## Summary

Investigation embodied in this present thesis entitled "**Phytochemical investigation of some medicinal plants, transformative reaction on the isolated organic compounds and studies on their biological activities**" were initiated under the supervision of Dr. Pranab Ghosh and Dr. Anirudha Saha at the Department of Chemistry and Department of Botany, respectively, University of North Bengal, Darjeeling-734013.

The work carried out in this thesis is divided into two parts, Part I and Part II. The principal theme of this thesis is the phytochemical investigation of the medicinal plants which are available in Darjeeling district and used as folk lore, transformative reactions of the isolated compounds and studies on their biocidal activities.

### Part 1

**Phytochemical investigation of some medicinal plants and studies on the biological activities of the isolated compounds.**

Part I has been divided into four chapters.

### Chapter I

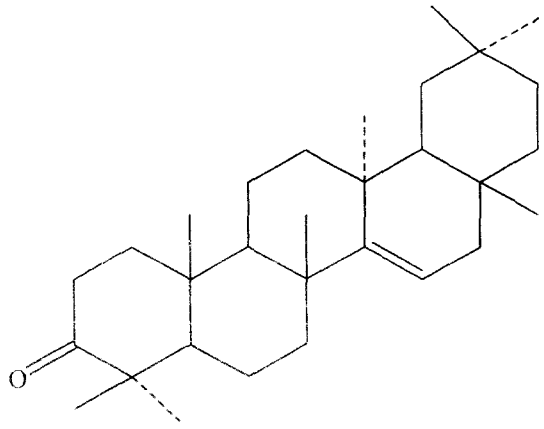
This chapter comprises a short review on the phytochemical investigation of medicinal plants and their biological activity of isolated materials from medicinal plants. This chapter is divided into two sections, Section A and Section B.

**Section A** comprises a short review on the phytochemical investigation of medicinal plants and **Section B** comprises a Short review on the biological activity of isolated materials from medicinal plants

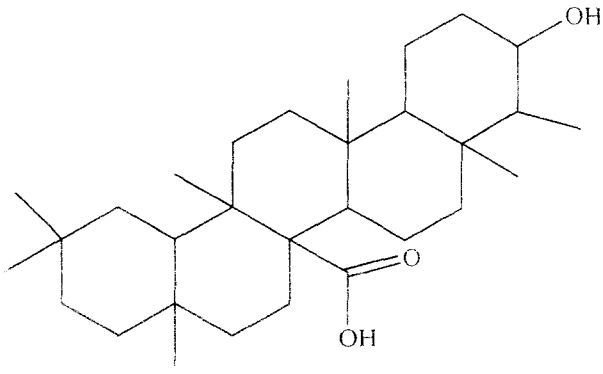
### Chapter II

This chapter contains isolation and characterization of triterpenoids isolated from *Schleichera oleosa* commonly known as Ceylon Oak of Darjeeling foothills and studies their antimicrobial activity. A total of four triterpenoids taraxerone (A), trichadenic acid A (B), betulinic acid (C) and betulin (D) have been isolated from this plant. Out of these four terpenoids, taraxerone (A) and trichadenic acid A (B) were detected for the first time from

*Schleichera oleosa*. The preliminary study on their biological activities were studied against some fungal and bacterial specimen such as *Colletrichum Gleosproides*, *Fussarium equisitae*, *Curvularia eragrostidies*, *Alterneria alternata* , *Calletotricheme camellie*, *B. subtilis*, *Escherichia coli*, *Staphylococcus aureus*, *Enterobactor*. The structure of these compounds was determined by chemical and spectral data and by comparison with the spectral data of the already reported compounds.




