

RAYMOND GEORGE BOOTH

CURRICULUM VITAE (ABBREVIATED)

RESEARCH SYNOPSIS:

Drug Discovery and Development for Neuropsychiatric Disorders

The laboratory is on track to an Investigational New Drug (IND) Application regarding novel drug(s) that target brain serotonin receptors for treatment of neuropsychiatric disorders, including, autism spectrum disorder, obsessive-compulsive disorders (binge eating, attention deficit-hyperactivity disorder [ADHD], addiction) and diseases involving cognition impairment (schizophrenia, dementias, psychoses). Development will proceed under the auspices of the National Institutes of Health (NIH) and Boston-based pharmaceutical industry partners, including the Northeastern start-up biotech, Seropeutics (<http://seropeuticsfxs.com/>). In addition to structure-based design and synthesis of new chemical entities, laboratory drug discovery and development technology includes computational chemistry and molecular modeling, molecular neuropharmacology, pharmacokinetics, and preclinical in vivo behavioral methodologies for development of drug candidates to treat neuropsychiatric disorders.



EDUCATION/TRAINING

INSTITUTION AND LOCATION	DEGREE	Year	FIELD OF STUDY
Northeastern University, Boston, Massachusetts	BS	06/1983	Clinical Pharmacy
University of California at San Francisco	PhD	01/1989	Pharmaceutical and Medicinal Chemistry
Harvard Medical School/McLean Hospital, Boston, MA	Postdoc	07/1990	Neuroscience/Psychiatry

PROFESSIONAL EXPERIENCE

- 1990-1997: Assistant Professor, Medicinal Chemistry, University of North Carolina at Chapel Hill
- 1997-2005: Associate Professor (tenured), Medicinal Chemistry (School of Pharmacy) and Toxicology (School of Medicine), University of North Carolina at Chapel Hill
- 2005-2007: Associate Professor (tenured), Medicinal Chemistry, University of Florida, Gainesville, FL
- 2007-current: Professor (tenured), Medicinal Chemistry (College of Pharmacy) and Pharmacology & Therapeutics (College of Medicine), University of Florida; from 2012 to current, my status at UF is Adjunct Professor in the Department of Medicinal Chemistry;
- 2012-current: Professor (tenured), Department of Pharmaceutical Sciences (Bouvé College of Health Sciences), Interim Chair, Department of Pharmaceutical Sciences, 2017
- Professor (tenured) Department of Chemistry & Chemical Biology (College of Science), and, Center for Drug Discovery (Associate Director), Northeastern University, Boston;

RESEARCH

Active funding

Source: NIH (National Institute on Drug Abuse, NIDA)
 Number: RO1 DA047130
 Project Name: *Delineating the role of serotonin 5-HT₂ receptors in opioid use disorders:Development of novel 5-HT₂ modulators with translational studies in rodents and primates*
 Role: MPI (contact)
 Funding Period: 09/01/18-08/31/23
 Total Costs: \$4,019,296.00

Source: Department of Defense Congressionally Directed Medical Research Programs Peer Reviewed Medical Research Program
 Number: PR160095
 Project Name: *Development of Novel Drugs Targeting Serotonin Receptors to Treat Motor, Social, Cognitive, and Sensory Domains of Autism Spectrum Disorder Using Mouse Models*
 Role: MPI (contact)
 Funding Period: 09/01/2017-08/31/2020
 Total costs: \$591,890

Pending

Source: NIH (National Institute on Drug Abuse, NIDA)
 Number: T32 DA050564
 Project Name: Training Program on Medications Development for Substance Use Disorder
 Role: MPI (with Logothetis and Makriyannis [contact MPI])
 Funding Period: 04/01/2020 - 03/31/2025
 Total Costs: \$1,651,156

Completed funding

Source: Department of Defense Congressionally Directed Medical Research Programs Peer Reviewed Medical Research Program
 Number: PR141869
 Project Name: *Translation of Novel Serotonin 5-HT₇ Agonist Drug Candidates in Rodent Models of Fragile X Syndrome*
 Role: MPI (contact)
 Funding Period: 09/01/2015-08/31/2017
 Total Costs: \$309,000

Source: NIH (National Institute on Drug Abuse, NIDA)
 Number: RO1 DA030989
 Project Name: *Functionally-Selective Serotonin 5HT₂ Drugs for Amphetamines Abuse/Disorders*
 Role: MPI (contact)
 Funding Period: 09/01/12-08/31/17
 Total Costs: \$1,802,016

Source: NIH (National Institute on Mental Health, NIMH)
 Number: R01MH081193
 Project Name: *Serotonin 5HT_{2C} Agonist Ligands with 5HT_{2A/B} Antagonist Activity*
 Role: Principal Investigator
 Funding Period: 04/01/08-02/28/14
 Total Costs: \$1,841,323

Source: NIH (Rapid Access to Interventional Development, RAID)
 Number: R01MH081193-W1
 Project Name: *Novel Anxiolytic Agents Targeting Serotonin 5HT_{2A/2C} Receptors*
 Role: Principal Investigator
 Funding Period: 10/01/09-02/28/14
 Total Costs: \$73,250

Source: NIH (NIDA)
 Number: R01DA023928
 Project Name: *Novel 5HT_{2C} Agonist Drugs with 5HT_{2A} Antagonist Activity for Cocaine Addiction*
 Role: Principal Investigator
 Funding Period: 09/15/07-09/14/12
 Total Costs: \$1,475,130

Source: NIH (NIDA)
 Number: R01DA023928-03S109
 Project Name: *International Collaborative Research to Develop Cocaine Abuse Pharmacotherapy*
 Role: Principal Investigator
 Funding Period: 08/01/09-09/14/12
 Total Costs: \$91,563

Source: University of Florida Research Foundation Commercialization Fund (Project 100721)
 Project Name: *Commercialization of Drug Candidate for Schizophrenia*
 Role: Principal Investigator
 Funding Period: 03/01/12-09/01/12
 Total Costs: \$25,311

