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Tetrahedron Letters Vol. 51, No. 10, 2010

Contents



Aurore Richel*, Pascal Laurent, Bernard Wathelet, Jean-Paul Wathelet, Michel Paquot



Expedient synthesis of benzene tricarboxamide macrocycles derived from p-aminobenzoic acid Fred Campbell, Colin A. Kilner, Andrew J. Wilson*





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An efficient and regioselective iodination of electron-rich aromatic compounds using *N*-chlorosuccinimide and sodium iodide

Takuya Yamamoto*, Kozo Toyota, Noboru Morita*



Alternative method of ICI or NIS

R¹NHNH₂ R²NC

Proline-like β**-turn mimics accessed via Ugi reaction involving monoprotected hydrazines** Mikhail Krasavin^{*}, Vladislav Parchinsky, Alexei Shumsky, Igor Konstantinov, Anton Vantskul



Koichi Mikami*, Tatsushi Murase, Lili Zhai, Susumu Kawauchi, Yoshimitsu Itoh, Shigekazu Ito

Perfluoroalkylation and Asymmetric Reduction of Nitriles Triggered with Perfluoroalkyl Titanates

23 examples 65 - 94%

RCN
$$\xrightarrow{R_{f}Ti(OR'')_{4}MgBr}$$
 $\xrightarrow{NP}_{R_{f}} \xrightarrow{H_{2}}_{[BINAPs-Ir]^{+}BAr_{F}} \xrightarrow{NH_{2}}_{R_{f}}$

Highly enantio-enriched perfluoroalkyl amines are shown to be synthesized by perfluoroalkylation and asymmetric reduction of nitriles. Perfluoroalkylation of nitriles can be attained by the Lewis acidic perfluoroalkyl titanate reagents to give *acyclic* ketimines. Catalytic asymmetric hydrogenation of the *acyclic* ketimines affords the perfluoroalkyl amine products in up to 93% ee.

Synthetic studies towards Chlorahololides A: practical synthesis of a lindenane-type sesquiterpenoid core framework with a 5,6-double bond

Yong Liu, Fa-Jun Nan*



Towards Chlorahololides A: a lindenane-type sesquiterpenoid framework that contains a 5,6-double bond was synthesized from simple starting materials. The reductive cyclization of a 1,6-enyne and an unusual endo-type intramolecular Heck reaction was used as key steps for ring closure.

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Highly efficient synthesis of phenanthroquinolizidine alkaloids via Parham-type cycliacylation

Ziwen Wang, Qingmin Wang*



Regiospecific synthesis of 3-alkyl-4-hydroxybenzimidazoles as intermediates for an expedient approach to potent pp 1380-1382 **EP3 receptor antagonists**

Wayne Zeller, Alex S. Kiselyov, Jasbir Singh*



Regiospecific construction of 3-alkyl-4-hydroxybenzimidazoles is detailed. The synthetic route involves a novel O- to N-acyl transfer reaction to address the observed exclusive O-acylation of 2-amino-3-nitrophenol starting material. This efficient route provides the targeted 3-alkyl-4-hydroxybenzimidazoles in good yields, in five steps, without the use of chromatographic purification. These key intermediates were subsequently elaborated, as shown, to provide acylsulfonamide-derived potent EP₃ receptor antagonists.

An efficient regioselective NBS aromatic bromination in the presence of an ionic liquid

Subramanya R. K. Pingali, Monika Madhav, Branko S. Jursic*



Chemoselective addition of in situ prepared lithium alkynyl borates to aldehydes: a practical and transition metal pp 1386-1389 free approach toward the synthesis of propargylic alcohols

Irene Notar Francesco, Antoine Renier, Alain Wagner*, Françoise Colobert*



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Tragoponol, a dimeric dihydroisocoumarin from Tragopogon porrifolius L.

Christian Zidorn*, Bent O. Petersen, Vipaporn Sareedenchai, Ernst P. Ellmerer, Jens Ø. Duus

A phytochemical re-investigation of *Tragopogon porrifolius* L. (Asteraceae) yielded (75,155)-2,4,12trihydroxy-7-(4-hydroxyphenyl)-10-methoxy-15-(4-methoxyphenyl)-7,8,15,16-tetrahydrodibenzo[*c*,*i*][1,7]dioxacyclododecine-5,13-dione, named tragoponol, a dimeric dihydroisocoumarin. The compound, which represents the first of its kind, is comprised of two different monomethoxylated dihydroisocoumarins, scorzocreticin and hongkongenin, which are connected via two ester bonds to form a macrolide with two lactone moieties featuring a 12-membered ring. The structure of the nearly symmetrical compound was established by HR mass spectrometry, CD measurements, and extensive 1D and 2D NMR experiments.



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Synthesis of a proposed biosynthetic intermediate of a marine cyclic ether brevisamide for study on biosynthesis pp 1394–1396 of marine ladder-frame polyethers

Tomohiro Shirai, Takefumi Kuranaga, Jeffrey L. C. Wright, Daniel G. Baden, Masayuki Satake*, Kazuo Tachibana*



A proposed biosynthetic intermediate of brevisamide comprising a linear backbone with an *E*-olefin functionality was synthesized for biosynthetic studies on the marine ladder-frame polyethers.

