

Curriculum Vitae of Vassilis J. Demopoulos

I was born in 1954 in Kalamata, Greece. I graduated in 1977 from the School of Pharmacy, University of Athens (B. Pharm.). In January 1978 I was accepted as a graduate student (research assistant, grant from the National Institute of General Medical Sciences of U.S.A.) at the Department of Medicinal Chemistry and Natural Products, College of Pharmacy, University of Iowa, U.S.A. In August 1981 I obtained my Doctorate Degree. Thesis title: "Indole-derived fragments of ergot alkaloids as dopamine congeners". Thesis advisor: Professor J. G. Cannon. From September 1981 to September, 1982 I served as a postdoctoral research associate (grant from the Natural Sciences and Engineering Research Council of Canada) at the Department of Chemistry, Memorial University of Newfoundland, Canada. From October 1982 to August 1984 I served my military duties. In 1985 I was elected as a Lecturer in Pharmaceutical Chemistry, School of Pharmacy, University of Thessaloniki, Greece. In 1990 I was elected as an Assistant Professor, in 1995 I was became tenured, in 2003 I was elected as an Associate Professor, and in 2009 I was elected as a Professor.

From May 17th through 24th, 1998 I participated in the Second European Workshop in Drug Design, Siena, Italy (financially supported from Astra Hassle). From October 20th through 30th, 1998 and from December 10th through 22nd, 2006 I visited for research purposes the Institute of Experimental Pharmacology, Slovak Academy of Sciences (financially supported under the cultural agreement and a joint research project of Greece and Slovak Republic).

I was the supervisor of eleven post-graduate students (five for a Master's degree and six for a Ph.D. degree). They successfully defended their theses on September 2000, December 2000, October 2001, September 2003, October 2004, October 2005, September 2006, April 2010, June 2011, June 2012, and July 2012. Furthermore, I was the supervisor of two post-doctoral research associates during the periods of 2002-2003 and 2005-2007. At present, I am the supervisor of two post-doctoral research associates and one undergraduate student (diploma thesis).

My research is focused on the development of electron rich aldose reductase and protein glycation inhibitors (with potential in the treatment of the long term complications of diabetes mellitus), as well as in the development of dopaminergic (D2) and serotonergic (5-HT1A) agents. Also, my research is directed towards the exploration of novel reactions in substituting indoles and pyrroles and the implementation of new computational methodologies in the study of the physicochemical profile of the new chemical entities.

Through the years I have collaborated with several Laboratories, namely: 1) School of Pharmacy, The University of Iowa (Professor J. G. Cannon, Professor J. P. Long), 2) School of Chemistry, Memorial University of Newfoundland (Professor H. G. Anderson, Professor C. E. Loader), 3) Institute for Biological Research, Belgrade (Dr. J. Joksimovic, Dr. V. Soskic), 4) Institute of Experimental Pharmacology, Slovak Academy of Sciences (Professor M. Stefek), 5) Pharmazeutisches Institut der Universitat Bonn (Professor J. Lehmann), 6) Laboratory of Organic Chemistry, Chemistry Department, A.U.Th. (Professor I. Stefanidou-Stefanatou), 7) Institute of Organic and Pharmaceutical Chemistry (Dr. D. Papahatzis), 8) Faculty of Pharmacy, University of Ljubljana (Professor A. Kristl), 9) National Cancer Institute (USA), 10) Dow Elamco (USA) και 11) SPECS/BioSPECS (The Netherlands).

I was the Greek representative in the Management Committee of the COST Action, Domain: Biomedicine and Molecular Biosciences, Action B35: Lipid Peroxidation Associated Disorders: LPO, 2006-2010.

I am member of the Editorial Board of the journal Pharmakeftiki and also a referee in Journal of Medicinal Chemistry, Bioorganic and Medicinal Chemistry, European Journal of Medicinal Chemistry, and Archiv der Pharmazie..

