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An international journal of synthetic, physical and biomolecular organic chemistry

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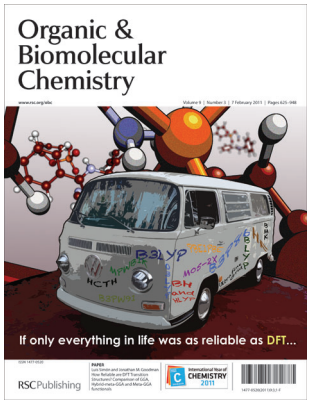
IN THIS ISSUE

ISSN 1477-0520 CODEN OBCRAK 9(3) 625–984 (2011)



Cover

See Luis Simón and Jonathan M. Goodman, pp. 689–700.

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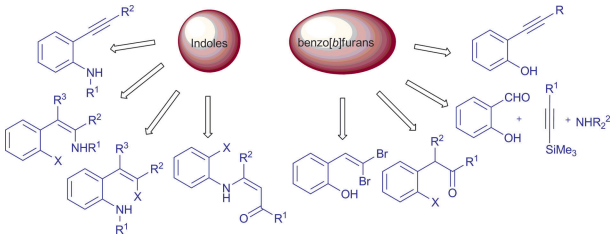
PERSPECTIVE



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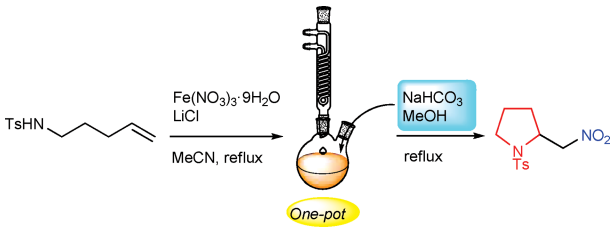

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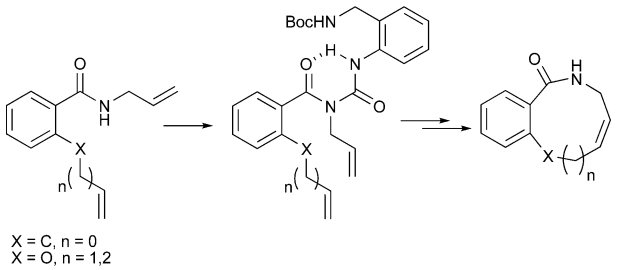
Benzoylureas as removable *cis* amide inducers: synthesis of cyclic amides *via* ring closing metathesis (RCM)

Ryan M. Brady, Yelena Khakham, Guillaume Lessene* and Jonathan B. Baell*

Through an intramolecular hydrogen bond, the benzoylurea motif induces a *cis*-amide conformation that allows rapid and high yielding synthesis of lactams.

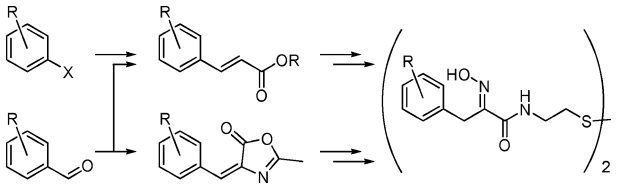


659

New synthetic strategies towards psammaplin A, access to natural product analogues for biological evaluation

Matthias G. J. Baud, Thomas Leiser, Franz-Josef Meyer-Almes and Matthew J. Fuchter*

New synthetic routes to psammaplin A were developed, using commercially available starting materials and allowing access to analogues not accessible *via* reported methods. Preliminary studies revealed these compounds to be the most potent non peptidic inhibitors of histone deacetylase 1 (class I) discovered so far.

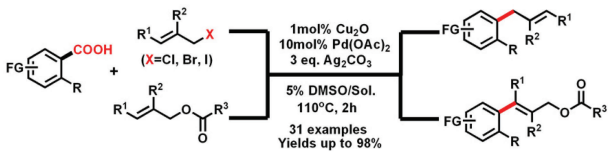


663

Pd(II)-catalyzed decarboxylative allylation and Heck-coupling of arene carboxylates with allylic halides and esters

Jiantao Wang, Zili Cui, Yuexia Zhang, Huajie Li, Long-Min Wu and Zhongquan Liu*

This work demonstrates an alternative method to prepare allylated arenes and aryl-substituted allylic esters *via* catalytic decarboxylative C–C bond formation using aromatic carboxylic acids and allylic halides and esters.



