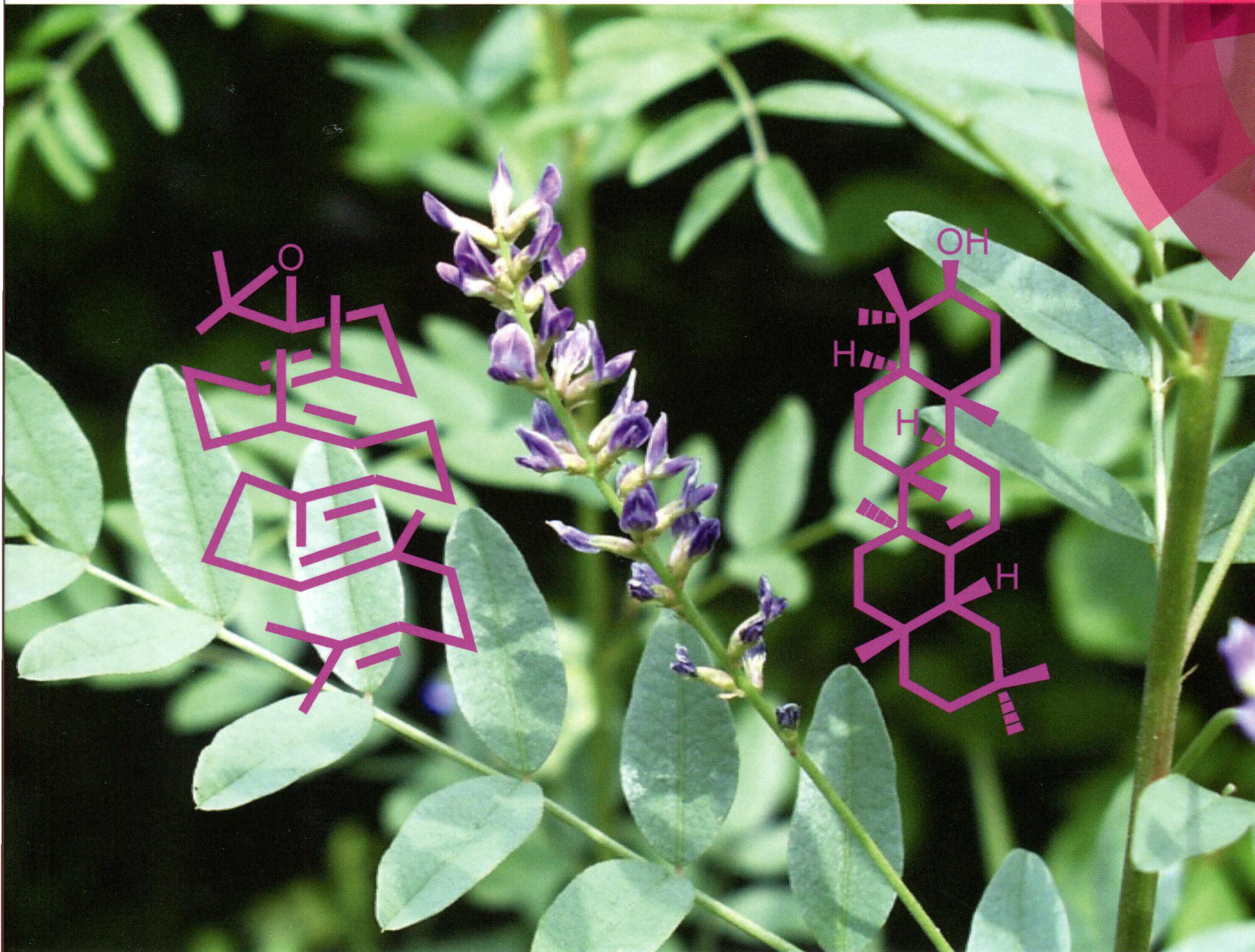


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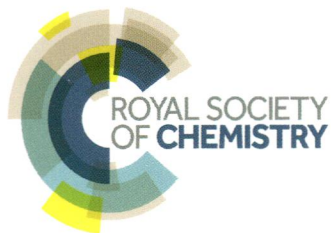
Volume 12 | Number 23 | 21 June 2014 | Pages 3757–4032

