Welcome to our March e-newsletter! We're excited to announce that Vapourtec just installed its 200th R-Series system. We'd like to say a big thank you to all our customers, who have moved chemistry forward enormously and helped Vapourtec reach this significant milestone.

PRODUCT NEWS

The Future of Photochemistry with Vapourtec's UV-150

Vapourtec has developed the UV-150, a pioneering photochemical reactor that will lead to more efficient, precise, consistent, safe and scalable photochemical synthesis offering potential routes for novel compounds and building blocks together with possible new manufacturing processes.

READ MORE

UV-150 FACTFILE

LATEST NEWS

Green Chemistry hits full flow with China UK partnership

Vapourtec has recently signed a pioneering, 3 year agreement with Nanjing University of Technology (NJUT) in China to establish a joint operation in the fast growing, hi tec field of flow chemistry. READ MORE...

R-Series sales top 200

Vapourtec has seen its flagship R-Series flow chemistry system reach a notable milestone with global sales recently reaching the 200 mark.

The R-Series has a wide range of applications and is already used around the world by many major companies including BP, Pfizer, GSK, Sanofi, Novartis and Johnson & Johnson.

READ MORE...

Vapourtec keeps it cool with patented cooled reactor system

The patented Cooled Reactor system, developed by Vapourtec and launched in 2010, is continuing to play a key role in major flow chemistry research breakthroughs. READ MORE...

EVENTS

Events where you can see Vapourtec systems in action:

23rd – 25th April 2014
Drug Discovery Chemistry

San Diego, California USA

Click here for more details

18th – 19th June 2014

Chemspec Europe

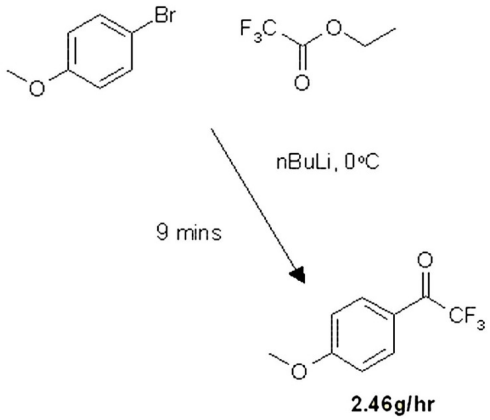
Hungexpo, Budapest, Hungary

Click here for more details

APPLICATIONS

Reaction of Organolithium Reagents using Vapourtec E-Series system

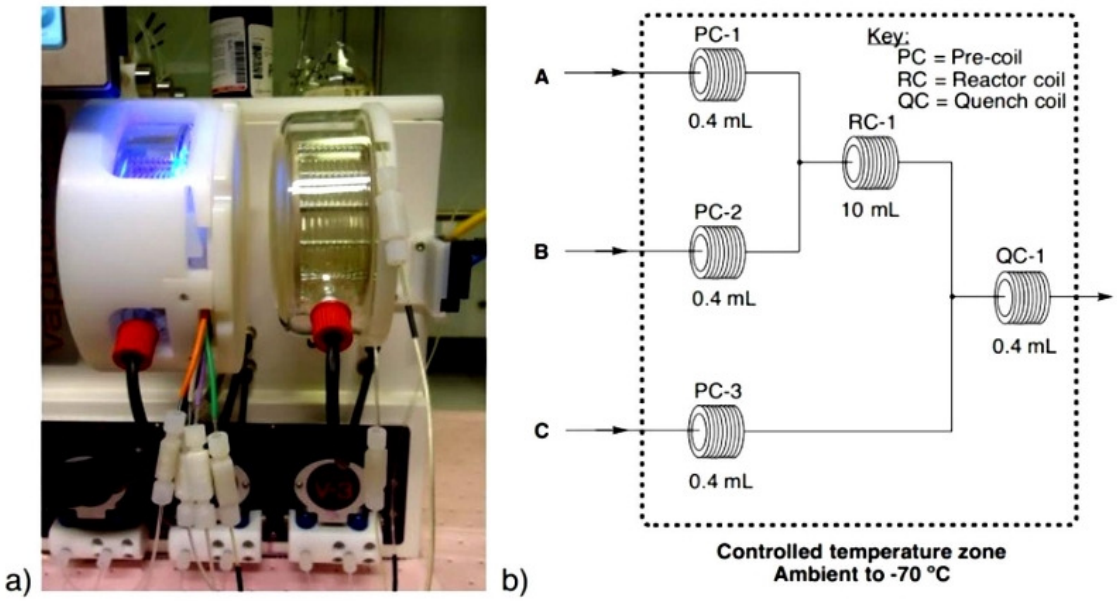
This app note shows the use of the E-Series and V-3 pumps to carry out organometallic chemistry, pumping nBuLi, straight from the bottle it was supplied in, for several hours without issue.



