Professor Guy Quéguiner

A Tribute

Dedicated to Professor Guy Quéguiner on the occasion of his 70th birthday and to honor his retirement from the head of the Institut de Recherche en Chimie Organique Fine (IRCOF) at the National Institute for Applied Sciences (INSA) and the University of Rouen (France)

Guy Quéguiner was born in the Ardennes (North-East of France) on September 20, 1938 in a family originating from little Brittany (West of France). During World War II he moved with his mother to a small farm in this region. Life was not easy and from his childhood he has retained the ability to work very hard and a strong fighting spirit. After several moves, he reached Rouen in Normandy where he has spent his life and his entire career.

After his education at the Thomas Corneille high school, he studied at the Institut National Supérieur de Chimie Industrielle de Rouen (INSCIR), an engineering school, where he was awarded a B.Sc. (Chemistry) in 1960 and an Engineer Diploma in 1962.

Guy joined the Technology Institute at the University of Rouen (IUT) as a lecturer in the chemistry department and began his Ph. D. studies in the Heterocyclic Chemistry laboratory under the direction of Pr. Paul Pastour. After 6 years (the former 6 years long French Ph. D.) he

obtained his *Docteur ès Sciences* title in 1969 by presenting research on the synthesis and applications of diformylpyridines. It was the beginning of a long and rich love story with heterocycles. Guy was an Assistant Professor (1966-1972) at the Faculty of Sciences, then a Full Professor and Outstanding Professor at the IUT of Rouen. From 1971 to 1989 he was Director of the chemistry department at the technology institute before becoming head of the chemistry department at the engineer institute (INSA). From 1969 to his retirement as Emeritus Professor in 2003, he remained the head of the Heterocyclic Chemistry research group, while serving as the first Director of the IRCOF and its main CNRS unit (UMR 6014).

Guy and his wife Annick (a chemistry and yoga teacher) are the proud parents of a daughter, Lise and two sons Guy (Petit Guy) and Yann together with five grandchildren. Guy has always been a keen sportsman, running every morning, practicing stretching and playing tennis at an advamced level. In dealing with people, Guy always proved to be friendly, unselfish and faithful, so he and Annick have numerous friends all over the world, most of them since the beginning of his career.

During his Ph. D., Guy studied the synthesis and the structure of diformylpyridines and their reaction with bis-nucleophiles (hydrazines, ketone, etc.) to yield polycondensed heterocycles such as pyridopyridazines and pyridotropolones… At the end of the sixties he published an NMR study of these aldehydes recording his spectra on a Varian A60, one of the first spectrometers in France.

At the beginning of the seventies he was interested in the synthesis of ortho-halolithiopyridines from the corresponding bromopyridines as precursors of 2,3- and 3,4-pyridynes, with the aim of reacting them with dienes to form quinolines. Two side reactions were observed, the first one a proton abstraction ortho to the bromine and the second one involving bromine migration. These discoveries allowed the development of two new strategies in the azaheteroaromatic series, the directed ortho-lithiation and the halogen dance reactions, as well as their further application to electrophilic-like substitution of π-deficient heterocycles.

Guy and his young research group demonstrated at an early stage that halopyridines (fluoro, chloro, bromo and later iodo) could be regioselectively deprotonated, as well as hydroxy and amino derivatives, after suitable protection. Competition with nucleophilic addition was studied and experimental conditions were defined to allow selective ortho-lithiation to control its regioselectivity. This research was taken up by several academic and industrial labs which

quickly led to collaborations, exchanges, congress, reviews, etc. in France and abroad. The pioneering work on pyridine was successfully extended to quinoline and, more particularly, to diazines (pyrazine, pyridazine and pyrimidine). Complete investigation of this latter series allowed the discovery of new metalation conditions and directing groups (CF_3, $CSNR_2$, etc.) as well as some remote metalation.

Guy's group discovered that ortho-lithiation could be fruitfully associated with other functionalization strategies such as aryne formation, nucleophilic substitution, radical nucleophilic substitution, aromatic cross-coupling and transmetalation. This allowed various studies on the synthesis of many alkaloids pyridocarbazoles, carbolines and benzonaphthyridines…

Guy's knowledge in heterocyclic chemistry allowed him to initiate original research in biomimetic chemistry particularly with NADH models. Enantioselective as well as supported reagents were thus developed and led to the discovery of new applications such as nucleophile shuttle and drug delivery (drug vectors or prodrugs).

The use of metals other than lithium, particularly magnesium, was an exciting challenge for the purpose of gaining stability and adjusting the reactivity of organometallics. Earlier in the nineties this was done first with organomagnesium reagents then with ate complexes such as magnesates, the latter showing an interesting abilty to cross-couple with haloaromatics.

In summary, Guy developed the ortho-lithiation of pyridines and diazines as well as possible applications of this powerful reaction. Afterwards, he proposed a new access to Grignard reagents of pyridine, quinoline and diazines and finally, in 2001, he initiated the new, promising chemistry of aromatic and heteroaromatic magnesates. Guy is the author of over 300 scientific papers and has given a many lectures around the world at scientific meetings, universities or industry. He has supervised approximately 115 theses including 80 Ph. D. Many of his former students achieved research positions at universities, as well as leading positions in industry including fine chemistry.

Since 1990 Guy has been member of the scientific committee of the "European Conference in Heterocyclic Chemistry (ECHC)" in charge of the organization of the European congresses. Within this scope he was the Chairman of the 1998 edition of the European Colloquium in Rouen. Since 1990 Guy has also been member of the editorial board of two international

journals, Progress in Heterocyclic Chemistry and Journal of Heterocyclic Chemistry. He is still a referee of the most important international journals in Organic Chemistry.

Guy is currently working part-time on chemistry. He is still supervising Ph. D. work on aromatic magnesates (synthesis, spectroscopy and reactivity), and he collaborates through industrial contracts. The other part of his life is devoted to his family, his house and, of course, to tennis. I'm totally convinced that this mixed retirement makes him the happiest of men.

Guy was my teacher, my boss and he became my friend right from the beginning of our collaboration. I am very proud and pleased to prepare this modest tribute dedicated to a man and a chemist who has done so much for our community.

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