

## Table of Contents

(for the contents of Volumes 1-18 please visit: [http://www.oldsoc.chim.it/it/libriecollane/target\\_hs](http://www.oldsoc.chim.it/it/libriecollane/target_hs))

### **Recent advances in the chemistry of 1,10-phenanthrolines and their metal complex derivatives: synthesis and promising applications in medicine, technology, and catalysis** 1

*Helio G. Bonacorso, Rosália Andrighetto, Clarissa P. Frizzo, Nilo Zanatta and Marcos A. P. Martins*

1. Introduction
  2. 1,10-Phenanthrolines and derivatives: versatile building blocks
  3. Applications of 1,10-phenanthroline-based materials
    - 3.1. Metal complex derivatives: biological activity
    - 3.2. Conjugated systems: structure and photophysical properties of imidazo-phenanthrolines
    - 3.3. 1,10-Phenanthrolines as coordination ligands for detection of metal ions: photophysical properties of derivatives
    - 3.4. Catalytic approaches: 1,10-phenanthrolines and derivatives as useful catalyst ligands for several reactions
  4. Conclusions
- Acknowledgments  
References

### **An overview on heterocyclic podophyllotoxin derivatives**

29

*María A. Castro, Pablo A. García, Ángela P. Hernández and David Díez*

1. Introduction
2. Heterocycles attached to different positions of the podophyllotoxin skeleton
  - 2.1. Heterocycles directly attached to position C-7
    - 2.1.1. Obtained by reaction with azide derivatives
    - 2.1.2. Obtained by direct reaction with heterocycles
  - 2.2. Heterocycles attached to podophyllotoxin skeleton through different linkers
    - 2.2.1. Heterocycles at C-7
      - 2.2.1.1. Heterocycles at C-7 joined by an ether group
      - 2.2.1.2. Heterocycles at C-7 joined by an amine group
      - 2.2.1.3. Heterocycles at C-7 joined by ester or amide groups
    - 2.2.2. Heterocycles at C-9
    - 2.2.3. Heterocycles at C-9'
    - 2.2.4. Heterocycles at C-4'
    - 2.2.5. Conjugates or hybrids of podophyllotoxin with other biologically active heterocyclic compounds
3. Heterocycles being part of the podophyllotoxin skeleton
  - 3.1. Heteroatoms on ring A
  - 3.2. Heteroatoms on ring B

## 3.3. Heteroatoms on ring C

## 3.3.1. 7-Heteropodophyllotoxin analogues

## 3.3.1.1. 7-Azapodophyllotoxin derivatives

## 3.3.1.2. 7-Oxapodophyllotoxin derivatives

## 3.3.1.3. 7-Thiapodophyllotoxin derivatives

## 3.3.2. 7'-Azapodophyllotoxin analogues

## 3.3.3. 8'-Azapodophyllotoxin analogues

## 3.3.4. 8'-Aza-7-X-podophyllotoxin analogues

## 3.4. Heteroatoms on ring D

## 3.5. Heteroatoms on ring E

## 3.6. Additional heterocycles fused to the cyclolignan skeleton

## 4. Conclusions

## Acknowledgments

## References

**Camphor-derived heterocycles: syntheses and potential applications**

64

*Uroš Grošelj*

## 1. Introduction

## 2. Fused camphor-derived heterocycles

## 3. Spiro camphor-derived heterocycles

## 4. Camphor substituted heterocycles

## 5. Ring expanded camphor-derived heterocycles

## 6. Tethered camphor-derived heterocycles

## 7. Conclusions and outlooks

## References

**Dipolar cycloaddition of *N*-oxides of azines and azoles to difluoroalkenes**

105

*Rafał Loska*

## 1. Introduction

2. Functionalisation of nitrogen heterocycles via 1,3-dipolar cycloaddition of *N*-oxides3. 1,3-Dipolar cycloaddition of *N*-oxides and simple perfluoroalkenes4. Reaction of *N*-oxides and perfluoroalkenes in the presence of nucleophiles