Click here to go to the Application Notes page on the Vapourtec website

Publications

Continuous Flow-Processing of Organometallic Reagents Using an Advanced Peristaltic Pumping System and the Telescoped Flow Synthesis of (E/Z)-Tamoxifen



Philip R D Murray [1]

Duncan L Browne [1]

Julio C Pastre [1,2]

Chris Butters [3]

Duncan Guthrie [3]

Steven V Ley [1]

[1] *Department of Chemistry, University of Cambridge, UK*

[2] *Instituto de Química, University of Campinas, Brazil.*

[3] *Vapourtec Ltd, UK*

A new enabling-technology for the pumping of organometallic reagents such as n-butyllithium, Grignard reagents and DIBAL-H is reported, which utilizes a newly developed chemically-resistant peristaltic pumping system. Several representative examples of its use in common transformations using these reagents, including metal-halogen exchange, addition, addition-elimination, conjugate addition and partial reduction are reported, along with examples of telescoping of the anionic reaction products. This platform allows for truly continuous pumping of these highly reactive substances and examples are demonstrated over periods of several hours, to generate multi-gram quantities of products. This work culminates in an approach to the telescoped synthesis of (E/Z)-Tamoxifen using continuous-flow organometallic reagent mediated transformations.

Click here to go straight to the publication (free access)

Click here for more details about the E-Series and usage of organometallic reagents

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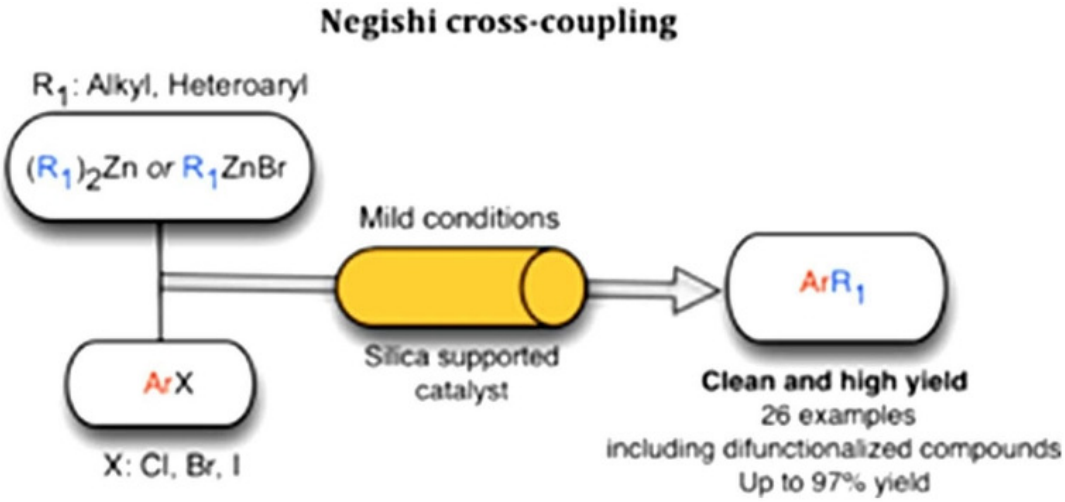
First Example of Alkyl-Aryl Negishi Cross-Coupling in Flow: Mild, Efficient and Clean Introduction of Functionalized Alkyl Groups



