An Efficient Synthesis of 5-Benzoyloxazolines by Regio- and Stereo-controlled Reaction of *N*-Substituted 2-Benzoylaziridines Under Microwave Irradiation

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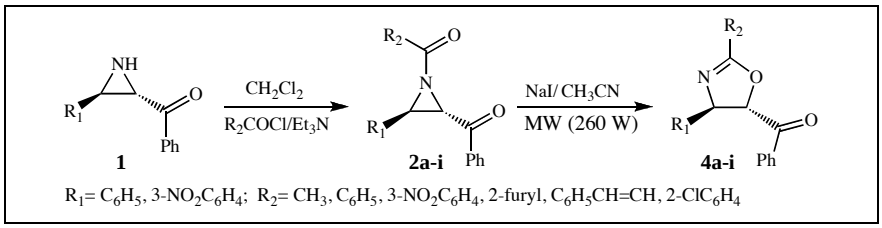

Several *N*-acyl-2-benzoylaziridines were prepared conveniently in good to high yields (71-93%) and used in the preparation of 5-benzoyloxazolines (76-91%) by a regio- and stereo-controlled reaction in the presence of NaI as an efficient catalyst under microwave irradiation in short reaction times (5-10 mins). The structure of the regioisomeric product was confirmed by X-ray analysis.

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INTRODUCTION

The oxazoline ring system has been known for more than a century and constitutes an important class of compounds that has attracted scientists from various areas due to its unique properties and capacity to serve as a synthetic precursor or mediator in a multitude of chemical processes [1].

In recent years, oxazolines with various backbones have found widespread use in asymmetric reactions as valuable chiral auxiliaries [2] and versatile intermediates in P-C bond formation [3a] and in the synthesis of β-substituted serines because of their utility in the synthesis of various antibiotics [3b]. Substituted oxazoline derivatives prepared stereospecifically were used in the synthesis of naturally occurring non-proteinogenic β-hydroxy-α-amino acids [4] and as starting material in the synthesis of the neurotrophic factor Lactacystin [5,6]. Among the oxazoline derivatives, bis-oxazolines have been employed as suitable ligands in the asymmetric catalysis of organic reactions [7]. Moreover a great number of oxazolines with biological activity have been isolated from marine organisms [8].

Several synthetic methods have been described for the synthesis of oxazolines [9,1a]. In most cases they are prepared from amino alcohols [7b]. Some other methods using carboxylic esters, nitriles or aldehydes as starting materials have also been described but most of them use strongly acidic conditions or stringent reaction parameters [10]. Methods using strained-ring opening reactions have also been developed. In an interesting acid-catalyzed ($BF_3.OEt_2$) ring-opening approach glycidyl tosylate in the presence of acetonitrile has provided oxazolines in a Ritter-type reaction in high yield [11a]. Other reagents such as $CoCl_2$ [11b], $HClO_4$ [11c], TMS-CN [11d], SiF_4 [11e] and Cl_3CCN/DBU [11f] have been employed to generate the oxazolines from an epoxide.

Another useful method for the preparation of oxazolines is the application of aziridines [12]. Through ring expansion, aziridines also provide oxazolines [13-16].

The ring expansion of aziridines into oxazolines, induced by iodide, was first discovered by Heine [17a] and for some aziridines Cardillo's group reported that aziridines undergo a ring expansion into oxazolines in the presence of $BF_3.OEt_2$ [18]. Recently this reaction was employed in the ring expansion reaction of aziridine 2-carboxylic ester into oxazolines in a regio- and stereo-controlled manner [17b].

Despite the vast amount of work reported on the synthesis and reactivity of aziridines in general and aziridines carboxylic esters [12d,19-21], in particular, further developments in the synthesis and utilization of aziridines as useful synthetic precursors is still required.

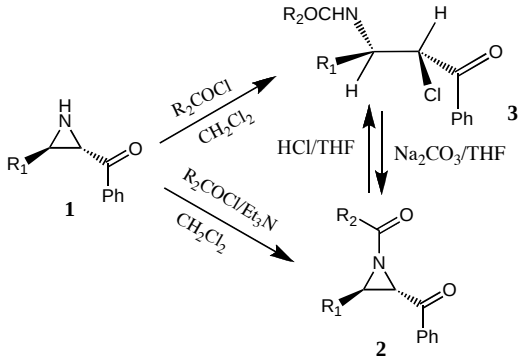
In continuation of our recent interest in the synthesis and use of N-heterocyclic compounds in organic synthesis [22], herein we report the synthesis of N-acyl-2-benzoylaziridines and their application in a regio- and stereo-controlled reaction for the preparation of some *trans*-5-benzoyloxazolines under microwave condition in high yields and short reaction times (5-10 mins).