Organic & Biomolecular Chemistry

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PAPER

Tsutomu Hoshino *et al.*

β -Amyrin synthase from *Euphorbia tirucalli*. Steric bulk, not the π -electrons of Phe, at position 474 has a key role in affording the correct folding of the substrate to complete the normal polycyclization cascade

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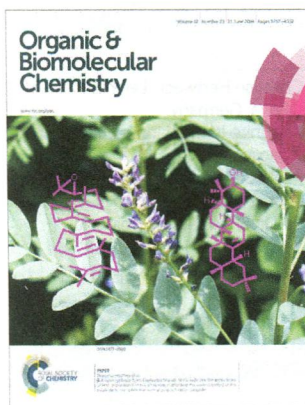
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IN THIS ISSUE

ISSN 1477-0520 CODEN OBCRAK 12(23) 3757-4032 (2014)

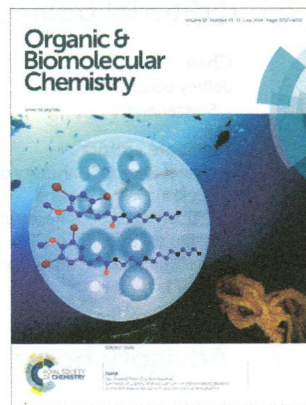


Cover

See Tsutomu Hoshino *et al.*, pp. 3836–3846.

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Inside cover

See Faiz Ahmed Khan, Roy Anindya *et al.*, pp. 3847–3865.

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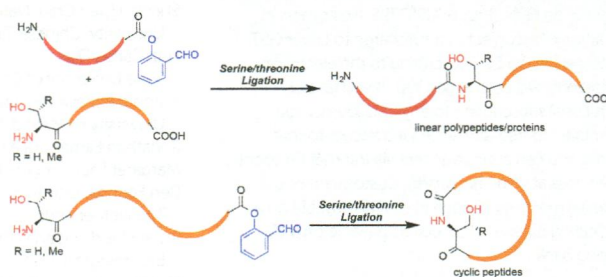
PERSPECTIVE

3768

Development and application of serine/threonine ligation for synthetic protein chemistry

Han Liu and Xuechen Li*

The development and application of serine/threonine ligation (STL) in the synthesis of peptides/proteins and cyclic peptides have been reviewed.



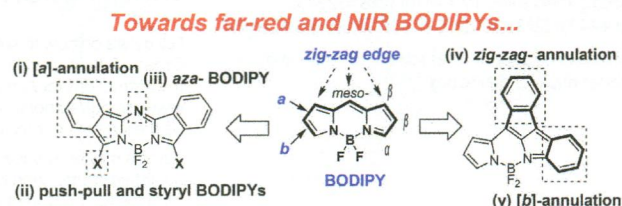
REVIEW

3774

Far-red and near infrared BODIPY dyes: synthesis and applications for fluorescent pH probes and bio-imaging

Yong Ni and Jishan Wu*

This review summarizes the general strategies to obtain far-red and NIR BODIPYs and their applications for pH probes and bio-imaging.



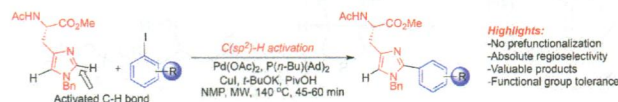
Федеральное государственное
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Центральная научная библиотека
Уральского отделения
Российской академии наук (ЦНБ УрО РАН)

3792

Regiocontrolled palladium-catalyzed and copper-mediated C–H bond functionalization of protected L-histidine

Amit Mahindra and Rahul Jain*

Regiocontrolled transition-metal-catalyzed C–H bond arylation of protected L-histidine with aryl halides as the coupling partner is reported.

