This thesis entitled "**Novel pyran β-amino acid, $\beta^{2,2}$-amino acid, synthetic studies on sporiolides and $ZrCl_4$ mediated 1,2,3-triazoles, their biological evaluation**" is divided into three chapters.

Chapter I: Synthesis of novel *cis*-pyran β-amino acid and $\beta^{2,2}$-amino acid

β-Amino acids are part structures of several natural products.[1] Based on the position of amino group, they are named as β^2-, β^3-, $\beta^{2,3}$-, $\beta^{3,3}$- and $\beta^{2,2}$-amino acids.[2] Since the appearance of the first reports on β-peptides,[3] large number of researchers world over have taken up active research, which culminated into the area of foldamers,[4] with greater diversity in conformational aspects compared to their natural counterparts. The synthesis of new amino acids is very important for the design of peptides with desired properties. Thus, two new β-amino acids, *viz*, a $\beta^{2,3}$-amino acid, *cis*-pyran β-amino acid and $\beta^{2,2}$-amino acid, *C*-linked carbo-$\beta^{2,2}$-amino acid, were prepared from carbohydrate derivatives.

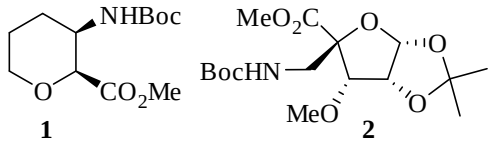
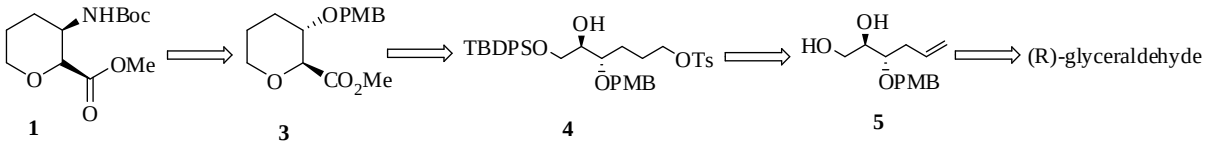


Figure 1. Structures of the new β-amino acid **1** and **2**

Section-A: Synthesis of novel *cis*-pyran β-amino acid (1) from (*R*)-glyceraldehyde

This section is dealt with the synthesis of new (2S,3R)-methyl 3-(tert.-butoxycarbonylamino)tetrahydro-2H-pyran-2-carboxylate (*cis*-APyC) **1**

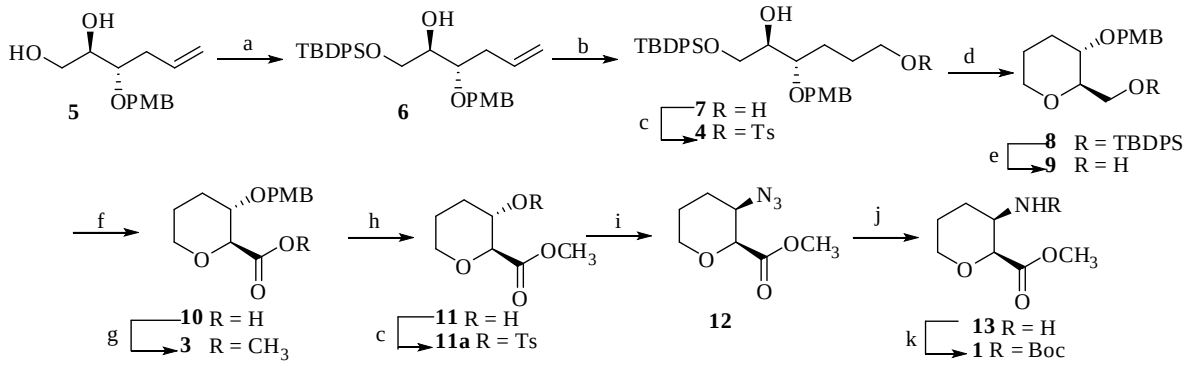
From the retroanalysis of **1**, it was envisaged that it could be made from ester **3** (Scheme 1). In turn **3** could be obtained from acyclic intermediate **4** by a simple SN^2 reaction, while, **4** could be realized from the olefin **5**. The *vic* triol derivative **5** was envisaged from (*R*)-glyceraldehyde derivative.



Scheme 1. Reterosynthesis of **1**

The synthesis of (*S*)-APyC **1** was initiated from the known diol **5**[5], prepared from 1, 2-*O*-isopropylidine-(*R*)-glyceraldehyde. Accordingly, treatment of **5** with TBDPSCl in the presence of imidazole and Bu_2SnO in CH_2Cl_2 for 2 h gave ether **6** in 85% yield (Scheme 2). Hydroboration of olefin **6** with BH_3.DMS, cyclohexene in THF at 0 ^oC for 7 h a furnished the