RESULTS AND DISCUSSION

At the outset of this study *trans*-2-benzoylaziridine **1** was prepared *via* Gabriel-Cromwell procedure [23], by bromination of the related α,β-unsaturated carbonyl compounds, followed with the reaction of ammonia solution (30%) in methanol at room temperature. *trans*-2-

Benzoylaziridine **1** was used for the preparation of *N*-acetyl-2-benzoylaziridine (**2a**) in the presence and absence of Et_3N (Scheme 1).

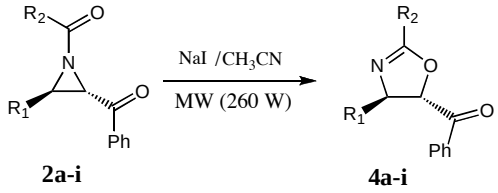
Scheme 1



The reaction in the absence of Et_3N afforded **3a** by chloride attack on C_2 position of aziridine ring. In a separate experiment a sample of **2a** was treated with HCl in THF which afforded **3a** in 72%. Reconversion of this product (**3a**) into **2a** was carried out by using Na_2CO_3/THF which furnished **2a** in a clean reaction in 95% yield. Therefore preparation of N-substituted 2-benzoylaziridines (**2**) (Table 1) was conducted by acylation of 2-benzoylaziridines (**1**) in the presence of Et_3N.

All the synthesized 2-benzoylaziridines were used in a ring expansion reaction for preparation of the related 5-benzoyloxazolines under microwave irradiation (260 W) (Scheme 2).

Scheme 2



In this study we initially used ring expansion of 2-benzoylaziridines **2b** as a model reaction to investigate the effect of different catalysts on the efficiency of this reaction. The results of this study revealed that the ring expansion reaction in the presence of $Cu(OTf)_2$, $BF_3.OEt_2$, $MgBr_2$, or $ZnCl_2$ (20 mol% catalyst) gave a mixture of products with only a small amount (10-18%) of the desired oxazoline (**4b**), while with $TiCl_4$ a moderate yield (65%) was obtained. However the best result was achieved with NaI (90% yield) and the ring expansion of all the synthesized 2-benzoylaziridines (**2a-i**) to the

Table 1

Preparation of N-substituted 2-benzoylaziridines (**2a-i**).

entry	R_1	R_2	Time (h)	yield (%)[b]	mp (°C)
a	C_6H_5	CH_3	3	76[c]	92-94
b	C_6H_5	C_6H_5	6	93	86-88
c	3-$NO_2C_6H_4$	CH_3	4	71[c]	98-100
d	C_6H_5	3-$NO_2C_6H_4$	5	88	125-127
e	3-$NO_2C_6H_4$	C_6H_5	6	91	129-130
f	3-$NO_2C_6H_4$	3-$NO_2C_6H_4$	5	83	132-134
g	C_6H_5	C_4H_3O	4	89	119-122
h	3-$NO_2C_6H_4$	C_6H_5CH=CH	4	81	138-140
i	C_6H_5	2-ClC_6H_4	6	86	139-140

[a] All products were characterized by IR, NMR and elemental analysis. [b] Isolated yield. [c] As a mixture with **3** (~15%).

Table 2

Microwave-assisted ring expansion of N-substituted 2-benzoylaziridines **2** into 5-benzoyloxazolines **4**.

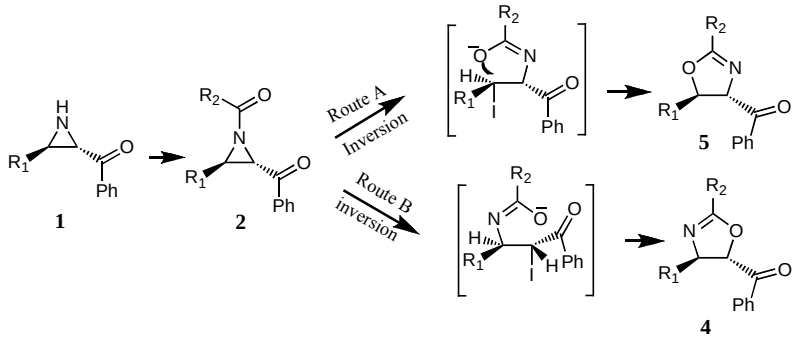
entry	R_1	R_2	Time (min)	yield (%)[b]
a	C_6H_5	CH_3	8	78[c]
b	C_6H_5	C_6H_5	8	90
c	3-$NO_2C_6H_4$	CH_3	10	77
d	C_6H_5	3-$NO_2C_6H_4$	7	86
e	3-$NO_2C_6H_4$	C_6H_5	5	79
f	3-$NO_2C_6H_4$	3-$NO_2C_6H_4$	8	81
g	C_6H_5	2-furyl	5	84
h	3-$NO_2C_6H_4$	C_6H_5CH=CH	5	76
i	C_6H_5	2-ClC_6H_4	10	91

[a] All products were characterized by IR, ^{1}H NMR, ^{13}C NMR and elemental analysis. [b] Isolated yield. [C]Ring expansion of **2a** (1.0 mmole) in CH_3CN (15 ml) in the presence of NaI (0.2 mmole) under reflux condition after 5 hours, produced **4a** in 75%

related 5-benzoyloxazolines was performed in the presence of NaI (20 mol%), furnishing the desired product in good to high yields (76-91%) (Table 2).