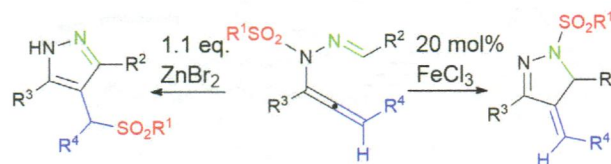


3797

Selective synthesis of 4-(sulfonyl)-methyl-1H-pyrazoles and (E)-4,5-dihydro-1H-pyrazoles from N-allenic sulfonylhydrazones

Yu Zhu, Jun-Jie Hong, Yun-Bin Zhou, Yu-Wei Xiao, Min Lin and Zhuang-Ping Zhan*

Selective synthesis of 4-(sulfonyl)-methyl-1H-pyrazoles and (E)-4,5-dihydro-1H-pyrazoles from N-allenic sulfonylhydrazones with sulfonyl group migrations has been developed.

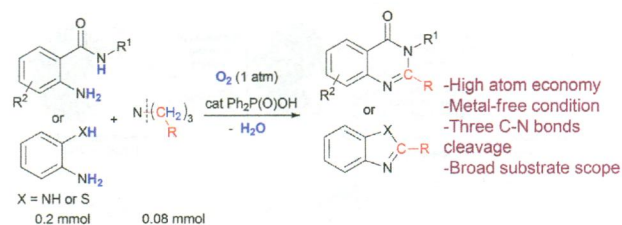


3802

Metal-free aerobic oxidative C–N bond cleavage of tertiary amines for the synthesis of N-heterocycles with high atom efficiency

Xiuling Chen, Tiegqiao Chen, Yongbo Zhou,* Daoqing Han, Li-Biao Han and Shuang-Feng Yin*

An efficient metal-free aerobic C–N bond cleavage of tertiary amines has been developed to construct N-heterocycles using molecular oxygen as the sole oxidant with high atom efficiency. All of the three alkyl groups in tertiary amines can be utilized and transformed into N-heterocycles.

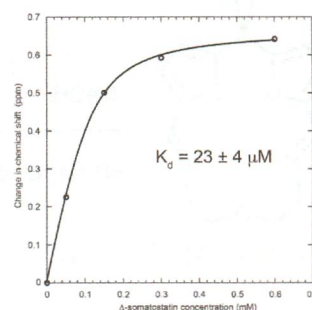
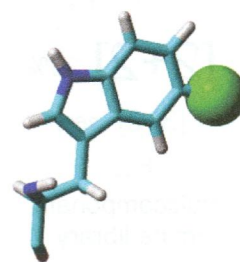


3808

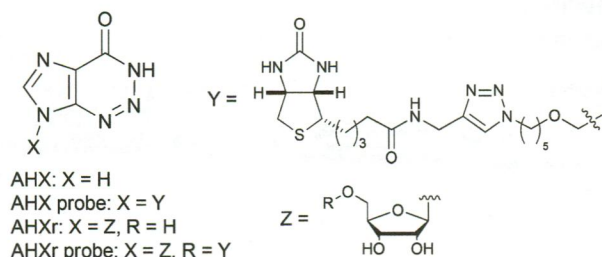
¹⁹F NMR spectroscopy monitors ligand binding to recombinantly fluorine-labelled b'x from human protein disulphide isomerase (hPDI)

Rose Curtis-Marof, Denisa Doko, Michelle L. Rowe, Kirsty L. Richards, Richard A. Williamson* and Mark J. Howard*

Fluoroindole recombinant protein labelling enables a ¹⁹F NMR study to observe protein–ligand binding and dissociation constant determination.



3813

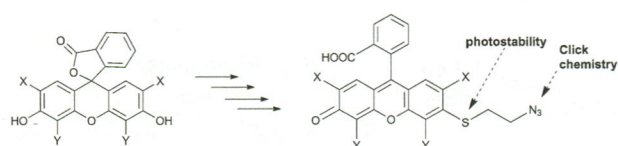


Practical synthesis of natural plant-growth regulator 2-azahypoxanthine, its derivatives, and biotin-labeled probes

Kazutada Ikeuchi, Ryosuke Fujii, Shimpei Sugiyama, Tomohiro Asakawa, Makoto Inai, Yoshitaka Hamashima, Jae-Hoon Choi, Tomohiro Suzuki, Hirokazu Kawagishi* and Toshiyuki Kan*

AHX derivatives and their probe molecules.

