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DECLARATION

I hereby declare that the thesis entitled “**1, 3-Dipolar cycloaddition reaction on carbohydrate template: A stereoselective synthesis of glycospiroheterocycles and its biological significance**” submitted to the University of Madras in **November 2013**, in partial fulfillment of the requirements for the degree of **Doctor of Philosophy**, is the original and independent work carried out by me in the Department of Organic Chemistry, University of Madras, Guindy Campus, Chennai under the supervision of **PROF. R. RAGHUNATHAN**, Department of Organic Chemistry. This thesis has not been previously formed the basis for the award of any Degree, Diploma, Associateship, Fellowship or other similar titles.

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ABBREVIATIONS

AMY	:	Azomethine Ylide
AgOAc	:	Silver acetate
B3LYP	:	Becke, three-parameter, Lee-Yang-Parr
CDCl₃	:	Deuteriated chloroform
COSY	:	Correlation spectroscopy
DCE	:	1,2-dichloroethane
DCM	:	Dichloromethane
DEPT	:	Distortionless enhanced polarization transfer
DFT	:	Density functional theory
DIEA	:	<i>N,N</i> -Diisopropylethylamine
DMA	:	<i>N,N</i> -Dimethylacetamide
DMAP	:	4-Dimethylaminopyridine
DMF	:	<i>N,N</i> -Dimethylformamide
DMSO	:	Dimethylsulphoxide
EtOH	:	Ethanol
EtOAc	:	Ethylacetate
Et₃N	:	Triethylamine
HMBC	:	Heteronuclear Multiple Bond Correlation
HOMO	:	Highest occupied molecular orbital
LUMO	:	Lowest unoccupied molecular orbital
mp	:	Melting point
MHz	:	Mega Hertz
MTT	:	3-(4,5-dimethylthiazol-2-yl)-2,5-diphenyltetrazolium bromide
NBO	:	Natural Bond Orbital
NOESY	:	Nuclear Overhauser Effect Spectroscopy
ORTEP	:	Oak Ridge Thermal Ellipsoid Plot Program
MeCN	:	Acetonitrile
MIC	:	Minimum inhibition concentration
PPh₃	:	Triphenylphosphine
ppm	:	Parts per million
PTSA	:	<i>p</i> -toluenesulphonic acid
PYBOP	:	Benzotriazol-1-yloxy)tripyrrolidinophosphonium hexafluorophosphate
TBAHS	:	Tetrabutylammonium hydrogen sulfate
THF	:	Tetrahydrofuran
TMS	:	Tetramethylsilane
TLC	:	Thin Layer Chromatography
δ	:	Chemical shift in parts per million
<i>J</i>	:	Coupling constant

PREFACE

The thesis entitled "1, 3-Dipolar cycloaddition reaction on carbohydrate template: A stereoselective synthesis of glycospiroheterocycles and its biological significance" has been discussed under the following chapters.

General introduction: The chemistry of 1,3-dipolar cycloaddition is described.

Chapter 1:

This chapter describes the stereoselective synthesis of glycospiroheterocycles and its anti-proliferative activity against cancer cells which is discussed in three different parts. Part A illustrates the synthesis of pyranosyl-glycospiroheterocycles derived from D-galactose whereas part B deals with furanosyl-glycospiroheterocycles obtained from D-glucose. Studies on anti-proliferative activity of some of the synthesized glycopyrrolidines against cancer cells are discussed in part C.

Chapter 2:

Synthesis and anti-microbial activities of glucospiroheterocycles / glycosyl isoxazolidines / glucosyl isoxazoles are described in three parts. Part A deals with the regioselective synthesis of glucosyl spiropyrrrolidines through 1,3-DC reaction of azomethine ylides. Part B illustrates nitron/nitrile oxide cycloaddition reaction for the stereoselective synthesis of glycosyl isoxazolidines / glucosyl isoxazoles. Part C describes the anti-microbial studies of some of the synthesized glycoheterocycles.

Chapter 3:

This chapter explains the synthesis of alkyl/acyl-ferrocenyl glyco-conjugates through highly regio- and stereoselective 1,3-DC reaction. The electrochemical properties of alkyl and acyl ferrocenyl glyco-conjugates were studied using cyclic voltammetry (CV) and the results were compared between alkyl and acyl-ferrocenyl glyco-conjugates.

Chapter 4:

This chapter describes the synthesis of glucosyl-spiropyrrrolidine grafted macrocycle with triazole as spacer unit through tandem one-pot double 1,3-dipolar cycloaddition reaction in good yields.

All the cycloadducts were thoroughly characterized by various spectroscopic methods and finally the regio- and stereoselectivity was unambiguously proved by 2D NMR and single crystal analysis.