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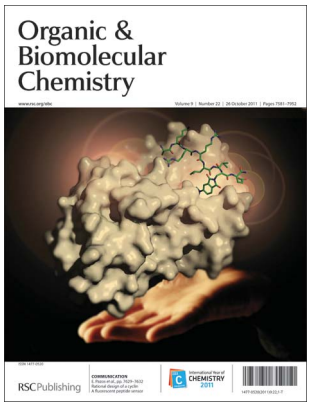
IN THIS ISSUE

ISSN 1477-0520 CODEN OBCRAK 9(22) 7581–7952 (2011)



Cover

See E. Pazos *et al.*, pp. 7629–7632.

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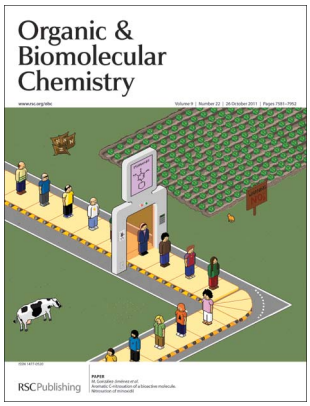

Inside cover

See M. González-Jiménez *et al.*, pp. 7680–7684.

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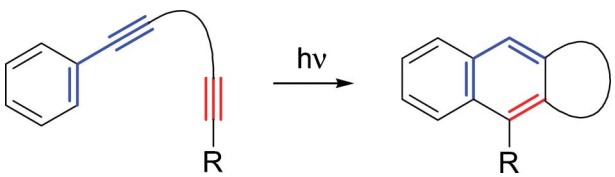
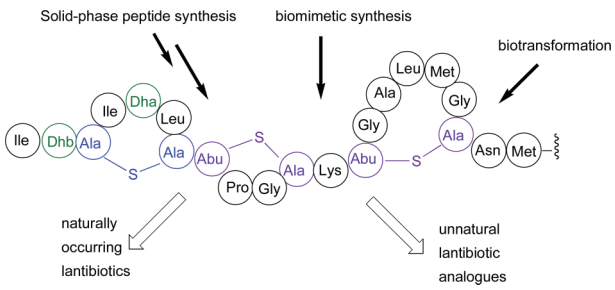
EMERGING AREA



PERSPECTIVE



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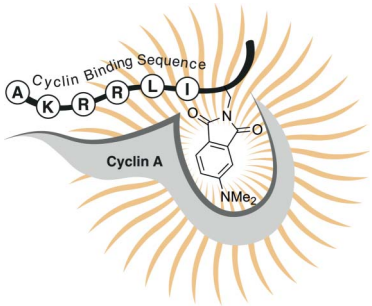

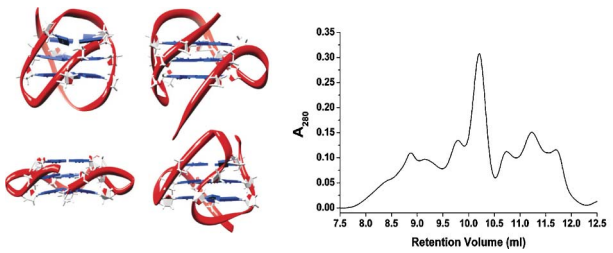

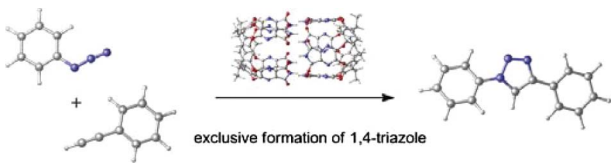

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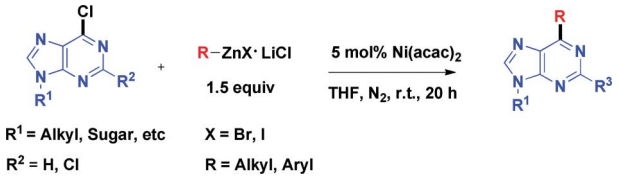
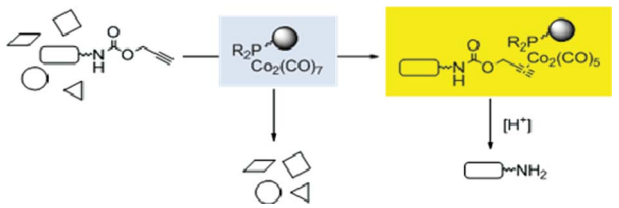


