CURRICULUM VITAE

Malcolm MacCoss, Ph.D., FRSC

Personal:

Date and Place of Birth:	June 2, 1947, Cleator, Cumbria, U.K.	
Married:	Sandra Eve Bramwell m. October 30, 1971 Clifford Chambers, Warwickshire, U.K.	
Children and Grandchildren	Michael John (s) b. October 26, 1974 Edmonton, Alberta, Canada	Rachel Nicola (d) b. February 16, 1979 Naperville, Illinois, U.S.A.
	Nathaniel John (gs) b. September 24, 2014 Oxfordshire, U.K.	Amelia Margaret (gd) b. March 25, 2019 Oxfordshire, U.K.

Nationality: USA and British

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Biography: https://www.acsmedchem.org/?nd=MacCoss

Educational Background:

University of Birmingham Birmingham B15 2TT, U.K.	1968 B.Sc. (Hons.) Chemistry
University of Birmingham Birmingham B15 2TT, U.K.	1971 Ph.D. - Chemistry

Ph.D. Thesis Title: "Synthesis and Properties of Some Oligo- and Polydeoxynucleotide Analogues". Ph.D supervisor: Professor A.S. Jones

Professional Experience:

October 2013 – present	Visiting Professor of Chemistry for Medicine, University of Oxford, UK
Feb 2010 - present	Private Consultant as Member and Founder, Bohicket Pharma Consulting LLC; www.bohicketpharma.com Numerous consulting contracts in several drug discovery areas with Big Pharma Companies and with Biotechs, currently including:

	AbbVie – Member of MCLT SAB Disc Medicine – Consultant, Advisory Board Cardurion – Consultant Cullinan Management – Consultant Georgiamune - Consultant Gilead Sciences, Foster City, CA – Member of SAB and Consultant Hypha Discovery - Consultant Merck & Co - Consultant MPM Capital - Consultant Novartis – Consultant Q-State - Consultant ShangPharma (ChemPartner) Corporation, Shanghai, China Sitryx, Oxford UK - Member of SAB and Consultant Syndax – Consultant UCB Corporation, Belgium and UK - Consultant Walden Biosciences (previously TrisaQ) - Consultant
Nov 2017 - Sept 2020	OxStem, Oxford, UK – Member of SAB and Consultant
Feb 2010 – Feb 2018	UCB Corporation, Belgium and UK - Member of Scientific Advisory Board and Consultant Senior Fellow
Feb 2010 – June 2015	Idera Pharmaceuticals - Board of Directors and Chairman of Compensation Committee
Aug. 2008 – Jan. 2010	Group Vice President, Chemical Research K-15-4 4740 Schering-Plough Research Institute, 2015 Galloping Hill Road, Kenilworth, NJ 07033
Jan. 2008 – March 2008	Vice President - Basic Research Basic Chemistry - Rahway, Merck Research Laboratories, P.O. Box 2000, Rahway, NJ 07065
Jan. 2003 – Jan. 2008	Vice President, Basic Chemistry and Drug Discovery Sciences - Rahway Deputy Site Head – Rahway, Merck Research Laboratories, P.O. Box 2000, Rahway, NJ 07065
April 2002 – Jan. 2003	Vice President, Acting Head of Basic Research - Rahway Vice President, Basic Chemistry - Rahway Merck Research Laboratories, P.O. Box 2000, Rahway, NJ 07065
Oct. 1999 – April 2002	Vice President, Basic Chemistry - Rahway Merck Research Laboratories, P.O. Box 2000, Rahway, NJ 07065
Feb. 1995 – Oct. 1999	Executive Director Department of Medicinal Chemistry Merck Research Laboratories, P.O. Box 2000, Rahway, NJ 07065

Sept. 1993-Jan. 1995	Senior Director Head of Department of Medicinal Chemical Research, Medicinal Chemical Research, Merck Research Laboratories, P.O. Box 2000, Rahway, NJ 07065
April 1991-Sept. 1993	Director Medicinal Chemical Research, Merck Research Laboratories, P.O. Box 2000, Rahway, NJ 07065
Nov. 1989-March 1991	Assistant Director Medicinal Chemical Research, Merck Research Laboratories, P.O. Box 2000, Rahway, NJ 07065
Dec. 1986-Oct. 1989	Assistant Director, Exploratory Chemistry Merck Research Laboratories, P.O. Box 2000, Rahway, NJ 07065
Aug. 1982-Dec. 1986	Research Fellow, Merck Research Laboratories P. O. Box 2000, Rahway, NJ 07065
1981-1982	Acting Group Leader, Molecular Biophysics Group Division of Biological and Medical Research Argonne National Laboratory, Argonne, IL 60439
1981-1982	Adjunct Associate Professor (joint appointment while at Argonne) College of Pharmacy, Department of Medicinal Chemistry, University of Illinois at the Medical Center, Chicago, IL 60680
1980-1982	Scientist, Division of Biological and Medical Research Argonne National Laboratory, Argonne, IL 60439
1976-1980	Assistant Scientist Division of Biological and Medical Research, Argonne National Laboratory, Argonne, IL 60439
1974-1976	Research Associate, Chemistry Department University of Alberta, Edmonton, Alberta, Canada
1972-1974	Postdoctoral Fellow, (working with Professor M. J. Robins) Chemistry Department, University of Alberta, Edmonton, Alberta, Canada

Clinical Drug Candidates for which I was an inventor:

- MK 0517 - I.V. Substance P Antagonist for treatment of Chemotherapy Induced Nausea and Vomiting (CINV): **Launched, January 2008 as Ivemend (fosaprepitant dimeglumine)**
- MK 0431A - DPP-4 inhibitor/metformin combination product, inhibitor for treatment of Type 2 Diabetes: **Launched, March, 2007 as Janumet**
- MK 0431 - DPP-4 inhibitor for treatment of Type 2 Diabetes**: Launched , October, 2006 as Januvia (sitagliptin phosphate)**

- MK 0869 - Oral Substance P Antagonist for treatment of Chemotherapy Induced Nausea and Vomiting (CINV): **Launched, April, 2003 as Emend (aprepitant)**
- MK 0608 – NS5B Hep C Polymerase Inhibitor
- MK 0339 (DMP 777) - Oral Elastase Inhibitor for treatment of COPD and Cystic Fibrosis
- **>100** Preclinical drug candidates approved from Rahway Medicinal Chemistry efforts during leadership of the Merck Rahway Chemistry Department

Responsibilities and Research Interests:

Schering-Plough:

- **Head of Chemistry in Kenilworth Site (220 headcount)** - and provide strategic leadership to the Chemistry Research group globally.
- **Chairperson, Schering-Plough Global Chemistry Council**, a global forum for formulating Global Chemistry Strategies.

Merck:

- The management and overall oversight of:
  Basic and Medicinal Chemistry – includes, synthetic and medicinal chemistry, computational chemistry, screening, bioelectronics workshop, library design and outsourcing priorities, and sample repository.
  Target Validation Group – includes affinity screening, informer libraries and chemical maturation
  Ion Channel Group
  Pharmacology
  Total headcount responsibility: ~500

- **Head of Merck Worldwide Chemistry Council** (a standing committee consisting of the MRL worldwide chemistry site heads and responsible for integration of chemistry efforts worldwide)

- The design of novel agents for the treatment of diabetes, obesity, atherosclerosis, cardiovascular disorders, inflammation, and infectious diseases (fungal, bacterial and viral infections).

Membership in Professional Societies:

- Fellow of Royal Chemical Society (FRSC)
- Member of American Chemical Society

Awards and Honors:

2019	Bohicket Pharma Consulting LLC, "Best of Johns Island", Pharmaceutical Company
2010	American Chemical Society Division of Medicinal Chemistry Award
2009	Admitted to American Chemical Society Medicinal Chemistry Hall of Fame
2008	Fellow of Royal Chemical Society (FRSC)
2008	NJ American Chemical Society Award for Creativity in Molecular Design and Synthesis
2007	Thomas Alva Edison Award – for the invention of JANUVIA, US Patent 6,699,871
2004	Thomas Alva Edison Award – for the invention of EMEND, US Patent 5,719,147
1980	University of Chicago Distinguished Scientist Award for work at Argonne National Laboratory, IL
1968-1971	British Empire Cancer Campaign maintenance grant, awarded for graduate studies in Chemistry at the University of Birmingham, U.K.
1967	I.C.I. Vacation Scholarship to Heidelberg University, West Germany
1966	Imperial Chemical Industries (I.C.I) Vacation Scholarship, U.K.

1965-1968 County Major Scholarship for undergraduate studies in Chemistry at the University of Birmingham, U.K.

National Committees:

Member, National Cancer Institute (NCI) site visit team to University of Rhode Island, Brown University, and Robert Williams General Hospital, Providence, RI, February 1982.

Member, NCI site visit team to University of Rhode Island, Brown University and Roger Williams General Hospital, Providence, RI, December 1984.

Academic Committees

2009 – 2010 Rutgers University NJ, Chemistry and Chemical Biology Department Advisory Board

2007 – 2010 School of Arts and Sciences, Executive Dean's Advisory Committee, Rutgers University, NJ

2000 – 2010 Molecular Design and Synthesis Advisory Committee for the Department of Chemistry, Rutgers University, NJ

2006 – 2008 Scientific Advisory Board, Rider University, Lawrenceville, NJ

Ph.D. Dissertation Committees:

Several Ph.D. candidates of the Department of Medicinal Chemistry, University of Illinois Medical Center, Chicago, IL. (External Examiner)

Numerous Ph.D. candidates of the Department of Chemistry, Rutgers University, NJ. (External Examiner)

Academic Supervision while at Argonne National Lab and Adjunct Professor at University of Illinos at the Medical Center:

Eung K. Ryu, Ph.D. Postdoctoral Fellow, Argonne National Laboratory, 1977-1980.

Steven H. Gray, Ph.D., 1982, Graduate Student, Department of Medicinal Chemistry, University of Illinois, Medical Center, Chicago, IL. Thesis title: "Synthesis and Conformation of Deoxynucleosidyl-(3'-5')-arabinonucleoside monophosphates."

Numerous undergraduate summer students, 1977-1982.

Publications (167 in total)

Edge, M D., Hodgson, A., Jones, A. S., **MacCoss, M.**, and Walker, R. T. Synthetic analogues of polynucleotides. Part IX. Synthesis of 3'-O-carboxymethyl-2'-deoxyribonucleosides and their use in the synthesis of an analogue of 2'-deoxyadenylyl-(3',5')-thymidine 3'-phosphate. *J. Chem. Soc. (Perkin Transactions I)*, **3:** 290 (1973).

Jones, A. S., **MacCoss, M.**, and Walker, R. T. Synthetic analogues of polynucleotides. Part X. The synthesis of poly-(3'-O-carboxymethyl-2'-deoxyadenosine) and its interaction with polynucleotides. *Biochem. Biophys. Acta*., **294:** 365 (1973).

Robins, M. J., Jones, R. A., and **MacCoss, M.** 3'-O-Aminoacyl-2'-deoxyadenosines and 2'-O-aminoacyl-3'-deoxyadenosines related to charged transfer ribonucleic acid termini. *Biochemistry*, **13:** 553 (1974).

Robins, M. J., **MacCoss, M.**, and Ramani, G. Nucleic acid related compounds 14. 3'-O-Aminoacyl-2'-O-methyladenosines and 2'-O-aminoacyl-3'O-methyladenosines related to charged tRNA termini. *Can. J. Chem.*, **52:** 3803 (1974).

Robins, M. J., Ramini, G., and **MacCoss, M.** Nucleic acid related compounds. 16. Direct fluorination of uracil nucleotides using trifluoromethyl hypofluorite in aqueous methanol. *Can. J. Chem.,* **53:** 1302 (1975).

Robins, M. J., **MacCoss, M**., and Lee, A. S. K. Nucleic acid related compounds. 20. Sugar, base doubly modified nucleosides of the 5'-terminal "cap" of mRNAs and in nuclear RNA. *Biochem. Biophys. Res. Commun.,* **70:** 356 (1976).

Johnson, D., **MacCoss, M.**, and Narindrasorasak, S. The enzymatic synthesis of ATP analogues. *Biochem. Biophys. Res. Commun.,* **71:** 144 (1976).

Robins, M. J., **MacCoss, M.**, Naik, S. R., and Ramani, G. Nucleic acid related compounds. 21. Direct fluorination of uracil and cytosine bases and nucleosides using trifluoromethyl hypofluorite. Mechanism, stereochemistry, and synthetic applications. *J. Amer. Chem. Soc.,* **98:** 7381 (1976).

Robins, M. J., and **MacCoss, M.** Nucleic acid related compounds. 26. A "geometry-only" method for determining the anomeric configuration of nucleosides based on the H-1' NMR signal of α and β 3',5'-cyclicmononucleotides. *J. Amer. Chem. Soc.,* **99:** 4654 (1977).

Robins, M. J., **MacCoss, M.**, and Wilson, J. S. Nucleic acid related compounds. 27. "Virtual coupling" of the anomeric proton of 2'-deoxynucleoside 3',5'-*cyclic*-monophosphates. Reassessment of conformation by deuteration of C-2'. *J. Amer. Chem. Soc.,* **99:** 4660 (1977).

MacCoss, M., Ezra, F. S., Robins, M. J., and Danyluk, S. S. Conformational characteristics of rigid cyclic nucleotides. II. The solution conformation of α-nucleoside 3',5'-cyclic monophosphates and the role of the 2'-hydroxyl group. *J. Amer. Chem. Soc.,* **99:** 7495 (1977).