Source/Number: NIH (Mental Health, NIMH) R01MH068655
 Project Name: *Functional Probes for Brain Histamine H₁ Receptors*
 Role: Principal Investigator
 Funding Period: 04/01/04-03/31/09
 Total Costs: \$1,055,113

Source/Number: UF Opportunity Fund 65651
 Project Name: *Preclinical Development of Drugs for Obesity and Cocaine Addiction*
 Role: Principal Investigator
 Funding Period: 07/01/07-06/30/09
 Total Costs: \$81,550

Source/Number: NIH (Neurological Disorders and Stroke, NINDS) R-29-NS35216
 Project Name: *Novel Sigma Ligands in Neurodegeneration*
 Role: Principal Investigator
 Funding Period: 04/01/97-03/31/02
 Total Costs: \$502,855

Source/Number: Pharmacy Foundation of North Carolina 6-68379-4501
 Project Name: *Evaluation of NMDA receptor-active compounds using primary glial cell cultures*
 Role: Principal Investigator
 Funding Period: 07/01/00-06/30/02
 Total Costs: \$20,000

Source/Number: Otsuka Pharmaceuticals 6-68347-4281
Project Name: *Biochemical Effects of Novel Quinoline Compounds at Brain Sigma Receptors*
Role: Co-Principal Investigator (Richard B. Mailman, Co-PI)
Funding Period: 09/01/98-12/31/01
Total Costs: \$97,000

Source/Number: Environmental Protection Agency
Project Name: *Neurotoxicity of Polychlorinated Biphenyls*
Role: Principal Investigator
Funding Period: 08/01/97-09/31/99
Total Costs: \$45,000

Source/Number: NIMH RO1 MH34006
Project Name: *Pharmacology of Dopamine Receptors in CNS*
Role: Investigator (Ross Baldessarini, PI)
Funding Period: 07/01/95-06/30/99
Total Costs: \$1,200,000

Source/Number: Pharmacy Foundation of North Carolina
Project Name: *Putative Sigma-3 Receptors in Mammalian Brain*
Role: Principal Investigator
Funding Period: 01/01/95-12/31/97
Total Costs: \$10,000

Source/Number: Environmental Protection Agency 4D-1882
Project Name: *Polychlorinated Biphenyls Effects on Brain Dopamine Synthesis*
Role: Principal Investigator
Funding Period: 08/01/94-07/31/96
Total Costs: \$5,000

Source/Number: UNC-CH Faculty Research Grant 5-44786
Project Name: *Adenosine and Sigma Receptor-Mediated Regulation Dopamine Synthesis*
Role: Principal Investigator
Funding Period: 07/01/93-06/30/95
Total Costs: \$2,970

Source/Number: Otsuka Pharmaceuticals 6-68347
Project Name: *Novel Quinoline Inhibitors of Tyrosine Hydroxylase*
Role: Co-Principal Investigator (Richard B. Mailman, Co-PI)
Funding Period: 07/01/93-06/30/97
Total Costs: \$24,000

Source/Number: NIMH RO1 MH40537
Project Name: *A Novel Molecular Site for Antidopaminergic Action*
Role: Investigator (Richard B. Mailman, PI)
Funding Period: 04/01/93-03/31/97
Total Costs: \$1,291,981

Source/Number: UNC-CH Faculty Research Grant 5-44339
Project Name: *Development of Autoreceptor Agonists*
Role: Principal Investigator
Funding Period: 12/01/90-06/30/93
Total Costs: \$2,550

Source/Number: UNC-CH Junior Faculty Development Award-6-69410
 Project Name: *Characterization of Autoreceptors in Mammalian Forebrain*
 Role: Principal Investigator
 Funding Period: 01/01/91-12/31/92
 Total Costs: \$3,000

Source/Number: NIH BSRG RR05967
 Funding Period: 10/01/91
 Total Costs: \$5,800 (instrument purchase)

Source/Number: NIMH MH14275-15
 Project Name: *Neuropharmacology of Presynaptic Dopamine Agonists*
 Role: Investigator (W.H. Morse, PI)
 Funding Period: 11/01/88-08/01/90
 Total Costs: \$39,700

PUBLICATIONS

Peer-reviewed research journal papers

1. Booth, R.G., Selassie, C.D., Hansch, C., and Santi, D.V. Quantitative structure-activity relationship of triazine-antifolate inhibition of *Leishmania* dihydrofolate reductase and cell growth. *Journal of Medicinal Chemistry* 30: 1218-1224 (1987).
2. Ramsay, R.R., McKeown, K.A., Johnson, E.A., Booth, R.G., and Singer, T.P. Inhibition of NADH oxidation by pyridine derivatives. *Biochemical and Biophysical Research Communications* 146: 53-60 (1987).
3. Booth, R.G., Rollema, H., and Castagnoli, N. *In vivo* dopaminergic neurotoxicity of the 2-b-methyl-carbolinium ion, a potential endogenous MPP⁺ analog. *European Journal of Pharmacology* 153: 131-134 (1988).
4. Sirawaraporn, W., Sertsriwanich, R., Booth, R.G., Hansch, C., Neal, R.A., and Santi, D.V. Inhibition of *Leishmania* dihydrofolate reductase and *Leishmania* growth by 5-benzyl-2,4-diaminopyrimidines. *Molecular Biochemical Parasitology* 31: 79-86 (1988).
5. Booth, R.G., Trevor, A.J., Singer, T.P., and Castagnoli, N. Studies on semi-rigid tricyclic analogs of the nigrostriatal toxin 1-methyl-4-phenyl-1,2,3,6-tetrahydropyridine (MPTP). *Journal of Medicinal Chemistry* 32: 473-477 (1989).
6. Booth, R.G., Castagnoli, N., and Rollema, H. Intracerebral microdialysis neurotoxicity studies of quinoline and isoquinoline derivatives related to MPTP/MPP⁺. *Neuroscience Letters* 100: 306-312 (1989).
7. Johnson, E.A., Wu, E.Y., Rollema, H., Booth, R.G., Trevor, A.J., and Castagnoli, N. MPP⁺ Analogs: *In vivo* neurotoxicity and inhibition of striatal synaptosomal dopamine uptake. *European Journal of Pharmacology* 166: 65-74 (1989).
8. Booth, R.G., Baldessarini, R. J., Kula, N.S., Zong, R., Gao, Y., and Neumeier, J.L. Presynaptic inhibition of dopamine synthesis in rat striatal tissue by enantiomeric mono- and dihydroxyaporphines. *Molecular Pharmacology* 38: 92-101 (1990).

