CONTENTS

ACKNOWLEDGEMENTS

PREFACE

LIST OF FIGURES AND TABLES

LIST OF ABBREVIATION

CHAPTER 1  INTRODUCTION

1.1 Biological activities of some N-containing heterocycles: A literature review

1.2 Cysteine proteases: Introduction, Mechanism of action, significance and cysteine protease inhibitors

1.3 Phosphatases: Introduction, Mechanism of action, significance and phosphatase inhibitors

CHAPTER 2  OBJECTIVES AND SCOPE

2.1 Synthesis of different classes of N-containing heterocyclic compounds

2.2 Isolation, separation and purification of lysosomal cysteine proteases cathepsin B and cathepsin H

2.3 Study of effect of title compounds on purified cathepsins B and H

2.4 Isolation and separation of acid and alkaline phosphatases

2.5 Study of effect of title compounds on acid and alkaline phosphatases

CHAPTER 3  EXPERIMENTAL

3.1 Materials and Methods

3.2 Synthetic studies

3.2.1 Hydrazones of chalcones and their cyclized heterocyclic derivatives i.e., pyrazolines and pyrazoles

3.2.2 Hydrazones, semicabazones and thiosemicarbazones of 1H-pyrazole-4-carbaldehydes

3.2.3 2,3-Dihydroquinazolin-4(1H)-one derivatives
3.2.4 Bischalcones and their quinazoline-2(1H)-one and quinazoline-2(1H)-thione derivatives
3.2.5 Acyl hydrazides and 1,2,4-triazole derivatives

3.3 Enzymatic Studies
3.3.1 Proteolytic studies on endogenous protein substrates
3.3.2 Enzyme assays
3.3.3 Enzyme purification
3.3.4 Inhibition studies of synthesized compounds on cathepsins B and H
3.3.5 Kinetic studies of synthesized compounds on cathepsins B and H
3.3.6 Drug modeling studies
3.3.7 Inhibition studies of synthesized compounds on acid and alkaline phosphatases

CHAPTER 4 RESULTS AND DISCUSSION 111-198
4.1 Hydrazones of chalcones and their cyclized heterocyclic derivatives i.e., pyrazolines and pyrazoles
4.1.1 Proteolytic studies on endogenous protein substrates
4.1.2 Effect on cathepsin B and cathepsin H
4.1.3 Effect on acid phosphatase and alkaline phosphatase
4.2 Hydrazones, semicabazones and thiosemicarbazones of 1H-pyrazole-4-carbaldehydes
4.2.1 Proteolytic studies on endogenous protein substrates
4.2.2 Effect on cathepsin B and cathepsin H
4.2.3 Effect on acid phosphatase and alkaline phosphatase
4.3 2,3-Dihydroquinazolin-4(1H)-one derivatives
4.3.1 Proteolytic studies on endogenous protein substrates
4.3.2 Effect on cathepsin B and cathepsin H
4.3.3 Effect on acid phosphatase and alkaline phosphatase
4.4 Bischalcones and their quinazoline-2(1H)-one and quinazoline-2(1H)-thione derivatives
4.4.1 Proteolytic studies on endogenous protein substrates
4.4.2 Effect on cathepsin B and cathepsin H
4.4.3 Effect on acid phosphatase and alkaline phosphatase

4.5 Acyl hydrazides and 1,2,4-triazole derivatives

4.5.1 Proteolytic studies on endogenous protein substrates

4.5.2 Effect on cathepsin B and cathepsin H

4.5.3 Effect on acid phosphatase and alkaline phosphatase

CHAPTER 5  SUMMARY  199-209

REFERENCES  210-244

LIST OF PUBLICATIONS