The formation of oxazoline **4** can be visualized by an initial ring opening of the N-acylaziridine by the attack of iodide ion (Scheme 3).

Scheme 3



According to the mechanism presented in Scheme 3, in the ring opening by nucleophilic addition of iodide, there are two possible routes for oxazoline formation. In route **A** the oxazoline with the nitrogen adjacent to the carbonyl group will be formed, whereas route **B** will lead to the oxazoline product with the oxygen adjacent to the carbonyl group. The regioselectivity entirely depends on the stereo-electronic nature of the substituents. In most of the reactions of this type ring opening takes place in the less hindered position of ring carbons [12f,15,16e,17, 21,24]. Since the spectral data (*e.g.*, nmr, ir, and elemental analysis) were not conclusive, X-ray crystallographic analysis [25] was conducted on **4i** to verify product structure. As shown in Figure 1, path **B** prevail to produce the product. In this pathway the aroyl moiety at position 2 make it a suitable position for nucleophilic attack.

In addition, the reaction takes place *via* a double inversion, consequently a retention of configuration occurs at the carbon atom bearing the aroyl group. 5-Benzoyloxazolines **4** were obtained through ring expansion of **2** in the presence of NaI in acetonitrile under microwave irradiation (260 W) *via* a nucleophilic ring opening by iodine and intra-nucleophilic attack of oxygen, subsequently leading to a net retention of configuration in two ring carbons. The result of X-ray analysis clearly confirms the stereo-controlling nature of this reaction.

In conclusion this work describes an efficient synthesis of *trans*-5-benzoyloxazolines by the ring expansion of *trans*-N-acyl-2-benzoylaziridines in a completely regio- and stereo-controlled reaction in the presence of NaI under microwave condition in short reaction time, which pave the way for further functionalization of the oxazoline skeleton. The research in this respect is under way.

EXPERIMENTAL

^{1}H NMR spectra were obtained on a Bruker DRX-500 and those of ^{13}C NMR spectra on a Bruker DRX-125 Avance spectrometer. FTIR spectra were recorded on a Shimadzu FTIR-8400S spectrometer. Chemical shifts of ^{1}H and ^{13}C NMR spectra are expressed in ppm downfield from tetramethylsilane. Melting points were measured on a Buchi melting point B-540 instrument and are uncorrected. Elemental analyses were made by a Carlo-Erba EA1110CNNO-S analyzer and agreed with the calculated values. All the chemicals were purchased from Merck and used without further purification.

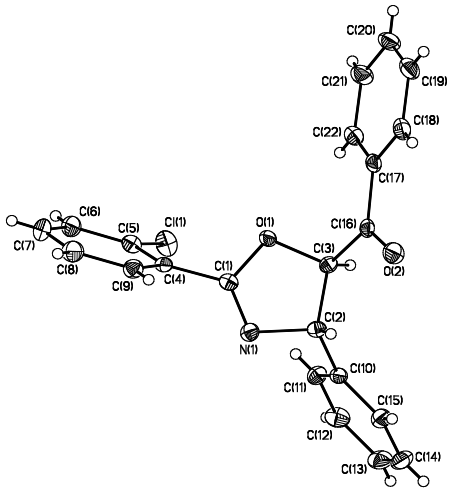


Figure 1. ORTEP plots of **4i** ($C_{22}H_{16}ClNO_2$).

General Procedure for Preparation of 2-Benzoylaziridines (2a-i). Acyl chloride (1.0 mmole) was added dropwise to a solution of aziridines (**1**) (1.0 mmole) and triethylamine (2.0 mmoles) in CH_2Cl_2 (10 mL) at 0 ºC. The mixture was stirred for 1 h at this temperature, 3-5 hours at room temperature and then rinsed twice with water. The organic layer was dried over anhydrous Na_2SO_4 and concentrated under reduced pressure. The crude product was purified by column chromatography (silica gel, EtOAc/Hexane: 2/5) to provide the desired keto-aziridine **2** (71-93 %) (Table 1).

Preparation of *N*-((R)-2-Chloro-1,3-diphenyl-1-oxo-propan-2-yl)acetamide (3a). From *trans*-1-Acyl-2-benzoyl-3-phenylaziridine (2a). A mixture of **2a** (1.0 mmole), 5 mL HCl (0.5 *M*) in 15 mL THF/H_2O (2:1; v/v) was stirred at room temperature and the progress of the reaction was monitored by TLC. After 3 hours, ethyl acetate (15 mL) and a 10% solution of Na_2CO_3 (30 mL) was added. The organic layer was separated, washed with water twice and dried over $MgSO_4$. The organic phase was separated and evaporated under reduced pressure. The residue was purified by column chromatography (silica gel, hexane-EtOAc: 4/1) to afford pure **3a** (72%), mp 88-91 ºC.