Brecht Egle[2],

Juan M. Muñoz[1],

Nerea Alonso[1],

Wim M. Borggraeve[2],
Antonio Hoz3,
Angel Díaz-Ortiz[3],
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[1]*Janssen Research and Development Department of Medicinal Chemistry, Janssen-Cilag, Spain*
[2]*Department of Chemistry, Molecular Design and Synthesis University of Leuven, Belgium*
[3]*Universidad de Castilla-La Mancha Facultad de Ciencias y Tecnologías Químicas, Spain*

The first example of an alkyl–aryl Negishi coupling in a practical, sustainable, and high-yielding process using a silica-supported catalyst in flow is described. Excellent conversions and good functional group compatibility were obtained under very mild conditions. Functionalized alkyl groups were also introduced to provide access to synthetically useful molecules and to demonstrate the versatility of the method. The scalability was assessed, and a throughput of 7.5 mmol/h of processed substrate was achieved. All crude products were free from phosphine derivatives and ready for use in subsequent reaction steps.

Click here to go straight to the publication

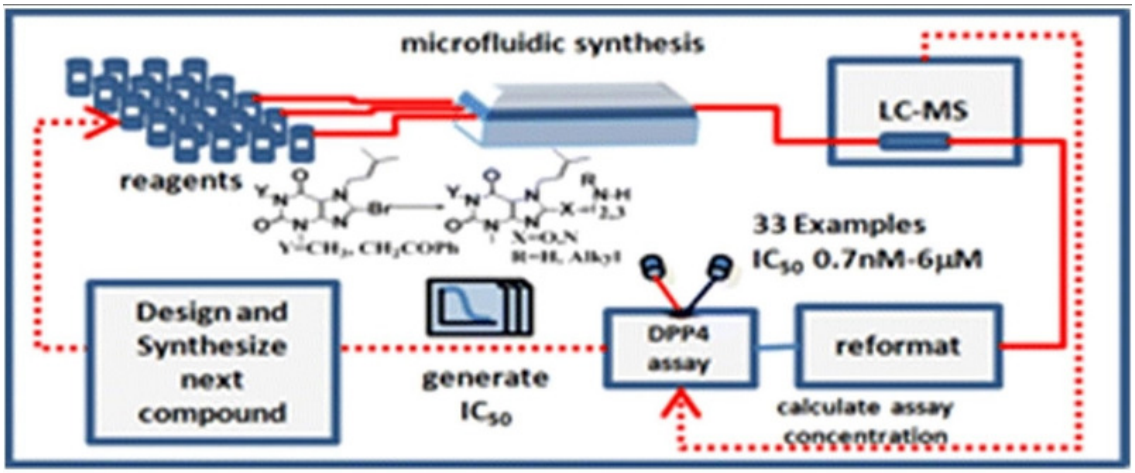
Microwave heating and conventionally-heated continuous-flow processing as tools for performing cleaner palladium-catalyzed decarboxylative couplings using oxygen as the oxidant – a proof of principle study

DiAndra Rudzinski
Nicholas Leadbeater
Department of Chemistry, University of Connecticut, USA

A microwave unit interfaced with a gas-loading accessory is used as a tool for facilitating the palladium-catalyzed decarboxylative Heck reaction of 2,6-dimethoxybenzoic acid and methyl acrylate using molecular oxygen as the oxidant. The reaction is complete in less time and at a lower catalyst loading than when using conventional approaches. The reaction is scaled up using continuous-flow processing employing a reactor in which both gas input and heating can be performed simultaneously. An 86% isolated product yield is obtained. This proof-of-principle study paves the way for the technology to be used in other cases of these increasingly popular decarboxylative coupling reactions.

