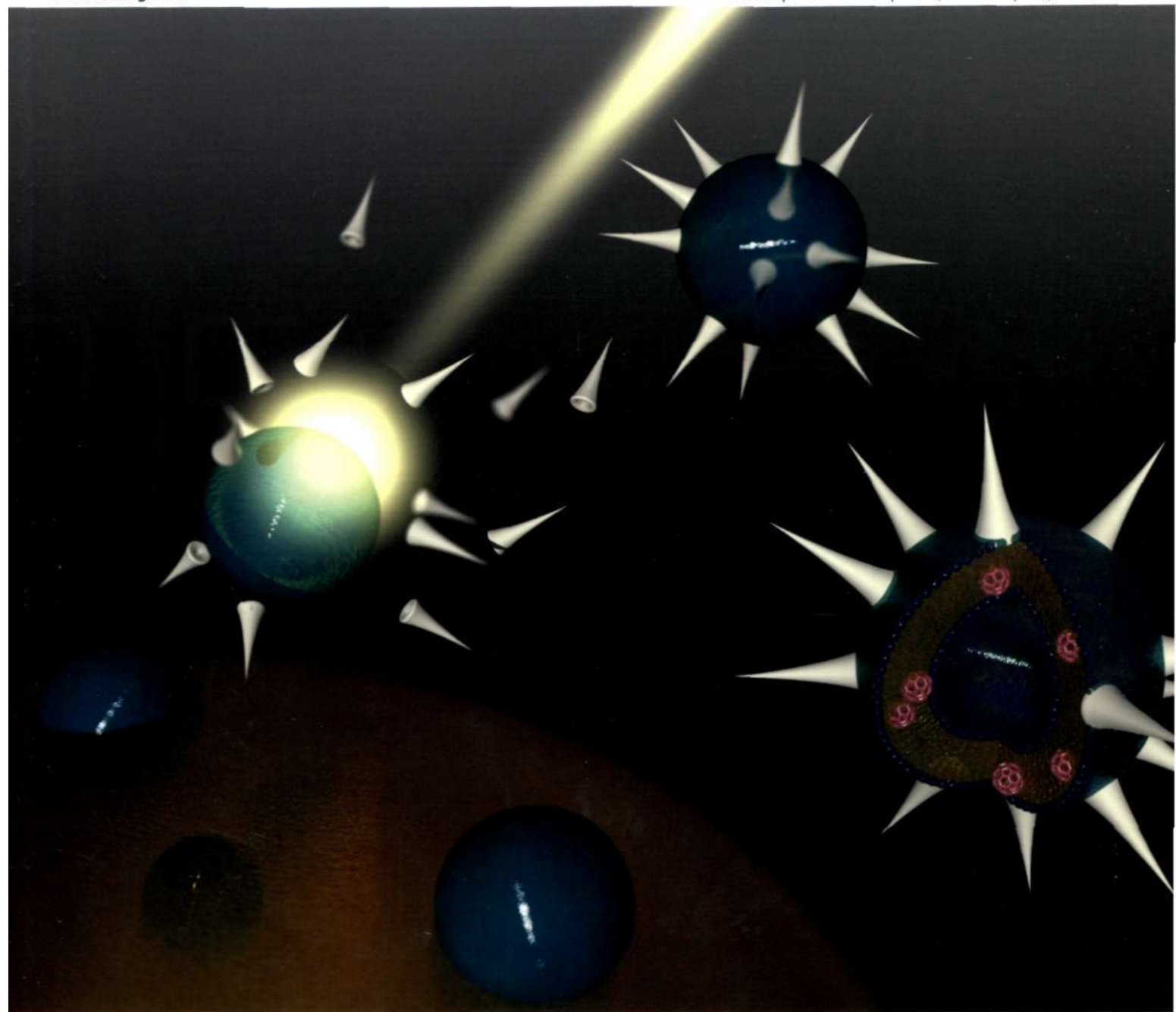


# Organic & Biomolecular Chemistry

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Volume 11 | Number 16 | 28 April 2013 | Pages 2545–2730



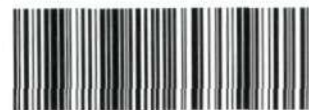
ISSN 1477-0520

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**COMMUNICATION**

Atsushi Ikeda *et al.*

A photo-triggerable drug carrier based on cleavage of PEG lipids by photosensitiser-generated reactive singlet oxygen



