

# CURRICULUM VITAE

## HAROLD KOHN

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Professor of Chemical Biology and Natural Products, Emeritus  
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*Birth:* April 1, 1945, New York, NY

*Marital Status:* Married, two children

### Education

Columbia University, New York, NY, postdoctoral research associate, 1971-1973.

Pennsylvania State University, University Park, PA, 1966-1971, Major: Organic Chemistry; Degree granted: Ph.D.

University of Michigan, Ann Arbor, MI, 1962-1966, Major: Chemistry; Degree granted: B.S. in Chemistry.

### Professional Experience

Chief Scientific Officer and Founder, NeuroGate Therapeutics, Inc., Raleigh, NC, 2011.

Professor of Chemistry, Department of Chemistry, University of North Carolina, Chapel Hill, North Carolina, 2007-2015; emeritus status, 2015-present.

Director, Bill and Karen Campbell Faculty Mentoring Program, UNC Eshelman School of Pharmacy, University of North Carolina, Chapel Hill, North Carolina, 2006-2015.

Kenan Distinguished Professor, Division of Chemical Biology and Medicinal Chemistry, UNC Eshelman School of Pharmacy, University of North Carolina, Chapel Hill, North Carolina, 1999-2015; emeritus status, 2015-present.

Chair, Division of Medicinal Chemistry and Natural Products, UNC Eshelman School of Pharmacy, University of North Carolina, Chapel Hill, North Carolina, 1999-2005.

M.D. Anderson Professor of Chemistry, Department of Chemistry, University of Houston, Houston, Texas, 1995-1999.

Professor of Biochemical and Biophysical Sciences, Department of Biochemical and Biophysical Sciences, University of Houston, Houston, Texas, 1993-1999.

Professor of Chemistry, Department of Chemistry, University of Houston, Houston, Texas, 1983-1995.

Associate Professor of Chemistry, Department of Chemistry, University of Houston, Houston, Texas, 1978-1983.

Visiting Research Scientist with Dr. S. J. Benkovic, Evan Pugh Professor and Eberly Chair in Chemistry, Pennsylvania State University, University Park, Pennsylvania (phone: (814) 865-2882), 1981.

Assistant Professor of Chemistry, Department of Chemistry, University of Houston, Houston, Texas, 1973-1978.

Research Associate with Dr. R. C. D. Breslow, Mitchill Professor of Chemistry and University Professor, Columbia University, New York, New York (phone: (212) 280-2170), 1971-1973.

Research Fellow with Dr. R. A. Olofson, Professor of Chemistry, Pennsylvania State University, University Park, Pennsylvania (phone: (814) 865-7761), 1967-1971.

Research Assistant with Dr. R. E. Counsell, Professor of Pharmacy and Internal Medicine, University of Michigan, Ann Arbor, Michigan, 1966.

## Honors and Memberships

National Academy of Inventors – Fellow

University of Houston 2013 President's Medallion (2013)

University of North Carolina 2011 Faculty Mentoring Award (sponsored by the Carolina Women's Leadership Council)

American Association of Colleges of Pharmacy – 2010 Paul R. Dawson Biotechnology Award

William H. and Karen Campbell Professorship (2006-2015)

Kenan Distinguished Professor – University of North Carolina (1999-2015)

M.D. Anderson Professor of Chemistry – University of Houston (1995-1999)

University of Houston Research Excellence Award (1993)

Dreyfus Teacher-Scholar Award (1977-1982)

Alfred P. Sloan Research Fellowship (1977-1981)

NIH Postdoctoral Fellowship (1971-1973)

NIH Predoctoral Fellowship (1969-1970)

NSF Traineeship (1966-1969)

American Chemical Society

The Royal Society of Chemistry (London) – Fellow

American Association of Colleges of Pharmacy

Sigma Xi (1973)

## Research Experience

University of North Carolina: The examination of the biological mechanisms of mitomycin C, bicyclomycin, and (*R*)-*N*-benzyl-2-acetamido-3-methoxypropionamide (Iacosamide, Vimpat®) at the molecular level; synthesis of peptidomimetics; development and evaluation of new therapeutic agents

for the treatment of epilepsy, neuropathic pain, and other neurological disorders, cancer, and bacterial infections.

- University of Houston: The examination of the biological mechanisms of mitomycin C, bicyclomycin and biotin at the molecular level; synthetic and mechanistic studies in organic heterocyclic chemistry; development of new chemotherapeutic agents for the treatment of epilepsy, cancer, and bacterial infections.
- Developmental Leave Studies (1981): Mechanistic studies on the phenylalanine hydroxylase system from rat liver.
- Postdoctoral Studies: The synthesis and investigation of functionalized cyclodextrins as model enzyme systems.
- Graduate Studies: 1) Studies of the effect of transition metal cations on the ease of C-H deprotonation in heterocyclic systems; 2) Determination of the importance of electron pair repulsion effects on heteroaromatic C-H acidities; 3) The synthesis and chemistry of 1,4-dihydro-1,2,4,5-tetrazine; 4) Synthesis and stabilities of fused ring azathiabenzene derivatives including charged derivatives; and 5) Substitution mechanisms of pharmaceutically interesting mesoionic compounds.
- Undergraduate Studies: Synthesis of new azaindoles for their pharmaceutical testing on smooth muscles and the central nervous system; preparation of radioiodinated analogs of 1,1-dichloro-2,2-bis(chlorophenyl)ethane as diagnostic tools for the adrenal glands.

### Research Studies at the University of North Carolina

Two general themes earmarked our research programs. The first is the elaboration of the mechanism of action of clinical agents. The second is the synthesis and evaluation of novel, new therapeutic agents. Both sets of studies are guided by the relationship of structure of the therapeutic agent and target with drug function.

