

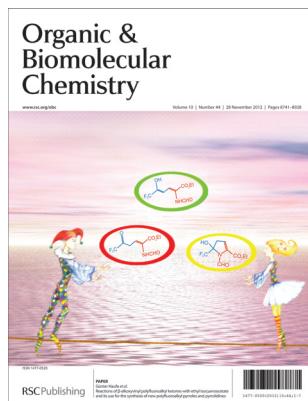
Organic & Biomolecular Chemistry

An international journal of synthetic, physical and biomolecular organic chemistry
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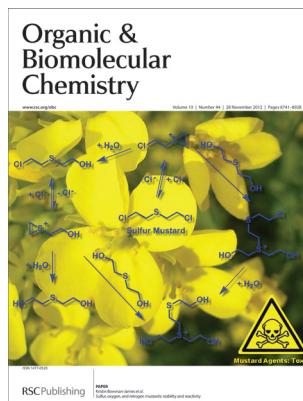
ISSN 1477-0520 CODEN OBCRAK 10(44) 8741–8928 (2012)



Cover

See Günter Haufe *et al.*,
 pp. 8778–8785.

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Inside cover

See Kristin Bowman-James *et al.*,
 pp. 8786–8793.

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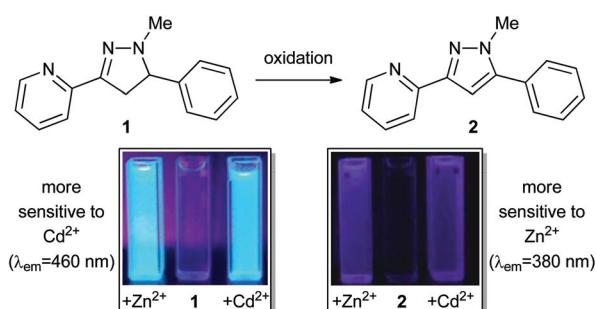
COMMUNICATIONS

8753

Simple pyrazoline and pyrazole “turn on” fluorescent sensors selective for Cd²⁺ and Zn²⁺ in MeCN

Alexander Ciupa, Mary F. Mahon, Paul A. De Bank* and Lorenzo Caggiano*

An efficient two-step synthesis of pyrazoline ligand **1** is described which is a “turn on” fluorescent sensor for Cd²⁺ in MeCN. Oxidation to the corresponding pyrazole ligand **2** creates a “turn on” fluorescent sensor now selective for Zn²⁺ and able to distinguish it from Cd²⁺.

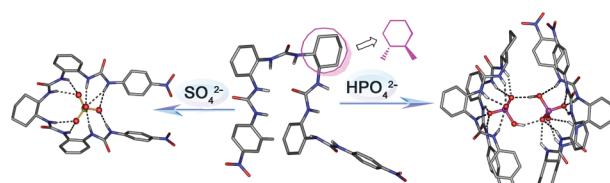


8758

A bis-bisurea receptor with the R,R-cyclohexane-1,2-diamino spacer for phosphate and sulfate ions

Meiyang Wei, Biao Wu,* Lei Zhao, Hui Zhang, Shaoguang Li, Yanxia Zhao and Xiao-Juan Yang

A bis-bisurea receptor based on the R,R-cyclohexane-1,2-diamino scaffold forms an uncommon 2 : 2 complex with HPO₄²⁻ and a 1 : 1 complex with SO₄²⁻.



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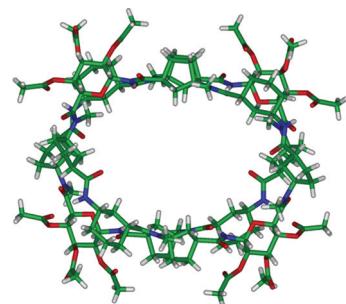
COMMUNICATIONS

8762

Self-assembling properties of all γ -cyclic peptides containing sugar amino acid residues

Arcadio Guerra, Roberto J. Brea, Manuel Amorín,* Luis Castedo and Juan R. Granja*

In this study, a novel dimer-forming cyclic peptides composed exclusively by cyclic γ -amino acids with a saccharide-like outer surface is described.