1477-0520(2013)11:16;1-A

# Organic & Biomolecular Chemistry

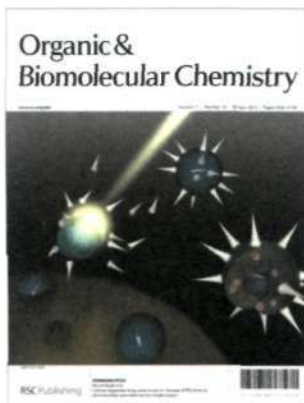
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## IN THIS ISSUE

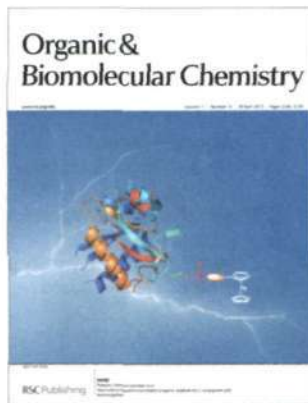
ISSN 1477-0520 CODEN OBCRAK 11(16) 2545–2730 (2013)



### Cover

See Atsushi Ikeda *et al.*, pp. 2567–2570.

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### Inside cover

See Francisco Santoyo-Gonzalez *et al.*, pp. 2586–2596.

Image reproduced by permission of Francisco Santoyo-Gonzalez from *Org. Biomol. Chem.*, 2013, **11**, 2586.

## PERSPECTIVE

2554

### Recent advances in the synthesis of aromatic nitro compounds

Guobing Yan\* and Minghua Yang

Recent advances in the synthesis of aromatic nitro compounds are summarized, including the nitration of aromatic hydrocarbons, aryl boronic acids, aryl halides and pseudohalides, aryl carboxylic acids and the oxidation of aryl primary amines and azides. Their mechanisms are discussed.



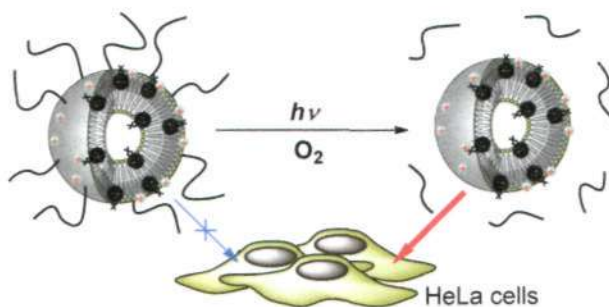
## COMMUNICATIONS

2567

### A photo-triggerable drug carrier based on cleavage of PEG lipids by photosensitizer-generated reactive singlet oxygen

Chikako Komeda, Atsushi Ikeda,\* Jun-ichi Kikuchi, Norihiro Ishida-Kitagawa, Hisashi Tatebe, Kazuhiro Shiozaki and Motofusa Akiyama

Singlet oxygen generated by a photo-activated fullerene derivative induced cleavage of PEG moieties from the surface of liposomes, and the photocleavage further improved the intracellular uptake of PEGylated liposomes.

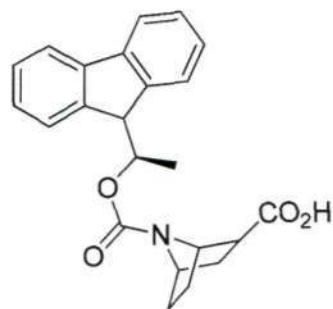


2571

### (+)-Fluorenylchloroformate (FLEC) – improved synthesis for application in chiral analysis and peptidomimetic synthesis

Michelle A. Camerino, David K. Chalmers and Philip E. Thompson\*

The synthesis and application of a chiral Fmoc-equivalent (FLEC) has been achieved for combined resolution and protection of unusual amino acids for use in solid phase peptide synthesis.

