# EMMANOUIL N. PITSINOS

# Professor

LABORATORY OF ORGANIC CHEMISTRY,

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# **EDUCATION**

B.Sc. in Chemistry
Aristotle University of Thessaloniki, Department of Chemistry, Thessaloniki (Greece).

M.Sc. in Organic Chemistry

1991 University of California San Diego, Department of Chemistry and Biochemistry, La Jolla, California (U.S.A.)

Ph.D. in Chemistry

1994 University of California San Diego, Department of Chemistry and Biochemistry, La Jolla, California (U.S.A.). Research Advisor: Prof. K. C. Nicolaou.

# **APPOINTMENTS**

2024 – today	Professor (Organic-Medicinal Chemistry), National and Kapodistrian University of Athens, Department of Chemistry, Laboratory of Organic Chemistry, Athens, Greece
2015 – 2024	Visiting Researcher William Marsh Rice University, Department of Chemistry, Bioscience Research Collaborative, Houston, Texas, U.S.A. (Prof. K. C. Nicolaou)
2007 – 2024	Researcher A level (Research Director)  N.C.S.R. "DEMOKRITOS", Institute of Nanoscience & Nanotechnology, Aghia  Paraskevi, Greece
2009	Visiting Researcher Purdue University, Department of Chemistry, West Lafayette, Indiana, U.S.A. (Prof. EI. Negishi)
2003 – 2007	Researcher B level N.C.S.R. "DEMOKRITOS", Institute of Nanoscience & Nanotechnology, Aghia Paraskevi, Greece
1999 – 2003	Researcher C level N.C.S.R. "DEMOKRITOS", Institute of Nanoscience & Nanotechnology, Aghia Paraskevi, Greece
1997 – 1999	Marie Curie Fellow Université Louis Pasteur (ULP), ISIS, Strasbourg, France (Prof. JM. Lehn)
1996 – 1997	Researcher D level N.C.S.R. "DEMOKRITOS", Institute of Physical Chemistry, Aghia Paraskevi, Greece
1996	Research Associate National and Kapodistrian University of Athens, Department of Chemistry & Agricultural University of Athens, General Department, Chemical Laboratories, Athens, Greece

Research Assistant

1991 – 1994 The Scripps Research Institute (TSRI), Department of Chemistry, La Jolla, California, U.S.A. (Prof. K. C. Nicolaou)

**Teaching Assistant** 

1989 – 1991 University of California, San Diego (UCSD), Department of Chemistry and Biochemistry, La Jolla, California, U.S.A.

1988 – 1989 Research Associate
University of Crete, Chemistry Department, Heraklion, Crete, Greece

## **RESEARCH FIELDS**

Synthetic organic and medicinal chemistry; Synthesis and study of bioactive compounds:

- Total synthesis of natural products with novel structures and significant biological activities (e.g., antibacterial, anticancer, enzyme or biochemical pathway inhibition) and related analogues/derivatives.
- Medicinal study of pharmaceutically relevant compounds (natural products, active pharmaceutical ingredients) in order to investigate their mechanism of action, determine structure-activity relationships (SAR studies) and discover new lead compounds.
- > Synthesis of important metabolic markers as reference materials for the detection of doping with banned anabolic agents in sports.

### **EDUCATION EXPERIENCE**

#### **UNDERGRADUATE COURSES**

- Supervision of undergraduate students in chemistry laboratory courses (U.C.S.D, Department of Chemistry, U.S.A.)
- Tutoring of undergraduate students and grading of their performance in written examinations (U.C.S.D, Department of Chemistry, U.S.A.)

#### **POSTGRADUATE COURSES**

- ➤ "Retrosynthetic analysis and its application in the synthesis of non-peptidic natural products", course offered by the Institute of Physical Chemistry, N.C.S.R. "DEMOKRITOS" (4–6/2002).
- ➤ Contribution in the teaching of the graduate course "Synthesis of polymers" and in particular the section "Elements of modern organic synthesis", offered by the National & Kapodistrian University of Athens, Chemistry Department (2004 & 2005).
- Contribution in the teaching of the graduate course "Advanced Organic Chemistry", offered by the National & Kapodistrian University of Athens, Chemistry Department (2024).

# REVIEWER OF SCIENTIFIC JOURNALS

Reviewer of scientific articles submitted to international journals such as Organic Letters, Journal of Organic Chemistry, Journal of Natural Products, Journal of Medicinal Chemistry, Organic & Biomolecular Chemistry, Tetrahedron Letters, Marine Drugs, etc.

