



Angeliki P. Kourounakis

Title: Professor of Medicinal Chemistry
Division of Medicinal Chemistry, Dept. of Pharmacy, National and Kapodistrian University of Athens, Panepistimiopolis, Zografou, GR – 157 71 Athens, GREECE.
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Education:

- **Ph.D.** in Medicinal Chemistry-Drug Discovery (1995) Center for Drug Discovery, University of Florida, USA. Dissertation Title: *Application of Drug Design methods for inducing NGF biosynthesis*, Prof. Nicholas Bodor.
- **Degree in Pharmacy** (1990) Dept. of Pharmacy, Aristotles University of Thessaloniki, Greece

Employment record:

- Aug. 2020 – today: Full Professor, Dept. of Pharmacy, National and Kapodistrian University of Athens
- Aug. 2011 - 2020: Associate Professor, Dept. of Pharmacy, NKUA
- Oct. 2003 - July 2011: Assistant Professor, Dept. of Pharmacy, NKUA
- Oct. 2000 – Oct. 2003 : Head of Medicinal Chemistry, Drug Discovery Dept, Elpen Pharmaceutical Co. (*Main project: Development of Antiatherosclerosis agents*)
- Jan 2000 – Oct 2000: Post-Doctoral Fellow, Division of Medicinal Chemistry, Aristotles University of Thessaloniki

- Jan 1998 – Oct 1999: Post-Doctoral Fellow, Division of Medicinal Chemistry, University of Leiden, The Netherlands.
- 1996-1997: Post-doctoral training Division of Medicinal Chemistry, Aristotles University of Thessaloniki.

Teaching Activities: (current)

Undergraduate courses:

- Molecular Pharmacology
- Drug Metabolism
- Drug Design
- Drug Synthesis Lab (group instructor)

Postgraduate courses:

- Biochemical & Molecular Pharmacology / Oxidative stress
- Molecular Pharmacology - Pharmacokinetics (Master's program "Biomedical Methods and Technology in Diagnosis" of the Dept of Medical Laboratories of the University of West Attica)
- Has been/is supervising 2 Ph.D. dissertations, 15 Master's Theses and 14 Diploma Theses.
- Participation in several **committees** /administration of the Dept. of Pharmacy, NKUA, such as *Bioethics Committee* (president), *Curriculum of Studies and Education* of the Dept. of Pharmacy

- Is currently the **Director** of the *Master's program* of the Div. of Medicinal Chemistry entitled "*Design and Development of New Pharmaceutical Agents*" (Direction of Medicinal Chemistry / Pharmacology / Radiopharmaceutical Chemistry)

Research Interests/Activities: (last 10 years)

- Design, synthesis and pharmacological evaluation (*in vitro/in vivo*) of multi-functional (multi-target-directed) molecules as a therapeutic approach for multifactorial diseases like atherosclerosis / neuronal degeneration / diabetes.
- Mechanism of action at the molecular level. Molecular modeling/docking and study of physicochemical properties. Structure-activity relationships.
- Free radical pharmacology – oxidative stress, prevention thereof.
- Drug Metabolism – effect of compounds on metabolic enzymes.

Publications:

- **64** publications in peer reviewed international scientific journals (**citations: 2400, H-index: 28**)
- **>200** refereed Conference Publications / Participations
- Author/co-author of **7** book chapters/other publications
- 1 European patent/3 National

Selected publications

- "New applications of squalene synthase inhibitors: Membrane cholesterol as a therapeutic target". AP Kourounakis, E Bavavea, *Archiv der Pharmazie* 353 (9), 2020.

- "Morpholine as a scaffold in Medicinal Chemistry: An update on synthetic strategies". Ariadni Tzara, Dimitrios Xanthopoulos, Angeliki P. Kourounakis **Chem Med Chem.** 15 (5): 392-403 (2020). *This article also appears in: Hot topics 2020-Neuromedicine.*
- "Morpholine as a privileged structure: a review on the medicinal chemistry and pharmacological activity of morpholine containing bioactive molecules". Angeliki P. Kourounakis, Dimitrios Xanthopoulos and Ariadni Tzara. **Med Res Rev.** 1-44 (2019).
- Optimizing the Pharmacological Profile of New Bifunctional Antihyperlipidemic / Antioxidant Morpholine Derivatives. Alexios N. Matralis, and Angeliki P. Kourounakis **ACS Med. Chem. Lett.** 10(1):98-104 (2019).
- Developing potential agents against atherosclerosis: Design, synthesis and pharmacological evaluation of novel dual inhibitors of oxidative stress and Squalene Synthase activity. M. G. Katselou, A. N. Matralis, A. P. Kourounakis, **Eur J Med Chem.** 2017 138: 748.
- Balancing Antioxidant, Hypolipidemic and Anti-inflammatory Activity in a Single Agent: The Example of 2-Hydroxy-2-Substituted Morpholine, 1,4-Benzoxazine and 1,4-Benzothiazine Derivatives as a Potential Therapeutic Approach against Atherosclerosis. Matralis AN, Bavavea EI, Incerpi S, Pedersen JZ, Kourounakis AP **Curr Med Chem.** 2017;24(12):1214
- Antihyperlipidemic morpholine derivatives with antioxidant activity: An investigation of the aromatic substitution. E. M. Ladopoulou, A. N. Matralis, A. Nikitakis, A. P. Kourounakis. **Bioorg Med Chem.** 2015 23(21):7015
- Evaluation of two novel antioxidants with differential effects on curcumin-induced apoptosis in C2 skeletal myoblasts; involvement of JNKs. Peleli M, Aggeli IK, Matralis AN, Kourounakis AP, Beis I, Gaitanaki C. *Bioorg Med Chem.* 23(3):390-400 (2015).
- Multi-Targeted Drug Design Approaches for Multifactorial Diseases: from Neurodegenerative to Cardiovascular Applications. Katselou MG,

