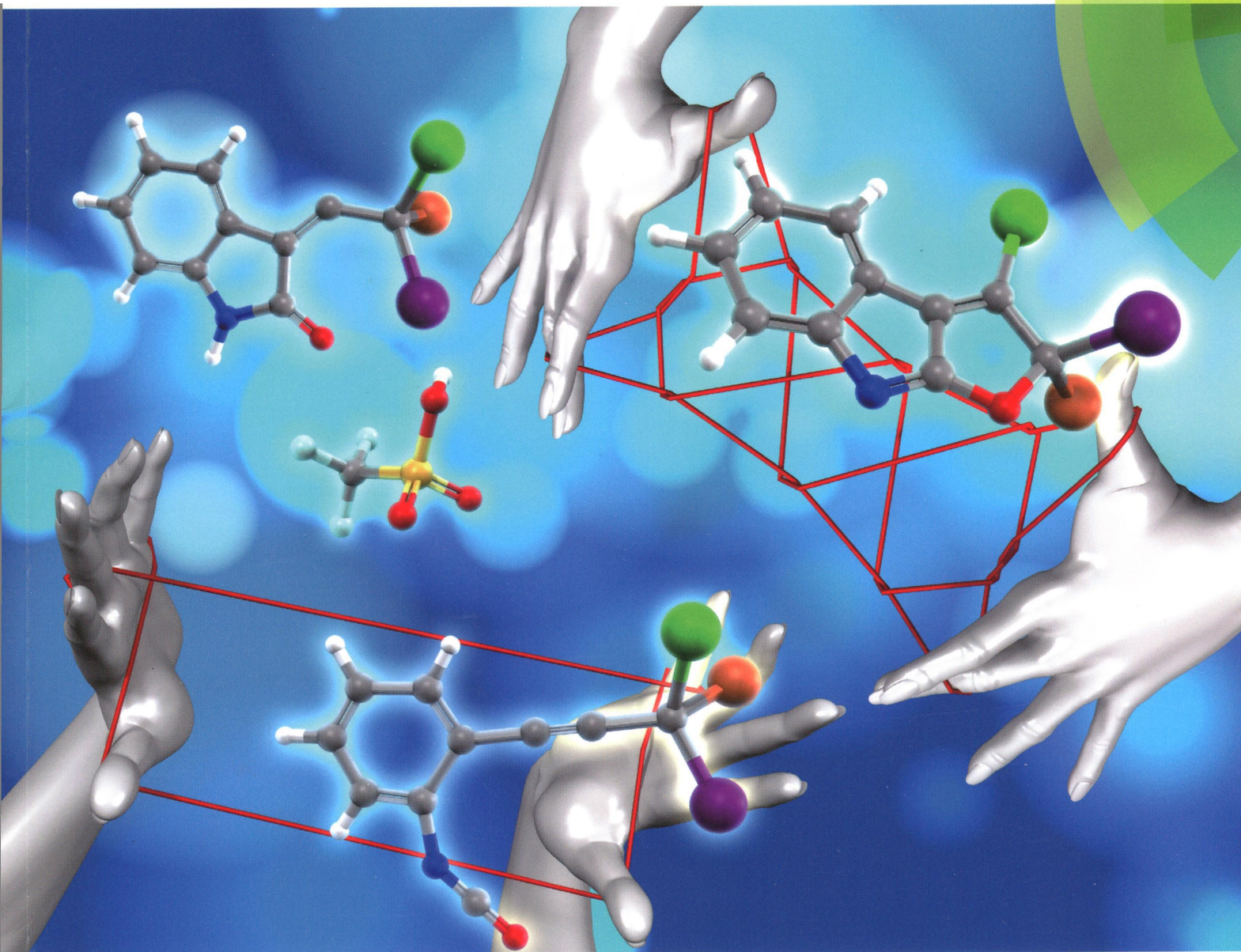
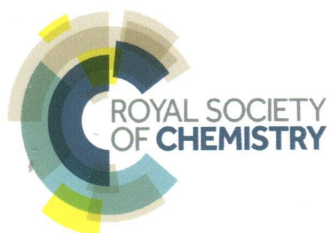