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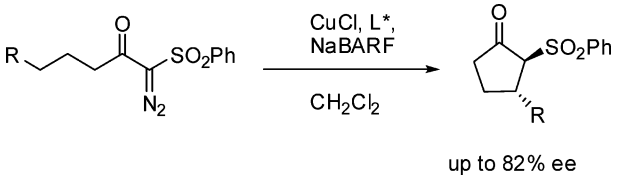
Asymmetric copper-catalysed intramolecular C–H insertion reactions of α-diazo-β-keto sulfones

Catherine N. Slattery and Anita R. Maguire*

Asymmetric copper-catalysed intramolecular C–H insertion reactions of a series of α-diazo-β-keto sulfones are reported. Enantioselectivities of up to 82% ee were achieved in moderate to good yield. These results represent the highest level of enantiocontrol achieved to date for a copper-catalysed cyclopentanone synthesis *via* C–H insertion.



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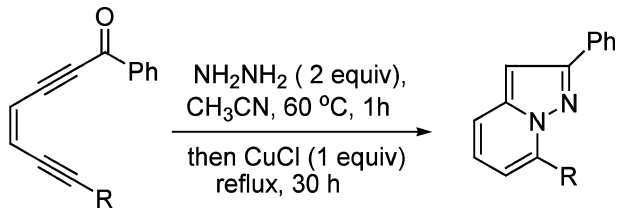

A copper-mediated cyclization reaction of hydrazine with enediynones providing pyrazolo[1,5-*a*]pyridines

Hung-Chou Wu, Long-Chih Hwang and Ming-Jung Wu*

This article describes a unique tandem cyclization of enediyne to give 2,7-disubstituted pyrazolo[1,5-*a*]pyridines by the reaction of hydrazine with enediynones mediated by copper chloride.

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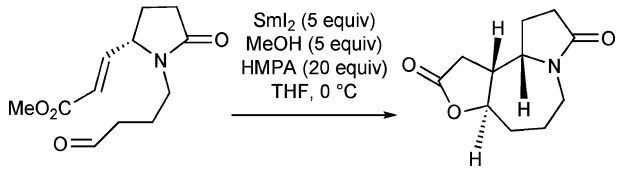

Efficient total synthesis of (−)-stemoamide

Toshio Honda,* Tomoha Matsukawa and Kazunori Takahashi

SmI_2-promoted 7-*exo-trig* cyclization occurred stereoselectively to construct a basic skeleton of stemoamide.

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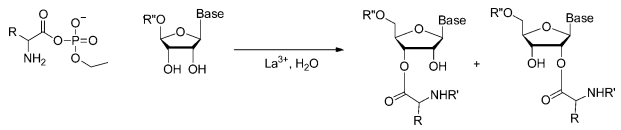

Biomimetic protecting-group-free 2′, 3′-selective aminoacylation of nucleosides and nucleotides

Sohyoung Her and Ronald Kluger*

Efficient lanthanum-promoted aminoacylation of ribonucleosides and ribonucleotides can be achieved with an aminoacyl phosphate ester with a free amino group.

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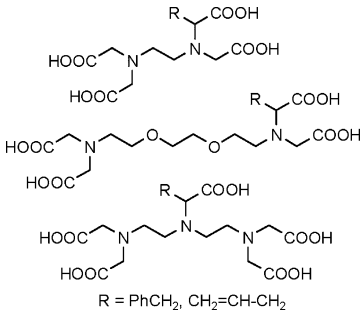

Stevens rearrangement as a tool for the structural modification of polyaminopolycarboxylic ligands

Giovanni B. Giovenzana,* Daniela Imperio, Luciano Lattuada and Fulvio Uggeri

α-Substituted EDTA,EGTA,DTPA ligands are obtained through a one-step protocol involving *N*-alkylation and Stevens rearrangement.