3816

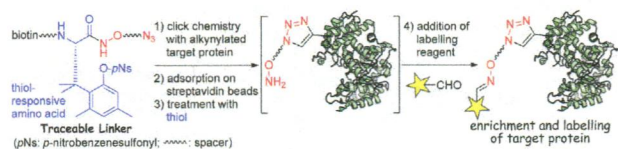


Synthesis of new asymmetric xanthene dyes via catalyst-free S_NAr with sulfur nucleophiles

Michaela Kotaskova, Okan Osman Oglou and Mark Helm*

An unusual S_NAr with sulfur nucleophiles on electron rich aromatic xanthenes affords a new class of photostable asymmetric thioether xanthene dyes.

3821

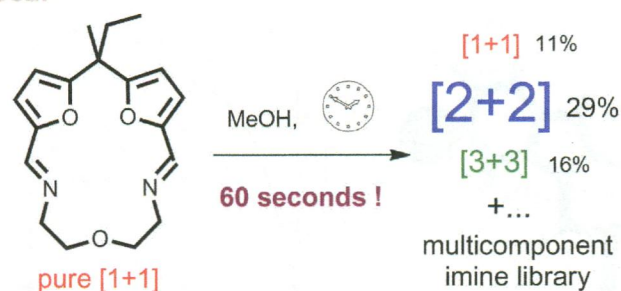


Development of a traceable linker containing a thiol-responsive amino acid for the enrichment and selective labelling of target proteins

Jun Yamamoto, Masaya Denda, Nami Maeda, Miku Kita, Chiaki Komiya, Tomohiro Tanaka, Wataru Nomura, Hirokazu Tamamura, Youichi Sato, Aiko Yamauchi, Akira Shigenaga* and Akira Otaka*

A traceable linker that is potentially applicable to identification of a target protein of interest was developed.

3827



Fast imine equilibration and its consequences for the evaluation of dynamic combinatorial libraries

K. Ziach, A. Kulesza and J. Jurczak*

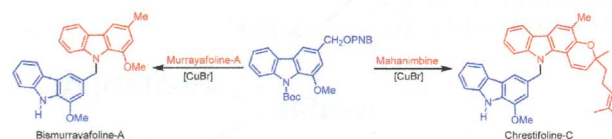
An example of the interplay between the templation and a very fast reequilibration of a DCL of imines that occurs upon a solvent change is reported. Such seemingly "irrelevant" details of the procedure like imine dissolution time may dictate the outcome of the whole reaction.

3831

First total syntheses of chrestifoline-B and (±)-chrestifoline-C, and improved synthetic routes to bismurrayafoline-A, bismurrayafolinol and chrestifoline-D

Carsten Börger, Arndt W. Schmidt and Hans-Joachim Knölker*

We describe an efficient synthesis of the methylene-bridged biscarbazole alkaloids bismurrayafoline-A, bismurrayafolinol and chrestifoline B–D using an Ullmann-type coupling at the benzylic position.



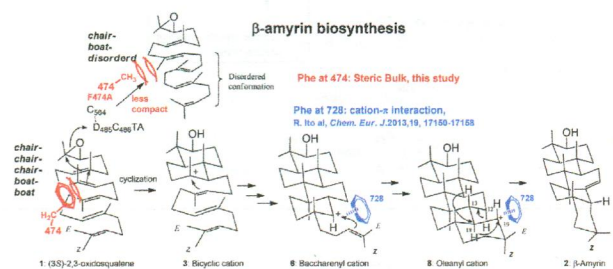
PAPERS

3836

β -Amyrin synthase from *Euphorbia tirucalli*. Steric bulk, not the π -electrons of Phe, at position 474 has a key role in affording the correct folding of the substrate to complete the normal polycyclization cascade

Ryousuke Ito, Yukari Masukawa, Chika Nakada, Kanako Amari, Chiaki Nakano and Tsutomu Hoshino*

The importance of the steric bulk at 474 residue is described for completion of the cyclization cascade, but not the π -electrons of the Phe residue.

