Synthesis

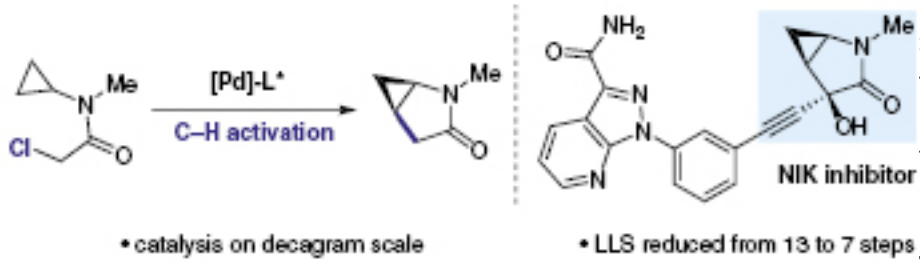
Reviews and Full Papers in Chemical Synthesis

November 17, 2020 • Vol. 52, 3295–3492

Special Topic

Synthesis in Industry

Editor: Franziska Schoenebeck



Synthesis of an Azabicyclo[3.1.0]hexanone-Containing Inhibitor of NF-κB Inducing Kinase via Catalytic C–H Activation

J. J. Crawford, D. Liao, A. Kolesnikov, W. Lee, M. L. Landry

22

Thieme

This document was downloaded for personal use only. Unauthorized distribution is strictly prohibited.

Synthesis

Reviews and Full Papers in Chemical Synthesis

2020
Vol. 52, No. 22
November II

Synthesis

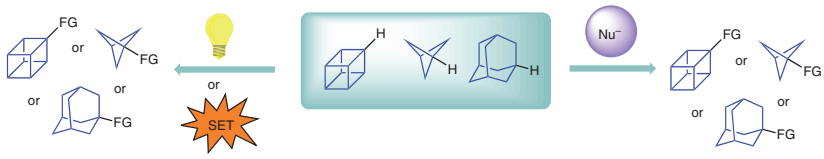
Synthetic Advances in the C–H Activation of Rigid Scaffold Molecules

Review

3295

Synthesis **2020**, *52*, 3295–3325
DOI: 10.1055/s-0040-1707884

N. Grover
M. O. Senge*
The University of Dublin, Ireland



Synthesis

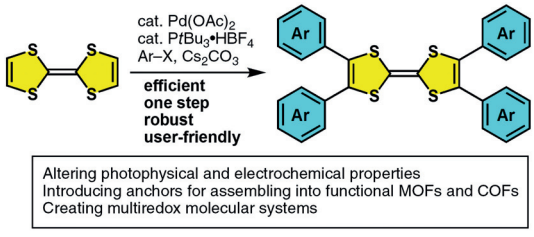
Catalytic C–H Arylation of Tetrathiafulvalenes for the Synthesis of Functional Materials

Short Review

3326

Synthesis **2020**, *52*, 3326–3336
DOI: 10.1055/s-0040-1707256

H. Yorimitsu*
A. Yoshimura
Y. Misaki
Kyoto University, Japan



Altering photophysical and electrochemical properties
Introducing anchors for assembling into functional MOFs and COFs
Creating multiredox molecular systems

This document was downloaded for personal use only. Unauthorized distribution is strictly prohibited.

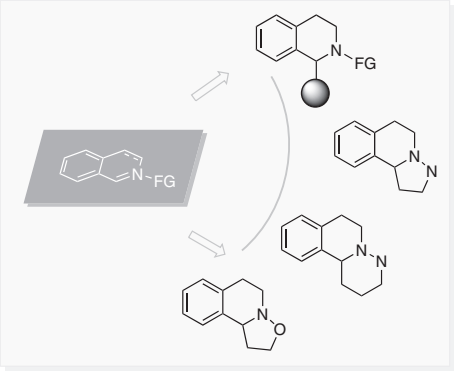
Synthesis
Synthesis **2020**, *52*, 3337–3355
DOI: 10.1055/s-0040-1707206