9. Rollema, H., Booth, R.G., Caldera, P., Johnson, E.A., Lampen, P., Youngster, S.K., Trevor, A.J., Naiman, N., and Castagnoli, N. *In vivo* intracerebral microdialysis studies in rats of MPP⁺ analogs and related charged species. *Journal of Medicinal Chemistry* 33: 2221-2230 (1990).
10. Booth, R.G., and Baldessarini, R.J. Adenosine A₂ stimulation of tyrosine hydroxylase activity in rat striatal minces is reversed by dopamine D₂ autoreceptor activation. *European Journal of Pharmacology* 185: 217-221 (1990).
11. Booth, R.G., Baldessarini, R.J., Kula, N., and Neumeyer, J.L. Stereochemical effects of mono- and dihydroxyaporphines on presynaptic inhibition of tyrosine hydroxylase *in vitro*. *Annals of New York Academy of Science* 604: 592-595 (1990).
12. Baldessarini, R.J., Booth, R.G., Campbell, A., and Neumeyer, J.L. S(+)-Aporphines as potential limbic-selective antipsychotic agents. *Schizophrenia Research* 4: 311-312 (1991).
13. Booth, R.G., Baldessarini, R.J., and Campbell, A. Inhibition of dopamine synthesis in rat striatal minces: Evidence of autoreceptor supersensitivity to S(+) but not to R(-)-N-n-propylnorapomorphine after repeated pretreatment with fluphenazine. *Biochemical Pharmacology* 41: 2040-2043 (1991).
14. Booth, R.G. and Baldessarini, R.J. (+)-Benzomorphan sigma ligands stimulate dopamine synthesis in rat corpus striatum tissue. *Brain Research* 557: 349-352 (1991).
15. Teicher, M.H., Gallitano, A.L., Gelbard, H.A., Evans, H.K., Marsh, E.R., Booth, R.G., and Baldessarini, R.J. Dopamine D₁ autoreceptor function: Possible expression in developing rat prefrontal cortex and striatum. *Developmental Brain Research* 63: 229-235 (1992).
16. Wyrick, S.D., Booth, R.G., Myers, A.M., Kula, N.S., and Baldessarini, R.J. Synthesis of [*N*-C³H₃]-racemic-*trans*-1-phenyl-3-dimethylamino-6-chloro-7-hydroxy-1,2,3,4-tetrahydronaphthalene (PAT-6). *Journal of Labeled Compounds and Radiopharmaceuticals* 31:871-874 (1992).
17. Wyrick, S.D., Booth, R.G., Myers, A.M., Owens, C.E., Kula, N.S., Baldessarini, R.J., Mailman, R.B., Synthesis and pharmacological evaluation of 1-phenyl-3-amino-1,2,3,4-tetrahydronaphthalenes as ligands for a novel receptor with sigma-like neuromodulatory activity. *Journal of Medicinal Chemistry* 36: 2542-2551 (1993).
18. Booth, R.G., Wyrick, S.D., Baldessarini, R.J., Kula, N.S., Myers, A.M., and Mailman, R.B. A new sigma-like receptor recognized by novel phenylaminotetralins: Ligand binding and functional studies *Molecular Pharmacology* 44: 1232-1239 (1993).
19. Wyrick, S.D., Myers, A.M., Booth, R.G., Kula, N.S., Baldessarini, R.J., and Mailman, R.B. Synthesis of [*N*-C³H₃]-*trans*-(1*R*,3*S*)-(-)-1-phenyl-3-*N,N*-dimethylamino-1,2,3,4-tetrahydronaphthalene (H₂-PAT). *Journal of Labeled Compounds and Radiopharmaceuticals* 34: 131-134 (1994).
20. Booth, R.G., Baldessarini, R.J., Owens, C.E., and Marsh, E. Actions of 7-hydroxy-*N,N*-di-*n*-propyl-2-aminotetralin (7-OH-DPAT) on dopamine synthesis in limbic and extrapyramidal regions of rat brain. *Brain Research* 662:283-288 (1994).
21. Booth, R.G., and Wyrick, S.D. Development of phenylaminotetralin ligands for a novel sigma (σ_3) receptor in brain. *Medicinal Chemistry Research* 4:225-237 (1994).