## 5. 1,3-Dipolar cycloaddition of perfluoroalkenes and nitrones

6. 1,3-Dipolar cycloaddition of *N*-oxides and 1,1-difluoroalkenes6.1. Preparation of  $\alpha$ -aryl- $\alpha$ -heteroarylacetic esters6.2. Preparation of  $\alpha$ -aryl- $\alpha$ -heteroarylacetamides

## 6.3. Investigation of the mechanism

7. 1,3-Dipolar cycloaddition of *N*-oxides and heteroaryl difluoroalkenes in the synthesis of

bis(heteroaryl)methane ligands

8. Conclusions

Acknowledgements

References

### **Three-component ring transformation using ammonium acetate as a nitrogen source**

133

*Nagatoshi Nishiwaki*

1. Introduction

2. Past studies on nucleophilic-type ring transformation

2.1. Preparation of nitropyrimidinone and dinitropyridone

2.2. Aminolysis of the substrates

2.3. Reaction with 1,3-dicarbonyl compounds

3. Three component ring transformation (TCRT) of nitropyrimidinone

3.1. Using ammonia as a nitrogen source

3.2. With aromatic ketones in the presence of ammonium acetate

3.3. With alicyclic ketones in the presence of ammonium acetate

3.4. With 1,3-dicarbonyl compounds in the presence of ammonium acetate

4. Three component ring transformation (TCRT) of dinitropyridone

4.1. Using ammonia as a nitrogen source

4.2. With aromatic ketones in the presence of ammonium acetate

4.3. With  $\alpha,\beta$ -unsaturated ketones in the presence of ammonium acetate

4.4. With aldehydes in the presence of ammonium acetate

4.5. With aliphatic ketones in the presence of ammonium acetate

4.5.1. Discussion on the basis of the reaction mechanism

4.5.2. Reactions with cyclic ketones

4.5.3. Reactions with acyclic ketones

5. Conclusions

References

### **1'-Homonucleosides with two and three heteroatoms in the five-membered rings - A review**

162

*Andrzej E. Wróblewski, Iwona E. Głowacka and Dorota G. Piotrowska*

1. Introduction

2. Five-membered heterocycles containing two heteroatoms 1,2-isomers

2.1. Isoxazolidine-based 1'-homonucleosides

2.2. Isoxazoline-based 1'-homonucleosides

3. Five-membered heterocycles containing two heteroatoms 1,3-isomers

3.1. 1,3-Dioxolane-based 1'-homonucleosides

3.2. 1,3-Oxathiolane-based 1'-homonucleosides

- 3.3. 1,3-Thiazoline-based 1'-homonucleosides
- 4. Five-membered heterocycles containing three heteroatoms
  - 4.1. 1,2,3-Triazole-based 1'-homonucleosides
  - 4.2. 1,2,3-Triazole-based phosphonates of 1'-homonucleosides
- 5. Conclusions
- Acknowledgements
- References

## **Chemistry and biology of salinomycin and its analogues**

182

*Anna Piperno, Agostino Marrazzo, Angela Scala and Antonio Rescifina*

- 1. Introduction
- 2. Synthesis of salinomycin
  - 2.1. Total synthesis
  - 2.2. Enhanced partial syntheses
    - 2.2.1. Syntheses of the western hemisphere
    - 2.2.2. Syntheses of the eastern hemisphere
- 3. Salinomycin derivatives
  - 3.1. Modification of the carboxyl group
    - 3.1.1. Amides
    - 3.1.2. Esters
  - 3.2. Modification of the hydroxyl groups
    - 3.2.1. Conjugates (*O*-acylates at C<sub>9</sub>, C<sub>20</sub> and C<sub>28</sub>)
  - 3.3. Reduction and oxidation
    - 3.3.1. Synthesis of 20-deoxy salinomycin (SY1) and 18,19-dihydro SY1
  - 3.4. Salinomycin hybrid compounds
  - 3.5. Metal complexes of salinomycin
- 4. Ionophore properties
- 5. Mechanism of action
- 6. Structure-activity relationships
- 7. Salinomycin as a drug for targeting human cancer stem cells
- 8. Conclusions
- References

