

Curriculum Vitae

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Education:

Clark University	A.B.	1967	Chemistry
Brandeis University	Ph.D.	1972	Chemistry
Harvard University	Fellow	1972–74	K. Bloch (Nobel Laureate)

Research/Professional Experience:

2001 to Present: Duckworth Eminent Scholar

1996 to 2000: Duckworth Professor of Drug Development

1/92 to Present: Graduate Research Professor, Medicinal Chemistry
University of Florida

3/83 to 1/92: Prof. of Medicinal Chemistry, Chemistry and Medicine
University of Florida

1/79 to 3/83: Assoc. Prof., Medicinal Chemistry, College of Pharmacy with
Appointments in: Dept. of Chemistry, College of Arts and
Sciences; Dept. of Medicine, College of Medicine, University of Florida

6/78 to 9/78: Visiting Scientist, National Institutes of Health

7/74 to 12/78: Assist. Prof., Dept. of Chemistry, College of Arts and Sciences,
University of Maryland

Distinctions:

- NASA Fellowship (Brandeis University)
- NIH Postdoctoral Fellowship (Harvard University)
- Sloan Foundation Fellowship (University of Maryland)
- National Institutes of Health Medicinal Chemistry Study Section Member
- Editorial Board - Journal of Inclusion Phenomena
- Advisor - Iron Overload Foundation
- Florida Scientist of the Year (1989)
- Graduate Research Professor (1992–present)
- Duckworth Professor of Drug Development (1996–2000)

- Duckworth Eminent Scholar (2000–present)
- University of Florida Research Foundation Professorship (2001–2004)
- NIH MERIT Award - (2005 – 2015)

Consultant Positions:

- Ciba-Geigy Pharmaceuticals, Basel, Switzerland
- Emisphere Technologies Associates Inc., Hawthorne, NY
- SunPharm Corporation, Ponte Vedra, FL
- Parke-Davis/Warner-Lambert, Ann Arbor, MI
- GelTex Pharmaceuticals, Waltham, MA
- Genzyme, Cambridge, MA

INNOVATIONS:

Drugs in Clinical Trials:

Our polyamine analogue, N¹-N¹¹-diethynorspermine, DENSPM, Phase I/II clinical trials in hepatoma patients, has now been expanded to five different clinical centers. Preliminary results are very encouraging.

Our iron chelator, (S)-2-(2,4-dihydroxyphenyl)-4,5-dihydro-4-methyl-4-thiazolecarboxylic acid, (4-OH-DADFT) is also being studied in a large phase I/II clinical trial in Europe. We will have our first report (SQUID) on liver and heart iron status by the first week of September, 2006.

Drugs in Preclinical Studies:

The NIH is currently carrying out GLP/GMP preclinical studies on our organ-directed (heart and pancreas) iron chelator, 4-MeO-DADFT.

The NIH will initiate a GLP/GMP preclinical trial on one of our new desferrithiocin analogues to be used in the treatment of malaria.

New Company:

We will be aligning with a new biotech firm, Photuris, Inc. They will focus on drugs in the GI arena, developing our polyamine antidiarrheals and drugs for irritable bowel and colon cancer. In addition, this company will provide our research group with significant funding for further studies.

Journal Articles and Chapters:

1. “Protection and Monoalkylation of Amines.” J. B. Hendrickson and R. J. Bergeron, Tetrahedron Lett., 11(5), 345–348 (1970).