Asymmetric Synthesis of C_1-Chiral THIQs with Imines in Isoquinoline Rings

Short Review

3337

D. Li*
X. Chen
W. Gao*
Capital Medical University, P. R. of China



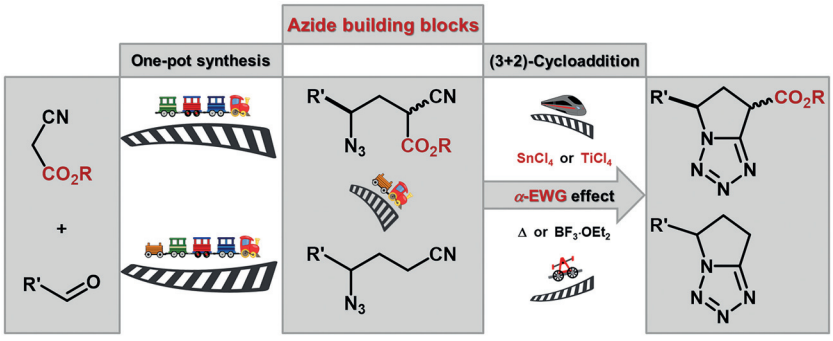
Synthesis
Synthesis **2020**, *52*, 3356–3373
DOI: 10.1055/s-0040-1706402

One-Pot Synthesis of γ-Azidobutyronitriles and Their Intramolecular Cycloadditions

Feature

3356

K. L. Ivanov
H. B. Tukhtaev
F. O. Tukhtaeva
S. I. Bezzubov
M. Ya. Melnikov
E. M. Budynina*
Lomonosov Moscow State University, Russian Federation
Lebedev Physical Institute, Russian Federation



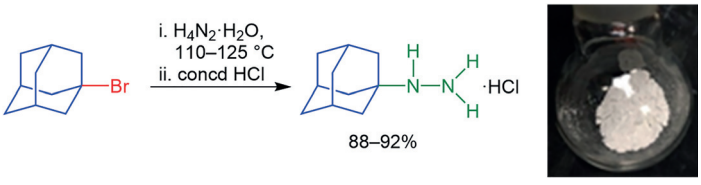
Synthesis
Synthesis **2020**, *52*, 3374–3377
DOI: 10.1055/s-0040-1707353

A Catalyst-Free, Temperature-Driven One-Pot Synthesis of 1-Adamantylhydrazine Hydrochloride

PSP

3374

A. Delpe Acharige
R. Neri
J. Hodgson
S. H. Bossmann*
Kansas State University, USA



This document was downloaded for personal use only. Unauthorized distribution is strictly prohibited.

Synthesis

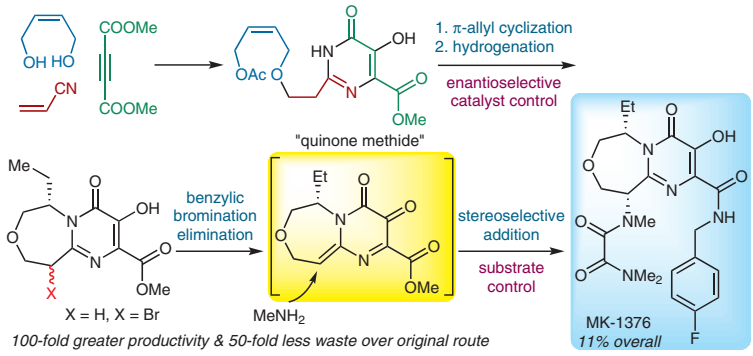
Synthesis **2020**, *52*, 3378–3388
DOI: 10.1055/s-0040-1707994

Synthesis of Fused Oxepane HIV Integrase Inhibitor MK-1376

Special Topic

3378

P. E. Maligres*
Z. J. Song*
N. A. Strotman
J. Yin
T. Pei
H. R. Strotman
T. Itoh
E. C. Sherer
G. R. Humphrey
Merck & Co., Inc., USA



