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fullflow from Vapourtec

Welcome to the summer 2020 issue of FullFlow, the flow chemistry newsletter from Vapourtec, a must-read for all scientists interested in continuous processing applications and technology.

Product News

Product Release - Variable Bed Flow Reactor

Vapourtec has been working intensively towards continuous flow peptide synthesis and has developed a packed bed flow reactor that will automatically vary in volume to accommodate changes in volume of the packed material. Vapourtec has named this reactor, Variable Bed Flow Reactor (VBFR). The VBFR has applications well beyond the peptide synthesis for which it was originally developed.

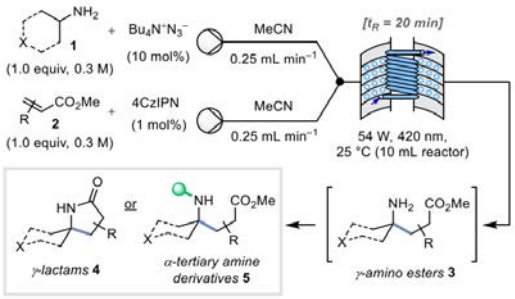
Read more

Peptide synthesis using the R-Series

Now available are accessories for the R-Series enabling efficient peptide synthesis using the VBFR. When used in peptide synthesis, the reactor detects the packing density of the resin and changes its volume to maintain a consistent packing density. This allows for a more efficient continuous flow synthesis of peptides under highly controlled conditions whilst providing valuable in-line data.

Read more

Application Notes



Scheme 1 – Photocatalytic α-C–H alkylation of primary aliphatic amines [1]

Application Note 68: Photocatalytic Synthesis of γ-Lactams and α-Tertiary Amine Derivatives in Continuous Flow

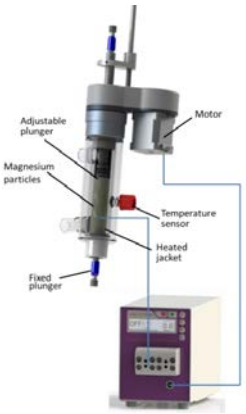
We report a simple and direct solution to the synthesis of γ-lactams 4 (incl. azaspirocycles) and α-tertiary amine derivatives 5. Using a cheap organic photocatalyst (4CzIPN) in combination with azide ion as a hydrogen atom transfer (HAT) catalyst, the α-C–H alkylation of aliphatic primary amines 1 with acrylate Michael acceptors 2 can be affected (Scheme 1).

Read more

Application Note 66: 230% increase in throughput of a photocycloaddition demonstrated by Vapourtec High Power LED

This application note demonstrates a 230 % increase in throughput on the direct [2+2] cycloaddition of maleic anhydride with ethene to form a cyclobutane motif by using Vapourtec's new 365nm high powered LED.

Read more



Application Note 67: Generation of Grignard reagents on demand

This application note illustrates the capabilities of Vapourtec's new packed bed reactor for a fast, consistent generation of Grignard reagents in flow. Under continuous flow conditions, an organic bromide reacts with magnesium packed inside the Variable Bed Flow Reactor, adjusting its volume to keep a constant packing density. In a second inline reactor, an aldehyde is added to the Grignard reagent to form a secondary alcohol.

Read more

Application Note 65: Lithiation-substitution of alkyl-1,3,4- oxadiazoles

1,3,4-Oxadiazoles are a common motif in pharmaceutical chemistry, but few convenient methods for their modification exist. This application note illustrates the use of Vapourtec E-series flow reactor for a fast, convenient, high yielding and general α-substitution of 1,3,4-oxadiazoles via a lithiation-substitution approach. Under continuous flow conditions, metalation is carried out at room temperature, and subsequent in-flow electrophilic trapping gave up to quantitative isolated yields.

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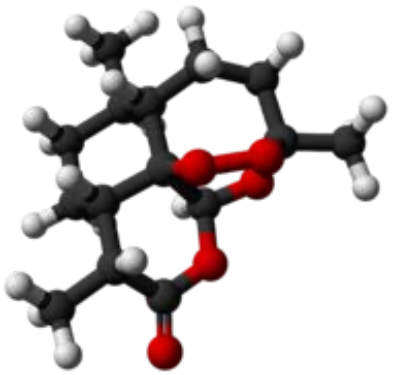
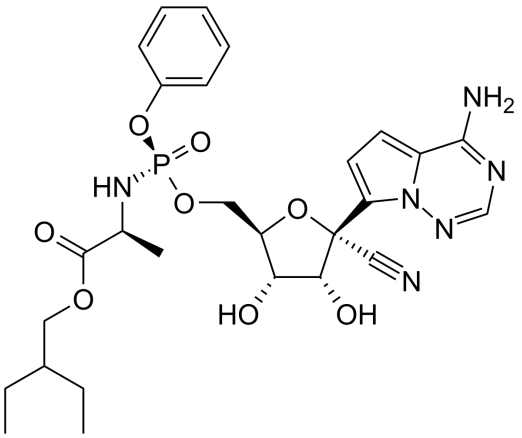
Latest News



Patents highlight flow potential in pharma industry

Vapourtec systems have now been cited in 34 granted patents over the past decade. This demonstrates the pivotal role Vapourtec's reactors and systems continue to



R-Series cited by Gilead in Remdesivir COVID-19 paper

Vapourtec's R-Series flow chemistry system has recently been cited in an important paper published in peer review journal Organic Process Research & Development by US firm

play in major flow chemistry research breakthroughs by big pharma all over the world.