Nickel-catalyzed Negishi cross-couplings of 6-chloropurines with organozinc halides at room temperature

Dong-Chao Wang, Hong-Ying Niu, Gui-Rong Qu,* Lei Liang, Xue-Jiao Wei, Yang Zhang and Hai-Ming Guo*

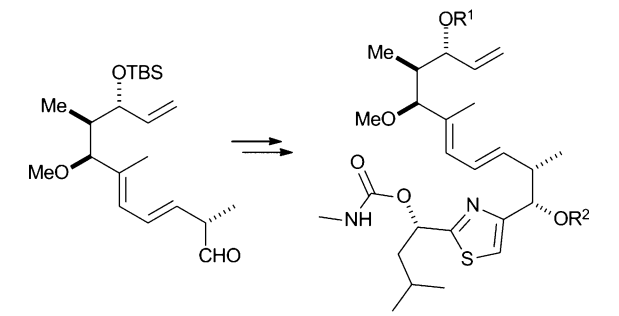
An efficient method for the synthesis of 6-alkyl or 6-aryl purines was developed *via* ligand-free nickel-catalyzed Negishi cross-couplings of 6-chloropurines and organozinc halides, giving good to excellent yields.



Catch and release of alkyne-tagged molecules in water by a polymer-supported cobalt complex

Hiromichi Egami, Shinji Kamisuki, Kosuke Dodo, Miwako Asanuma, Yoshitaka Hamashima and Mikiko Sodeoka*

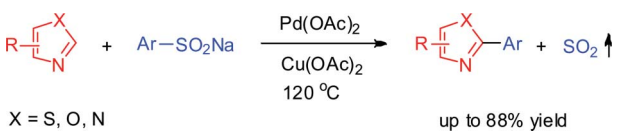
Direct catch and release reactions of an alkyne-tagged molecule in aqueous media were demonstrated using the PS-PEG-supported cobalt carbonyl complex, under mild conditions compatible to biochemical experiments.



Synthesis and activity of the archazolid western hemisphere

Ann B. Tran, Geoffrey C. Melly, Ryan Doucette, Brook Ashcraft, Leanne J. Sebren, Nathan Havko, Jeffery C. Young and Gregory W. O'Neil*

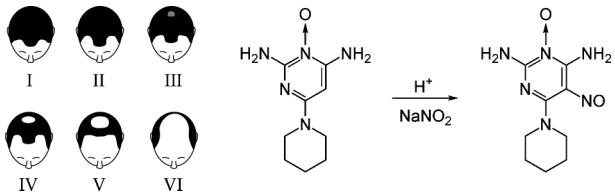
A synthesis of the archazolid western hemisphere was completed and its V-ATPase inhibitory activity evaluated using a convenient plant-based assay.

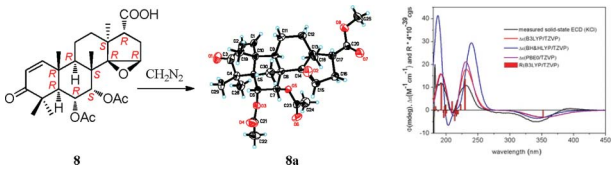


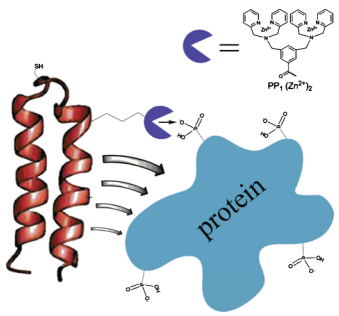
Palladium-catalyzed desulfitative C–H arylation of azoles with sodium sulfinates

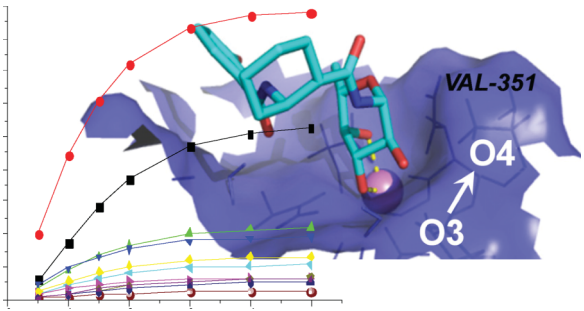
Ru Chen, Saiwen Liu, Xinhua Liu, Luo Yang and Guo-Jun Deng*

