

Organic & Biomolecular Chemistry

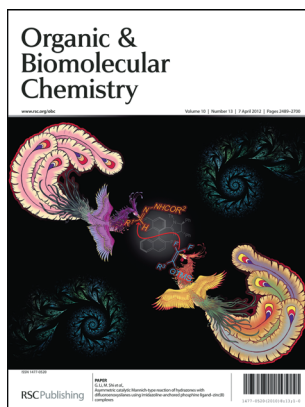
An international journal of synthetic, physical and biomolecular organic chemistry

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Cover

See G. Li, M. Shi *et al.*,
pp. 2509–2513.

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Biomolecular Chemistry*, 2012, **10**,
2509.

PERSPECTIVE

2503

On a so-called “kinetic anomeric effect” in chemical glycosylation

Ian Cumpstey

A commentary on diastereoselectivity in chemical glycosylation reactions.



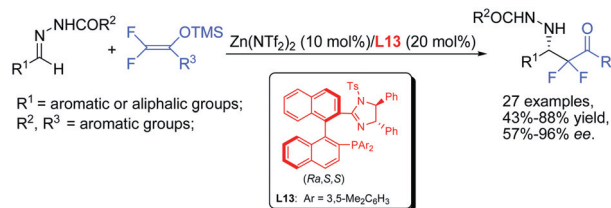
COMMUNICATIONS

2509

Asymmetric catalytic Mannich-type reaction of hydrazones with difluoroenoxy silanes using imidazoline-anchored phosphine ligand–zinc(II) complexes

Zhiliang Yuan, Liangyong Mei, Yin Wei, Min Shi,*
Padmanabha V. Kattamuri, Patrick McDowell and Guigen Li*

Chiral zinc(II)–imidazoline–phosphine complex catalysts have been found to catalyze the asymmetric Mannich-type difluorinations of hydrazones with difluoroenoxy silanes.



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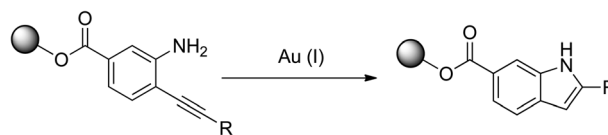
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2514

Gold catalysis on immobilized substrates: a heteroannulation approach to the solid-supported synthesis of indoles

Agustina La-Venia, Sebastián A. Testero, Mirta P. Mischne* and Ernesto G. Mata*

A gold-catalyzed cyclization of immobilized 2-alkynylanilines was developed as the key step in the synthetic sequence for the preparation of 2-substituted indoles.

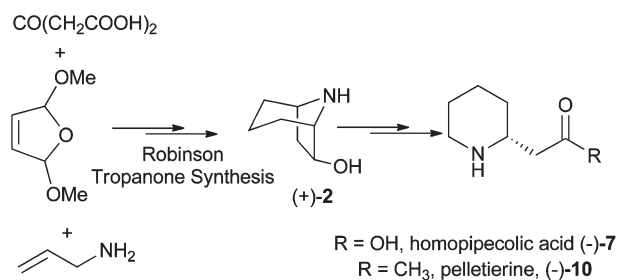


2518

Syntheses of (–)-pelletierine and (–)-homopiperic acid

Wen-Hua Chiou,* Guei-Tang Chen, Chien-Lun Kao and Yu-Kai Gao

Enantiomeric syntheses of (–)-homopiperic acid and (–)-pelletierine have been achieved by chiral resolution of tropanol and Baeyer–Villiger oxidation.

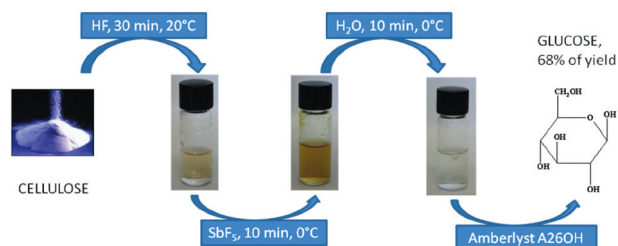


2521

High efficiency of superacid HF–SbF₅ for the selective decrystallization–depolymerization of cellulose to glucose

Agnès Martin-Mingot, Karine De Oliveira Vigier,* François Jérôme and Sébastien Thibaudeau*

Superacid HF–SbF₅ can selectively depolymerise cellulose to glucose *via* a polyprotonation mechanism without fluorination reaction or glucose decomposition.

