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**The Philadelphia Organic
Chemists' Club**

presents

**The 27th Biennial POCC
Symposium
&
POCC Award**

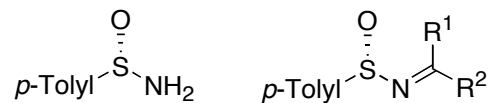
Thursday 24 October 2002

**Sheraton University City
36th & Chestnut Street
Philadelphia, PA 19104**

Franklin A. Davis
Temple University

AWARD ADDRESS

**Adventures in Sulfur–Nitrogen
 Chemistry**



The history of the development of sulfur-nitrogen reagents for the synthesis of sulfenic acids, the *N*-sulfonyloxaziridine asymmetric oxidizing reagents, the *N*-fluorosulfonimide electrophilic fluorinating reagents and the sulfinimine (*N*-sulfinyl imine) chiral amine building blocks will be briefly traced. More recent efforts to apply sulfinimine derived polyfunctionalized chiral building blocks to the asymmetric synthesis of alkaloids and amino phosphonates will also be presented.

Franklin Davis received his BS degree in chemistry from the University of Wisconsin and a Ph.D. from Syracuse University (1966) with Donald Dittmer, exploring thiete (thiacyclobutene) chemistry. Following postdoctoral work with Michael J. S. Dewar at the University of Texas developing organoboron chemistry, he joined the faculty at Drexel University in 1968, initiating studies in organosulfur chemistry and asymmetric reagent development. In 1986 he was named the George S. Sasin Professor of Organic Chemistry. In 1995 he moved across town to Temple University.

Research interests have focused on the development of new reagents and methodologies for asymmetric synthesis. These include the *N*-sulfonyloxaziridine asymmetric oxidizing reagents and sulfinimines for the asymmetric synthesis of amine derivatives including amino acids, amino phosphonates and alkaloids.

Professor Davis' honors include the Drexel University Research Achievement Award in 1980, the Philadelphia ACS Section Award in 1982, a National Science foundation Extension for Special Creativity in 1991, a Japanese Society for the Promotion of Science Award in 1992, and the Temple University Research Award in 2000. His service to the profession includes member NIH medicinal chemistry study section, 1999-2002, membership on the executive committee of the Organic and Fluorine Divisions of the ACS where he was National Program Chair (1988-91) and Chairman (1994) of the Organic Division. Professor Davis was also Chair of the Gordon Research Conference on Stereochemistry (1998) and serves on the advisory board of Organic Letters and the editorial board of the Journal of Phosphorus, Sulfur and Silicon and related Elements.



Viresh H. Rawal
University of Chicago

**Development of Effective
Catalysts
for Highly Enantioselective
Diels-Alder Reactions**

The importance of methods for the synthesis of chiral compounds in high enantiomeric purity cannot be overstated. In 2001, single enantiomer drugs comprised 36% (\$147 billion) of the total drug market of \$410 billion. We have recently developed chiral complexes that are exceptionally effective at catalyzing the Diels-Alder reaction, one of the most useful transformations for constructing complex molecules. In this presentation I will summarize our work in enantioselective cycloaddition reactions and their application to the synthesis of natural products.

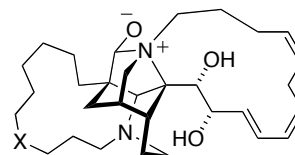
Viresh Rawal was born in 1958 in Rajkot, India and immigrated with his family to the United States in 1968, settling in Connecticut. He received his B.S. degree from The University of Connecticut (1980) and his Ph.D. from University of Pennsylvania (1986) with Michael P. Cava, developing an efficient route for the synthesis of the potent antitumor agent CC-1065. Following his postdoctoral studies with Gilbert Stork (1986 – 1988) he accepted a faculty position at The Ohio State University and was promoted to Associate Professor in 1994. In 1995 he moved to the University of Chicago and was subsequently promoted to Professor.

His research interests are in the general area of organic synthesis, with the goal of developing effective methods and strategies for the synthesis of complex bioactive molecules. This effort has culminated in the synthesis of several intricate targets, including isocomene and (–)-isocomene, endo-hirsutene, modhephene, silphiperfol-6-ene, alpha-elemene, (±) and (+)-tabersonine, (+)-11-methoxytabersonine (+)-aspidospermidine, (–)-dehydroquebrachamine, (–)-quebrachamine, geissoschizal, dehydro-tubifoline, akuammicine, zenkerene, and strychnine. His work has been recognized through awards from Eli Lilly (1993-1995), American Cyanamid (1994), Merck (1995), and Pfizer Research Laboratories (1995-1998). He is also the recipient of the American Cancer Society Junior Faculty Award (1990-1993) and the American Chemical Society's Arthur C. Cope Scholar Award (2003).