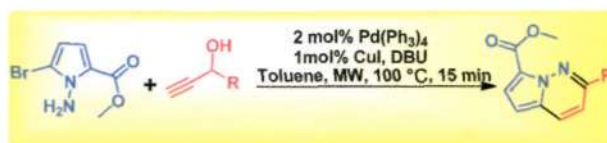


2574

### A novel convenient approach towards pyrrolo-[1,2-*b*]pyridazines through a domino coupling–isomerization–condensation reaction

Meng Wang, Cun Tan, Qian He, Yuyuan Xie and Chunhao Yang\*

A novel convenient approach towards pyrrolo[1,2-*b*]pyridazines through a Pd/Cu catalyzed domino reaction was developed. The products are versatile intermediates in many areas.

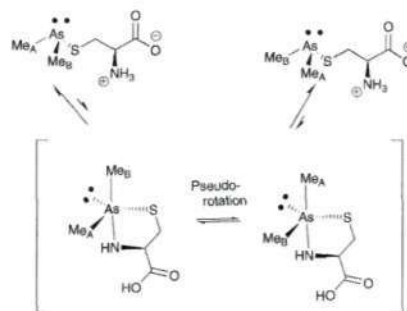


2578

### Facile dimethylarsenic exchange and pyramidal inversion in its cysteine and glutathione adducts

D. Scott Bohle\* and Yuxuan Gu

Biologically relevant dimethylarsenic(III) species are shown to have labile As–S bonds, we attempted to measure the kinetics of this interaction using dynamic NMR and proposed mechanisms for this interaction. Implications to arsenic drug therapy and arsenic poisoning.

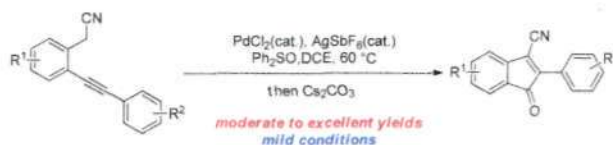


2582

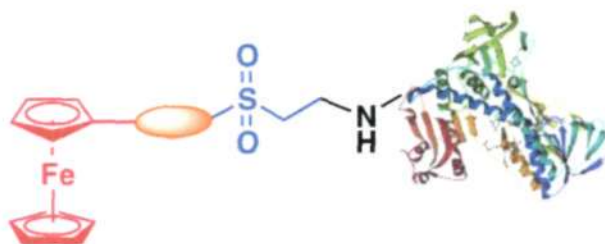
### Palladium(II)-catalyzed synthesis of functionalized indenones via oxidation and cyclization of 2-(2-arylethynylphenyl)acetonitriles

Xuxing Chen, Qian He, Yuyuan Xie and Chunhao Yang\*

A one-pot two-step synthesis of versatile indenones has been developed. The resulting 3-cyanoindenones can be converted to various valuable molecules.



2586

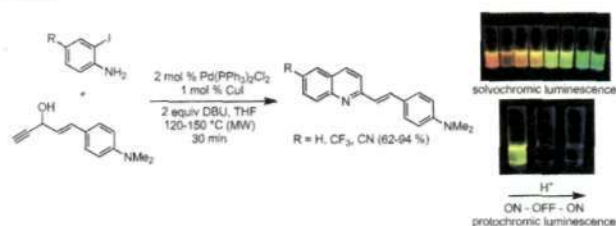


### Vinyl sulfone-based ferrocenylation reagents: applications in conjugation and bioconjugation

Alicia Megia-Fernandez, Fernando Hernandez-Mateo and Francisco Santoyo-Gonzalez\*

Vinyl sulfone derivatized ferrocenes are effective ferrocenylation reagents for conjugation and bioconjugation of amine and/or thiol containing molecules and biomolecules.

2597

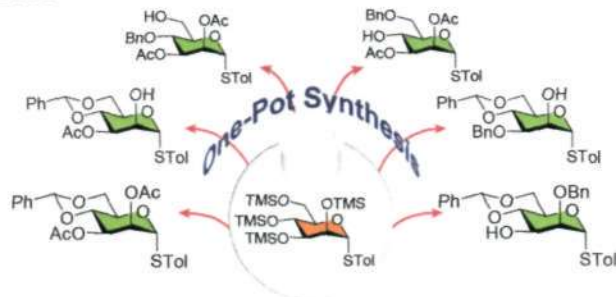


### Domino synthesis of protochromic "ON-OFF-ON" luminescent 2-styryl quinolines

Rahime Cinar, Jan Nordmann, Elena Dirksen and Thomas J. J. Müller\*

Novel protochromic "ON-OFF-ON" luminescent 2-styryl quinolines have been synthesized by a coupling-isomerization-cyclization domino reaction.