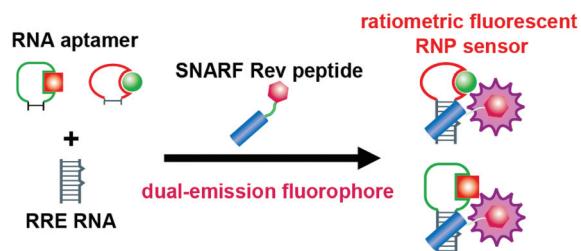


8767

Construction of ratiometric fluorescent sensors by ribonucleopeptides

Chiara Annoni, Eiji Nakata, Tomoki Tamura, Fong Fong Liew, Shun Nakano, Maria Luisa Gelmi and Takashi Morii*

RNA aptamers to biologically active small ligands, such as tetracycline, dopamine, and streptomycin, are converted to modular fluorescent sensors that are amenable for ratiometric detection of the ligand.

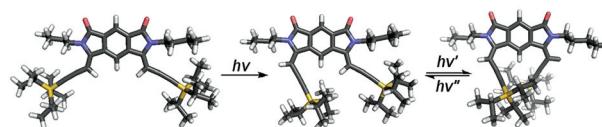


8770

Isoindolinone-based molecular switches

Michael Lawson and Sara Eisler*

The light induced *cis/trans* isomerization of bis-isoindolin-1-ones has been exploited to create a new type of molecular switch that possesses three, distinct isomeric forms.

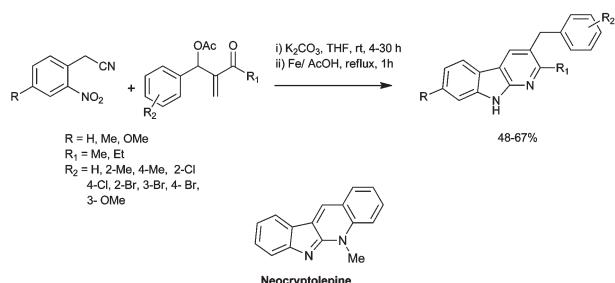


8774

Baylis–Hillman acetates in organic synthesis: convenient one-pot synthesis of α -carboline framework – a concise synthesis of neocryptolepine

Deevi Basavaiah* and Daggula Mallikarjuna Reddy

A convenient, facile, and one-pot methodology for the synthesis of α -carbolines from Baylis–Hillman acetates is presented. This methodology is successfully applied to the synthesis of the bioactive alkaloid neocryptolepine.





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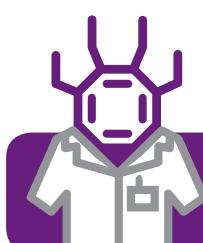
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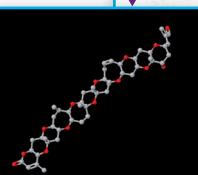
The screenshot shows the ChemSpider homepage with the RSC logo. The search bar contains the text "eg. Aspirin". Below the search bar are buttons for "Systematic names", "Synonyms", "Trade names", "Registry numbers", "SMILES", and "InChI". The "Search" button is at the bottom right of the search bar area. Below the search bar, there are four main sections: "What is ChemSpider?", "Search by chemical names", "Search by chemical structure", and "Find important data".

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save it in a format that can be
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and again.

The screenshot shows the search results for "brevetoxin B". The search term is highlighted in the search bar. The results page displays the chemical structure of Brevetoxin B, its ChemSpider ID (9041149), and its molecular formula (C50H70O14). It also lists its average mass (895.0197 Da) and monoisotopic mass (894.47699 Da). The "Systematic name" section is expanded, showing a very long string of chemical names. Other sections include "Physical properties", "Interactive spectra", and "Chemical suppliers".