Synthesis

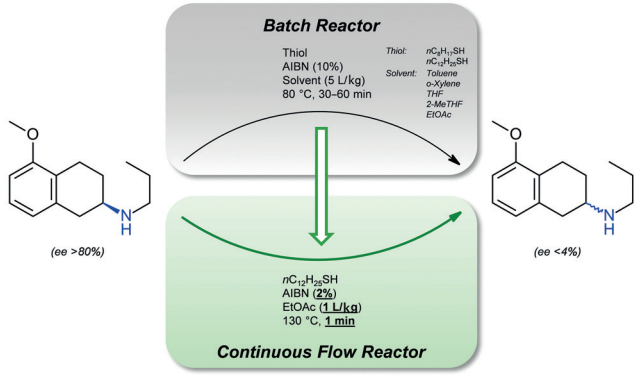
Synthesis **2020**, *52*, 3389–3396
DOI: 10.1055/s-0040-1707339

Intensification of Free-Radical Racemization for a Non-activated Amine in a Continuous Flow Reactor

Special Topic

3389

F. C. Toussaint*
T. Defrance*
S. Decouvreur
N. Carly
A. Merschaert
UCB Pharma S.A., Belgium



Synthesis

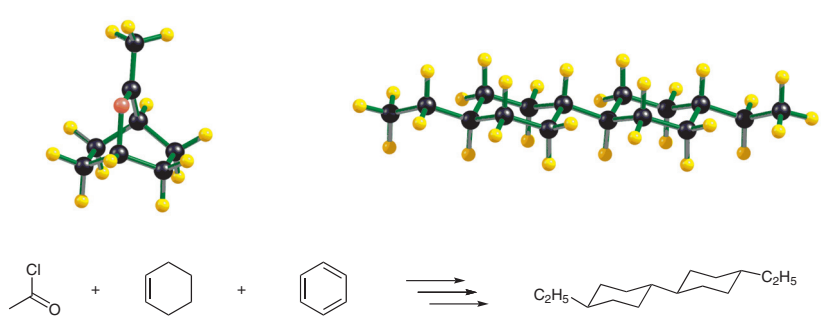
Synthesis **2020**, *52*, 3397–3405
DOI: 10.1055/s-0040-1707349

Controlling the All-*trans* Stereochemistry in Liquid Crystalline 4,4′-Dialkyl-[1,1′-bicyclohexyl] Compounds

Special Topic

3397

A. Wächtler*
M. Bremer*
D. Maillard
T. Mohr
Consultant, Germany
Merck KGaA, Germany



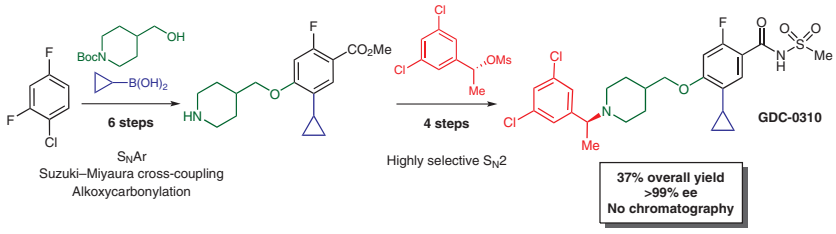
This document was downloaded for personal use only. Unauthorized distribution is strictly prohibited.