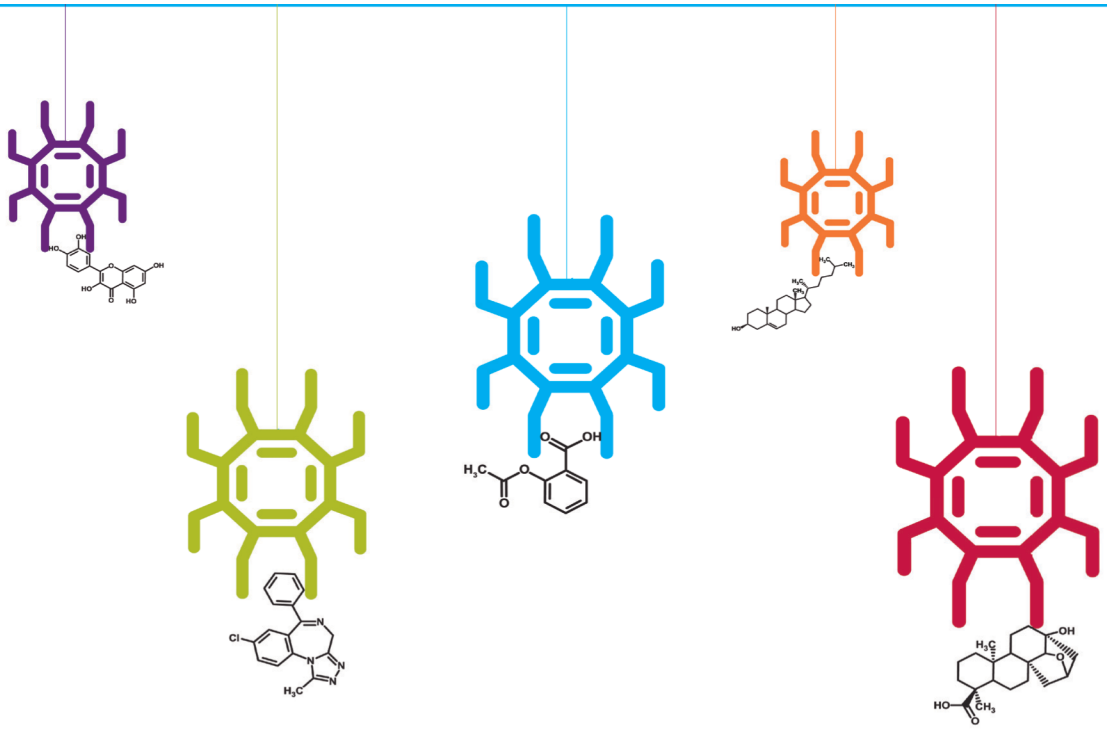
A palladium-catalyzed desulfitative C–H arylation of azoles with sodium sulfinates using $Cu(OAc)_2 \cdot H_2O$ as oxidant has been discovered. The reaction proceeded well for a range of different substrates under oxidative conditions.











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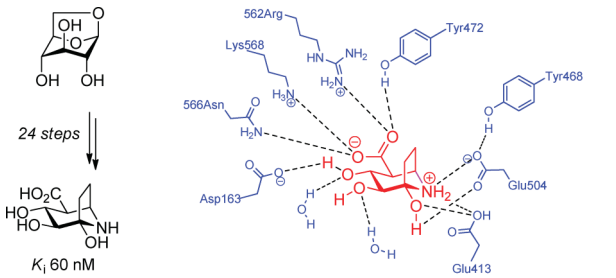


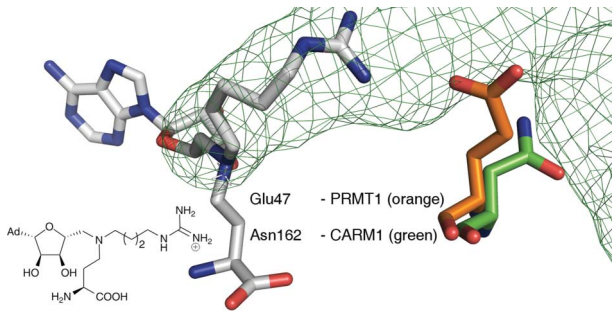
Docking of uronic-Noeurostegine in E. coli β-glucuronidase

Synthesis of *uronic*-Noeurostegine – a potent bacterial β-glucuronidase inhibitor

Tina S. Rasmussen, Heidi Koldsø, Shinpei Nakagawa, Atsushi Kato, Birgit Schiøtt and Henrik H. Jensen*

A new, stable hemi-aminal mimic of glucuronic acid could potentially be used in combination with Irinotecan in cancer treatment.