2. "Triflamides (CF₃SO₂N). A Survey." J. B. Hendrickson, R. J. Bergeron, A. Giga, and D. Sternbach, J. Am. Chem. Soc., 95, 3412–3413 (1973).
3. "A New Thermal Pericyclic Carbon Transfer Capable of Creating Quaternary Sites." J. B. Hendrickson and R. J. Bergeron, Tetrahedron Lett., 14(37), 3609–3610 (1973).
4. "Triflamides for Protection and Monoalkylation of Amines and a New Gabriel Synthesis." J. B. Hendrickson and R. J. Bergeron, Tetrahedron Lett., 14(39), 3839–3842 (1973).
5. "Triflamides: New Acylating and Triflating Reagents." J. B. Hendrickson and R. J. Bergeron, Tetrahedron Lett., 14(46), 4607–4610 (1973).
6. "Effects of Cyclodextrins on Fatty Acid Synthesis." Y. Machida, R. J. Bergeron, P. Flick, and K. Bloch, J. Biol. Chem., 248, 6246–6247 (1973).
7. "New 'Gabriel' Syntheses of Amines." J. B. Hendrickson, R. J. Bergeron, and D. D. Sternbach, Tetrahedron, 31, 2517–2521 (1975).
8. "Complex Formation Between Mycobacterial Polysaccharides or Cyclodextrins and Palmitoyl Coenzyme A." R. J. Bergeron, Y. Machida, and K. Bloch, J. Biol. Chem., 250, 1223–1230 (1975).
9. "Selective Alkylation of Cycloheptaamylose." R. J. Bergeron, M. Meeley, and Y. Machida, Bioorg. Chem., 5, 121–126 (1976).
10. "The Role of Strain Energy in Cycloamylose Substrate Complexation." R. J. Bergeron and M. Meeley, Bioorg. Chem., 5, 197–202 (1976).
11. "The Molecular Disposition of Sodium *p*-Nitrophenolate in the Cavities of Cycloheptaamylose and Cyclohexaamylose in Solution." R. J. Bergeron and R. Rowan, III, Bioorg. Chem., 5, 425–436 (1976).
12. "The Molecular Disposition of *p*-Nitrophenol and Sodium *p*-Nitrophenolate in the Cyclohexaamylose Cavity: A ¹³C Probe." R. J. Bergeron and M. A. Channing, Bioorg. Chem., 5, 437–439 (1976).
13. "Cycloamyloses." R. J. Bergeron, J. Chem. Ed., 54, 204–207 (1977).
14. "Disposition Requirements for Binding in Aqueous Solution of Polar Substrates in the Cyclohexaamylose Cavity." R. J. Bergeron, M. A. Channing, G. J. Gibeily, and D. M. Pillor, J. Am. Chem. Soc., 99, 5146–5151 (1977).
15. "Circular Dichroism Studies on the Structure of *p*-Nitrophenolate Cycloamylose Complexes." R. J. Bergeron and P. McPhie, Bioorg. Chem., 6, 465–471 (1977).

16. "Uses of the Triflyl [trifluoromethanesulfonyl] Group in Organic Synthesis. A Review." J. B. Hendrickson, K. W. Bair, R. J. Bergeron, A. Giga, P. L. Skipper, D. D. Sternbach, and J. A. Wareing, Org. Prep. Proc. Int., 9, 173–207 (1977).
17. "Thermodynamics of Cycloamylose-Substrate Complexation." R. J. Bergeron, D. M. Pillor, G. Gibeily, and W. P. Roberts, Bioorg. Chem., 7, 263–271 (1978).
18. "Dependence of Cycloamylose-Substrate Binding on Charge." R. J. Bergeron, M. A. Channing, and K. A. McGovern, J. Amer. Chem. Soc., 100, 2878–2883 (1978).
19. "Boundary Conditions for the Hildebrand-Benesi Equation." R. J. Bergeron and W. P. Roberts, Anal. Biochem., 90, 844–848 (1978).
20. "Mild Oxidation of Alkyl Halides." R. J. Bergeron and P. G. Hoffman, J. Org. Chem., 44, 1835–1839 (1979).
21. "Carboxylate Anion Binding in the Cyclohexaamylose Cavity: A Steric and Electronic Evaluation." R. J. Bergeron, M. A. Channing, K. A. McGovern, and W. P. Roberts, Bioorg. Chem., 8, 263–281 (1979).
22. "Importance of Cycloamylose Substrate Geometry and Dynamic Coupling in the Deacylation of 3- and 4-Nitrophenyl Acetates." R. J. Bergeron and M. A. Channing, J. Am. Chem. Soc., 101, 2511–2516 (1979).
23. "Application of *N*-Phenyltrifluoromethanesulfonamides to the Synthesis of Pyrazines." R. J. Bergeron and P. G. Hoffman, J. Org. Chem., 45, 161–163 (1980).
24. "Application of *N*-Phenyltriflamide to the Synthesis of Deoxyaspergillilic Acid." R. J. Bergeron and P. G. Hoffman, J. Org. Chem., 45, 163–165 (1980).
25. "Synthesis of N^4 -Acylated N^1, N^8 -Bis(acyl)spermidines: An Approach to the Synthesis of Siderophores." R. J. Bergeron, K. A. McGovern, M. A. Channing, and P. S. Burton, J. Org. Chem., 45, 1589–1592 (1980).
26. "Synthesis, Absorption and Toxicity of N^1, N^8 -Bis(2,3-dihydroxybenzoyl)spermidine, a Potent Iron Chelator." R. J. Bergeron, P. S. Burton, K. A. McGovern, E. J. St. Onge, and R. R. Streiff, J. Med. Chem., 23, 1130–1133 (1980).
27. "Biomimetic Synthesis of a *Paracoccus denitrificans* Siderophore Analogue." R. J. Bergeron, P. S. Burton, S. J. Kline, and K. A. McGovern, J. Org. Chem., 46, 3712–3718 (1981).
28. "Reagents for the Selective Acylation of Spermidine, Homospermidine and Bis[3-amino-propyl]-amine." R. J. Bergeron, P. S. Burton, K. A. McGovern, and S. J. Kline, Synthesis, 732–733 (1981).