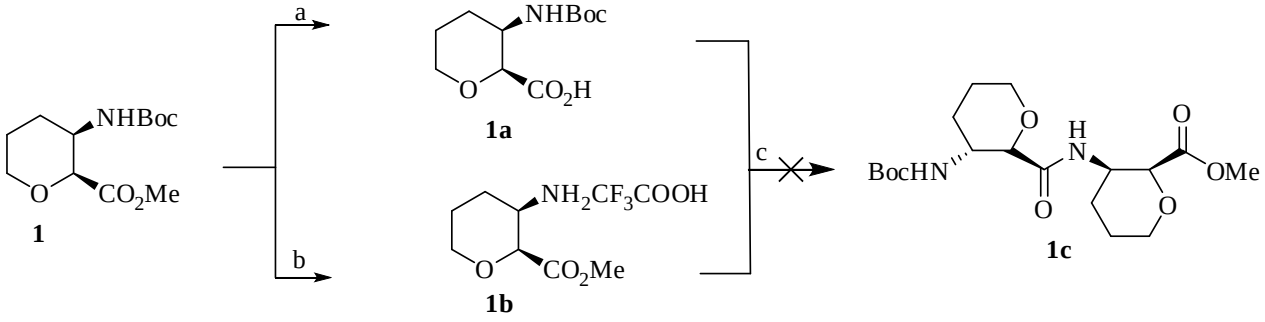
alcohol **7** in 78% yield. Reaction of alcohol **7** with *p*-TsCl and Et_3N in CH_2Cl_2 at 0 °C afforded the tosylate **4**, which on ring closure by SN^2 mode using K_2CO_3 in MeOH at 0 °C gave **8** in 67% yield. Compound **8** on reaction with TBAF in THF underwent desilylation and furnished the alcohol **9** (83%), which on oxidation with TEMPO and BIAB in 1:1 aq. CH_2Cl_2 afforded the acid **10** in 76% yield. Acid **10** on reaction with *in situ* generated CH_2N_2 in ether at 0 °C for 30 min gave the ester **3** in 89% yield.



Scheme 2. *Reagents and conditions*: a) TBDPS-Cl, imidazole, *n*-Bu_2SnO, CH_2Cl_2, 0 °C-rt, 2 h; b) BH_3.DMS, Cyclohexene, THF, 10% NaOH, 30% aq H_2O_2, 0 °C-rt, 7 h; c) *p* -TsCl, Et_3N, CH_2Cl_2, 0 °C-rt, 2 h; d) K_2CO_3, MeOH, 0 °C-rt, 2 h; e) TBAF, THF, 0 °C-rt, 2 h; f) TEMPO, BIAB, CH_2Cl_2:H_2O (1:1), 0 °C-rt, 2 h; g) CH_2N_2, Et_2O, 0 °C-rt, 30 min; h) DDQ, CH_2Cl_2 :H_2O (19:1), 0 °C-rt, 2 h; i) NaN_3, DMF, 70 °C, 3 h; j) 10% Pd/C-H_2, MeOH, rt, 4 h; k) $(Boc)_2O$, Et_3N, CH_2Cl_2, 0 °C-rt, 5 h.

After the construction of the pyran ring and introduction of the ester group, next it was planned to introduce the amine group. Accordingly, ether **3** on reaction with DDQ in CH_2Cl_2:H_2O (19:1) underwent oxidative removal of PMB group to give alcohol **11** in 86% yield. Treatment of alcohol **11** with *p*-TsCl and Et_3N in CH_2Cl_2 afforded the tosylate **11a** (Scheme 2), which on reaction with NaN_3 in DMF at 70 °C for 3 h furnished azide **12** in 85% yield. Finally, reduction of azide **12** with 10% Pd-C in methanol under hydrogen atmosphere gave the amine **13**, which on further treatment with $(Boc)_2O$ and Et_3N in CH_2Cl_2 afforded **1** in 85% yield.

Ester **1** was subjected to base (4N NaOH) hydrolysis in MeOH to gave the acid **1a**, while, **1** on reaction with CF_3COOH in CH_2Cl_2 afforded the salt **1b** (Scheme 3).



Scheme 3. *Reagents and conditions*: a) aq. 4N NaOH, MeOH, 0 °C-rt, 1 h; b) CF_3COOH, CH_2Cl_2, 0 °C-rt, 2 h; c) HOBt, EDCI, DIPEA, CH_2Cl_2, 0 °C-rt, 6 h.

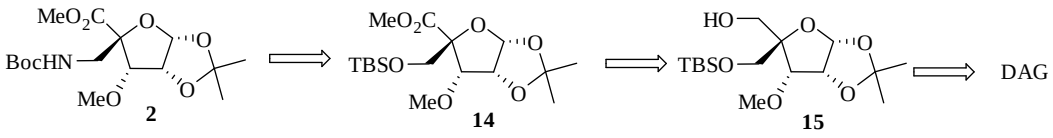
Acid **1a** was subjected to peptide coupling[6] (EDCI, HOBt, DIPEA) with **1b** in CH_2Cl_2, which, however met with failure to give the expected dipeptide **1c**.

Section-B: Synthesis of *C*-linked carbo-β^{2,2}-amino acid (2) from diacetone glucose

*This section is dealt with the design and synthesis of C-linked carbo-β^{2,2}-amino acid **2** from diacetone glucose.*

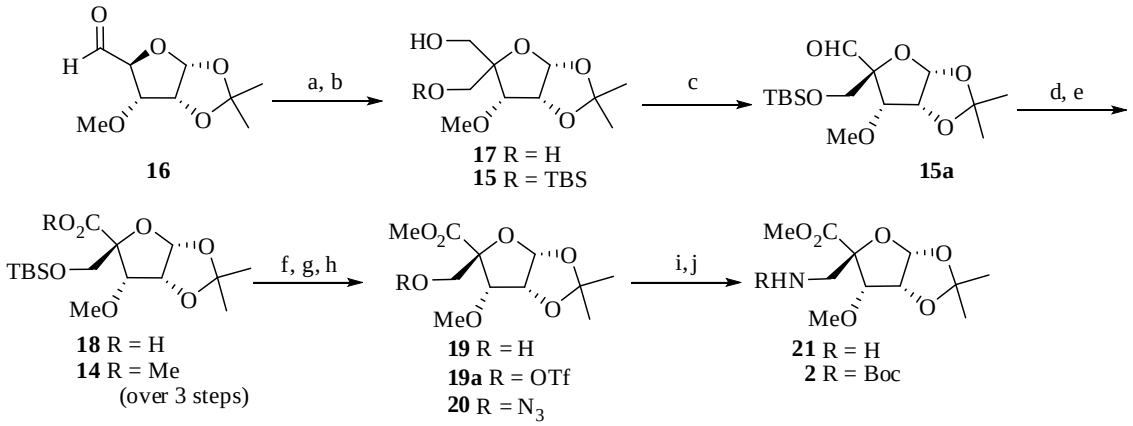
The oligomers of α,α-disubstituted α-amino acids[7] take well defined structures and are known to display enzyme inhibitory activity.[8] Very few reports are available on the peptides consisting of the geminally disubstituted β^{2,2}- and β^{3,3}-amino acids.[9-12] Thus, it was proposed to prepare a new β^{2,2}-amino acid based on carbohydrates to understand the effect of the side chain.[13]