Preparation of *trans*-1-Acetyl-2-benzoyl-3-phenylaziridine (2a) From N-((R)-2-chloro-1,3-diphenyl-1-oxo-propan-2-yl)-acetamide (3a). A mixture of **3a** (1.0 mmole) and Na_2CO_3 (5.0 mmoles) was added to 15 mL THF/H_2O (2:1, v/v) and the reaction mixture was stirred at room temperature. The progress of the reaction was monitored by TLC. When all of the **3a** was consumed (5 hours), ethyl acetate (15 mL) was added and the organic layer was separated and washed with water. The organic phase was dried over MgSO4 and the solvent was evaporated *in vacuo* to afford keto-aziridine **2a** in 95% yield.

General Procedure for the Preparation of 5-Benzoyl-oxazolines (4a-i) Under Microwave Irradiation. Sodium iodide (0.2 mmole) was added into a solution of **2** (1.0 mmole) in CH_3CN (15 mL) and the mixture was heated under microwave irradiation (power 260 W) for 5-10 minutes. The progress of the reaction was monitored by TLC (EtOAc-Hexane: 1/4)). After completion of the reaction, organic layer was rinsed twice with water and dried with anhydrous Na_2SO_4. Evaporation of the solvent under reduced pressure and subsequent purification of the residue by column chromatography (silica gel, EtOAc-Hexane: 1/4) provided 5-benzoyloxazoline **4** (76-91%) (Table 2).

***trans*-1-Acetyl-2-benzoyl-3-phenylaziridine (2a).** White solid, mp 92-94°C; ir (potassium bromide): 3051, 1678, 1595, 1430, 1315 cm^{-1}; ^1H nmr (deuteriochloroform): δ 2.27 (s, 3H), 3.9 (d, 1H, J = 2.1 Hz), 4.2 (d, 1H, J = 2.1 Hz,), 7.2-7.5 (m, 5H), 7.6-7.8 (m, 3H), 8.0 (d, 2H, J = 7.4 Hz,); ^{13}C nmr (deuteriochloroform): δ 21.0, 44.6, 48.1, 127.10, 128.0, 128.60, 128.70, 128.80, 133.20, 136.80, 138.30, 173.0, 195.20; *Anal.* Calcd for $C_{17}H_{15}NO_2$,(265.11): C, 76.98; H, 5.66; N, 5.28. found: C, 76.87; H, 5.63; N, 5.32.

***trans*-5-Benzoyl-2-methyl-4-phenyl-2-oxazoline (4a).** Yellow solid, mp 88-90 °C; ir (potassium bromide): 3070, 2991, 1690, 1648, 1422, 1237, 1058, 762, 692 cm^{-1}; ^1H nmr (deuteriochloroform): δ 2.8 (s, 3H), 5.5 (d, 1H, J = 7.2 Hz), 5.6 (d, 1H, J = 7.2 Hz), 7.2-7.5 (m, 5H), 7.7-7.8 (m, 3H), 8.1 (d, 2H, J = 7.4 Hz); ^{13}C nmr (deuteriochloroform): δ 21.20, 46.60, 48.10, 125.10, 125.20, 128.20, 128.70, 128.78, 128.80, 128.85, 128.88, 172.60, 195.20; *Anal.* Calcd. for $C_{17}H_{15}NO_2$) 265.11(: C, 76.98; H, 5.66; N, 5.28. found: C, 76.79; H, 5.58; N, 5.25.

***trans*-1,2-Di-benzoyl-3-phenylaziridine (2b)**. White solid, mp 86-88°C. ir (potassium bromide): 3060, 1675, 1596, 1452, 1328, 1228 cm^{-1}; ^1H nmr (deuteriochloroform): δ 4.12 (d, 1H, J = 2.1 Hz), 4.37 (d, 1H, J = 2.1 Hz), 7.4-7.8 (m, 11H), 8.00-8.01 (m, 4H); ^{13}C nmr (deuteriochloroform): δ 48.0, 48.97, 126.93, 128.84, 128.86, 128.92, 129.10, 129.23, 129.33, 132.90, 134.11, 136.18, 136.82, 176.12, 192.15; *Anal.* Calcd. for $C_{22}H_{17}NO_2$ (327.13): C, 80.73; H, 5.20; N, 4.28. found: C, 80.82; H, 5.15; N, 4.25.

***trans*-5-Benzoyl-2,4-di-phenyl-2-oxazoline (4b).** Yellow solid, mp 89-90°C; ir (potassium bromide): 2918, 1689, 1649, 1418, 1236, 1060, 761 cm^{-1}; ^1H nmr (deuteriochloroform): δ 5.50 (d, 1H, J = 6.5 Hz), 5.70 (d, 1H, J = 6.5 Hz), 7.3-7.7 (m, 11H), 7.9 (d, 2H, J = 7.3 Hz); 8.1 (d, 2H, J = 7.2); ^{13}C nmr (deuteriochloroform): δ 74.06, 87.23, 127.38, 127.48, 128.60, 128.88, 129.17, 129.25, 129.41, 129.54, 132.28, 134.43, 134.63, 141.51, 164.25, 194.91; *Anal.* Calcd. for $C_{22}H_{17}NO_2$ (327.13): C, 80.73; H, 5.20; N, 4.28. found: C, 80.70; H, 5.27; N, 4.33.

