

CONTENTS

ABBREVIATIONS	I
ABSTRACT	II
PUBLICATIONS	X

CHAPTER I INTRODUCTION

1.1. Methods of preparation of 1,2-amino alcohols	1
1.2. Importance of vicinal amino alcohols	5
1.2.1. Naturally occurring molecules	6
1.2.2. Synthetic pharmacologically active molecules	10
1.3. Arylethanolamines	11
1.4. Use of amino alcohols as chiral auxiliaries	14
1.4.1. Acyclic 1, 2-amino alcohol derivatives	15
1.4.2. Cyclic derivatives as auxiliaries	16
a. Oxazolidines	16
b. Proline derivatives	18
c. Oxazolidinones	20
d. Oxazolines	21
1.5. Synthesis & resolution of (<i>R</i>) & (<i>S</i>)-2-Amino-1-butanol	22
1.6 Reactions of 2-Amino-1-butanols	26
1.7 References	33

CHAPTER II
SYNTHESIS OF OXAZOLO [2, 3-a] ISOINDOL-5-ONES

2.1 Introduction	37
2.1.1 Importance of Isoindolinone Ring System	38
2.1.2 Methods for synthesis of oxazolo [2, 3-a].isoindol-5-ones	41
2.2 Results and Discussion	48
2.3 Experimental	58
2.3.1 Synthesis of Phenyl and substituted phenyl oxazolo [2, 3-a] isoindolinones (By Grignard Reaction)	59
2.3.2 Synthesis of substituted phenyl oxazolo [2, 3-a] isoindolinones (By cyclodehydration method)	71
2.3.3 Synthesis of alkoxy substituted oxazolo[2,3-a] isoindolinones	78
2.3.3a Synthesis of 2-(1-Bromomethylpropyl) isoindol-1, 3-dione	78
2.3.3b Synthesis of 9-Alkoxy-3-ethyl-2, 3, 5,9b tetrahydro [1, 3] Oxazolo[2,3-a]isoindol-5-one	78
2.4 References	85

CHAPTER III
SYNTHESIS OF AZETIDINONES USING (*R*) & (*S*)-2-AMINO-1-BUTANOLS

3.1 Introduction	88
3.1.1 General methods for synthesis of azetidinones	88
3.1.2 Chemical transformations of azetidinones	94
3.2 Results and Discussion	96
3.3 Experimental	103
Section I	
3.3.1 Synthesis of (<i>R</i>)-2-(1-hydroxymethylpropyl) isoindol-1, 3-dione	103
3.3.2 Synthesis of (<i>R</i>)-2-(1-tetrahydropyran-2-yloxymethylpropyl) isoindol-1, 3-dione	104
3.3.3 Synthesis of (<i>R</i>)-1-(tetrahydropyran-2-yloxymethylpropyl) amine	104
3.3.4 Synthesis of O-THP azetidinone derivatives	105
Section II	
3.3.5 Synthesis of (<i>R</i>) & (<i>S</i>)-O-Benzyl-2-Amino-1-butanol	105
3.3.6 Synthesis of O-Benzyl 2-azetidinone derivatives	106
3.4 References	122

CHAPTER IV
SYNTHESIS OF 4-THIAZOLIDINONES USING (*R*) & (*S*)-2-AMINO-1-BUTANOLS

4.1 Introduction	124
4.1.1 Methods of preparation of 4-Thiazolidinones	124
4.1.2 Reactions of 4-Thiazolidinones	127

4.2 Results and Discussion	129
4.3 Experimental	134
4.3.1 Chiral imines from (<i>R</i>) & (<i>S</i>)-2-Amino-1-butanols	134
4.3.2 Synthesis of 4-thiazolidinones	135
4.4 References	146

CHAPTER V

SYNTHESIS OF (*R*) & (*S*)-N-PHTHALOYL PROTECTED 2-AMINO BUTANALS AND THEIR WITTIG REACTIONS

5.1 Introduction	148
5.1.1 Properties of N-protected α -amino aldehydes	149
5.1.2 Preparative methods of N-protected α -amino aldehydes	151
5.1.3 Reactions of N-protected α -amino aldehydes	153
5.2 Results and Discussion	159
5.3 Experimental	162
5.3.1 Synthesis of (<i>R</i>) & (<i>S</i>)-2-(1, 3-Dioxo-1, 3-dihydro-isoindol-2-yl) butyraldehyde	162
5.3.2 Synthesis of Carbethoxy or Carbmethoxy triphenyl phosphorane	163
5.3.3 Synthesis of α -Allyl methylenedene triphenyl phosphorane	163
5.3.4 Wittig reactions of (<i>R</i>) & (<i>S</i>)-2-(1, 3-Dioxo-1, 3-dihydro-isoindolyl) butyraldehyde	164
5.4 References	173