2605

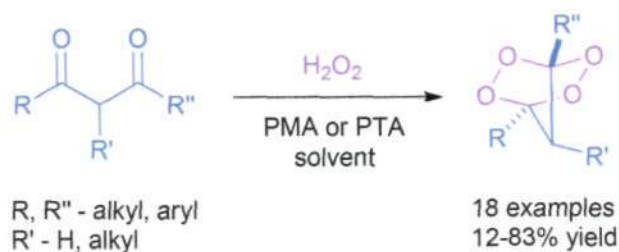


### Regioselective and stereoselective benzylidene installation and one-pot protection of D-mannose

Pratap S. Patil, Chia-Chen Lee, Yu-Wen Huang, Medel Manuel L. Zulueta and Shang-Cheng Hung\*

Regio- and stereoselective mono- and dibenzylidenations were developed and further incorporated into the one-pot synthesis of various thiomannoside building blocks.

2613



### Phosphomolybdic and phosphotungstic acids as efficient catalysts for the synthesis of bridged 1,2,4,5-tetraoxanes from $\beta$ -diketones and hydrogen peroxide

Alexander O. Terent'ev,\* Ivan A. Yaremenko, Vera A. Vil', Igor K. Moiseev, Sergey A. Kon'kov, Valery M. Dembitsky, Dmitri O. Levitsky and Gennady I. Nikishin

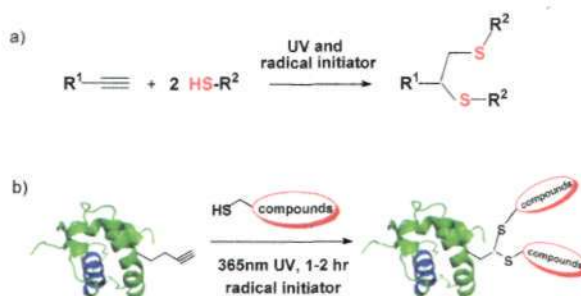
Phosphomolybdic acid and phosphotungstic acid efficiently catalyze the addition of H<sub>2</sub>O<sub>2</sub> to  $\beta$ -diketones.

2624

### Thiol-yne radical reaction mediated site-specific protein labeling *via* genetic incorporation of an alkynyl-L-lysine analogue

Yiming Li,\* Man Pan, Yitong Li, Yichao Huang and Qingxiang Guo

Site-specific thiol-yne labeling of proteins carrying an alkyne handle *via* genetically encoded alkynyl-pyrrolysine analogues.

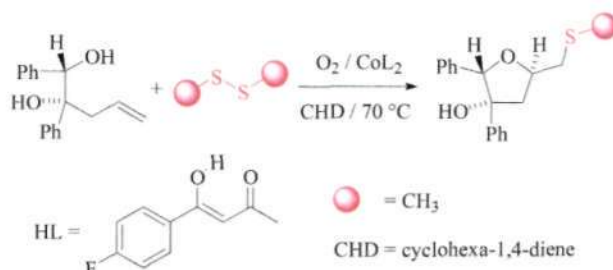


2630

### An aerobic oxidation/homolytic substitution-cascade for stereoselective methylsulfanyl-cyclization of 4-pentenols

Patrick Fries, Melanie Kim Müller and Jens Hartung\*

4-Pentenols (dihomoallylic alcohols) are oxidized by cobalt(II)-activated dioxygen in solutions of dimethyl disulfide and cyclohexa-1,4-diene to afford methylsulfanyl ( $CH_3S$ )-functionalized tetrahydrofurans in up to 74% yield.

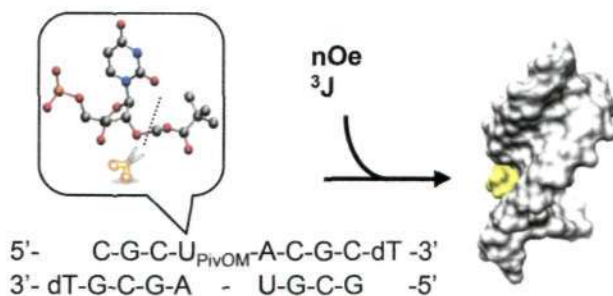


2638

### The biolabile 2'-O-pivaloyloxymethyl modification in an RNA helix: an NMR solution structure

Carine Baraguey,\* Eveline Lescrinier, Thomas Lavergne, Françoise Debart, Piet Herdewijn and Jean-Jacques Vasseur

PivOM is a bulky 2'-O-substituent released by the esterases. We have characterized here its conformation and the impact of its insertion into the groove on the A-RNA helix.

