

## Darius J. Robinson, Ph.D.

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### EDUCATION:

Ph.D.	University of Pennsylvania	Advisor - Amos B. Smith III	1995
Honors B.S.	University of Delaware	Advisor – Douglass F. Taber	1988
	(Graduated: Magna Cum Laude)		

### AWARDS AND HONORS:

- DuPont Appreciation Award for Mentoring Students
- Department of Chemistry Academic Excellence Award, University of Pennsylvania
- Graduated 1st in a class of 22 chemistry majors, 49 of 2900 in class, University of Delaware
- Phi Beta Kappa National Honor Society
- ACS Junior Chemistry Major Award, University of Delaware
- Allison Scholar Award, University of Delaware

### PROFESSIONAL EXPERIENCE AND ACCOMPLISHMENTS:

**Ithaca College** (Ithaca, NY) **2008-present**

*Assistant Professor of Chemistry*

Courses taught include: Experimental Chemistry 1 & 2, Organic Chemistry 1 & 2. Undergraduate research is directed towards the synthesis of heterocyclic, biologically active natural products. Current targets include camphoratamide, pterocellin A, and phantasmidine.

**Siena College** (Albany, NY) **2006-2008**

*Visiting Assistant Professor of Chemistry*

Teaching responsibilities include Organic Chemistry I & II and Organic Chemistry Laboratory. Responsible for syllabus, lectures, problem sets, quizzes, exams and lab reports. Course is taught in conjunction with other instructors with common exams

**LaSalle University** (Philadelphia, PA) **2005-2006**

*Adjunct Professor of Chemistry*

General Chemistry Laboratory. Responsibilities included safety lectures, grading, and teaching laboratory procedures.

**Incyte Corporation** (Pharmaceutical Drug Discovery Company) **2002-2005**

*Principal Investigator*

Made significant contributions to two very successful drug discovery programs:

CCR2 Antagonists Program – Indicated for chronic inflammatory diseases (rheumatoid arthritis, multiple sclerosis, type II diabetes, atherosclerosis) – currently in Phase II development.

- Explored substitutions on aminopyrrolidine ring in two series of scaffolds to improve potency and pharmacokinetic profile; discovered that 2-methyl group significantly lowered intrinsic clearance.
- Independently proposed and synthesized several bicyclic replacements for cycloalkyl region to advance SAR.
- Developed novel pyrrolidine ring cyclization from dimesylate as an alternative route for scale up of material for pre-clinical studies.
- Contributed to writing technical manifest and named as an inventor on two patent applications (WO2004/050024 and WO2005/060665)

Janus Kinase Inhibitor Program – Indicated for cancer, autoimmune disorders.

- Designed and synthesized target analogs focused on optimizing selectivity, cellular activity and oral bioavailability. Pharmacokinetic issues addressed by balancing parameters such as solubility, Caco-2 permeability, protein binding, and intrinsic clearance.
- Quickly submitted novel analogs replacing imidazole scaffold with thiazole, oxazole, and pyrazole heterocycles; explored multiple synthetic routes allowing for a diverse array of substituents to rapidly develop SAR.
- Pioneered exploration of polar groups that led to greatly improved aqueous solubility while retaining cellular potency.

**3-Dimensional Pharmaceuticals** (Pharmaceutical Drug Discovery Company) **2001-2002**

***Principal Research Scientist***

Designed and synthesized novel tyrosine kinase inhibitors in collaboration with Boehringer Ingelheim.

- Developed novel approaches to a variety of lead compounds. Worked closely with 3DP computational chemists to assess possible binding modes through synthesis of key analogs.

**E.I. DuPont de Nemours Company** (Diversified Chemical Company) **1994-2001**

Crop Protection Products Division

***Senior Research Chemist*** 2000-2001

***Section Research Chemist*** 1996-2000

***Research Chemist*** 1994-1996

Designed and developed organic chemistry experiments to rapidly prepare series of analogs in multiple projects to optimize hits and current leads. Supported internal and external collaborative research programs and aided in the selection of purchased compounds for biological screening. Communicated results through quarterly written reports, and formal presentations.

- Designed and synthesized active heterocyclic strobilurin analogs resulting in a nomination candidate.
- Developed novel *ortho*-lithiation methodology enabling the introduction of substituents to optimize activity, physical properties and environmental fate.
- Improved synthetic route to novel rice field candidate allowing a successful large scale synthesis campaign (>100g) to be completed on time.
- Wrote detailed technical packages for three patent applications.
- Created synthetic research proposals for external collaborators in the former Soviet Union.

## University of Pennsylvania

1988-1995

**Research Assistant** - Conducted graduate research directed towards the total synthesis of the potent immunosuppressant FK506 under the direction of Amos B. Smith III. Thesis Title: “*FK506 Synthetic Studies: Synthesis of the Des-Cyclohexyl FK506 Analog*”

- Completed a 38 step synthesis of a Des-Cyclohexyl FK506 Analog. Binding studies with FKBP (Fison’s Pharmaceuticals) revealed relative importance of the cyclohexyl region.
- Discovered novel ketalization allowing for selective introduction of 3 different side chains.