- Matralis AN, Kourounakis AP. *Curr Med Chem.* 21(24):2743-87 (2014).
- Design of Novel Potent Antihyperlipidemic Agents with Antioxidant/Anti-inflammatory Properties: Exploiting Phenothiazine's Strong Antioxidant Activity. Matralis AN, Kourounakis AP. *J Med Chem.* 57(6):2568-81 (2014).
 - "New Multifunctional Di-tert butyl-phenolctahydro-(pyrido/benz)oxazine Derivatives with Antioxidant, Antihyperlipidemic, and Antidiabetic Action". Ladopoulou E, Matralis AN, Kourounakis AP. **J Med Chem.** 2013, 56(8):3330-8.
 - Design of multifunctional molecules: A pharmacochemical approach applied to multifactorial diseases such as atherosclerosis. Alexios N. Matralis, Maria Katselou and Angeliki P. Kourounakis, *Hellenic Journal of Atherosclerosis*, 4(3):164–179, 2013.
 - "Novel benzoxazine and benzothiazine derivatives as multifunctional antihyperlipidemic agents." Matralis AN, Katselou MG, Nikitakis A, Kourounakis AP. **J Med Chem.** 2011, 54(15):5583-91.
 - "Squalene synthase inhibitors: An update on the search for new antihyperlipidemic and antiatherosclerotic agents". Kourounakis AP, Katselou MG, Matralis AN, Ladopoulou EM, Bavavea E. **Curr Med Chem.** 2011, 18(29):4418-39.
 - "Design of more potent squalene synthase inhibitors with multiple activities." Kourounakis AP, Matralis AN, Nikitakis A. **Bioorg Med Chem.** 2010, 18(21):7402-12.
 - "Novel compounds designed as antistress agents." Tsiakitzis KC, Rekka EA, Kourounakis AP, Kourounakis PN. **J Med Chem.** 2009, 52(22):7315-8.
 - "Lipid-lowering (hetero)aromatic tetrahydro-1,4-oxazine derivatives with antioxidant and squalene synthase inhibitory activity." Kourounakis AP, Charitos C, Rekka EA, Kourounakis PN. **J Med Chem.** 2008, 51(18):5861-5.
 - "Antiatherosclerotic properties of EP2302, a novel squalene synthase inhibitor, in the cholesterol-fed rabbit". Tavridou A, Kaklamanis L, Papalois A, Kourounakis AP, Rekka EA, Kourounakis PN, Charalambous A, Manolopoulos VG **Cardiovasc Pharmacol.** 2008; 51(6):573-80
 - Rational Drug Design Based Mainly on the Pathobiochemistry of the Disease: Examples of Atheromatosis and Alzheimer's Type Neurodegeneration, Rekka, E.A. Kourounakis, A. P. Kourounakis, P. N., Chapter in: *Chemistry and molecular aspects of drug design and action.* Editors: E. A. Rekka, P. N. Kourounakis, CRC Press, Taylor & Francis, 2008.
 - "EP2306 [2-(4-biphenyl)-4-methyl-octahydro-1,4-benzoxazin-2-ol], a novel squalene synthase inhibitor, reduces atherosclerosis in the cholesterol-fed rabbit". Tavridou A, Kaklamanis L, Papalois A, Kourounakis AP, Rekka EA, Kourounakis PN, Charalambous A, Manolopoulos VG. **J Pharmacol Exp Ther.** 2007; 323(3):794-801
 - Allosteric Modulation of G-Protein-Coupled Receptors: implications for Drug action. A. P. Kourounakis, P. van der Klein and A. P. Ijzerman. Chapter in: *Drug Discovery Strategies and Methods*, Edited by A. Makriyannis and D. Biegel, Marcel Dekker Inc. NewYork, 2004.
 - Elucidation of Structure-Activity Relationships of 2-amino-3-benzoylthiophenes: Study of their Allosteric Enhancing versus Antagonistic Activity on Adenosine A₁ receptors. A. Kourounakis, P. van der Klein and Ad Ijzerman. *Drug Dev. Res.* 49, 227-237 (2000).
 - Inverse agonism at G protein-coupled receptors: (patho)physiological relevance and implications for drug discovery. R. de Ligt, A. Kourounakis, A. Ijzerman. *Br. J. Pharmacol.* 130(1), 1-12 (2000).