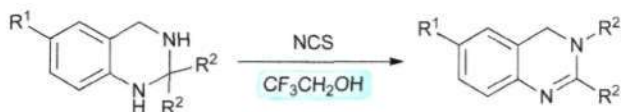


2648

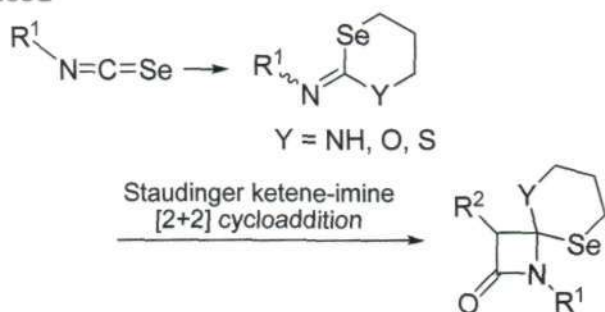
### Remarkable effect of $CF_3CH_2OH$ for the halogen induced oxidative rearrangement reaction of amins leading to 3,4-dihydroquinazolines

Kenichi Murai, Masato Shimura, Ryu Nagao, Daisuke Endo and Hiromichi Fujioka\*

$CF_3CH_2OH$  was found to be a useful solvent for the oxidative rearrangement reactions of amins promoted by *N*-chlorosuccinimide, which proceed *via* the intermediacy of *in situ* formed chloro-aminals and that produce 3,4-dihydroquinazolines.



2652

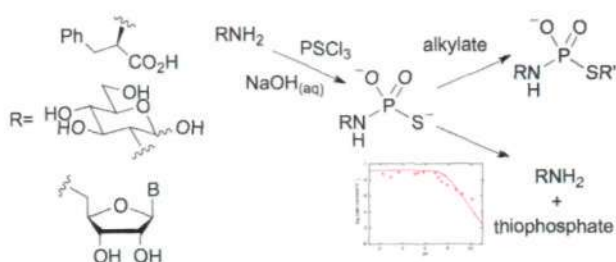


### The Staudinger reaction with 2-imino-1,3-thiaselenanes toward the synthesis of C4 spiro- $\beta$ -lactams

Yosuke Toyoda, Masayuki Ninomiya, Masahiro Ebihara and Mamoru Koketsu\*

The Staudinger ketene-imine [2 + 2] cycloaddition reaction for conversion of  $\alpha$ -heteroatom-substituted exocyclic imines to C4 heterocyclic spiro- $\beta$ -lactams has rarely been investigated due to their instability.

2660

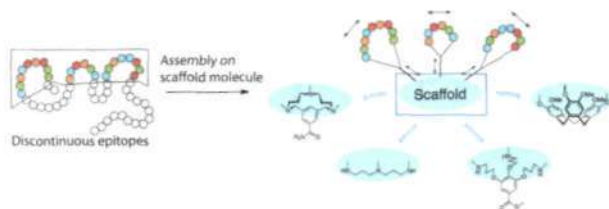


### Aqueous synthesis of *N,S*-dialkylthio-phosphoramidates: design, optimisation and application to library construction and antileishmanial testing

Milena Trmčić, Frances L. Chadbourne, Paul M. Brear, Paul W. Denny, Steven L. Cobb and David R. W. Hodgson\*

Effective aqueous *N*-thiophosphorylation of key biomolecules was observed. *S*-Alkylation was also explored to prepare phosphodiester mimics.