22. Myers, A.M., Charifson, P.S., Owens, C.E., Kula, N.S., Baldessarini, R.J., McPhail, A.T., Booth, R.G., and Wyrick, S.D. Conformational analyses, pharmacophore identification, and comparative, molecular field analyses of ligands for the neuromodulatory σ_3 receptor. *Journal of Medicinal Chemistry* 37:4109-4117 (1995).
23. Wyrick, S.D. and Booth, R.G. Progress in sigma receptor research. *Drugs of the Future* 20:1033-1044 (1995).
24. Wyrick, S.D., Booth, R.G., Myers, A.M., Owens, C.E., Bucholtz, E.C., Hooper, P.C., Kula, N.S., Baldessarini, R.J., and Mailman, R.B. 1-Phenyl-3-amino-1,2,3,4-tetrahydronaphthalenes and related derivatives as ligands for the neuromodulatory σ_3 receptor: Further structure-activity relationships. *Journal of Medicinal Chemistry* 38:3857-3864 (1995).
25. Choksi, N.Y., Hussain, A., and Booth, R.G. 2-Phenylaminoadenosine stimulates dopamine synthesis in rat forebrain *in vitro* and *in vivo* via adenosine A_2 receptors. *Brain Research* 761:151-155 (1997).
26. Choksi, N.Y., Kodavanti, P.R.S., Tilson, H.A., and Booth, R.G. Effects of Polychlorinated Biphenyls (PCBs) on Brain Tyrosine Hydroxylase Activity and Dopamine Synthesis in Rats. *Fundamentals of Applied Toxicology* 39:76-80 (1997)
27. Bucholtz, E.C., Wyrick, S.D., Owens, C.E., and Booth, R.G. 1-Phenyl-3-dimethylaminotetralins (PATs): Effect of stereochemistry on binding and function at brain histamine receptors. *Medicinal Chemistry Research* 8:322-332 (1998).
28. Bucholtz, E.C., Brown., R.L., Tropsha, A., Booth, R.G, and Wyrick, S.D. Synthesis, Evaluation and Comparative Molecular Field Analysis of 1-Phenyl-3-amino-1,2,3,4-tetrahydronaphthalenes as Ligands for Histamine H_1 Receptors. *Journal of Medicinal Chemistry*.42:3041-3054(1999).
29. Booth, R.G., Owens, C.E., Brown, R.L., Bucholtz, E.C., Lawler, C.P., and Wyrick, S.D. Putative σ_3 sites in mammalian brain have histamine H_1 receptor properties: Evidence from ligand binding and distribution studies with the novel H_1 radioligand [3H]-(-)-*trans*-1-phenyl-3-aminotetralin (PAT). *Brain Research* 837:95-105 (1999).
30. Choksi, N.Y., Nix, William B., Wyrick, S.D., and Booth, R.G. A novel phenylaminotetralin recognizes histamine H_1 receptors and stimulates dopamine synthesis *in vivo* in rat brain. *Brain Research* 852:151-160 (2000).
31. Mottola, D., Kilts, J., Lewis, M., Smith, H., Walker, Q.D., Jones, S., Booth, R.G., Hyslop, D., Piercey, M., Wightman, M., Lawler, C., Nichols, D.E., and Mailman, R.B. Functional selectivity of dihydroxidine: I. Selective activation of post-synaptic dopamine D_2 receptors linked to adenylate cyclase. *Journal of Pharmacology and Experimental Therapeutics* 301:1166-1178 (2002).
32. Booth RG, Moniri NH, Bakker RA, Choksi NY, Timmerman H, and Leurs R. A novel phenylaminotetralin radioligand reveals a sub-population of histamine H_1 receptors. *Journal of Pharmacology and Experimental Therapeutics* 302:328-336 (2002).
33. Moniri NH, Booth RG. Functional heterogeneity of histamine H_1 receptors. *Inflammation Research* 53:71-73 (2004)
34. Bakker RA, Dees G, Carrillo JJ, Booth RG, López-Gimenez JF, Graeme Milligan G, Strange PG, Leurs R. Domain swapping in the human histamine H_1 receptor. *Journal of Pharmacology and Experimental Therapeutics* 311:131-138 (2004).

35. Moniri NH, Covington-Strachan D, Booth RG. Ligand-directed functional heterogeneity of histamine H₁ receptors: Novel dual-function ligands selectively activate and block H₁-mediated phospholipase C and adenylyl cyclase signaling. *Journal of Pharmacology and Experimental Therapeutics* 311:274-281 (2004).
36. Heinzen EL, Booth RG, Pollack GM. Neuronal nitric oxide modulates morphine antinociceptive tolerance by enhancing constitutive activity of the μ -opioid receptor. *Biochemical Pharmacology* 69:679-688 (2005).
37. Booth RG, Moniri NH. Ligand-directed multifunctional signaling of histamine H₁ receptors *Inflammation Research* 54: S44-45 (2005).
38. Ghoneim OM, Legere JA, Glibraikh A, Tropsha A, Booth RG. Novel ligands for the human histamine H₁ receptor: Synthesis, pharmacology, and comparative molecular field analysis studies of 2-dimethylamino-5-(6)-phenyl-1,2,3,4-tetrahydronaphthalenes. *Bioorganic and Medicinal Chemistry* 14:6640-6658 (2006).
39. Moniri NH, Booth RG. Role of PKA and PKC in Histamine H₁ Receptor-Mediated Activation of Tyrosine Hydroxylase and Catecholamine Synthesis in Mammalian Brain and Adrenal Tissues. *Neuroscience*, 407:249-253 (2006).
40. Booth RG, Moniri NH. Novel Ligands Stabilize Stereo-Selective Conformations of the Histamine H₁ Receptor to Activate Catecholamine Synthesis. *Inflammation Research* 56:1-12 (2007).
41. Sansuk K, Balog CI, van der Does AM, Booth R, de Grip WJ, Deelder AM, Bakker RA, Leurs R, Hensbergen PJ. GPCR Proteomics: Mass Spectrometric and Functional Analysis of Histamine H₁ Receptor after Baculovirus-Driven and in Vitro Cell Free Expression. *Journal of Proteome Research*, 7:621-629 (2008).
42. Booth RG, Fang L, Wilczynski A, Sivendren S, Sun Z, Travers S, Bruysters M, Sansuk K, Leurs R. Molecular determinants of ligand-directed signaling for the histamine H(1) receptor. *Inflammation Research*, 57:S40-44 (2008).
43. Rowland N, Crump E, Nguyen N, Robertson K, Booth RG. Effect of (-)-Trans-PAT, a novel 5-HT_{2C} receptor agonist, on intake of palatable food in mice. *Pharmacology, Biochemistry and Behavior* 91:176-180 (2008).
44. Booth RG, Rowland N, and Gingrich JA. A Novel Serotonin 5-HT_{2C} agonist with 5-HT_{2A}/5-HT_{2B} inverse agonist activity demonstrates antipsychotic efficacy without weight-gain liability. *Drugs of the Future* 33 (Suppl. A): 68-69 (2008).
45. Booth RG, Fang L, Huang Y, Wilczynski A, Sivendran S. (1R, 3S)-(-)-Trans-PAT: A novel full-efficacy serotonin 5-HT_{2C} receptor agonist with 5-HT_{2A} and 5-HT_{2B} receptor inverse agonist/antagonist activity. *European Journal of Pharmacology* 615: 1-9 (2009).
46. Vincek AS, Booth RG. Title: New Approach to 4-Phenyl- β -aminotetralin from 4-(3-Halophenyl)tetralen-2-ol Phenylacetate. *Tetrahedron Letters* 50:5107-5108 (2009).
47. Sansuk K, Balog CI, van der Does AM, Booth RG, de Grip WJ, Deelder AM, Bakker RA, Leurs R, Hensbergen PJ. GPCR proteomics: mass spectrometric and functional analysis of histamine H₁ receptor after baculovirus-driven and in vitro cell free expression. *J Proteome Res.* 2008 Feb;7(2):621-9. doi: 10.1021/pr7005654. PMID:18177001