0-72/6
**Organic &
Biomolecular
Chemistry**

www.rsc.org/obc



ISSN 1477-0520



PAPER

Takao Saito, Takashi Otani *et al.*
Triflic acid-promoted cycloisomerization of 2-alkynylphenyl isothiocyanates and isocyanates: a novel synthetic method for a variety of indole derivatives

Organic & Biomolecular Chemistry

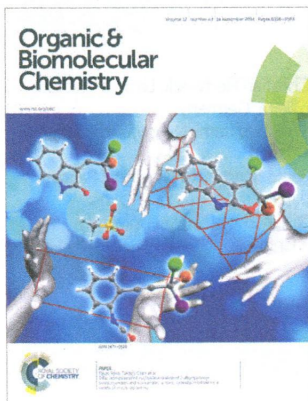
An international journal of synthetic, physical and biomolecular organic chemistry

www.rsc.org/obc

The Royal Society of Chemistry is the world's leading chemistry community. Through our high impact journals and publications we connect the world with the chemical sciences and invest the profits back into the chemistry community.

IN THIS ISSUE

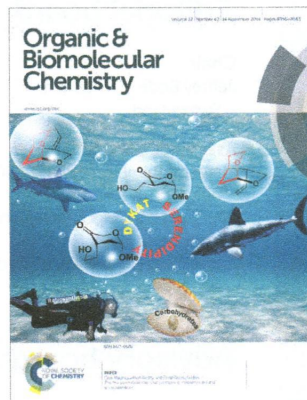
ISSN 1477-0520 CODEN OBCRAK 12(42) 8356–8563 (2014)



Cover

See Takao Saito, Takashi Otani *et al.*, pp. 8398–8407.

Image reproduced by permission of Takao Saito from *Org. Biomol. Chem.*, 2014, **12**, 8398.



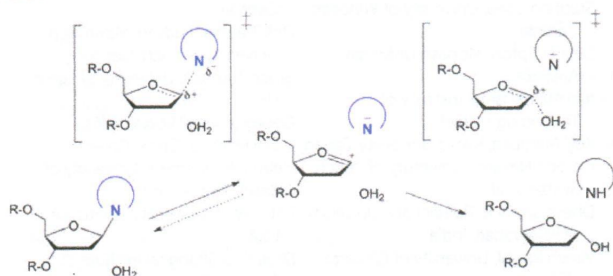
Inside cover

See Gadi Madhusudhan Reddy and Perali Ramu Sridhar, pp. 8408–8414.

Image reproduced by permission of Perali Ramu Sridhar from *Org. Biomol. Chem.*, 2014, **12**, 8408.

REVIEW

8367



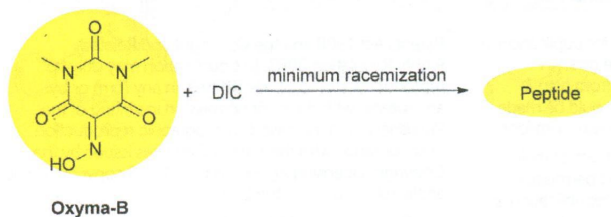
Mechanisms for enzymatic cleavage of the N-glycosidic bond in DNA

Alexander C. Drohat* and Atanu Maiti

We review advances in understanding the mechanism of DNA glycosylases, emphasizing the role of the nucleobase leaving-group.