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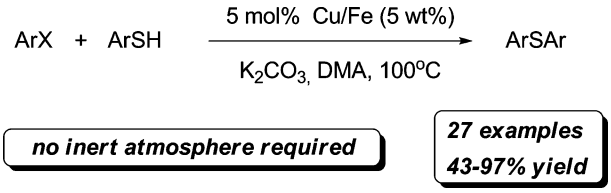

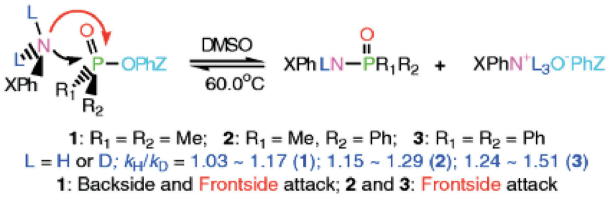

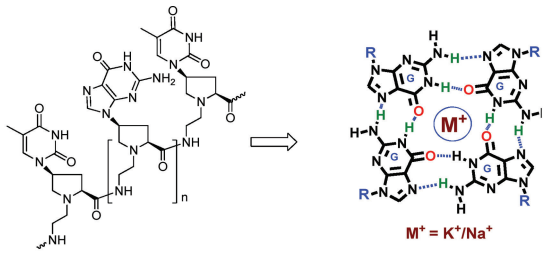

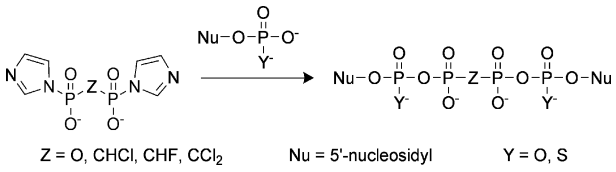

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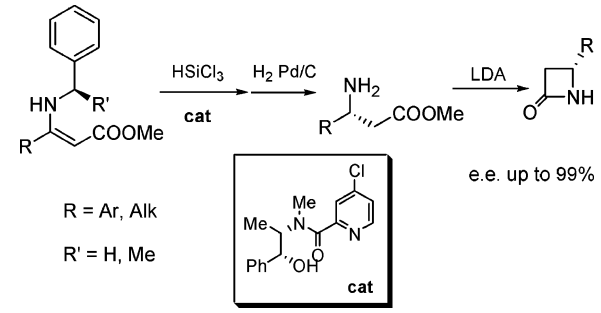

Triclorosilane-mediated stereoselective synthesis of β-amino esters and their conversion to highly enantiomerically enriched β-lactams

Stefania Guizzetti,* Maurizio Benaglia,* Martina Bonsignore and Laura Raimondi

A highly stereoselective trichlorosilane-mediated reduction of *N*-benzyl enamines was developed; easy deprotection by hydrogenolysis allowed to synthesize enantiomerically pure β-lactams.

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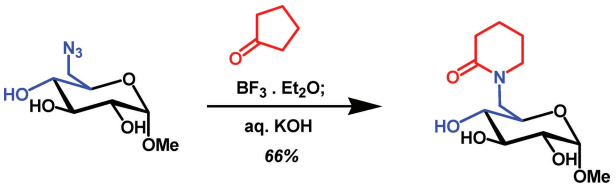
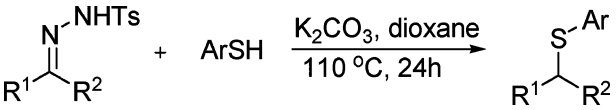


Synthesis of novel sugar-lactam conjugates using the Aubé reaction

Suresh E. Kurhade, Tanaji Mengawade, Debnath Bhuniya, Venkata P. Palle and D. Srinivasa Reddy*

The synthesis of novel sugar-lactam conjugates is reported starting from readily available sugar azides using the Aubé reaction. Cyclic azido alcohols are used in the Aubé reaction for the first time in a carbohydrate setting.

748

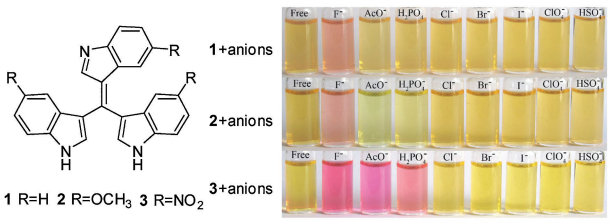


Synthesis of thioethers *via* metal-free reductive coupling of tosylhydrazones with thiols

Qiuping Ding,* Banpeng Cao, Jianjun Yuan, Xianjin Liu and Yiyuan Peng*

An efficient, simple, inexpensive and metal-free procedure for the synthesis of thioethers is described *via* the base-promoted reductive coupling of tosylhydrazones with thiols through an insertion of a carbene into the S–H bond.