48. Canal CE, Cordova-Sintjago T, Villa N, Fang L, Booth RG. Drug discovery targeting human 5-HT_{2C} receptors: Residues S3.36 and Y7.43 impact ligand-binding pocket structure via hydrogen bond formation. *European Journal of Pharmacology* 2011; 673:1-12.
49. Ghoneim OM, Ibrahim DA, El-Deeb IM, Lee SH, Booth RG. A novel potential therapeutic avenue for autism: Design, synthesis and pharmacophore generation of SSRIs with dual action. *Bioorganic and Medicinal Chemistry* 21:6714-6723 (2011).
50. Córdoba-Sintjago T, Villa N, Canal CE, Booth RG. Human serotonin 5-HT_{2C} G protein-coupled receptor homology model from the β ₂ adrenoceptor structure: Ligand docking and mutagenesis studies. *Int. Journal of Quantum Chemistry* 2011; 112:140-149.
51. Córdoba-Sintjago T, Sakhuja R, Kondabolu K, Canal CE, Booth RG. Molecular determinants for ligand binding at serotonin 5-HT_{2A} and 5-HT_{2C} GPCRs: Experimental affinity results analyzed by molecular modeling and ligand docking studies. *Int. Journal Quantum Chemistry* 2012; 112:3807-3814.
52. Córdoba-Sintjago, TC, Fang, L, Bruysters M, Leurs, R, Booth RG. Molecular determinants of ligand binding at the human histamine H₁ receptor: Site-directed mutagenesis results analyzed with ligand docking and molecular dynamics studies at H₁ homology and crystal structure models. *Journal of Chemical and Pharmaceutical Research* 2012; 4:2937-2951.
53. Morgan D, Canal CE, Kondabolu K, Sakhuja R, Robertson K, Rowland NE, Booth RG. A Novel Serotonin-2 (5-HT₂) Modulator as a Candidate Drug to Treat Impulsive Behavioral Disorders and Psychoses without Weight Gain as a Side Effect. *Neuropsychopharmacology* 2012;38:S104-105.
54. Canal CE, Booth RG, Morgan D. Support for 5-HT_{2C} receptor functional selectivity in vivo utilizing structurally diverse, selective 5-HT_{2C} receptor ligands and the 2,5-dimethoxy-4-iodoamphetamine elicited head-twitch response model. *Neuropharmacology* 2013;70C:112-121.
55. Morgan D, Kondabolu K, Kuipers A, Sakhuja R, Robertson KL, Rowland NE, Booth RG. Molecular and behavioral pharmacology of two novel orally-active 5HT₂ modulators: potential utility as antipsychotic medications. *Neuropharmacology*. 2013;72:274-281.
56. Kasper J, Tikamdas R, Kim MS, MacFadyen K, Aramini R, Ladd J, Sarah Bisceglia S, Booth RG, Peris J. The serotonin-2 receptor modulator, (-)-trans-PAT, decreases voluntary ethanol consumption in rats. *European Journal of Pharmacology* 2013 718:98-104
57. Canal CE, Cordova-Sintjago T, Liu Y, Kim MS, Morgan D, Booth RG. Molecular pharmacology and ligand docking studies reveal a single amino acid difference between mouse and human serotonin 5-HT_{2A} receptors that impacts behavioral translation of novel phenylaminotetralin ligands. *Journal of Pharmacology and Experimental Therapeutics* 2013 347:705-716
58. Canal CE, Morgan D, Felsing D, Kondabolu K, Rowland NE, Robertson KL, Sakhuja R, Booth RG. A novel aminotetralin-type serotonin (5-HT)_{2C} receptor-specific agonist and 5-HT_{2A} competitive antagonist/5-HT_{2B} inverse agonist with preclinical efficacy for psychoses. *Journal of Pharmacology and Experimental Therapeutics* 2014 349:1-9.
59. Córdoba-Sintjago T, Villa, N, Fang, L, Booth RG. Aromatic interactions impact ligand binding and function at serotonin 5-HT_{2C} G protein-coupled receptors: receptor homology modelling, ligand docking, and molecular dynamics results validated by experimental studies, *Molecular Physics* 2014 112: 398-407. PMID:24729635

