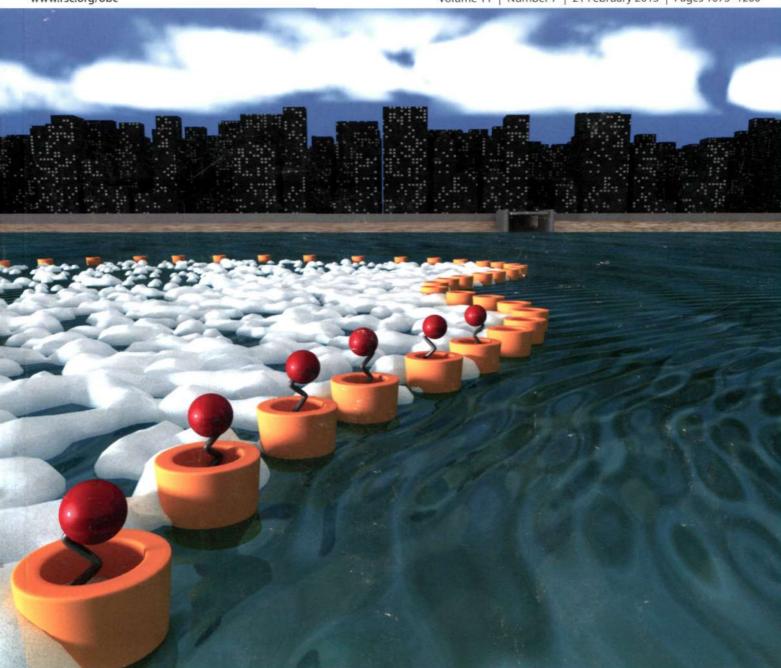
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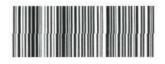
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PAPER

M. Cepeda *et al*.

Competition between surfactant micellization and complexation by cyclodextrin



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Cover

See M. Cepeda et al., pp. 1093–1102.

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Inside cover

See Jasmin Mecinović et al., pp. 1103–1108.

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COMMUNICATIONS

1085

Highly efficient and chemoselective α -iodination of acrylate esters through Morita–Baylis–Hillmantype chemistry

Vittorio Pace,* Gytė Vilkauskaitė, Algirdas Šačkus and Wolfgang Holzer

Chemoselective iodination of α -iodoacrylates.

N-iodophthalimide (1.5 equiv.) 3-quinuclidinol (0.2 equiv.)

> KF-Celite (1.1 equiv.) CH₃CN, 24 h, dark

14 examples 72-93% vield

1089

Au(i)-catalyzed intramolecular oxidative cyclopropanation of 1,6-enynes derived from propiolamides with diphenyl sulfoxide

Hyun-Suk Yeom and Seunghoon Shin*

1,6-Enynes with a terminal alkyne and a propiolamige tether underwent an efficient Au(i)-catalyzed oxidative cyclopropanation in the presence of diphenyl sulfoxide. In contrast to the corresponding aryl alkyne substrates, pyridine-N-oxides were poor oxidants for terminal alkyne substrates.

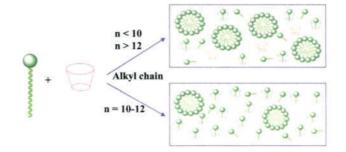
$$Au(1)$$
 $Au(1)$
 $Ph_2S=0$
 RN



Competition between surfactant micellization and complexation by cyclodextrin

M. Cepeda, R. Daviña, L. García-Río, M. Parajó, P. Rodríguez-Dafonte and M. Pessêgo

The percentage of uncomplexed cyclodextrin increases both on increasing and decreasing the surfactant alkyl chain length, being minimal for alkyl chains between 10-12 carbon atoms.



1103

Hydroxylamine as an oxygen nucleophile: substitution of sulfonamide by a hydroxyl group in benzothiazole-2-sulfonamides

Jos J. A. G. Kamps, Roman Belle and Jasmin Mecinović*

Hydroxylamine acts as an oxygen nucleophile in the substitution reaction with benzothiazole-2-sulfonamides to afford 2-hydroxybenzothiazole, sulfur dioxide and the corresponding amine.

1109

First syntheses of the biologically active fungal metabolites pestalotiopsones A, B, C and F

Andrew Michael Beekman, Edwin Castillo Martinez and Russell Allan Barrow*

The pestalotiopsones are bioactive compounds isolated from the endophytic fungus, Pestalotiopsis sp., associated with the Chinese traditional medicinal plant, Rhizophora mucronata. The first syntheses of pestalotiopsones A, B, C and F are described.