MacCoss, M., Robins, M. J., Rayner, B., and Imbach, J. L. A new aspect of the use of ribonucleoside 2',3'-*O*-isopropylidene derivatives for investigation of anomeric configuration. *Carbohydrate Res.,* **59: 5**75 (1978).

MacCoss, M., Ezra, F. S., Robins, M. J., and Danyluk, S. S. Conformational characteristics of rigid cyclic nucleosides. I. Proton magnetic resonance studies of 9-(β-*D*-xylofuranosyl)adenine 3',5'-cyclic monophosphate and 9-(β-*D*-arabinofuranosyl) -adenine 2',5'-cyclic monophosphates. *Carbohydrate Res.,* **62:** 203 (1978).

MacCoss, M., and Cameron, D. Facile detritylation of nucleoside derivatives by using trifluoracetic acid. *Carbohydrate Res.,* **60:** 206 (1978).

MacCoss, M., Ryu, E. K., and Matsushita, T. The synthesis, characterization, and preliminary biological evaluation of 1-β-*D*-arabinofuranosylcytosine-5'-diphosphate-*L*-dipalmitin. *Biochem. Biophys. Res. Commun.,* **85:** 714 (1978).

Ryu, E. K., and **MacCoss, M.** Modification of the Dittmer-Lester Reagent for detection of phospholipid derivatives on thin-layer chromatograms. *J. Lipid Res.,* **201:** 561 (1979).

MacCoss, M., Ryu, E. K., White, R. S., and Last, R. L. A new synthetic use of nucleoside-N^1-oxides. *J. Org. Chem.,* **45:** 788 (1980).

Cheng, D. M., Danyluk, S. S., Dhingra M. M., Ezra, F. S., **MacCoss, M.**, Mitra, C. K., and Sarma, R. H. Conformational flexibility of the 3'-acceptor end of transfer ribonucleic acid. *Biochemistry,* **19:** 2491 (1980).

Schwartz, H. M., **MacCoss, M.**, and Danyluk, S. S. ^{17}O NMR spectroscopy of nucleic acid derivatives: bonding characteristics of pyrimidine carbonyls. *Tetrahedron Lett.,* **21:** 3837 (1980).

Ezra, F. S., **MacCoss, M.**, and Danyluk, S. S. The influence of uracil photohydrate formation on nucleic acid structure. *Biopolymers,* **19:** 1983 (1980).

MacCoss, M., Ainsworth, C.F., Leo, G., Ezra, F. S., and Danyluk, S. S. Conformational characteristics of rigid cyclic nucleotides. 3. The solution conformation of 1-(β-*D*-lyxofuranosyl) nucleoside 2',5'- and 3',5'-cyclic monophosphates. Implications for evaluation of the solution properties of nucleoside analogues. *J. Amer. Chem. Soc.,* **102:** 7353 (1980).

Matsushita, T., E. K., Hong, C. I., and **MacCoss, M.** Phospholipid derivatives of nucleoside analogues as prodrugs with enhanced catabolic stability. *Cancer Research,* **41:** 2707 (1981).

Ryu, E. K., and **MacCoss, M.** New procedure for the chlorination of pyrimidine and purine nucleosides. *J. Org. Chem.,* **46:** 2819 (1981).

Ryu, E. K., Ross, R. J., Matsushita, T., **MacCoss, M**., Hong, C. I., and West, C. R. Phospholipid-nucleoside conjugates. 3. The syntheses and preliminary biological evaluation of 1-β-*D*-arabinofuranosylcytosine-5'-monophosphate-*L*-1,2-dipalmitin and selected 1-β-D-arabinofuransylcytosine-5'-diphosphate-*L*-1,2-diacylglycerols. *J. Med. Chem.,* **25:** 1322 (1982).

MacCoss, M., Edwards, J., Seed, T. M., and Spragg, P. Phospholipid-nucleoside conjugates. 4. The aggregational characteristics and morphological aspects of selected 1-β-*D*-arabinofuranosylcytosine-5'-diphosphate *L*-diacylglycerols. *Biochem. Biophys. Acta,* **719:** 544 (1982).

Schwartz, H. M., **MacCoss, M.**, and Danyluk, S. S. 17-Oxygen NMR of nucleoside derivatives. 2. Hydration and self-association of uridine derivatives. *J. Amer. Chem. Soc.* **105:** 5901 (1983).

MacCoss, M., Edwards, J. J., Lagocki, P., and Rahman, Y.-E. Phospholipid-nucleoside conjugates. 5. The uptake of selected 1-β-*D*-arabinofuranosylcytosine-5'-diphosphate-*L*-1,2-diacylglycerols by serum lipoproteins. *Biochem. Biophys. Res. Comm.* **116:** 368 (1983).

Gray, S. H., Ainsworth, C. F., Bell, C. L., Danyluk, S. S., and **MacCoss, M.** The synthesis of deoxyribonucleotidyl-(3'-5')-arabinonucleosides. *Nucleosides and Nucleotides* **2:** 435 (1983).

Davies, D. B., **MacCoss, M.**, and Danyluk, S. S. Variation of ^{1}J(C1', H1') with glycosidic bond conformation of pyrimidine nucleosides. *J. Chem. Soc. Chem. Commun*. 536 (1984).

Peak, J. G., Peak, M. J., and **MacCoss, M**. DNA breakage caused by 334-nm ultraviolet light is enhanced by naturally occurring nucleic acid components and nucleotide coenzymes. *Photchem. Photobiol* **39:** 713 (1984).

Rahman, Y. E., Patel, K. R., Cerny, E. A., and **MacCoss, M.** The treatment of intravenously implanted Lewis Lung carcinoma with two sustained release forms of 1-β-*D*-arabinofuranosylcytosine. *Eur. J. Cancer Clin. Oncol.* **20:** 1105 (1984).

Davies, D. B., Rajani, P., **MacCoss, M.**, and Danyluk, S. S. Determination of the Karplus Relationships for the C-2, H-1' and C-6, H-1' vicinal coupling paths of uridine derivatives. *Mag. Res in Chem.,* **23:** 72 (1985).

Hong, C. I., An, S. H., Bucheit, D. L., Nechaev, A., Kirisits, A. J., West, C. R., Ryu, E. K., and **MacCoss, M**. 1-β-*D*-Arabinofuranosylcytosine-phospholipid conjugates as prodrugs of ara-C. *Cancer Drug Delivery*, **1:** 181 (1984).

MacCoss, M., Chen, A., and Tolman, R. L., Synthesis of the chiral acyclonucleoside antiherpetic agent (*S*)-9-(2,3-dihydroxy-1-propoxymethyl)guanine. *Tetrahedron Lett.* **26:** 1815 (1985).

Schwartz, H. M., **MacCoss, M**., and Danyluk, S. S. ^{17}Oxygen NMR of nucleoside derivatives. 3. Chemical shifts of substituted uridines and ribothymidines. *Mag. Res. in Chem*, **23:** 885 (1985).

MacCoss, M., Chen, A., and Tolman, R. L. Syntheses of all four possible diastereomers of the acyclonucleoside 9-(1,3,4-trihydroxy-2-butoxymethyl)guanine from carbohydrate precursors. *Tetrahedron Lett.* **26:** 4287 (1985).

Gray, S. H., Ainsworth, C. F., Bell, C. L., Danyluk, S. S., and **MacCoss, M**. NMR Investigations of the solution conformations of deoxynucleotidyl-(3',5')-arabinonucleosides. *Nucleosides and Nucleotides*, **5**: 481 (1986).

MacCoss, M., Tolman, R. L., Ashton, W. T., Wagner, A.F., Hannah, J., Field, A. K., Karkas, J. D., and Germershausen, J. I. Synthetic, biochemical and antiviral aspects of selected acyclonucleosides and their derivatives. *Chemica Scripta* **23**: 113 (1986).

Field, A. K., Davies, M. E., DeWitt, C. M., Perry, H. C., Scholfield, T. I., Karkas, J. D., Germershausen, J. I., Wagner, A. F., Cantone, C. L., **MacCoss, M**., and Tolman, R. L. The efficacy of 2'-nor-cGMP for treatment of experimental herpes virus infections. *Antiviral Res*., **6**: 329 (1986).

Germershausen, J. I., Bostedor, R., Liou, R., Field, A. K., Wagner, A. F., **MacCoss, M.**, Tolman, R. L., and Karkas, J. D. Comparison of the modes of action of 2'-NDG and its cyclic phosphate, 2'-nor-cGMP. *Antimicrob. Agents Chemother*., **29**: 1025 (1986).

Stein, J. M., Stoeckler, J. D., Li, S. Y., Tolman, R. L., **MacCoss, M**., Chen, A., Karkas, J. D., Ashton, W. T. and Parks, Jr., R. E. Inhibition of purine nucleoside phosphorylase by acyclic nucleosides and nucleotides. *Biochem. Pharmacol.,* **36**: 1237 (1987).

Karkas, J. D., Germershausen, J.I., Tolman, R. L., **MacCoss, M**., Wagner, A. F., Liou, R., and Bostedor, R. Stereochemical considerations in the enzymatic phosphorylation and antiviral activity of acyclonucleosides: I. Phosphorylation of 2'-nor-2'-deoxyguanosine. *Biochem. Biophys. Acta*, **911**: 127 (1987).

Poe, M., Germershausen, J. I., and **MacCoss, M**. Synthesis and structure determination of [8-^{13}C]guanosine 5'-diphosphate. *Anal. Biochem.*, **164**: 450 (1987).

MacCoss, M., Wagner, A. F., Cantone, C. L., Strelitz, R. A., Chen, A., Ashton, W. T., Hannah, J., Tolman, R. L., Bostedor, R., Germershausen, J.I., Karkas, J. D., Perry, H. C., and Field, A. K. The synthesis and preliminary biological evaluations of selected analogues of the potent broad-spectrum antiviral agent ganciclovir 1',3'-cyclic monophosphate (2'-nor-cGMP). *Nucleosides and Nucleotides*, **8**: 1155 (1989).

Wu, M. T., **MacCoss, M.**, Ikeler, T. J., Hirschfield, J., Arison, B. H., and Tolman, R. L., Annelated piperazinyl-7,8-dihydro-6*H*-thiopyrano[3,2-*d*]pyrimidines. *J. Heterocyclic Chem.*, **27**: 1559 (1990).

Thornberry, N. A., Bull, H. G., Calacay, J. R., Chapman, K. T., Howard, A. D., Kostura, M. J., Miller, D. K., Molineaux, S. M., Weidner, J. R., Aunins, J., Elliston, K. O., Ayala, J. M., Casano, F. J., Chin, J., Ding, G. J.-F., Egger, L. A., Gaffney, E. P., Limjuco, G., Palyha, O. C., Raju, S. M., Rolando, A. M., Salley, J. P., Yamin, T-T., Lee, T. D., Shively, J. E., **MacCoss, M**., Mumford, R. A., Schmidt, J. A., and Tocci, M. J. Interleukin-1β converting enzyme: a heterodimeric cysteine protease required for processing of the IL-1β precursor in human blood monocytes. *Nature,* **356**: 768 (1992).

Knight, W.B., Green, B.G., Chabin, R.M., Gale, P., Maycock, A.L., Weston, H., Kuo, D.W., Westler, W.M., Dorn, C.P., Finke, P.E., Hagmann, W.K., Hale, J.J., Liesch, J., **MacCoss, M.**, Navia, M.A., Shah, S.K., Underwood, D, and Doherty, J.B. Specificity, stability, and potency of monocyclic β-lactam inhibitors of human leucocyte elastase. *Biochemistry*, **31**: 8160 (1992).

Meurer, L. M., Tolman, R. L., Chapin, E. W., Randall, W. C., Zrada, M., Saperstein, R., Vicario, P. P., and **MacCoss, M.**, Synthesis and selected biological activities of, substituted 8-(1-piperazinyl)imidazo[1,2-a]pyrazines. *J. Med. Chem.*, **35**: 3845 (1992).

Tousignant, C., Chan, C-C., Guevremont, D., Brideau, C., Hale, J.J., **MacCoss, M.**, and Rodger, I.W., NK-2 Receptors mediate plasma extravasation in guinea pig lower airways. *Br. J. Pharmacol.*, **108**: 383 (1993).

Hale, J.J., Finke, P.E., and **MacCoss, M**., A facile synthesis of the novel NKA antagonist SR 48968. *Bioorganic & Med. Chem. Letters*, **3**: 319 (1993).

Ashton, W.T., Cantone, C.L., Chang, L.L., Hutchins, S.M., Strelitz, R.A., **MacCoss, M.**, Chang, R.S.L., Lotti, V.J., Faust, K.A., Chen, T-B., Bunting, P., Schorn, T.W., Kivlighn, S.D., and Siegl, P.K.S., Nonpeptide angiotensin II antagonists derived from 4*H*-1,2,4- triazoles and 3*H*-imidazo[1,2-*b*][1,2,4]triazoles. *J. Med. Chem.*, **36**: 591, (1993).

Chang, L.L., Ashton, W.T., Flanagan, K.L., Strelitz, R.A., **MacCoss, M.**, Greenlee, W.J., Chang, R.S.L., Lotti, V.J., Faust, K.A., Chen, T-B., Bunting, P., Zingaro, G.J., Kivlighn, S.D., and Siegl, P.K.S., Triazolinones as nonpeptide angiotensin II antagonists. I. Synthesis and evaluation of potent 2,4,5-trisubstituted triazolinones. *J. Med. Chem.*, **36**: 2558, (1993).

Chabin, R.M., Green, B.G., Gale, P., Maycock, A.L., Weston, H., Dorn, C.P., Finke, P.E., Hagmann, W.K., Hale, J.J., **MacCoss, M.**, Shah, S.K., Underwood, D., Doherty, J.B., and Knight, W.B., Mechanism of inhibition of human leucocyte elastase by monocyclic β-lactams. *Biochemistry*, **32**: 8970, (1993).