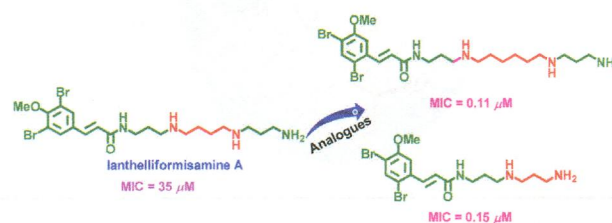


3847

Syntheses of a library of molecules on the marine natural product ianthelliformisamines platform and their biological evaluation

Faiz Ahmed Khan,* Saeed Ahmad, Naveena Kodipelli, Gururaj Shivange and Roy Anindya*

Analogues of marine natural products ianthelliformisamines were synthesized and evaluated for their biological activity, and two analogues showed promising bactericidal effects.

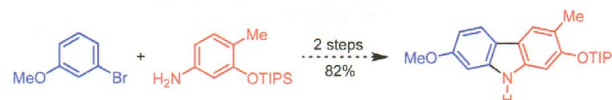


3866

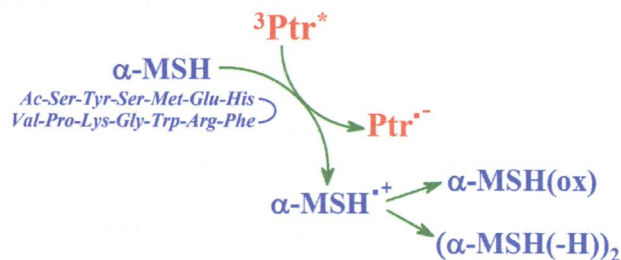
Palladium(II)-catalysed total synthesis of naturally occurring pyrano[3,2-a]carbazole and pyrano[2,3-b]carbazole alkaloids

Ronny Hesse, Anne Jäger, Arndt W. Schmidt and Hans-Joachim Knölker*

Seven naturally occurring pyranocarbazole alkaloids (pyrayafoline A–E, O-methylmurrayamine A and O-methylmahanine) have been synthesised using a palladium(II)-catalysed cyclisation of a diarylamine to an orthogonally diprotected 2,7-dihydroxycarbazole as key step.



3877

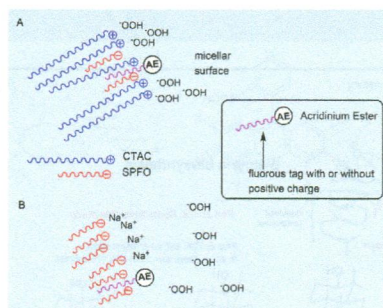


Degradation of α -melanocyte-stimulating hormone photosensitized by pterin

Carolina Castaño, Carolina Lorente, Nathalie Martins-Froment, Esther Oliveros and Andrés H. Thomas*

The reaction is initiated by an electron transfer and produces chemical changes in at least tryptophan and tyrosine residues.

3887

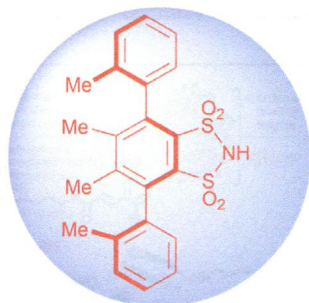


Synthesis and properties of chemiluminescent acridinium ester labels with fluoros tags

Anand Natrajan,* David Wen and David Sharpe

Acridinium dimethylphenyl esters are highly sensitive chemiluminescent labels that are used in clinical diagnostics.

