

Synthesis

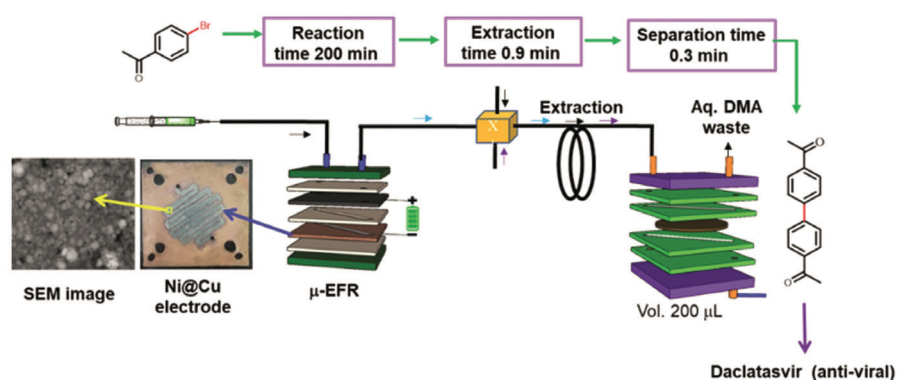
Reviews and Full Papers in Chemical Synthesis

February 15, 2024 • Vol. 56, 527–700

Special Topic

Synthetic Development of Key Intermediates and Active Pharmaceutical Ingredients (APIs)

Guest Editors: Joydev K. Laha and Jianrong Steve Zhou



Elements-Continuous-Flow Platform for Coupling Reactions and Anti-viral Daclatasvir API Synthesis

B. Mahajan, D. Aand, M. Purwa, T. Mujawar, S. Ghosh, S. Pabbaraja, A. K. Singh

4



Thieme

Synthesis

Synthesis 2024, 56, 527–538
DOI: 10.1055/a-2088-5000

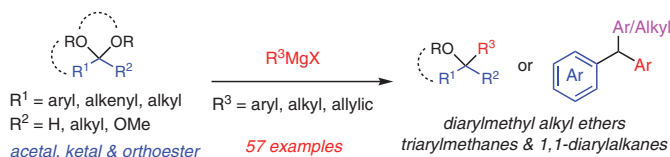
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Transition-Metal-Free Cross-Coupling of Acetals and Grignard Reagents To Form Diarylmethyl Alkyl Ethers and Triarylmethanes

Special Topic

527



Synthesis

Synthesis 2024, 56, 539–548
DOI: 10.1055/a-2004-5883

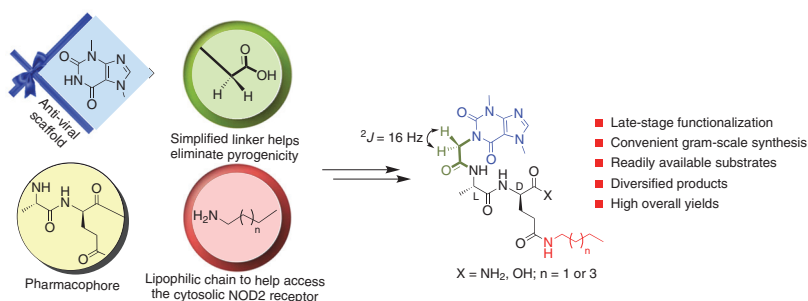
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Lakehead University, Canada

A Robust, Gram-Scale and High-Yield Synthesis of MDP Congeners for Activation of the NOD2 Receptor and Vaccine Adjuvantation

Special Topic

539



Synthesis

An Alternative Formal Synthesis of (S)-(+)-Vigabatrin

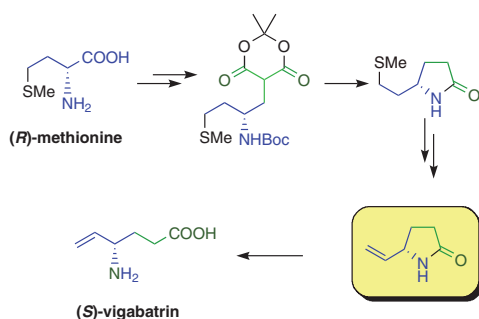
Special Topic

549

Synthesis 2024, 56, 549–552
DOI: 10.1055/s-0042-1751470

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Synthesis

Construction of an Epoxy-lactone Moiety on the C/D Ring of Highly Oxygenated Triterpenes through a Modified SeO₂-Promoted Oxidative Cyclization