A

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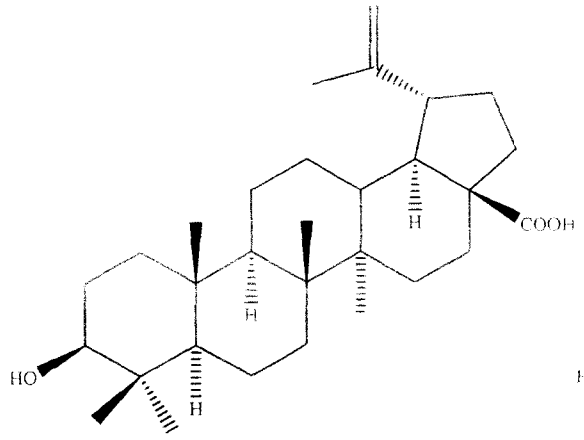
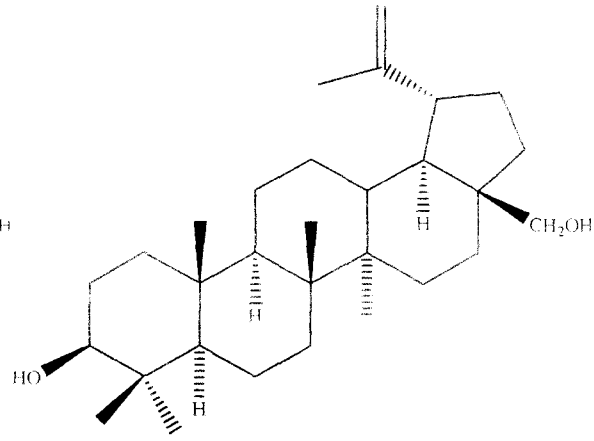



C

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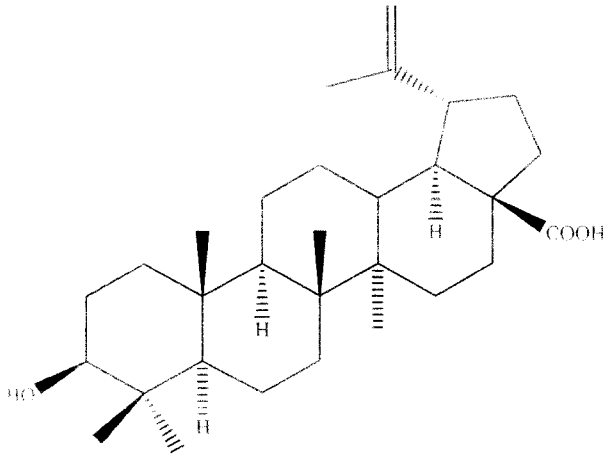
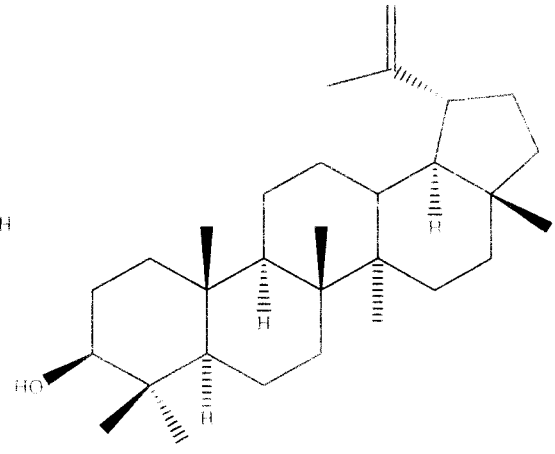
## Chapter III

This chapter contains isolation and characterization of triterpenoids isolated from *Psidium guajava* of Darjeeling foothills and studies their antimicrobial and phytochemical activity. A total of six triterpenoids such as betulinic acid (**A**), lupeol (**B**), guajanoic acid (**C**), β-sitosterol (**D**), ursolic acid (**E**) and oleanolic acid (**F**) have been isolated from this plant. Out of these six compounds, betulinic acid (**A**) and lupeol (**B**) is the first report of isolation from the leaf extract of *P. guajava* available plenty in the foothills. The preliminary studies towards the antimicrobial and phytotoxic activities of these isolated triterpenoids, which have also been carried out against some fungal and bacterial pathogens such as *Bacillus subtilis*, *Escherichia coli*, *Staphylococcus aureus*, *Enterobactor*, *Colletrichum gleosporioides*, *Fussarium equisitae*, *Curvularia eragrostidies*, *Alterneria alternate*, *Colletotrichum camellie*. The phytotoxicity were carried out against seeds of rice (*Oriza sativa*), wheat (*Triticum aestirium*), and pea (*Pisum sativum*) and reported their results. All the structures of the isolated compounds were confirmed by spectral (IR, NMR) analysis and by comparison with the literature reports.