***trans*-1-Acyl-2-benzoyl-3-(3-nitrophenyl)aziridine (2c).** White solid, mp 98-100°C; ir (potassium bromide): 3048, 2993, 1676, 1592, 1532, 1356, 1430 cm^{-1}; ^1H nmr (deuteriochloroform): δ 2.25 (s, 3H), 3.91 (d, 1H, J = 2.1 Hz), 4.2 (d, 1H, J = 2.1 Hz), 7.2-7.8 (m, 5H), 8.0 (d, 2H, J = 7.3 Hz), 8.21 (d, 1H, J = 7.3 Hz), 8.21 (s, 1H); ^{13}C nmr (deuteriochloroform): δ 20.9, 46.8, 48.1, 119.4, 123.2, 128.7, 129.5, 133.1, 134.1, 136.8, 139.2, 148.2, 173, 196; *Anal.* Calcd. for $C_{17}H_{14}N_2O_4$ (310.1): C, 65.81; H, 4.52; N, 9.03. found: C, 65.79; H, 4.57; N, 9.10.

***trans*-5-Benzoyl-2-methyl-4-(3-nitrophenyl)-2-oxazoline (4c).** Yellow solid, mp 85-88°C; ir (potassium bromide): 3068, 2996, 1688, 1535, 1354, 1237, 1052, 758, 691 cm^{-1}; ^1H nmr (deuteriochloroform): δ 2.01 (s, 3H), 5.6 (d, 1H, J = 7.2 Hz), 5.8 (d, 1H, J = 7.2 Hz), 7.34 (m, 3H), 7.45 (d, 1H, J = 7.7 Hz), 7.47 (m 1H), 7.5 (d, 1H, J = 8.0 Hz), 7.8 (d, 2H, J = 7.8 Hz), 8.1 (d, 1H, J = 7.8 Hz), 8.2 (s, 1H).^{13}C nmr ($CDCl_3$): δ 21.2, 75.2, 77.8, 120.0, 122.4, 128.7, 128.8, 129.9, 133.2, 133.3, 136.8, 148.6, 168, 197; *Anal.* Calcd. for $C_{17}H_{14}N_2O_4$ (310.1): C, 65.81; H, 4.52; N, 9.03. found: C, 65.70; H, 4.65; N, 9.13.

***trans*-2-Benzoyl-1-(3-nitrobenzoyl)-3-phenylaziridine (2d).** White solid,mp 125- 127 °C; ir (potassium bromide): 3058, 188, 1580, 1530, 1354, 1175, 735, 691 cm^{-1}; ^1H nmr (deuteriochloroform): δ 4.1 (d, 1H, J = 2.3 Hz), 4.3 (d, 1H, J = 2.3 Hz), 7.3 (dd, 2H, J = 6.9, 7.9 Hz), 7.6 (m, 3H), 7.7 (dd, 2H, J = 2.0, 7.6 Hz), 7.8 (d, 1H, J = 7.6 Hz), 7.9 (dd, 2H, J = 1.4, 8.9 Hz), 8.0 (dd, 2H, J =1.3, 8.6 Hz), 8.2-8.3 (m, 1H), 8.4 (dd, 1H, J = 1.5, 3.2 Hz). ^{13}C nmr (deuteriochloroform): δ 46.0, 49.0, 119.0, 123.2, 126.7, 127.2, 128.1, 128.7, 128.8, 129.5, 131.0, 133.1, 134.2, 136.8, 139.2, 148.1, 172.5, 195.1; *Anal.* Calcd for $C_{22}H_{16}N_2O_4$ (372.11): C, 70.97; H, 4.30; N, 7.53. found: C, 71.30; H, 4.36; N, 7.59.

***trans*-5-Benzoyl-2-(3-nitrophenyl)-4-phenyl-2-oxazoline (4d).** Yellow solid, mp 95-98 °C; ir (potassium bromide): 3069, 16933, 1656, 1528, 1348, 1255, 1074, 967, 755, 692 cm^{-1}; ^1H nmr (deuteriochloroform): δ 5.5 (d, 1H, J = 7.1 Hz), 5.7 (d, 1H, J = 7.1 Hz), 7.4 (dd, 2H, J = 7.4, 7.8 Hz), 7.56-7.63 (m, 4H), 7.70 (d, 1H, J = 7.8 Hz), 7.75 (d, 1H, J = 7.8 Hz), 8.0 (dd, 2H, J =1.3, 8.6 Hz), 8.1 (d, 2H, J = 7.1 Hz), 8.23-8.27 (m, 2H); ^{13}C nmr (deuteriochloroform): δ 75, 89, 126, 127.7, 128.80, 128.82, 128.83, 128.9, 129.2, 129.5, 133.4, 135.1, 139.2, 149, 175.0, 196.0; *Anal.* Calcd. for $C_{22}H_{16}N_2O_4$ (372.11): C, 70.97; H, 4.30; N, 7.53. found: C, 71.31; H, 4.26; N, 7.50.