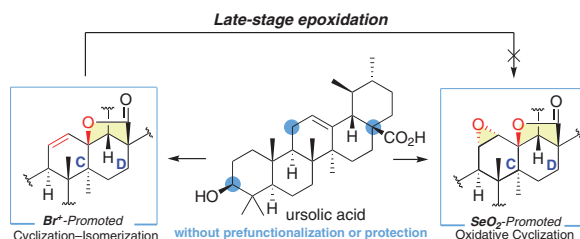
Special Topic

553

Synthesis 2024, 56, 553–560
DOI: 10.1055/a-2122-4021

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Synthesis

Efficient Synthesis of Diethyl, Dialkyl α-Hydroxy-propylenebis-phosphonates and Related 5-Phosphonoyl-1,2-oxaphospholane 2-Oxides

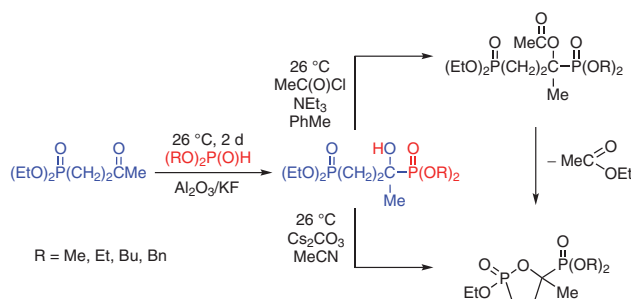
Special Topic

561

Synthesis 2024, 56, 561–566
DOI: 10.1055/a-2122-4178

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Synthesis

Synthesis 2024, 56, 567–572
DOI: 10.1055/a-2076-9792

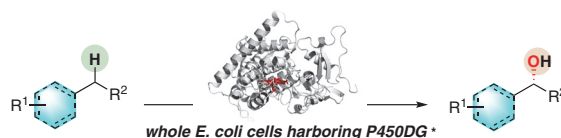
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Biocatalytic Synthesis of Chiral Benzylic Alcohols via Enantioselective Hydroxylation by a Self-Sufficient Cytochrome P450 from *Deinococcus gobiensis*

Special Topic

567



- Using oxygen as oxidant
- 15 examples, up to 98% ee, 59% yield
- Producing pharmaceutically relevant benzylic alcohols

* shown is the P450 domain of the P450DG prediction structure in the AlphaFold Protein Structure Database: <https://alphafold.ebi.ac.uk/entry/H8H2P3>

Synthesis

Synthesis 2024, 56, 573–576
DOI: 10.1055/a-2169-6200

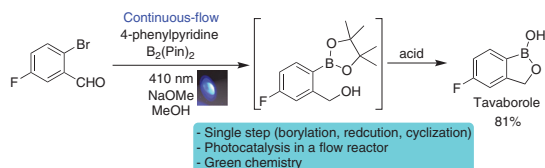
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Bis(pinacolato)diboron/4-Phenylpyridine System for One-Pot Photocatalyzed Borylation and Reduction of Aldehyde: Synthesis of Tavaborole in a Flow Reactor

Special Topic

573



Synthesis

Synthesis 2024, 56, 577–584
DOI: 10.1055/a-2217-0996

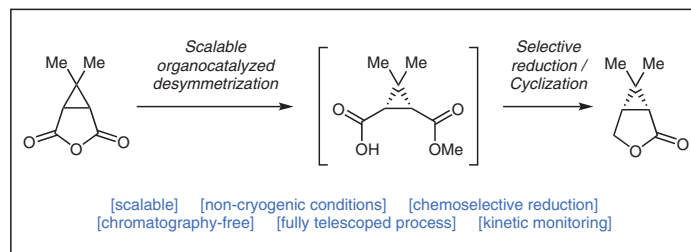
G. Tintori
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Efficient and Scalable Synthesis of 6,6-Dimethyl-3-oxabicyclo[3.1.0]hexan-2-one through Organocatalyzed Desymmetrization and Chemoselective Reduction

Special Topic

577



Synthesis

An Intramolecular Radical C–N Coupling by *N*-Iodosuccinimide

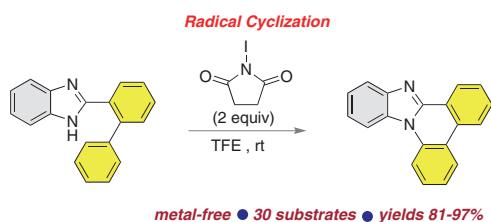
Special Topic

585

Synthesis **2024**, 56, 585–596
DOI: 10.1055/a-2063-0221

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Synthesis

A Manufacturing Strategy Utilizing a Continuous-Mode Reactor toward Homogeneous PEGylated Bioconjugate Production