A

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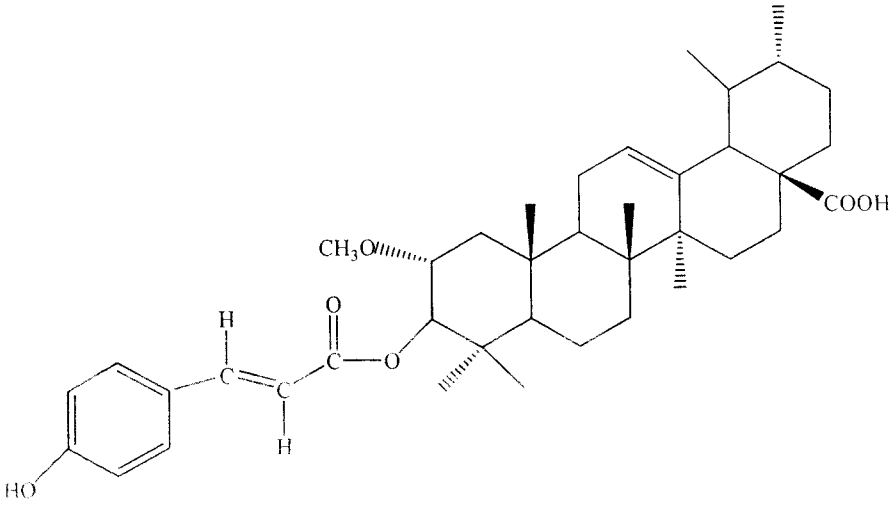


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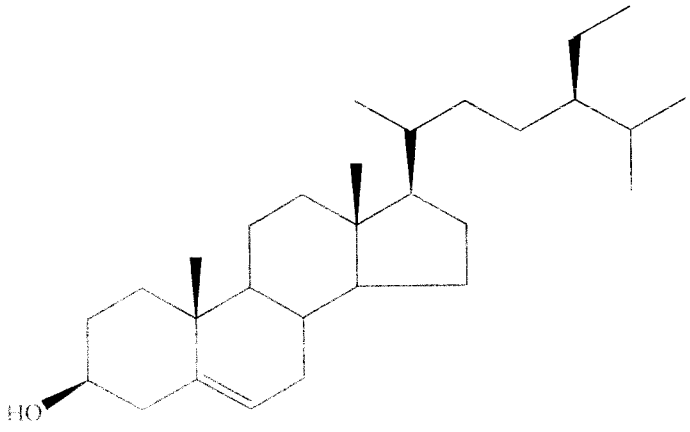


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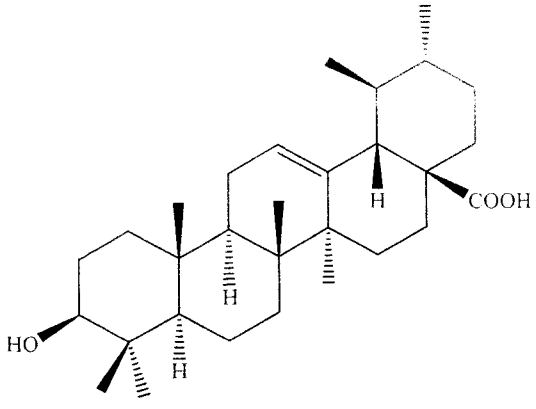


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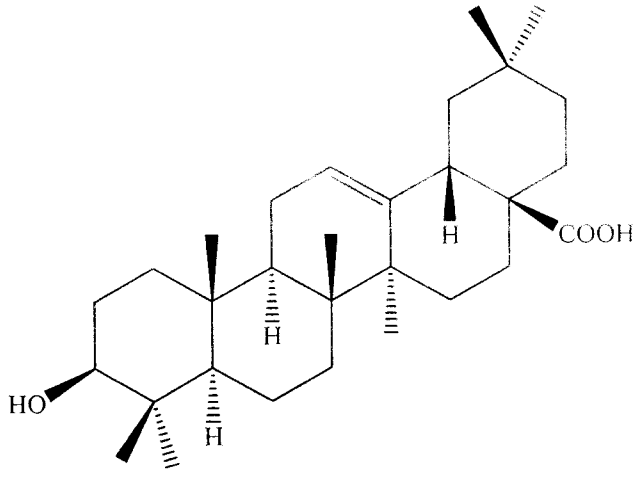


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**Chapter IV**

This chapter is divided into two sections, Section A and Section B that comprises extraction, isolation, synthesis, formulation, bioassay of isolated natural products and references.