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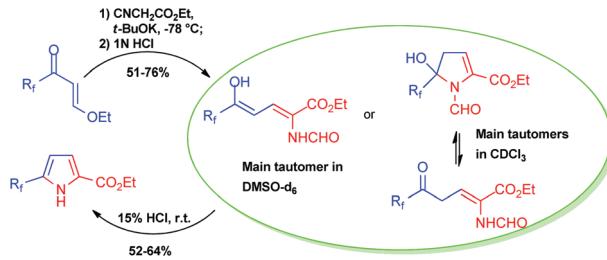
PAPERS

8778

Reactions of β -alkoxyvinyl polyfluoroalkyl ketones with ethyl isocyanoacetate and its use for the synthesis of new polyfluoroalkyl pyrroles and pyrrolidines

Ivan S. Kondratov, Violetta G. Dolovanyuk,
Nataliya A. Tolmachova, Igor I. Gerus, Klaus Bergander,
Roland Fröhlich and Günter Haufe*

The hitherto unknown 5-trifluoromethylproline, a mimic of pyroglutamic acid, was prepared from β -ethoxyvinyl trifluoromethyl ketone and ethyl isocyanoacetate *via* the pyrrole carboxylate.

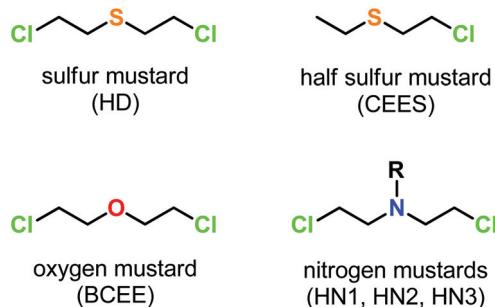


8786

Sulfur, oxygen, and nitrogen mustards: stability and reactivity

Qi-Qiang Wang, Rowshan Ara Begum, Victor W. Day and Kristin Bowman-James*

Insight to chemical mustards is provided through a brief review and new reactivity studies with multiple solvents and nucleophiles.

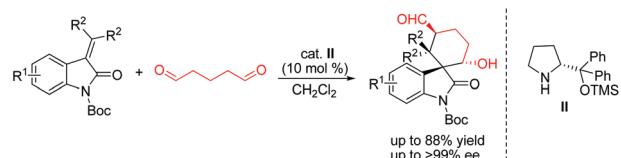


8794

Enantioselective construction of multifunctionalized spirocyclohexaneoxindoles through organocatalytic Michael–Aldol cyclization of isatin derived alkenes with linear dialdehydes

Xiao-Fei Huang, Zhao-Min Liu, Zhi-Cong Geng,
Shao-Yun Zhang, Yong Wang* and Xing-Wang Wang*

An organocatalytic domino Michael–Aldol reaction between isatin derived alkenes and pentane-1,5-dial has been developed, which gives enantiopure spirocyclohexaneoxindoles in good yields with excellent enantioselectivities.

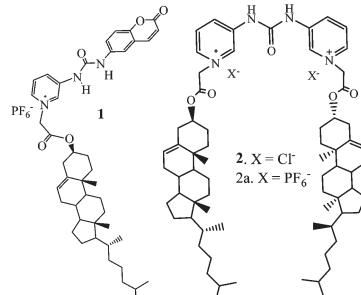


8800

Cholesterol appended pyridinium ureas: a case of gel making and breaking for selective visual readout of F^-

Kumares Ghosh* and Debasis Kar

Two designed compounds **1** and **2** have been synthesized. While the chloroform gel of **2** is capable of detecting F^- visually by breaking the gel, compound **1** in DMSO in the presence of tetrabutylammonium fluoride undergoes a change from sol to gel state that also produces an unambiguous visual readout of F^- .



PAPERS

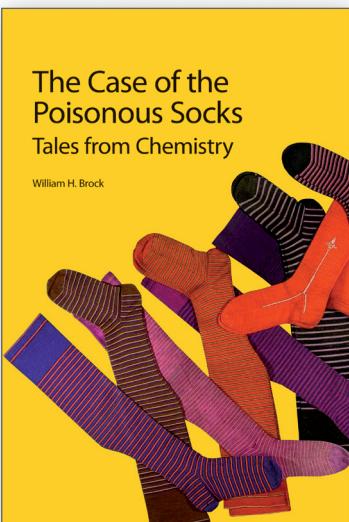
8808



Rhodium(II) catalyzed diastereoselective reactions of diazoacetamides with isatins: an efficient approach to 3-hydroxy-3,3'-bioxindoles

Ming Li, Li Zan, Dipak Prajapati and Wenhao Hu*

The reactions of diazoacetamides with isatins in the presence of $\text{Rh}_2(\text{OAc})_4$ afford 3-hydroxy-3,3'-bioxindoles in good yield with high diastereoselectivity.