**Teaching Assistant** – Teaching assistant for Organic Chemistry Laboratory and Recitation sections

## E.I. DuPont de Nemours Company - Central Research and Development

1987-1988

**Undergraduate Researcher** - Synthesized and purified altered nucleotides for automated DNA sequencing under the direction of Frank Hobbs through the University of Delaware co-op program. Research became Honors Senior Thesis: “*Synthesis of Chain Terminating Substrates for DNA Sequencing*”

## SERVICE:

- Treasurer for Syracuse ACS Local Section (2009-present).
- Served as Laboratory Section Proctor for the National Chemistry Olympiad competition, American University, Washington DC
- Safety Committee Chair – Incyte Corporation and DuPont Company

## PROFESSIONAL AFFILIATIONS:

- American Chemical Society
- Phi Beta Kappa National Honor Society

## PUBLICATIONS & PRESENTATIONS

- 1) “Discovery, SAR and X-ray structure of 1H-benzimidazole-5-carboxylic acid cyclohexylmethanamides as inhibitors of inducible T-cell kinase (Itk)”, Moriarty, Kevin J.; Takahashi, Hidenori; Pullen, Steven S.; Khine, Hnin Hnin; Sallati, Rosemarie H.; Raymond, Ernest L.; Woska, Joseph R.; Jeanfavre, Deborah D.; Roth, Gregory P.; Winters, Michael P. *Bioorganic & Medicinal Chemistry Letters*, **2008**, 18(20), 5545-5549.
- 2) “5-Aminomethyl-1H-benzimidazoles as orally active inhibitors of inducible T-cell kinase”, Winters, Michael P.; Robinson, Darius J.; Khine, Hnin Hnin; Pullen, Steven S.; Woska, Joseph R., Jr.; Raymond, Ernest L.; Sellati, Rosemarie; Cywin, Charles L.; Snow, Roger J.; Kashem, Mohammed A.; *Bioorganic & Medicinal Chemistry Letters*, 2008, 18(20), 5541-5544.
- 3) “Itk kinase inhibitors: Initial efforts to improve the metabolic stability and the cell activity of the benzimidazole lead”, Moriarty, Kevin J.; Winters, Michael; Qiao, Lei; Ryan, Declan; DesJarlais, Renee; Robinson, Darius; Cook, Brian N.; Kashem, Mohammed A.; Kaplita, Paul V.; Liu, Lisa H.; *Bioorganic & Medicinal Chemistry Letters*, **2008**, 18(20), 5537-5540.
- 4) “Synthesis of a succinic acid derivative from *Antrodia camphorata*”, Ali, Sana; Cilia, Lindsey; Robinson, Darius J.; From Abstracts of Papers, 236th ACS National Meeting, Philadelphia, PA, United States, August 17-21, **2008**, CHED-240.

- 5) "Preparation of tetracyclic inhibitors of Janus kinases ", Arvanitis, Argyrios G.; Rodgers, James D.; Combs, Andrew P.; Sparks, Richard B.; Robinson, Darius J.; Fridman, Jordan S.; Vaddi, Krishna ; PCT Int. Appl. **2007**, WO 2007038215 A1 20070405.
- 6) "Preparation of tetracyclic inhibitors of Janus kinases for treating immune-related diseases and cancer ", Rodgers, James D.; Robinson, Darius J.; Arvanitis, Argyrios G.; Maduskuie, Thomas P., Jr.; Shepard, Stacey; Storace, Louis; Wang, Heisheng; Rafalski, Maria; Jalluri, Ravi K.; Combs, Andrew P.; PCT Int. Appl. **2005**, WO 2005105814 A1 20051110.
- 7) "Preparation of 3-cycloalkylaminopyrrolidine chemokine receptor antagonists as antiinflammatory and immunomodulatory bioactive compounds ", Xue, Chu-Biao; Metcalf, Brian; Han, Amy Qi; Robinson, Darius J.; Zheng, Changsheng; Wang, Anlai; Zhang, Yingxin; PCT Int. Appl. **2005**, WO 2005060665 A2 20050707.
- 8) "4-Pyrazolyloxypyrimidine insecticides and acaricides ", Stevenson, Thomas M.; Thieu, Tho V.; Robinson, Darius J.; Piotrowski, Donna L.; Stokes, Berlin C. ; Abstracts of Papers, 228th ACS National Meeting, Philadelphia, PA, United States, August 22-26, **2004**, AGRO-100.
- 9) "Preparation of 3-aminopyrrolidine chemokine receptor antagonists as antiinflammatory and immunomodulatory bioactive compounds ", Xue, Chu-Biao; Metcalf, Brian; Feng, Hao; Cao, Ganfeng; Huang, Taisheng; Zheng, Changsheng; Robinson, Darius J.; Han, Amy Qi; PCT Int. Appl. **2004**, WO 2004050024 A2 20040617.
- 10) "Synthesis of Fungicidal Triazolones." Brown, Richard J.; Ashworth, Barry; Drumm, Joseph E.; Frazier, Deborah A.; Hanagan, Mary Ann; Happersett, Constance; Koether, G.E.; Robinson, Darius J.; Sun, King-Mo; Wojtkowski, Paul (DuPont Crop Protection, Stine-Haskell Research Center) - ACS Symposium Series 800, *Synthesis and Chemistry of Agrochemicals VI*, **2002**, 327-339.
- 11) "FK506 synthetic studies: total synthesis of a des-cyclohexyl analog ", Robinson, Darius John, Ph.D. Thesis, University of Pennsylvania, **1995**, 294 pp..
- 12) "Formal total synthesis of FK506. Concise construction of the C(10)-C(34) segment via an effective coupling tactic ", Smith, Amos B., III; Chen, Kwunmin; Robinson, Darius J.; Laakso, Leif M.; Hale, Karl J.; *Tetrahedron Letters*, **1994**, 35(25), 4271-4.