Click here to go straight to the publication

Integrated Synthesis and Testing of Substituted Xanthine Based DPP4 Inhibitors: Application to Drug Discovery



http://gallery.mailchimp.com/04e052e18c15360761006317b/images/ml_2013_00171b_0014067b38.gif

Werngard Czechtizky [1],

Jüergen Dedio [1],

Bimbisar Desai [2],

Karen Dixon [2],

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Manoj K. Ramjee [2],

Christopher N. Selway [2],

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A novel integrated discovery platform has been used to synthesize and biologically assay a series of xanthine-derived dipeptidyl peptidase 4 (DPP4) antagonists. Design, synthesis, purification, quantitation, dilution, and bioassay have all been fully integrated to allow continuous automated operation. The system has been validated against a set of known DPP4 inhibitors and shown to give excellent correlation between traditional medicinal chemistry generated biological data and platform data. Each iterative loop of synthesis through biological assay took two hours in total, demonstrating rapid iterative structure–activity relationship generation.

Click here to go straight to the publication

Applying Flow Chemistry: Methods, Materials, and Multistep Synthesis

D. Tyler McQuade *[13]

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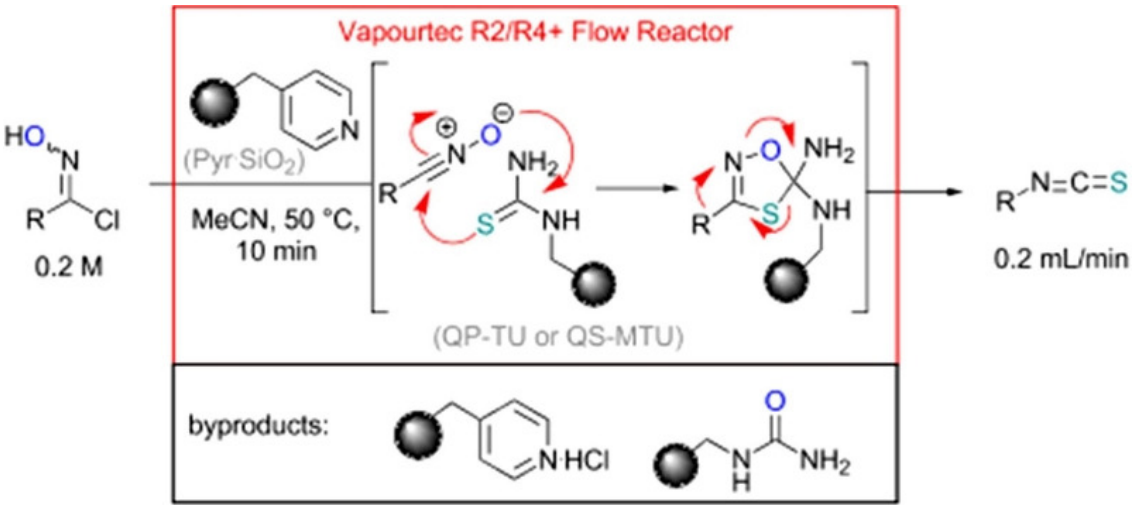
[3] *Department of Chemistry and Biochemistry, Florida State University, United States*

The synthesis of complex molecules requires control over both chemical reactivity and reaction conditions. While reactivity drives the majority of chemical discovery, advances in reaction condition control have accelerated method development/discovery. Recent tools include automated synthesizers and flow reactors. In this Synopsis we describe how flow reactors have enabled chemical advances in our groups in the areas of single-stage reactions, materials synthesis, and multistep reactions. In each section, we detail the lessons learned and propose future directions.

Click here to go straight to the publication

The rapid generation of isothiocyanates in flow



Marcus Baumann

Ian R. Baxendale

Department of Chemistry, University of Durham, U.K.

Isothiocyanates are versatile starting materials for a wide range of chemical reactions. However, their high nucleophilic susceptibility means they are best prepared and used immediately. We report here on a flow platform for the fast and efficient formation of isothiocyanates by the direct conversion of easily prepared chloroximes. To expedite this chemistry a flow insert cartridge containing two immobilised reagents is used to affect the chemical transformation which typically eliminates the requirements for any conventional work-up or purification of the reaction stream.

Click here to go straight to the publication

THANK YOU FOR READING AND SEE YOU NEXT TIME!

You are receiving this email because you've signed up for the newsletter, been in contact with Vapourtec or attended a Flow Chemistry related event that Vapourtec sponsored in the past.

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