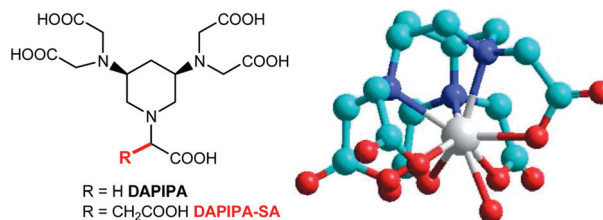


2525

One-pot synthesis of a piperidine-based rigidified DTPA analogue and its bifunctional chelating agent

Lorenzo Tei,* Gabriele A. Rolla, Giuseppe Gugliotta and Mauro Botta

A novel rigid DTPA-like chelate and its bifunctional ligand were synthesised simultaneously by successive *N*-alkylation and Stevens rearrangement from *cis*-3,5-diaminopiperidine.



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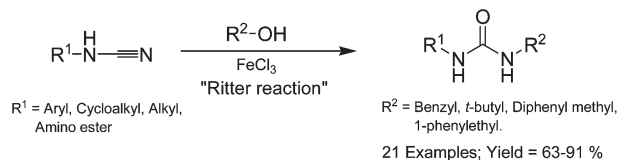
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Iron(III) catalysed synthesis of unsymmetrical di and trisubstituted ureas – a variation of classical Ritter reaction

Hosamani Basavaprabhu and Vommina V. Sureshbabu*

An application of the classical Ritter reaction for the synthesis of unsymmetrical di and trisubstituted ureas catalyzed by FeCl₃ is described.

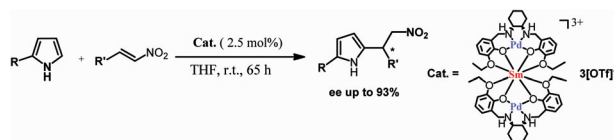


2534

A heterotrimetallic Pd–Sm–Pd complex for asymmetric Friedel–Crafts alkylations of pyrroles with nitroalkenes

Guoqi Zhang*

Catalytic asymmetric Friedel–Crafts reactions of pyrroles and nitroalkenes were carried out by using a heterotrimetallic Pd–Sm–Pd catalyst, to give the adducts with high yields and up to 93% ee.

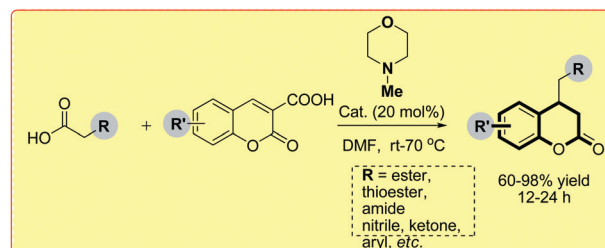


2537

Facile synthesis of 4-substituted 3,4-dihydrocoumarins via an organocatalytic double decarboxylation process

Shiyong Peng, Lei Wang, Haibing Guo, Shaofa Sun and Jian Wang*

We have documented an efficient and convenient double decarboxylation process for the synthesis of 4-substituted 3,4-dihydrocoumarin in moderate to excellent yields under mild reaction conditions (up to 98%).

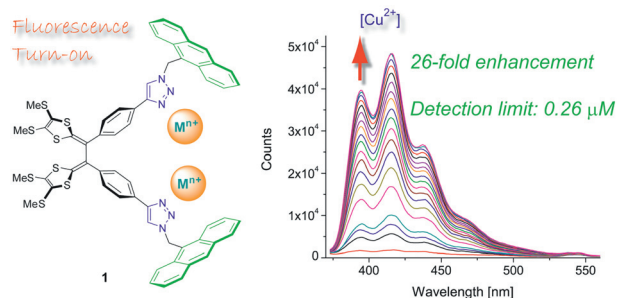


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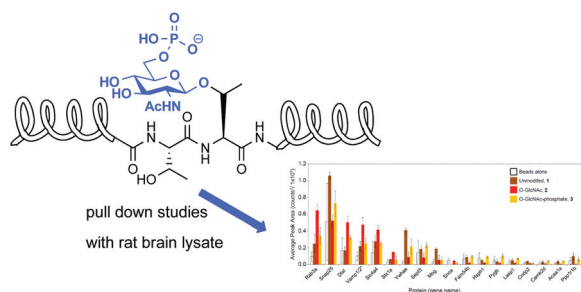
Click synthesized dianthryl–TTFV: an efficient fluorescent turn-on probe for transition metal ions