COMMUNICATIONS

8379

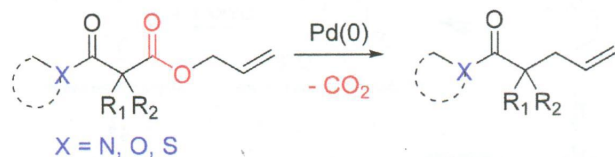


Oxyma-B, an excellent racemization suppressor for peptide synthesis

Yahya E. Jad, Sherine N. Khattab, Beatriz G. de la Torre, Thavendran Govender, Hendrik G. Kruger, Ayman El-Faham* and Fernando Albericio*

Oxyma-B, a superb additive for the control of optical purity during the synthesis of peptides.

8386

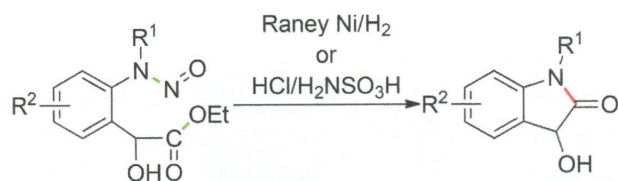


Decarboxylative allylations of ester enolate equivalents

Yamuna Ariyaratna and Jon A. Tunge*

A variety of ester enolate equivalents are generated *in situ* and undergo α -allylation in high yields via palladium-catalyzed decarboxylative allylation.

8390

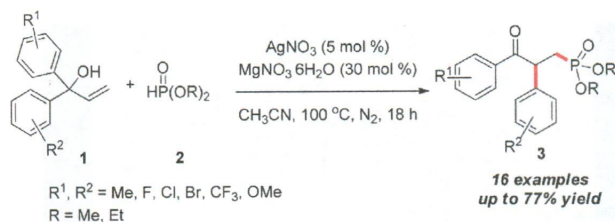


An intermolecular C–H functionalization method for the synthesis of 3-hydroxy-2-oxindoles

Jinsen Chen, Chao Song, Pei Chen and Jin Zhu*

An intermolecular C–H functionalization with a denitrosation-triggered cyclization method is developed for the synthesis of 3-hydroxy-2-oxindoles.

8394



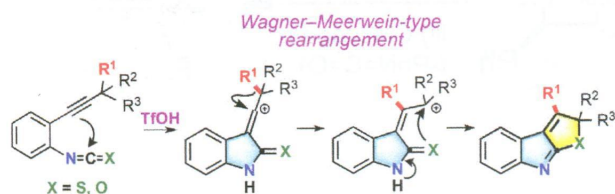
Silver-catalyzed carbonphosphonation of α, α -diaryl allylic alcohols: synthesis of β -aryl- γ -ketophosphonates

Xia Mi, Chenyang Wang, Mengmeng Huang,* Yusheng Wu* and Yangjie Wu*

Silver-catalyzed carbonphosphonation of α, α -diaryl allylic alcohols is achieved.

PAPERS

8398



Triflic acid-promoted cycloisomerization of 2-alkynylphenyl isothiocyanates and isocyanates: a novel synthetic method for a variety of indole derivatives

Takao Saito,* Yoshihiko Sonoki, Takashi Otani* and Noriki Kutsumura

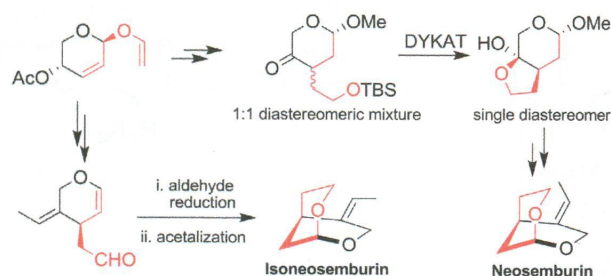
A unique method for synthesis of structurally diverse types of indoles involving a triflic acid-promoted cycloisomerization and a Wagner–Meerwein-type rearrangement is described.

8408

The first stereoselective total synthesis of neosemburin and isoneosemburin

Gadi Madhusudhan Reddy and Perali Ramu Sridhar*

The stereoselective total synthesis of neosemburin and isoneosemburin was achieved using 3-C-branched sugar precursors which in turn were synthesized by Claisen rearrangement of sugar derived allyl vinyl ethers.

