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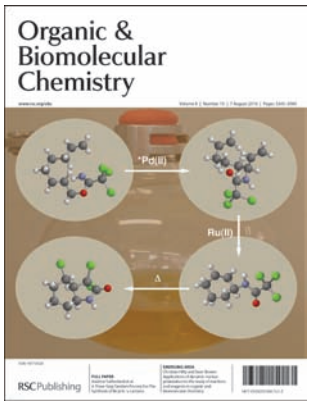
ISSN 1477-0520 CODEN OBCRAK 8(15) 3345–3580 (2010)



Cover
See Sutherland *et al.*, pp. 3418–3425.
A one-pot, three-step tandem process involving an Overman rearrangement, a ring closing metathesis reaction and a Kharasch cyclisation has been developed for the asymmetric synthesis of bicyclic γ-lactams.

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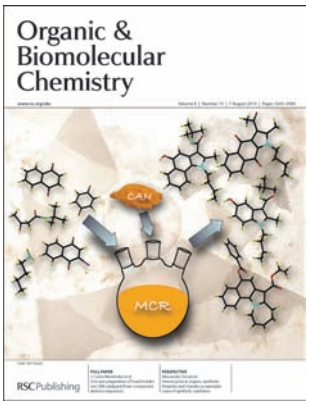

Inside cover
See Menéndez *et al.*, pp. 3426–3436.
The inside cover picture shows the range of structurally diverse indole-related nitrogen heterocycles that can be synthesized on the basis of a CAN-catalyzed three-component reaction between primary amines, β-dicarbonyl compounds and (2-bromo)naphthoquinones.

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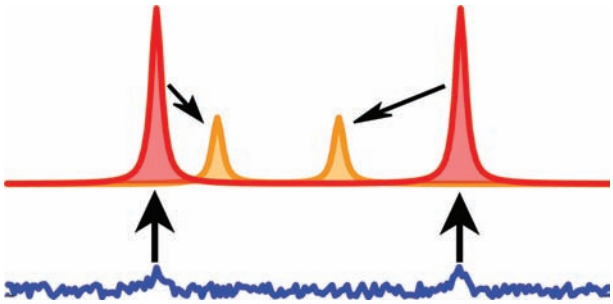
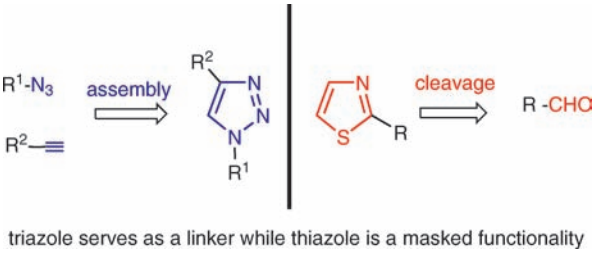
EMERGING AREA



PERSPECTIVE



triazole serves as a linker while thiazole is a masked functionality

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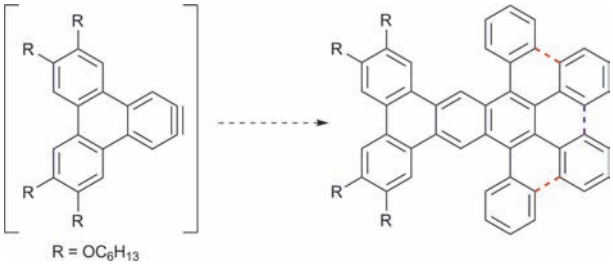