**Section A** deals with the experimental of Chapter II and III which constitutes the extraction of compounds from the different parts of plants, elucidation of the structures, chromatography of the neutral part, examination of different fractions, isolation of the natural products and methods for the investigation of biocidal activity of the isolated compounds. **Section B** constitutes collection of plants for extraction of botanicals, details of the source of the microbial cultures, maintenance of the stock cultures, the common laboratory reagents used during the work, the media/solutions used during the work along with their standard compositions , assay of antifungal activity, Spore germination bioassay, the antibacterial sensitivity test by the disc diffusion method, phytotoxicity, antibacterial assay.

## Part 2

## TRANFORMATIVE REACTIONS OF TRITERPENOIDS AND THE BIOCIDAL ACTIVITY OF THE DERIVED COMPOUNDS

Part 2 of this thesis is concerned with transformative reactions of the pentacyclic triterpenoids and biological activity of the derived compounds. Part 2 has been divided into four chapters.

**Chapter I**

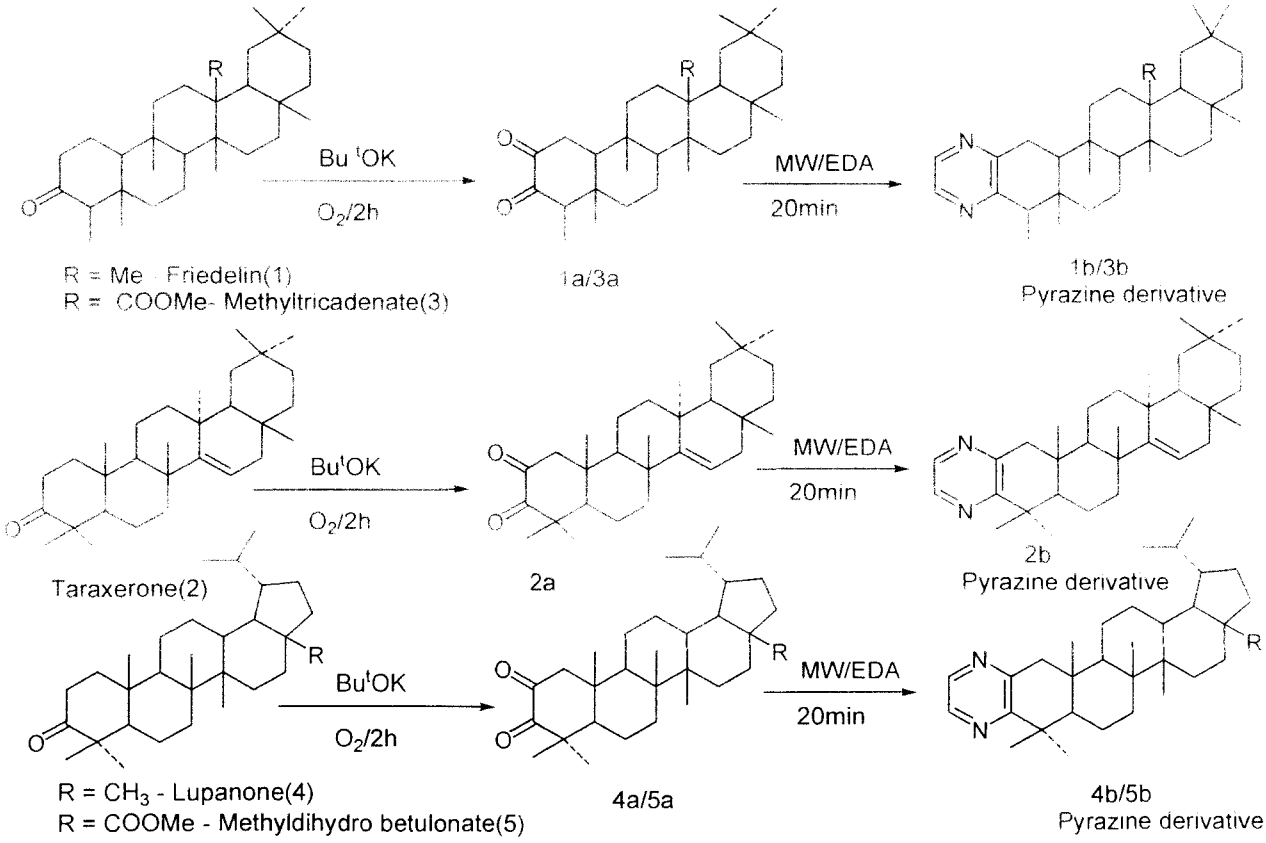
This chapter comprises a short review on transformative reaction of triterpenoids and biocidal activity of the prepared derivatives of pentacyclic triterpenoids.