3902

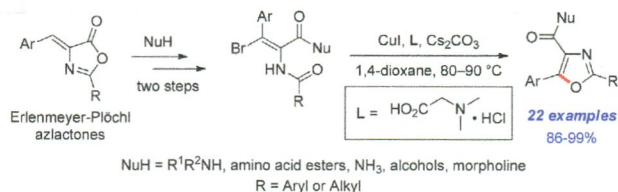


Chiral derivatives of 1,2-benzenedisulfonimide as efficient Brønsted acid catalysts in the Strecker reaction

Margherita Barbero, Silvano Cadamuro, Stefano Dughera* and Roberta Torregrossa

Two chiral derivatives of 1,2-benzenedisulfonimide were synthesized. (–)Atropisomers have been demonstrated to be efficient chiral catalysts in the Strecker reaction.

3912



A concise approach to polysubstituted oxazoles from *N*-acyl-2-bromo enamides via a copper(I)/amino acid-catalyzed intramolecular C–O bond formation

Bingjie Liu, Yueteng Zhang, Gang Huang, Xinting Zhang, Pengfei Niu, Jie Wu, Wenquan Yu* and Junbiao Chang*

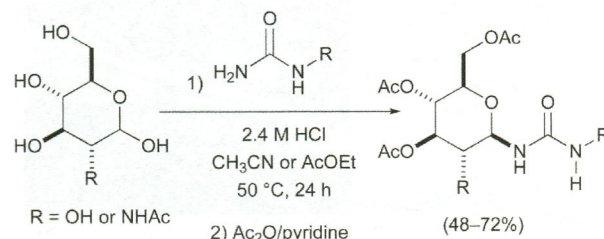
A straightforward and efficient copper(I)/amino acid-catalyzed intramolecular Ullmann-type C–O coupling reaction has been developed for oxazole synthesis.

3924

Protecting group free synthesis of urea-linked glycoconjugates: efficient synthesis of β -urea glycosides in aqueous solution

Yoshiyasu Ichikawa,* Takahiro Minami, Shohei Kusaba, Nobuyoshi Saeki, Yuta Tonegawa, Yumiko Tomita, Keiji Nakano, Hiyoshizo Kotsuki and Toshiya Masuda

The one step process, involving reactions between urea and protecting group free D -glucose, N -acetyl- D -glucosamine or D -xylose in acidic aqueous solution, furnishes the corresponding β -urea glycosides.

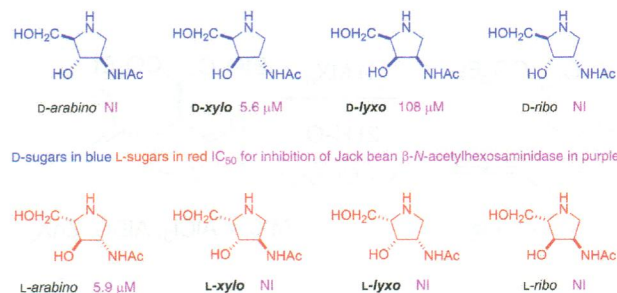


3932

Synthesis of the enantiomers of XYLNAc and LYXNAc: comparison of β - N -acetylhexosaminidase inhibition by the 8 stereoisomers of 2- N -acetylamino-1,2,4-trideoxy-1,4-iminopentitols

Elizabeth V. Crabtree, R. Fernando Martínez, Shinpei Nakagawa, Isao Adachi, Terry D. Butters, Atsushi Kato,* George W. J. Fleet and Andreas F. G. Glawar*

A general structural *trans*-motif for the potent inhibition of β - N -acetylhexosaminidase by iminosugars emerges.

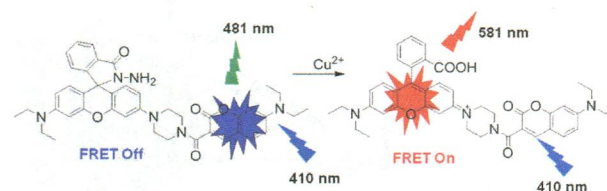


3944

Development of a new rhodamine-based FRET platform and its application as a Cu^{2+} probe

Xiaoyu Guan, Weiyang Lin* and Weimin Huang

We have constructed a new rhodamine-based FRET platform, which was then used to develop a ratiometric fluorescent Cu^{2+} probe.