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Mills, S.G., Wu, M.T., **MacCoss, M.**, Budhu, R.J., Dorn, C.P., Cascieri, M.A., Sadowski, S., Strader, C.D., and Greenlee, W.J., 1,4-Diacylpiperazine-2-(S)-[(N-aminoalkyl)- carboxamides] as novel, potent Substance P receptor antagonists. *Bioorganic & Med. Chem. Letters*, **3**: 2707 (1993).

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Patents issued (112 in total)

U.S. Patent No. 4,471,113. "Prodrugs based on phospholipid-nucleoside conjugates," issued September 1984.

U.S. Patent No. 4,579,849. "N-Alkylguanine acyclonucleosides as antiviral agents," issued May 1, 1986.

U.S. Patent No. 4,670,424. "Cyclic pyrophosphates of purine and pyrimidine acyclonucleosides," issued June 2, 1987.

U.S. Patent No. 4,801,710. "Regioselective synthesis of 9-substituted purine acyclonucleoside derivatives," issued January 31, 1989.

U.S. Patent No. 4,876,256. "Alkylpiperazinylpyridines as hypoglycemic agents," issued October 24, 1989

U.S. Patent No. 4,897,479. "Arylsulfonyloxy purine intermediates," issued January 30, 1990

U.S. Patent No. 4,966,895. "Cyclic monophosphates of purine and pyrimidine acyclonucleosides as anti-retroviral agents," issued October 30, 1990

U.S. Patent No. 4,980,350. "Piperazinylalkylpyrimidines as hypoglycemic agents," issued December 25th, 1990

U.S. Patent No. 5,057,517. "Piperazinyl derivatives of purines and isosteres thereof as hypoglycemic agents", issued October 15th, 1991

U.S. Patent No. 5,100,880. "Novel betalactam elastase inhibitors containing phosphorous acid derivatives at the 4-position of the 2-azetidinone", issued March 31st, 1992

U.S. Patent No. 5,104,862. "Betalactam elastase inhibitors containing phosphorous acid derivatives at the 4-position of the 2-azetidinone", issued April 14th, 1992

U.S. Patent No. 5,128,327. "Angiotensin II antagonists incorporating a nitrogen containing six membered ring heterocycle", issued July 7th, 1992

U.S. Patent No. 5,137,876. "Nucleoside antiviral and antiinflammatory compounds and compositions and methods for using same", issued August 11th, 1992

U.S. Patent No. 5,157,040. "Substituted quinolines as angiotensin II antagonists", issued October 20th, 1992

U.S. Patent No. 5,162,325. "Angiotensin II antagonists incorporating a substituted benzyl element", issued November 10th, 1992.

U.S. Patent No. 5,164,407. "Substituted imidazo-fused 5-membered ring heterocycles and their use as angiotensin II antagonists", issued November 17th, 1992

U.S. Patent No. 5,166,206. "Substituted pyrimidines, pyrimidinones and pyrido-pyrimidines", issued November 24th, 1992

U.S. Patent No. 5,187,179. "Angiotensin II antagonists incorporating a substituted imidazo[1,2-*b*][1,2,4]triazole", issued February 16th, 1993.

U.S. Patent No. 5,229,381. "Substituted azetidinones as anti-inflammatory and antidegenerative agents", issued July 20th, 1993

U.S. Patent No. 5,250,521. "Substituted pyrazolopyrimidines as angiotensin II antagonists", issued October 5th, 1993

U.S. Patent No. 5,260,285. "Substituted imidazopyridazines as angiotensin II antagonists", issued November 9th, 1993

U.S. Patent No. 5,274,080. "Monospecific antibodies useful in evaluating inhibition of polymorphonuclear leukocyte elastase by N-substituted azetidinones", issued December 28th, 1993

U.S. Patent No. 5,292,726. "N,N-Diacylpiperazines", issued March 8th, 1994

U.S. Patent No. 5,324,729. "Substituted pyrimidines, pyrimidinones and pyrido-pyrimidines", issued June 28th, 1994

U.S. Patent No. 5,336,778. "Substituted triazoles as angiotensin II antagonists", issued August 9th, 1994

U.S. Patent No. 5,344,830. "N,N-Diacylpiperazine tachykinin antagonists", issued September 6th, 1994

U.S. Patent No. 5,348,953. "New substituted azetidinones as anti-inflammatory and antidegenerative agents", issued September 20th, 1994

U.S. Patent No. 5,387,595. "Alicyclic compounds as tachykinin receptor antagonists", issued February 7th, 1995

U.S. Patent No. 5,389,660. "Substituted imidazo-fused 5-membered ring heterocycles as angiotensin II antagonists", issued February 14th, 1995

U.S. Patent No. 5,391,819. "Process of making chiral 2-aryl-1,4-butanediamine derivatives as useful neurokinin-A antagonists", issued February 21st, 1995

U.S. Patent No. 5,411,980. "Substituted triazolinones, triazolinethiones, and triazolinimines as angiotensin II antagonists", issued May 2nd, 1995

U.S. Patent No. 5,420,010. "Assay for evaluating inhibition of polymorphonuclear leukocyte elastase by N-substituted azetidinones", issued May 30th, 1995

U.S. Patent No. 5,430,128. "Peptidyl derivatives as inhibitors of interleukin 1-β converting enzyme", issued July 4th, 1995

U.S. Patent No. 5,434,248. "Peptidyl derivatives as inhibitors of interleukin 1-β converting enzyme", issued July 18th, 1995

U.S. Patent No. 5,512,570. "Treatment of emesis with morpholine tachykinin receptor antagonists", issued April 30th, 1996

U.S. Patent No. 5,591,737. "Substituted azetidinones as antiinflammatory and antidegenerative agents", issued January 7th, 1997

U.S. Patent No. 5,607,936. "Substituted aryl piperazines as neurokinin antagonists", issued March 4th, 1997

U.S. Patent No. 5,610,165. "N-Acylpiperidine tachykinin antagonists", issued March 11th, 1997

U.S. Patent No. 5,629,322. "Cyclic amidine analogs as inhibitors of nitric oxide synthase", issued May 13th, 1997

U.S. Patent No. 5,637,699. "Process for preparing morpholine tachykinin receptor antagonists", issued June 10th, 1997

U.S. Patent No. 5,663,175. "Prodrugs of herpes TK inhibitors", issued September 2nd, 1997

U.S. Patent No. 5,691,336. "Morpholine compounds are prodrugs useful as tachykinin receptor antagonists", issued November 25th, 1997

U.S. Patent No. 5,716,942. "Treatment of migraine with morpholine tachykinin receptor antagonists", issued February 10th, 1998

U.S. Patent No. 5,719,147. "Morpholine and thiomorpholine tachykinin receptor antagonists", issued February 17th, 1998

U.S. Patent No. 5,728,716. "Aralkylamino substituted azacyclic therapeutic agents", issued March 17th, 1998

U.S. Patent No. 5,747,485. "Substituted azetidinones as anti-inflammatory and anti-degenerative agents", issued May 5th, 1998

U.S. Patent No. 5,750,549. "Cycloalkyl tachykinin receptor antagonists", issued May 12th, 1998

U.S. Patent No. 5,780,467. "Morpholine compounds are prodrugs useful as tachykinin receptor antagonists", issued July 14th, 1998

U.S. Patent No. 5,866,545. "Substituted ketone derivatives as inhibitors of interleukin-1β converting enzyme", issued February 2nd, 1999

U.S. Patent No. 5,869,489. "Tryptophan ureas as neurokinin antagonists", issued February 9th, 1999

U.S. Patent No. 5,869,496. "Spiro-substituted azacycles as tachykinin receptor antagonists", issued February 9th, 1999

U.S. Patent No. 5,872,116. "Morpholine and thiomorpholine tachykinin receptor antagonists", issued February 16th, 1999

U.S. Patent No. 5,877,191. "Phenyl spiroethercycloalkyl tachykinin receptor antagonists", issued March 2nd, 1999

U.S. Patent No. 5,908,842. "Substituted 2-acylaminopyridines as inhibitors of nitric oxide synthase", issued June 1st, 1999

U.S. Patent No. 5,922,706. "Morpholine and thiomorpholine tachykinin receptor antagonists", issued June 29th, 1999

U.S. Patent No. 5,929,094. "Heteroaryl spiroethercycloalkyl tachykinin receptor antagonists", issued July 27th, 1999

U.S. Patent No. 5,952,321. "Substituted azetidinones as anti-inflammatory and antidegenerative agents", issued September 14, 1999

U.S. Patent No. 5,962,462. “Spiro substituted azacycles as modulators of chemokine receptor activity”, issued October 5, 1999

U.S. Patent No. 5,972,975. "Substituted 2-aminopyridines as inhibitors of nitric oxide synthase", issued October 26, 1999

U.S. Patent No. 6,013,644. "Spiro substituted azacycles as modulators of chemokine receptor activity", issued January 11, 2000

U.S. Patent No. 6,013,652. "Spiro substituted azacycles as neurokinin antagonists", issued January 11, 2000

U.S. Patent No. 6,043,358. "Hexahydro-5-imino-1,4-heteroazepine derivatives as inhibitors of nitric oxide synthases", issued March 28, 2000

U.S. Patent No. 6,048,859. "Morpholine and thiomorpholine tachykinin receptor antagonists", issued April 11, 2000

U.S. Patent No. 6,090,841. "Substituted pyrrole derivatives as cell adhesion inhibitors", issued July 18, 2000

U.S. Patent No. 6,124,319. "3,3-Disubstituted piperidines as modulators of chemokine receptor activity", issued September 26, 2000

U.S. Patent No. 6,136,827. "Cyclic amine modulators of chemokine receptor activity", issued October 24, 2000

U.S. Patent No. 6,140,349. "Cyclic amine modulators of chemokine receptor activity", issued October 31, 2000

U.S. Patent No. 6,166,037. "Pyrrolidine and piperidine modulators of chemokine receptor activity", issued December 26, 2000

U.S. Patent No. 6,221,888. "Sulfonamides as cell adhesion inhibitors", issued April 24, 2001

U.S. Patent No. 6,235,735. "Morpholine and thiomorpholine tachykinin receptor antagonists", issued May 22, 2001

U.S. Patent No. 6,265,434. "Pyrrolidine modulators of chemokine receptor activity", issued July 24, 2001

U.S. Patent No. 6,271,252. "Cyclic amino acid derivatives as cell adhesion inhibitors", issued August 7, 2001

U.S. Patent No. 6,291,511. "Biarylalkanoic acids as cell adhesion inhibitors", issued September 18, 2001

U.S. Patent No. 6,348,570. "Chromophore containing compounds and their use in determining interleukin-1b convertase activity", issued February 19, 2002

U.S. Patent No. 6,358,979. "N-Cyclopentyl modulators of chemokine receptor activity", issued March 19, 2002

U.S. Patent No. 6,372,733. "Hexahydro-5-imino-1,4-thiazepine derivatives as inhibitors of nitric oxide synthases ", issued April 16, 2002

U.S. Patent No. 6,399,619. "Pyrrolidine modulators of chemokine receptor", issued June 4, 2002

U.S. Patent No. 6,432,981. "Cyclopentyl modulators of chemokine receptor activity", issued August 13, 2002

U.S. Patent No. 6,437,165. "Phosphate derivatives as immunoregulatory agents", issued August 20, 2002

U.S. Patent No. 6,472,410. "N-Cyclopentyl modulators of chemokine receptor activity", issued October 29, 2002

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U.S. Patent No. 6,498,161. "Pyrrolidine modulators of chemokine receptor activity", issued December 24, 2002

U.S. Patent No. 6,500,844. "Cyclopentyl modulators of chemokine receptor activity", issued December 31, 2002

U.S. Patent No. 6,538,002. "Cyclopentyl modulators of chemokine receptor activity", issued March 25, 2003

U.S. Patent No. 6,593,346. "N-Cyclopentyl modulators of chemokine receptor activity", issued July 15, 2003

U.S. Patent No. 6,638,930. "Morpholine and thiomorpholine tachykinin receptor antagonists", issued October 28, 2003

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U.S. Patent No. 6,699,871. "Beta-amino heterocyclic dipeptidyl peptidase inhibitors for the treatment or prevention of diabetes", issued March 2, 2004

U.S. Patent No. 6,734,311. "Substituted amidine derivatives as inhibitors of cell adhesion", issued May 11, 2004

U.S. Patent No. 6,777,395. "Nucleoside derivatives as inhibitors of RNA-dependent RNA viral polymerase of hepatitis C virus", issued August 23, 2004

U.S. Patent No. 6,903,075. “Heterocyclic amide compounds”, issued June 7, 2005

U.S. Patent No. 7,105,499. “Nucleoside derivatives as inhibitors of RNA-dependent RNA viral polymerase”, issued September 12, 2006

U.S. Patent No. 7,125,855. “Nucleoside derivatives as inhibitors of RNA-dependent RNA viral polymerase”, issued October 24, 2006

U.S. Patent No. 7,125,873. “Beta-amino tetrahydroimidazo(1,2-a)pyrazines and tetrahydrotriazolo(4,3-a)pyrazines as dipeptidyl peptidase inhibitors for the treatment or prevention of diabetes”, issued October 24, 2006

U.S. Patent No. 7,125,887. "Pyrrolidine modulators of CCR5 chemokine receptor activity", issued October 24, 2006

U.S. Patent No. 7,534,767. "C-purine nucleoside analogs as inhibitors of RNA-dependent RNA viral polymerase", issued May 19, 2009