29. "Flexible Synthesis of Polyamine Catecholamides." R. J. Bergeron, S. J. Kline, N. J. Stolowich, K. A. McGovern, and P. S. Burton, J. Org. Chem., 46, 4524–4529 (1981).
30. "Role of Cyclohexaamylose C-3 Hydroxyls in Catalytic Hydrolysis." R. J. Bergeron and P. S. Burton, J. Am. Chem. Soc., 104, 3664–3670 (1982).
31. "Fluorescent Lipoprotein Probe." R. J. Bergeron and J. Scott, Anal. Biochem., 119, 128–134 (1982).
32. "Cholestatriene and Ergostatetraene as *in vivo* and *in vitro* Membrane and Lipoprotein Probes." R. J. Bergeron and J. Scott, J. Lipid Res., 23, 391–404 (1982).
33. "Short Synthesis of Parabactin." R. J. Bergeron and S. J. Kline, J. Am. Chem. Soc., 104, 4489–4492 (1982).
34. "Biological Properties of N^4 -Spermidine Derivatives and their Potential in Anticancer Chemotherapy." C. W. Porter, R. J. Bergeron, and N. J. Stolowich, Cancer Res., 42, 4072–4078 (1982).
35. "Reagents for the Selective Secondary *N*-Acylation of Linear Triamines." R. J. Bergeron, N. J. Stolowich, and C. W. Porter, Synthesis, 689–692 (1982).
36. "Spermidine Requirement for Cell Proliferation in Eukaryotic Cells: Structural Specificity and Quantitation." C. W. Porter and R. J. Bergeron, Science, 219, 1083–1085 (1983).
37. "Synthesis and Solution Dynamics of Agrobactin A." R. J. Bergeron, N. J. Stolowich, and S. J. Kline, J. Org. Chem., 48, 3432–3439 (1983).
38. "Bacteriostatic and Fungostatic Action of Catecholamide Iron Chelators." R. J. Bergeron, G. S. Elliott, S. J. Kline, R. Ramphal, and L. St. James, III, Antimicrob. Agents Chemother., 24, 725–730 (1983).
39. "Catecholamide 'H-shaped' Ligands as Actinide Chelators." R. J. Bergeron, S. J. Kline, J. Navratil, and C. M. Smith, Radiochim. Acta, 35, 47–51 (1984).
40. "300 MHz ^1H NMR Study of Parabactin and its Gallium(III) Chelate." R. J. Bergeron and S. J. Kline, J. Am. Chem. Soc., 106, 3089–3098 (1984).
41. "Amines and Polyamines from Nitriles." R. J. Bergeron and J. R. Garlich, Synthesis, 782–784 (1984).
42. "Reagents for the Stepwise Functionalization of Spermidine, Homospermidine and Bis(3-aminopropyl)amine." R. J. Bergeron, J. R. Garlich, and N. J. Stolowich, J. Org. Chem., 49, 2997–3001 (1984).