From the retrosynthetic analysis of **2** (Scheme 4), it was envisaged that **2** could be obtained from ester **14**. In turn, ester **14** was proposed from alcohol **15**, wherein creation of quaternary carbon atom in **15** is challenging and could be realized from DAG (Scheme 4).



Scheme 4. Retrosynthesis of **2**

The Boc-(*S*)-β^{2,2}-Caa-OMe (**2**) was prepared from the known aldehyde **16**[14] prepared from diacetone glucose (DAG). Accordingly, aldehyde **16** on reaction with 98% formaldehyde[15] and 1N NaOH in aq. THF (1:1) at 0 °C to room temperature for 16 h gave the 1,3-diol **17** in 58% yield (Scheme 5).

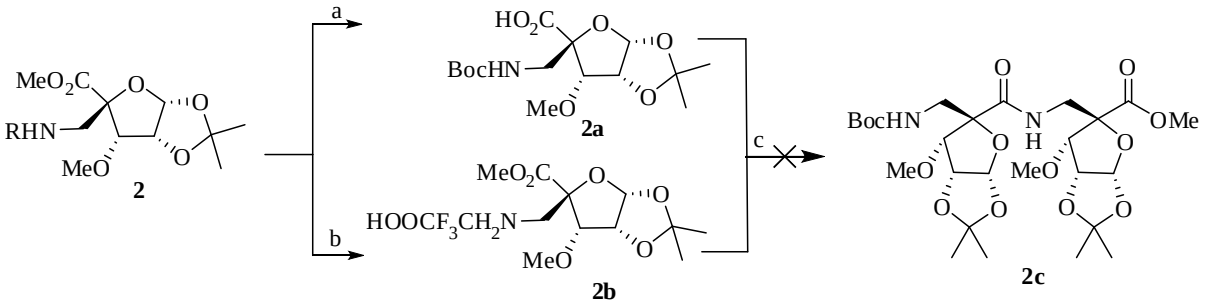


Scheme 5. *Reagents and conditions*: a) 98% HCHO, 1:1 THF:H_2O, 1 N NaOH, 0 °C-rt, 16 h; b) TBS-Cl, imidazole, *n*-Bu_2SnO, CH_2Cl_2, -20 °C, 1 h; c) IBX, EtOAc, DMSO, reflux, 1 h; d) $NaClO_2$, 30% H_2O_2, *t*-BuOH:H_2O (7:3), 0 °C-rt, 5 h; e) CH_2N_2, ether, 0 °C-rt, 2 h; f) TBAF, THF, 0 °C-rt, 3 h; g) Tf_2O, pyridine, CH_2Cl_2, 0 °C-rt, 30 min; h) NaN_3, DMF, 0 °C-rt, 3 h; i) 10% Pd-C, H_2, MeOH, rt, 4 h; j) $(Boc)_2O$, Et_3N, CH_2Cl_2, 0 °C-rt, 5 h.

Selective protection of the diol **17** with TBSCl, imidazole and *n*-Bu_2SnO at -20 ^oC for 1 h furnished **15** (74%). Alcohol **15** on oxidation with IBX in EtOAc at reflux for 1 h afforded aldehyde **15a**, which on further reaction with $NaClO_2$ and H_2O_2 0 ^oC to room temperature for 5 h gave the acid **18**. Reaction of **18** with CH_2N_2 at 0 ^oC to room temperature for 2 h furnished ester **14** in 59% yield (over 3 steps).

Treatment of **14** with TBAF in THF at 0 ^oC to room temperature for 3 h effected desilylation and furnished alcohol **19** in 89% yield. Reaction of **19** with Tf_2O and pyridine in CH_2Cl_2 at at 0 ^oC to room temperature for 30 min gave the triflate **19a**, which on subsequent treatment with NaN_3 in DMF at 0 ^oC to room temperature for 3 h furnished azide **20** (76%). Finally, reaction of azide **20** with 10% Pd-C and H_2 in MeOH at room temperature for 4 h afforded the amine **21**, which on further reaction with $(Boc)_2O$ and Et_3N in CH_2Cl_2 gave Boc-(*R*)-$\beta^{2,2}$-Caa-OMe **2** in 85% yield.

Ester **2** was subjected to base (4N NaOH) hydrolysis in MeOH to afford the acid **2a**, while, reaction with CF_3COOH in CH_2Cl_2 afforded the salt **2b** (Scheme 6).



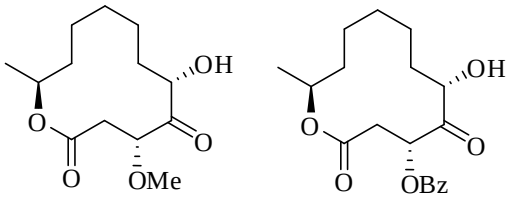
Scheme 6. *Reagents and conditions*: a) aq. 4N NaOH, MeOH, 0 ^oC-rt, 1 h; b) CF_3COOH, CH_2Cl_2, 0 ^oC-rt, 2 h; c) HOBt, EDCI, DIPEA, CH_2Cl_2, 0 ^oC-rt, 6 h.

