

SYNFACTS

A New Vista in SYNFACTS

With this issue of *SYNFACTS*, we introduce a new feature involving contributions from Pfizer USA organic and medicinal chemists to the *Heterocycles* section (Editor: Victor Snieckus).

The idea was born in discussion between Victor and Dr. Vincent Mascitti¹ during a visit to the Pfizer Groton site a few months ago with the most recent *SYNFACTS* issue in hand. Its rationale, value for synthetic organic chemists, and *modus operandi* is as follows:

Current Drug Discovery Landscape

In recent years, the pharmaceutical industry has been at the center of profound mutations aimed at improving the drug discovery process. For the medicinal chemist, this has translated into a critical refocus on organic synthesis as a cornerstone of the discipline. As definite evidence, Vincent Mascitti noted that recently, at Pfizer, innovative organic synthesis assisted by property-based and in-silico-based drug design led to the rapid identification of molecules which are currently in phase 2 of the clinical trials.²

In such a fast-paced environment, the alert practicing medicinal chemist must keep abreast with the abundant chemical literature. Indeed, the chemist's creativity coupled with literature currency is crucial to relentlessly fuel the expansion of 'drugable' chemical space and to devise innovative and efficient routes to access novel potential medicines.

Genesis, Rationale, Value

Vincent Mascitti's idea of involving Pfizer chemists in the selection process for *SYNFACTS* sparked immediate interest from Susanne Haak at Thieme. Since the starting days of *SYNFACTS*, feedback from pharmaceutical industry chemists has been unequivocally encouraging. The Pfizer chemists' attraction to become an active part of *SYNFACTS* was welcomed and accepted by Thieme and the following features and hopes were rapidly defined for the new venture:

- The Pfizer–Snieckus *Heterocycles* team collaboration will provide a fresh perspective on the choice of some of the abstracted papers – the abundant and diverse heterocyclic systems will be reviewed through the eyes of active medicinal chemists.
- Aside from enhancing Pfizer in-house literature reading practices, it is hoped that, by this example, the habitual reading practices of the current literature for

chemists across the pharmaceutical industry would be reinforced.

- We dare to hope that this industry–academia collaboration will catalyze similar activities for other sections of *SYNFACTS*.
- A final hope is that this new *SYNFACTS* component represents an additional avenue for strengthening the vital ties between chemists in industry and academia.

Modus Operandi

The team of Pfizer chemists will monthly submit to the Snieckus *Heterocycles* group a number of potential papers to be featured in *SYNFACTS* for evaluation. Following the normal selection procedure, the Pfizer chemists will provide the respective abstracts for editorial changes before submission for publication for which they will receive acknowledgement in the current byline manner.

A final word... to say that we are excited by this project is an understatement. We hope this excitement is shared by both industrial and academic organic chemists and adds a new and different dimension to the difficult task of sifting the burgeoning literature. We will value receipt of your feedback and advice. Enjoy reading *SYNFACTS* in 2012 with even more interest than in previous years!



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1. Vincent Mascitti completed his Ph.D. in 2003 with Professor S. Hanessian (University of Montreal, Canada) and carried out post-doctoral studies with Professor E. J. Corey. He joined Pfizer in 2006, contributing to various diabetes and obesity related projects. Mascitti was the driving force behind the design and synthesis of SGLT2 inhibitor PF-04971729, a clinical candidate currently in phase 2 and being evaluated for type 2 diabetes treatment. He is currently a Senior Director at Pfizer and Synthesis Head in the CVMED medicinal chemistry department.

2. For a recent example see: "Discovery of a Clinical Candidate from the Structurally Unique Dioxo-bicyclo[3.2.1]octane Class of Sodium-Dependent Glucose Cotransporter 2 Inhibitors" in *J. Med. Chem.* **2011**, *54*, 2952.