752



Tris(indolyl)methene molecule as an anion receptor and colorimetric chemosensor: tunable selectivity and sensitivity for anions

Litao Wang, Xiaoming He, Yong Guo, Jian Xu and Shijun Shao*

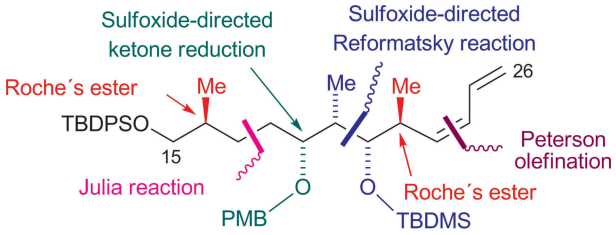
New anion receptors and colorimetric chemosensors based on tris(indolyl)methene skeleton were synthesized, which display tunable selectivity and sensitivity for anions.

758

Stereoselective synthesis of the C15–C26 fragment of the antitumor agent (–)-dictyostatin

Leticia Ferreiro-Mederos, Silvia Vila-Gisbert, Antonio Urbano,* M. Carmen Carreño* and Françoise Colobert*

The synthesis of the C15–C26 fragment of (–)-dictyostatin is reported in 10 steps and 28% overall yield, the key steps being the two stereoselective sulfoxide-directed processes.



C15-C26 fragment of (-)-dictyostatin

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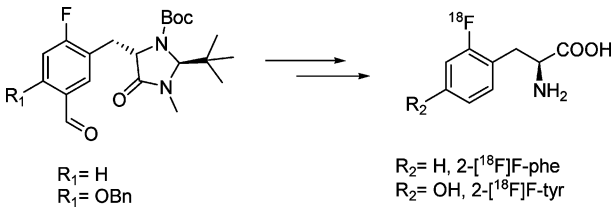
Enantiospecific synthesis of 2-[^{18}F]fluoro-L-phenylalanine and 2-[^{18}F]fluoro-L-tyrosine by isotopic exchange

Johnny Castillo Meleán, Johannes Ermert* and Heinz H. Coenen

2-[^{18}F]Fluoro-L-phenylalanine and 2-[^{18}F]fluoro-L-tyrosine were synthesized by isotopic exchange on corresponding precursors, followed by removal of the formyl group with $Rh(PPh_3)_3Cl$ and subsequent hydrolysis of the protecting groups.



R_1= H
R_1= OBn

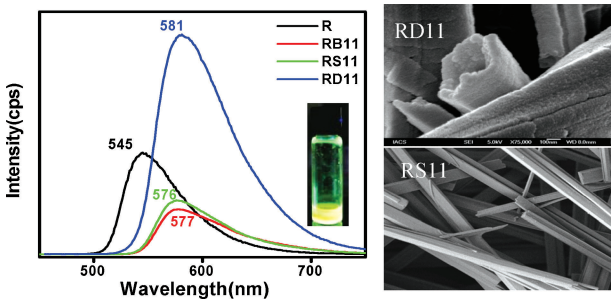
R_2= H, 2-[^{18}F]F-phe
R_2= OH, 2-[^{18}F]F-tyr

770

Effect of complementary small molecules on the properties of bicomponent hydrogel of riboflavin

Abhijit Saha, Bappaditya Roy, Aluri Esterrani and Arun K. Nandi*

Molecules complementary to riboflavin producing bicomponent hydrogels have a pronounced effect on morphology, structure, stability and optical property of the gels.



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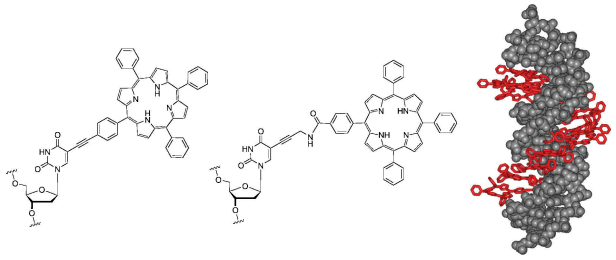
Introducing structural flexibility into porphyrin–DNA zipper arrays

Ashley Brewer, Guiliano Siligardi, Cameron Neylon and Eugen Stulz*

The synthesis of a novel tetraphenyl porphyrin modified nucleotide joined *via* a propargyl amide linker is presented. The spectroscopic data and molecular modelling reveal differences in structure and stability, depending on the linker (alkyne, amide) used. At higher concentrations, formation of bundles is observed.