Acid **2a** was subjected to peptide coupling[6] (EDCI, HOBt, DIPEA) with **2b** in CH_2Cl_2, which, however met with failure to give the expected dipeptide (**2c**). The thus observed result was attributed to the sugar pucker and presence of 1,2-acetonide, C-3-OMe and $–CH_2NHBoc$ functionality from the same side and the steric congestion due to 1,2-acetonide.

Chapter II: Synthesis of sporiolide B and attempted synthesis of sporiolide A from epichlorohydrin

This chapter is dealt with the synthesis of sporiolide B (**22**) *and attempted synthesis of sporiolide A* (**23**) *from epichlorohydrin.*

Sporiolide B **22** and sporiolide A **23** are 12-membered marine[16] macrolactones isolated from the cultured fungal broth of *Cladosporium sp*. of an Okinawan brown alga *Actinotrichia fragilis* and the Red sea sponge *Niphates rowi*, respectively. They were found to exhibit cytotoxicity against L1210 cells with IC_{50} values of 0.13 and 0.81 μg/mL, respectively. Further assays revealed that sporiolide A **23** exhibits antifungal activity and antibacterial activity, while sporiolide B **22** had antibacterial activity.



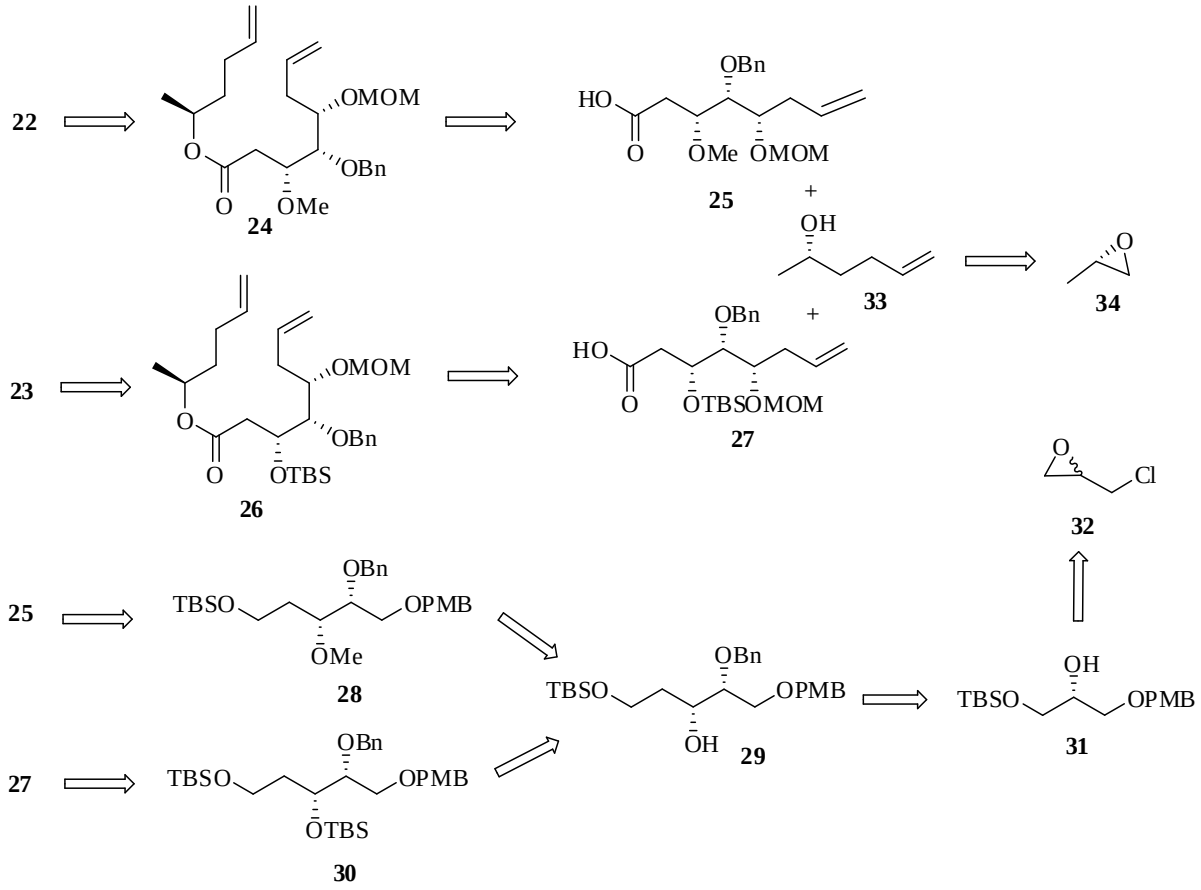
Sporiolide B **22** Sporiolide A **23**

Figure 2

As shown in Scheme 7, the retrosynthetic analysis of macrolactone **22** revealed that it could be obtained from bis-olefin **24** and macrolactone **23** from bis-olefin **26**, the late stage intermediates, by RCM protocol.