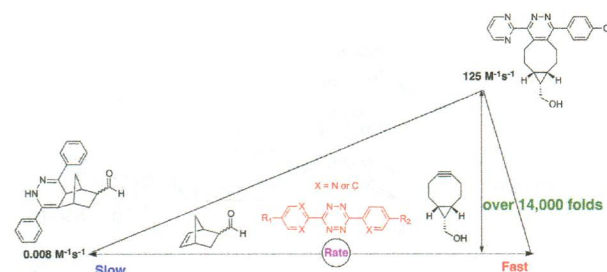


3950

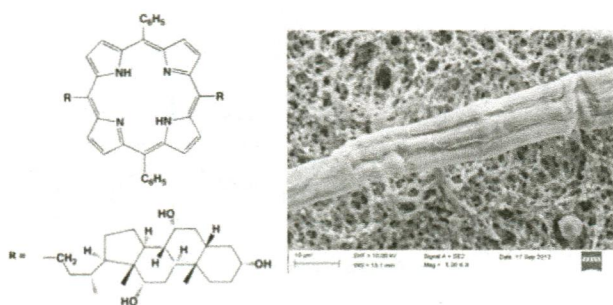
3,6-Substituted-1,2,4,5-tetrazines: tuning reaction rates for staged labeling applications

Danzhu Wang, Weixuan Chen, Yueqin Zheng, Chaofeng Dai, Ke Wang, Bowen Ke and Binghe Wang*

Over 14 000 fold rate difference for selective labeling was achieved by varying the substituents on tetrazines coupled with different dienophiles.



3956

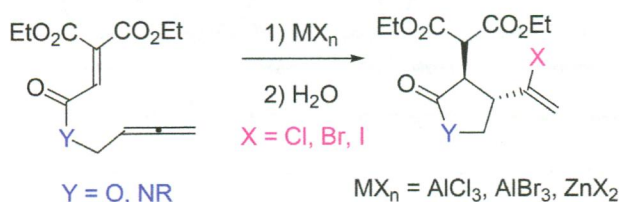


Tuning the chiroptical and morphological properties of steroidal-porphyrin aggregates: a mechanistic, structural, and MM investigation

Chiara Lorecchio, Mariano Venanzi, Claudia Mazzuca, Raffaella Lettieri, Antonio Palleschi,*
Thu Huong Nguyen Thi, Lenka Cardová, Pavel Drasar and Donato Monti*

The morphology and the chirality of a steroid-functionalised porphyrin aggregate can be effectively tuned by the reaction conditions used.

3964

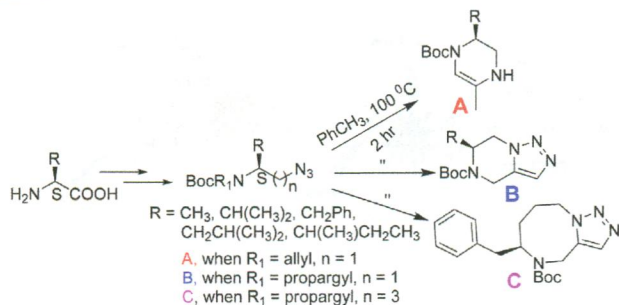


Lewis acid-promoted cyclization/halogenation of allenyl ethenetricarboxylates and the corresponding amides: stereoselective synthesis of haloalkenyl five-membered heterocycles

Yugo Fukushima, Shoko Yamazaki* and Akiya Ogawa

Lewis acid-promoted intramolecular reactions of allenyl ethenetricarboxylates and the corresponding amides gave 3,4-*trans* haloalkenyl five-membered heterocycles stereoselectively. Transformations of the products utilizing the haloalkenyl functionality have been demonstrated.

