

# TARGETS IN HETEROCYCLIC SYSTEMS

## Chemistry and Properties

### Contributions and Topics

The **contributions** are normally invited by the Editors or one of the Members of the Editorial Advisory Board. Contributions can be proposed by the Authors to the Editors or one of the Members of the Editorial Advisory Board. In all cases, the contributions are subjected to the Referees' review before the definitive acceptance for the publication (see instructions to the contributors).

The following **topics** are covered:

1. new synthetic methods of heterocyclic compounds;
2. solid-phase synthesis, combinatorial chemistry and heterocyclic scaffolds;
3. heterocycles and asymmetric synthesis;
4. new heterocyclic materials;
5. structure and properties of heterocyclic derivatives;
6. bioactive heterocycles;
7. heterocyclic rings in natural products;
8. heterocycles in bioorganic chemistry;
9. theoretical calculations.

# TARGETS IN HETEROCYCLIC SYSTEMS

## Chemistry and Properties

### Instructions to Contributors

Manuscripts must be submitted in electronic version to one of the Editors as camera-ready copy in full page format (with references as for the Journal of Organic Chemistry), typing area 18.5x26.0 cm, in preference by using Times font [full justification 18 pt line spacing; 12 pt characters for text, schemes and tables; 12 pt characters italic for abstract; 14 pt capital bold centered characters for title; 12 pt characters bold centered for author(s); 12 pt characters italic centered for address(es)] (see Short Specimen and Review Specimen). **Length recommended of about 30 pages.**

The text must be preceded by abstract and contents as shown in specimens. Schemes and Tables must be included in the text (also by means of scanner), and the whole manuscript must be suitable for the direct reproduction, both on the formal and linguistic point of view. **Only minor scientific and linguistic revision may be made by the Referees.** Major linguistic revision will be charged to the authors.

The publication of contributions is free of charge. Copy(ies) of the book may be purchased by the author(s) at special reduced price.

## SEARCHING NEW ROUTES TO PYRAZOLE DERIVATIVES

Orazio A. Attanasi and Paolino Filippone

Istituto di Chimica Organica della Facoltà di Scienze, Università di Urbino, Piazza della Repubblica 13,  
61029 Urbino, Italy (e-mail: attanasi@fis.uniurb.it)

**Abstract.** Recent advances in the useful routes for the alternative synthesis of pyrazole derivatives are surveyed.

## Contents

### 1. Introduction

The five-membered heterocycles containing two adjacent nitrogen heteroatoms (*e.g.* pyrazolidines, pyrazolines, pyrazoles, pyrazolones and indazoles) represent a class of important compounds.<sup>1</sup>

### 2. Synthesis of pyrazole derivatives

Conjugated azoalkenes suitable for the construction of substituted pyrazole heterocycles arise from the initial reaction of  $\beta$ -ketoesters with hydrazine species, followed by 1,4-elimination of a leaving group.<sup>2</sup>

#### 2.1. Pyrazoles

Although sometimes with a different fate, sulphur or phosphorus nucleophiles demonstrated very efficient partners in the interaction with the azo-ene moiety.

##### 2.1.1. 1*H*-Pyrazol-5(2*H*)-ones

A lot of the title heterocycles have been prepared by reaction of conjugated azoolefins with alcohols, mercaptans, phenols, thioacids, amines, and sulphonamides.

##### 2.2. 1*H*-Pyrazol-5(4*H*)-ones

The stable 1,5-zwitterionic species in methanol under reflux supplied unusual 1-substituted and 1-unsubstituted 4-triphenylphosphoranylidene-1*H*-pyrazol-5(4*H*)-ones.

### 3. Conclusions

The reaction conditions and the good yields of the above-discussed procedures represent a first goal in the focusing of innovative strategies finalized to directly obtain polyfunctionalized pyrazole derivatives.

### Acknowledgements

This work was supported by financial assistance from the

### References

1. Attanasi, O. A.; Filippone, P.; Serra-Zanetti, F. In *Progress in Heterocyclic Chemistry*; Suschitzky, H.; Scriven, E. F. V., Eds.; Pergamon: Oxford, 1995; Vol. 7, p. 1.
2. Attanasi, O. A.; Foresti, E.; Liao, Z.; Serra-Zanetti, F. *J. Org. Chem.* **1995**, *60*, 149.