7814

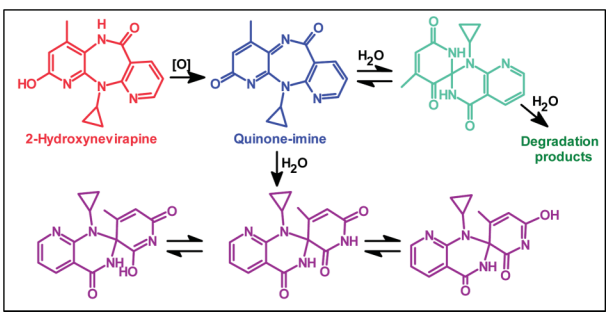


Small molecule inhibitors that discriminate between protein arginine *N*-methyltransferases PRMT1 and CARM1

James Dowden,* Richard A. Pike, Richard V. Parry, Wei Hong, Usama A. Muhsen and Stephen G. Ward

One amino acid difference between protein arginine methyltransferases PRMT1 and CARM1 may explain the remarkable selectivity observed for inhibitors characterised herein.

7822

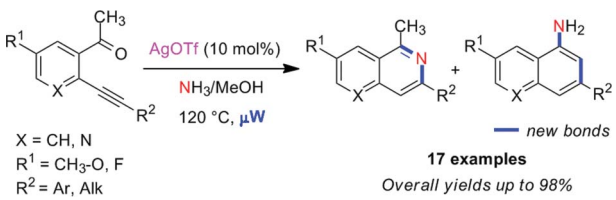


Synthesis and oxidation of 2-hydroxynevirapine, a metabolite of the HIV reverse transcriptase inhibitor nevirapine

Alexandra M. M. Antunes,* David A. Novais, J. L. Ferreira da Silva, Pedro P. Santos, M. Conceição Oliveira, Frederick A. Beland and M. Matilde Marques*

Oxidation of 2-hydroxynevirapine, a metabolite of the anti-HIV drug nevirapine, proceeds through an electrophilic quinone-imine intermediate, which could play a role in nevirapine-induced toxicity.

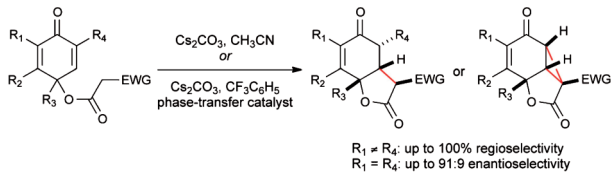
7836



Silver-catalysed intramolecular cyclisation of 2-alkynylacetophenones and 3-acetyl-2-alkynylpyridines in the presence of ammonia

Monica Dell'Acqua, Giorgio Abbiati,* Antonio Arcadi and Elisabetta Rossi

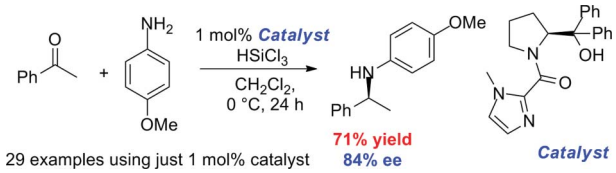
A new silver-catalysed/microwave-assisted approach to 2-methylisoquinolines and 5-methyl-1,6-naphthyridines by tandem addition/cyclisation of γ-ketoalkynes with ammonia.



Regioselective and stereoselective cyclizations of cyclohexadienones tethered to active methylene groups

Rodolfo Tello-Aburto, Kyle A. Kalstabakken, Kelly A. Volp and Andrew M. Harned*

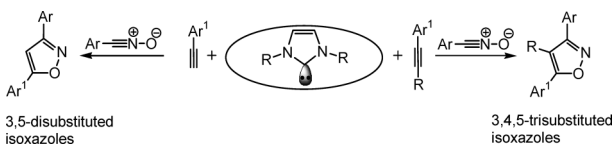
The ability of steric and electronic influences to control the regioselectivity of cyclizations onto cyclohexadienones has been studied along with the ability of chiral phase-transfer catalysts to desymmetrize achiral cyclohexadienones.