Synthesis
Special Topic
3406

Practical Early Development Synthesis of Nav1.7 Inhibitor GDC-0310

Synthesis **2020**, *52*, 3406–3414
DOI: 10.1055/s-0040-1707859

A. Stumpf*
F. St-Jean*
D. Lao
Z. K. Cheng
R. Angelaud
F. Gosselin
Genentech Inc., USA

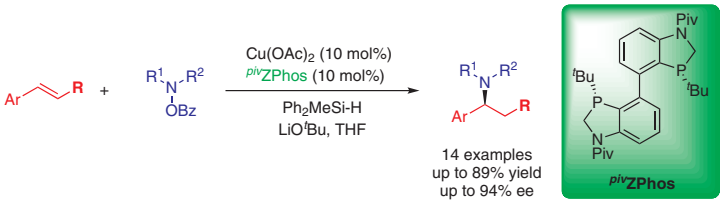


Synthesis
Special Topic
3415

Copper-Catalyzed Asymmetric Hydroamination of Styrenes with ^{piv}ZPhos as Ligand

Synthesis **2020**, *52*, 3415–3419
DOI: 10.1055/s-0040-1707346

C. Chen
L. Wu
Y. Wang
L. Wu*
Y. Zhang*
Boehringer Ingelheim Pharmaceuticals, Inc., USA

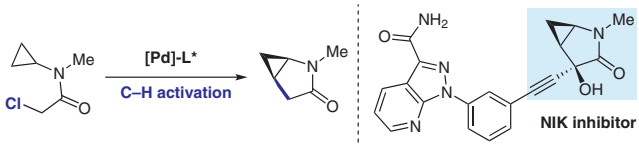


Synthesis
Special Topic
3420

Synthesis of an Azabicyclo[3.1.0]hexanone-Containing Inhibitor of NF-κB Inducing Kinase via Catalytic C–H Activation

Synthesis **2020**, *52*, 3420–3426
DOI: 10.1055/s-0040-1707279

J. J. Crawford*
D. Liao
A. Kolesnikov
W. Lee
M. L. Landry
Genentech, Inc., USA



• catalysis on decagram scale
• LLS reduced from 13 to 7 steps

This document was downloaded for personal use only. Unauthorized distribution is strictly prohibited.

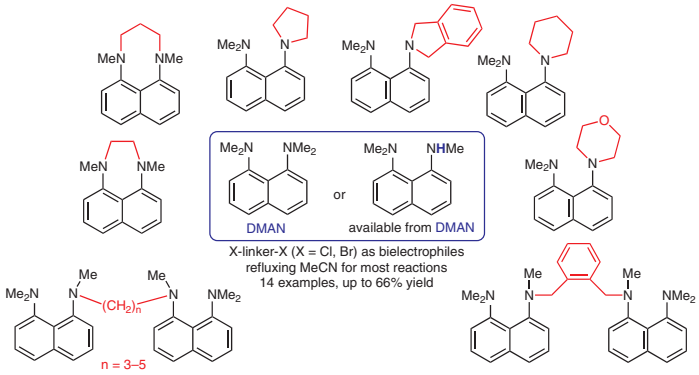
Synthesis
Synthesis **2020**, *52*, 3427–3438
DOI: 10.1055/s-0040-1707079

N-Methylated 1,8-Diaminonaphthalenes as Bifunctional Nucleophiles in Reactions with α,ω-Dihalogenoalkanes: A Facile Route to Heterocyclic and Double Proton Sponges

Paper

3427

E. V. Kolupaeva
V. A. Ozeryanskii*
A. F. Pozharskii
Southern Federal University, Russian Federation



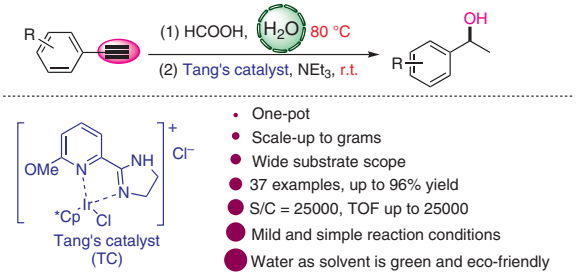
Synthesis
Synthesis **2020**, *52*, 3439–3445
DOI: 10.1055/s-0040-1707233

An Efficient Hydration and Tandem Transfer Hydrogenation of Alkynes for the Synthesis of Alcohol in Water