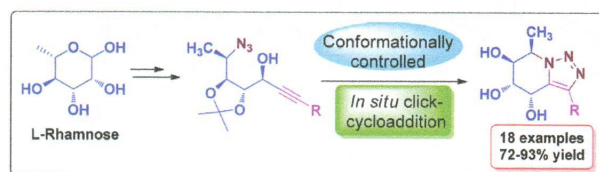


8415

Synthesis of L-rhamnose derived chiral bicyclic triazoles as novel sodium-glucose transporter (SGLT) inhibitors

Siddamal Reddy Putapatri, Abhinav Kanwal, Balasubramanian Sridhar, Sanjay K. Banerjee* and Srinivas Kantevari*

Fused chiral bicyclic 1,2,3-triazoles synthesized from commercially available natural L-rhamnose exhibited excellent SGLT inhibition activity.

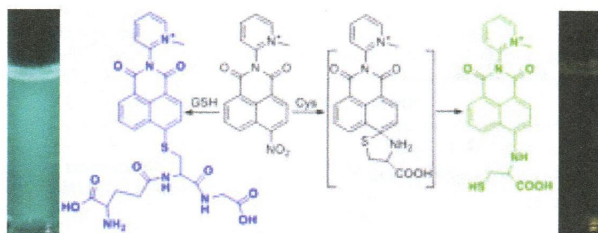


8422

Multi-channel colorimetric and fluorescent probes for differentiating between cysteine and glutathione/homocysteine

Lun Song, Ti Jia, Wenjia Lu, Nengqin Jia, Weibing Zhang* and Junhong Qian*

Three fluorescent probes were rationally designed to discriminate between Cys and GSH/Hcy. Cys and GSH can be differentiated by the naked eye.

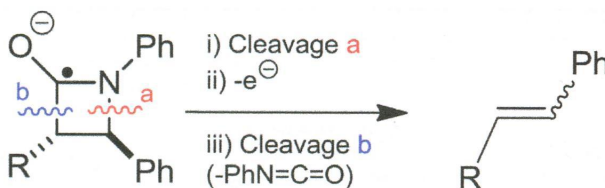


8428

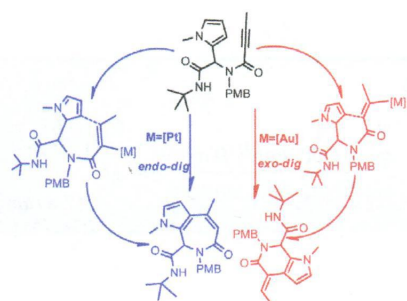
Cycloreversion of β -lactams via photoinduced electron transfer

Raúl Pérez-Ruiz, Jose A. Sáez, M. Consuelo Jiménez* and Miguel A. Miranda*

With DABCO as an electron donor, photoinduced cycloreversion of β -lactams leads to olefins through 1,4-radical anions and 1,4-biradicals as intermediates.



8433

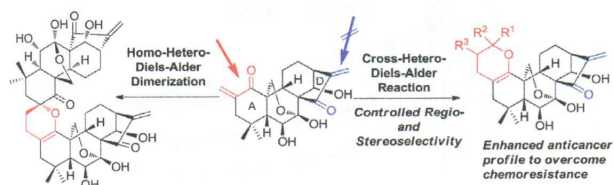


The mechanism and regioselectivity of gold(I) or platinum(II) catalyzed intramolecular hydroarylation to pyrrolopyridinones and pyrroloazepinones

Ran Fang,* Xiaoxiao Wei and Lizi Yang*

Pyrrolopyridinones and pyrroloazepinones can be prepared through gold(I) or platinum(II) catalysis. These interesting gold(I) or platinum(II) catalyses are fully supported by a computational study justifying the formation of each intermediate.