1. **Antiepileptic Agents: drug discovery and mode of action:** Epilepsy refers to the many types of recurrent seizures produced by paroxysmal excessive neuronal discharges in the brain. The mainstay of treatment has been the long-term and consistent administration of anticonvulsant drugs. Unfortunately, despite the many available therapeutic agents, none are capable of achieving total seizure control and most have disturbing side-effects. Pharmacological studies in our laboratory have led to the discovery of a new class of highly stereoselective anticonvulsant agents termed “functionalized amino acids” (FAAs). The lead FAA discovered by us, (*R*)-*N*-benzyl-2-acetamido-3-methoxypropionamide (lacosamide, Vimpat<sup>®</sup>), is a first-in-class antiepileptic agent, marketed worldwide (50 countries) by UCB Pharma for adjunctive treatment of partial-onset seizures in adults. Lacosamide is also approved for monotherapy use for partial-onset seizures in adults in the United States and Europe. Clinical investigations are underway for the use of lacosamide in adjunctive treatment for partial seizures in children, and for primary generalized tonic-clonic seizures. Recent studies in our laboratory were aimed at elucidating the key molecular determinants needed for lacosamide’s pharmacological activity. We also investigated the mode of action of this novel drug. We have advanced a novel strategy to search the proteome for target sites where ligand (drug) binding is modest and where moderate-to-extensive ligand structural change abolishes target binding. This method has been utilized to

interrogate the rodent brain proteome for lacosamide binding partners that explicate function and toxicity. Novel proteomic tools have been developed to facilitate these studies.

2. **Development of new neurological agents:** Programs were launched aimed at developing novel compounds that display broad activity in both seizure and pain models. We have worked with scientists from pharma, the biotech industry, and the NINDS Anticonvulsant Screening Program to advance these new chemical entities. Promising activities in animal models have been observed. Our findings have led to two US patents, and we founded NeuroGate Therapeutics, Inc. to advance these compounds to the clinic.
3. **Mitomycin C: Mode of action of a clinical anticancer drug:** Mitomycin C is a clinically significant antineoplastic agent. It is the prototype of an important class of anticancer compounds termed: bio-reductive alkylation agents. Programs have been instituted to determine the molecular events leading to the activation and subsequent reaction of mitomycin C and mitomycin analogues; to elucidate the mode of action of the mitomycins in the presence of DNA; and to develop and evaluate a select series of biomechanistic analogues of mitomycin C.
4. **Bicyclomycin: Mode of action of a novel antibiotic:** Bicyclomycin is a structurally unique antibiotic which displays broad activity against a variety of Gram-negative bacteria. The mode of action of this clinical agent is poorly understood. We discovered that the site of bicyclomycin function is the essential enzyme in *Escherichia coli*, the rho transcription termination factor. Studies have been conducted that focused on the reactivity of bicyclomycin, the mechanism of the bicyclomycin-rho interaction, and determining the role of rho in *E. coli* cell biology. Knowledge of this nature is expected to provide the molecular basis for research that allows general drug design to proceed on a less empirical basis.
5. **Development of new antibacterial and antimycobacterial agents:** Bacterial and mycobacterial infections remain an unmet health challenge. Resistance to conventional antibiotics constitutes a major health crisis. Tuberculosis alone accounts for approximately three million deaths annually and an estimated one-third of the world's population is infected. We showed that metal chelates are potent antibiotics and discovered that select hydroxamic acids are pathogen-specific inhibitors of *Mycobacterium tuberculosis*, and obtained information on the target site for each class of compounds.

## Professional Service

1992	American Cancer Society, Study Section <i>ad hoc</i>
1992, 2003, 2005	National Institutes of Health, Study Section <i>ad hoc</i>
1993-1996	Canvassing Committee – ACS A. Bader Award in Bioinorganic and Bioorganic Chemistry
1993-1995	American Chemical Society, Houston Awards Committee
1993, 1996	ACS Symposium Co-Organizer 49th Southwest Regional Meeting 52nd Southwest Regional Meeting
1999-2005	Chair, UNC Division of Medicinal Chemistry and Natural Products
2001-2002	Co-Chair, UNC Symposium of Functional Genomics and Proteomics
2006-	Founding Director, William H. and Karen Campbell Faculty Mentoring Program (UNC Eshelman School of Pharmacy)
2008-2011	Chair, UNC's Center for Faculty Excellence Advisory Board
2008-2013	Natural Product Reports, Editorial Board

2011-2015                      Journal of Medicinal Chemistry, Editorial Advisory Board  
2013-2015                      Natural Product Reports, Editorial Advisory Board

**Publications (\*, corresponding author)**

1. Harold Kohn, Stephen J. Benkovic,\* and R.A. Olofson,\* Dependence of Mechanism on pH for Deuterium-Hydrogen Exchange in 1-Methyltetrazole-5-d. Transition Metal Ion Catalysis of a Deprotonation Process, *J. Am. Chem. Soc.*, **94**, 5759-5765 (1972).
2. Harold Kohn and R.A. Olofson,\* 1, 4-Dimethyl-1, 4-dihydro-1, 2, 4, 5-tetrazine and Its N-Alkyl Salt. Synthesis, Structure and Chemistry. *J. Org. Chem.*, **37**, 3504-3509 (1972).
3. Ronald Breslow,\* Harold Kohn, and Brock Siegel, Methylated Cyclodextrin and a Cyclodextrin Polymer as Catalysts in Selective Anisole Chlorination, *Tetrahedron Lett.*, **17**, 1645-1646 (1976).
4. Harold Kohn,\* Model Studies on the Mechanism of Biotin Department Carboxylations, *J. Am. Chem. Soc.*, **98**, 3690-3694 (1976).
5. Harold Kohn\* and Y. Gopichand, An Isosteric Substitution Reaction of Substituted Imidazolidinethiones, *Tetrahedron Lett.*, **17**, 3093-3096 (1976).
6. Harold Kohn\* and Raymond E. Davis, Syntheses and Chemistry of N-Acyl Substituted Dihydroimidazo[2, 1-b]thiazolium Salts, *J. Org. Chem.*, **42**, 72-77 (1977).
7. Harold Kohn,\* Melanie J. Cravey, Janice H. Arceneaux, Rodney L. Cravey, and M. R. Willcott, III, Syntheses and Spectral Properties of Substituted Imidazolidones and Imidazolines, *J. Org. Chem.*, **42**, 941-948 (1977).
8. Harold Kohn\* and Janice H. Arceneaux, Thermolysis of N-Acyl Substituted 2-Allylthioimidazolines. Evidence for a [3,3] Sigmatropic Rearrangement, *J. Org. Chem.*, **42**, 2339-2341 (1977).
9. Harold Kohn,\* Barbara A. Kohn, Marie Louise Steenberg, and Joseph P. Buckley, Syntheses and Pharmacological Activity of Substituted Imidazolidinethiones and Thioimidazolines, *J. Med. Chem.*, **20**, 158-160 (1977).
10. Steven F. Watkins,\* Harold Kohn,\* and Ivan Bernal, Crystal and Molecular Structure of Methyl 3-Methyl-2-thioxoimidazolidine-1-carboxylate. A Possible Structural Analogue for Caboxybiotin, *J. Chem. Soc., Perkin Trans. II*, 26-29 (1978).
11. Janice H. Arceneaux, Harold Kohn,\* Marie Louise Steenberg, and Joseph P. Buckley,\* Synthesis and Pharmacological Activity of N-Acyl-substituted Imidazolidinethiones and Thioimidazolines, *J. Pharm. Sci.*, **67**, 600-602 (1978).
12. Harold Kohn,\* Y. Gopichand, and P. Charumilind, Studies on the Reaction of Acylimidazolidones with Ketenes, *J. Org. Chem.*, **43**, 4955-4961 (1978).
13. Harold Kohn,\* P. Charumilind, and Y. Gopichand, Studies on the Reaction of Thiocarbonyl-Containing Compounds with Ketenes, *J. Org. Chem.*, **43**, 4961-4965 (1978).
14. Christian von Rohrscheidt and Harold Kohn,\* Selective Formation and Hydrolysis of Derivatives of 4-Iodo-3-ureido-1-butanol, *Tetrahedron Lett.*, 215-218 (1979).