HO
$$R^{1}$$
 $R^{2}O$
 $R^{1} = C_{7}H_{15}, C_{9}H_{11}$
 $R^{2} = Me, Et, H$

1116

Efficient synthesis of diverse heterobifunctionalized clickable oligo(ethylene glycol) linkers: potential applications in bioconjugation and targeted drug delivery

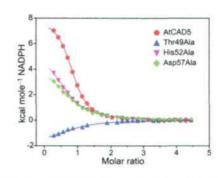
Lalit N. Goswami, Zachary H. Houston, Saurav J. Sarma, Satish S. Jalisatgi and M. Frederick Hawthorne*

The sequential synthesis of a variety of azide-alkyne click chemistry-compatible heterobifunctional oligo(ethylene glycol) (OEG) linkers for bioconjugation chemistry applications is described.

Assessment of a putative proton relay in Arabidopsis cinnamyl alcohol dehydrogenase

Choonseok Lee, Diana L. Bedgar, Laurence B. Davin and Norman G. Lewis*

Site-directed mutagenesis and kinetic/isothermal titration calorimetric analyses of Arabidopsis cinnamyl alcohol dehydrogenase lacked evidence for an extended proton relay.

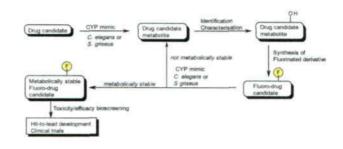


1135

A convenient chemical-microbial method for developing fluorinated pharmaceuticals

Tara V. Bright, Fay Dalton, Victoria L. Elder, Cormac D. Murphy,* Neil K. O'Connor and Graham Sandford*

Drug candidate molecules are incubated with microbial cytochrome P450 mimics to identify sites of oxidation; based on this information, fluorinated analogues are synthesised, which can be subsequently re-tested with the microorganism to determine the effect of fluorine substitution on metabolism.

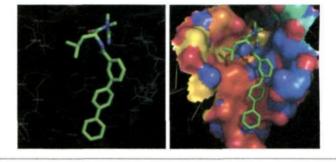


1143

Highly selective azadipeptide nitrile inhibitors for cathepsin K: design, synthesis and activity assays

Xing-Feng Ren, Hong-Wei Li, Xuexun Fang, Yuging Wu,* Lincong Wang and Shuxue Zou

A series of azadipeptide nitriles with different P3 groups as cathepsin K inhibitors have been synthesized, and the selectivity has been improved obviously over other cathepsins.

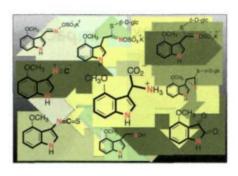


1149

Dissecting metabolic puzzles through isotope feeding: a novel amino acid in the biosynthetic pathway of the cruciferous phytoalexins rapalexin A and isocvalexin A

M. Soledade C. Pedras* and Estifanos E. Yaya

The novel amino acid 4-methoxyindolyl-3-glycine is the central piece of the complex biosynthetic pathways of rapalexin A and isocyalexin A.



A general solid phase method for the synthesis of depsipeptides

Mary M. Nguyen, Nicole Ong and Laura Suggs*

Herein we describe the synthesis of depsipeptide sequences in which the backbone is composed of alternating esters and amides.

1171

Development of a new cascade reaction for convergent synthesis of pyrazolo[1,5-a]quinoline derivatives under transition-metal-free conditions

Jun-va Kato, Hiroshi Aoyama and Tsutomu Yokomatsu*

A novel method for the synthesis of pyrazolo[1,5-a]quinolines under the transition-metal-free conditions has been developed. This method involves a novel combination of aromatic nucleophilic substitution and Knoevenagel condensation reactions to give pyrazolo-[1,5-a]quinolines.

R
$$\frac{1}{1}$$
 F $\frac{1}{1}$ F $\frac{1}{1}$ F $\frac{1}{1}$ F $\frac{1}{1}$ $\frac{1}$

1179

Toll-like receptor-8 agonistic activities in C2, C4, and C8 modified thiazolo[4,5-c]quinolines

Hari Prasad Kokatla, Euna Yoo, Deepak B. Salunke, Diptesh Sil, Cameron F. Ng, Rajalakshmi Balakrishna, Subbalakshmi S. Malladi, Lauren M. Fox and Sunil A. David*

TLR8-active 2-alkylthiazolo[4,5-c]quinolin-4-amines are uniquely potent in inducing T helper 1-polarizing cytokines, and could be promising candidate neonatal vaccine adjuvants. SAR on this chemotype is described.