43. "Treatment With α -Difluoromethylornithine Plus a Spermidine Analogue Leads to Spermine Depletion and Growth Inhibition in Cultured L1210 Leukemia Cells." R. Casero, R. J. Bergeron, and C. W. Porter, J. Cell. Physiol., 121, 476–482 (1984).
44. "Cycloamylose–Substrate Binding." R. J. Bergeron, Inclusion Compounds III, Chapter 12, J. L. Atwood, J. E. D. Davies and D. D. MacNicol, eds. Academic: London, UK (1984).
45. "Aliphatic Chain Length Specificity of the Polyamine Transport System in Ascites L1210 Leukemia Cells." C. W. Porter, J. Miller, and R. J. Bergeron, Cancer Res., 44, 126–128 (1984).
46. "Antineoplastic and Antiherpetic Activity of Spermidine Catecholamide Iron Chelators." R. J. Bergeron, P. F. Cavanaugh, Jr., S. J. Kline, R. G. Hughes, Jr., G. T. Elliott, and C. W. Porter, Biochem. Biophys. Res. Comm., 121, 848–854 (1984).
47. "Synthesis and Solution Structures of Microbial Siderophores." R. J. Bergeron, Chem. Rev., 84, 587–602 (1984).
48. "Biological Properties of N^4 - and N^1, N^8 -Spermidine Derivatives in Cultured L1210 Leukemia Cells." C. W. Porter, P. F. Cavanaugh, N. J. Stolowich, B. Ganis, E. Kelly, and R. J. Bergeron, Cancer Res., 45, 2050–2057 (1985).
49. "An Efficient Total Synthesis of Agrobactin and its Gallium(III) Chelate." R. J. Bergeron, J. S. McManis, J. B. Dionis, and J. R. Garlich, J. Org. Chem., 50, 2780–2782 (1985).
50. "Total Synthesis of Vibriobactin." R. J. Bergeron, J. R. Garlich, and J. S. McManis, Tetrahedron, 41, 507–510 (1985).
51. "Mechanism and Stereospecificity of the Parabactin-Mediated Iron-Transport System in *Paracoccus denitrificans*." R. J. Bergeron, J. B. Dionis, G. T. Elliott, and S. J. Kline, J. Biol. Chem., 260, 13, 7936–7944 (1985).
52. "Influence of Iron on *in vivo* Proliferation and Lethality of L1210 Cells." R. J. Bergeron, R. R. Streiff, and G. T. Elliott, J. Nutr., 115, 369–374 (1985).
53. "Characterization of L1210 Cell Growth Inhibition by the Bacterial Iron Chelators Parabactin and Compound II." P. F. Cavanaugh, Jr., C. W. Porter, D. Tukalo, O. S. Frankfurt, Z. P. Pavelic, and R. J. Bergeron, Cancer Res., 45, 4754–4759 (1985).
54. "Methods for the Selective Modification of Spermidine and its Homologues." R. J. Bergeron, Accts. Chem. Res., 19, 105–113 (1986).
55. "Iron: A Controlling Nutrient in Proliferative Processes." R. J. Bergeron, Trends in Biochem. Sci., 11, 133–136 (1986).