Participation in Research Programs and Funding

Sources:

- Jan. 1998 - Dec. 1999: Post doctoral Fellowship (EU-grant), University of Leiden, The Netherlands

- Jan. 2000 - Dec. 2000: Post doctoral Fellowship (EU-grant), University of Thessaloniki
- PAVET (2001-2003): Scientific Coordinator.
- 2004-2009 Grant holder of "Kapodistrias" 70/4/7842 (University of Athens, Special account for Research grants)

Distinctions/awards

- 1991-1995 PhD scholarship from the University of Florida via the Center for Drug Discovery
- 1992-1994 additional NIH doctoral scholarship (National Institute of Health, 1992-1994) via the Center for the Neurobiology of Aging (University of Florida).
- 1994 1st place in the 7th Annual Excellence in Research Competition of the School of Pharmacy, University of Florida.
- 1995 Finalist at the Senior division -oral competition- 8th Annual Excellence in Research Competition of the School of Pharmacy, University of Florida).
- April 21, 1999, Lunteren, The Netherlands: 1st Poster Award for: *Elucidation of Structure-Activity Relationships of 2-amino-3-benzoylthiophenes: Separation of adenosine A₁ allosteric enhancement from antagonistic activity*) FIGON symposium -Federation for Innovative Drug Research in the Netherlands.
- As of 2000, *Visiting Scientist* of LACDR (Leiden/Amsterdam Center for Drug Research, Division of Medicinal Chemistry, University of Leiden)

Invitations:

- Invitation to deliver a lecture as a Keynote speaker at the 11th Joint Meeting on Medicinal Chemistry 2019 (JMCC 2019). Lecture title: "MORPHOLINE: A PRIVILEGED SCAFFOLD FOR THE DEVELOPMENT OF MULTI-TARGET BIOACTIVE MOLECULES", June 2019.
- Invitation to deliver a lecture at the 18th Panhellenic Pharmaceutical Conference. Lecture Title Design of Multitargeted Pharmacomolecules for Atherosclerosis. Athens, Oct. 6-8, 2017.

- Invitation to deliver a lecture at the 2nd International Congress of Greek local chapter of CRS. Lecture title: *Balancing Antioxidant, Antiinflammatory and Hypolipidemic Activity by Design*. Athens, June 22-24, 2016.
- Invitation to organize a Session and Chair it at the XXIIIth International Symposium on Medicinal Chemistry, Lisbon, Sept. 7-11, 2014. (Session 1: Inflammation: the Common Link in Multifactorial Disorders?) 10.30-12.30 Sept 8, 2014.
- Invitation to deliver a lecture at the 2nd Congress on Pharmaceutical Sciences. Lecture Title: Combining antioxidant, antihyperlipidemic and anti-inflammatory activity in a single molecule: Design of new antiatherosclerosis/antidiabetic agents. Patras, Greece, Oct. 8-10, 2014.
- Invitation to deliver a lecture on "Drug Metabolism Considerations during Drug Design and Development" in the Session: "New paradigms in Drug Metabolism, Excretion and Toxicity" at the ESMEC -European School of Medicinal Chemistry, Urbino, Italy, July 6-10, 2008.
- Invited often as guest speaker at Frederic University, Dept. of Pharmacy, on Molecular Aspects of Drug Action and Metabolism.
- Invited to deliver a lecture in the Seminar Series "Pharma Lectures" at the University of Vienna, Dept. of Medicinal Chemistry, 2011.
- Invited to Chair Sessions in various National and International Scientific Conferences/Meetings.

Additional information / Other Activities:

- Serves as a referee in many international scientific journals.
- Member of the Scientific Committee of the 22nd and 23rd *International Symposium on Medicinal Chemistry*.
- Member/board of several Scientific Societies (Hellenic Society of Free Radicals and Oxidative Stress, Hellenic Pharmacology Society, Panhellenic Association of Pharmacists, Hellenic Society of Atherosclerosis, Hellenic Society of Pharmacology).
- Member of Organizing committee of several National Conferences.