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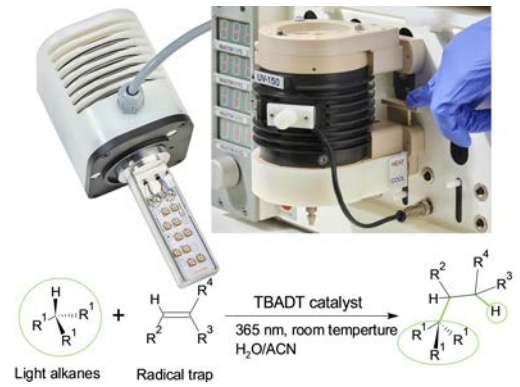
Gilead concerning the synthesis of Remdesivir, an antiviral used to treat severe manifestations of the COVID-19 disease.

Read more

Notable milestone for Vapourtec's E-Series system

Installations of Vapourtec's E-Series flow chemistry system have recently reached a notable milestone with Belgian pharmaceutical firm Minakem taking delivery of the 200th unit. The E-Series was launched in 2012, and was aimed to be a robust and affordable entry level continuous flow system. Since then, the E-Series has fulfilled its mission with 200 systems installed in labs across the world and groundbreaking research continually being published.

Read more



Science publishes far reaching Eindhoven University research

A paper entitled "C(sp3)–H functionalizations of light hydrocarbons using decatungstate photocatalysis in flow" , concerning a revolutionary photochemistry research project at Eindhoven University that was led by Professor Tim Noël and involved Vapourtec, has been published by the prestigious Science magazine. The research is focused on a novel photocatalytic reaction, the direct activation of gaseous hydrocarbons at room temperature, using an inexpensive photocatalyst.

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Publications

C(sp3)–H functionalizations of light hydrocarbons using decatungstate photocatalysis in flow

Gabriele Laudadio[1,*], Yuchao Deng[1,2,3,*], Klaas van der Wal[1], Davide Ravelli[4], Manuel Nuño[5], Maurizio Fagnoni[4], Duncan Guthrie[5], Yuhan Sun[2,3] & Timothy Noël[1]

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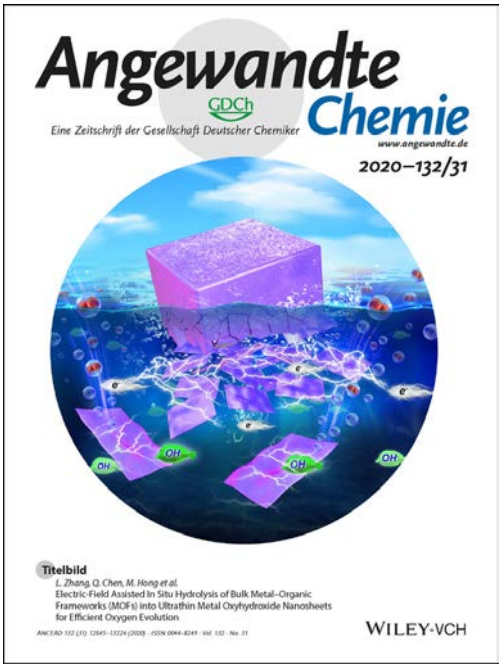
[3]Shanghai Advanced Research Institute, Chinese Academy of Sciences, Shanghai 201210, P. R. China.

[4]PhotoGreen Lab, Department of Chemistry, University of Pavia, Pavia 27100, Italy.

[5]Vapourtec, Fornham St Genevieve, Bury St Edmunds, Suffolk IP28 6TS, UK.



Photocatalytic α-Tertiary Amine Synthesis via C−H Alkylation of Unmasked Primary Amines

Alison S. H. Ryder[1], William B. Cunningham[2], George Ballantyne[2], Tom Mules[2], Anna G. Kinsella[2], Jacob Turner-Dore[2], Catherine M. Alder[3], Lee J. Edwards[3], Blandine S. J. McKay[3], Matthew N. Grayson[2] & Alexander J. Cresswell[2]

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[2]Department of Chemistry, University of Bath 1 South, Claverton Down, Bath, BA2 7AY (UK)

[3]Medicines Design, GSK Medicines Research Centre Gunnels Wood Rd, Stevenage, SG1 2NY (UK)

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Automated radial synthesis of organic molecules

Sourav Chatterjee[1], Mara Guidi[1,2], Peter H. Seeberger[1,2] & Kerry Gilmore[1]

[1] Department of Biomolecular Systems, Max-Planck-Institute of Colloids and Interfaces, Potsdam, Germany