TLR7/8 agonism

$$R_1 = H, C_3H_7 : active \\ R_1 = CH_3 : inactive \\ Inactive = CH_3 : inactive \\ Inactive = CH_3 : in$$

Bis-vinyl selenides obtained via iron(III) catalyzed addition of PhSeSePh to alkynes: synthesis and antinociceptive activity

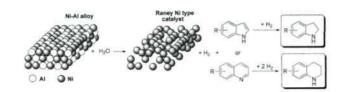
Glaubia Sartori, José S. S. Neto, Ana Paula Pesarico, Davi F. Back, Cristina W. Nogueira and Gilson Zeni*

We present here the synthesis and antinociceptive activity of bis-vinyl selenides prepared via FeCl₃ promoted reaction addition of diorganyl dichalcogenides to alkynes. The pharmacological results demonstrated that 3a, 3d, 3h and 3t elicited antinociceptive effect.

Selective reduction of condensed N-heterocycles using water as a solvent and a hydrogen source

Hyejin Cho, Fanni Török and Béla Török*

The reduction of unprotected indoles and guinolines is described using water as a hydrogen source.



1216

Metal-catalyzed rearrangements of 3-allenyl 3-hydroxyindolin-2-ones in the presence of halogenated reagents

Benito Alcaide,* Pedro Almendros,* Amparo Luna and Natividad Prieto

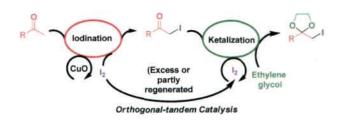
Rearrangement and oxycyclization reactions to give 4-(1-halovinyl)-quinolinediones or spirocyclic halooxindoles, respectively, are competitive pathways in the metal-catalyzed reactions of 3-allenyl with halogenated reagents.

1226

Synthesis of α-iodoketals from methyl ketones via sustainable and orthogonal tandem catalysis

Yan Yang, Meng Gao, Wen-Ming Shu, Liu-Ming Wu, Dong-Xue Zhang and An-Xin Wu*

A highly efficient method for the direct synthesis of α-iodoketals from methyl ketones has been developed via sustainable integration of orthogonal tandem catalytic reactions: copper(II) oxide catalyzed iodination reaction and the subsequent excess or regenerated iodine catalyzed regioselective ketalization reaction.

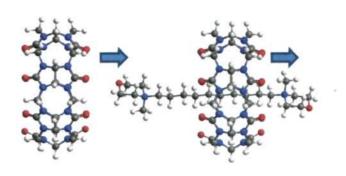


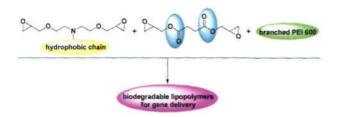
1234

Cucurbit[7]uril host-guest complexes and [2]pseudorotaxanes with N-methylpiperidinium, N-methylpyrrolidinium, and N-methylmorpholinium cations in aqueous solution

Mona A. Gamal-Eldin and Donal H. Macartney*

Sequential additions of two equivalents of cucurbit[7]uril first forms a [2]pseudorotaxane by threading over a decamethylene linker between N-methyl-N-heterocycle end groups, followed by translocations of the hosts to encapsulate the cationic N-heterocycles.



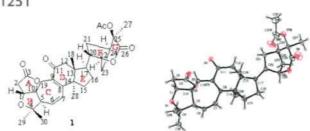


Low molecular weight PEI-based biodegradable lipopolymers as gene delivery vectors

Miao-Miao Xun, Xue-Chao Zhang, Ji Zhang,* Qian-Qian Jiang, Wen-Jing Yi, Wen Zhu* and Xiao-Qi Yu*

A series of LMW PEI-based polymers containing both hydrophobic and biodegradable parts were synthesized and used as non-viral gene delivery vectors.

1251

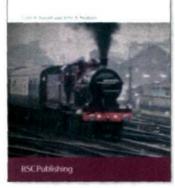


Eleven new highly oxygenated triterpenoids from the leaves and stems of *Schisandra chinensis*

Qiu-Yan Song, Kan Jiang, Qian-Qian Zhao, Kun Gao,* Xiao-Jie Jin and Xiao-Jun Yao

Schinchinenin A – an unusual triterpenoid, and its derivatives from Schisandra chinensis, first showed inhibitory activities against HSV-2 and adenovirus.

Early Railway Chemistry and its Legacy



Early Railway Chemistry and its Legacy

Colin A. Russell and John A. Hudson

One of the most important parts of British heavy industry today is our railway system. Its constant appearances in news bulletins, its enormous appeal to fans or "enthusiasts", its permanent role in the lives of most of us, and its economic significance today, all underline its importance. What has never been clear till now has been the crucial role that chemistry has played in its development. This unique book is aimed at chemists, some of whom may already have an additional interest in railways, and will be delighted to learn of any close connection between their two interests. The book is also a serious and scholarly revelation of an aspect of the history of railways in Britain that has only recently come to light: the critical part played by chemistry in their growth and development.

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