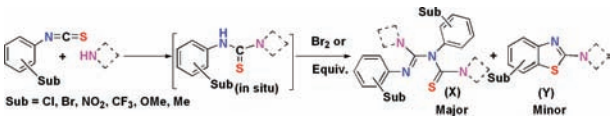

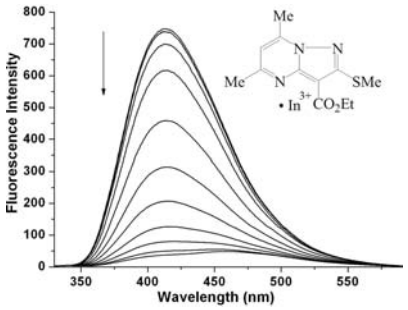

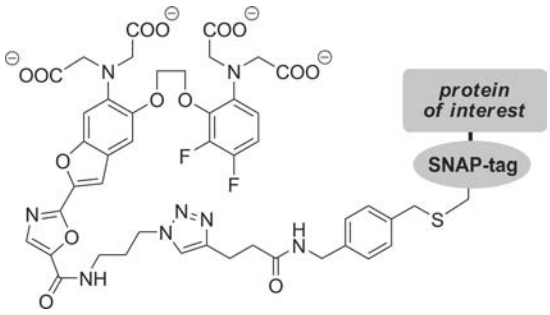

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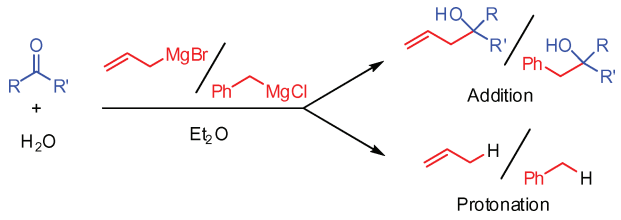

Ultrafast Grignard addition reactions in the presence of water

Gyorgyi Osztrovszky, Torkil Holm* and Robert Madsen*

For two highly reactive Grignard reagents (allylMgBr and benzylMgCl) the rate of carbonyl addition is comparable to the rate of protonation by water.

PAPERS

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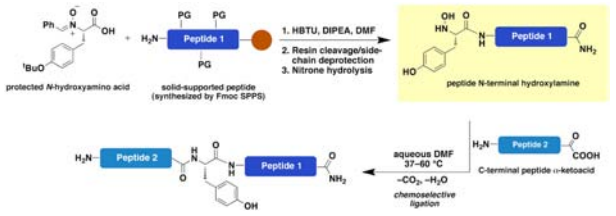
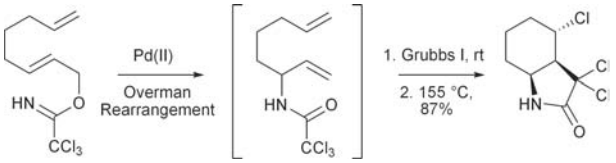


Nitrone protecting groups for enantiopure *N*-hydroxyamino acids: synthesis of N-terminal peptide hydroxylamines for chemoselective ligations

S. Irene Medina, Jian Wu and Jeffrey W. Bode*

Enantiopure peptide N-terminal hydroxylamines are key substrates for a chemoselective ligation with α-ketoacids to form native peptide bonds. A robust method for their synthesis in enantiopure form *via* *N*-benzylidene nitrone protected intermediates is described. This procedure provides intermediates and protocols compatible with Fmoc-based solid phase peptide synthesis.

3418

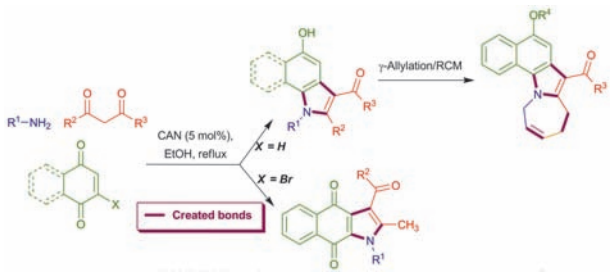


A three-step tandem process for the synthesis of bicyclic γ-lactams

Fiona I. McGonagle, Lindsay Brown, Andrew Cooke and Andrew Sutherland*

A one-pot, three-step tandem process has been developed for the direct synthesis of functionalised bicyclic [3.3.0], [4.3.0] and [5.3.0] γ-lactams from simple allylic trichloroacetimidates.

3426



Expedient, one-pot preparation of fused indoles *via* CAN-catalyzed three-component domino sequences and their transformation into polyheterocyclic compounds containing pyrrolo[1,2-*a*]azepine fragments

Padmakar A. Suryavanshi, Vellaisamy Sridharan and J. Carlos Menéndez*

The CAN-catalyzed three-component reaction between primary amines, β-dicarbonyl compounds and naphthoquinones or 2-bromonaphthoquinones afforded, respectively, 5-hydroxybenzo[*g*]indoles and benzo[*f*]indole-4,9-diones. Further transformations were also studied.