***trans*-1,2-Di-benzoyl-3-(3-nitrophenyl)aziridine (2e).** White solid, mp 129-130°C; ir (potassium bromide): 3066, 1689, 1585, 1525, 1355, 1180, 721, 682 cm^{-1}; ^1H nmr (deuteriochloroform): δ 4.2 (d, 1H, J = 2.3 Hz), 4.4 (d, 1H, J = 2.3 Hz), 7.4 (dd, 2H, J = 6.9, 7.9 Hz), 7.5 (m, 3H), 7.6 (dd, 2H, J = 2.0, 7.9 Hz), 7.8 (d, 1H, J = 7.7 Hz), 7.9 (dd, 2H, J = 1.3, 8.7 Hz), 8.0 (dd, 2H, J = 1.2, 8.6 Hz), 8.2-8.3 (m, 1H), 8.3 (dd, 1H, J = 1.9, 3.4 Hz); ^{13}C nmr (deuteriochloroform): δ 47.0, 49.0, 118.1, 122.2, 125.8, 126.2, 128.1, 128.8, 128.9, 129.5, 131.2, 133.1, 134.2, 135.8, 138.2, 148.1, 170.5, 198.1; *Anal.* Calcd. for $C_{22}H_{16}N_2O_4$ (372.11): C, 70.98; H, 4.34; N, 7.52. found: C, 71.2; H, 4.36; N, 7.68.

***trans*-5-Benzoyl-4-(3-nitrophenyl)-2-phenyl-2-oxazoline (4e).** Yellow solid, mp 112-114 °C; ir (potassium bromide): 3068,

16923, 1652, 1527, 1346, 1240, 1064, 962, 751, 692 cm^{-1}; ^1H nmr (deuteriochloroform): δ 5.6 (d, 1H, J = 7.1 Hz), 5.8 (d, 1H, J = 7.1 Hz), 7.5 (dd, 2H, J = 7.5, 7.7 Hz), 7.56-7.63 (m, 4H), 7.72 (d, 1H, J = 7.8 Hz), 7.75 (d, 1H, J = 7.7 Hz), 8.0 (dd, 2H, *J* = 1.3, 8.5 Hz), 8.1 (d, 2H, J = 7.1 Hz), 8.23-8.27 (m, 2H); ^{13}C nmr ($CDCl_3$): δ 74.0, 88.1, 128.6, 128.7,128.73, 128.82, 128.8, 128.9, 129.1, 129.5, 133.2, 134.1, 139.2, 148.0, 173.0, 195.0; *Anal.* Calcd. for $C_{22}H_{16}N_2O_4$ (372.11): C, 70.98; H, 4.34; N, 7.52. found: 71.31; H, 4.26; N, 7.50.

***trans*-2-Benzoyl-1,3-di-(3-nitrobenzoyl)aziridine (2f).** White solid, mp 132-134 °C; ir (potassium bromide): 3089, 2925, 1701, 1672, 1527, 1348, 1224, 721, 682 cm^{-1}; ^1H nmr (deuteriochloroform): δ 4.2 (d, 1H, J = 2.6 Hz), 4.6 (d, 1H, J = 2.6 Hz), 7.5 (dd, 2H, J = 7.87, 8.01 Hz), 7.6 (m, 3H), 7.89 (d, 2H, J = 7.7 Hz), 7.9 (dd, 1H, J = 8.1, 0.8 Hz), 8.2 (m, 1H), 8.3-8.4 (m, 3H), 8.8 (dd, 1H, J = 1.8, 1.9 Hz); ^{13}C nmr (deuteriochloroform): δ 47.0, 49.0, 118.1, 123.2, 125.8, 126.1,126.9, 128.1, 128.7, 128.9, 129.5, 132.2, 133.1,135.2, 135.9, 137.2, 147.2, 148.1, 172.5, 197.1; *Anal.* Calcd. for $C_{22}H_{15}N_3O_6$ (417.1): C, 63.33; H, 3.63; N, 10.06. found: C, 63.5; H, 3.51; N, 9.93.