The Case of the Poisonous Socks

Tales from Chemistry

William H. Brock

Written by a respected science historian and established author, this collection of essays touches on all aspects of chemistry. It contains 42 tales about chemists and their discoveries from the nineteenth and twentieth centuries. The title is taken from the lead chapter which describes how respected chemist, William Crookes, solved a mystery from the 1860s of how brilliantly coloured socks were causing the feet of unfortunate wearers to swell. Other topics covered include: the quirky beliefs of American philanthropist, George Hodgkins; the development of the chemical laboratory since the 1830s, and the career of C.P. Snow before he became a novelist.

Light in style, and presented as a series of unconnected vignettes, the book will interest chemists, teachers, historians and anyone with an interest in science.

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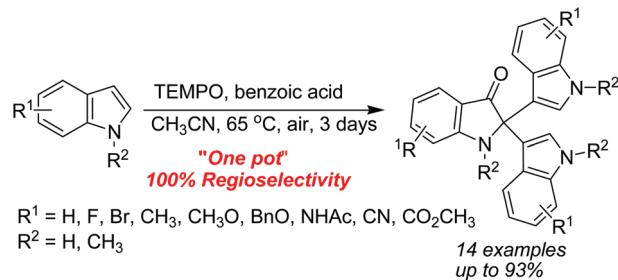
PAPERS

8814

Metal-free catalyzed oxidative trimerization of indoles by using TEMPO in air: a biomimetic approach to 2-(1*H*-indol-3-yl)-2,3'-biindolin-3-ones

Wen-Bing Qin, Qiong Chang, Yun-Hong Bao, Ning Wang, Zheng-Wang Chen and Liang-Xian Liu*

A simple, convenient and efficient metal-free catalyzed oxidative trimeric reaction of indoles toward a variety of 2-(1*H*-indol-3-yl)-2,3'-biindolin-3-one derivatives in moderate to excellent yields has been developed.

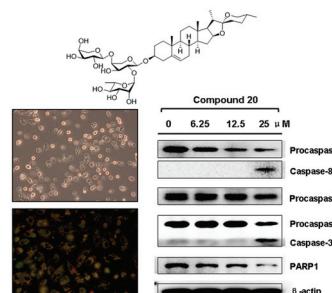


8822

Synthesis of novel diosgenyl saponin analogues and apoptosis-inducing activity on A549 human lung adenocarcinoma

Bo Wang, Jaemoo Chun, Yang Liu, Lina Han, Yan-shi Wang, Eun-Ji Joo, Yeong-Shik Kim* and Mao-sheng Cheng*

The diosgenyl trisaccharide greatly induces apoptosis in A549 cells, mainly through an extrinsic pathway.

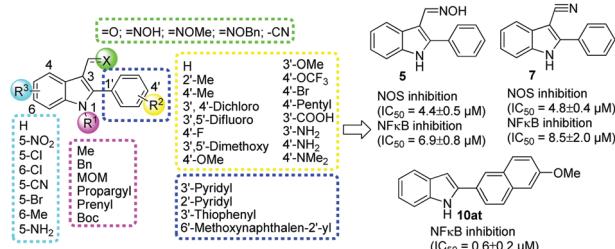


8835

Synthesis of 2-arylindole derivatives and evaluation as nitric oxide synthase and NFκB inhibitors

Xufen Yu, Eun-Jung Park, Tamara P. Kondratyuk, John M. Pezzuto and Dianqing Sun*

Synthesis and evaluation of a focused 2-arylindole library revealed compounds **5** and **7** function as dual NOS/NFκB inhibitors with IC_{50} values ranging from 4.4 ± 0.5 to $8.5 \pm 2.0 \mu\text{M}$. In addition, **10at** displayed potent inhibitory activity against NFκB with an IC_{50} value of $0.6 \pm 0.2 \mu\text{M}$.