8442

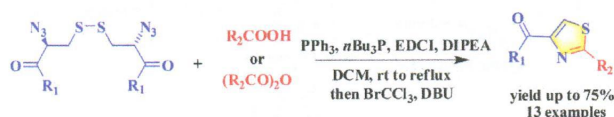


ent-Kaurane-based regio- and stereoselective inverse electron demand hetero-Diels–Alder reactions: synthesis of dihydropyran-fused diterpenoids

Chunyong Ding, Lili Wang, Haijun Chen, Christopher Wild, Na Ye, Ye Ding, Tianzhi Wang, Mark A. White, Qiang Shen and Jia Zhou*

A mild and concise approach for the construction of a 3,4-dihydro-2*H*-pyran ring integrated into the A-ring of the natural product oridonin is reported herein.

8453

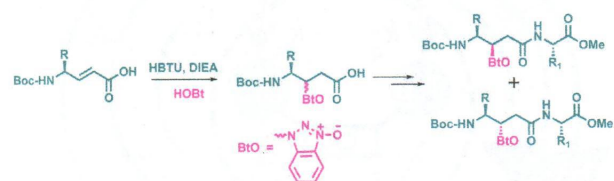


Concise synthesis of 2,4-disubstituted thiazoles from β -azido disulfides and carboxylic acids or anhydrides: asymmetric synthesis of cystothiazole C

Yi Liu, Xue Sun, Xing Zhang, Jun Liu* and Yuguo Du*

A novel and efficient method for one-pot synthesis of 2,4-disubstituted thiazoles from carboxylic acids or anhydrides is established. Based on this new methodology, the total synthesis of the bis-2,4-disubstituted bis(thiazoles) natural product cystothiazole C is also presented.

8462



HBTU mediated 1-hydroxybenzotriazole (HOBt) conjugate addition: synthesis and stereochemical analysis of β -benzotriazole *N*-oxide substituted γ -amino acids and hybrid peptides

Sachitanand M. Mali, Mothukuri Ganesh Kumar, Mona M. Katariya and Hosahudya N. Gopi*

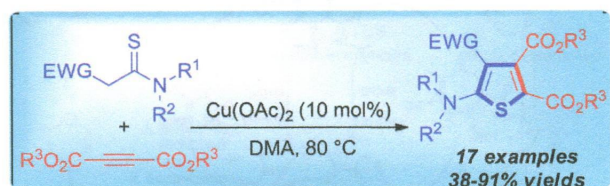
Synthesis and conformational analysis of β -benzotriazole *N*-oxide (β -BtO) substituted γ -amino acids by direct conjugate addition of HOBt to *E*-vinylogous γ -amino acids are reported.

8473

Direct synthesis of polysubstituted 2-aminothiophenes by Cu(II)-catalyzed addition/oxidative cyclization of alkynoates with thioamides

Li-Shi Ge, Zheng-Lin Wang, Xing-Lan An, Xiaoyan Luo* and Wei-Ping Deng*

Cu(II)-catalyzed addition/oxidative cyclization of thioamides with alkynoates affords structurally important 2-aminothiophenes in moderate to excellent yields.

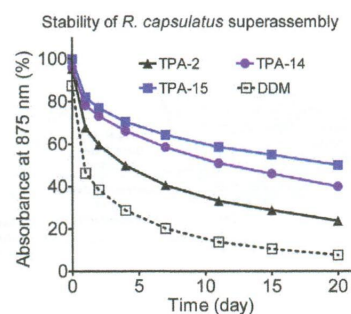


8480

New ganglio-tripod amphiphiles (TPAs) for membrane protein solubilization and stabilization: implications for detergent structure–property relationships

Pil Seok Chae,* Hyoung Eun Bae, Muhammad Ehsan, Hazrat Hussain and Jin Woong Kim

This study introduces new ganglio-TPAs with enhanced efficacy for membrane protein solubilization and stabilization compared to conventional detergents.