***trans*-5-Benzoyl-2,4-di-(3-nitrophenyl)-2-oxazoline (4f).** Yellow solid, mp 106-108 °C; ir (potassium bromide): 3071, 2925, 1693, 1662, 1531, 1350, 750, 707, 682 cm^{-1}; ^1H nmr (deuteriochloroform): δ 5.75 (d, 1H, J = 7.2 Hz), 5.8 (d, 1H, J = 7.2 Hz), 7.5-7.7 (m, 3H), 7.7 (m, 3H), 8.0 (dd, 2H, J = 1.35, 8.5 Hz), 8.2 (m, 2H), 8.4 (dd, 2H, J = 2.0, 8.1 Hz), 8.9 (dd, 1H, J = 1.7, 1.8 Hz); ^{13}C nmr (deuteriochloroform): δ 74.2, 83.2, 117.1, 124.1, 125.5, 126.1,126.8, 128.1, 128.6, 128.9, 129.4, 132.4, 133.1, 135.2, 136.9, 137.4,147.2, 149.1, 172.5, 197.1; *Anal.* Calcd. for $C_{22}H_{15}N_3O_6$ (417.1): C, 63.33; H, 3.63; N, 10.06. found: C, 63.50; H, 3.72; N, 9.87.

***trans*-2-Benzoyl-1-(2-furyl)-3-phenylaziridine (2g).** White solid, mp 119-122 °C; ir (potassium bromide): 3050, 2992, 1675, 1576, 1532, 1470, 1760, 756, 692 cm^{-1}; ^1H nmr (deuteriochloroform): δ 4.1 (d, 1H, J = 2.2 Hz), 4.2 (d, 1H, J = 2.2 Hz), 7.1 (dd, 1H, J = 3.6, 4.2 Hz), 7.2 (d, 1H, *J* = 4.2 Hz), 7.3 (m, 5H), 7.5 (m, 3H), 7.52 (d, 1H, J = 3.6 Hz), 7.9 (d, 2H, J = 7.8 Hz); ^{13}C nmr (deuteriochloroform): δ 45.8, 48.8, 111.6,113.5, 127.1, 128.0, 128.3, 128.6, 133.2, 136.5, 136.9 138.3, 146.2, 147.5, 169.1, 194.5; *Anal.* Calcd. for $C_{20}H_{15}NO_3$ (317.11): C, 75.75; H, 4.77; N, 4.41. found: C, 75.48; H, 4.51; N, 4.60.

***trans*-5-Benzoyl-2-(2-furyl)-4-phenyl-2-oxazoline (4g).** White solid, mp 111-113 °C; ir (potassium bromide): 5075, 2925, 1675, 1525, 1230, 757, 694 cm^{-1}; ^1H nmr (deuteriochloroform): δ 5.6 (d, 1H, J = 7.0 Hz), 5.8 (d, 1H, J = 7.0 Hz), 7.15 (d, 1H, J = 4.3 Hz), 7.18 (dd, 1H, J = 3.6, 4.3 Hz), 7.6 (m, 5H), 7.7 (dd, 1H, J = 7.4, 8.1 Hz), 7.8 (d, 1H , J = 3.6 Hz), 8.0 (d, 2H, J = 7.4 Hz), 8.27(d, 2H, J = 8.6 Hz); ^{13}C nmr (deuteriochloroform): δ 75.8, 85.8, 112.1, 115.5, 127.2, 128.4, 128.6, 128.9, 132.2, 134.5, 136.9 137.3, 147.2, 147.4, 160.1, 196.5; *Anal.* Calcd. for $C_{20}H_{15}NO_3$ (317.11): C, 75.75; H, 4.77; N, 4.41. found: C, 75.55; H, 4.62; N, 4.50.

***trans*-2-Benzoyl-1-cinnamoyl-3-(3-nitrophenyl)aziridine (2h).** White solid, mp 138-140 °C; ir (potassium bromide): 3062, 2958, 2931, 1667, 1025,1529, 1350, 1228, 975, 755, 666 cm^{-1}; ^1H nmr (deuteriochloroform): δ 4.1 (d, 1H, J = 2.1 Hz), 4.3 (d, 1H, J = 2.1 Hz), 6.5 (d, 1H, J = 15.9 Hz), 7.4 (m, 2H), 7.5 (m, 5H), 7.64 (dd, 1H, J = 7.1, 7.8 Hz), 7.7 (dd, 1H, J = 7.2, 7.7 Hz), 7.8 (d, 1H, J = 15.9 Hz), 7.85 (d, 1H , J = 7.6 Hz), 8.0 (d, 2H, J = 7.6 Hz), 8.2 (d, 1H, J = 8.1 Hz), 8.3 (s, 1H); ^{13}C nmr (deuteriochloroform): δ 44.0, 48.0, 119.0, 119.3, 123, 126, 12.4, 128.7, 128.8, 128.9, 129.5, 133, 133.2, 134.0, 135.2, 136.4, 144.0, 148.2, 166.0, 195.0; *Anal.* Calcd. for $C_{24}H_{18}N_2O_4$) 398.13(: C, 72.30; H, 4.51; N, 7.01. found: C, 72.50; H, 4.47; N, 7.20.