8848

An efficient synthesis of heptaaryldipyrromethenes from tetraarylcyclopentadienones and ammonium acetate and their extension to the corresponding BODIPYs

Jichao Li, Binbin Hu, Gongfang Hu, Xihui Li, Ping Lu* and Yanguang Wang*

Heptaaryldipyrromethenes are efficiently prepared from ammonium acetate and tetraarylcyclopentadienones in a one-pot cascade process and can be converted into heptaaryl BODIPYs with fluorescent response to environmental acidity.



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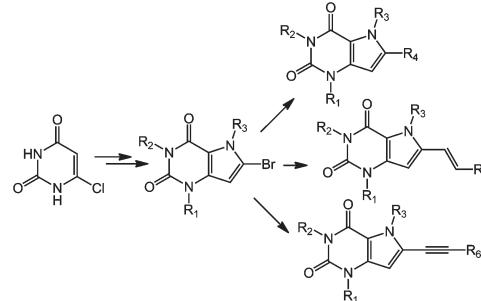
PAPERS

8860

Divergent synthesis of novel 9-deazaxanthine derivatives via late-stage cross-coupling reactions

Francesca Bartoccini, Giovanni Piersanti,* Marco Mor, Giorgio Tarzia, Patrizia Minetti* and Walter Cabri

The synthesis of a variety of 8-substituted 9-deazaxanthines employing late-stage palladium-catalyzed cross-coupling reactions is described.

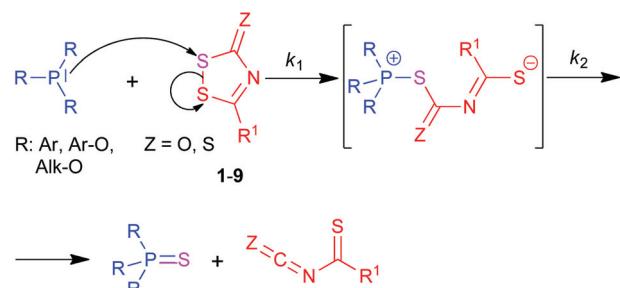


8868

1,2,4-Dithiazole-5-ones and 5-thiones as efficient sulfurizing agents of phosphorus(III) compounds – a kinetic comparative study

Oleksandr Ponomarov, Andrew P. Laws and Jiří Hanusek*

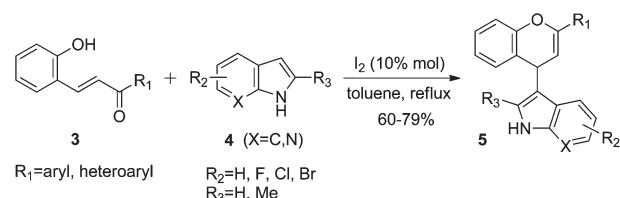
The sulfurization efficiency of 25 3-substituted-1,2,4-dithiazole-5-ones and 5-thiones towards triphenyl phosphite in acetonitrile, DCM, THF and toluene at 25 °C was evaluated.



8877

Synthesis of functionalized 2-aryl-4-(indol-3-yl)-4*H*-chromenes via iodine-catalyzed domino Michael addition–intramolecular cyclization reaction

Guodong Yin,* Ling Fan, Tianbing Ren, Chunyang Zheng, Qing Tao, Anxin Wu and Nengfang She*

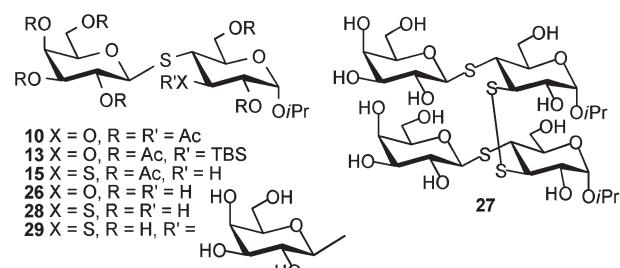
An efficient iodine-catalyzed synthesis of novel functionalized 2-aryl-4-(indol-3-yl)-4*H*-chromenes is described from easily available starting materials, 2-hydroxychalcone derivatives.