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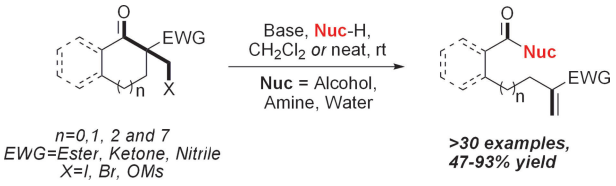

The Grob/Eschenmoser fragmentation of cycloalkanones bearing β-electron withdrawing groups: a general strategy to acyclic synthetic intermediates

Judith Hierold, Tina Hsia and David W. Lupton*

Introduction of a β-electron withdrawing group to cycloalkanones allows broad ranging fragmentation to proceed with various nitrogen and oxygen nucleophiles.

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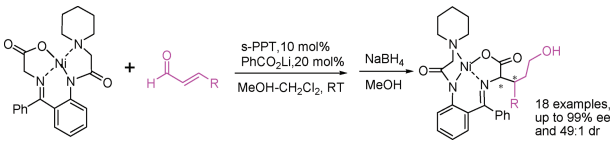
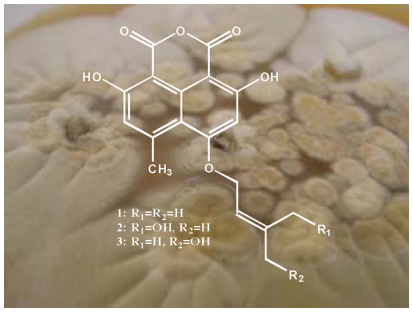


Catalytic asymmetric Michael addition of α,β-unsaturated aldehydes to Ni(II) complexes of the Schiff base of glycine

Xiaoyan Luo, Zhichao Jin, Pengfei Li, Jiabin Gao, Weimin Yue, Xinmiao Liang and Jinxing Ye*

The conjugate addition of Ni(II) complexes of the Schiff base of glycine to α,β-unsaturated aldehydes catalyzed by (*S*)-2-(diphenyl(trimethylsilyloxy)methyl)pyrrolidine afforded adducts in excellent yields with up to 49 : 1 dr and 99% ee.

802



Antimicrobial phenalenone derivatives from the marine-derived fungus *Coniothyrium cereale*

Mahmoud Fahmi Elsebai, Stefan Kehraus, Ulrike Lindequist, Florenz Sasse, Saad Shaaban, Michael Gütschow, Michaele Josten, Hans-Georg Sahl and Gabriele M. König*

The marine algae-derived fungus *Coniothyrium cereale* produces phenalenone derivatives with a variety of significant biological activities.

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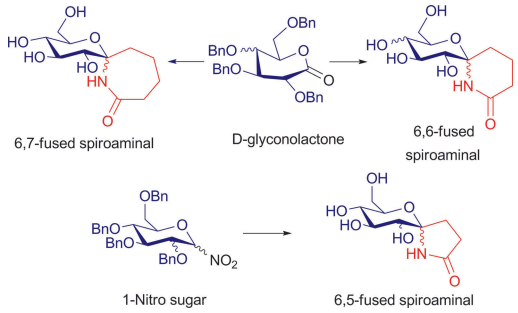
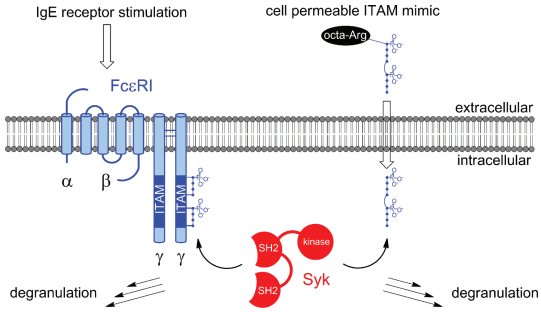
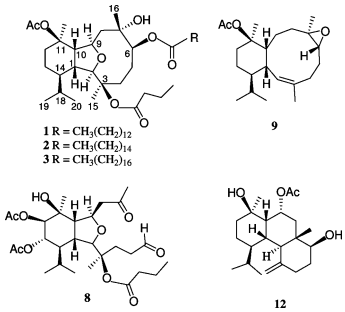