**Chapter II**

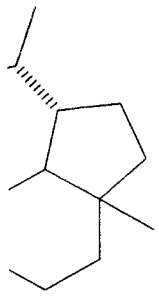
This chapter contains microwave assisted one pot synthesis of pyrazine derivatives of pentacyclic triterpenoids (Scheme I) and their biological activity. The 1,4-pyrazine derivatives of the triterpenoids were prepared in a mono-mode microwave oven at

100W(100°C) in only 20 minutes reaction time by adding dry ethylene diamine and Li and their anti microbial activity were studied against some fungal and bacterial specimen such as *Aspergillus niger* (AN), *Candida albicans* (CA), *Bacillus subtilis*, *Escherichia coli*, *Staphylococcus aureus*, *dysenteries* (SD). A total of five 1,4-pyrazine derivatives have been synthesized and chracterised. These are 1,4-pyrazine derivative of friedelin (**1b**), 1,4-pyrazine derivative of taraxerone (**2b**), 1, 4-pyrazine derivative of methyltrichadenate (**3b**), 1, 4-pyrazine derivative of lupanone (**4b**), pyrazine derivative of methyldihydrobetulinate (**5b**). All the structures of the pyrazine derivatives were confirmed by spectral (IR, NMR) analysis and by comparison with the literature reports.

Scheme I

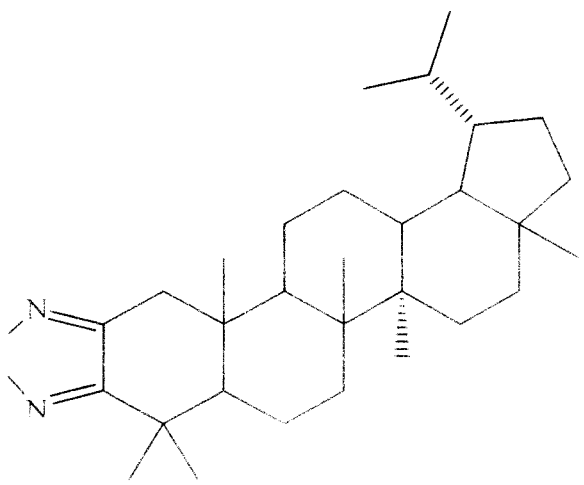


s and biological activity of (2, 3-C) $1^{/}$, $2^{/}$, $5^{/}$ oxadiazole
oid. It contains systematic study of the oxadiazole
noids and the effect of oxadiazole derivative on
lifferent microbes and seeds. It is divided into two



es the preparation of 2, 3-dioximino lupane and the
-C]-$1^{/}$,$2^{/}$,$5^{/}$-oxadiazole under MW irradiation a) Jone's
ne **1b** which on treatment with N-bromosuccinimide
characterised as 2,2-dibromolupanone **2** and

none 2 with hydroxylamine hydrochloride yield 2, 3-
ibsequent cyclization under MW irradiation furnishes
2]-1 ,$2^{/}$, $5^{/}$-oxadiazole(**5**). The structure of 1b, 2, 3, 4, 5
of spectral analysis (IR, UV, $^{1}$H NMR, $^{13}$C NMR) and
sample.

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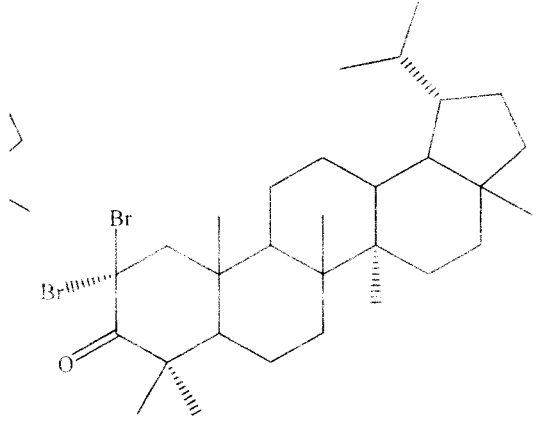
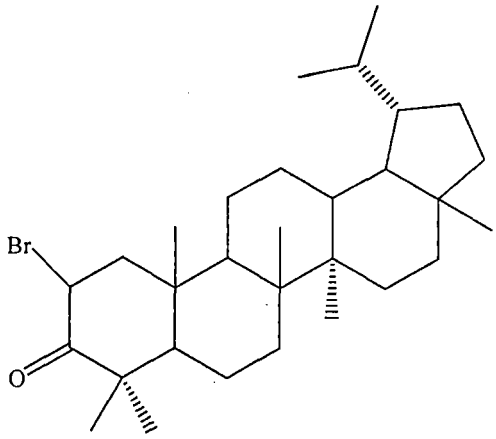



**2**

paration of 28-carbomethoxy-2,3-
28-carbomethoxy lupan[2,3-C]-$1^{/}$, $2^{/}$
elded methylbetulinate (**7**) which on
(**8**). Jone's oxidation of
betulonate (**9**).