15. Harold Kohn,\* P. Charumilind, and S.H. Simonsen, 3,3,4,4-Tetraphenyl-1, 2-oxathiolan-5-one 2-Oxide. Synthesis, Structure and Selected Chemistry, *J. Am. Chem. Soc.*, 101, 5431-5432 (1979).
16. Melanie J. Cravey and Harold Kohn,\* Model Studies on the Mechanism of Biotin-Dependent Carboxylations. 2. Site of Protonation vs. CO<sub>2</sub>-Transfer, *J. Am. Chem. Soc.*, 102, 3928-3939 (1980).
17. P. Charumilind and Harold Kohn,\* Studies on the Reaction of 3,3,4,4-Tetraphenylthietan-2-one, *J. Org. Chem.*, 45, 4359-4365 (1980).
18. Harry Flaster and Harold Kohn,\* Syntheses and Spectral Properties of 2-Thiobiotin and Biotin Derivatives, *J. Heterocyclic Chem.*, 18, 1425-1436 (1981).
19. Harold Kohn,\* Mary B. Bean, Christian von Rohrscheidt, M. R. Willcott, III, and E. W. Warnhoff, Participation in the Formation of Iodo Ureas from 3-Buten-1-ol Derivatives. A Reinvestigation, *Tetrahedron*, 37, 3195-3201 (1981).
20. Harold Kohn\* and Zeng-Kun Liao, N-Amidoyliminium Ion Cyclizations. Synthesis of Annelated Imidazolidinones, *J. Org. Chem.*, 47, 2787-2789 (1982).
21. Sergio Cortes and Harold Kohn,\* Selective Reductions of 3-Substituted Hydantoins to 4-Hydroxy-2-imidazolidinones and Vicinal Diamines, *J. Org. Chem.*, 48, 2246-2254 (1983).
22. I.-Ching Chiu and Harold Kohn,\* Synthesis and Reactivity of trans-6-Azabicyclo[3.1.0]hexan-2-ol Derivatives and Indano[1, 2-b]aziridine. Structural Analogues of Mitomycin C., *J. Org. Chem.*, 48, 2857-2866 (1983).
23. Mary Bean and Harold Kohn,\* Studies on the Reaction of Mitomycin C with Potassium Ethyl Monothiocarbonate under Reductive Conditions, *J. Org. Chem.*, 48, 5033-5041 (1983).
24. Ulfert Hornemann,\* Kazuo Iguchi, Paul J. Keller, Huynh M. Vu, John F. Kozlowski, and Harold Kohn,\* Reactions of Mitomycin C with Potassium Ethyl Xanthate in Neutral Aqueous Solution, *J. Org. Chem.*, 48, 5026-5033 (1983).
25. Harold Kohn\* and Sang-Hun Jung, New Stereoselective Method for the Preparation of Vicinal Diamines from Olefins and Cyanamide, *J. Am. Chem. Soc.*, 105, 4106-4108 (1983).
26. Harold Kohn\* and Nada Zein, Studies Concerning the Mechanism of Electrophilic Substitution Reactions of Mitomycin C, *J. Am. Chem. Soc.*, 105, 4105-4106 (1983).
27. Sang-Hun Jung and Harold Kohn,\* A New Reductive Procedure for the Preparation of Vicinal Diamines and Monoamines, *Tetrahedron Lett.*, 25, 399-402 (1984).
28. Zeng-Kun Liao and Harold Kohn,\* Intramolecular N-Carbamoyliminium Ion Cyclizations of Unactivated Alkenes and Acetylenes, *J. Org. Chem.*, 49, 3812-3819 (1984).
29. Zeng-Kun Liao and Harold Kohn,\* Synthesis of Substituted 2-Imidazolidinones and Annelated Hydantoins via Amidoalkylation Transformations, *J. Org. Chem.*, 49, 4745-4752 (1984).
30. Sergio Cortes, Zeng-Kun Liao, Darrell Watson, and Harold Kohn,\* The Effect of Structural Modification of the Hydantoin Ring on Anticonvulsant Activity, *J. Med. Chem.*, 28, 601-606 (1985).
31. Mary Bean and Harold Kohn,\* Studies on the Reaction of Mitomycin C with Potassium Thiobenzoate under Reductive Conditions, *J. Org. Chem.*, 50, 293-298 (1985).