U.S. Patent No. 7,632,821. "Ribonucleoside cyclic acetal derivatives for the treatment of RNA-dependent RNA viral infection", issued December 15, 2009

U.S. Patent No. 7,879,815. "Nucleoside aryl phosphoramidates for the treatment of RNA-dependent RNA viral infection", issued February 1, 2011

U.S. Patent No. 8,168,637. "Beta-amino heterocyclic dipeptidyl peptidase inhibitors for the treatment of diabetes", issued May 1, 2012

U.S. Patent No. 8,440,668. "Beta-amino heterocyclic dipeptidyl peptidase inhibitors for the treatment of type 2 diabetes", issued May 14, 2013

U.S. Patent No. 8,481, 712. "Nucleoside derivatives as inhibitors of RNA-dependent RNA viral polymerase", issued July 9, 2013

U.S. Patent No. 8,735,414. "Indole derivatives and methods for antiviral treatment", issued May 27, 2014

U.S. Patent No. 8,809,318. "Gamma secretase modulators", issued August 19, 2014

U.S. Patent No. 8,859,776 "Substituted piperidines that increase p53 activity and the uses thereof", issued October 14, 2014

U.S. Patent No. 9,433,621. "Substituted pyridine and pyrimidine derivatives and their use in treating viral infections", issued September 6, 2016

U.S. Patent No. 9,593,108. "Compounds and methods for antiviral treatment", issued March 14, 2017

U.S. Patent No. 9,890,174. "Fused tricyclic benzimidazole derivatives as modulators of TNF activity", issued February 13, 2018

U.S. Patent No. 9,932,343. "Fused tricyclic benzimidazole derivatives as modulators of TNF activity", issued April 3, 2018

U.S. Patent No. 10,087,179. "Fused tricyclic imidazole derivatives as modulators of TNF activity", issued October 2, 2018

U.S. Patent No. 10,202,405. "Fused pentacyclic imidazole derivatives", issued February 12, 2019

U.S. Patent No. 10,464,932. "Iminothiadiazinane dioxide derivatives as plasmepsin V inhibitors", issued November 5, 2019

U.S. Patent No. 10,889,584. "Bicyclic bis-heteroaryl derivatives as modulators of protein aggregation", issued January 12, 2021

Patents published, not yet issued (8)

US 2017/0183,373 "Nucleoside derivatives as inhibitors of RNA-dependent RNA viral polymerase", published June 29, 2017

US 2017/0348,309 "Beta-amino heterocyclic dipeptidyl peptidase inhibitors for the treatment or prevention of diabetes", published December 7, 2017

WO 2017/020,010 "Bis-Heteroaryl derivatives as modulators of protein aggregation", published February 2, 2017

US 2018/0222,899 "Bis-Heteroaryl derivatives as modulators of protein aggregation", published August 9, 2018

US 2019/0040,053 "Iminothiadiazine Dioxide derivatives as Plasmepsin V inhibitors", published February 7, 2019

US 20200046723A1. "Fused pentacyclic imidazole derivatives as modulators of TNF activity", published February 13, 2020

US 2020/0095240A1, "Aminopyrimidine compound", published March 26, 2020

WO 2020/229,427 A1, published November 19, 2020

Patents filed not yet issued (17)

Several additional patents in preparation, including:
"Compounds, pharmaceutical compositions, and medical uses thereof", filed Oct 20, 2017 US App # 62/575088
"Compounds, pharmaceutical compositions, and medical uses thereof", filed Oct 20, 2017 US App # 62/575090
"Compounds, pharmaceutical compositions, and medical uses thereof", filed Oct 20, 2017 US App # 62/575093
"Compounds, pharmaceutical compositions, and medical uses thereof", filed Oct 20, 2017 US App # 62/575094
U.S. Provisional Patent Application # 15/933,889
U.S. Provisional Patent Application # 62/735,897
"Bicyclic bis-heteroaryl derivatives as modulators of protein aggregation", filed Jan 23, 2018 App # 18703237.0-1116; EP/26.01/17/ EPA 17153217
"Antimalarial hexahydropyrimidine analogues", filed April 2, 2019, UK patent office Application #19716111.0
"Fused pentacyclic imidazole derivatives as modulators of TNF activity", filed April 24, 2018 US App # 20200046723A1
"Antimalarial hexahydropyrimidine analogues", filed May 14, 2019, UK patent office Application #1906804.8
"Antimalarial hexahydropyrimidine analogues", filed August 19, 2019, UK patent office Application #1911865.2
"Antimalarial hexahydropyrimidine analogues", filed September 24, 2019, UK patent office Application #1913759.5
"Aminopyrimidine Compound", filed September 24, 2019 US App# 20200095240A1
"Modulators", filed May 8, 2020, US App# 63/021981
"Modulators", filed May 8, 2020, US App# 63/022111
"Modulators", filed December 3, 2020, US App# 63/120984
"Modulators", filed December 3, 2020, US App# 63/121077

Book Chapters, etc. (10 in total)

M. J. Robins, **M. MacCoss**, and S. R. Naik, "5-Fluorouridine and 5-fluorocytidine. Direct fluorination of the pyrimidine ring," in Nucleic Acid Chemistry, Improved and New Synthetic Procedures, Methods, and Techniques. Part 2, pp. 895-900. Editors: L. B. Townsend and R. S. Tipson. Wiley-Interscience, New York, NY, 1978.

M. J. Robins and **M. MacCoss**. "A geometry-only ^{1}H NMR method for determination of the anomeric configuration of ribofuranosyl compounds," in Chemistry and Biology of Nucleosides and Nucleotides, pp. 311-328. Editors: R. E. Harmon, R. K. Robins, and L. B. Townsend, Academic Press, Inc., New York, NY, 1978.

S. S. Danyluk, C. F. Ainsworth, and **M. MacCoss**, "Methylation effects on nucleic acid conformations," in Nuclear Magnetic Resonance Spectroscopy in Molecular Biology, pp. 111-124, Editor: B. Pullman, D. Reidel Publishing Company, Dordrecht, Holland, 1978.

M. MacCoss, E. K. Ryu, C. I. Hong, and T. Matsushita, "Synthesis and biological activity of novel nucleoside-phospholipid prodrugs," in Proceedings of the 4th International Round Table on Nucleosides, Nucleotides, and Their Biological Applications, pp. 255-264. Editors: F. C. Alderweireldt and E. Esmans, The University of Antwerp (R.U.C.A.), Belgium, 1982.

M. MacCoss, R. S. White, E. K. Ryu, and R. L. Last, "The use of N^{1}-oxides to prevent intramolecular cyclization while performing nucleophilic displacements on the sugar moiety," in Nucleic Acid Chemistry, Improved and New Synthetic Procedures, Methods, and Techniques. Part 3. pp. 188-195, Editors: L. B. Townsend and R. S. Tipson, John Wiley & Sons, New York, NY, 1986.

M. J. Robins, **M. MacCoss**, S. R. Naik, and G. Ramani, "3',5'-Di-*O*-acetyl-2'-deoxyuridine and N^{4}-acetyl-5'-*O*-acetyl-2',3'-di-*O*-methylcytidine. Protection of hydroxyl and amino groups by acetylation with acetic anhydride, with 4-(dimethylamino)pyridine as the catalyst," in Nucleic Acid Chemistry, Improved and New Synthetic Procedures, Methods, and Techniques. Part 3. pp. 58-60, Editors: L. B. Townsend and R. S. Tipson, John Wiley & Sons, New York, NY, 1986.

M. MacCoss and M. J. Robins, "Anticancer pyrimidines, pyrimidine nucleosides, and prodrugs," in The Chemistry of Antitumor Agents, pp. 261-298, Editor: D. E. V. Wilman, Blackie & Son Ltd, Glasgow, Scotland, 1989.

P. Davies, **M. MacCoss** and R. Mumford, "Protease Inhibitors" Chapter 68 (Section 7: Pharmacotherapy: Developing Therapies) in Chronic Obstructive Pulmonary Disease, Editors: R. Stockley, S. Rennar, K. Rabe and B. Celli, Blackwell Publishing, Malden, MA, U.S.A., 2007

M. MacCoss, "Introduction: Drug Discovery in Difficult Times" in "Case Studies in Modern Drug Discovery and Development", editors: Xianhai Huang and Robert Aslanian, John Wiley & Sons, Inc, Hoboken, NJ, 2012.

M. MacCoss, "A Career in Medicinal Chemistry - A Journey in Drug Discovery", Annual Reports in Medicinal Chemistry, 23-34, **48**, 2013.

Invited Speaker, Lectures and Seminars, etc. (52 in total)

University of Sheffield, Sheffield, UK. Chemical Society (Nucleotide Group) meeting. "Direct fluorination of pyrimidine bases, nucleosides, and nucleotides using trifluoromethyl hypofluorite," December 18, 1975.

American Chemical Society, Illinois Division, Biochemistry Section, Chicago, IL. "Nucleoside analogs as potential chemotherapeutic agents," October 21, 1977.

Illinois Benedictine College, Lisle, IL. "Nucleoside analogs as potential chemotherapeutic agents," February 23, 1978.

The Upjohn Company, Kalamazoo, MI. "Synthetic, structural, and biological aspects of phospholipid-nucleoside conjugates - a new type of prodrug," February 16, 1982.

E. I. DuPont de Nemours Company, Experimental Station, Wilmington, DE. "Synthetic, structural, and biological aspects of phospholipid-nucleoside conjugates - a new type of prodrug," February 22, 1982.

Merck, Sharp and Dohme Research Laboratories, Rahway, NJ. "Synthetic, structural, and biological aspects of phospholipid-nucleoside conjugates - a new type of prodrug," March 2, 1982.

Roswell Park Memorial Institute, Buffalo, NY. "Synthetic, structural, and biological aspects of phospholipid-nucleoside conjugates - a new type of prodrug," March 15, 1982.

Chicago Medical School, Evanston, IL. "Synthetic, structural, and biological aspects of phospholipid-nucleoside conjugates - a new type of prodrug," May 13, 1982.

University of Uppsala, Uppsala, Sweden,. Plenary lecturer and session chairman, Conference on Synthetic Oligonucleotides in Molecular Biology. "Synthetic, biochemical and antiviral aspects of selected acyclonucleosides and their derivatives," Aug. 18-24, 1985.

Biochemistry Department, The University of Alberta, Edmonton, Alberta, Canada. "Synthetic, biochemical, and antiviral aspects of selected acyclonucleosides and their derivatives," May 12, 1986.

Department of Medicinal Chemistry, University of Utah, Salt Lake City, UT. "Synthetic, biochemical, and antiviral aspects of selected acyclonucleosides and their derivatives," May 15, 1986.

Division of Biological and Medical Research, Argonne National Laboratory, Argonne, IL. "Synthetic, biochemical, and antiviral aspects of selected acyclonucleosides and their derivatives," May 29, 1986.

Department of Medicinal Chemistry, The University of Rhode Island, Kingston, RI. "Synthetic, biochemical, and antiviral aspects of selected acyclonucleosides and their derivatives," October 17, 1986.

University of Birmingham, Birmingham, UK. 20th Anniversary Nucleotide Group Meeting on Molecular Recognition, celebrating 40 years of Nucleic Acids Research at Birmingham. "Stereochemical requirements for the metabolic activation of antiherpetic acyclo-nucleosides," December 14-16, 1987

Glaxo Research Institute, Research Triangle Park, NC. "The development of β-lactam derivatives as inhibitors of human neutrophil elastase," June 12, 1992.

Basic Chemistry Seminar Series, Merck Research Laboratories, Rahway, NJ. "The development of L-742,694, a novel orally active Substance P antagonist," May 4, 1993

MRL Symposium on G-Protein Coupled Receptors, Whitehouse Station, NJ. "Neurokinin Receptors," October 18-19, 1993.

Basic Chemistry Seminar Series, Merck Research Laboratories, Rahway, NJ. "The development of L-694,458, a novel PMN elastase inhibitor," November 2, 1993

Medicinal Chemistry Series, New Jersey Institute of Technology, Newark, NJ. "The development of β-lactam derivatives as inhibitors of human neutrophil elastase," November 22, 1994.

MRL Symposium on Inhibition of Proteases, Merck Research Laboratories, Rahway, NJ. "Elastase inhibitors" May 4, 1995.

Merck Medicinal Chemistry Course, Princeton, NJ. "Case Histories: Elastase - liver enzyme induction and pyloric stenosis," March 11-12, 1996.

Chemistry Department, University of Vermont, Burlington, VT. Chemistry Colloquium, Seminar Series, "Design of potent, orally active substance P antagonists as antiemetics and antidepressants; from medicinal chemistry to the clinic," January 20, 2000.

Merck Biology/Medicinal Chemistry Course, Princeton, NJ. "Strategies to identify safe drug candidates," March 19-21, 2000.

Stevens Institute for Technology, Hoboken, NJ. "The Pharmaceutical Industry: Current Challenges and Opportunities," July 27, 2000.

Biochemistry Department, University of Texas, Southwestern Medical Center, Dallas, TX. "Design of potent, orally active substance P antagonists as antiemetics and antidepressants; from medicinal chemistry to the clinic," October 25, 2001.

Department of Chemistry and Biochemistry, Brigham Young University, Provo, UT. "Design of potent, orally active substance P antagonists as antiemetics and antidepressants; from medicinal chemistry to the clinic," October 26, 2001.

Merck Discovery/Preclinical Development Course, Princeton, NJ. "From leads to drug candidates," June 2-5, 2002.

Cambridge University, Cambridge, UK. Keynote Speaker, Symposium in Honor of Professor A.S. Jones, Cambridge University, Cambridge, UK. "Nucleoside analogue inhibitors of Hepatitis C virus RNA polymerase (NS5B)", September 3, 2003.