56. "Effects of the *Vibrio cholerae* Siderophore Vibriobactin on the Growth Characteristics of L1210 Cells." R. J. Bergeron, R. Braylan, S. Goldey, and M. J. Ingeno, Biochem. Biophys. Res. Comm., 136, 273–280 (1986).
57. "Hexahydropyrimidines as Masked Spermidine Vectors in Drug Delivery." R. J. Bergeron and H. W. Seligsohn, Bioorg. Chem., 14, 345–355 (1986).
58. "Comparison and Characterization of Growth Inhibition in L1210 Cells by $\bar{\square}$ Difluoromethylornithine (DFMO), an Inhibitor of Ornithine Decarboxylase, and N^1, N^8 -Bis(ethyl)Spermidine, an Apparent Regulator of the Enzyme." C. W. Porter, B. Ganis, T. Vinson, L. J. Marton, D. L. Kramer, and R. J. Bergeron, Cancer Res., 46, 6279–6285 (1986).
59. "Catecholamide Chelators for Actinide Environmental and Human Decontamination." R. J. Bergeron and J. D. Navratil, Chemical Separations, Volume II, Applications. C. J. King and J. T. Navratil (Eds.), Litarvan Literature: Denver, CO (1986).
60. "Synthesis of a Parabactin Photoaffinity Label." R. J. Bergeron, J. B. Dionis, and M. J. Ingeno, J. Org. Chem., 52, 144–149 (1987).
61. "Synthesis and Properties of Polyamine Catecholamide Chelators." R. J. Bergeron, Iron Transport in Microbes, Plants and Animals, Chapter 16, G. Winklemann, D. Vander Helm, and J. B. Neilands (Eds.), VCH Verlagsgesellschaft/VCH Publishers, 285–315 (1987).
62. "Structural Determinants of Spermidine-DNA Interactions." P. M. Vertino, R. J. Bergeron, P. F. Cavanaugh, Jr., and C. W. Porter, Biopolymers, 26, 691–703 (1987).
63. "Relative Abilities of Bis(ethyl) Derivatives of Putrescine, Spermidine, and Spermine to Regulate Polyamine Biosynthesis and Inhibit L1210 Leukemia Cell Growth." C. W. Porter, J. McManis, R. A. Casero, and R. J. Bergeron, Cancer Res., 47, 2821–2825 (1987).
64. "Total Synthesis of (\pm)-15-Deoxyspergualin." R. J. Bergeron and J. S. McManis, J. Org. Chem., 52, 1700–1703 (1987).
65. "Microbial Iron Chelator-Induced Cell Cycle Synchronization in L1210 Cells: Potential in Combination Chemotherapy." R. J. Bergeron and M. J. Ingeno, Cancer Res., 47, 6010–6016 (1987).
66. "Regulation of Ornithine Decarboxylase Activity by Spermidine and the Spermidine Analogue N^1, N^8 -Bis(ethyl)Spermidine." C. W. Porter, F. G. Berger, A. E. Pegg, B. Ganis, and R. J. Bergeron, Biochem. J., 242, 433–440 (1987).
67. "Synthetic Polyamine Analogues as Antineoplastics." R. J. Bergeron, A. H. Neims, J. S. McManis, T. R. Hawthorne, J. R. T. Vinson, R. Bortell, and M. J. Ingeno, J. Med. Chem., 31, 1183–1190 (1988).