3976

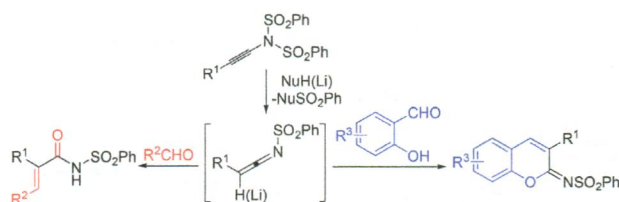


A rapid entry to amino acid derived diverse 3,4-dihydropyrazines and dihydro[1,2,3]triazolo[1,5-a]pyrazines through 1,3-dipolar cycloaddition

Saurav Bera and Gautam Panda*

Practical synthesis of diverse 3,4-dihydropyrazines, 6,7-dihydro-[1,2,3]triazolopyrazines and 7,8-dihydro-[1,2,3]-triazolodiazepines through intramolecular 1,3-dipolar cycloaddition from amino acid derived intermediates is described.

3986



Synthesis of α,β -unsaturated amides and iminocoumarins from N,N -disulfonyl ynamides with aldehydes via the ketenimine intermediate

Lian Yu and Jian Cao*

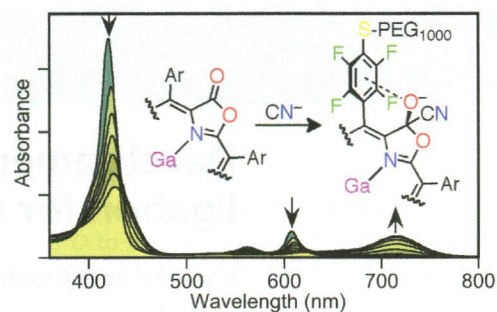
A novel synthesis of α,β -unsaturated amides from N,N -disulfonyl ynamides with aldehydes was developed. By utilization of salicylaldehydes, a variety of substituted iminocoumarins were prepared.

3991

PEGylated *meso*-arylporpholactone metal complexes as optical cyanide sensors in water

Jill L. Worlinsky, Steven Halepas and Christian Brückner*

A number of water-soluble metal complexes of PEGylated *meso*-fluorophenylporpholactones display a specific optical response upon addition of cyanide.

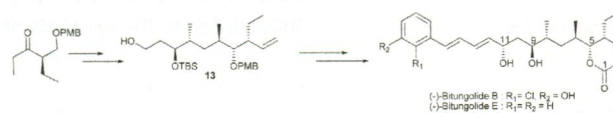


4002

A concise approach for the synthesis of bitungolides: total syntheses of (–)-bitungolide B & E

K. Mahender Reddy, J. Shashidhar and Subhash Ghosh*

The first total synthesis of (–)-bitungolide B and a second-generation total synthesis of (–)-bitungolide E are described through a common intermediate **13**.

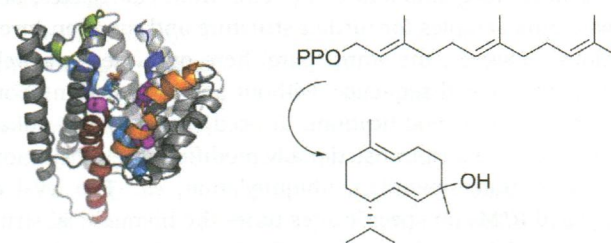


4013

Improved selectivity of an engineered multi-product terpene synthase

Ryan Lauchli,* Julia Pitzer, Rebekah Z. Kitto, Karolina Z. Kalbarczyk and Kersten S. Rabe*

Random mutation of the multi-product sesquiterpene synthase Cop2 generated a mutant that converted the natural substrate farnesyl diphosphate (FPP) into germacrene D-4-ol with 77% selectivity without detrimental effects on wild-type kinetic properties.



4021

Convergent and enantioselective syntheses of cytosolic phospholipase A₂α inhibiting *N*-(1-indazol-1-ylpropan-2-yl)carbamates

Tom Sundermann, Martina Arnsmann, Julian Schwarzkopf, Walburga Hanekamp and Matthias Lehr*

A convergent synthesis for **4** and enantioselective syntheses for (*R*)-**4** and (*S*)-**4** starting from a (*R*)-serine derived oxazolidine were developed.

