#### **Table of Contents**

(for the contents of Volumes 1–17 please visit: http://www.soc.chim.it)

Advances in the synthesis and Kinase inhibitory potencies of non-fused indazole derivatives
---

Francis Giraud, Fabrice Anizon and Pascale Moreau

- 1. Introduction
- 2. Synthetic approaches to indazole scaffold
  - 2.1. Introduction
  - 2.2. [3+2] cycloadditions
  - 2.3. From carbonylated benzenes and their hydrazone/oxime/imine derivatives
  - 2.4. From *ortho*-halobenzonitriles
  - 2.5. From azobenzene derivatives
  - 2.6. From aryltriazenes
  - 2.7. From *ortho*-nitrobenzylamines
  - 2.8. Miscellaneous
- 3. Kinase inhibitory potencies of various non-fused indazoles
  - 3.1. AGC group (protein kinases A, G and C containing families)
  - 3.2. CAMK group (calcium/calmodulin-dependent protein kinases)
  - 3.3. CMGC group (including CDKs, MAPK, GSK3 and CLK containing families)
  - 3.4. STE group (containing the homologs of yeast Sterile 7, 11 and 20 kinases)
  - 3.5. TK group (tyrosine kinases)
  - 3.6. Other kinases
- 4. Conclusions

References

### Preparation of racemic and optically active trifluoromethyl aziridines and azetidines

Gilles Berger, René Wintjens and Franck Meyer

- 1. Introduction
- 2. Trifluoromethylaziridines
  - 2.1. Synthesis of trifluoromethylaziridines from trifluoromethylated amines
  - 2.2. Synthesis of trifluoromethylaziridines from imines
  - 2.3. Synthesis of trifluoromethylaziridines from heterocyclic precursors
  - 2.4. Synthesis of trifluoromethylaziridines from olefins
- 3. Trifluoromethylazetidines
  - 3.1. Synthesis of trifluoromethyl azetidines from amines
  - 3.2. Synthesis of trifluoromethylazetidines from heterocycles
- 4. Conclusions

References

1

29

48

Applications of ring rearrangements involving a participating side chain for the synthesis	
of five membered heterocycles	

Andrea Pace, Antonio Palumbo Piccionello, Ivana Pibiri, Angela Accardo,

Nicolò Vivona and Silvestre Buscemi

- 1. Introduction
- 2. Synthesis of five-membered aromatic heterocycles with two heteroatoms
  - 2.1. Pyrazoles
  - 2.2. Imidazoles
  - 2.3. Isoxazoles
  - 2.4. Oxazoles
  - 2.5. Thiazoles
- 3. Synthesis of five-membered aromatic heterocycles with three heteroatoms
  - 3.1. 1,2,3-Triazoles
  - 3.2. 1.2.4-Triazoles
  - 3.3. 1,2,4-Oxadiazoles
  - 3.4. 1,2,5-Oxadiazoles
  - 3.5. 1,2,4-Thiadiazoles
- 4. Conclusions

References

## Recent advances in catalytic asymmetric synthesis of pyrazoline and pyrazolidine derivatives

87

Xiao-Qiang Hu, Jia-Rong Chen and Wen-Jing Xiao

- 1. Introduction
- 2. Catalytic asymmetric synthesis of pyrazolines
  - 2.1. 1,3-Dipolar cycloaddition reactions
    - 2.1.1. 1,3-Dipolar cycloaddition reactions of nitrile imines
    - 2.1.2. 1,3-Dipolar cycloaddition reactions of diazoacetates
    - 2.1.3. 1,3-Dipolar cycloaddition reactions of azomethine imines to terminal alkynes
  - 2.2. Asymmetric  $6\pi$  electrocyclization and conjugate addition/cyclization cascade reactions
- 3. Catalytic asymmetric synthesis of pyrazolidines
  - 3.1. [3 + 2] Cycloaddition reactions of hydrazones
  - 3.2. 1,3-Dipolar cycloaddition reactions of azomethine imines with alkenes
  - 3.3. Asymmetric conjugate addition/cyclization cascade reactions
- 4. Miscellaneous

Acknowledgments

References

#### An overview on asymmetric synthesis of 3-substituted indolinones

113

Antonia Di Mola, Laura Palombi and Antonio Massa

1. Introduction

141

- 2. Asymmetric synthesis of isoindolinones: early methodologies.
  2.1. Resolution of racemic mixtures.
  2.2. Use of chiral auxiliaries and chiral pool
  3. Catalytic asymmetric syntheses
  3.1. Chiral transition metals actalysts
  - 3.1. Chiral transition metals catalysts
  - 3.2. Organocatalysis and chiral phase transfer catalysts.
- 4. Conclusions

Acknowledgments

References

# Variation of the cation of ionic liquids: the effects on their physicochemical properties and reaction outcome

Rebecca R. Hawker, Ronald S. Haines and Jason B. Harper

- 1. Introduction
- 2. Physicochemical properties of ionic liquids containing:
  - 2.1. Imidazolium cations
  - 2.2. Pyridinium cations
  - 2.3. Pyrrolidinium cations
  - 2.4. Piperidinium cations
  - 2.5. Morpholinium cations
  - 2.6. Oxazolidinium cations
  - 2.7. Pyrazolium cations
  - 2.8. Triazolium cations
  - 2.9. Thiazolium cations
  - 2.10. Thiolanium cations
  - 2.11. Thianium cations
  - 2.12. Summary
- 3. The effect of varying the cation of an ionic liquid on reaction outcome
  - 3.1. Rearrangement proceeding through a carbocationic intermediate
  - 3.2. Bimolecular nucleophilic substitution ( $S_N$ 2) reactions
  - 3.3. Nucleophilic aromatic substitution (S<sub>N</sub>Ar) reactions
  - 3.4. Electrophilic addition reactions
  - 3.5. Condensation reactions
  - 3.6. E2 reactions
  - 3.7. Rearrangement reactions
  - 3.8. Competition reactions involving phosphorus species
- 4. Conclusions

Acknowledgments

References

	<b>-</b> '
Triazole-containing carbohydrate mimetics: synthesis and biological applications	214
Nuno M. Xavier and Susana D. Lucas	
1. Introduction	
2. Triazole-containing sugars	
3. Triazole-containing glycomimetics	
3.1. Triazole-containing imino sugars	
3.2. Triazole-containing thio sugars	
3.3. Triazole-containing carba sugars	
4. Conclusions	
Acknowledgments	
References	
(Thio)urea-catalyzed formation of heterocyclic compounds	236
Eugenia Marqués-López and Raquel P. Herrera	
1. Introduction	
2. Synthesis of <i>N</i> -heterocyclic compounds	
2.1. Synthesis of tetrahydro-β-carbolines	
2.2. Synthesis of 1,4-dihydropyridines	
2.3. Synthesis of indolines	
2.4. Synthesis of pyrrolidines	
3. Synthesis of <i>O</i> -heterocyclic compounds	
3.1. Synthesis of 2-amino-4 <i>H</i> -chromene derivatives	
3.2. Synthesis of flavanones and chromanones	
3.3. Synthesis of pyrans and their fused derivatives	
3.4. Synthesis of tetrahydrofurans	
4. Synthesis of <i>S</i> -heterocyclic compounds	
4.1. Synthesis of benzothiopyrans (or thiochromanes)	
5. Conclusions	
References	