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Introducing Dr Ming-Qiang Zhang

Associate Editor

Ming-Qiang Zhang is Chief Technology Officer and Vice-President for External Research at Roche R&D Centre (China). He also holds a professorship at HuaQiao University, China. He is one of the pioneers in applying novel molecular modalities such as supramolecular chemistry and synthetic biology to drug discovery and has led the discovery of multiple candidate drugs progressed to approval or clinical development for treatment of CNS, cancer, inflammatory and infectious diseases. He is a co-recipient of the RSC Malcolm Campbell Memorial Prize in Biological and Medicinal Chemistry (2007) and Nexxus Life Science Award for Innovation (2008) for the discovery of sugammadex (Bridion®, Merck & Co), the first-in-class muscle relaxant reversing agent approved for clinical use. Dr Zhang received his PhD in medicinal chemistry from the University of Antwerp in Belgium (1990) and did his post-doctoral research at Vrije Universiteit Amsterdam in the Netherlands (1991). After working at the Leiden-Amsterdam Center for Drug Research (1991-1997), he joined Organon Laboratories where he was the Section Head of Medicinal Chemistry before becoming Director of Medicinal Chemistry at Shire Pharmaceuticals (2002-2004). In 2004 he joined Biotica Technology initially as Vice-President of Research and then Senior Vice-President of Research & Development (2004-2009), where he played a key role in transforming the company to drug discovery and was instrumental in establishing the two significant R&D partnerships with Wyeth Pharmaceuticals (now Pfizer) and GlaxoSmithKline, respectively. He also co-founded ViroChem Pharma Inc in 2004, which was acquired by Vertex in 2009.

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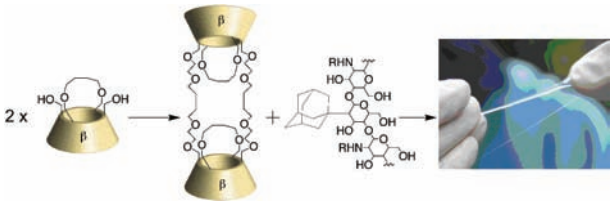

