_3H), 4.87 (d, J = 66.5 Hz, 1H, C_4H), 6.36 (s, 2H, OCH_2O), 6.95-7.31 (m, 3H, Ar*H*); ^{13}C nmr (deuteriochloroform): δ 58.89, 63.59, 101.59, 104.59, 110.99, 119.35, 132.59, 148.29, 148.99, 165.50; IR (chloroform): 1777 cm^{-1}.

Anal. Calcd. for $C_{10}H_8NO_3CI$: C 53.22, H 3.55, N 6.21. Found: C 53.01, H 3.47, N 6.44.

3-Chloro-4-phenylazetidin-2-one (**14q**).

This compound has the following properties: ^{1}H nmr (deuteriochloroform): δ 4.26 (d, J = 6.70 Hz, 1H, C_3H), 4.71 (d, J = 6.70 Hz, 1H, C_4H), 7.11 (s, 5H, Ar*H*); ^{13}C nmr (deuteriochloroform): δ 59.12, 62.59, 126.56, 127.80, 128.59, 139.54, 165.69; IR (chloroform): 1777 cm^{-1}.

Anal. Calcd. for C_9H_8NOCI: C 59.50, H 4.40, N 7.71. Found: C 59.46, H 4.35, N 7.68.

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