32. Sang-Hun Jung and Harold Kohn,\* Stereoselective Synthesis of Vicinal Diamines from Alkenes and Cyanamide, *J. Am. Chem. Soc.*, 107, 2931-2943 (1985).
33. Zeng-Kun Liao and Harold Kohn,\* Synthesis of Spiroimidazolidin-2-ones via Intramolecular N-Carbamoyliminium Ion Cyclization Reactions, *J. Org. Chem.*, 50, 1884-1888 (1985).
34. Sang-Hun Jung and Harold Kohn,\* The Chemical Reactivity of Ethyl 2,2,3,3-Tetramethyl-1-aziridinecarboximidate, *Heterocycles*, 23, 2045-2050 (1985).
35. Nada Zein and Harold Kohn,\* The Electrophilic and Nucleophilic Character of the Carbon-10 Methylene Group in Mitosenes Revealed, *J. Am. Chem. Soc.*, 108, 296-297 (1986).
36. Harold Kohn,\* Nada Zein, X. Q. Lin, J.-Q. Ding, and K.M. Kadish,\* Mechanistic Studies on the Mode of Reaction of Mitomycin C under Catalytic and Electrochemical Reductive Conditions, *J. Am. Chem. Soc.*, 109, 1833-1840 (1987).
37. Nada Zein and Harold Kohn,\* Covalent Binding of Mitomycin C to Nucleosides under Reductive Conditions, *J. Am. Chem. Soc.*, 109, 1576-1577 (1987).
38. Judith D. Conley and Harold Kohn,\* Functionalized DL-Amino Acid Derivatives. Potent New Agents for the Treatment of Epilepsy, *J. Med. Chem.*, 30, 567-574 (1987).
39. Nim Ming Nguy, I.-Ching Chiu, and Harold Kohn,\* Synthesis and Reactivity of 6- and 7-Methoxyindano[1,2-b]aziridines, *J. Org. Chem.*, 52, 1649-1655 (1987).
40. Paul L. Fishbein and Harold Kohn,\* Synthesis and Antineoplastic Activity of (N)-Ia-Formyl and (N)-Ia-Thionoformyl Derivatives of Mitomycin C and (N)-2-Methylaziridine, *J. Med. Chem.*, 30, 1767-1773 (1987).
41. Harold Kohn\* and Judith D. Conley, New Antiepileptic Agents, *Chemistry in Britain*, 24, 231-234 (1988).
42. Harold Kohn\* and Syed Abuzar, Reinterpretation of the Bicyclomycin-Sodium Methanethiolate Reaction, *J. Am. Chem. Soc.*, 110, 3661-3663 (1988).
43. Harold Kohn,\* Syed Abuzar, James D. Korp, Andrew S. Zektzer, and Gary E. Martin,\* Structural Studies of Bicyclomycin, *J. Heterocyclic Chem.*, 25, 1511-1517 (1988).
44. Harold Kohn\* and Syed Abuzar, Studies on the Chemical Reactivity of Bicyclomycin: Acid Hydrolysis, *J. Org. Chem.*, 53, 2769-2773 (1988).
45. Harold Kohn,\* Judith D. Conley, and J. David Leander,\* Marked Stereospecificity in a New Class of Anticonvulsants, *Brain Research*, 457, 371-375 (1988).
46. Philippe LeGall, Kailash N. Sawhney, Judith D. Conley, and Harold Kohn,\* Synthesis of Functionalized Non-natural Amino Acid Derivatives via Amidoalkylation Transformations, *Int. J. Peptide Protein Res.*, 32, 279-291 (1988).
47. Syed Abuzar and Harold Kohn,\* Observations on the Activation of Bicyclomycin, *J. Am. Chem. Soc.*, 110, 4089-4090 (1988).
48. C. H. Lee and Harold Kohn,\* 3,7-Bis(carboethoxy)perhydro-1,5,2,4,6,8-dithiatetrazocine 1,1,5,5-Tetroxide. Synthesis, Structure, and Chemistry, *Heterocycles*, 27, 2581-2588 (1988).

49. Kailash N. Sawhney and Harold Kohn,\* Mitomycin C Analogues with a Substituted Hydrazine at Position 7. Synthesis, Spectral Properties, and Biological Activity, *J. Med. Chem.*, 32, 248-252 (1989).
50. Syed Abuzar and Harold Kohn,\* Studies on the Reactivity of Bicyclomycin with Amines, *J. Am. Chem. Soc.*, 111, 4895-4903 (1989).
51. C. H. Lee, James D. Korp, and Harold Kohn,\* 3-Oxo- and 3-Imino-4-substituted-1,2,5-thiadiazolidine 1, 1-Dioxides. Synthesis, Spectral Properties, and Selected Chemistry, *J. Org. Chem.*, 54, 3077-3083 (1989).
52. Syed Abuzar and Harold Kohn,\* Studies on the Reactivity of Bicyclomycin with Nucleophilic Amino Acid Derivatives, *J. Org. Chem.*, 54, 4000-4003 (1989).
53. David J. Russell and Harold Kohn,\* Development of a Hydrazine-mediated System for the Reductive Activation of Mitomycin C, *Heterocycles*, 31, 223-227 (1990).
54. C. H. Lee and Harold Kohn,\* Anticonvulsant Properties of 3-Oxo- and 3-Imino-4-substituted-1,2,5-thiadiazolidine 1,1-Dioxides, *J. Pharm. Sci.*, 79, 716-718 (1990).
55. Harold Kohn,\* Kailash N. Sawhney, Philippe LeGall, Judith D. Conley, David W. Robertson, and J. David Leander, Preparation and Anticonvulsant Activity of a Series of Functionalized  $\alpha$ -Aromatic and  $\alpha$ -Heteroaromatic Amino Acids, *J. Med. Chem.*, 33, 919-926 (1990).
56. Syed Abuzar and Harold Kohn,\* Studies on the Reactivity of the Bicyclomycin with Thiols, *J. Am. Chem. Soc.*, 112, 3114-3121 (1990).
57. Harold Kohn\* and Y. Hong, Observations on the Activation of Mitomycin C. Requirements for C-10 Functionalization, *J. Am. Chem. Soc.*, 112, 4596-4598 (1990).
58. Chai-Ho Lee and Harold Kohn,\* Intra- and Intermolecular  $\alpha$ -Sulfamidoalkylation Reactions, *J. Org. Chem.*, 55, 6098-6104 (1990).
59. Chai-Ho Lee and Harold Kohn,\* Functionalized 5,6-Dihydro-2H-1,2,6-Thiadiazine 1,1-Dioxides. Synthesis, Structure and Chemistry, *J. Heterocyclic Chem.*, 27, 2107-2111 (1990).
60. Ven-Shun Li and Harold Kohn,\* Studies on the Bonding Specificity for Mitomycin-DNA Monoalkylation Processes, *J. Am. Chem. Soc.*, 113, 275-283 (1991).
61. Y. P. Hong and Harold Kohn,\* Studies on the Use of  $\text{Cr}(\text{ClO}_4)_2$  for the Reductive Activation of Mitomycin C, *J. Am. Chem. Soc.*, 113, 4634-4644 (1991).
62. Insook Han and Harold Kohn,\* 7-Aminoaziridinomitosenes: Synthesis, Structure, and Chemistry, *J. Org. Chem.*, 56, 4648-4653 (1991).
63. Harold Kohn,\* Kailash N. Sawhney, Philippe LeGall, David W. Robertson, and J. David Leander, Preparation and Anticonvulsant Activity of a Series of Functionalized  $\alpha$ -Heteroatom Substituted Amino Acids, *J. Med. Chem.*, 34, 2444-2452 (1991).
64. Marco A. Vela and Harold Kohn,\* Studies on the Reactivity of Bicyclomycin 3'-O-Methanesulfonate. A Novel Ring-Expansion Transformation, *J. Org. Chem.*, 56, 5462-5464 (1991).