Photochemical synthesis of tetraaryl-substituted pentacenes

Shuhei Katsuta, Hiroko Yamada*, Tetsuo Okujima, Hidemitsu Uno



Synthesis and properties of bis(pyrazino[2',3':4,5]imidazole)-fused 1,2,5,6-tetrahydro-1,4,5,8,9,10-hexaazaanthracenes: a new fluorescent nitrogen-rich heterocycle Sojiro Hachiya, Daisuke Hashizume, Shojiro Maki, Haruki Niwa, Takashi Hirano*





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Improved conditions for converting sterically hindered amides to 1,5-disubstituted tetrazoles

Gretchen M. Schroeder*, Sydney Marshall, Honghe Wan, Ashok V. Purandare



Improved conditions for converting amides into 1,5-disubstituted tetrazoles are described. The optimum reaction conditions [diisopropyl azodicarboxylate (DIAD), diphenylphosphoryl azide (DPPA), and diphenyl-2-pyridyl phosphine in THF at 45 °C] converted sterically hindered amides to their corresponding tetrazoles in good yield.

 $\begin{array}{l} Pd(0)~(5~mol\%)\\ PPh_3,~K_2CO_3\\ THF \end{array}$

NO₂

Unusual regioselectivity in Pd(0)-catalyzed coupling of allylic monoacetates and nitroalkanes: one-pot isomerization–alkylation

Pasha M. Khan, Kirpal S. Bisht*

A hitherto unknown palladium-catalyzed reaction of nitroalkanes with hydroxy allylic acetates is reported. The reaction led to the formation of γ -nitrocarbonyl compounds instead of the usual unsaturated nitroalcohol expected upon displacement of the allylic acetate group.

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One-pot regioselective vinylation of tetrazoles: preparation of 5-substituted 2-vinyl-2H-tetrazoles

n=0.1.2

Jaroslav Roh*, Kateřina Vávrová, Alexandr Hrabálek



The one-pot regioselective preparation of 5-aryl/alkyl-2-vinyl-2H-tetrazoles from 5-substituted tetrazoles via a very simple procedure using 1,2-dibromoethane and triethylamine without the need of any catalyst is described.

Synthesis of 5-benzyloxy-1,4-dihydro-6-methyl-4-oxopyridine-3-carbaldehyde by aerobic oxidation of the 5-dimethylaminomethyl analogue: optimisation of the reaction conditions

Yong Min Ma, Robert C Hider*

A successful introduction of the formyl group at position 5 of 3-hydroxypyridin-4-one was achieved by aerobic oxidation, catalysed by NHS/Co(II). To obtain a practical yield, the reaction conditions were optimised.

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A rapid and practical entry into cis-1,4-aminocyclohexanols

Fabrice Gallou*, Bo Han, Jiang Lu, Manuela Seeger-Weibel, Anne-Florence Stoessel, Simon Allmendinger

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Desymmetrisation of (4*R***,5***S***)-4**,**5**-**diphenylimidazolidine-2**-**thione using pentafluorophenyl active esters** Anna Andreou, Jason Eames^{*}, Majid Motevalli, Timothy J. Prior, Michael Watkinson pp 1423-1425



Aqueous electrosynthesis of carbonyl compounds and the corresponding homoallylic alcohols in a divided cell pp 1426–1429

Li Zhang, Zhenggen Zha*, Zhiyong Wang*, Shengquan Fu

An aqueous paired electrosynthesis is studied in a divided cell. On graphite anode the generated Br₂ oxidized alcohol to the corresponding carbonyl compounds while on graphite cathode Sn²⁺ was reduced to Sn⁰. Then the produced metallic tin mediated the allylation of the carbonyl compounds with allyl bromide to generate the corresponding homoallylic alcohol. In the reaction the mediators (Sn and Br₂) were generated in situ and could be reused via the electrolysis. Both working electrode and the counter electrode were utilized to generate the products simultaneously without the sacrifice of electrode materials.

Selenium dioxide-mediated methoxyhydroxylation of cyclic arylolefin

Meng-Yang Chang*, Chung-Han Lin, Yeh-Long Chen





pp 1430-1433

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Amino-functionalized carbon nanotubes as nucleophilic scavengers in solution phase combinatorial synthesis

Yongsheng Li*, Yuzeng Zhao, Zhuo Zhang, Yaomin Xu



A versatile method for fast scavenging a variety of electrophiles using carbon nanotubes functionalized by amino groups (CNT-NH₂) is reported. Following the scavenging event, CNT-NH₂ can be easily separated from the reaction mixture by filtration, leaving the desired products in excellent yields and purities.

Synthesis of polycyclic fused 2-quinolones in aqueous micellar system

Subhendu Naskar, Pritam Saha, Rupankar Paira, Abhijit Hazra, Priyankar Paira, Shyamal Mondal, Arindam Maity, Krishnendu B. Sahu, Sukdeb Banerjee, Nirup B. Mondal*

Synthesis of iboga alkaloids by Pd-catalyzed heteroannulation of 2-iodoaniline with an internal alkyne as the key step

Goutam Kumar Jana, Surajit Sinha*

An interesting application of Larock's indole annulations methodology to create indoles suitable for conversion to iboga scaffolds' using Trost methodology.

OTHER CONTENT Corrigendum

$R^1 = CO_2Me$, $R = Si(CH_3)_3$, P = Boc or Ts

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