[2] Freie Universität Berlin, Institute of Chemistry and Biochemistry, Berlin, Germany

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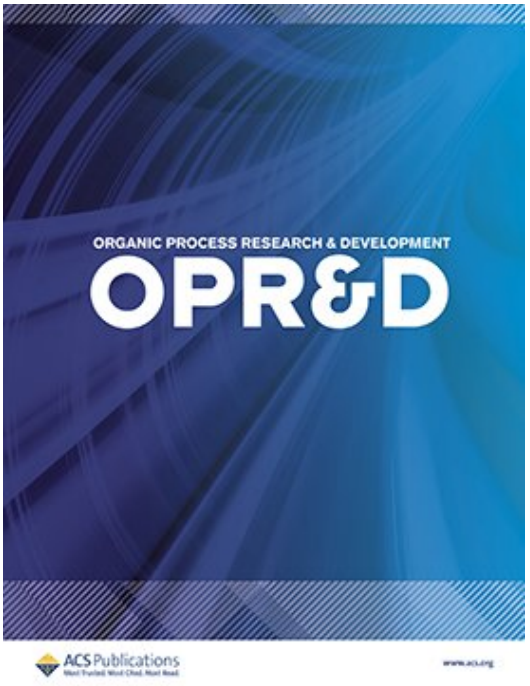

Development of a Large-Scale Cyanation Process Using Continuous Flow Chemistry en Route to the Synthesis of Remdesivir

Tiago Vieira[1,*], Andrew C. Stevens[1,*], Andrei Chtchemelinine[2], Detian Gao[1], Pavel Badalov[1], & Lars Heumann[2],

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[2]Gilead Sciences, Inc. 333 Lakeside Drive, Foster City, California 94404, United States

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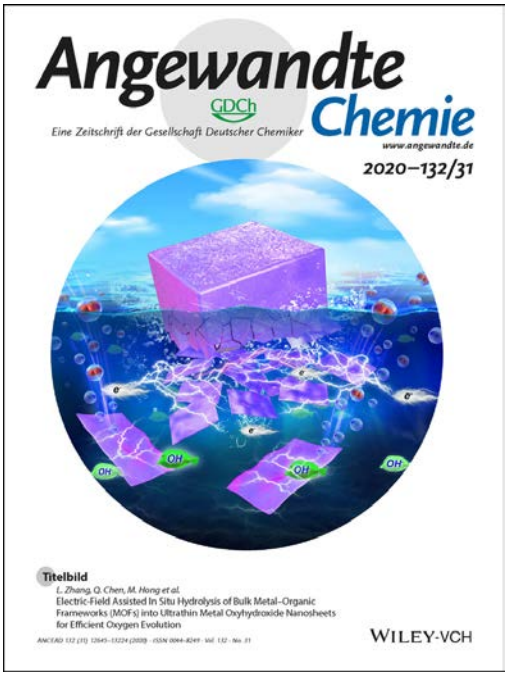

Photon Equivalents as a Parameter for Scaling Photoredox Reactions in Flow: Translation of Photocatalytic C−N Cross-Coupling from Lab Scale to Multikilogram Scale

Emily B. Corcoran[1], Jonathan P. McMullen[1,2], François Lévesque[1,2] Michael K. Wismer[1] & John R. Naber[1]

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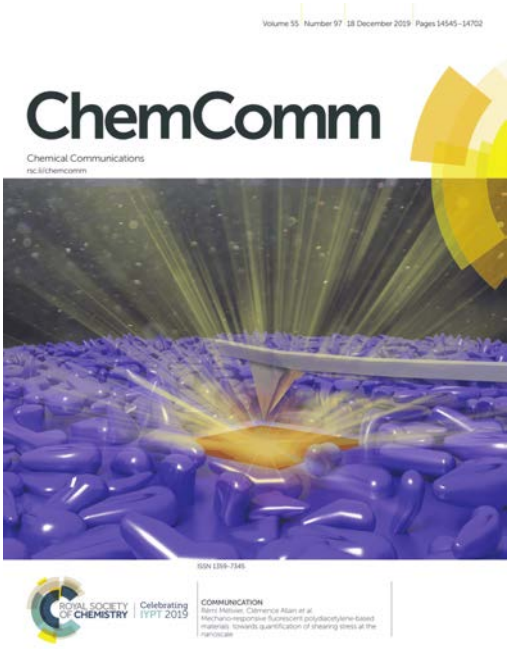

Real-time monitoring of solid-phase peptide synthesis using a variable bed flow reactor

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[3]Department of Chemistry and Biochemistry, Freie Universität Berlin, Arnimalle 22, Berlin, Germany

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Accelerating Electrochemical Synthesis through Automated Flow: Efficient Synthesis of Chalcogenophosphites

Nasser Amri[1] & Thomas Wirth[1]

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Automated Glycan Assembly in a Variable-Bed Flow Reactor Provides Insights into Oligosaccharide–Resin Interactions

Eric T. Sletten[1] , José Danglad-Flores[1] , Manuel Nuño[2] Duncan Guthrie[2] & Peter H. Seeberger[1]

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