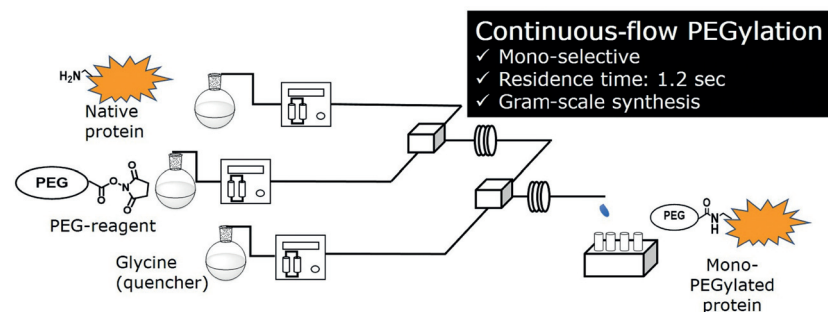
Special Topic

597

Synthesis **2024**, 56, 597–602
DOI: 10.1055/a-2077-6187

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Synthesis

Synthesis of Ledipasvir through a Late-Stage Cyclopropanation and Fluorination Process

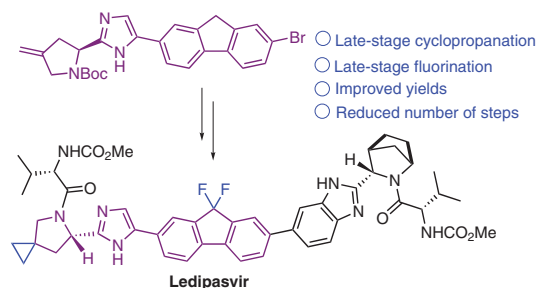
Special Topic

603

Synthesis **2024**, 56, 603–610
DOI: 10.1055/s-0042-1751437

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Synthesis

Synthesis 2024, 56, 611–638
DOI: 10.1055/a-2060-3488

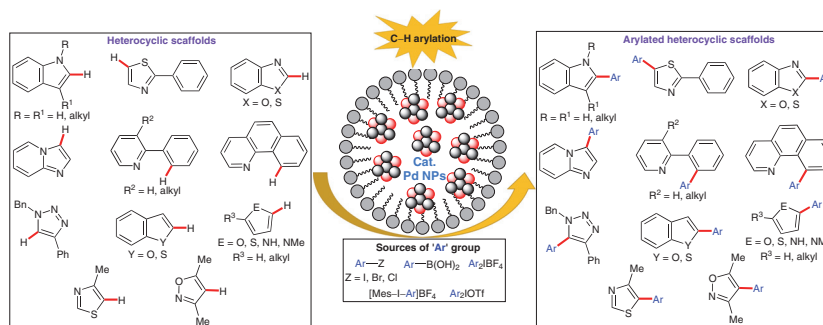
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Pd-Nanoparticles-Catalyzed C(sp²)-H Arylation for the Synthesis of Functionalized Heterocycles: Recent Progress and Prospects

Special Topic

611



Synthesis

Synthesis 2024, 56, 639–649
DOI: 10.1055/a-2119-5236

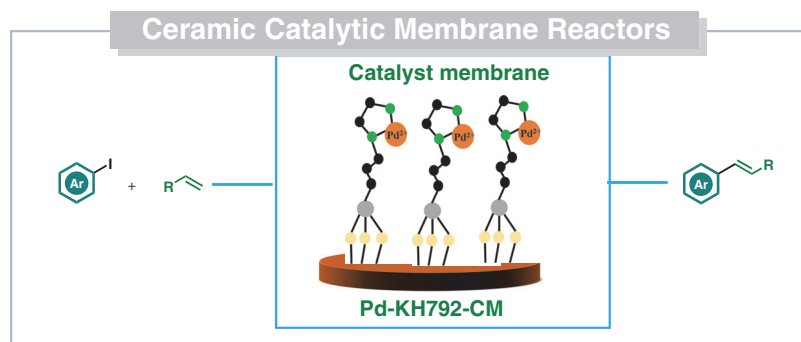
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Palladium-Loading Ceramic Catalytic Membrane Reactors for Mizoroki–Heck Reaction

Special Topic

639



Synthesis

Synthesis 2024, 56, 650–656
DOI: 10.1055/a-2085-6342

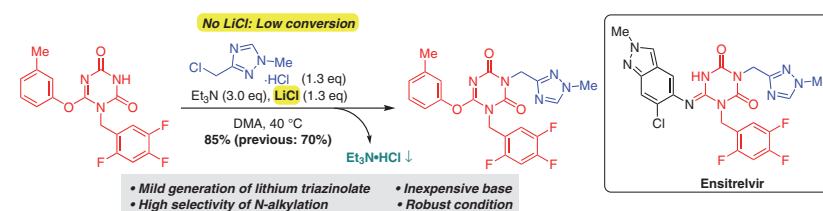
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An Effective Additive for Introducing the Triazole Unit of Ensitrelvir: Combination of LiCl and Et₃N to Easily Generate Lithium Triazinolate