8884

Convenient synthesis of 4-thiolactose, 3,4-dithiolactose and related thiooligosaccharides and disulfides.**Inhibitory activity of the glycomimetics against a β -galactosidase**

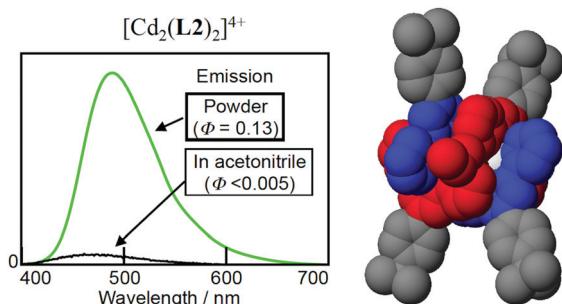
Verónica E. Manzano, María Laura Uhrig and Oscar Varela*

The ring-opening reaction of 3,4-epoxide or 3,4-thiirane sugar derivatives by 1-thiogalactose was employed as a key step in the synthesis of thiooligosaccharides and disulfides with a basic structure of 4-thiolactose or 3,4-dithiolactose.



PAPERS

8895

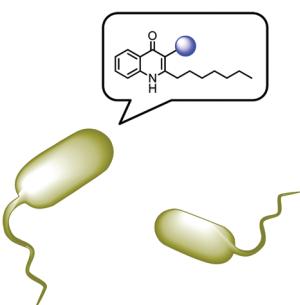


Propylamino-connected fluorescent terpyridine dimer and trimer: syntheses, photophysical properties and formation of duplex-type complexes with Cd(II)

Yuka Kamoya, Keisuke Kojima, Gentaro Tanaka, Ryo Tanaka, Toshiki Mutai and Koji Araki*

Terpyridine (tpy) dimer (**L2**) and trimer (**L3**) were synthesized by using alkylamine as a connector. They form duplex-type Cd(II) complexes, which exhibit an enhanced greenish-yellow emission in the solid state.

8903

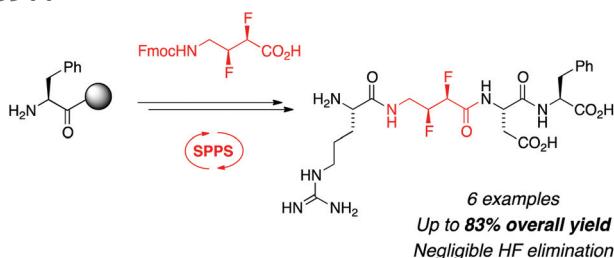


Structure–function analysis of the C-3 position in analogues of microbial behavioural modulators HHQ and PQS

F. Jerry Reen, Sarah L. Clarke, Claire Legendre, Christina M. McSweeney, Kevin S. Eccles, Simon E. Lawrence, Fergal O'Gara* and Gerard P. McGlacken*

Targeted chemical derivatisation of the C-3 position of bacterial signalling molecules was undertaken to investigate the structural properties underpinning their biological activity.

8911

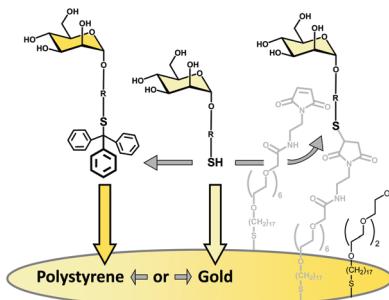


Solid phase synthesis of peptides containing backbone-fluorinated amino acids

Luke Hunter,* Sharon Butler and Steven B. Ludbrook

Backbone-fluorinated amino acids have been successfully incorporated into short peptides using Fmoc-strategy SPPS.

8919



Dual purpose S-trityl-linkers for glycoarray fabrication on both polystyrene and gold

Johannes W. Wehner, Martin J. Weissenborn, Mirja Hartmann, Christopher J. Gray, Robert Šardzik, Claire E. Eyers, Sabine L. Flitsch* and Thisbe K. Lindhorst*

S-Tritylated glycomimetics serve for glycoarray fabrication both on polystyrene and gold, allowing us to easily assay cellular adhesion in different test formats.