

Contents lists available at ScienceDirect

Tetrahedron Letters

journal homepage: www.elsevier.com/locate/tetlet

Tetrahedron Letters Vol. 51, No. 35, 2010

Contents



Microwave-assisted organic synthesis of a high-affinity pyrazolo-pyrimidinyl TSPO ligand Dewei Tang, Jason R. Buck, Matthew R. Hight, H. Charles Manning^{*}



A microwave-assisted total synthesis of the high-affinity TSPO ligand, DPA-714, is reported. This protocol could enable high-throughput development of focused libraries of novel TSPO ligands.

Synthesis of the spiroketal fragment of bistramide A via an exocyclic enol ether

Loïc Tomas, David Gueyrard*, Peter G. Goekjian*



An efficient synthesis of the spirocyclic fragment **1** of bistramides is reported. An olefination reaction of lactone **4** with sulfone **5** gave the enol ether **3**, which upon cyclization in acidic media provided the spiroketal ring system. This compound was then converted into the C19–C36 fragment of the bistramides via successive Julia–Kocienski and Horner–Emmons olefinations.

Selective iodination of vicinal cis-diols on ketopyranose templates

Ana Catarina Simao, Arnaud Tatibouët*, Amelia P. Rauter, Patrick Rollin



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Synthesis of imidazo[1,2*a*]pyridines via three-component reaction of 2-aminopyridines, aldehydes and alkynes Ping Liu, Li-song Fang, Xinsheng Lei*, Guo-qiang Lin*

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A novel three-component reaction towards the synthesis of imidazo[1,2a]pyridines was independently developed based on 2-aminopyridines, aldehydes and alkynes, and thereby imidazo[1,2a]pyridines were obtained in acceptable yields by the CuSO₄/TsOH catalyzed three-component reaction.

The efficient one-step chlorination of methylsulfanyl group on pyrimidine ring system with sulfuryl chloride Young Jin Ham, Duck-Hyung Lee, Hwan Geun Choi, Jung-Mi Hah, Taebo Sim*



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Twofold terminal post-functionalization of acetylacetone with hole- and electron-transporting fragments Lingcheng Chen, Junqiao Ding, Yanxiang Cheng, Lixiang Wang*, Xiabin Jing, Fosong Wang



A modular synthetic methodology has been developed to prepare β-diketones functionalized with hole- and electron-transporting fragments at their two termini. The optical and electrochemical properties of these new β-diketones are also described in detail.

'Click' synthesis of ferrocenyl-, biferrocenyl-, and cobalticenyl-triazolyl-β-cyclodextrins Abdou K. Diallo, Stéphane Menuel, Eric Monflier, Jaime Ruiz, Didier Astruc*





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Palladium-catalyzed oxidative cyclization in alkaloid synthesis: total syntheses of (±)-*cis***- and** *trans***-195A** Megumi Saeki, Masahiro Toyota*



Synthesis of new polyhalogenoalkyl-containing phosphonates with an enaminone core and their use in the preparation of fluorinated heterocycles

Karen V. Tarasenko, Olga V. Manoylenko, Valery P. Kukhar, Gerd-Volker Röschenthaler, Igor I. Gerus*



A number of polyhalogenoalkyl-containing phosphonates with an enaminone core were synthesized and used for the preparation of five- and six-membered heterocycles bearing both trifluoromethyl and methylenephosphonate groups.

Gold-catalyzed regiospecific intermolecular hydrothiolation of allenes

Menggenbateer, Meda Narsireddy, Giovanni Ferrara, Naoko Nishina, Tienan Jin*, Yoshinori Yamamoto*



Synthesis of meso-substituted dipyrromethanes using iodine-catalysis

Pierre-Antoine Faugeras, Benjamin Boëns, Pierre-Henri Elchinger, Julien Vergnaud, Karine Teste, Rachida Zerrouki*

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Dehydrogenation of 1,2,3,4-tetrahydroquinoline and its related compounds: comparison of Pd/C–ethylene system pp 4633–4635 and activated carbon–O₂ system

Takanori Tanaka, Ken-ichi Okunaga, Masahiko Hayashi*



method A: Pd/C-ethylene, method B: activated carbon-O2

Synthesis of the human aldose reductase inhibitor rubrolide L

John Boukouvalas*, Lucas C. McCann



Synthesis of musafluorone: a naphthoxanthenone isolated from Musa acuminata

Luisa Duque, Catalina Restrepo, Jairo Sáez, Jesús Gil, Bernd Schneider*, Felipe Otálvaro*



Musafluorone was synthesized using a nine-step procedure including a Grignard addition and a photochemical cyclization.

The effect of sulfur stereochemistry of L-β,β-dimethylmethionine *S*-oxide on the physicochemical properties of pp truncated polytheonamides

Shigeru Matsuoka, Yuki Mizoguchi, Hiroaki Itoh, Ken Okura, Naoki Shinohara, Masayuki Inoue*



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Synthesis of dihydroindenofuran scaffold via a Pd-catalyzed 5-*endo-trig* cyclization/enolate O-alkylation cascade pp 4648–4652 Eun Sun Kim, Ko Hoon Kim, Sunhong Park, Jae Nyoung Kim*



Diels–Alder routes to angularly halogenated cis-fused bicyclic ketones: readily accessible cyclynone intermediates pp 4653–4654 Jun Hee Lee*, Woo Han Kim, Samuel J. Danishefsky*

> cat. MeAlCl₂



Total syntheses of the proposed structures of cuevaene A

Yunxiu Chen, Jianfeng Huang, Bo Liu*



Chiral Brønsted acid-catalyzed regio- and enantioselective arylation of α,β-unsaturated trifluoromethyl ketones Zeng-kai Pei, Yan Zheng, Jing Nie, Jun-An Ma^{*}



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Thermomorphic fluorous phosphines as organocatalysts for Michael addition reactions

Carolina Gimbert, Adelina Vallribera*, John A. Gladysz*, Markus Jurisch



The fluorous phosphines P[(CH₂)_mR_{fm}]₃ (R_{fm} = (CF₂)_{n-1}CF₃; m/n = 2/8, 3/8, 3/10) are efficient nucleophilic catalysts of Michael addition reactions. They can be easily recycled based upon their highly temperature-dependent solubilities (thermomorphism), with recovery by simple liquid/solid phase separation. The phosphonium salt formed by reaction of the nucleophilic phosphine with the α_{β} -unsaturated system appears to be a significant component of the catalyst rest state.

Controlled emission enhancement and quenching by self-assembly of low molecular weight thiophene derivatives pp 4666-4669 Koji Miyamoto, Tsuyoshi Sawada, Hirokuni Jintoku, Makoto Takafuji, Takashi Sagawa, Hirotaka Ihara*

> 25 °C Enhancement 90 °C

Highly selective and sensitive fluorescence turn-on probe for proline Gun-Joong Kim, Hae-Jo Kim*

Synthesis of the BC/DE ring model of brevisin for confirmation of the structure around the acyclic junction Takefumi Kuranaga, Masayuki Satake*, Daniel G. Baden, Jeffrey L. C. Wright, Kazuo Tachibana*

A weakly fluorescent coumarinyl aldehyde was transformed into a strongly fluorescent aldol product by a catalytic amount of proline.

Weak Fluorescence



pp 4670-4672



acetone

ÓН 0

Strong Fluorescence





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Mark York*, Richard A. Evans



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O⁺ Supplementary data available via ScienceDirect

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ISSN 0040-4039

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