## AWARDS AND SCHOLARSHIPS

- ➤ Marie Curie Research Training Grant, Training and Mobility of Researchers (TMR) Programme, European Commission, (1997–1999)
- Financial support from the "H. C. Brown Distinguished Professor Fund", for the collaboration with Prof. E.-I. Negishi (2009)
- Award from N.C.S.R. "DEMOKRITOS", in recognition of the readiness and significant contribution to the safe operation of the Research Centre and in particular of the Institute of Physical Chemistry (2009)

# ADDITIONAL INFORMATION

- > 50 publications in peer reviewed journals (> 2450 citations, h-index: 25; Google Scholar)
- 8 patents (7 international, 1 Greek)
- 51 conference presentations
- 16 invited lectures in Greece and abroad
- Supervision of doctoral theses: 3
- Supervision of graduate students: 1
- Supervision of undergraduate students: 8
- Editorial Board member of the international scientific journal Marine Drugs
- ➤ Deputy national representative in the management committee and member of COST Action CM1407 "Challenging organic syntheses inspired by nature: from natural products chemistry to drug discovery"
- ➤ Member of the COST Action CM1106 "Chemical approaches to targeting drug resistance in cancer stem cells"
- ➤ Deputy national representative in the management committee and member of COST Action CM0804 "Chemical biology with natural products"
- National representative in the management committee and member of COST Action CM0602 "Inhibitors of angiogenesis: design, synthesis and biological exploitation (ANGIOKEM)"
- Member of the scientific board of the "Sphingolipid club" (an international scientific organization with the aim of promoting and highlighting interdisciplinary research in the field of sphingolipids).

#### **RESEARCH GRANDS**

- ➤ Participation, in collaboration with other teams of the Institute of Biosciences & Applications and the Institute of Nanoscience and Nanomaterials at N.C.S.R. "DEMOKRITOS", in the research project "Rational Identification and Evaluation of Antifungals Targeting Quiescent Cells", with financial support from the Hellenic Foundation for Research and Innovation [Greece 2.0 Basic Research Financing Action (Horizontal support of all Sciences) Sub-action II Funding Projects in Leading-Edge Sectors]. 2024 today
- ➤ Scientific responsible and main researcher of the project "Synthesis of the main long-term dihydroxylated metabolite of LGD-4033 as reference material for doping control analysis" (21A17EP), with financial support from the World Anti-Doping Agency (WADA). 2022 2024
- ➤ Participation, in collaboration with other teams of the Institute of Nanoscience and Nanotechnology (I.N.N.), in the project "Development of materials and devices with applications in industry, health, the environment and culture" (MIS 5002567) of the Action "Strategic Development of Research and Technology Institutions", which was funded by the Operational Programme "Competitiveness, Entrepreneurship and Innovation" (NSRF 2014–2020), co-financed by Greece and the E.U. (E.F.R.D.). 2018 2021
- > Scientific responsible and main researcher of the project "Gli-mediated transcription inhibitors based on a furanoditerpenoid natural product" (3069-GliTerIn), which was submitted in the frame of the Action "Excellence II" of the NSRF 2007–2013, Operational Programme "Education and Lifelong Learning" and was selected by the G.S.R.T. for funding from National funds and funds from the European Social Fund–European Union. 2014 2015
- ➤ Scientific responsible and main researcher of the project "Comparative study of chemical and biochemical processes related to the pharmaceutical product Latanoprost", research grand from the Greek pharmaceutical company ALAPIS. 2010
- Scientific responsible and main researcher of the project "Structure—Activity correlation study of the effect of synthetic bastadins on neurons", in the frame of a Greece—Poland R&D cooperation programme. 2006 – 2008
- ➤ Participation, in collaboration with other teams of the Institute of Physical Chemistry (I.P.C.), in the project "Development of novel bioactive magnetic nanomaterials for the diagnosis and monitoring of diseases through MRI" (Regional Development Programme Attikis 2000-2006, Measure 1.2, Ref. No: ATT\_28). 2006 2008
- ➤ Participation, in collaboration with other teams of the Institute of Physical Chemistry (I.P.C.), in the project "Advanced Functional Materials", which was submitted in the frame of the Action "Promoting Excellence in Research and Technology Institutions overseen by the General Secretariat for Research and Technology (G.S.R.T.). 2002 2004

- ➤ Main researcher of the project "Identification and study of marine biotoxins in cultured cell fish", in the frame of a Greece-Italy R&D cooperation programme. 2002 2004
- ➤ Scientific responsible and main researcher of the project "Novel sphingomyelinase inhibitors based on natural products", in the frame of the IKYDA2001 Greece–Germany scientific cooperation programme. 2001 2003
- ➤ Main researcher of the project "In vitro and in vivo effect of synthetic bastadins on intracellular calcium stores of cerebellar neurons", in the frame of a Greece-Poland R&D cooperation programme. 2001 2003
- ➤ Marie-Curie Research Training Grant. The research proposal "Synthesis and study of designed self-assembling molecular tubes" (Proposal Nr ERB4001GT960337) was submitted in the frame of the European programme "Training and Mobility of Researchers" (TMR), it received 95.0 points out of a possible 100, and was selected for funding (the selection rate for the category was 22%). It was hosted at U.L.P. (Strasbourg, France) in the group of Prof. J.-M. Lehn. 1997 1999