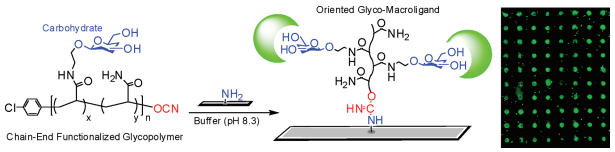
Synthesis of sugar-derived spiroaminals *via* lactamization and metathesis reactions

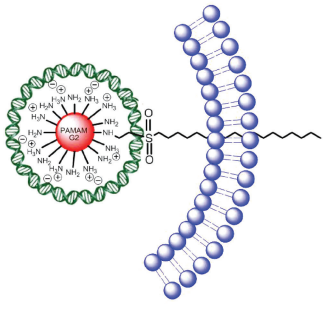
Adabala Pal John Pal, Parasuraman Kadigachalam, Asadulla Mallick, Venkata Ramana Doddi and Yashwant D. Vankar*

The lactamization and metathesis pathways provided a significant route for the synthesis of novel oxa-aza spiro compounds in good yields.









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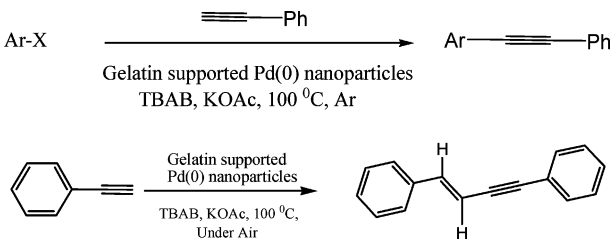

Gelatin as a bioorganic reductant, ligand and support for palladium nanoparticles. Application as a catalyst for ligand- and amine-free Sonogashira–Hagihara reaction

Habib Firouzabadi,* Nasser Iranpoor* and Arash Ghaderi

Herein, a novel method using palladium nanoparticles supported on gelatin to catalyze Sonogashira–Hagihara reaction is presented.

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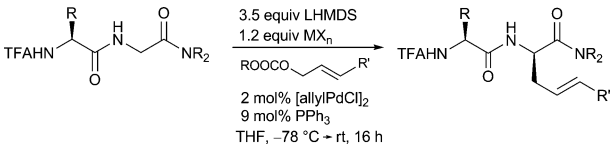

Stereoselective palladium-catalyzed allylic alkylations of peptide amide enolates

Swarup Datta and Uli Kazmaier*

Pd-catalyzed allylations are an excellent tool for stereoselective peptide modifications, being clearly superior to normal alkylations. The reactions proceed not only in high yield, but also high regio- and diastereoselectivities, and *trans*-products are formed exclusively. Therefore, this is a powerful synthetic tool for natural product and drug synthesis.

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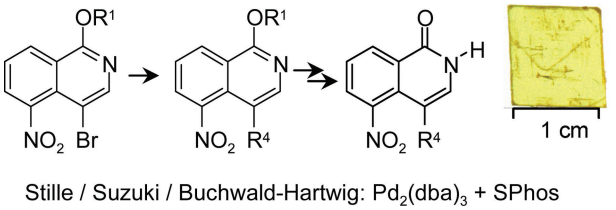
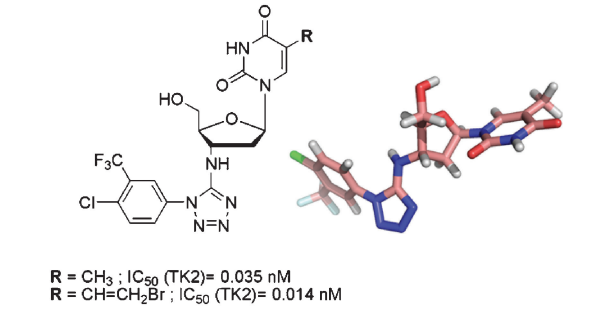


Synthesis of 4-alkyl-, 4-aryl- and 4-arylamino-5-aminoisoquinolin-1-ones and identification of a new PARP-2 selective inhibitor

Peter T. Sunderland, Archana Dhami, Mary F. Mahon, Louise A. Jones, Sophie R. Tully, Matthew D. Lloyd, Andrew S. Thompson, Hashim Javaid, Niall M. B. Martin and Michael D. Threadgill*

4-Substituted 5-nitro and 5-amino-isoquinolin-1-ones are accessible in good yields through Stille, Suzuki and Buchwald–Hartwig couplings to 1-alkoxy-4-bromo-5-nitro-isoquinolines.