Karimulla Mulla, Prateek Dongare, David W. Thompson and Yuming Zhao*

Tetrathiafulvalene vinylogue (TTFV) was functionalized with two anthryl fluorophores via Cu(I)-catalyzed alkyne–azide [3 + 2] cycloaddition, forming a dianthryl–TTFV hybrid to show fluorescent turn-on sensing behaviour for Cu²⁺, Fe²⁺, and Cd²⁺ ions in THF with remarkably low detection limit down to the sub-ppm level.



2545



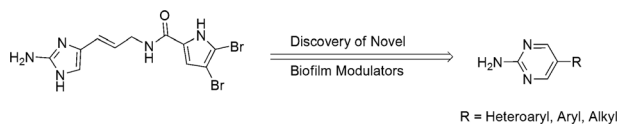
Synthesis and protein binding studies of a peptide fragment of clathrin assembly protein AP180 bearing an O-linked β -N-acetylglucosaminyl-6-phosphate modification

Mark E. Graham,* Robin S. Stone, Phillip J. Robinson and Richard J. Payne*

The synthesis and protein binding studies of a peptide fragment of AP180 bearing a recently discovered post-translational modification of threonine, β -N-acetylglucosaminyl-phosphate, is described.

PAPERS

2552

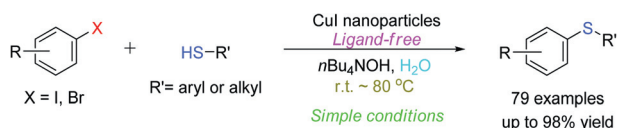


2-Aminopyrimidine as a novel scaffold for biofilm modulation

Erick A. Lindsey, Roberta J. Worthington, Cristina Alcaraz and Christian Melander

An efficient synthetic route to a series of substituted 2-aminopyrimidine (2-AP) derivatives has been developed. Several derivatives displayed the ability to modulate bacterial biofilm formation, exhibiting greater activity against Gram-positive strains than Gram-negative strains.

2562

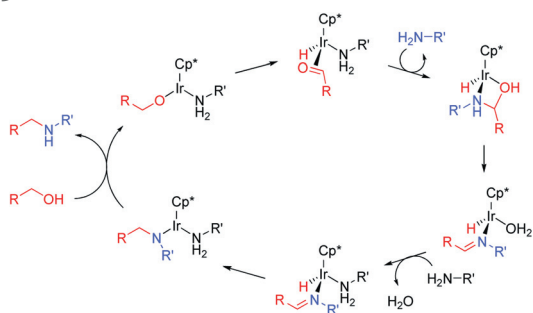


Efficient recyclable CuI-nanoparticle-catalyzed S-arylation of thiols with aryl halides on water under mild conditions

Hua-Jian Xu,* Yu-Feng Liang, Xin-Feng Zhou and Yi-Si Feng*

C–S cross couplings of aryl and alkyl thiols with aryl iodides and aryl bromides in the absence of ligands on water under mild conditions were described in our developed protocol.

2569



Mechanistic investigation of the iridium-catalysed alkylation of amines with alcohols

Peter Fristrup,* Matyas Tursky and Robert Madsen*

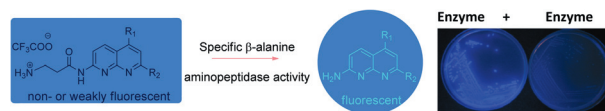
The $[\text{Cp}^*\text{IrCl}_2]_2$ -catalysed alkylation of amines with alcohols was investigated using both experimental and theoretical methods. The results suggest a catalytic cycle where all the intermediates (aldehyde, hemiaminal and imine) stay coordinated to iridium.