68. "Demonstration of Ferric L-Parabactin Binding Activity in the Outer Membrane of *Paracoccus denitrificans*." R. J. Bergeron, W. R. Weimar, and J. B. Dionis, J. Bacteriol., 170, 3711–3717 (1988).
69. "Control of Ornithine Decarboxylase Activity in \square -Difluoromethylornithine-Resistant L1210 Cells by Polyamines and Synthetic Analogues." A. E. Pegg, R. Madhubala, T. Kameji, and R. J. Bergeron, J. Biol. Chem., 263, 11008–11014 (1988).
70. "Reagents for the Stepwise Functionalization of Spermine." R. J. Bergeron and J. S. McManis, J. Org. Chem., 53, 3108–3111 (1988).
71. "Selective Regulation of S-Adenosylmethionine Decarboxylase Activity by the Spermine Analogue 6-Spermyne." C. W. Porter, J. S. McManis, D. Lee, and R. J. Bergeron, Biochem. J., 254, 337–342 (1988).
72. "Enzyme Regulation as an Approach to Interference with Polyamine Biosynthesis—An Alternative to Enzyme Inhibition." C. W. Porter and R. J. Bergeron, Adv. Enz. Regul., 27, 57–79 (1988).
73. "Regulation of Polyamine Biosynthetic Activity by Spermidine and Spermine Analogues—A Novel Antiproliferative Strategy." C. W. Porter and R. J. Bergeron, Adv. Exp. Med. Biol., 250, (Progress in Polyamine Research), V. Zappia and A. E. Pegg, Eds., Plenum Publishing Corp. 677–690 (1988).
74. "Modulation of Polyamine Biosynthesis and Transport by Oncogene Transfection." B. K. Chang, P. R. Libby, R. J. Bergeron, and C. W. Porter, Biochem. Biophys. Res. Comm., 157, 264–270 (1988).
75. "An Efficient Total Synthesis of Desferrioxamine B." R. J. Bergeron and J. J. Pegram, J. Org. Chem., 53, 3131–3134 (1988).
76. "Combination Iron Depletion Therapy." R. Taetle, J. M. Honeysett, and R. J. Bergeron, J. Nat. Cancer Inst., 81, 1229–1235 (1989).
77. "Differential Response to Treatment with the Bis(ethyl)Polyamine Analogues Between Human Small Cell Lung Carcinoma and Undifferentiated Large Cell Lung Carcinoma in Culture." R. A. Casero, Jr., S. J. Ervin, P. Celano, S. B. Baylin, and R. J. Bergeron, Cancer Res., 49, 639–643 (1989).
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80. "Differential Induction of Spermidine/Spermine N^1 -Acetyltransferase in Human Lung Cancer Cells by the Bis(ethyl)Polyamine Analogues." R. A. Casero, Jr., P. Celano, S. J. Ervin, C. W. Porter, R. J. Bergeron, and P. Libby, Cancer Res., 49, 3829–3833 (1989).
81. "The Total Synthesis of Bisucaberin." R. J. Bergeron and J. S. McManis, Tetrahedron, 45, 4939–4944 (1989).
82. "Major Increases in Spermidine/Spermine- N^1 -Acetyltransferase Activity by Spermine Analogues and their Relationship to Polyamine Depletion and Growth Inhibition in L1210 Cells." P. R. Libby, M. Henderson, R. J. Bergeron, and C. W. Porter, Cancer Res., 49, 6226–6231 (1989).
83. "Correlation Between the Effects of Polyamine Analogues on DNA Conformation and Cell Growth." H. S. Basu, B. G. Feuerstein, D. F. Deen, W. P. Lubich, R. J. Bergeron, K. Samejima, and L. J. Marton, Cancer Res., 49, 5591–5597 (1989).
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87. "A Comparative Evaluation of Iron Clearance Models." R. J. Bergeron, R. R. Streiff, J. Wiegand, J. R. T. Vinson, G. Luchetta, K. M. Evans, H. H. Peter, and H.-B. Jenny, Ann. N. Y. Acad. Sci., 612, 378–393 (1990).
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89. "Induction of Spermidine/Spermine N^1 -Acetyltransferase Activity in Chinese-Hamster Ovary cells by N^1, N^{11} -Bis(ethyl)norspermine and Related Compounds." A. E. Pegg, R. Pakala, and R. J. Bergeron, Biochem. J., 267(3), 331–338 (1990).
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91. "Increase in Spermine Content Coordinated With Siderophore Production in *Paracoccus denitrificans*." R. J. Bergeron and W. R. Weimar, J. Bacteriol., 173, 2238–2243 (1991).

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93. "Characterization of Human Spermidine/Spermine N^1 -Acetyltransferase Purified from Cultured Melanoma Cells." P. R. Libby, B. Ganis, R. J. Bergeron, and C. W. Porter, Arch. Biochem. Biophys., **284**, 238-244 (1991).
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98. "Cellular Responses to Polyamine Analogues and Inhibitors in Human Pancreatic Adenocarcinoma Cell Lines." B. K. Chang, C. W. Porter, and R. J. Bergeron, J. Cellular Pharmacol. **2**, 133–137 (1991).
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Revised 6/20/2006