Steven M. Weinreb
The Pennsylvania State University



**Methodology for Synthesis
of Marine Alkaloids**



- 1 X = CH₂
- 2 X = (Z)-CH=CH
- 3 X = (Z)-CH=CHCH₂

Sarain A (**1**), B (**2**) and C (**3**) are marine alkaloids produced by the sponge *Reniera sarai*. The structures of these three unusual alkaloids were elucidated by Cimino and coworkers using a combination of spectral methods and X-ray crystallography. The sarains were found to have moderate antitumor, antibacterial and insecticidal activity. During the past several years we have been interested in developing an approach to a total synthesis of these structurally unique natural products. This lecture will present our progress on this project.

Steven Weinreb received his B.A from Cornell University and his Ph.D. at the University of Rochester (1967). After postdoctoral research at Columbia University with Gilbert Stork and at MIT with George Buechi, he joined the faculty of Fordham University (1970). In 1978 he moved to Penn State, was promoted to Professor in 1980, and was named Russell and Mildred Marker Professor of Natural Products Chemistry in 1987. He has recently served as Chemistry Department Head and as interim Dean of the College of Science.

The author of more than 175 articles, books and chapters, his research concentrates on the development of synthetic methods and creative approaches to natural product synthesis, especially complex heterocycles. Recently completed total syntheses include cylindrospermopsin, lepadiformine, peduncularine, (–)-norsecurinine, agelastatin A, and (–)-papuamine.

Weinreb is a member of the editorial advisory board of Current Medicinal Chemistry, the advisory editorial board of Progress in Heterocyclic Chemistry and the editorial board of Archives of Pharmaceutical Research. He also served as senior editor of the Journal of Organic Chemistry from 1990 to 1997. He is currently president of the International Society of Heterocyclic Chemistry.



David W. C. MacMillan
California Institute of Technology

**Enantioselective Organocatalysis:
The Development of New Catalysis
Concepts of Broad Utility
to Asymmetric Synthesis.**

Over the past 30 years enantioselective catalysis has become one of the most important frontiers in exploratory organic synthetic research. During this time, remarkable advances have been made in the development of organometallic asymmetric catalysts that in turn have provided a wealth of enantioselective oxidation, reduction, pi-bond activation and Lewis acid catalyzed processes. Surprisingly, however, relatively few asymmetric transformations have been reported which employ organic molecules as reaction catalysts, despite the accordant potential for academic, economic and environmental benefit and the widespread availability of organic chemicals in enantiopure form. With this in mind, we recently embarked upon the development of a new strategy for enantioselective organocatalysis that we expect will be amenable to a diverse range of asymmetric transformations. In this presentation, we will demonstrate that LUMO-lowering iminium catalysis has enabled the first highly enantioselective organocatalytic Diels-Alder, Nitron cycloaddition, conjugate additions and cascade reactions. Application of this new catalysis concept to natural product synthesis will also be described.

David MacMillan was born in 1968 in Bellshill, Scotland. He received his undergraduate degree in chemistry at the University of Glasgow, where he worked with Dr. Ernie Colvin. In 1990, Dave left the UK to begin his doctoral studies under the direction of Professor Larry Overman at the University of California, Irvine. During this time Dave focused on the development of new reaction methodology directed towards the stereocontrolled formation of bicyclic tetrahydrofurans. Dave's graduate work culminated in the total synthesis of 7-(*ú*)-deacetoxyalcyonin acetate, a eunicellin diterpenoid isolated from soft coral *Eunicella Stricta*. In 1996, Dave moved to a postdoctoral position with Professor Dave Evans at Harvard University where his studies centered on enantioselective catalysis, in particular the design and development of Sn(II) derived bisoxazoline complexes (Sn(II)box). These Sn(II)box complexes have found extensive utility in a broad range of asymmetric transformations including the first enantioselective catalytic anti-aldol process. Dave began his independent research career as a member of the chemistry faculty at the University of California at Berkeley in July of 1998. Dave joined the Department of Chemistry at Caltech in June of 2000, where his group's research interests are centered around new approaches to organic synthesis with specific interests in new reaction design, enantioselective catalysis and natural product synthesis.

PROGRAM

- noon **Registration**
- 1:00 p.m. **Professor Viresh H. Rawal**
University of Chicago
Development of Effective Catalysts for Highly Enantioselective Diels-Alder Reactions
- 2:00 p.m. **Professor Steven M. Weinreb**
The Pennsylvania State University
Methodology for Synthesis of Marine Alkaloids
- 3:00 p.m. **Refreshments**
- 3:30 p.m. **Professor David W. C. MacMillan**
California Institute of Technology
Enantioselective Organocatalysis: The Development of New Catalysis Concepts of Broad Utility to Asymmetric Synthesis
- 4:30 p.m. **Reception**
- 5:30 p.m. **Banquet**
- 7:30 p.m. **Philadelphia Organic Chemists' Club Award Address:**
Professor Franklin A. Davis
Temple University
Adventures in Sulfur–Nitrogen Chemistry