65. Y. P. Hong and Harold Kohn,\*  $\text{Cr}(\text{ClO}_4)_2$ : An Effective Reagent for the Preparation of Mitomycin C Nucleophilic Substituted Compounds, *J. Org. Chem.*, 56, 6479-6482 (1991).
66. Insook Han, David J. Russell, and Harold Kohn,\* Studies on the Mechanism of Mitomycin C(1)-Electrophilic Transformations: Structure-Reactivity Relationships, *J. Org. Chem.*, 57, 1799-1807 (1992).
67. Harold Kohn,\* Ven-Shun Li, and Moon-shong Tang,\* Recognition of Mitomycin C-DNA Monoadducts by UVRABC Nuclease, *J. Am. Chem. Soc.*, 114, 5501-5509 (1992).
68. Yeong Soo Oh and Harold Kohn,\* Comparative Studies on the Reactivity of 4-Methylene-1-oxa-6,9-diazaspiro[4.5]decane-7,10-dione, 1-Acetyl-3-hydroxy-3-vinyl-2,5-piperazinedione, and Bicyclomycin. Examination of a Key Structural Element Necessary for Bicyclomycin-mediated Transformations, *J. Org. Chem.*, 57, 3662-3672 (1992).
69. Pascal Schiltz and Harold Kohn,\* Sodium Dithionite-Mediated Mitomycin C Reductive Activation Processes, *Tetrahedron Lett.*, 33, 4709-4712 (1992).
70. Marco A. Vela and Harold Kohn,\* The Synthesis and Reactivity of [N(8)-C(3')]-Cyclized Bicyclomycin. Evidence of the Role of the C(1)-Triol Group in Bicyclomycin-Mediated Processes, *J. Org. Chem.*, 57, 5223-5231 (1992).
71. Pascal Schiltz and Harold Kohn,\* Reductively Activated Mitomycin C: An Efficient Trapping Reagent for Electrophiles, *J. Am. Chem. Soc.*, 114, 7958-7959 (1992).
72. Marco A. Vela and Harold Kohn,\* Observations Concerning the Reactivity of Bicyclomycin and Bicyclomycin Derivatives with Organophosphorous Reagents, *J. Org. Chem.*, 57, 6650-6653 (1992).
73. Harold Kohn,\* Ven-Shun Li, Pascal Schiltz, and Moon-shong Tang,\* On the Origins of the DNA Sequence Selectivity of Mitomycin Monoalkylation Transformations, *J. Am. Chem. Soc.*, 114, 9218-9220 (1992).
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76. Pascal Schiltz and Harold Kohn\*, Studies on the Use of  $\text{Na}_2\text{S}_2\text{O}_4$  for the Reductive Activation of Mitomycin C, *J. Am. Chem. Soc.*, 115, 10497-10509 (1993).
77. Pascal Schiltz and Harold Kohn,\* Studies on the Reactivity of Reductively Activated Mitomycin C, *J. Am. Chem. Soc.*, 115, 10510-10518 (1993).
78. Suganthini Subramaniam and Harold Kohn,\* Comparative Reactivities of Mitomycin C, 7-(N-Piperidino)mitomycin, and Mitomycin A. The Role of the C(7) Substituent, *J. Am. Chem. Soc.*, 115, 10519-10526 (1993).
79. Harold Kohn,\* Kailash N. Sawhney, Patrick Bardel, David W. Robertson and J. David Leander, Synthesis and Anticonvulsant Activities of  $\alpha$ -Heterocyclic  $\alpha$ -Acetamido-N-benzylacetamide Derivatives, *J. Med. Chem.*, 36, 3350-3360 (1993).

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81. Hyeung-geun Park, Marco A. Vela, and Harold Kohn,\* Synthesis and Reactivity of Bicyclomycin C(3') Amines, *J. Am. Chem. Soc.*, 116, 471-478 (1994).
82. Zhuming Zhang and Harold Kohn,\* The Role of the C(1) Triol Group in Bicyclomycin, *J.C.S. Chem. Commun.*, 1343-1344 (1994).
83. Zhuming Zhang, and Harold Kohn,\* Chemical, Biochemical, and Biological Studies of Select C(1) Triol Modified Bicyclomycins, *J. Am. Chem. Soc.*, 116, 9815-9826 (1994).
84. Patrick Bardel, Antoinette Bolanos, and Harold Kohn,\* Synthesis and Anticonvulsant Activities of  $\alpha$ -Acetamido-*N*-benzylacetamide Derivatives Containing an Electron-deficient  $\alpha$ -Heteroaromatic Substituent, *J. Med. Chem.*, 37, 4567-4571 (1994).
85. Hyeung-geun Park, Antoni Zwiefka, William Widger,\* and Harold Kohn,\* Bicyclomycin and Dihydrobicyclomycin Inhibition Kinetics of *Escherichia coli* rho-Dependent Transcription Termination Factor ATPase Activity, *Arch. Biochem. Biophys.*, 323, 447-454 (1995).
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## Patents

### A. United States

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10. Harold L. Kohn, Christophe Salome, Ki Duk Park; Elise Salome-Grosjean, *N-Benzylamide Substituted Derivatives of 2-(Acylamido)acetic Acid and 2-(Acylamido)propionic Acids: Potent Neurological Agents*, United States Patent 8,933,065 B2 (issued January 13, 2015).