2676

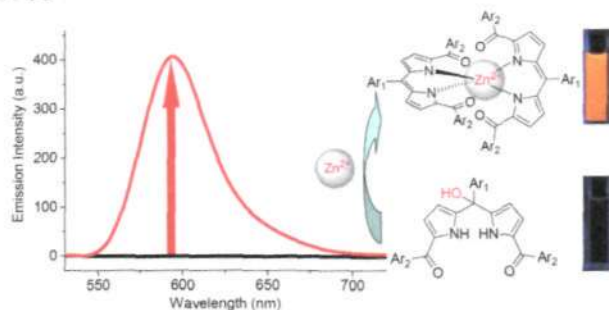


### Scaffold optimization in discontinuous epitope containing protein mimics of gp120 using smart libraries

Gwenn E. Mulder, H (Linda). C. Quarles van Ufford, Jeroen van Ameijde, Arwin J. Brouwer, John A. W. Kruijtzter and Rob M. J. Liskamp\*

A diversity of protein surface discontinuous epitope mimics is now rapidly and efficiently accessible.

2685



### From nonconjugation to conjugation: novel *meso*-OH substituted dipyrromethanes as fluorescence turn-on Zn<sup>2+</sup> probes

Yubin Ding, Tong Li, Xin Li, Weihong Zhu and Yongshu Xie\*

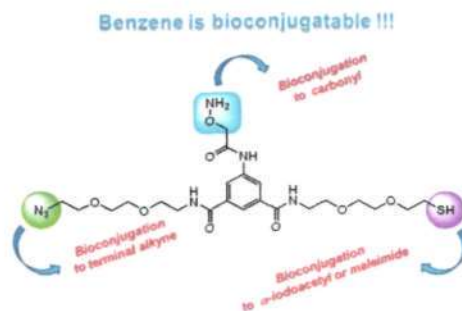
*meso*-OH dipyrromethanes were developed as fluorescence turn-on Zn<sup>2+</sup> probes based on Zn<sup>2+</sup> promoted oxidation and subsequent coordination, showing no background fluorescence.

2693

### The first "ready-to-use" benzene-based heterotrifunctional cross-linker for multiple bioconjugation

Guillaume Viault, Sébastien Dautrey, Nicolas Maindron, Julie Hardouin, Pierre-Yves Renard\* and Anthony Romieu\*

A benzene core was decorated with a set of three different and orthogonal reactive groups, to give the first aromatic heterotrifunctional cross-linker, enabling a rapid access to valuable luminescent (bio)conjugates.

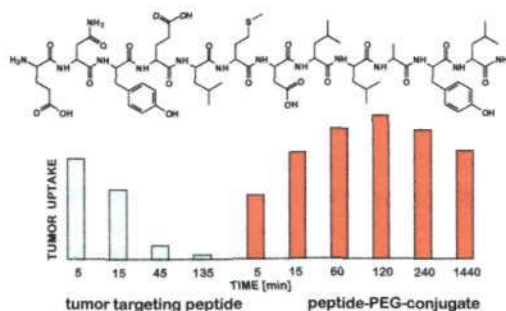


2706

### PEGylation enables the specific tumor accumulation of a peptide identified by phage display

Walter Mier,\* Susanne Krämer, Sabine Zitzmann, Annette Altmann, Karin Leotta, Ursula Schierbaum, Martina Schnölzer, Michael Eisenhut and Uwe Haberkorn

PEGylation transforms "FROP-DOTA", a phage display derived peptide with weak *in vivo* properties, into a peptide with specific tumor uptake characteristics.

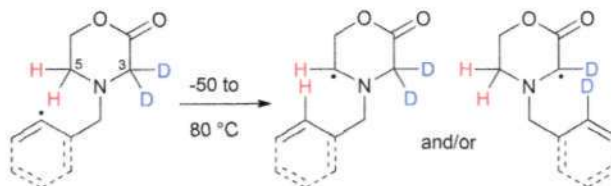


2712

### Synthetic use of the primary kinetic isotope effect in hydrogen atom transfer 2: generation of captodatively stabilised radicals

Mark E. Wood,\* Sabine Bissiriou, Christopher Lowe and Kim M. Windeatt

Intramolecular hydrogen atom transfer in morpholin-2-ones illustrates the extent to which radical stability can offset the primary kinetic isotope effect.



2724

### Total synthesis of (+)-pentamethylsalvianolic acid C

Benjamin L. Alford and Helmut M. Hügel\*

The total synthesis of (+)-pentamethylsalvianolic acid C, a metabolite mimic from *Salvia miltiorrhiza* (Danshen).