Scope of the organocatalysed asymmetric reductive amination of ketones with trichlorosilane

François-Moana Gautier, Simon Jones,* Xianfu Li and Stephen J. Martin

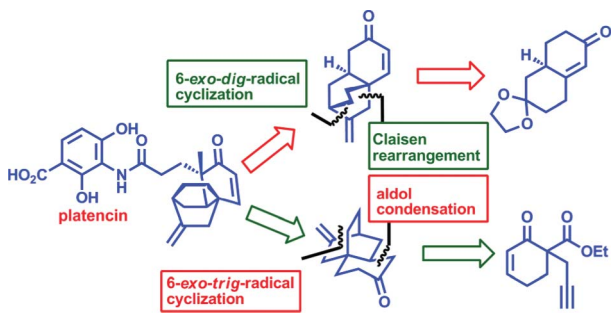
A highly active organocatalyst has been shown to affect the asymmetric reductive amination of ketones producing both aromatic and aliphatic amines. The efficient synthesis of the calcimimetic (+)-NPS R-568 demonstrated the synthetic applicability of this methodology.



N-Heterocyclic carbene-catalyzed 1,3-dipolar cycloaddition reactions: a facile synthesis of 3,5-di- and 3,4,5-trisubstituted isoxazoles

Shravankumar Kankala, Ravinder Vadde* and Chandra Sekhar Vasam*

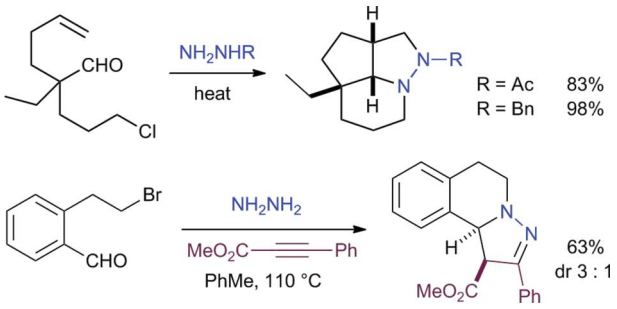
A first example of nucleophilic *N*-heterocyclic carbene as catalyst to exploit the click-type fast 1,3-dipolar cycloaddition of nitrile oxide with a variety of alkynes for the regioselective synthesis of desired isoxazoles in high yields is described.



A radical cyclization approach to the formal total syntheses of platencin

Kalanidhi Palanichamy, Ayyagari V. Subrahmanyam and Krishna P. Kaliappan*

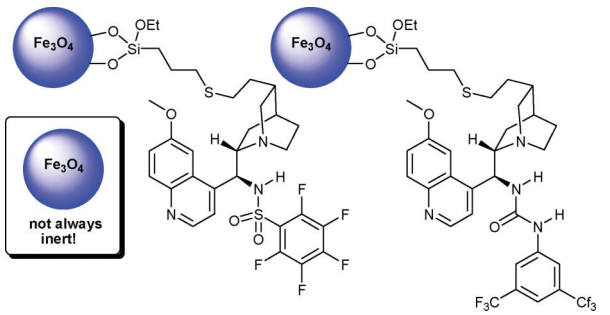
A couple of short and efficient strategies have been developed for the formal synthesis of platencin using Claisen rearrangement, radical cyclization and aldol condensation as key steps with minimal or no use of protective group operations.



Cascade cyclization, dipolar cycloaddition of azomethine imines for the synthesis of pyrazolidines

Hélène D. S. Guerrand, Harry Adams and Iain Coldham*

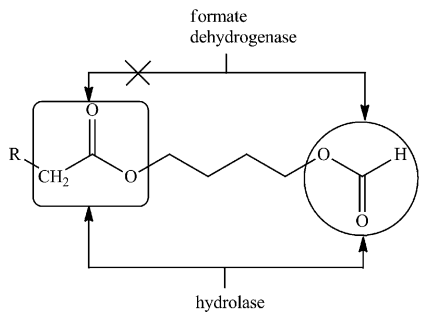
Heating an aldehyde containing an alkyl halide tether with hydrazines leads to intermediate hydrazones and, after cyclization, azomethine imines that undergo dipolar cycloaddition.



The immobilisation of chiral organocatalysts on magnetic nanoparticles: the support particle cannot always be considered inert

Oliver Gleeson, Gemma-Louise Davies, Aldo Peschiulli, Renata Tekoriute, Yurii K. Gun'ko* and Stephen J. Connon*

The immobilisation of chiral organocatalysts onto magnetic nanoparticles is not always straightforward- the nanoparticle can be more than a spectator.



Formate dehydrogenase - a biocatalyst with novel applications in organic chemistry

Peter Fröhlich, Kristin Albert and Martin Bertau*

Formate dehydrogenase affords selective deprotection of formylated alcohols while acylated alcohols remain unaffected.