Special Topic

650



Synthesis

Synthesis 2024, 56, 657–667
DOI: 10.1055/a-2022-2063

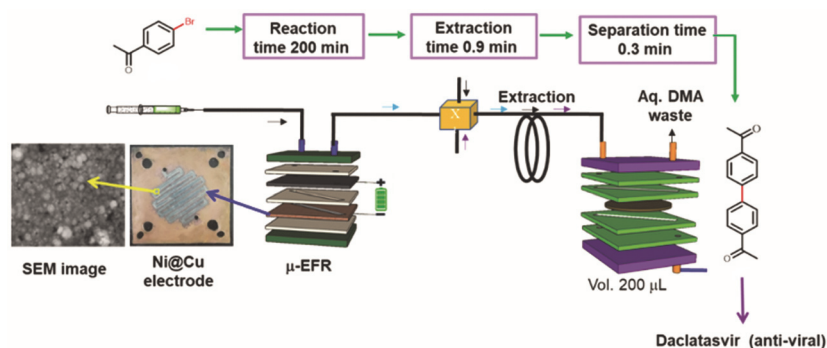
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Elements-Continuous-Flow Platform for Coupling Reactions and Anti-viral Daclatasvir API Synthesis

Special Topic

657



Synthesis

Synthesis 2024, 56, 668–676
DOI: 10.1055/a-2042-3417

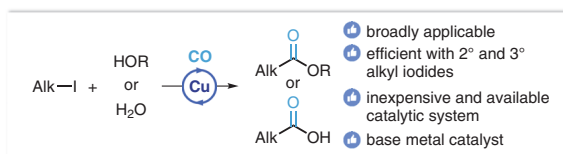
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Copper-Catalyzed Carbonylative Cross-Coupling of Alkyl Iodides with Alcohols and Sodium Hydroxide: Synthesis of Esters and Carboxylic Acids

Special Topic

668



Synthesis

Synthesis 2024, 56, 677–685
DOI: 10.1055/a-2104-5943

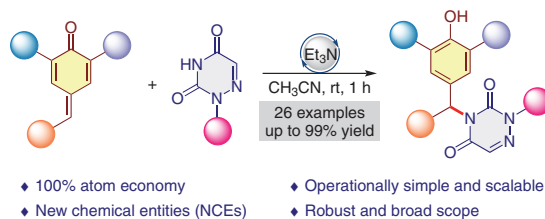
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Base-Promoted 1,6-Aza-Michael Addition of Azauracils to *para*-Quinone Methides

Special Topic

677



Synthesis

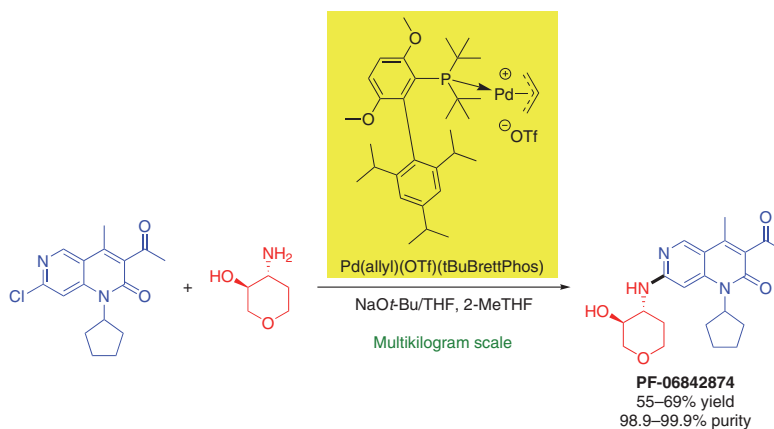
Synthesis 2024, 56, 686–692
DOI: 10.1055/s-0040-1720087

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Exploratory Process Development of a Pulmonary Arterial Hypertension Clinical Compound via a Late-Stage Pd-Catalyzed Buchwald–Hartwig C–N Coupling

Special Topic

686



Synthesis

Synthesis 2024, 56, 693–699
DOI: 10.1055/a-2102-1192

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One-Pot Telescopic Approach to Synthesize Disubstituted Benzimidazoles in Deep Eutectic Solvent

Special Topic

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