N-bromosuccinimide furnished two
ıethyldihydrobetulonate (**10**) and 2α-

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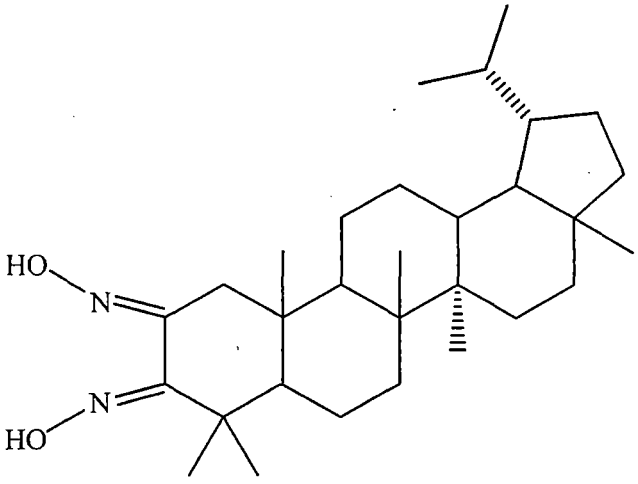


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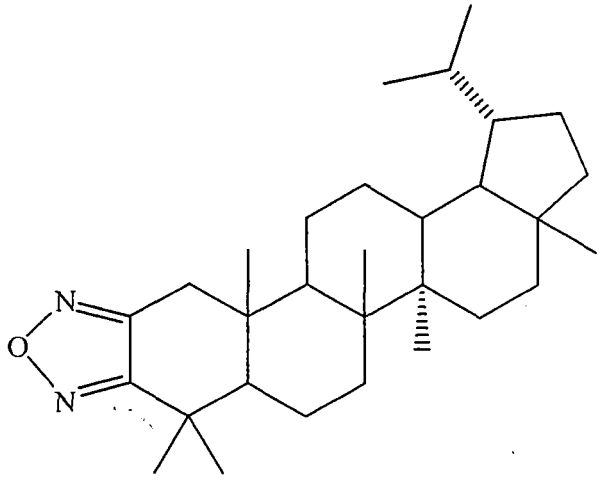


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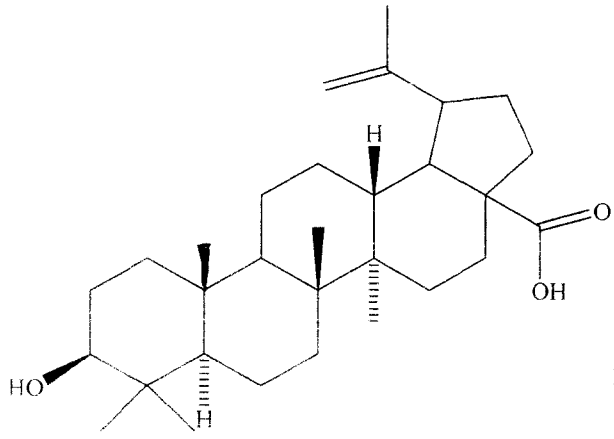
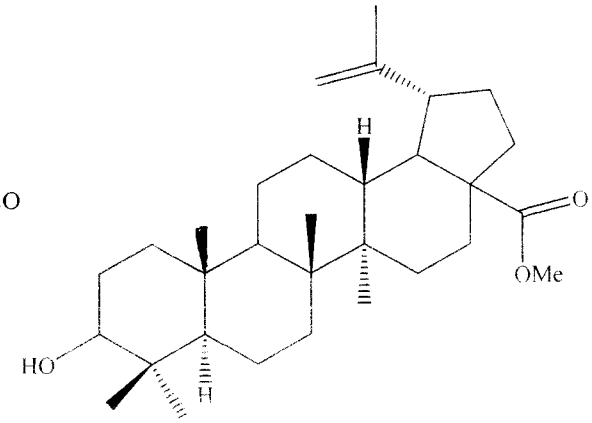
**Section B:** This section constitutes the preparation of 28-carbomethoxy-2,3-dioximinolupane and the subsequent cyclization to 28-carbomethoxy lupan[2,3-C]-1′, 2′, 5′-oxadiazole a) Esterification of betulinic acid (**6**) yielded methylbetulinate (**7**) which on hydrogenation yield methyldihydrobetulinate (**8**). Jone's oxidation of methyldihydrobetulinate (**8**) furnishes methyldihydro betulonate (**9**).