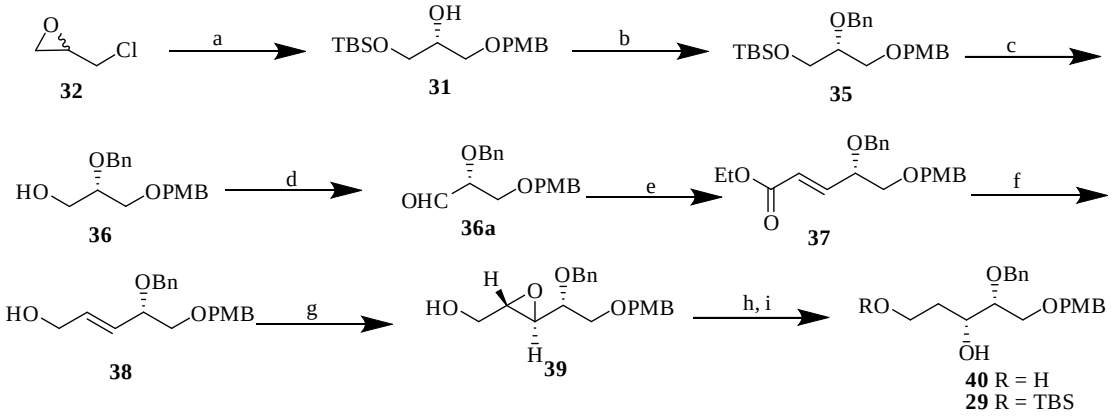
Bis-olefin **24** in turn could be realized by esterfication of acid **25** with alcohol **33** and bis-olefin **26** from acid **27** and **33**. In turn, **33** could be achived from (*S*)-propylene epoxide **34**. Acids **25** and **27** could be derived from **28** and **30** respectively, while both of them could be made from **29**. Alcohol **29** could be realized from the known alcohol **31**, which can be derived from epichlorohydrin **32**.

Accordingly, reaction of the known alcohol **31**[17] (prepared from **32)**, with BnBr and NaH in THF at 0 °C to room temperature for 6 h afforded the ether **35** in 85% yield. Desilylation of **35** with TBAF in THF for 1 h gave **36** in 85% yield. Swern oxidation of **36** and subsequent Wittig olefination of **36a** afforded the ester **3**7 (87%). DIBAL-H reduction of **37** in CH_2Cl_2 at 0 °C for 2 h furnished the allylic alcohol **38** in 86% yield, which on Sharpless epoxidation[18] [(+)-DIPT, $Ti(O^iPr)_4$ and cumene hydroperoxide] in CH_2Cl_2 for 5 h afforded **39** in 85% yield.



Scheme 7. Retrosynthesis of sporiolide B and sporiolide A

Epoxide **39** on opening with Red-Al in dry THF for 3 h gave **40** (85%), which on treatment with TBSCl and imidazole in CH_2Cl_2 for 2 h furnished **29** (90%), which is a commom intermediate for both **22** and **23**.



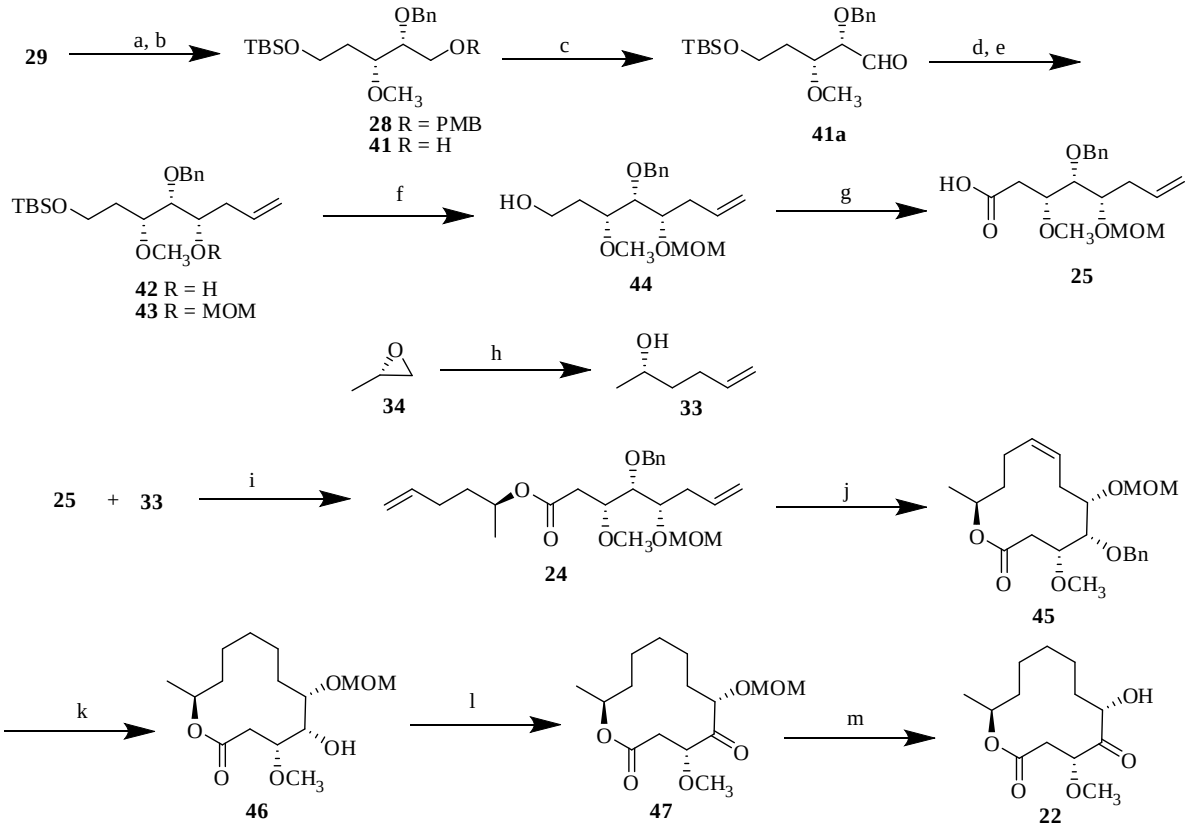
Scheme 8. *Reagents and conditions:* a) ref. 17; b) Benzyl bromide, NaH, THF, 0 °C to rt, 6h; c) TBAF, THF, 0 °C to rt, 1 h; d) $(COCl)_2$, DMSO, Et_3N, CH_2Cl_2, -78 °C, 2 h; e) Ph_3P=CHCOOEt, Benzene, reflux, 2 h; f) DIBAL-H, CH_2Cl_2, 0 °C to rt, 2 h; g) (+)-DIPT, $Ti(OiPr)_4$, Cumene hydroperoxide, CH_2Cl_2, -20 °C, 5 h; h) Red-Al, dry THF, 0 °C to rt, 3 h; i) TBS-Cl, Imidazole, *n*-Bu_2SnO, CH_2Cl_2, 0 °C to rt, 2 h.