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61. Córdova-Sintjago T, Liu T, Booth RG. Molecular interactions of agonist and inverse agonist ligands at serotonin 5-HT_{2C} G protein-coupled receptors: computational ligand docking and molecular dynamics studies validated by experimental mutagenesis results. *Molecular Physics* 2015 113:348-358.
62. Sakhuja R, Kondabolu K, Córdova-Sintjago T, Travers S, Vincek AS, Kim MS, Abboud KA, Fang L, Sun Z, Canal CE, Booth RG. Novel 4-substituted-N,N-dimethyltetrahydronaphthalen-2-amines: synthesis, affinity, and in silico docking studies at serotonin 5-HT₂-type and histamine H₁ G protein-coupled receptors. *Bioorg Med Chem.* 2015; 23:1588-600. PMID: 25703249
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63. Morgan D, Canal CE, Orza PC, Rose JL, Kim MS, Booth RG. A novel 5HT_{2C}-specific agonist/5HT_{2A-2B} antagonist attenuates psychomotor behaviors induced by methamphetamine, oxycodone, and their combination. *Drug and Alcohol Dependence* 146 2015; 146:e46.
64. Canal CE, Felsing DE, Liu Y, Zhu W, Wood JT, Perry CK, Vemula R, Booth RG. An Orally-Active Phenylaminotetralin-Chemotype Serotonin 5-HT₇ and 5-HT_{1A} Receptor Partial Agonist that Corrects Motor Stereotypy in Mouse Models. *ACS Chem Neurosci.* 2015; 6:1259-1270. PMID:26011730.
65. Liu Y, Canal CE, Cordova-Sintjago TC, Zhu W, Booth RG. Mutagenesis analysis reveals distinct amino acids of the human serotonin 5-HT_{2C} receptor underlie the pharmacology of distinct ligands. *ACS Chem Neurosci.* 2017; 8:28-39. PMID: 27580242.
66. Mongeau E, Yuan G, Minden Z, Waldron S, Booth RG, Felsing D, Ondrechen MJ, Jones GB. Homology Modeling Inspired Synthesis of 5-HT_{2A} Receptor Inhibitors: A Diazepine Analogue of the Atypical Antipsychotic JL13. *Cent Nerv Syst Agents Med Chem.* 2017 Apr 26. doi: 10.2174/1871524917666170426123607.
67. Felsing DE, Canal CE, Booth RG. Ligand-directed serotonin 5-HT_{2C} receptor desensitization and sensitization. *Eur J Pharmacol.* 2019 Apr 5;848:131-139. doi: 10.1016/j.
- Book Chapters*
68. Castagnoli, N., Trevor, A.J., Singer, T.P., Sparatore, A., Leung, L., Shinka, T., Wu., E.Y., and Booth, R.G. Metabolic studies on the nigrostriatal toxin MPTP. In *Progress in Catecholamine Research*, Alan R. Liss, Inc., New York, 93-100 (1988).
69. Neumeyer, J.L and Booth, R.G. Chapter 12: Neuroleptics and anxiolytic agents. In *Principles of Medicinal Chemistry 4th. Edition* (William O. Foye, ed.) Lea Febiger, Philadelphia, 199-231 (1996).
70. Neumeyer, J.L and Booth, R.G. Chapter 13: Drugs used to treat neuromuscular disorders. In *Principles of Medicinal Chemistry 4th. Edition* (William O. Foye, ed.) Lea Febiger, Philadelphia, 232-246 (1996).
71. Neumeyer, J.L and Booth, R.G. Chapter 42: Pesticides. In *Principles of Medicinal Chemistry 4th. Edition* (William O. Foye, ed.) Lea Febiger, Philadelphia, 908-926 (1996).
72. Nickell, W. Ward, H.E., and Booth, R.G. Antianxiety agents. In *Burger's Medicinal Chemistry and Drug Discovery, 5th Edition, Volume 5* (Manfred E. Wolff, ed.) John Wiley and Sons, New York, 153-194 (1997).

73. Booth, R.G. and Neumeyer, J.L. Psychotherapeutic Drugs: Chapter 17: Antipsychotic and Anxiolytic Agents. In *Foye's Principals of Medicinal Chemistry 5th Edition* (Lemke et al.,eds) Williams and Wilkins, Baltimore; pp. 408-434 (2002).
 74. Booth, R.G. and Neumeyer, J.L. Chapter 20: Drugs used to treat neuromuscular disorders: Antiparkinsonian and Spasmolytic Agents. In *Foye's Principals of Medicinal Chemistry 5th Edition* (Lemke et al.,eds) Williams and Wilkins, Baltimore; pp. 480-497 (2002).
 75. Neumeyer, J.L. Baldessarini, R.J. Booth, R.G. Chapter 12, Therapeutic and diagnostic agents for Parkinson's disease. In: *Burgers' Medicinal Chemistry and Drug Discovery, Sixth Edition*, Donald J. Abraham (Ed), John Wiley and Sons, New York, pp 711-741, 2003.
 76. Booth, R.G. Psychotherapeutic Drugs: Chapter 22: Antipsychotic and Anxiolytic Agents. In *Foye's Principals of Medicinal Chemistry 6th Edition* (Lemke et al., eds) Williams and Wilkins, Baltimore; pp. 601-630 (2007).
 77. Booth, R.G. Chapter 25: Drugs used to treat neuromuscular disorders: Antiparkinsonian and Spasmolytic Agents. In *Foye's Principals of Medicinal Chemistry 6th Edition* (Lemke et al., eds) Lippincott Williams and Wilkins, Baltimore; pp. 679-697 (2007).
 78. Booth R.G, Neumeyer, J.L. Baldessarini, R.J. Therapeutic and diagnostic agents for Parkinson's disease. Chapter 15 in Volume 9: Nervous System Therapeutics: In: *Burgers' Medicinal Chemistry and Drug Discovery, Seventh Edition*, Donald J. Abraham (Ed), John Wiley and Sons, New York, pp 529-568 (2010).
 79. Booth, R.G. Chapter 13: Drugs used to treat neuromuscular disorders: Antiparkinsonian and Spasmolytic Agents. In *Foye's Principles of Medicinal Chemistry 7th Edition* (Lemke et al., eds) Lippincott Williams and Wilkins, Baltimore; pp. 419-447 (2013).
 80. Booth, R.G. Chapter 14: Antipsychotic and Anxiolytic Agents. In *Foye's Principles of Medicinal Chemistry 7th Edition* (Lemke et al., eds) Lippincott Williams and Wilkins, Baltimore; pp. 448-484 (2013).
 81. Canal, C.E., Booth, R.G., Williams, D. Drugs Used to Treat Mental, Behavioral, and Cognitive Disorders. In *Foye's Principles of Medicinal Chemistry 8th Edition* (Lemke et al., eds) Lippincott Williams and Wilkins (2019)
- Patents Awarded*
82. Booth, R.G. Therapeutic Compounds in US Patent 9,024,071; May 15, 2015.
 83. Booth, R.G. Therapeutic Compounds in US Patent 9,422,229; August 23, 2016.
 84. Booth, R.G. Therapeutic Compounds in US Patent 9,862,674; January 9, 2018.