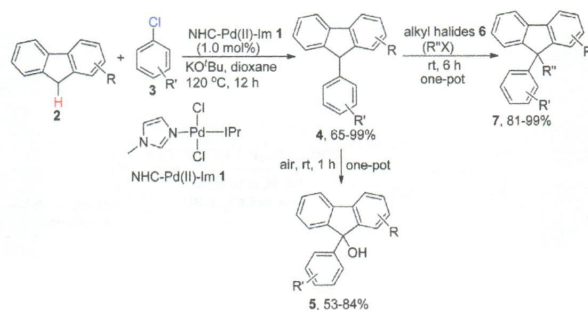


8488

Direct C–H bond arylation of fluorenes with aryl chlorides catalyzed by N-heterocyclic carbene–palladium(II)–1-methylimidazole complex and further transformation of the products in a one-pot procedure

Ya-Yun Ji, Li-Li Lu, Yu-Chun Shi and Li-Xiong Shao*

Direct C–H arylation of fluorenes with aryl chlorides and transformation of the products in a one-pot procedure was reported.

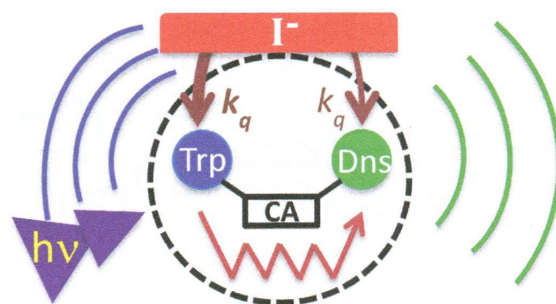


8499

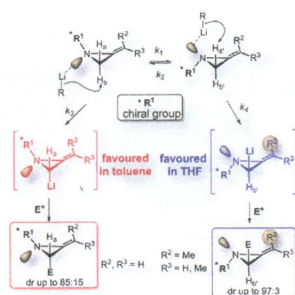
Two-channel dansyl/tryptophan emitters with a cholic acid bridge as reporters for local hydrophobicity within supramolecular systems based on bile salts

M. Gomez-Mendoza, M. Luisa Marin* and Miguel A. Miranda*

Simultaneous emission from the Trp and Dns fluorophores of linked dyads in biomimetic media is quenched by iodide anions with rate constants that depend on the local hydrophobicity.



8505

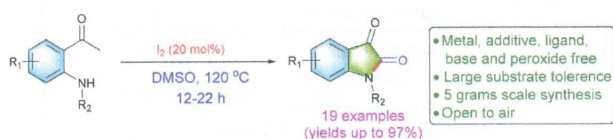


Stereocontrolled lithiation/trapping of chiral 2-alkylideneaziridines: investigation into the role of the aziridine nitrogen stereodynamics

Rosmara Mansueto, Leonardo Degennaro, Jean-François Brière, Karen Griffin, Michael Shipman, Saverio Florio and Renzo Luisi*

The origin of the stereoselectivity in the lithiation/trapping of 2-alkylideneaziridines bearing a chiral group as the nitrogen substituent was investigated.

8512

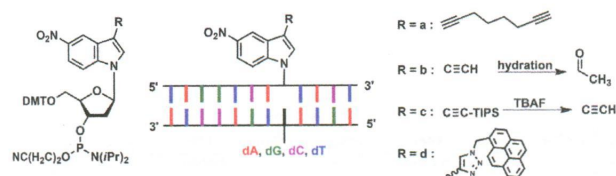


An efficient route to synthesize isatins by metal-free, iodine-catalyzed sequential C(sp³)-H oxidation and intramolecular C-N bond formation of 2'-aminoacetophenones

Venkatachalam Rajeshkumar,* Selvaraj Chandrasekar and Govindasamy Sekar*

A novel molecular I₂-catalyzed synthesis of isatins through C(sp³)-H oxidation and intramolecular C-N bond formation of 2'-aminoacetophenones has been developed.