For the synthesis of Sporiolide B, **29** was subjected to reaction with methyl iodide and NaH in THF at 0 °C to room temperature for 4 h to afford **28** in 85% yield. Oxidative

deprotection of PMB ether in **28** with DDQ in aq. CH_2Cl_2 at 0 ^oC to room temperature for 1 h gave **41** (87%), which on Swern oxidation followed by 1,2-syn allylation[19] of aldehyde **41a** with allyltributyltin and $MgBr_2.Et_2O$ in CH_2Cl_2 at -78 °C for 4 h furnished the allylic alcohol **42** in 79% yield. Reaction of **42** with MOMCl and DIPEA in CH_2Cl_2 at 0 ^oC to room temperature for 6 h gave the MOM ether **43** in 86% yield. Desilylation of **43** with TBAF in THF at 0 ^oC to room temperature for 1 h afforded **44** in 89% yield, which on oxidation with TEMPO and BAIB in aq. CH_2Cl_2 (1:1) for 2 h furnished the acid **25** in 81% yield.

Likewise, alcohol **33** was prepared from the known epoxide **34**.[20] Accordingly treatment of **34** with allylmagnesium chloride in ether at -78 °C gave alcohol **33**.

Esterification of acid **25** with alcohol **33** under Yamaguchi reaction conditions[21] in the presence of 2,4,6-trichlorobenzoyl chloride, Et_3N followed by DMAP in toluene for 1 h afforded bis-olefin **24** in 87% yield.



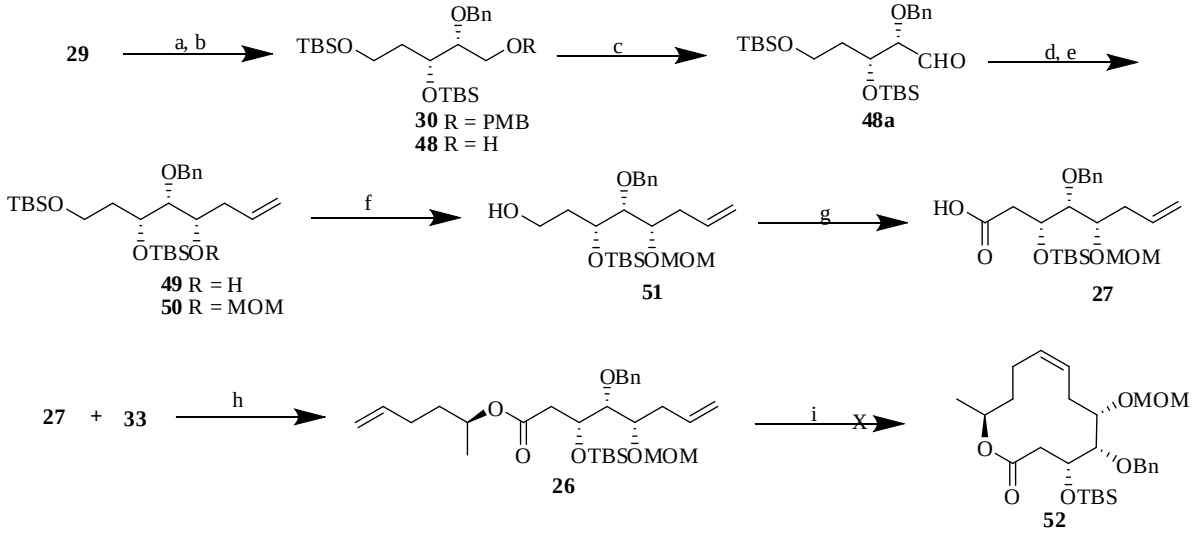
Scheme 9, *Reagents and conditions*: a) CH_3I, NaH, THF, 0 ^oC to rt, 4 h; b) DDQ, aq. CH_2Cl_2, 1 h; c) $(COCl)_2$, DMSO, Et_3N, CH_2Cl_2, -78 ^oC, 2 h; d) Allyltributyltin, $MgBr_2$. Et_2O, THF, -78 ^oC, 4h; e) MOM-Cl, DIPEA, CH_2Cl_2, 0 ^oC to rt, 6 h; f) TBAF, THF, 0 ^oC to rt, 1 h; g) TEMPO, BIAB, CH_2Cl_2 : H_2O (19:1), 0 ^oC to rt, 2 h; h) Mg, allyl chloride, di ethyl ether, -78 ^oC, 2 h; i) 2,4,6-trichlorobenzoyl chloride, Et_3N, THF, DMAP, toluene, rt, 1 h; j) Grubb's second generation catalyst, CH_2Cl_2, reflux, 6 h; k) H_2, 10% Pd-C, MeOH, rt, 12 h; l) Dess martine periodinane, CH_2Cl_2, 0 ^oC to rt, 4 h; m) 10% aq. HCl, THF, 0 ^oC to rt, 5 h.

RCM reaction of ester **24** with Grubb's[22] second generation catalyst in CH_2Cl_2 at reflux for 6 h furnished a lactone **45** in 76% yield. Hydrogenation of **45** with 10% Pd-C in MeOH

for 12 h afforded **46** in 77% yield, by concomitant debenzylation followed by double bond reduction.