## **B. European Patents**

1. Harold Kohn and Darrell Watson, *Amino Acid Derivative Anticonvulsant*, European Patent, EP 0 400 440 (January 31, 2002); filed in Belgium, Denmark, France, Germany, Great Britain, Italy, Netherlands, Spain, Sweden, Switzerland.
2. Harold Kohn and Darrell Watson, *Amino Acid Derivatives and Use Thereof of the Preparation of an Anticonvulsant*, European Patent 0 194 464 (April 3, 1991).
3. Harold Kohn and Darrell Watson, *Anticonvulsant Composition Containing Amino Acid Derivative and Use of Said Amino Acid Derivative*, European Patent 0 263 506 (August 4, 1993); filed in Austria, Belgium, France, Germany, Great Britain, Italy, Luxembourg, Netherlands, Spain, Switzerland.
4. Harold Kohn and Darrell Watson, *Amino Acid Derivative Anticonvulsant*, European Patent EP 0 592 490 (January 7, 1998); filed in France, Germany, Great Britain, Italy.
5. Harold Kohn, *Anticonvulsant Enantiomeric Amino Acid Derivatives*, European Patent EP 0 888 289 (June 13, 2001); filed in Austria, Belgium, Denmark, Finland, France, Germany, Great Britain, Ireland, Italy, Netherlands, Portugal, Spain, Sweden, Switzerland.
6. Harold Kohn, *Anticonvulsant Enantiomeric Amino Acid Derivatives*, European Patent EP 1 038 522 (June 2, 2004); filed in Austria, Belgium, Denmark, Finland, France, Germany, Great Britain, Ireland, Italy, Netherlands, Portugal, Spain, Sweden, Switzerland.

## **C. Additional International Patents (selected)**

1. Harold Kohn, *Amino Acid Anticonvulsants*, Australia Patent 718577 (July 27, 2000)
2. Harold Kohn and Darrell Watson, *Amino Acid Derivative Anticonvulsant*, Canadian Patent 1,340,902 (February 22, 2000).
3. Harold Kohn and Darrell Watson, *Amino Acid Derivative Anticonvulsant*, Canadian Patent 1,340,904 (February 22, 2000).
4. Harold Kohn and Darrell Watson, *Amino Acid Derivative Anticonvulsant*, Canadian Patent 2 017 217 (February 19, 2002).
5. Harold Kohn, *Amino Acid Derivative Anticonvulsant*, Canadian Patent 2 110 693 (May 29, 2003).
6. Harold Kohn, *Anticonvulsant Enantiomeric Amino Acids*, Canadian Patent 2 248 317 (December 12, 2003).

7. Harold Kohn, *Amino Acid Anticonvulsants*, Denmark Patent 174 686 (September 8, 2003).
8. Harold Kohn, *Amino Acid Anticonvulsants*, Greece Patent 87.1549 (February 12, 1988).
9. Harold Kohn, *Amino Acid Anticonvulsants*, Ireland Patent 61437 (October 26, 1994).
10. Harold Kohn, *Amino Acid Derivatives and Use Thereof for the Preparation of an Anticonvulsant*, Ireland Patent 41186 (September 22, 1993).
11. Harold Kohn, *Amino Acid Derivative Anticonvulsants*, Ireland Patent 84051 (October 15, 2005).
12. Harold Kohn, Japan Patent 1972065 (September 27, 1995).
13. Harold Kohn, *Amino Acid Anticonvulsants*, Japan Patent 2580196 (November 21, 1996).
14. Harold Kohn, *Amino Acid Anticonvulsants*, Japan Patent 3145414 (January 5, 2001).
15. Harold Kohn, *Amino Acid Anticonvulsants*, Japan Patent 3330374 (July 19, 2002).
16. Harold Kohn, *Amino Acid Derivative Anticonvulsant*, New Zealand Patent 222045 (April 23, 1990).
17. Harold Kohn, *Amino Acid Derivative Anticonvulsant*, New Zealand patent 215188 (July 3, 1990).
18. Harold Kohn, *Amino Acid Derivative Anticonvulsant*, New Zealand Patent 233728 (September 16, 1993).
19. Harold Kohn, *Process for the Preparation of Anticonvulsants and the Derivatives of Amino Acids*, Portugal Patent 85869 (January 17, 1990).
20. Harold Kohn, *Process for the Preparation of Anticonvulsant Agents and the Base of Derivatives of Amino Acids*, Portugal Patent 94103 (October 14, 1996).
21. Harold Kohn, *Amino Acid Anticonvulsants*, Spain Patent 552348 (July 16, 1987).
22. Harold Kohn, *Amino Acid Anticonvulsants*, Spain Patent 2005042 (February 16, 1989).

## Research Students

### *Doctoral Students*

Dr. Mary Bean

Thesis: "Mechanistic and Synthetic Studies of the Reaction of Mitomycin C with Ambident Nucleophiles"

Ph.D. granted: May 1983

Current Position: Instructional Professor, University of Houston

Dr. Patrick Bardel

Thesis: "Synthesis of Functionalized Amino Acids and Studies on their Mode of Action for the Control of Convulsive Disorders"

Ph.D. granted: February, 1994.

Postdoctoral Training: Dr. T. William Hutchens, University of California at Davis.

Current Position: Research Scientist, Rhône–Poulenc Agrochimie (France)

Dr. Cécile Béguin

Thesis: "Synthesis and Mechanistic Evaluation of Analogues of Functionalized Amino Acids: An Emerging Class of Neuroprotective Agents:

Ph.D. granted: December, 2002

Postdoctoral Training: Dr. B. Cohen (Harvard School of Medicine)

Previous Position: Assistant Professor, Harvard Medical School (McLean Hospital)

Dr. Andrew P. Brogan

Thesis: "Novel Inhibitors of the Transcription Termination Factor Rho and Mechanistic Studies of Rho Function"

PhD. Granted: August, 2004

Postdoctoral Training: Postdoctoral Fellow with Professor Kim Janda (Scripps Institute)

Current Position: Health analyst, Research Triangle Institute (North Carolina)

Dr. Daeock Choi

Thesis: "Synthesis, Chemistry, and Biological Evaluation of Medicinally Relevant Compounds"

Ph.D. granted: September 1995

Postdoctoral Training: Postdoctoral Fellow with Dr. Randall E. Millikan, M.D. Anderson Cancer Center (Houston, TX)

Current Position: Professor, Department of Chemistry, Sunchon National University (Korea)

Dr. Judith Conley

Thesis: "Functionalized Amino Acid Derivatives. Potent New Agents for the Treatment of Epilepsy: Synthesis, and Spectroscopic and Pharmacological Properties"

Ph.D. granted: December 1985

Previous Position: Research Scientist, Nalco Co. (Houston, TX)

Dr. Pana Charumilind

Thesis: "Selected Chemistry of Sulfur-Containing Compounds: Thiones,  $\beta$ -Thiolactones, and Carboxylic-Sulfinic Acid Anhydrides"

Ph.D. granted: September 1979

Postdoctoral Training: Dr. L. Paquette (Ohio State University)

Current Position: Team Leader, Monsanto Chemical Co. (St. Louis, MO)

Dr. Sergio Cortes

Thesis: "3-Substituted Hydantoins: Syntheses, Structure, Chemical Reactivity and Pharmacological Properties"

Ph.D. granted: September 1982.