MRL Basic Research Symposium, Phoenix, AZ. Keynote Speaker. "Exploratory Chemistry - how is Chemistry at MRL employed in early phase target identification and target validation efforts in the quest to accelerate drug discovery efforts?", October 3-6, 2005.

Department of Chemistry and Chemical Engineering, California Institute of Technology, Pasadena, CA. Invited speaker: "EMEND© (Aprepitant) a potent, orally active Substance P Antagonist for the treatment of Chemotherapy Induced Nausea and Vomiting (CINV), from the medicinal chemistry bench to the clinic", January 10, 2006

Vanderbilt Institute of Chemical Biology, Vanderbilt University, Nashville, TN. Invited speaker: "EMEND© (Aprepitant) a potent, orally active Substance P Antagonist for the treatment of Chemotherapy Induced Nausea and Vomiting (CINV), from the medicinal chemistry bench to the clinic", January 25, 2006

Department of Chemistry, University of Toronto, Toronto, Ontario, Canada. Invited speaker: "EMEND© (Aprepitant) a potent, orally active Substance P Antagonist for the treatment of Chemotherapy Induced Nausea and Vomiting (CINV), from the medicinal chemistry bench to the clinic", September 29, 2006

American Chemical Society, North Jersey Section. Invited speaker: "EMEND© (Aprepitant) a potent, orally active Substance P Antagonist for the treatment of Chemotherapy Induced Nausea and Vomiting (CINV), from the medicinal chemistry bench to the clinic", November 17, 2006

Department of Pharmacology, University of Colorado, Boulder, CO. Invited speaker: "EMEND© (Aprepitant) a potent, orally active Substance P Antagonist for the treatment of Chemotherapy Induced Nausea and Vomiting (CINV), from the medicinal chemistry bench to the clinic", December 5, 2006

Department of Chemistry, Stevens Institute of Techology, Hoboken, NJ. Invited speaker: "EMEND© (Aprepitant) a potent, orally active Substance P Antagonist for the treatment of Chemotherapy Induced Nausea and Vomiting (CINV), from the medicinal chemistry bench to the clinic", February 7, 2007

Professor Morris J. Robins Retirement Symposium, Brigham Young University Provo, UT. Keynote speaker: "Discovery of JANUVIA© (Sitagliptin), a new treatment for Type 2 Diabetes", April 27-28, 2007

Sino-American Pharmaceutical Professionals Association (SAPA), 15th Annual Conference, Rutgers University, Piscataway, NJ. Keynote speaker: "Discovery of JANUVIA© (Sitagliptin), a new treatment for Type 2 Diabetes", August 12, 2007

Department of Pharmaceutical Chemistry, Rutgers University, Piscataway, NJ. Invited speaker: "Discovery of JANUVIA© (Sitagliptin), a new treatment for Type 2 Diabetes", February 18, 2008

Schering-Plough Research Institute, Cambridge, MA. Invited speaker: "Discovery of JANUVIA© (Sitagliptin), a new treatment for Type 2 Diabetes", September 19, 2008

Schering-Plough Research Institute, Newhouse, Scotland, UK. Invited speaker: "Discovery of JANUVIA© (Sitagliptin), a new treatment for Type 2 Diabetes", October 20, 2008

Schering-Plough Research Institute, Oss, Netherlands. Invited speaker: "Discovery of JANUVIA© (Sitagliptin), a new treatment for Type 2 Diabetes", October 24, 2008

Pharma Leaders Meeting, Kenilworth, NJ. Invited speaker: "Reducing compound attrition in the Pharma Industry", November 7, 2008

ACS North Jersey Meeting, Somerset, NJ. Keynote Award Address: "A career in chemistry and medicinal chemistry: some personal reflections on one path taken", November 13, 2008

ACS National Meeting, Salt Lake City, UT. Keynote Speaker, Symposium in Honor of Professor Morris Robins 70th Birthday: "Synthesis and biological activity of some nucleoside analogue inhibitors of Hepatitis C virus RNA polymerase (NS5B)", March, 2009.

UCB Seminar Series, Slough, UK. Invited speaker: "Discovery of JANUVIA© (Sitagliptin), a novel treatment for Type 2 Diabetes – from the Chemistry Bench to Patients", April 15, 2010

UCB Seminar Series, Braine l'Alleud, Belgium. Invited speaker: "Discovery of JANUVIA© (Sitagliptin), a novel treatment for Type 2 Diabetes – from the Chemistry Bench to Patients", April 19, 2010

32nd ACS National Medicinal Chemistry Symposium, Minneapolis, MN. Division of Medicinal Chemistry Award Address: "Discoveries of Emend and Januvia – from bench to marketed products", June 6-9, 2010

UCB GED Lecture Series, Braine l'Alleud, Belgium. Invited speaker: "The Discovery of EMEND© (aprepitant) a potent, orally active Substance P Antagonist for the treatment of Chemotherapy Induced Nausea and Vomiting (CINV) – from the chemist's bench to a marketed product", September 17, 2010

UCB Seminar Series, Slough, UK. Invited speaker: "The Discovery of EMEND© (aprepitant) a potent, orally active Substance P Antagonist for the treatment of Chemotherapy Induced Nausea and Vomiting (CINV) – from the chemist's bench to a marketed product", October 14, 2010

AstraZeneca Drug Hunting Seminar Series, Molndal, Sweden, Invited speaker: "Discovery of JANUVIA© (Sitagliptin), a novel treatment for Type 2 Diabetes – from the Chemistry Bench to Patients", November 19, 2010

New Jersey Biotechnology Chemistry Consortium (NJBCC) Seminar Series, Princeton, NJ Invited speaker: "Discovery of JANUVIA© (Sitagliptin), a novel treatment for Type 2 Diabetes – from the Chemistry Bench to Patients", November 22, 2010

Gilead Sciences, Foster City, CA. Invited speaker: "The Discovery of EMEND© (aprepitant) a potent, orally active Substance P Antagonist for the treatment of Chemotherapy Induced Nausea and Vomiting (CINV) – from the chemist's bench to a marketed product", June 27, 2011

Participation in Major Symposia and Abstracts (99 in total)

December 18, 1975. **M. MacCoss** and M. J. Robins. Chemical Society (Nucleotide Group) meeting in Sheffield, England. "Direct fluorination of pyrimidine bases, nucleosides, and nucleotides using trifluoromethyl hypofluorite."

August 29-September 3, 1976. M. J. Robins and **M. MacCoss**. American Chemical Society, 172nd National Meeting in San Francisco, California. "A geometry-only specific method for the determination of anomeric configuration of ribonucleosides." Abst. No. CARB-083. Symposium papers published in "Chemistry and Biology of Nucleosides and Nucleotides" pp. 311-328. Editors R. E. Harmon, R. K. Robins, and L. B. Townsend. Academic press Inc., New York, NY, 1978.

Feb. 15-18, 1977. **M. MacCoss**, F. S. Ezra, and S. S. Danyluk. Biophysical Society 21st Annual Meeting in New Orleans, LA. "The solution conformation of nucleoside 3',5'-cyclic monophosphates." Abst. in *Biophys. J. 17*: **223a** (1977).

Feb. 15-18, 1977. F. S. Ezra, **M. MacCoss**, and S. S. Danyluk. Biophysical Society 21st Annual Meeting in New Orleans, LA. "Proton magnetic resonance studies of the photohydration of ribonucleoside monophosphates. Conformational properties of adenylyl-(3',5')-6 hydroxydihydrouridine (ApU*) and 6-hydroxydihydrouridylyl-(3',5')-adenosine (U*pA)." Abst. in *Biophys. J. 17*: **223a** (1977).

Jan. 4-7, 1978. S. S. Danyluk, **M. MacCoss**, and A. W. Wyrwicz. International Symposium on Molecular Structure, Conformation, Function, and Evolution. Madras, India. "Conformational properties of nucleic acids in solution: A re-examination of glycosyl torsion angles." Abst. No. 223/B24, P. 137.

March, 1978. A. M. Wyrwicz, **M. MacCoss**, and S. S. Danyluk. Biophysical Society Meeting in Washington, D.C. "Investigation of glycosyl torsion angles in model pyrimidine nucleosides: Variation in spin-lattice relaxation times." Abst. in *Biophys. J.* **21,** 111a (1978).

April 3-7, 1978. S. S. Danyluk, C. F. Ainsworth, and **M. MacCoss**. Eleventh Jerusalem Symposium on Quantum Chemistry and Biochemistry, Jerusalem, Israel. "Methylation effects on nucleic acid conformations." Symposium papers published in Nuclear Magnetic Resonance Spectroscopy in Molecular Biology, pp. 111-124. Editor: B. Pullman, D. Reidel Publishing Co., Dordrecht, Holland, 1978.

Oct. 25-27, 1978. **M. MacCoss**, E. K. Ryu, and T. Matsushita. Midwest ACS Meeting, Fayetteville, AR. "The synthesis and biological activity of new membrane-targeted molecular depots of nucleoside analogues." Abst. No. 202, p. 37.

April 1-6, 1979. **M. MacCoss**, E. K. Ryu, and T. Matsushita. American Chemical Society/Chemical Society of Japan, Joint Chemical Congress, Honolulu, HA. "The synthesis, characterization, and preliminary biological evaluation of membrane-targeted molecular depots of selected nucleoside analogues. Abst. No. MEDI 033.

January 21-24, 1980. H. M. Schwartz, **M. MacCoss**, and S. S. Danyluk. American Physical Society, Chicago, IL. "^{17}O NMR spectroscopy of nucleic acid bases." Abst. in *Bull. An. Phys. Soc.* **25,** DF4 (1980).

March 16-20, 1980. H. M. Schwartz, **M. MacCoss**, and S. S. Danyluk. 21st Experimental NMR Spectroscopy Conference, Tallahassee, Florida. "^{17}O NMR studies of differential H-bonding characteristics for uridine carbonyl groups.

March 23-28, 1980. E. K. Ryu and **M. MacCoss**. American Chemical Society 179th National Meeting in Houston, TX. "A reinvestigation of the reaction between m-chloroperbenzoic acid and nucleosides." Abst. No. ORGN 011.

March 23-28, 1980. **M. MacCoss**, E. K. Ryu, R. S. White, and R. L. Last. American Chemical Society, 179th National Meeting in Houston, TX. "The use of N^1-oxides to prevent intramolecular cyclization during nucleophilic displacements on the sugar moiety of adenosine derivatives." Abst. No. MEDI 051.

June 1-5, 1980. H. M. Schwartz, **M. MacCoss**, and S. S. Danyluk. Biophysical Society, 24th Annual Meeting in New Orleans, LA. "^{17}O NMR studies of nucleic acid H-bonding interactions." Abstracts published in *Federation Proceedings* **39,** 1806.

Feb. 4-6, 1981. M. MacCoss, E. K. Ryu, C. I. Hong, and T. Matsushita. 4th International Round Table on Nucleosides, Nucleotides and Their Biological Applications, Antwerp, Belgium. "Synthesis and biological activity of novel nucleoside-phospholipid prodrugs."

April 5-9, 1981. H. M. Schwartz, **M. MacCoss**, and S. S. Danyluk. Experimental NMR Spectroscopy Conference, Asilomar, California. "^{17}O NMR as a probe of nucleoside H-bonding."

April 25-29, 1982. H. M. Schwartz, **M. MacCoss**, and S. S. Danyluk. Experimental NMR Spectroscopy Conference, Madison, WI. "^{17}O Chemical shifts of substituted uridines and thymidines."

April 28-May 1, 1982. C. I. Hong, **M. MacCoss**, E. K. Ryu, and C. R. West. American Association for Cancer Research Annual Meeting, St. Louis, MO. "1-β-D-arabinofuranosylcytosine conjugate of phospholipid as a potential new antitumor prodrug." Abstracts published in *Cancer Research*.

Aug. 23-Sept. 3, 1982. H. M. Schwartz, **M. MacCoss**, and S. S. Danyluk. NATO Advanced Study Institute. The Multinuclear Approach to NMR Spectroscopy, Stirling, Scotland. "^{17}O Chemical shifts of substituted uridines and thymidines."

Oct. 20-22, 1982. C. I. Hong, A Nechaev, A. J. Kirisits, **M. MacCoss**, E. K. Ryu, and C. R. West. 5th International Round Table on Nucleosides, Nucleotides and their Biological Applications, Research Triangle Park, NC. "Nucleoside conjugates as potential antitumor agents."

June 1983, J. G. Peak, M. J. Peak, and **M. MacCoss**. American Society for Photobiology, Madison, WI. "*In Vitro* DNA breakage by 334-nm UV, enhanced by naturally occurring nucleotide coenzymes and nucleic acid components."

Aug. 11-16, 1985. **M. MacCoss**, R. L. Tolman, J. Hannah, A. F. Wagner, and W. T. Ashton. 10th International Congress of Heterocyclic Chemistry, Waterloo, Ontario, Canada. "The use of 2-amino-6-benzyloxypurine as a synthon in the preparation of guanine-containing acyclonucleosides."

Aug. 18-24, 1985. **M. MacCoss**, R. L. Tolman, W. T. Ashton, A. F. Wagner, J. Hannah, A. K. Field, J. Karkas and J. I. Germershausen. Synthetic Oligonucleotides in Molecular Biology, Uppsala, Sweden. "Synthetic, biochemical and antiviral aspects of selected acyclonucleosides and their derivatives." Plenary lecturer and session chairman - plenary lecture published in *Chemica Scripta*: **26**, 113 (1986).