Oxidation of **46** with Dess-Martin periodinane[23] in anhydrous CH_2Cl_2 at 0 ^oC to room temperature for 4 h furnished ketone **47** in 80% yield. Finally, deprotection of MOM ether with 10% HCl in THF for 5 h afforded sporiolide B **22** in 84% yield, whose spectral and optical rotation data were comparable with the data reported in the literature.[24]

For the synthesis of sporiolide A, diol **29** was subjected to reaction with TBSCl in the presence of imidazole in CH_2Cl_2 to give TBS ether **30** in 84% yield. Reaction of ether **30** with DDQ in aq. CH_2Cl_2 at 0 ^oC to room temperature for 1 h afforded **48** in 79% yield, Swern oxidation of **48** furnished the aldehyde **48a**, which on syn-allylation[19] with allyltributyltin and $MgBr_2.Et_2O$ in CH_2Cl_2 at -78 °C for 4 h gave **49** in 76% yield. Reaction of **49** with MOMCl and DIPEA in CH_2Cl_2 at 0 ^oC to room temperature for 6 h furnished the MOM ether **50** in 76% yield. Desilylation of **50** with PPTS in MeOH at 0 ^oC to room temperature for 1 h gave **51** (78%), which on oxidation with TEMPO and BAIB in aq. CH_2Cl_2 (1:1) for 2 h furnished the acid **27** in 72% yield.



Scheme 10. *Reagents and conditions*: a) TBS-Cl, Imidazole, CH_2Cl_2, 0 ºC to rt, 2 h; b) DDQ, aq. CH_2Cl_2, 1 h; c) $(COCl)_2$, DMSO, Et_3N, CH_2Cl_2, -78 ºC, 2 h; d) Allyltributyltin, $MgBr_2$. Et_2O, THF, -78 ºC, 4h; e) MOM-Cl, DIPEA, CH_2Cl_2, 0 ºC to rt, 6 h; f) PPTS, MeOH, 0 ºC to rt, 1 h; g) TEMPO, BIAB, CH_2Cl_2 : H_2O (19:1), 0 ºC to rt, 2 h; h) 2,4,6-trichlorobenzoyl chloride, Et_3N, THF, DMAP, toluene, rt, 1 h; i) Grubb's second generation catalyst, CH_2Cl_2, reflux, 6 h.

Acid **27** on esterification with alcohol **33** under Yamaguchi reaction conditions[21] in the presence of 2,4,6-trichlorobenzoyl chloride, and Et_3N followed by DMAP in toluene for

1 h afforded bis-olefin **26** in 70% yield. Finally, attempted RCM reaction of ester **26** with Grubb's-II catalyst[22] under several reaction conditions met with failure to give macrolactone **52**.

Chapter III: $ZrCl_4$ mediated synthesis of 1,2,3-triazoles and their biological evalution

This chapter is dealt with the synthesis of 1,2,3- triazoles from vinyl nitrates in the presence of $ZrCl_4$ as a catalyst and their biological evaluation.

1,2,3-Triazoles are important heterocycles, which are widely used in pharmaceuticals and agrochemicals. Synthesis of triazoles attracted attention due to their biological activities, besides the ease of their properties. In continuation of our studies on the conversion of benzylic carbinols into azides in the presence of $ZrCl_4$,[25,26] we proposed to synthesize 1, 2-azido nitrates (**B**) from 1, 2-nitro alcohols (**A**).

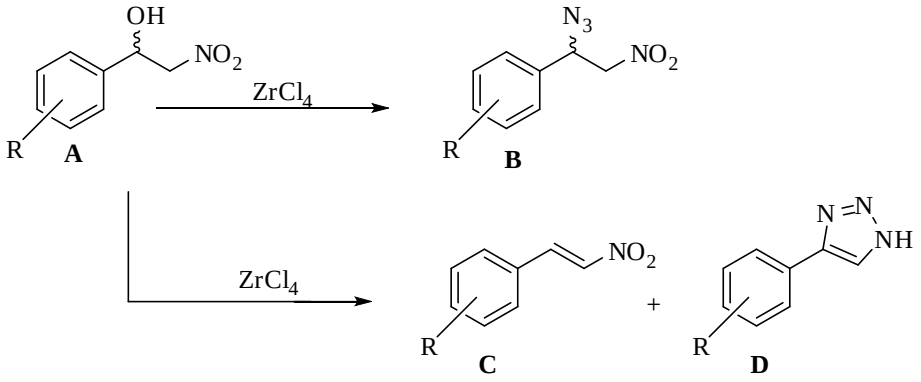
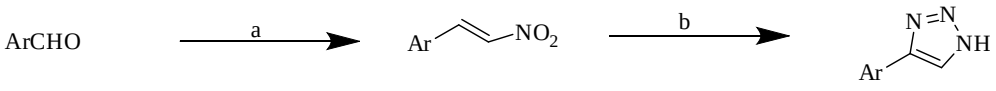


Figure 3

However, **A** gave **C** along with traces of **D**. During the progress of this work a reference[27] for the conversion of **C** into **D** by PTSA in DMF at 60 ^oC appeared in literature Encouraged by the results, we have embarked on the synthesis of **D** from **C**.