TEACHING (Northeastern University)**GRADUATE CURRICULUM****CHEM 5676 Bio-organic and Medicinal Chemistry**

3 semester hours, required; ~15 students
2014-current (Course Coordinator)

PHSC6222 Chemistry and Biology of Drugs of Abuse

2 semester hours, required; ~25 students
2019-current (Course co-Coordinator)

PHSC 6300 Seminar in Drug Discovery

1 semester hour, required; 12 students
2014-current (Course Coordinator)

PHSC 5100 Concepts in Pharmaceutical Science

Drug Metabolism and Drug Design and Development
2 semester hours, required; ~75 students
2013-current

PMCL 6262 Receptor Pharmacology

2 semester hours, required; ~25 students
2014-current

CHEM 5620 Protein Chemistry

3 semester hours, required; ~25 students
2016-current

PHSC 5934 Research in Pharmaceutical Science (MS level lab course)

2 semester hours, elective, 5 students
2013-current

CHEM 4456 Organic Chemistry III

2 semester hour, elective; ~20 students
2015 – current (3 lecture hours)

PROFESSIONAL (PHARM.D.) AND UNDERGRADUATE CURRICULA**HLTH 1555 Honors Special Topics in Healthcare: Drug Discovery and Delivery**

4 semester hours, ~12 students; elective
2012-2014, 2015 (course coordinator and lecturer)

HONR 3310-12: Translational Medicine

1 semester hour, elective; 1~5 students
2014 – current

GRADUATE STUDENT TRAINEES***CURRENT PHD STUDENT TRAINEES***

Nicholas Fragola, Ph.D., Medicinal Chemistry, 2024 (expected)

Bryce Johnson, Ph.D., Pharmacology, 2023 (expected)

Ryan McGlynn, Ph.D., Pharmacology, 2023 (expected)

Austen Casey, Ph.D., Medicinal Chemistry, 2021 (expected)

Charles Perry, Ph.D., Medicinal Chemistry, 2018

Thesis title: Drug design targeting the serotonin 5-HT₇ G protein-coupled receptor

Current position: Postdoctoral research fellow, Vanderbilt University Center for Drug Discovery

Daniel Felsing, Ph.D, Medicinal Chemistry, 2016.

Thesis title: Drug discovery targeting serotonin G protein-coupled receptors to treat neuropsychiatric disorders.

Current position: Postdoctoral research fellow, University of Texas Medical Branch at Galveston

Krishnakanth Kondabolu, Ph.D, Medicinal Chemistry 2013.

Thesis title: In vitro and in vivo pharmacology of novel phenylaminotetralin (PAT) analogs at serotonin 5-HT₂ receptors: Development of drugs for neuropsychiatric disorders.

Current position: Postdoctoral Research Fellow, Dept. Pharmacology, Boston University

Sean Travers, Ph.D., Medicinal Chemistry, 2011

Thesis title: Characterization of the molecular determinants for class A G protein-coupled receptors:

Drug discovery targeting the histamine H₁ receptor

Current position: Technical Research Advisor Rigaku Raman Technologies

Zhuming Sun, Ph.D., Medicinal Chemistry, 2010.

Thesis title: "Novel phenylaminotetralin (PAT) analogs: Multifunctional serotonin 5-HT₂ receptor drugs for neuropsychiatric disorders".

Current position: Research Scientist, Novartis, Shanghai, China

Dawn Covington, M.S. Medicinal Chemistry (non-thesis), 2007.

Ola Maher Ghoneim, Ph.D., Medicinal Chemistry, 2006

Thesis title: "Synthesis, analytical, and molecular modeling studies of novel aminophenyltetralin ligands to characterize human histamine and serotonin receptor signaling"

Current position: Assistant Professor (teaching, research, service), Department of Medicinal Chemistry, St. Joseph College, Hartford, Connecticut

Nader Moniri, Ph.D., Medicinal Chemistry, 2004

Thesis Title: "Histamine H₁ receptor multifunctional signaling characterized using novel tetrahydro-(naphthalene and benzocycloheptane) ligands"

Current position: Associate Professor (teaching, research, service), Medicinal Chemistry, Mercer University, Atlanta, GA

Jacqueline Legere, Ph.D., Medicinal Chemistry, 2004

Thesis Title: "Synthesis and pharmacological activity of 2-dimethylamino-5-(6)-phenyl-1,2,3,4-tetrahydronaphthalenes as novel ligands for the human histamine H₁ receptor"

Current Position: Director of Biology Research Core, Genzyme, Framingham, MA

Neepa Choksi, Ph.D., Medicinal Chemistry, 1999

Thesis Title: "Neuropharmacological Characterization of Brain Receptors Recognized by 1-Phenyl-3-aminotetralins"

Current position: Senior Research Scientist, Integrated Laboratory Systems Inc., Research Triangle Park, NC

Constance E. Owens, Ph.D., Medicinal Chemistry, 1997

Thesis title: "A Novel Brain Receptor System Linked to Modulation of Catecholamine Synthesis"

Current position: Senior Research Scientist, Endacea, Research Triangle Park, North Carolina

Anwar Hussain, M.S., Medicinal Chemistry, 1995

Thesis Title: "The Role of Adenosine A₂ Receptors in Stimulation of Brain Dopamine Synthesis"

Last known position: Senior Research Scientist, Bristol-Myers-Squibb, New Brunswick, New Jersey.