July 20-25, 1986. **M. MacCoss**, R. L. Tolman, A. F. Wagner, C. L. Cantone, and R. A. Strelitz. Gordon Research Conference on Purines, Pyrimidines and Related Compounds, Plymouth, NH. "Synthetic approaches to the broad spectrum antiherpetic agent, 9-[(2-hydroxy-{1,3,2-dioxaphosphorinan-5-yl}oxy)methyl]guanine P-oxide (2'-nor-cGMP)."

Dec. 14-16, 1987. **M. MacCoss**. 20th Anniversary Nucleotide Group Meeting on Molecular Recognition, celebrating 40 years of Nucleic Acids Research at Birmingham University Chemistry Department. Birmingham, U.K. "Stereochemical requirements for the metabolic activation of antiherpetic acyclonucleosides."

Oct. 2-5, 1988. **M. MacCoss**, A. F. Wagner, C. L. Cantone, R. A. Strelitz, A. Chen, W. T. Ashton, J. Hannah, R. L. Tolman, R. Bostedor, J. Germershausen, J. D. Karkas, H. C. Perry, and A. K. Field. Proceedings of the 8th International Round Table on Nucleosides, Nucleotides, and their Biological Evaluations, Orange Beach, AL. "The synthesis and preliminary biological evaluations of selected analogues of the potent broad-spectrum antiviral agent ganciclovir 1',3'-cyclic monophosphate (2'-nor-cGMP)." Published in *Nucleosides and Nucleotides*, **8**, 1155 (1989).

Aug. 25-30, 1991. W. T. Ashton, C. L. Cantone, L. L. Chang, R. A. Strelitz, **M. MacCoss**, R. S. L. Chang, V. J. Lotti, S. D. Kivlighn, and P. K. S. Siegl, American Chemical Society, 202nd National Meeting in New York City, NY. "Synthesis and evaluation of 3,4,5-trisubstituted-1,2,4-triazoles as angiotensin II antagonists."

Jun. 14-18, 1992. L. L. Chang, W. T. Ashton, R. A. Strelitz, K. L. Flanagan, **M. MacCoss**, W. J. Greenlee, R. S. L. Chang, V. J. Lotti, S. D. Kivlighn, and P. K. S. Siegl, 23rd National Medicinal Chemistry Symposium, Buffalo, NY. "Synthesis and evaluation of substituted triazolinones as angiotensin II receptor antagonists."

Jun. 14-18, 1992. S. M. Hutchins, W. T. Ashton, **M. MacCoss**, R. S. L. Chang, and V. J. Lotti, 23rd National Medicinal Chemistry Symposium, Buffalo, NY. "Synthesis and angiotensin II antagonist activity of novel substituted imidazo[1,2-*b*][1,2,4]triazoles." Chemistry Symposium. "Molecular design strategies in new drug design".

D.J. Underwood, J.B. Doherty, **M. MacCoss**, M. Navia, R.L. Tolman, and B. Johnson, City College, New York, NY. "Structure directed design: Examples from inhibitors of human leucocyte elastase and the development of HIV vaccines."

Oct. 15-18, 1992. J.L. Humes, J. Brain, R. Chabin, P. Davies, J.B. Doherty, P. Finke, W.A. Hanlon, W.B. Knight, **M. MacCoss**, S. Patcholok, D. Rees, S. Shah, and R.A. Mumford, Cystic Fibrosis 6th Annual North American Conference, Washington, D.C. "Measurements of a characteristic hydrolysis product as a sensitive and specific marker of PMN elastase:monocyclic β-lactam inhibitor complexes in extracts of cystic fibrotic sputum."

Sept. 20-24, 1992. W.A. Hanlon, P. Davies, J.B. Doherty, P. Finke, W.B. Knight, **M. MacCoss**, R.A. Mumford, S. Patcholok, S. Shah, and J.L. Humes, Inflammation Research Association, Sixth International Conference, White Haven, PA. "A novel method to measure the inactivation of PMN elastase (PMNE) by low molecular weight inhibitors in biological fluids."

Sept. 20-24, 1992. K. Chapman, N.Thornberry, H. Bull, E. Gaffney, J. Zhao, J. Chin, G. Limjuco, M. Kostura, A. Howard, D. Miller, S. Molineaux, J. Weidner, J. Ayala, F. Cassano, G. Ding, L. Egger, O. Palyha, S. Raju, A. Rolando, P. Salley, T. Yamin, **M. MacCoss**, R. Mumford, J. Schmidt, and M. Tocci, Inflammation Research Association, Sixth International Conference, White Haven, PA. "Inhibition and purification of interleukin-1b converting enzyme with peptide aldehydes."

Aug. 22-27, 1993. L.C. Meurer, **M. MacCoss**, R.L. Tolman, E. Emini, and G.Koo, American Chemical Society, 206th National Meeting in Chicago, IL. "Synthesis and biological studies of 8-amino-3-(β-D-ribofuranosyl)-imidazo[1,2-*a*]-pyrazine and derivatives."

July 31-Aug. 3, 1994. M.A. Cascieri, C.D. Strader, A. MacLeod, **M. MacCoss**, S.G. Mills, C. Swain, B. Williams, and T.M. Fong, Satellite Symposium on Peptides and their Antagonists in Tissue Injury - 12th IUPHAR Congress, Montreal, Quebec, Canada. "Characterization of the interaction of several structurally diverse antagonists with the human NK_1 receptor."

Aug. 20-25, 1995. P.E. Finke, C.P. Dorn, A.L. Kissinger, S.K. Shah, R.G. Ball, R. Chabin, P. Davies, P.S. Dellea, J.B. Doherty, D.S. Fletcher, B.G. Green, J.J. Hale, W.A. Hanlon, K.M. Hand, J.L. Humes, G.R. Kieczykowski, W.B. Knight, **M. MacCoss**, D.S. Miller, R.A. Mumford, S.G. Pacholok, L.P. Peterson, M. Poe, D.J. Underwood, D.T. Williams, and H.R. Williams, American Chemical Society, 210th National Meeting in Chicago, IL. "Orally active, intracellular inhibitors of human leukocyte elastase. The development of L-694,458."

Oct. 16-18, 1995. J.J. Hale, S.G. Mills, **M. MacCoss**, S.K. Shah, H. Qi, D.J. Mathre, M.A. Cascieri, S. Sadowski, C.D. Strader, D.E. MacIntyre, and J.M. Metzger, Tachykinins 1995, Florence, Italy. "Synthesis and biological evaluation of potent, orally active, morpholine acetal-based human NK-1 receptor antagonists."

June, 1996. R.N. Guthikonda, S.K. Shah, S.K. Grant, B.G. Green, S.G. Pacholok, J.L. Humes, and **M. MacCoss**, Medicinal Chemistry Meeting, Ann Arbor, MI. "Stereospecific synthesis of cyclic amidine inhibitors of nitric oxide synthase."

June, 1996. H. Qi, S.K. Shah, S.J. Sadowski, , M.A. Cascieri, and **M. MacCoss**, Medicinal Chemistry Meeting, Ann Arbor, MI. "Design and synthesis of L-tryptophan ureas as NK1/NK2 dual antagonists."

July 28-Aug. 1, 1996. F. D. Tattersall, W. Rycroft, E. Ber, M. Cascieri, J. Hale, R. G. Hill, **M. MacCoss**, S. Mills, S. Sadowski, and R.J. Hargreaves, Montreal, Canada. "The NK-1 receptor antagonist L-742,694 has activity against both centrally- and peripherally-induced emesis in ferrets."

Aug. 25-29, 1996. K. Shankaran. K.L. Furman, S.K. Shah, S.K. Grant, J.L. Humes, S.G. Pacholok, and **M. MacCoss**, American Chemical Society, 212th National Meeting in Orlando, FL. "Inhibition of nitric oxide synthase by benzoxazolones."

Aug. 25-29, 1996. P.L. Durette, W.K. Hagmann, T.J. Lanza, **M. MacCoss**, B.G. Green, J.L. Humes, S.G. Pacholok, and S.K. Grant, American Chemical Society, 212th National Meeting in Orlando, FL. "Inhibition of nitric oxide synthase by conformationally constrained arginine analogs."

Aug. 25-29, 1996. S.K. Shah, J.J. Hale, H. Qi, D.J. Miller, C.P. Dorn Jr., S.G. Mills, S.J. Sadowski, M.A. Cascieri, J.M. Metzger, G.J. Eiermann, M.J. Forrest, D.E. MacIntyre, and **M. MacCoss**, American Chemical Society, 212th National Meeting in Orlando, FL. "Discovery of substituted spiroindanopiperidines as orally active dual antagonists of NK-1 and NK-2 receptors."

Oct. 10-11, 1996. S.G. Mills, J.J. Hale, **M. MacCoss**, S.K. Shah, H. Qi, M.A. Cascieri, S. Sadowski, J.M. Metzger, G.J. Eiermann, M.J. Forrest, D.E. MacIntyre, and C.D. Strader, William Harvey Research Conferences on *Tachykinins and their Antagonists*, London, U.K., "Non-peptidic NK1/NK2 dual antagonists."

Oct. 10-11, 1996. N.M. Rupniak, F.D. Tattersall, A.R. Williams, W. Rycroft, E. Carlson, M.A. Cascieri, J.J. Hale, S.G. Mills, **M. MacCoss**, E. Seward, I. Huscroft, C.J. Swain, R.G. Hill, and R.J. Hargreaves, William Harvey Research Conferences on *Tachykinins and their Antagonists*, London, U.K., "Prediction of the anti-emetic activity of NK-1 receptor antagonists in ferrets by their ability to inhibit GR73632 induced foot tapping in gerbils."

Oct. 10-11, 1996. F.D. Tattersall, W. Rycroft, E. Ber, M.A. Cascieri, J.J. Hale, R.G. Hill, **M. MacCoss**, S.G. Mills, S. Sadowski and R.J. Hargreaves, William Harvey Research Conferences on *Tachykinins and their Antagonists*, London, U.K., "The anti-emetic actions of L-742,694", Abstract P2.

April 13-17, 1997. S.G. Mills, J.J. Hale, **M. MacCoss**, C.P. Dorn, P.E. Finke, R.J. Budhu, S.H.L. Chiu, S. Huskey, M.A. Cascieri, S. Sadowski, R.A. Reamer, J. Pivnichny, D. Levorse, K. Owens, J. Metzger, G. Eiermann, F.D. Tattersall, W. Rycroft, N. Rupniak, R. Hargreaves, and D.E. MacIntyre, American Chemical Society, 213th National Meeting in San Francisco, CA.

"Physical and biological properties of water-soluble prodrugs of morpholine acetal substance P antagonists."

April 13-17, 1997. J.J. Hale, S.G. Mills, **M. MacCoss**, P.E. Finke, S. Huskey, S.H.L. Chiu, M.A. Cascieri, S. Sadowski, E. Ber, J. Metzger, G. Eiermann, F.D. Tattersall, N. Rupniak, W. Rycroft, R.J. Hargreaves, and D.E. MacIntyre, American Chemical Society, 213th National Meeting in San Francisco, CA. "Synthesis and biological characterization of long-acting, orally active morpholine acetal human NK-1 receptor antagonists."

August 3-8, 1997. W. Hagmann, C. Caldwell, P. Chen, P. Durette, C. Esser, K.L. Donnelly, R. Guthikonda, T. Lanza, I. Kopka, **M. MacCoss**, H. Qi, S. Shah, K. Shankaran, R. Chabin, S. Grant, J. Humes, T. Kelly, S. Pacholok, T. Pavia, H. Williams, K. Wong, D. Fletcher, S. Luell, R. Meurer, and V. Moore, Gordon Research Conference on Medicinal Chemistry, New London, NH. "2-Aminopyridines as inhibitors of nitric oxide synthases."

Sept. 7-11, 1997. F.D. Tattersall, W. Rycroft, J.J. Hale, S.G. Mills, P.E. Finke, **M. MacCoss**, S. Sadowski, E. Ber, M. Cascieri, R.G. Hill, D.E. MacIntyre, and R. J. Hargreaves, Tachykinins in Health and Disease, Cairns, Australia. "Anti-emetic actions of novel morpholine based NK_1 receptor antagonists."

Nov. 11-15, 1997. S.K. Shah, R.N. Guthikonda, C.G. Caldwell, P. Chen, J.L. Humes, S.G. Pacholok, D.S. Fletcher, D. Visco, R. Chabin, S.K. Grant, T.M. Kelly, K.K. Wong, and **M. MacCoss**, 5th Chemical Congress of North America, Cancun, Mexico. "Discovery of highly potent and orally active cyclic amidine inhibitors of inducible nitric oxide synthase."

Jan. 23-29, 1998. S.E. de Laszlo, L. Agarwal, L. Chang, J. Chin, G. Croft, A. Forsyth, D. Fletcher, B. Frantz, C. Hacker, W. Hanlon, C. Harper, M. Kostura, B. Li, S. Luell, **M. MacCoss**, N. Mantlo, E. O'Neill, C. Orevillo, M. Pang, J. Parsons, A. Rolando, Y. Sahly, K. Sidler, W.R. Widmer, D. Visco and S.J. O'Keefe. Pathogenesis of Rheumatoid Arthritis - Implications for Future Therapy, Keystone Symposium, Tamarron, CO. "Pyrroles and other heterocycles as inhibitors of p38 kinase."

May 16-19, 1998. F.D. Tattersall, W. Rycroft, J.J. Hale, S.G. Mills, P.E. Finke, **M. MacCoss,** S. Sadowski, E. Ber, M. Cascieri, R.G. Hill, D.E. MacIntyre and R.J. Hargreaves, ANSCO Meetings. "The NK1 receptor antagonist L-754,030 and it's N-phosphoryl prodrug, L-758,298 inhibit acute and delayed cisplatin-induced emesis in the ferret."