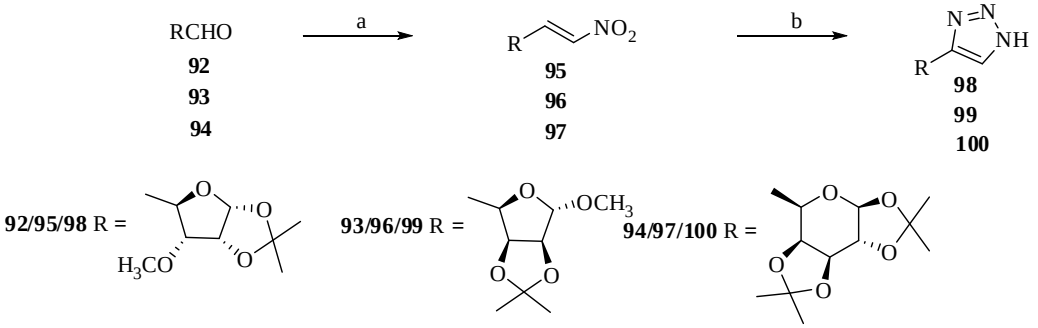
53 Ar = 3-OBn-4-OMe-Ph
54 Ar = 4-OMe-Ph
55 Ar = 2,4 di-OMe-Ph
56 Ar = 2,3,4 tri-OMe-Ph
57 Ar = 3,4,5 tri-OMe-Ph
58 Ar = 3-OMe-4-OBn-Ph
59 Ar = 3,4 di-OMe-Ph
60 Ar = 3,4 di-Cl-Ph
61 Ar = 2-CN-Ph
62 Ar = 1-naphthalenyl-Ph
63 Ar = 9-anthracenyl-Ph
64 Ar = 4-pyrenyl-Ph
65 Ar = 4-methylthiazole-5-yl-Ph

66 Ar = 3-OBn-4-OMe-Ph
67 Ar = 4-OMe-Ph
68 Ar = 2,4 di-OMe-Ph
69 Ar = 2,3,4 tri-OMe-Ph
70 Ar = 3,4,5 tri-OMe-Ph
71 Ar = 3-OMe-4-OBn-Ph
72 Ar = 3,4 di-OMe-Ph
73 Ar = 3,4 di-Cl-Ph
74 Ar = 2-CN-Ph
75 Ar = 1-naphthalenyl-Ph
76 Ar = 9-anthracenyl-Ph
77 Ar = 4-pyrenyl-Ph
78 Ar = 4-methylthiazole-5-yl-Ph

79 Ar = 3-OBn-4-OMe-Ph
80 Ar = 4-OMe-Ph
81 Ar = 2,4 di-OMe-Ph
82 Ar = 2,3,4 tri-OMe-Ph
83 Ar = 3,4,5 tri-OMe-Ph
84 Ar = 3-OMe-4-OBn-Ph
85 Ar = 3,4 di-OMe-Ph
86 Ar = 3,4 di-Cl-Ph
87 Ar = 2-CN-Ph
88 Ar = 1-naphthalenyl-Ph
89 Ar = 9-anthracenyl-Ph
90 Ar = 4-pyrenyl-Ph
91 Ar = 4-methylthiazole-5-yl-Ph

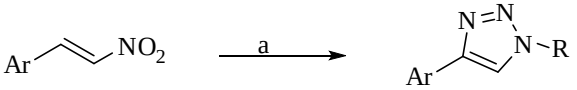
Scheme 11. *Reagents and conditions*: a) $ZrCl_4$, CH_3NO_2, 0^{o}C-rt, 3-4 h; b) $ZrCl_4$, NaN_3, CH_3NO_2, 18-24 h.

Accordingly, diverse aldehydes **53-65** on reaction with CH_3NO_2 in the presence of $ZrCl_4$ were converted into corresponding vinyl nitriles **66-78** (Scheme 11). Likewise, reaction of aldehydes **92-94** (Schemes 12) with CH_3NO_2 in the presence of $ZrCl_4$ at 0 ^oC to room temperature for 3-4 h gave the vinyl nitrates **95-97**.



Scheme 12. *Reagents and conditions*; a) $ZrCl_4$, CH_3NO_2, 0 ^oC-rt, 3-4 h; b) $ZrCl_4$, NaN_3, CH_3NO_2, 0 ^oC-rt, 18-24 h

Zr-catalyzed reaction of the aromatic vinyl nitrates **66-78** independently with NaN_3 in CH_3NO_2 at room temperature for 18-24 h afforded **79-91** respectively (Scheme 11). Likewise, vinyl nitrates **95-97** (Scheme 12) independently on reaction with $ZrCl_4$ and NaN_3 in CH_3NO_2 gave **98-100** respectively. Further, $ZrCl_4$ catalyzed reactions of vinyl nitrate with benzyl and PMB azides in CH_3NO_2 in the presence of $ZrCl_4$ at room temperature furnished the respective azides (Scheme 13).



68 Ar = 2,4 di-OMe-Ph	**101** Ar = 2,4 di-OMe-Ph R = Bn
71 Ar = 3-OMe-4-OBn-Ph	**102** Ar = 3-OMe-4-OBn-Ph R = Bn
75 Ar = 1-naphthalenyl-Ph	**103** Ar = 1-naphthalenyl-Ph R = Bn
67 Ar = 2, 6 di-Cl-Ph	**104** Ar = 2, 6 di-Cl-Ph R = PMB
69 Ar = 2, 3, 4 tri-OMe-Ph	**105** Ar = 2, 3, 4 tri-OMe-Ph R = PMB
71 Ar = 3-OMe-4-OBn-Ph	**106** Ar = 3-OMe-4-OBn-Ph R = PMB
77 Ar = 4-pyrenyl-Ph	**107** Ar = 4-pyrenyl-Ph R = PMB
93 Ar = Man-OMe	**108** Ar = Man-Ome R = PMB

Scheme 13. *Reagents and conditions*; a) $ZrCl_4$, NaN_3, Benzyl azide, 0 ^oC-rt, 18-24 h

The vinyl nitrates **67**, **69**, **71**, **77** and **93** reacted with *p*-methoxybenzyl azide in the presence of and $ZrCl_4$ in nitromethane at room temperature gave **104-108** respectively (Scheme 13).

The novel synthetic triazoles were tested for their anti-cancer activity against *DU145*, *A549*, *HEpG2* and *Mcf7* cell lines, and found to be not promising. However, the triazoles have shown moderate to weak anti-bacterial activity against *B. subtilis*, *P. aeruginosa*, *S. typhi* and *S. aureus*.

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