MS GRADUATE STUDENT TRAINEES

Sisy Hu, M.S., Pharmaceutical Sciences 2017

Yiming Chen, MS., Pharmaceutical Sciences 2017

Hima Patel M.S., Pharmaceutical Sciences 2017

Eliza Miller, M.S., Chemistry and Chemical Biology, 2016

Yajun Lin, M.S., Pharmaceutical Sciences 2016

Yan Zhou, M.S., Pharmaceutical Sciences 2016

Laura Purcell, M.S., Pharmaceutical Sciences 2016

Daoyang Chen, M.S., Pharmaceutical Sciences 2016

Ngyn Tran, M.S., Pharmaceutical Sciences, 2015

Rinkal Soni, M.S., Pharmaceutical Sciences, 2015

Bryce Suchomel, M.S., Pharmaceutical Sciences, 2015

Wanying Zhu, M.S., Pharmaceutical Sciences, 2014

UNDERGRADUATE RESEARCH TRAINEES

Nicholas Farinia, PharmD (expected, 2023)

Christopher Chang, BS. Chemistry, 2019

George (Jia Xing) Guo, B.S., Biochemistry, 2018

Christopher Chang, B.S., Chemistry and Chemical Biology, 2018)

Jessica Mecklosky, B.S. Neuroscience, 2016.

Daniel Felsing, B.S., Chemistry, 2011

Sean Wimberly, Pharm.D., 2011

Roberto Campillo, Pharm.D., 2007

Russell Moore, Pharm.D. 2006

Christopher Smelick, B.S. 2006

Tammy Bristow, B.S., Chemistry, 2004

Alexandra Calves; B.S., Pharmacy, 1998

Kathrinn Fitzpatrick, B.S., Pharmacy, 1997

Brenda Aske, B.S., Pharmacy, 1996

Kelly Hendricks; B.S., Pharmacy, 1996

R. Donald Harvey; Pharm.D., 1994

Jonathan Ducar; B.S., Pharmacy, 1992

POSTDOCTORAL, RESEARCH SCIENTIST, & RESEARCH ASSISTANT PROFESSOR TRAINEES

Munmun Mukherjee, Ph.D. (2017-current)

Shan Zhu, Ph.D. (2014-2016)

Yue Liu, Ph.D. (2013-2016); current position is research scientist at Pfizer Inc., Cambridge, MA

Rajender Vemula, Ph.D. (2012-2016); current position is research scientist at Harvard University Department of Chemistry, Cambridge, MA.

Tania Cordova-Sintjago, Ph.D. (2010-2016); current position is faculty (teaching and research) at Santa Fe College, Gainesville FL

Dario Ambrosini, Ph.D. (2012-2015); current position is postdoctoral researcher at University of Milan Department of Chemistry, Milan, Italy.

Clinton Canal, Ph.D. (Postdoctoral: 2010-2012); current position is Assistant Professor (tenure track) Mercer University, Atlanta, GA.

Myong Sang Kim, Ph.D. (Postdoctoral: 2009-2012); current position is research scientist at Firebird Biomolecular Sciences, Alachua, FL 32615-9465, USA.

Nancy Villa, Ph.D. (2009-2012); current position is research scientist at University of Florida Department of Oncology, Gainesville, FL

Jean-Claude Nzimulinda, Ph.D. (2010-2011); current position is staff pharmacist in Louisville, KY.

Rajeev Sakhuja, Ph.D. (2009-2011); current position is faculty (teaching, research) at Birla Institute of Technology & Science, Pilani, Rajasthan 333031, India.

Adam Vincek, Ph.D (2008-2011); current position is research scientist in Center for Drug Discover at Mount Sinai School of Medicine, New York, NY 10029, USA.

Li Fang, Ph.D. (2006-2009); current position is research scientist at University of Florida College of Medicine Department of Nephrology

Andrzej Wilczynski, Ph.D (2006-2008); current position is research scientist at Perkin Elmer, Boston, MA

Sashi Sivendran, Ph.D. (2006-2008); current position is Dow Chemicals, Andover, MA

Yingsu Huang, Ph.D. (2004-2005)

Aaron Meng, M.D. (1999-2001)

Bonita L. Blake, D.V.M., Ph.D. (1997-1999)

RESEARCH-RELATED SERVICE

National Institutes of Health

NIDA Board of Scientific Counselors (2014 - current).

ETTN-M 11 B: Drug Discovery for Aging, Neuropsychiatric and Neurologic Disorders (2016 - current)

EMNR-R (56): PAR Panel NIDDK Translational Research (2014-2019)

Emerging Technologies and Training in Neurosciences C-11 (2009 - 2012)

NIA Translational Research in Aging ZAG1 ZIJ-1 B *Ad hoc* (December, 2011)

NIDA Medications Development Program Projects for Substance-Related Disorders (October, 2011)

NIDA New Molecular Entities to Treat Substance Use Disorders, Chairman (May, 2011)

NIDA Clinical Research Training Program *Ad hoc* (March: 2009, 2010, 2011, 2012; 2013; 2014)

Molecular, Cellular, and Developmental Neuroscience MDCN-F *Ad hoc* (February, 2010)

NIDA Development of Therapeutic Agents Special Emphasis Panel *Ad hoc* (December, 2008)

NIMH Conference to Advance Mental Health Research Special Emphasis Panel *Ad hoc* (October, 2008)

Brain Disorders and Clinical Neuroscience Study Section F-11 (2005-2008)

Brain Disorders and Clinical Neuroscience Study Section K-15 *Ad hoc* (March, 2006)

Fundamental Neurosciences Study Section, *Ad hoc* (1997)

Brain Disorders and Clinical Neuroscience Study Section K-15 (1996-2000)

Technology and Applied Sciences Study Section (1992-1996)

Environmental Protection Agency

Chemical Mixtures in Environmental Health Panel (1997-2000)

Exploratory Research on Environmental Neurotoxicants Panel (1997-2000)

Editorial Board

Obesity Insights (2008-current)

Medicinal Chemistry: Current Research (2010-current)

Medicinal Chemistry (open access) (2012-current)

(ISRN) Pharmacology (2010-current)

Journal of Addiction and Prevention (2013-current)