***trans*--5-Benzoyl-4-(3-nitrophenyl)-2-((E)-2-phenylethenyl) -2-oxazoline (4h).** Yellow solid, mp 117-119 °C; ir (potassium bromide): 3062, 2958, 2931, 1667, 1025, 1529, 1350, 1228, 975, 755, 666 cm^{-1}; ^1H nmr (deuteriochloroform): δ 5.6 (d, 1H, J = 6.8 Hz), 5.7 (d, 1H, J = 6.8 Hz), 7.3 (d, 1H, J = 15.9 Hz), 7.4 (m, 2H), 7.5 (m, 5H), 7.56 (dd, 1H, J = 7.2, 7.8 Hz), 7.6 (dd, 1H, J = 7.2, 7.6 Hz), 7.66 (d, 1H, J = 15.9 Hz), 7.7 (d, 1H, J = 7.6 Hz), 7.75 (d, 2H, J = 7.8 Hz), 7.8 (d, 1H, J = 8.2 Hz), 8.3 (s, 1H); ^{13}C nmr (deuteriochloroform): δ 75.0, 79.0, 120.0, 121.0, 123.0, 126.0, 126.6, 128.6, 128.7, 128.8, 129.5, 133.0, 133.2, 134.0, 135.2, 137.0, 144.0, 148.2, 167.0, 198.0; *Anal.* Calcd. for $C_{24}H_{18}N_2O_4$) 398.13(: C, 72.30, H; 4.51; N, 7.01. found: C, 72.15; H, 4.37; N, 7.25.

***trans*-1-(2-Chlorobenzoyl)-2-benzoyl-3-phenylaziridine (2i).** White solid, mp 139-140 °C; ir (potassium bromide): 3060, 2901, 1688, 1649, 1595, 1488, 1265, 1070, 760, 707, 695 cm^{-1}; ^1H nmr (deuteriochloroform): δ 4.1 (d, 1H, J = 2.4 Hz), 4.4 (d, 1H, J = 2.4 Hz), 7.3 (d, 2H, J = 8.1 Hz), 7.4-7.45 (m, 7H), 7.6 (dd, 1H, J = 1.0, 7.4 Hz), 7.9 (d, 2H, J = 8.1), 8.0 (d, 2H, J = 8.7 Hz); ^{13}C nmr (deuteriochloroform): δ 48.0, 49.2, 126.9, 128.7, 128.9, 129.2, 129.25, 129.35, 129.4, 130.2, 132.6, 134.5, 135.8, 136.5, 139.2,175.3, 191.9; *Anal.* Calcd. for $C_{22}H_{16}ClNO_2$ (361.09): C, 73.08; H, 4.47; N, 3.87. found: C, 73.10; H, 4.35; N, 3.65.

***trans*-5-Benzoyl-2-(2-chlorophenyl)-4-phenyl-2-oxazoline (4i).** Yellow solid, mp 125-127 °C,: ir (potassium bromide): 3060, 2900, 1690, 1649, 1595, 1489, 1448, 1250, 1072, 968, 763, 707, 690 cm^{-1}; ^1H nmr (deuteriochloroform): δ 5.5 (d, 1H, J = 6.6 Hz), 5.77 (d, 1H, J = 6.6 Hz), 7.3 (d, 2H, J = 6.9 Hz), 7.35 (d, 1H, J = 6.2 Hz), 7.4 (d, 2H, J = 7.15 Hz), 7.47 (d, 2H, J = 8.5 Hz), 7.5 (dd, 2H, J = 6.7, 7.8 Hz), 7.6 (dd, 1H, J = 7.2, 7.4 Hz), 7.9 (d, 2H, J = 7.2), 8.0 (d, 2H, J = 6.8); ^{13}C nmr (deuteriochloroform): δ 74.2, 87.2, 125.8, 127.4, 128.7, 129.2, 29.3, 129.4, 129.5, 130.5, 134.4, 134.6, 138.6, 141.2, 163.4, 194.6; *Anal.* Calcd. for $C_{22}H_{16}ClNO_2$ (361.09): C, 73.08; H, 4.47: N, 3.87. found: C,73.12; H, 4.40; N, 3.77.

***N*-((R)-2-Chloro-1,3-diphenyl-1-oxo-propan-2-yl)acetamide (3a).** White solid, mp 88-91°C; ir (potassium bromide): 3286, 3085, 2950, 1685, 1637, 1520, 1355, 757, 696 cm^{-1}; ^1H nmr (deuteriochloroform): δ 2.1 (s, 3H), 5.4 (d, 1H, J = 7.0 Hz), 6.2 (dd, 1H, J = 7.0, 8.8 Hz), 6.5 (d, 1H, J = 8.8 Hz), 7.8 (m, 3H), 7.5-7.6 (m, 5H), 8.1 (d, 2H, J = 7.2 Hz); ^{13}C nmr (deuteriochloroform): δ = 24.1, 128.7, 128.8, 129.2, 129.4, 130.1, 131.6, 132.1 133.2, 136.8, 138.0, 170.0, 195.0; *Anal.* Calcd. for $C_{17}H_{16}ClNO_2$ (301.77): C, 67.70; H, 5.30; N, 4.60. found: C, 67.50; H, 5.50; N, 4.71.

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