Paper

3439

N. Luo
Y. Zhong
J.-T. Liu
L. Ouyang*
R. Luo*
Gannan Medical University, P. R. of China



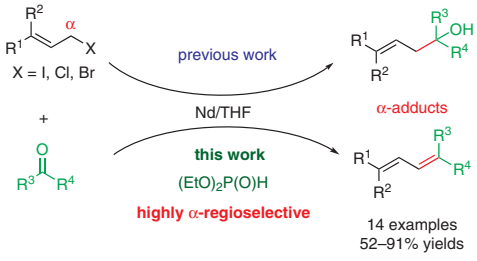
Synthesis
Synthesis **2020**, *52*, 3446–3451
DOI: 10.1055/s-0040-1707219

Neodymium-Promoted Highly Selective Carbon–Carbon Double Bond Formation of Ketones with Allyl Halides in the Presence of Diethyl Phosphite

Paper

3446

D. Xie
Y. Wang
B. Yang
S. Zhang*
Soochow University, P. R. of China



This document was downloaded for personal use only. Unauthorized distribution is strictly prohibited.

Synthesis

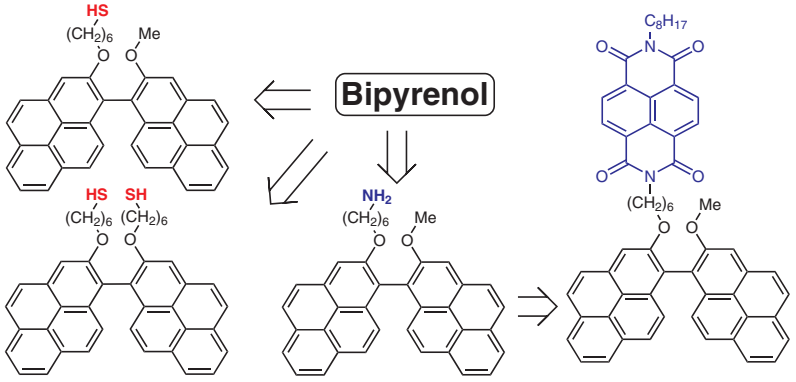
Synthesis **2020**, *52*, 3452–3460
DOI: 10.1055/s-0040-1707341

Functionalization of Bipyrenol: Potential Precursors for Advanced Chiral Molecules

Paper

3452

U. A. Liza
K.-i. Sugiura*
Tokyo Metropolitan University, Japan



Synthesis

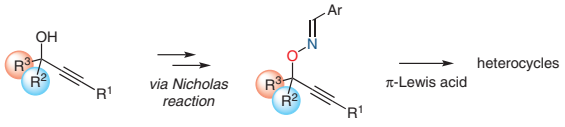
Synthesis **2020**, *52*, 3461–3465
DOI: 10.1055/s-0040-1707191

Efficient Synthesis of *O-tert*-Propargylic Oximes via Nicholas Reaction

Paper

3461

I. Nakamura*
K. Shiga
M. Suzuki
M. Terada
Tohoku University, Japan



tert-propargylic alcohols

R^1 = alkyl, aryl, TMS
R^2, R^3 = alkyl, aryl

O-tert-propargylic oximes
11 examples
up to 85% yield for 3 steps

Synthesis

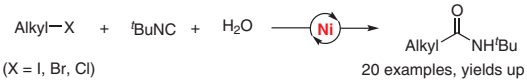
Synthesis **2020**, *52*, 3466–3472
DOI: 10.1055/s-0040-1707229

Nickel-Catalyzed Multicomponent Coupling Reaction of Alkyl Halides, Isocyanides and H_2O: An Expedient Way to Access Alkyl Amides

Paper

3466

Q. Li
H. Jin
Y. Liu*
B. Zhou*
Zhejiang University of Technology, P. R. of China



(X = I, Br, Cl)

20 examples, yields up to 96%

√ Bench-stable nickel catalyst √ Mild reaction conditions
√ Pontential synthetic value √ Broad substrate scope of alkyl halides