2578

Synthesis and evaluation of fluorogenic 2-amino-1,8-naphthyridine derivatives for the detection of bacteria

Linda Váradi, Mark Gray, Paul W. Groundwater, Andrew J. Hall, Arthur L. James, Sylvain Orega, John D. Perry and Rosaleen J. Anderson*

Novel 2-*N*-(β -alanyl)amino-1,8-aminonaphthyridines were hydrolysed by specific enzymatic activity, resulting in visible fluorescence and the selective detection of pathogenic bacteria.

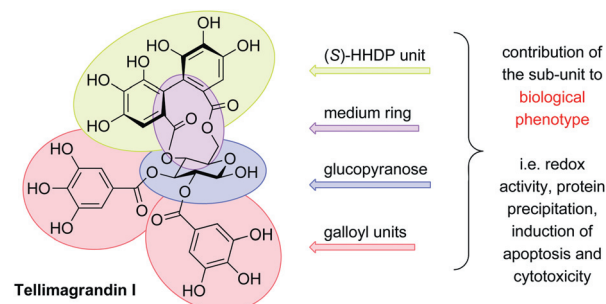


2590

Synthesis and biological profiling of tellimagrandin I and analogues reveals that the medium ring can significantly modulate biological activity

Shaojun Zheng, Luca Laraia, Cornelius J. O' Connor, David Sorrell, Yaw Sing Tan, Zhaochao Xu, Ashok R. Venkitaraman, Wenjun Wu* and David R. Spring*

Tellimagrandin I and medium ring analogues were synthesised and screened for their redox activity, protein precipitation and cellular phenotype; this led to the identification of compounds more potent than the parent natural product.

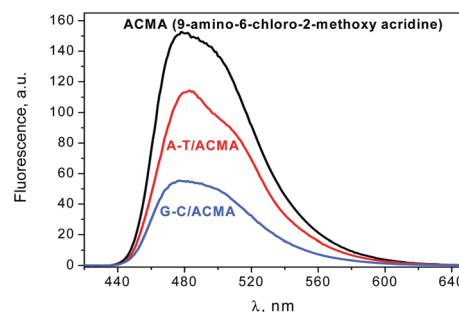


2594

The mode of binding ACMA–DNA relies on the base-pair nature

Natalia Busto, Begoña García,* José M. Leal, Fernando Secco and Marcella Venturini

Quenching effect on ACMA fluorescence by A–T and G–C sequences is mainly ascribable to on- and off-slot ACMA positions, respectively.

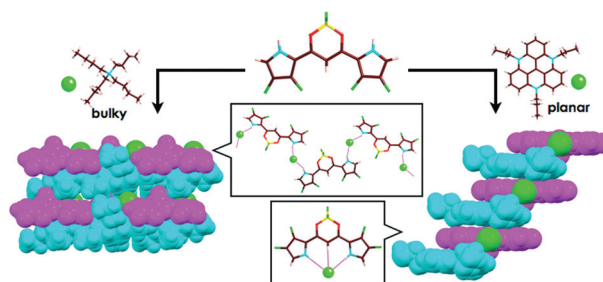


2603

Solid-state supramolecular assemblies consisting of planar charged species

Yohei Haketa, Mayumi Takayama and Hiromitsu Maeda*

Pyrrole-based π -conjugated anion-responsive molecules provided various planar anionic structures by complexation with halide anions, resulting in the formation of solid-state assemblies with planar counter cations and exhibiting various modes of charge-by-charge assembly depending on the substituents of the anion receptors.



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2607

Gaining insight into the inhibition of glycoside hydrolase family 20 *exo*- β -*N*-acetylhexosaminidases using a structural approach

Tomomi Sumida, Keith A. Stubbs,* Makoto Ito and Shigeyuki Yokoyama*

Using structural insight, the binding mode of known inhibitors with a *exo*- β -*N*-acetylhexosaminidase from *Paenibacillus* sp. TS12 (Hex1- Δ C) reveals novel binding modes for these compounds and gives clues as to the reasons for their potency against the glycoside hydrolase family, GH20.