Aug. 23-27, 1998. C.C. Caldwell, P. Chen, R.N. Guthikonda, **M. MacCoss**, S.K. Shah, R.M. Chabin, S.K. Grant, B.G. Green, J.L. Humes, T.M. Kelly, S.G. Pacholok, A. Paiva, H.R. Williams, J. Wilusz, K.F. Wong, D.S. Fletcher, S. Luell, R. Meurer, V.L. Moore, and W.K. Hagmann, American Chemical Society, 216th National Meeting in Boston, MA. "Synthesis and evaluation of 2-aminopyridines and 2-iminopiperidines as inhibitors of nitric oxide synthases."

Aug. 23-27, 1998. R.J. Budhu, J.J. Hale, S.G. Mills, **M. MacCoss**, M.A. Cascieri, S. Sadowski, E. Ber, J. Metzger, G. Eiermann, J. Pivnichny, D. Levorse, K. Owens, F.D. Tattersall, W. Rycroft, N. Rupniak, R. Hargreaves, R. Hollingworth, and D.E. MacIntyre, American Chemical Society, 216th National Meeting in Boston, MA. "The synthesis and evaluation of 2,3,5- and 2,3,6-trisubstituted morpholine acetal human NK-1 receptor antagonists."

March 21-25, 1999. D. Kim, K-P. Leung, **M. MacCoss**, G.E. Koch, M.A. Cascieri, N.B. Mantlo, and W.K Hagmann, American Chemical Society, 217th National Meeting in Anaheim, CA. "Design and synthesis of pyridyl pyrroles as glucacon receptor antagonists."

June 27-July 1, 1999. N.M.J. Rupniak, E. Carlson, D. Smith, T. Harrison, C. Swain, M. Cascieri, G. Chicchi, S. Sadowski, J. Hale, S. Mills, **M**. **MacCoss**, and R. Hargreaves, Neuropeptide Club, Summer Meeting, FL. "Evidence for antidepressant and anxiolytic activity of substance P antagonists in preclinical assays."

March 26-31, 2000. C. Dorn, P.E. Finke, B. Oates, R.J. Budhu, C.G. Caldwell, S.G. Mills, **M. MacCoss**, L. Malkowitz, M.S. Springer, S.L. Gould, J.A. DeMartino, A. Carella, G. Carver, K. Holmes, W.A. Schleif, R. Danzeisen, D. Hazuda, J. Kessler, J. Lineberger, M. Miller, and E. Emini, American Chemical Society, 219th National Meeting, San Francisco, CA. "Discovery of potent human CCR5 antagonists for the treatment of HIV-1 infection (I)"

March 26-31, 2000. L.C. Meurer, P.E. Finke, B. Oates, S.G. Mills, **M. MacCoss**, L. Malkowitz, M.S. Springer, S.L. Gould, J.A. DeMartino, A. Carella, G. Carver, K. Holmes, W.A. Schleif, R. Danzeisen, D. Hazuda, J. Kessler, J. Lineberger, M. Miller, and E. Emini, American Chemical Society, 219th National Meeting, San Francisco, CA. "Discovery of potent human CCR5 antagonists for the treatment of HIV-1 infection (II)"

March 26-31, 2000. P.E. Finke, B. Oates, L.C. Meurer, S.G. Mills, **M. MacCoss**, L. Malkowitz, M.S. Springer, S.L. Gould, J.A. DeMartino, A. Carella, G. Carver, K. Holmes, W.A. Schleif, R. Danzeisen, D. Hazuda, J. Kessler, J. Lineberger, M. Miller, and E. Emini, American Chemical Society, 219th National Meeting, San Francisco, CA. "Discovery of potent human CCR5 antagonists for the treatment of HIV-1 infection (III)"

March 26-31, 2000. C.G. Caldwell, P. Chen, K.F. Donnelly, P.E. Finke, K. Shankaran, L.C. Meurer, B. Oates, **M. MacCoss,** S.G. Mills, J.A. DeMartino, S.L. Gould, L. Malkowitz, M.S. Springer, A. Carella, G. Carver, R. Danzeisen, D. Hazuda, K. Holmes, J. Kessler, J. Lineberger, M. Miller, E. Emini, and W.A. Schleif, American Chemical Society, 219th National Meeting, San Francisco, CA. "Discovery of potent human CCR5 antagonists for the treatment of HIV-1 infection (IV)"

March 26-31, 2000. P.E. Finke, L.C. Meurer, **M. MacCoss**, S.G. Mills, S. Sadowski, M.A. Cascieri, J. Metzger, G. Eiermann, and D.E. MacIntyre, American Chemical Society, 219th National Meeting, San Francisco, CA. "Discovery of potent human NK1 antagonists having a cyclopentane based core structure."

March 26-31, 2000. L.C. Meurer, P.E. Finke, **M. MacCoss**, S.G. Mills, N. Tsou, R.G. Ball, S. Sadowski, M.A. Cascieri, J. Metzger, G. Eiermann, D.E. MacIntyre, N.M.J. Rupniak, A. Williams, and R. Hargreaves, American Chemical Society, 219th National Meeting, San Francisco, CA. "Development of potent, orally active cyclopentane based human NK1 antagonists."

June 13-17, 2000. D. Kim, L. Wang, C.G. Caldwell, P. Chen, P.E. Finke, B. Oates, **M. MacCoss**, S.G. Mills, L. Malkowitz, S.L. Gould, J.A. DeMartino, M.S. Springer, D. Hazuda, M. Miller, J Kessler, R. Danzeisen, G. Carver, A. Carella, K. Holmes, J. Lineberger, W.A. Schleif, and E.A. Emini, 27th National Medicinal Chemistry Symposium, Kansas City, KS. "Discovery of potent human CCR5 antagonists containing oxidized heterocycles for the treatment of HIV-1 infection."

August 20-24, 2000. D. Kim, L. Wang, C.G. Caldwell, P. Chen, P.E. Finke, B. Oates, **M. MacCoss**, S.G. Mills, L. Malkowitz, S.L. Gould, J.A. DeMartino, M.S. Springer, E.A. Emini, and W.A. Schleif, American Chemical Society, 220th National Meeting in Washington, DC. "Design, synthesis and SAR of heterocycle-containing antagonists of the human CCR5 receptor for the treatment of HIV-1 infection."

August 20-24, 2000. C.G. Caldwell, P. Chen, C. Dorn, P.E. Finke, L. Meurer, B. Oates, **M. MacCoss**, S.G. Mills, J.A. DeMartino, S.L. Gould, L. Malkowitz, M.S. Springer, J. Braun, Q. Chen, R. Hajdu, G. Kwei, A. Carella, G. Carver, R. Danzeisen, D. Hazuda, K. Holmes, J. Kessler, J. Lineberger, M. Miller, E.A. Emini, and W.A. Schleif, American Chemical Society, 220th National Meeting in Washington, DC. "Synthesis and evaluation of CCR5 antagonists having potent *in vitro* antiviral activity."

August 20-24, 2000. K. Shankaran, K.L. Donnelly, S.K. Shah, C.G. Caldwell, P. Chen, W.K. Hagmann, J.L. Humes, S.G. Pacholok, S.K. Grant, and **M. MacCoss**, American Chemical Society, 220th National Meeting in Washington, DC. "Evaluation of 1,4 and 1,5 imino-oxazepanes, -thiazepanes, and -diazapanes as inhibitors of nitric oxide synthase (NOS) enzymes."

August 20-24, 2000. K. Shankaran, K.L. Donnelly, S.K. Shah, S.G. Mills, **M. MacCoss**, P.E. Finke, C.G. Caldwell, P. Chen, B. Oates, L. Malkowitz, M.S. Springer, W.A. Schlief, A. Carella, G. Carver, K. Holmes, and E. Emini, American Chemical Society, 220th National Meeting in Washington, DC. "Synthesis and biological evaluation of 5-(piperidin-1-yl)-3-phenyl-pentylsulfones as CCR5 antagonists for thetreatment of HIV-1 infection."

August 20-24, 2000. S.K. Shah, J.J. Hale, **M. MacCoss**, C.P. Dorn, Jr., H. Qi, D.J. Miller, M.A. Cascieri, S. Sadowski, J.M. Metzger, G.J. Eiermann, M.J. Forrest, D.E. MacIntyre and S.G. Mills, American Chemical Society, 220th National Meeting in Washington, DC. "Discovery of spiroindolinopiperidine derivatives as potent, orally active dual antagonists of NK1 and NK2 receptors."

September 17-20, 2000. M. Springer, S. Siciliano, L. Malkowitz, X. Song, B. Daugherty, S. Gould, J. DeMartino, D. Hazuda, J. Kessler, J. Lineberger, M. Miller, E. Emini, C. Caldwell, P. Chen, P. Finke, B. Oates, S. Mills, and **M. MacCoss**, Interscience Conference on Antimicrobial Agents and Chemotherapy, 40th Meeting, Toronto, Canada. "Development of CCR5 antagonists for treatment of AIDS: Special properties required for anti-viral activity."

September 18-22, 2000. J.J. Hale, S.G. Mills, **M. MacCoss**, P.E. Finke, R.B. Budhu, E.B. Holson, M.S. Springer, J.A. DeMartino, S.L. Gould, S.J. Siciliano, L. Malkowitz, J. Braun, Q. Chen, R. Hajdu, G. Kwei, W.A. Schleif, D. Hazuda, M. Miller, R. Kessler, R. Danzeisen, K. Holmes, J. Lineberger, A. Carella, G. Carver, and E. Emini, XVI International Symposium on Medicinal Chemistry, Bologna, Italy, "The discovery of substituted pyrrolidine human CCR5 receptor antagonists possessing anti-HIV activity."

September 24-29, 2000. P.E. Finke, C.G. Caldwell, C. Dorn, L. Meurer, B. Oates, P. Chen, **M. MacCoss**, S.G. Mills, L. Malkowitz, S.J. Siciliano, M.S. Springer, J.A. DeMartino, S.L. Gould, B.L. Daugherty, Q. Chen, J. Braun, R. Hajdu, G. Kwei, W.A. Schleif , E.A. Emini, A. Carella, G. Carver, K. Holmes, R. Danzeisen, D. Hazuda, J. Kessler, J. Lineberger, and M. Miller, 10th Inflammation Research Association National Conference, Hot Springs, VA. "The discovery of potent human CCR5 antagonists."

April 1-5, 2001. L.L. Chang, K.L. Sidler, M.A. Cascieri, S. deLaszlo, G. Koch, B. Li, **M. MacCoss**, N. Mantlo, S. O'Keefe, M. Pang, A. Rolando, and W.K. Hagmann, American Chemical Society, 221st National Meeting in San Diego, CA. "Selective, non-peptide antagonists for the glucagon receptor: substituted imidazoles."

August 25-31, 2001. G. Yang, L.L. Chang, G.A. Doherty, S.E. DeLaszlo, B.Li, P. Magriotis, W.K. Hagmann, **M. MacCoss**, X. Tong, U. Kidambi, L. Egger, E. McCauley, G. Van Riper, and J. Schmidt, American Chemical Society, 222nd National Meeting in Chicago, IL. "Discovery of tetrahydrofuroyl-1-phenylalanine derivatives as potent VLA4 antagonists."

August 25-31, 2001. G.A. Doherty, G. Yang, E. Borges, L.L. Chang, **M. MacCoss**, X. Tong, U. Kidambi, L. Egger, E. McCauley, G. Van Riper, R. Mumford, J. Schmidt, and W.K. Hagmann, American Chemical Society, 222nd National Meeting in Chicago, IL. "Synthesis and structure-activity relationship of substituted tetrahydrofuroyl-1-phenylalanine derivatives as potent and selective VLA4 antagonists."

August 25-31, 2001. S.K. Shah, N. Chen, R.N. Guthikonda, **M. MacCoss**, S.G. Mills, L. Malkowitz, M.S. Springer, S.L. Gould, J.A. DeMartino, A. Carella, G. Carver, K. Holmes, W.A. Schleif, R. Danzeisen, D. Hazuda, J. Kessler, J. Lineberger, M. Miller, E.A. Emini, American Chemical Society, 222nd National Meeting in Chicago, IL. "Synthesis and evaluation of CCR5 antagonists containing modified 4-(piperidin-1-yl)-2-phenyl-1-(phenylsulfonyl-amino)-butanes."

August 18-22, 2002. L.L. Chang, Q. Truong, G. Doss, L. Lin, P.A. Magriotis, **M. MacCoss**, K. Lyons, E. McCauley, R.A. Mumford, G. van Riper, S. Vincent, J.A. Schmidt, and W.K. Hagmann, American Chemical Society, 224th National Meeting in Boston, MA. "Orally active, conformationally constrained small molecule VLA-4 antagonists."