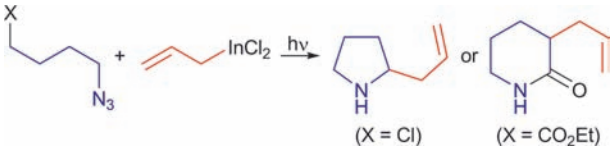

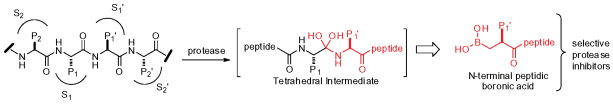

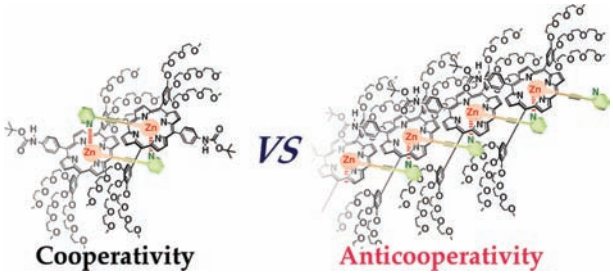

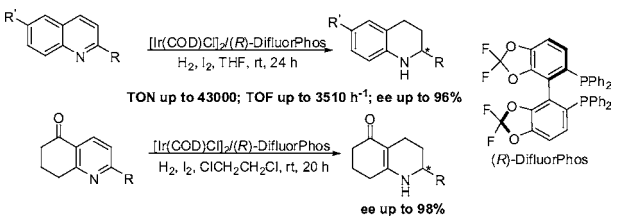

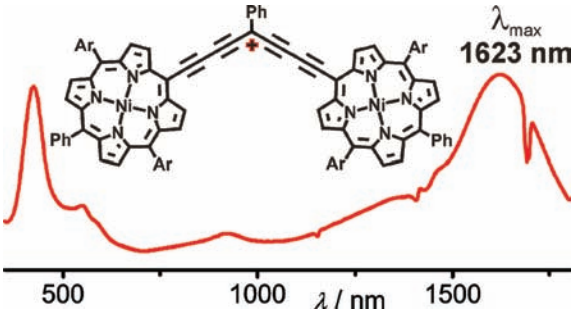

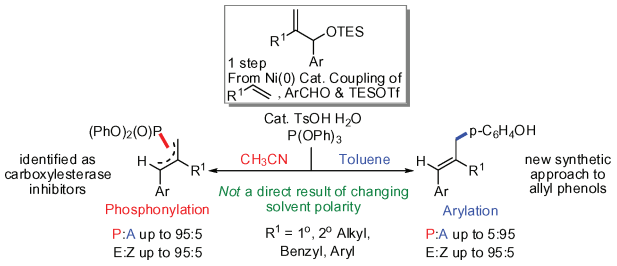

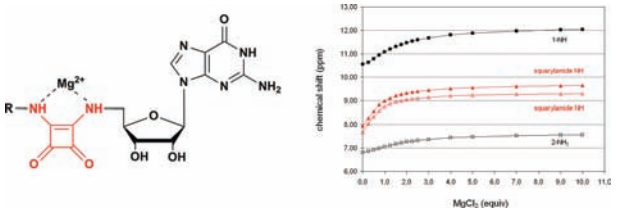

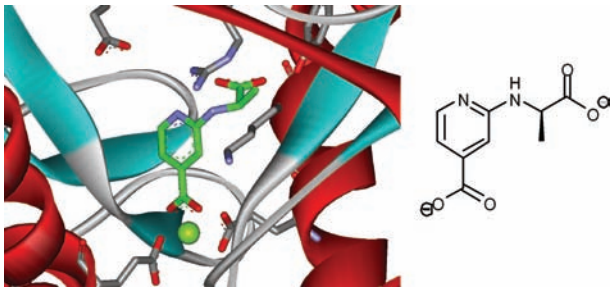

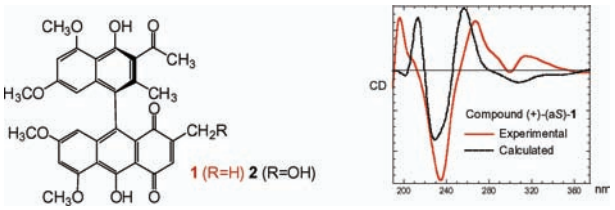

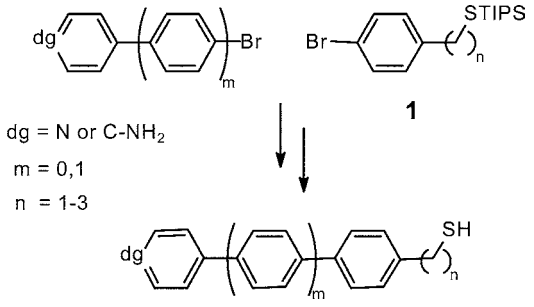

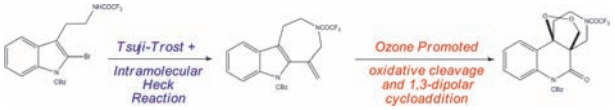

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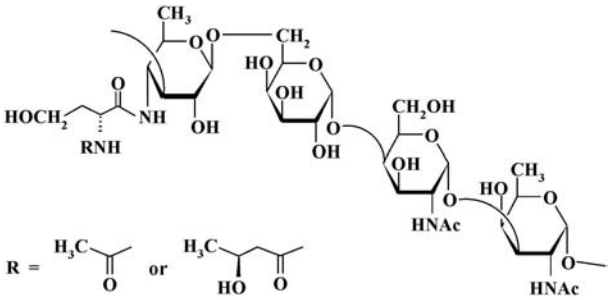

A peculiar feature of the O-antigen of the bacterium *A. lwoffii* EK30A is the presence of D-homoserine N-substituted with either acetyl group (~50%) or with (*S*)-3-hydroxybutanoyl group (~50%).

* Indicates the author for correspondence: see article for details.

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AUTHOR INDEX