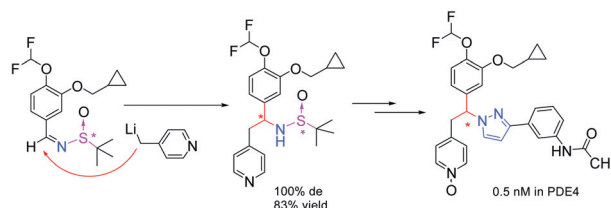


2613

Stereoselective synthesis of novel pyrazole derivatives using *tert*-butansulfonamide as a chiral auxiliary

Chang Min Park and Dong Ju Jeon*

A novel chiral pyrazole derivative was developed by our research program as a potent PDE4 inhibitor for the treatment of anti-inflammatory diseases, such as asthma and chronic obstructive pulmonary disease.

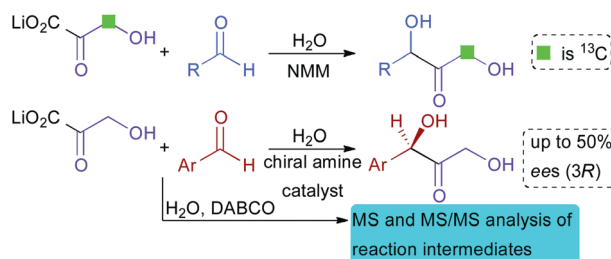


2621

Investigating the reaction mechanism and organocatalytic synthesis of α,α' -dihydroxy ketones

James L. Galman, David Steadman, Lisa D. Haigh and Helen C. Hailes*

The mechanism of a one-pot biomimetic TK reaction in water has been investigated using ^{13}C labelled hydroxypyruvate and ESI-MS, and then preliminary studies performed to establish an asymmetric version of the reaction where *ees* of up to 50% were noted.

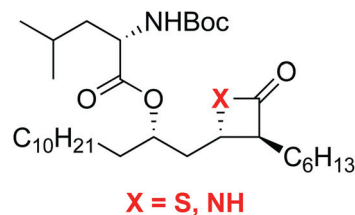


2629

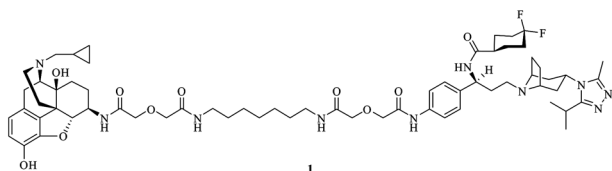
Synthesis and biological investigation of the β -thiolactone and β -lactam analogs of tetrahydrolipstatin

Sylvain Aubry, Geneviève Aubert, Thierry Cresteil and David Crich*

Novel thiolactone and lactam tetrahydrolipstatin analogs are synthesized and assayed for lipase and cancer cell line proliferation inhibition.



2633

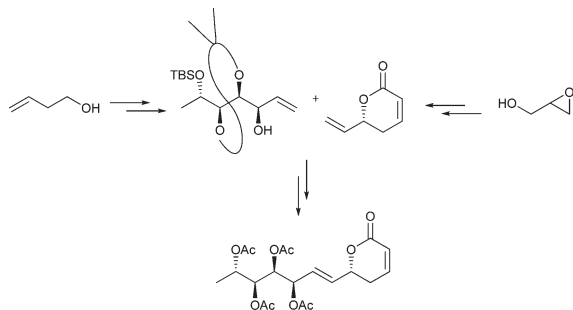


Design and synthesis of a bivalent ligand to explore the putative heterodimerization of the mu opioid receptor and the chemokine receptor CCR5

Yunyun Yuan, Christopher K. Arnatt, Guo Li, Kendra M. Haney, Derong Ding, Joanna C. Jacob, Dana E. Selley and Yan Zhang*

A bivalent ligand **1** as the first chemical probe to study the putative mu opioid and CCR5 chemokine receptors heterodimers.