b) Treatment of methyl dihydrobetulonate (**9**) with N-bromosuccinimide furnished two compounds which were chracterised as 2, 2-dibromomethyldihydrobetulonate (**10**) and 2α-bromomethyl dihydrobetulonate (**11**).

c) Treatment of 2,2-dibromomethyl dihydrobetulonate (**10**) with hydroxylamine hydrochloride yielded 28-carbomethoxy-2,3-dioximinolupane **12** which on subsequent cyclization under MW irradiation afforded 28-carbomethoxy lupan[2,3-C]-1′, 2′, 5′-oxadiazole (**13**). The structures of 6, 7, 8, 9, 10, 11, 12, 13 have been established on the basis of spectral analysis (IR, UV, $^{1}H$ NMR, $^{13}C$ NMR) and by direct comparison with authentic sample.




**6**

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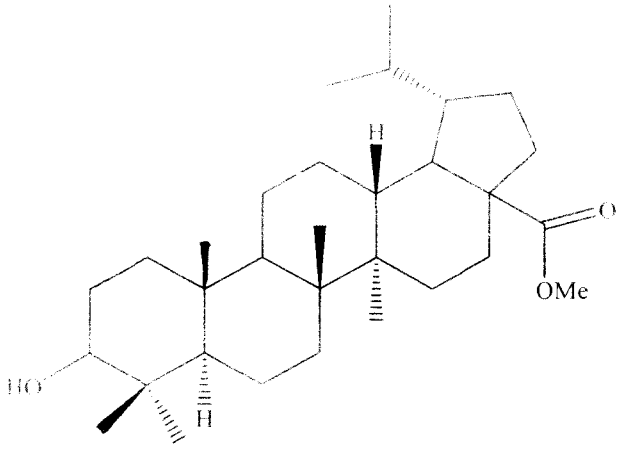
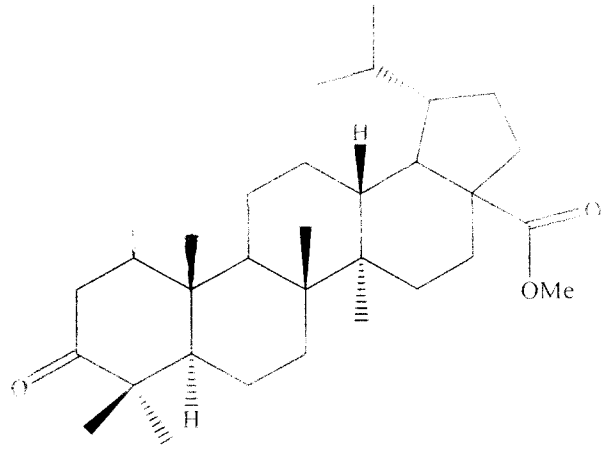



