

This article was downloaded by:

On: 28 January 2011

Access details: *Access Details: Free Access*

Publisher *Taylor & Francis*

Informa Ltd Registered in England and Wales Registered Number: 1072954 Registered office: Mortimer House, 37-41 Mortimer Street, London W1T 3JH, UK



## Phosphorus, Sulfur, and Silicon and the Related Elements

Publication details, including instructions for authors and subscription information:

<http://www.informaworld.com/smpp/title~content=t713618290>

### Synthesis of Racemic 1-Aminoindan-1-Phosphonic Acid

Tomasz Rychlewski<sup>a</sup>; Jerzy Zoń<sup>a</sup>

<sup>a</sup> Institute of Organic Chemistry Biochemistry and Biotechnology Wrocław University of Technology, Wrocław, Poland

**To cite this Article** Rychlewski, Tomasz and Zoń, Jerzy(1999) 'Synthesis of Racemic 1-Aminoindan-1-Phosphonic Acid', *Phosphorus, Sulfur, and Silicon and the Related Elements*, 147: 1, 463

**To link to this Article:** DOI: 10.1080/10426509908053711

**URL:** <http://dx.doi.org/10.1080/10426509908053711>

PLEASE SCROLL DOWN FOR ARTICLE

Full terms and conditions of use: <http://www.informaworld.com/terms-and-conditions-of-access.pdf>

This article may be used for research, teaching and private study purposes. Any substantial or systematic reproduction, re-distribution, re-selling, loan or sub-licensing, systematic supply or distribution in any form to anyone is expressly forbidden.

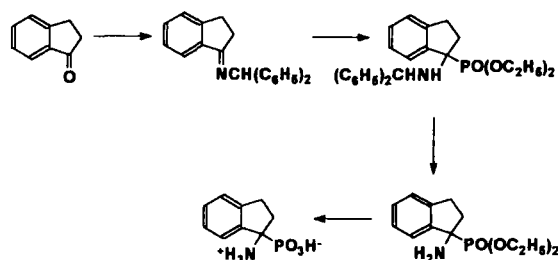
The publisher does not give any warranty express or implied or make any representation that the contents will be complete or accurate or up to date. The accuracy of any instructions, formulae and drug doses should be independently verified with primary sources. The publisher shall not be liable for any loss, actions, claims, proceedings, demand or costs or damages whatsoever or howsoever caused arising directly or indirectly in connection with or arising out of the use of this material.

## Synthesis of Racemic 1-Aminoindan-1-Phosphonic Acid

TOMASZ RYCHLEWSKI and JERZY ZOŃ

*Institute of Organic Chemistry, Biochemistry and Biotechnology; Wrocław University of Technology; 50-370 Wrocław; Poland*

As part of our studies on the design, synthesis and evaluation of inhibitors of phenylalanine ammonia-lyase [1-3], we have investigated the preparation of (±)-1-aminoindan-1-phosphonic acid, a structural isomer of the strongest *in vivo* inhibitor [2]. After our unsuccessful amidophosphorylation of 1-indanone, we turned our attention to hydrophosphonylation of N-(1'-indanylidene)diphenylmethanimine obtained from 1-indanone and diphenylmethanimine, according to the known procedure for aldehydes [4, 5]. Diethyl (±)-1-(diphenylmethanimino)indan-1-phosphonate has been obtained as shown on the scheme reaction.



Debenzhydrylation by hydrogen on palladium and then acidic hydrolysis of diethyl (±)-1-(diphenylmethanimino)indan-1-phosphonate gave (±)-1-aminoindan-1-phosphonic acid. We also obtained 1-aminocyclopentyl-1-phosphonic acid from cyclopentanone by the same procedure. The above two examples extend the Green procedure for ketones. However, this procedure failed for synthesis of diethyl (±)-1-(diphenylmethanimino)-1,2,3,4-tetrahydronaphthalene-1-phosphonate from  $\alpha$ -tetralone. Direct acidic hydrolysis of diethyl (±)-1-(diphenylmethanimino)indan-1-phosphonate provides the P-C break products which will be discussed somewhere else. The use of benzylamine instead of diphenylmethanimine did not lead to diethyl (±)-1-(benzylamino)indan-1-phosphonate. We observed a change of conformation of (±)-1-aminoindan-1-phosphonic acid during change of pH of solution from acidic or neutral to basic one.

### References

- [1] J. Zoń and B. Laber, *Phytochemistry* **27**, 711(1988).
- [2] J. Zoń and N. Amrhein, *Liebigs Ann. Chem.*, **1992**, 625.
- [3] J. Zoń, *Phosphorus, Sulfur, and Silicon*, **109-110**, 349(1996).
- [4] D. Green, et al., *Tetrahedron*, **50**, 5099(1994).
- [5] H. Sasai, S. Arai, Y. Tahara and M. Shibasaki, *J. Org. Chem.*, **60**, 6656(1995).