2647

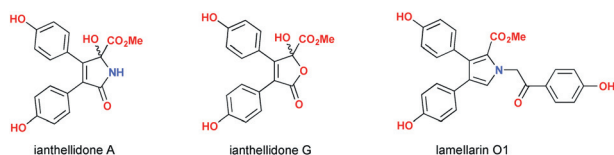


Total synthesis of (+)-anamarine

Krishnammagari Suresh Kumar and Cirandur Suresh Reddy*

Total synthesis of (+)-anamarine a polyoxygenated δ -pyranone natural product was accomplished *via* cross-metathesis protocol starting from 3-butene-1-ol and glycidol.

2656

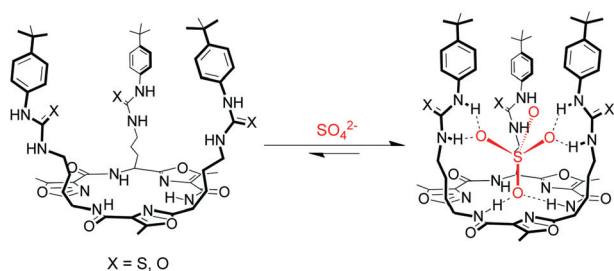


A search for BACE inhibitors reveals new biosynthetically related pyrrolidones, furanones and pyrroles from a southern Australian marine sponge, *Ianthella* sp.

Hua Zhang, Melissa M. Conte, Xiao-Cong Huang, Zeinab Khalil and Robert J. Capon*

A southern Australian marine sponge, *Ianthella* sp., returned an array of biosynthetically related pyrrolidones, furanones and pyrroles, different examples of which were BACE inhibitors, P-glycoprotein inhibitors and Gram +ve selective antibacterials.

2664



Selective recognition of sulfate ions by tripodal cyclic peptides functionalised with (thio)urea binding sites

Philip G. Young and Katrina A. Jolliffe*

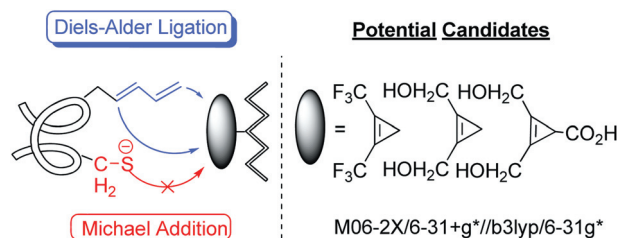
Cyclic peptide derived tripodal (thio)urea receptors bind selectively to sulfate ions through nine hydrogen bonding interactions.

2673

Accurate prediction of rate constants of Diels–Alder reactions and application to design of Diels–Alder ligation

Shi-Ya Tang, Jing Shi* and Qing-Xiang Guo

With the aid of computational tools, we found substituted cyclopropanes might be potential candidates for efficient and nucleophile-tolerant Diels–Alder ligation.



2683

A new access to 3-substituted-1(2*H*)-isoquinolone by tandem palladium-catalyzed intramolecular aminocarbonylation annulation

Antoine Dieudonné-Vatran, Michel Azoulay and Jean-Claude Florent*

An original tribromide derivative based, palladium-catalyzed synthesis of 3-substituted-1(2*H*)-isoquinolone is reported.

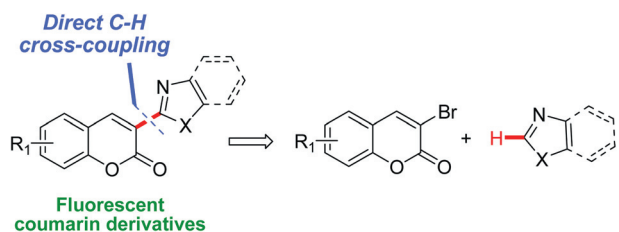


2692

Direct C–H cross-coupling approach to heteroaryl coumarins

Minsik Min, Bomi Kim and Sungwoo Hong*

A Pd-catalyzed direct cross-coupling of 3-bromocoumarins with heteroarenes provided an efficient route to synthesizing 3-heteroaryl coumarins. The reaction scope for the transformation was fairly broad, affording modest to good yields of various 3-heteroaryl coumarin scaffolds, which are privileged structures and prevalent motifs in many biologically active compounds and fluorophores.



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Stephen Clark, *UK*
Matthew Gaunt, *UK*
Michael Greaney, *UK*
David Rees, *UK*
F. Dean Toste, *USA*