## **Design, synthesis and biological evaluation of heterocyclic aminoglycosides**

219

*Agatha Bastida and Julia Revuelta*

- 1. Introduction
- 2. Strategies for the preparation of heterocyclic aminoglycosides
- 3. Substitution of an aminosugar and/or aminocyclitol by heterocycles

4. Conjugation of aminoglycosides with heterocycles
  5. Conclusions
- Acknowledgments  
References

**Synthesis and biological activity of the liposidomycins and caprazamycins, members**  
246

**of a novel class of diazepanone-containing nucleosides**

*Iván Cheng-Sánchez, Cristina García-Ruiz, John I. Trujillo and Francisco Sarabia*

1. Introduction
  2. Total synthesis of caprazol and the caprazamycins
  3. Synthetic approaches towards the liposidomycins and the caprazamycins
  4. Synthesis and antibiotic properties of liposidomycin and caprazamycin analogues
    - 4.1. Synthesis of analogues
      - 4.1.1. Complex analogues
      - 4.1.2. Heterocyclic-modified analogues
      - 4.1.3. Truncated analogues
    - 4.2. Antibiotic properties of the liposidomycins, caprazamycins and analogues
  5. Conclusions
- Acknowledgments  
References

**Construction of heterocyclic lignans in natural product synthesis and medicinal chemistry** 282

*Thomas Linder, Michael Schnürch and Marko D. Mihovilovic*

1. Introduction
    - 1.1. Classification of lignans
    - 1.2. Occurrence and relevance
  2. Lignan synthesis
    - 2.1. Synthesis of furan-type lignans
    - 2.2. Synthesis of furofuran-type lignans
    - 2.3. Synthesis of other lignan types
  3. Conclusions
- References

**Synthesis of isoxazolidines by 1,3-dipolar cycloaddition: recent advances**  
308

*Loredana Maiuolo and Antonio De Nino*

1. Introduction
2. Thermal intermolecular 1,3-dipolar cycloaddition
  - 2.1. Fused isoxazolidines

- 2.2. Spiro-isoxazolidines
  - 2.3. Fluorinated isoxazolidines
  - 2.4. 3'-Substituted-4'-aza-2',3'-dideoxynucleotides
  - 2.5. C-Nucleosides
  - 2.6. Homonucleosides and homonucleotides
  - 2.7. Phosphonated *N,O*-nucleosides
  - 3. Thermal intramolecular 1,3-dipolar cycloaddition
    - 3.1. Fused isoxazolidines
  - 4. Microwave-assisted 1,3-dipolar cycloaddition
    - 4.1. Fused isoxazolidines
    - 4.2. Spiro-isoxazolidines
    - 4.3. Bisphosphonated isoxazolidines
    - 4.4. 3'-Substituted-4'-aza-2',3'-dideoxynucleotides
    - 4.5. Homonucleosides
    - 4.6. Phosphonated *N,O*-nucleosides
  - 5. Catalyzed 1,3-dipolar cycloadditions
    - 5.1. Metal-catalyzed reactions
      - 5.1.1. Chromium
      - 5.1.2. Copper
      - 5.1.3. Gold
      - 5.1.4. Iridium
      - 5.1.5. Nickel
      - 5.1.6. Rhodium
      - 5.1.7. Ruthenium
      - 5.1.8. Tin
    - 5.2. Organocatalyzed reactions
  - 6. Ionic liquids in 1,3-dipolar cycloadditions:
    - 6.1. Substituted isoxazolidines
    - 6.2. Fused isoxazolidines
  - 7. Conclusions
- Acknowledgements
- References