8519



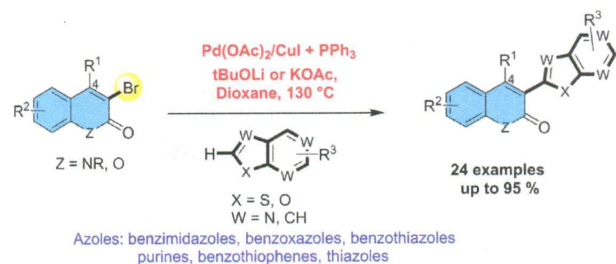
universal hybridization; high thermal stability for pyrene conjugate; ethynyl side chain hydration

5-Nitroindole oligonucleotides with alkynyl side chains: universal base pairing, triple bond hydration and properties of pyrene "click" adducts

Sachin A. Ingale, Peter Leonard, Haozhe Yang and Frank Seela*

Universal base pairing of 5-nitroindole oligonucleotides with alkynyl and pyrene functionalized side chains was demonstrated.

8533



A general Pd/Cu-catalyzed C-H heteroarylation of 3-bromoquinolin-2(1H)-ones

Alexandre Bruneau, Jean-Daniel Brion, Samir Messaoudi* and Mouad Alami*

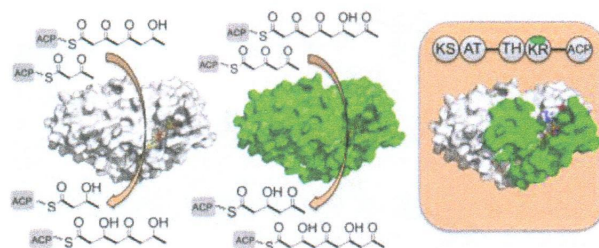
The Pd(OAc)₂/CuI system effectively catalyzes the coupling of 3-bromoquinolin-2(1H)-ones with a series of azoles to give 3-(heteroaryl)quinolin-2(1H)-ones in good yields.

8542

Insights into the programmed ketoreduction of partially reducing polyketide synthases: stereo- and substrate-specificity of the ketoreductase domain

Ishin Soehano, Lifeng Yang, Feiqing Ding, Huihua Sun, Zhen Jie Low, Xuewei Liu and Zhao-Xun Liang*

Evidence are provided to support that partially reducing polyketide synthases achieve programmed ketoreduction by differential recognition of polyketide intermediates.

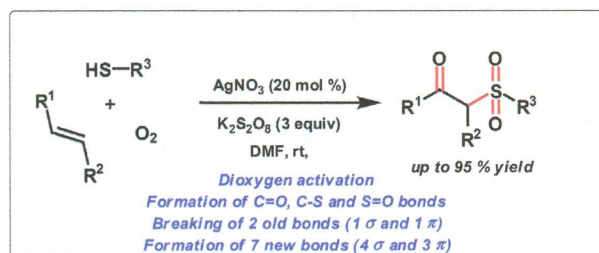


8550

Aerobic oxysulfonylation of alkenes using thiophenols: an efficient one-pot route to β -ketosulfones

Atul K. Singh, Ruchi Chawla, Twinkle Keshari, Vinod K. Yadav and Lal Dhar S. Yadav*

A direct and efficient one-pot synthetic route to β -ketosulfones via aerobic oxysulfonylation of alkenes using thiophenols at an ambient temperature is reported.



8555

Facile synthesis of antimalarial 1,2-disubstituted 4-quinolones from 1,3-bisaryl-monothio-1,3-diketones

Ajjampura C. Vinayaka, Maralinganadoddi P. Sadashiva,* Xianzhu Wu, Sergei S. Biryukov, José A. Stoute, Kanchugarakoppal S. Rangappa* and D. Channe Gowda*

A novel strategy is developed to access excellent antimalarial 1,2-disubstituted 4-quinolones in high yield starting from 1,3-bisaryl-monothio-1,3-diketone using Pd(OAc)₂ as a catalyst without any ligand requirement.