Postdoctoral Training: Professor D. Sherry (University of Texas at Dallas).

Current Position: Senior Lecturer, University of Texas at Dallas

Dr. Melanie Cravey Lesko

Thesis: "Model Studies on the Mechanism of Biotin Dependent Carboxylations. Site of Protonation versus CO<sub>2</sub>-Transfer"

Ph.D. granted: November 1977

Current Position: Senior Lecturer, Texas A&M University at Galveston

Dr. Harry Flaster (deceased, 2007)

Thesis: "Selective Probes into the Mechanism of Biotin Action: The Selection, Syntheses, and Spectral Properties of the S-Methylated Derivatives of Thiobiotin and Other Biotin Analogs"

Ph. D. granted: January 1983.

Last Position: MD, FCCP, Sansum, Santa Barbara Medical Foundation Clinic

Dr. Insook Han

Thesis: "Studies on the Mode of Action of Mitomycin C"

Ph.D. granted: August 1991.

Postdoctoral Training: Postdoctoral Fellow with Dr. Perez-Solar (M.D. Anderson Cancer Center, Houston, TX)

Current Position: Assistant Professor, Institute for Medical Science, Keimyung University (Korea)

Dr. Yong Pyo Hong

Thesis: "Studies on the Use of  $\text{Cr}(\text{ClO}_4)_2$  for the Reductive Activation of Mitomycin C"

Ph.D. granted: May 1991.

Postdoctoral Training: Dr. K. C. Nicolaou (University of California-San Diego)

Current Position: Professor, Department of Chemistry, Andong National University, Andong, Korea

Dr. Sang-Hun Jung

Thesis: "New Methods for the Preparation of Vicinal Diamines and Monoamines from Olefins and Cyanamide"

Ph.D. granted: January 1984

Postdoctoral Training: Dr. T. Cohen (University of Pittsburgh).

Current Position: Professor, College of Pharmacy, Chungnam University (Korea)

Dr. Amber King

Thesis: "Synthesis and Pharmacological Evaluation of Primary Amino Acid Derivatives (PAADs): Novel Neurological Agents for the Treatment of Epilepsy and Neuropathic Pain"

Ph.D. granted: December, 2010

Postdoctoral Training: Dr. Kenner Rice, Chemical Biology, National Institute of Drug Abuse, Bethesda, MD

Current Position: Medical Writer I, GSK (RTP, NC)

Dr. Sang Hyup Lee

Thesis: "Synthesis and Mechanistic Studies of Novel Mitomycins"

Ph.D. granted: May 2003

Postdoctoral Training: Dr. K.C. Nicolaou (Scripps Research Institute)

Current Position: Assistant Professor, Duksung Women's University, School of Pharmacy, Seoul, Korea

Dr. Arnaud LeTiran

Thesis: "Synthesis of Functionalized Amino Acids (FAA) and FAA Affinity Labels. Studies on their Mode of Action for the Control of Convulsive Disorders"

Ph.D. granted: December 2000

Postdoctoral Training: Dr. James P. Morken (University of North Carolina, Chapel Hill)

Current Position: Director of MedChem-Servier (Paris)

Dr. Z. K. Liao

Thesis: "The Study of Intramolecular N-Amidoyliminium Ion Initiated Cyclization Reactions"

Ph. D. granted: August 1984

Previous Position: Group Leader, Dow Chemicals (Freeport, TX)

Dr. Pierre Morieux

Thesis: "A Chemical Biology Approach to Discover the Biological Targets of the Antiepileptic Drug Lacosamide"

Ph.D. granted: June, 2010

Postdoctoral Training: Professor Nicolas Winssinger, Universite Louis Pasteur-Strasbourg

Current Position: Field Marketing Manager-PerkinElmer Informatics

Dr. Younghwa Na

Thesis: "Synthesis and Evaluation of Novel Mitomycins"

Ph.D. granted: December 2000

Postdoctoral Training: Dr. Laurence Hurley (University of Arizona)

Current position: Professor, College of Pharmacy, CHA University, Pochon 487-010, Korea

Dr. Yeong-Soo Oh

Thesis: "Studies on the Mode of Action of Bicyclomycin"

Ph.D. granted: June 1990

Postdoctoral Training: Dr. M. Goodman (University of California-San Diego)

Current Position: Head, LG-Pasteur Research Center, LG Life Sciences, Ltd. (Korea)

Dr. Hyeung-geun Park

Thesis: "Studies on the Mechanism of Action and the Structure-Activity Relationship of the Antibiotic Bicyclomycin"

Ph.D. granted: September 1995

Postdoctoral Training: Dr. Stephen J. Benkovic (Pennsylvania State University -University Park, PA)

Current Position: Professor, Seoul National University, College of Pharmacy (Korea)

Dr. David Russell

Thesis: "Development of a Hydrazine Mediated System for the Reductive Activation of Mitomycin C"

Ph.D. granted: June 1989

Current Position: Research Scientist, Bristol-Myers Squibb (New Brunswick, NJ).

Dr. Alejandro Santillán, Jr.