October 5-10, 2002. P. Finke, B. Oates, L. Meurer, J. Loebach, K. Parker, J. Hale, C. Lynch, R. Budhu, A. Gentry, C. Caldwell, P. Chen, S. Shah, R. Guthikonda, H. Qi, C. Dorn, D. Kim, L. Wang, D.-M. Shen, M. Shu, C. Willoughby, K. Rosauer, K. Chapman, S. Mills, **M. MacCoss,** Inflammation Research Association, 11th National Convention in Lake George, NY. **"**The discovery of potent human CCR5 antagonists based on a cyclopentane scaffold"

April 27-May 1, 2003. D.B. Olsen, B. Bhat, M. Bosserman, L. Colwell, R. De Francesco, A.B. Eldrup, O. Flores, K. Getty, R. LaFemina, **M. MacCoss**, G. Migliaccio, A.L. Simcoe, C.A. Rutkowski, M.W. Stahlhut, J.E. Tomassini, B. Wolanski, and S.S. Carroll, 16th International Conference on Antiviral Research, Savannah, GA. "2'-Modified nucleoside analogs as inhibitors of hepatitis C RNA replication"

April 27-May 1, 2003. A.B. Eldrup, S. Bera, N. Bhat, J. Brooks, S.S. Carroll, P.D. Cook, P. Dande, J.F. Fay, **M. MacCoss**, D.R. McMasters, D.B. Olsen, T.P. Prakash, M. Prhavc, Q. Song, J. Tomassini, and B. Bhat, 16th International Conference on Antiviral Research, Savannah, GA. "Structure activity relationship of 2' modified nucleosides for inhibition of hepatitis C virus"

September 7-11, 2003. L. Yang, C. Zhou, L. Guo, G. Morriello, G. Butora, A. Pasternak, W.H. Parsons, S.G. Mills, **M. MacCoss**, P.P. Vicario, H. Zweerink, S. Goyal, W.A. Hanlon, M.A. Cascieri, and M.S. Springer, American Chemical Society, 226th National Meeting in New York City, NY. "Discovery of 3,3-bis(trifluoromethyl)benzyl L-arylglycinamide based potent CCR2 antagonists"

September 7-11, 2003. American Chemical Society, 226th National Meeting in New York City, NY. "Syntheses and SAR studies of pyrrolidineacetic acid antagonists of the CCR5 chemokine receptor"

September 7-11, 2003. D. Kim, L. Wang, J.J. Hale, C.L. Lynch, R.J. Budhu, **M. MacCoss**, S.G. Mills, L. Malkowitz, S.L. Gould, J.A. DeMartino, M.S. Springer, D. Hazuda, M. Miller, J. Kessler, R.Danzeisen, G. Carver, A. Carella, K. Holmes, J. Lineberger, W.A. Schleif, and E.A. Emini, American Chemical Society, 226th National Meeting in New York City, NY. "Design, antiviral and pharmacokinetic profiles of potent 1,3,4-trisubstituted pyrrolidine CCR5 receptor antagonists for the treatment of HIV-1 infection"

September 7-11, 2003. A. Pasternak, D. Marino, P.P. Vicario, J.M. Ayala, M.A. Cascieri, W.H. Parsons, S.G. Mills, **M. MacCoss** and L. Yang, American Chemical Society, 226th National Meeting in New York City, NY. "Orally bioavailable γ-aminoamide CCR2 antagonists"

August 15-19, 2004. F.D. Tattersall, W. Ball, J.J. Hale, R.J. Hargreaves, K. Horgan, **M. MacCoss, M.,** S.G. Mills, N. Rupniak, and C. Swain. Medicinal Chemistry 18th International Symposium, Copenhagen, Denmark. "NK1 Receptor antagonists: Treatments for a variety of diseases?"

July 1-5, 2006. Olsen, D.B., Carroll, S.S., Davies, M-E., Handt, L., Koeplinger, K., Zhang, R., Ludmerer, S., **MacCoss, M**., and Hazuda, D. 12th International Symposium on Viral Hepatitis and Liver Disease, Paris, France. "Robust suppression of viral replication in HCV infected chimpanzees by a nucleoside polymerase inhibitor"

July 9 – 13, 2006. Butora, G., Schmitt, C.G., Levorse, D.A., Streckfuss, E.C., and **MacCoss, M**. Latest Trends in Organic Synthesis 12th Symposium, Ontario, Canada. "The elusive 8-fluoroadenosine: a non-enzymatic synthesis"

Sept 3-7, 2006. Olsen, D.B., Davies, M.E., Handt, L., Koeplinger, K, Ludmerer, S., Tomassini, J., LaFemina, R., McMasters, D.R., Eldrup, A.B., Prhavc, M, Bhat, B, Dande, P., Prakash, T.P., **MacCoss, M**., Hazuda, D., and Carroll, S.S. The XVII International Roundtable on Nucleosides Nucleotides and Nucleic Acids, Bern, Swp *in vivo* efficacy"

Sept 10-14, 2006. Olsen, D.B., **MacCoss, M.**, Koeplinger, K.A., Hecker, S.; Erion, M., Linemeyer, D.L., and Reddy, R. American Chemical Society, 232nd National Meeting in San Francisco, CA. "Hepdirect prodrugs of 2-methyladenosine for liver-targeted therapy of hepatitis C"

Sept 10-14, 2006. Yang, L., Butora, G., Jiao, R.X., Pasternak, A., Zhou, C., Parsons, W.H., Mills, S.G., Vicario, P.P., Ayala, J.M., Cascieri, M.A., and **MacCoss, M**. American Chemical Society, 232nd National Meeting in San Francisco, CA. "Discovery of 3-piperidinyl-1-cyclopentanecarboxamide as a novel scaffold for highly potent CCR2 receptor antagonists"

Sept 27 – 30, 2006. Olsen, D.B., Davies, M., Handt, L., Koeplinger, K., Ludmerer, S., Graham, D., Zhang, R., M. **MacCoss, M**., Hazuda, D., and S. S. Carroll, S.S. 46th Annual ICAAC Meeting, San Francisco, CA. "The nucleoside inhibitor MK-0608 mediates suppression of HCV replication for >30 days in chronically infected chimpanzees"

Oct 25-26, 2006. Olsen, D.B., Ludmerer, S., Davies, M., Handt, L., Koeplinger, K., Zhang, R., Graham, D., **MacCoss, M.,** Hazuda, D., and Carroll, S.S. First International Workshop on Hepatitis C, resistance and new compounds, Boston, MA. "The nucleoside inhibitor MK-0608 is a potent and efficacious inhibitor of viral replication in a pre-clinical chimpanzee model of chronic HCV infection"

Oct 27-31, 2006. Carroll, S.S., Davies, M.E., Handt, L., Koeplinger, K., Zhang, R., Ludmerer, S., **MacCoss, M**., Hazuda, D., and Olsen, D.B. American Association for the Study of Liver Diseases Meeting, Boston, MA. "Robust suppression of viral replication by a nucleoside polymerase inhibitor in chimpanzees infected with Hepatitis C virus"

April 29 – May 3, 2007. Carroll, S. S., Koeplinger, K. A., Burlein, C., Stahlhut, M. W., Vavrek, M., Colwell, L., **MacCoss, M**., Hazuda, D., and Olsen, D. B. 2007 ICAR Meeting, Palm Springs, CA. "Nucleoside inhibitors of Hepatitis C virus RNA polymerase: improved potency and liver targeting with 7-fluoro-7-deaza-2'-C-methyladenosine"

Aug 5-10, 2007. Hecker, S.J., Reddy, K.R., van Poelje, P.D., Sun, Z., Mali, V., Huang, W., Varkhedkar, V., Fujitaki, J.M., Insko, M.A., Krutil, D., Chi, B., Olsen, D.B., Koeplinger, K.A.,

Boyer, S.H., Linemeyer, D.L., **MacCoss, M**., and Erion, M.D. 2007 Gordon Research Conference in Medicinal Chemistry, New London, NH. "HepDirect prodrugs of 2'-methyladenosine liver-targeted therapy of Hepatitis C."

Dec 9-13, 2007. Olsen, D.B., Handt, L., Koeplinger, K., Ludmerer, S., Graham, D., **MacCoss, M.,** Liverton, N., Vacca, J.P., McCauley, J., Hazuda, D., Carroll, S.S. HepDart 2007, Lahaina, HA. "A combination of direct antiviral compounds can achieve sustained viral response in Hepatitis C virus-infected chimpanzees"

Mar 9-12, 2008. Deodialsingh, G., Kothandaraman, S., Yang, L., Mills, S.G., **MacCoss, M**. 9th Florida Heterocyclic Conference, FL. "An expeditous synthesis of 3-(difluoromethoxy)- and 3-(trifluoromethoxy)-5,6,7,8-tetrahydro-1,6-naphthyridines"

Aug 17-21, 2008. Kothandaraman, S., Donnely, K.L., Butora, G., Jiao, R., Pasternak, A., Morriello, G.P., Goble, S.D., Zhou, C., Mills, S.G., **MacCoss, M**., Vicario, P.P., Ayala, J.M., Cascieri, M.A., Yang, L. American Chemical Society, 236th National Meeting in Philadelphia, PA. "Design, Synthesis and Structure-Activity Relationship of Novel CCR2 Antagonists"

Participation in Local Symposia (13 in total)

January 20, 1978. **M. MacCoss**, F. S. Ezra, R. Tewari, and S. S. Danyluk. American Chemical Society, Illinois Division, Chicago, IL. "Conformational properties of various sugar-modified nucleosides in aqueous solution. The importance of 1,2 and 1,3 vicinal interactions."

January 20, 1978. **M. MacCoss** and P. W. Maffuid. American Chemical Society, Illinois Division, Chicago, IL. "Synthesis of selectively deuterated adenine nucleosides, nucleotides, and coenzymes."

April 19, 1978. **M. MacCoss**, F. S. Ezra, R. Tewari, and S. S. Danyluk. AUA-ANL Biology Symposium, Argonne, IL. "Conformational properties of various sugar-modified nucleosides in aqueous solution. The importance of 1,2 and 1,3 vicinal interactions."

April 19, 1978. **M. MacCoss** and P. W. Maffuid. AUA-ANL Biology Symposium, Argonne, IL. "Synthesis of selectively deuterated adenine nucleosides, nucleotides, and coenzymes."

April 1979. **M. MacCoss**, E. K. Ryu, and T. Matsushita. AUA-ANL Biology Symposium, Argonne, IL. "The synthesis, characterizations, and preliminary biological evaluation of membrane-targeted molecular depots of selected nucleoside analogues.

April, 1979. S. H. Gray, **M. MacCoss**, C. F. Ainsworth, C. L. Bell, and S. S. Danyluk. AUA-ANL Biology Symposium. "Synthesis and solution conformations of deoxynucleosidyl-(3',5')-arabinonucleoside monophosphates."

May 3-5, 1979. S. H. Gray, **M. MacCoss**, C. F. Ainsworth, C. L. Bell, and S. S. Danyluk. MIKI Meeting, University of Iowa, Iowa City, Iowa. "Synthesis and solution conformations of deoxynucleosidyl-(3',5')-arabinonucleoside monophosphates."

November, 1979. H. M. Schwartz, **M. MacCoss**, and S. S. Danyluk. Chicago Area NMR Discussion Group, Kalamazoo, MI. "^{17}O NMR of nucleic acid bases."

March 28, 1980. R. S. White and **M. MacCoss**. 52nd Annual Sigma XI Graduate Student Forum, University of Illinois of the Medical Center, Chicago, IL. "2-Azaadenosine: A new and direct preparation."

April 24-26, 1980. R. S. White and **M. MacCoss**, MIKI Meeting, Minneapolis, MN. "A facile synthesis of 2-azaadenosine. Aspects of the deoxygenation of 2-azapurine-N^1-oxides."

July 19-21, 1990. **M. MacCoss**, Immunology and Inflammation Research Retreat, Hamilton Park Executive Conference Center, Florham Park, NJ. "Oral PMN Elastase Inhibitors - Medicinal Chemistry Perspective."

September 27-29, 2000. **M. MacCoss**, Animal Pharmacology Retreat, Southbury, CT. "Strategies to identify safe drug candidates"

August 12-15, 2001. L.S. Lin, T. Lanza Jr., T. Kamenecka, G.A. Doherty, **M. MacCoss**, W.K. Hagmann, Q. Si, R.A. Mumford, G. Van Riper, K. Shah, G. Koo, and P. Davies, Merck Chemistry Council Medicinal Chemistry Meeting, La Sapiniere, Quebec, Canada. "Discovery of extremely potent VLA-4 antagonists suitable for aerosol administration"

Lecture Series (2 in total)
Lecture series at University of Illinois Medical Center Graduate lecture series, "Chemical and Structural Properties of Nucleic Acid Components," January 10, 17, 24, 31; February 7, 9 (1977). Individual titles as below:

Structure of DNA and RNA. Nomenclature and numbering system of components. Structural proof of nucleic acid components.

Intact nucleoside chemistry. Pyrimidine base transformations - deamination, methylation, thiation, chlorination, reactions at C^5.

Intact nucleoside chemistry. Purine base transformations - deamination, alkylation (including Dimroth Rearrangement), thiation, chlorination, reactions at C^8, N-oxides.

Intact nucleoside chemistry. Sugar transformations - blocking groups, alkylation, nucleophilic displacements of suitably functionalized sugars.

Intact nucleoside chemistry. Transformations of the base and the sugar - purine and pyrimidine cyclonucleosides, synthetic applications.

Synthesis of nucleotides and oligonucleotides. Mechanism of condensation reactions.

Lecture Series at University of Illinois Medical Center Graduate lecture series, "Chemical, Biological and Structural Properties of Selected Nucleoside Derivatives," April 2, 9, 23, 30 (1980). Individual titles as below:

The metabolism of nucleic acids - an introduction to the biosynthesis of nucleic acids and the sites of action of nucleoside analogs.

Sugar-modified nucleotide analogs - including arabinosyl, xylosyl, 3'- deoxyribosyl, and 2',3'-dideoxyribosyl derivatives.

Base-modified pyrimidine derivatives - including the 5-halo uracils, deaza, and aza pyrimidines.

Base-modified purine derivatives - including the pyrrolopyrimidines.

Miscellaneous

"Who's who in America" – 2008, 2009

Presentation for the American Chemical Society "Man and Molecules" radio program. Title was, "A 'Smart' Drug for Cancer" and was broadcast over ca. 400 radio stations in the U.S. and Canada in August-September 1981. This program examined in some detail the work of my group on nucleoside-phospholipid conjugates as prodrugs for the treatment of neoplastic diseases.