**8**

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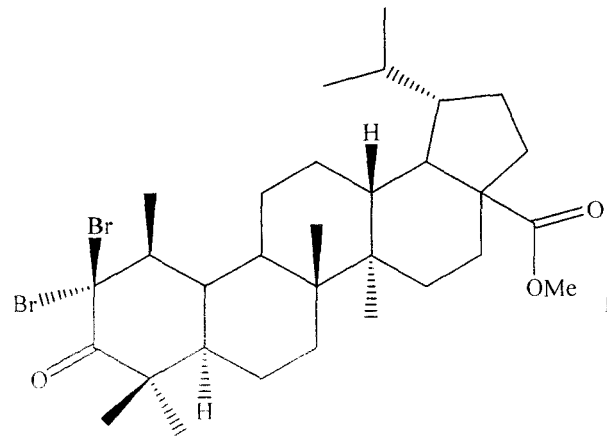
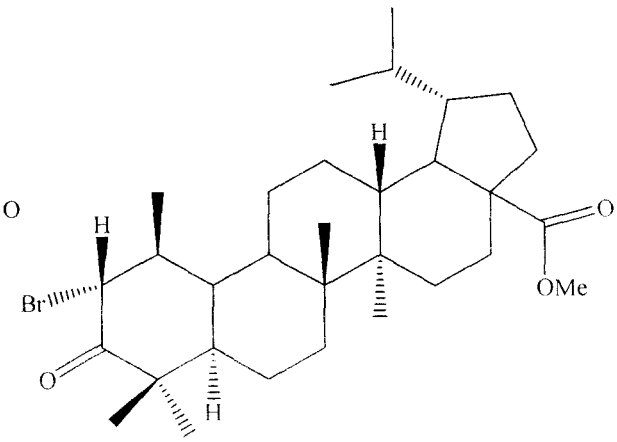
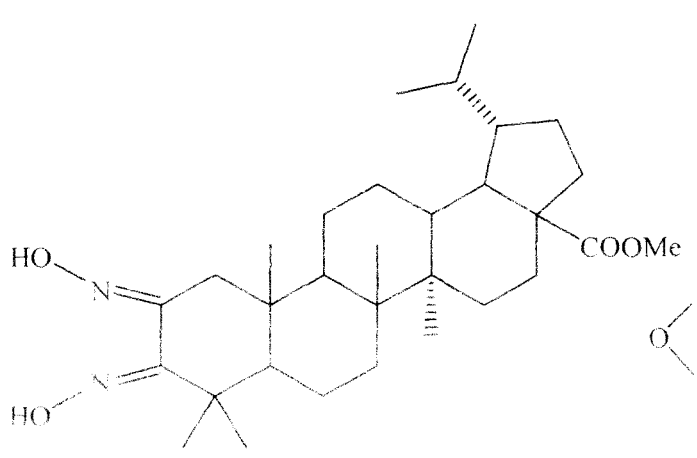


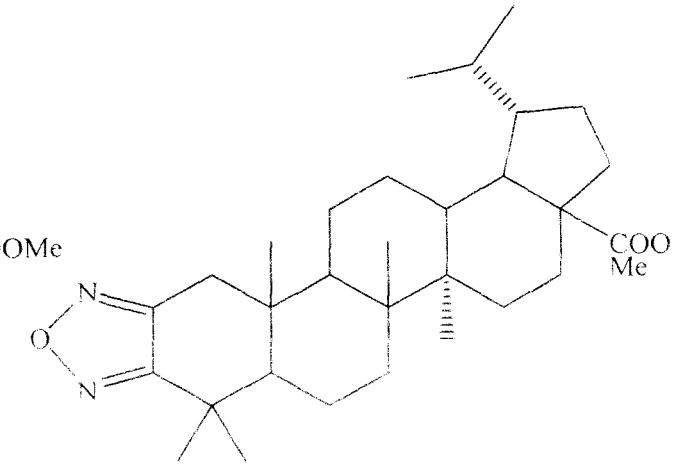

**10**




**11**




**12**




**13**

The preliminary studies towards the antimicrobial and phytotoxic activities of oxadiazole derivative and lupeol have been carried out against five bacterial and fungal pathogens such as *Bacillus subtilis*, *Escherichia coli*, *Staphylococcus aureus*, *Lactobacillus*, *Pseudomonas* and *F. solani*. The phytotoxicity were carried out against seeds of rice (*Oriza sativa*), wheat (*Triticum aestirium*), and pea (*Pisum sativum*) and reported their results.

**Chapter IV**

This chapter contains experimental and references of Part 2 and deals the experimental of chapter II which constitutes the microwave applications in Organic synthesis, theory behind microwave heating, equipment needed in microwave synthesis, microwave reactors, elucidation of the structures, general procedure for the synthesis of 2, 3- diketo compounds and 1, 4-pyrazine derivatives, general procedure for the synthesis of 1, 4-pyrazine derivatives, examination of different fraction and Isolation of 1, 4-pyrazine derivative of friedelin, 1, 4-pyrazine derivative of taraxerone, 1, 4-pyrazine derivative of methyl trichadenate, 1, 4-pyrazine derivative of lupanone, 1, 4-pyrazine derivative of methyl dihydrobetulinate, extraction of *Xanthoxylum budrunga* and *Biscofia javanica* and isolation and synthesis of different compounds and all the references of Chapter I, Chapter II and Chapter III of part 2.