Thesis: "Semisynthetic, Chemical, Biochemical and Biological Studies on the Mode of Action of the Antibiotic Bicyclomycin"

Ph.D. granted: August 1997

Postdoctoral Training: Dr. D. Boger (Scripps Research Institute)

Previous Position: Scientist, R.W. Johnson Pharmaceutical Research Institute (San Diego, CA)

Dr. Pascal Schiltz (deceased, 2006)

Thesis: "Studies on the Mechanism of  $\text{Na}_2\text{S}_2\text{O}_4$ -mediated Mitomycin C Transformations"

Ph.D. granted: August 1992

Previous Position: Scientist, Sanofi Elf Bio Recherches, Castanet-Tolosan (France)

Dr. Fabien Vincent

Thesis: "Studies on the Antibiotic Bicyclomycin – Transcription Termination Factor Rho Interaction"

Ph.D. granted: December 1999

Postdoctoral Training: Dr. Peter Schultz (Genomics Institute of the Novartis Foundation-San Diego, CA)

Current Position: Senior Principal Scientist, Pfizer (New London, CT)

Dr. Shuang Wang

Thesis: "Studies on the Mode of Action of Mitomycins KW-2149 and BMS-181174"

Ph.D. granted: August, 1998

Postdoctoral Training: Dr. R. Silverman (Northwestern University)

Current Position: Senior Research Scientist and Group Leader, Alza Corporation (Johnson and Johnson)

Dr. Thomas P. Weber

Thesis: "Studies on the Roles of Metals for Transcription Termination Factor Rho Function and the Mode of Action of the Antibiotic Bicyclomycin. Discovery of a Novel Class of Metal-Based Rho Inhibitors"

Ph.D. granted: November, 2002

Postdoctoral Training: AstraZeneca (Boston, MA)

Current Position: (Patent) Associate, Abel IP (Austin, TX)

Dr. Nada Zein

Thesis: "Mechanistic Studies on the Mode of Action of the Antineoplastic Agent Mitomycin C"

Ph.D. granted: July 1986

Postdoctoral Training: Dr. G. Ellestad (Lederle Laboratories).

Previous Position: Research Scientist, Genomics Institute of the Novartis Foundation (San Diego, CA)

Dr. Xiangdong Zhang

Thesis: "Studies on the Mode of Action of the Antibiotic Bicyclomycin"

Ph.D. granted: December, 1997

Postdoctoral Training: Dr. Harold Kohn

Current Position: Research Scientist and Informatics, Group Leader, Gilead Sciences

Dr. Zhuming Zhang

Thesis: "Semisynthetic, Mechanistic, Biochemical, and Biological Studies on the Mode of Action of Bicyclomycin"

Ph.D. granted: November, 1994

Postdoctoral Training: Dr. Robert Holton (Florida State University)

Current Position: Research Scientist, Hoffman LaRoche (Nutley, NJ)

### **Master Students**

Janice Arceneaux

Thesis: "Selectedly Substituted Imidazolidinethiones and Thioimidazolines: Syntheses, Structure, Chemical Reactivity and Pharmacological Properties"

M.S. granted: October 1976

Current Position: Teacher, Houston Independent School District

Hangjin Cho

Thesis: "Studies Directed Toward the Identification of the Bicyclomycin Binding Domain in Rho: Use of Affinity Labels"

M.S. granted: January 1998

Philippe LeGall

Thesis: "2-Substituted-2-acetamido-N-benzylacetamides. Synthesis, Spectroscopic, and Anticonvulsant Properties"

M.S. granted: August 1987

Current Position: Director, Marketing and Sales, BioCorp (New York)

Nim-Ming Nguy

Thesis: "Studies Concerning the Role of the Carbon-8 Hydroxyl Group in Reduced Mitomycin C Processes. Synthesis and Hydrolysis of 6-and 7-Methoxy Indano[1,2-b]aziridines"

M.S. granted: November 1985

Current Position: Researcher, L'Oreal (Paris)

Jayasree M. Srinivasan

Thesis: "Synthesis and Evaluation of Novel Bicyclomycin Affinity Labels and Mechanistic Probes"

M.S. granted: December 2000

Previous Position: Researcher, Synaptic Pharmaceutical Inc. (Paramus, NJ)

Current Position: PhD student, Department of Chemistry, Indiana University (Bloomington)

Suganthini Subramaniam

Thesis: "The Role of the C-7 Substituent in Reductively Activated Mitomycin Processes"

M.S. granted: August 1991

Current Position: Researcher, Glaxo, Inc. (North Carolina)

Eric Yang

Thesis: "Selective Model Studies Concerning the Mechanism of CO<sub>2</sub>-Transfer in Biotin-Dependent Transformations"

M.S. granted: April 1984

Current Position: Research Chemist, Cecos International (Cincinnati, OH)

### ***Postdoctoral Students, Visiting Faculty, and Research Staff***

Dr. Syed Abuzar (1986-1989)

Dr. Shridhar Andurkar (1996-1998)

Dr. I. Ching Chiu (1981-1982)

Dr. Pana Charumilind (1979)

Dr. Judith Conley (1986-1987)

Dr. Jason Dinsmore (2007-2009)

Dr. Pranjal Baruah (2007-2008)

Dr. Paul Fishbein (1984-1986)

Dr. Y. Gopichand (1975-1976)

Dr. Nam Huh (1994-1996)

Dr. Tapan Khan (2003-2004)

Dr. M. Kim (2000-2003)

Professor Chai-Ho Lee (1987-1990)

Dr. Hyosung Lee (2011 – 2013)

Professor Oh-seuk Lee (1993-1994)

Dr. Ven-Shun Li (1988-1999)

Dr. Phei Lok (2003-2004)

Dr. Boon-saeng Park (2002-2004)

Dr. Ki Duk Park (2006-2011)

Dr. Hong-sik Moon (1993-1994)

Dr. Dennis O'Donoghue (1984)

Dr. Christian von Rohrscheidt (1977-1978)

Dr. Christophe Salome (2007-2009)

Ms. Elise Salome-Grosjean (2008-2009)

Dr. Kailash Sawhney (1986-1991)

Dr. S. Srivastava (2002-2003)

Dr. Kurt Teets (1994-1996)

Dr. Robert Torregrosa (2012 – 2013)

Dr. Marco Vela (1990-1992)  
Dr. Chen Wang (1999-2000)  
Professor Darrell Watson (1983)  
Dr. Byungwoo Yoo (1993-1994)  
Dr. A. Zweifka (1990-1992)

***Undergraduate Students***

Lisa Albe (1985)  
Susan Correu (1974)  
Rodney Cravey (1975)  
Erica DeMarco (2007)  
Michael Eichberg (1993)  
Ms. Ginny Grant (2002-2003)  
Dennis Keith (1974)  
Kaye Lemke (1980)  
Andrew McCallum (1985)  
Samuel Mellor (1977)  
Christina Sarubbi (2003-2004)  
Robert Swendiman (2007-2008)  
Mark Teasley (1980)  
David Williams (1974)