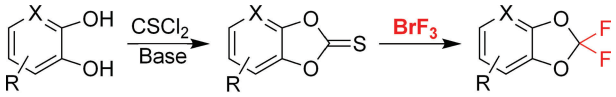
892



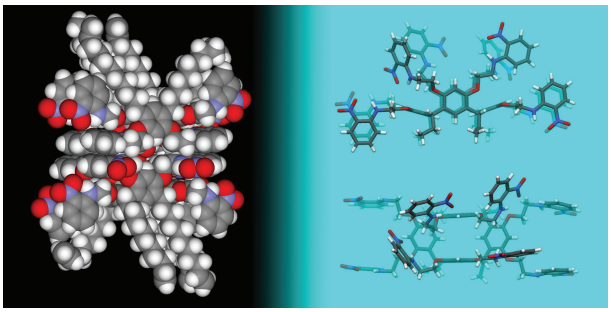
Synthesis, modeling and evaluation of 3′-(1-aryl-1*H*-tetrazol-5-ylamino)-substituted 3′-deoxythymidine derivatives as potent and selective human mitochondrial thymidine kinase inhibitors

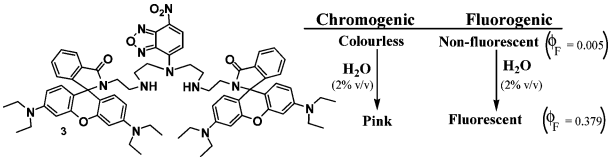
Sara Van Poecke,* Ana Negri, Jolien Janssens, Nicola Solaroli, Anna Karlsson, Federico Gago, Jan Balzarini and Serge Van Calenbergh

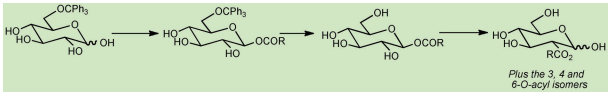
Replacement of the 3′-OH group of thymidine with a 3′-*N*-(1-aryltetrazol-5-yl)amino substituent was found to result in potent and selective inhibitors of thymidine kinase 2.



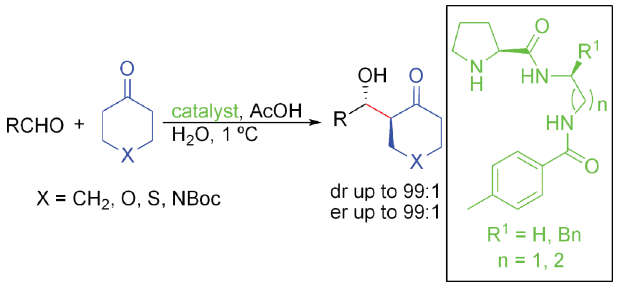
X = CH or N







935

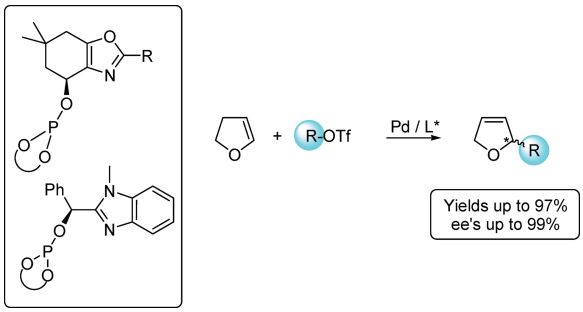


Highly diastereo- and enantioselective direct Barbas–List aldol reactions promoted by novel benzamidoethyl and benzamidopropyl prolinamides in water

Rafael Pedrosa,* José M. Andrés,* Rubén Manzano, David Román and Silvia Téllez

Excellent results in terms of yield and diastereo- and enantiocontrol have been obtained by using novel prolinamides, prepared from ethylene- and propylene diamines, in the Barbas–List aldol reaction.

941



Phosphite-oxazole/imidazole ligands in asymmetric intermolecular Heck reaction

Javier Mazuela, Paivi Tolstoy, Oscar Pàmies,* Pher G. Andersson* and Montserrat Diéguez*

We describe the first application of phosphite-oxazole/imidazole ligands in asymmetric intermolecular Pd-catalyzed Heck reactions under thermal and microwave conditions.