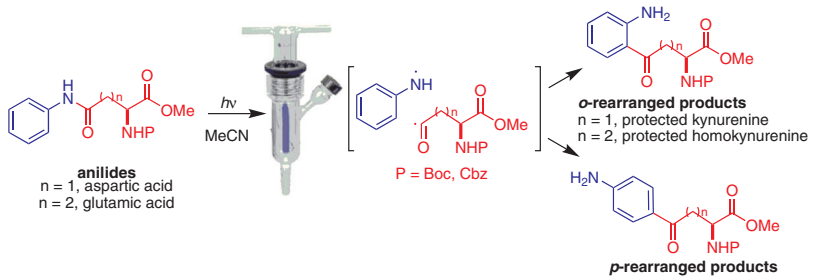
This document was downloaded for personal use only. Unauthorized distribution is strictly prohibited.

Synthesis
Synthesis **2020**, *52*, 3473–3479
DOI: 10.1055/s-0040-1707223

E. Bonilla-Reyes
A. Sánchez-Carrillo
A. Vázquez*
Universidad Nacional Autónoma de México, México

Synthesis of L-Kynurenine and Homo-L-Kynurenine via an Aza-Fries Rearrangement

Paper
3473

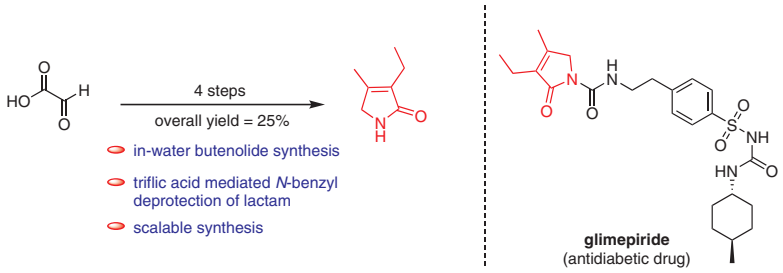


Synthesis
Synthesis **2020**, *52*, 3480–3484
DOI: 10.1055/s-0040-1707344

S. P. Chavan*
A. A. Pawar
N. B. Patil
A. L. Kadam
S. S. Shinde
CSIR-National Chemical Laboratory, India
Academy of Scientific and Innovative Research (AcSIR), India

Scalable Synthesis of 3-Ethyl-4-methyl-1,5-dihydro-2*H*-pyrrol-2-one: An Important Building Block of the Antidiabetic Drug Glimepiride

Paper
3480

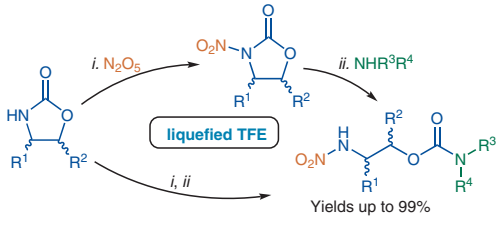


Synthesis
Synthesis **2020**, *52*, 3485–3491
DOI: 10.1055/s-0040-1706762

S. S. Arabadzhi
M. N. Zharkov
I. V. Kuchurov*
S. G. Zlotin*
N. D. Zelinsky Institute of Organic Chemistry, Russian Federation

Synthesis of Chiral *N*-Nitro-oxazolidin-2-ones and *O*-(β-Nitraminoalkyl) Carbamates in Liquefied 1,1,1,2-Tetrafluoroethane Medium

Paper
3485



This document was downloaded for personal use only. Unauthorized distribution is strictly prohibited.

Synthesis

Synthesis **2020**, *52*, 3492
DOI: 10.1055/s-0040-1706070

Science of Synthesis: Photocatalysis in Organic Synthesis

edited by Burkhard König

Book Review

Reviewed by
Sami Lakhdar

This document was downloaded for personal use only. Unauthorized distribution is strictly prohibited.