#### SELECTED PUBLICATIONS

- ➤ E. N. Pitsinos,\* Y. S. Angelis,\* M. Petrou <u>Org. Biomol. Chem. 2022</u>, 20, 9112–9116. "Structure revision and chemical synthesis of ligandrol's main bishydroxylated long-term metabolic marker"
- K. C. Nicolaou,\* R. Li, Q. Chen, Z. Lu, E. N. Pitsinos, A. Schammel, B. Lin, C. Gu, H. Sarvaiya, R. Tchelepi, A. Valdiosera, J. Clubb, N. Barbour, V. Sisodiya, J. Sandoval, C. Lee, M. Aujay, J. Gavrilyuk <u>J. Am. Chem. Soc. 2020</u>, 142, 12890–12899. "Synthesis and biological evaluation of shishijimicin A-type linker-drugs and antibody–drug conjugates"
- ➤ E. N. Pitsinos,\* I. Mavridis, E. Tzouma, V. P. Vidali <u>Eur. J. Org. Chem. 2020, 4730–4742</u>. "Enantioselective synthesis of cassane-type furanoditerpenoids: Total synthesis of sucutiniranes C and D". Highlighted by Douglas Taber in the weekly newsletter <u>Org. Chem. Highlights 2021</u>, <u>August 23</u>.
- ➤ H. Zhang, R.Li, S. Ba, Z. Lu, E. N. Pitsinos,\* T. H Li,\*; K. C. Nicolaou\* J. Am. Chem. Soc. 2019, 141, 7842-7852. "DNA binding and cleavage modes of shishijimicin A"
- ➤ K. C. Nicolaou,\* R. Li, Z. Lu, E. N. Pitsinos, L. B. Alemany <u>J. Am. Chem. Soc. 2018, 140, 8091–8095</u>. "Total synthesis and full structural assignment of namenamicin"
- ➤ A. Antoniou, M. Chatzopoulou, M. Bantzi, C. M. Athanassopoulos, A. G. Giannis,\* E. N. Pitsinos\* <u>MedChemComm 2016</u>, 7, 2328–2331. "Identification of Gli-mediated transcription inhibitors through synthesis and evaluation of taepeenin D analogues"
- M. Chatzopoulou, A. Antoniou, E. N. Pitsinos,\* M. Bantzi, S. D. Koulocheri, S. A. Haroutounian, A. G. Giannis\* Org. Lett. 2014, 16, 3344-3347. "A fast entry to furanoditerpenoid-based Hedgehog signaling inhibitors: Identifying essential structural features"
- ➤ E. N. Pitsinos,\* N. Athinaios, V. P. Vidali <u>Org. Lett. 2012, 14, 4666–4669</u>. "Enantioselective total synthesis of (–)-laurenditerpenol"
- ➤ E. N. Pitsinos,\* N. Athinaios, Z. Xu, G. Wang, E.-I. Negishi\* <u>Chem. Commun. 2010</u>, 46, 2200–2202. "Total synthesis of (+)-scyphostatin featuring an enantioselective and highly efficient route to the side-chain via Zr-catalyzed asymmetric carboalumination of alkenes (ZACA)"
- ➤ E. N. Pitsinos,\* A. Cruz *Org. Lett.* 2005, 7, 2245–2248. "Short and efficient route to the fully functionalized core of scyphostatin"
- ➤ E. A. Couladouros,\* E. N. Pitsinos, V. I. Moutsos, G. Sarakinos <u>Chem. Eur. J. 2005</u>, 11, 406–421. "A general method for the synthesis of bastaranes and isobastaranes. First total synthesis of bastadins 5, 10, 12, 16, 20 and 21"
- ➤ E. N. Pitsinos, J.-M. Lehn,\* A. De Cian <u>Helv. Chim. Acta 2001</u>, 84, 22–31. "Vicinal tetraamines of defined geometry: Potential scaffolds for assembly"
- K. C. Nicolaou,\* K. B. Simonsen, G. Vassilikogiannakis, P. S. Baran, V. P. Vidali, E. N. Pitsinos, E. A. Couladouros <u>Angew. Chem. Int. Ed. 1999</u>, 38, 3555–3559. "Biomimetic explorations towards the bisorbicillinoids. Total synthesis of bisorbicillinol, bisorbibutenolide and trichodimerol"
- $\succ$  K. C. Nicolaou,\* C. W. Hummel, E. N. Pitsinos, M. Nakada, A. L. Smith, K. Shibayama, H. Saimoto <u>J. Am. Chem. Soc. 1992</u>, 114, 10082–10084. "Total synthesis of calicheamicin  $\gamma_1^I$ ". Highlighted by S. Borman in <u>Chemical & Engineering News 1992</u>, 70, 29–31