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Contents





Cyclic amines and 1,3-diketones readily react under microwave irradiation to form ring-fused pyrroles in a single operation. A competing retro-Claisen pathway is efficiently suppressed by employing *p*-toluenesulfonic acid as an additive.

Regioselective alkylation of the exocyclic nitrogen of adenine and adenosine by the Mitsunobu reaction Steven Fletcher

 $HO_{OH OH} OH V = protected$

Activation of the exocyclic N6 amino group of adenine/adenosine as its Boc carbamate facilitates efficient N⁶-alkylation by the Mitsunobu reaction, offering a milder alternative to the traditional nucleophilic aromatic substitution of the corresponding 6-chloropurines.

Reaction of N^3 -phenylbenzamidrazone with cis-1,2-cyclohexanedicarboxylic anhydride

Marta Ziegler-Borowska, Marzena Ucherek, Jolanta Kutkowska, Liliana Mazur, Bożena Modzelewska-Banachiewicz, Dariusz Kędziera, Anna Kaczmarek-Kędziera*



The identification of the products of the reaction of N^3 -phenylbenzamidrazone with *cis*-1,2-cyclohexanedicarboxylic anhydride is carried out with the support of computational techniques.



Tetrathiophenes with thiophene side chains: effect of substitution on packing and conjugation Geeta Saini, Nigel T. Lucas, Josemon Jacob*

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Silica-bonded S-sulfonic acid: an efficient and recyclable solid acid catalyst for the three-component synthesis of α -amino nitriles

Khodabakhsh Niknam*, Dariush Saberi, Maryam Nouri Sefat



Silica-bonded S-sulfonic acid (SBSSA) is employed as a recyclable catalyst for the synthesis of α -amino nitriles. These syntheses were performed via a one-pot three-component condensation of aldehydes, amines, and trimethylsilyl cyanide under mild reaction conditions at room temperature.

Sc(OTf)₃-catalyzed one-pot ene-Prins cyclization: a novel synthesis of octahydro-2H-chromen-4-ols

J. S. Yadav*, B. V. Subba Reddy, A. V. Ganesh, G. G. K. S. Narayana Kumar



Synthesis of 4-aryl-3-methyl-6-oxo-4,5,6,7-tetrahydro-2*H*-pyrazolo[3,4-*b*]pyridine-5-carbonitrile via a one-pot, three-component reaction

Abbas Rahmati



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Copper-free palladium-catalyzed Sonogashira and Hiyama cross-couplings using aryl imidazol-1-ylsulfonates

Steven J. Shirbin, Berin A. Boughton, Steven C. Zammit, Shannon D. Zanatta, Sebastian M. Marcuccio, Craig A. Hutton, Spencer J. Williams*

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Aryl imidazylates are effective electrophilic partners in copper-free palladium-catalyzed Hiyama and Sonogashira cross-coupling reactions. The Sonogashira cross-coupling of estron-3-yl imidazylate afforded the corresponding phenylacetylene derivative in excellent yield.

Synthesis of optically pure 2,3,4-trisubstituted tetrahydrofurans via a two-step sequential Michael-Evans aldol cyclization strategy: total synthesis of (+)-magnolone

Ganesh Pandey*, Srikanth Luckorse, Asha Budakoti, Vedavati G. Puranik



Water-soluble supramolecular porphyrin dimer: self-organization of mono(imidazolyl)-substituted Zn porphyrin pp 2979–2982 to a special-pair type dimer in water

Hidekazu Miyaji*, Junko Fujimoto



Tris(4-carboxylphenyl)-mono(*N*-methylimidazolyl)-substituted Zn porphyrin was synthesized and dissolved in a NaHCO₃ aq solution (pH 8.4) and phosphate buffer solutions (pH 7.4–9.0). The split Soret bands of Zn porphyrin observed in the absorption spectra clearly showed self-organization to a special-pair type slipped cofacial dimer even in water.

Ugi reaction for the synthesis of 4-aminopiperidine-4-carboxylic acid derivatives. Application to the synthesis of carfentanil and remifentanil

Sandra Malaquin, Mouhamad Jida, Jean-Claude Gesquiere, Rebecca Deprez-Poulain, Benoit Deprez*, Guillaume Laconde*





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Synthesis of marchantin C, a novel microtubule inhibitor from liverworts

Andreas Speicher*, Judith Holz



Marchantin C, a natural product from liverworts was now found to be highly active. We present the first total synthesis of this macrocyclic (bis)biarylether compound.

Fully automated synthesis of ¹³N-labeled nitrosothiols

Vanessa Gómez-Vallejo, Koichi Kato, Iosu Oliden, Javier Calvo, Zuriñe Baz, José I. Borrell, Jordi Llop*



The reaction of resin trapped [13N]NO2- with thiols in acidic media led to the formation of the corresponding *S*-[¹³N]nitrosothiols. Good radiochemical yields and excellent radiochemical purities were obtained through a fully automated process.

Mild, base-free copper-catalyzed N-arylations of heterocycles using potassium aryltrifluoroborates in water under air

Nicolas Joubert, Emmanuel Baslé, Michel Vaultier, Mathieu Pucheault*



An economic, mild and efficient copper-catalyzed methodology for the N-arylation of heterocycles was optimized using potassium aryltrifluoroborates in water.

The synthesis of azabicyclic heterocycles

Etzer Darout*, Arindrajit Basak

 $R \xrightarrow{X-Y} + \underbrace{MeO \ N \ OMe}_{K-Y} \xrightarrow{MeSiCl_3} O \xrightarrow{Pr}_{K-Y} N \xrightarrow{V}_{X}$

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T3P[®] (propylphosphonic anhydride) mediated conversion of carboxylic acids into acid azides and one-pot synthesis of ureidopeptides

Basavaprabhu, N. Narendra, Ravi S. Lamani, Vommina V. Sureshbabu*



A general, mild, efficient, and environmentally benign protocol which makes use of T3P® as an acid activating agent for the direct synthesis of acid azides from carboxylic acids is described. Further, the protocol is employed for the one-pot synthesis of α-ureidopeptides starting from N-protected α-amino acids.

Synthesis of isoxazolobenzoxepanes via Michael addition of indoles to nitroalkenes and sequential intramolecular nitrile oxide cycloaddition

K. Ramachandiran, K. Karthikeyan, D. Muralidharan, P. T. Perumal*



Solid-phase synthesis of 5'-O-β,γ-methylenetriphosphate derivatives of nucleosides and evaluation of their inhibitory pp 3010–3013 activity against HIV-1 reverse transcriptase

Yousef Ahmadibeni, Chandravanu Dash, Stuart F. J. Le Grice, Keykavous Parang*



ROH: thymidine, adenosine, 3'-azido-3'-deoxythymidine, cytidine, inosine

Methods for the synthesis of 5'-O- β , γ -methylenetriphosphate derivatives of nucleosides are described.

Asymmetric hydrogenation of quinolines activated by Brønsted acids

Duo-Sheng Wang, Yong-Gui Zhou*



Enantioselective hydrogenation of quinolines and quinoxalines catalyzed by iridium/diphosphine complex with catalytic amount of Brønsted acid as activator was developed. In the presence of piperidine TfOH as the activator, full conversions and up to 92% ee were obtained.



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Simple and efficient method for the synthesis of highly substituted imidazoles using zeolite-supported reagents p K. Sivakumar, A. Kathirvel, A. Lalitha^{*}

pp 3018-3021



*Corresponding author

(*D*⁺ Supplementary data available via ScienceDirect

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