I am member of The European Society for Medicinal Chemistry, The European Federation for Pharmaceutical Sciences, The Medicinal Chemistry Section of The American Chemical Society, The Panhellenic Association of Pharmacists and the Hellenic Society of Medicinal Chemistry (since 1998 Deputy Member of the Executive Committee).

I participated in the Organizing Committee of the 14th Hellenic Symposium of Medicinal Chemistry, 23-25 April, 2010, Thessaloniki, Greece and organized lectures by visiting scientists in the Department of Pharmaceutical Chemistry.

I also practice teaching since 1985 in undergraduate and graduate studies in the Pharmaceutical Chemistry Department of the School of Pharmacy (A.U.Th.) on the subject of Pharmaceutical Chemistry and I am the writer of eight monographs on the same field.

Most Significant Publications

- 1) J. G. Cannon, B. J. Demopoulos, J. P. Long, J. R. Flynn, F. M. Sharabi, "Proposed dopaminergic pharmacophore of Lergotriole, Pergolide and related ergot alkaloid derivatives", *J. Med. Chem.*, **24**, 238-240 (1981) [DOI: 10.1021/jm00135a002].
- 2) B. J. Demopoulos, H. J. Anderson, C. E. Loader, K. Faber, "Pyrrole chemistry XXVI. A synthesis of porphobilinogen from pyrrole", *Can. J. Chem.*, **61**, 2415-2422 (1983) [DOI: 10.1139/v83-417].
- 3) V. J. Demopoulos, "Synthesis of 3-(2-aminoethyl)pyrrole derivatives", *J. Heterocyclic Chem.*, **25**, 635-638 (1988) [DOI: 10.1002/jhet.5570250249].
- 4) V. J. Demopoulos, "A one-step conversion of certain indole and pyrrole glyoxylic acid esters to the corresponding acetates", *Synth. Commun.*, **19**, 2585-2594 (1989) [DOI: 10.1080/00397918908052659].
- 5) E. Alexandrou, E. Rekka, V. J. Demopoulos, "The AlCl₃ catalyzed benzylation of ethyl pyrrole-2-acetate: An unusual β -substitution", *Synth. Commun.*, **22**, 761-766 (1992) [DOI: 10.1080/00397919208019277].
- 6) V. J. Demopoulos, E. Rekka, "Effect of certain aminoethylpyrroles on carrageenan-induced inflammation and on lipid peroxidation. Some structural aspects", *J. Pharm. Pharmacol.*, **46**, 740-744 (1994) [DOI: 10.1111/j.2042-7158.1994.tb03894.x].
- 7) V. J. Demopoulos, E. Rekka, "Isomeric benzoylpyrroleacetic acids: Some structural aspects for aldose reductase inhibitory and anti-inflammatory activities", *J. Pharm. Sci.*, **84**, 79-82 (1995) [DOI: 10.1002/jps.2600840119].
- 8) V. J. Demopoulos, A. Gavalas, G. Rekas, Ek. Tani, "Synthesis of 6,7,8,9-tetrahydro-N,N-di-n-propyl-1H-benz[g]indol-7-amine, a potential dopamine receptor agonist", *J. Heterocyclic Chem.*, **32**, 1145-1148 (1995) [DOI: 10.1002/jhet.5570320408].
- 9) V. J. Demopoulos, I. Nicolaou, "Electrophilic substitution of indoles on the benzene moiety: A synthesis of 5-acyl- and 5-aryloindoles", *Synthesis*, 1519-1522 (1998) [DOI: 10.1055/s-1998-2167].
- 10) I. Nicolaou, V. J. Demopoulos, "A study of the Friedel-Crafts acylation of 1-benzenesulfonyl-1H-pyrrole in the preparation of 3-arylopyrroles", *J. Heterocyclic Chem.*, **35**, 1345-1348 (1998) [DOI: 10.1002/jhet.5570350619].
- 11) I. Nicolaou, V. J. Demopoulos, "Substituted pyrrol-1-yl-acetic acids which combine aldose reductase enzyme inhibitory activity and ability to prevent the non enzymatic irreversible modification of proteins from monosaccharides", *J. Med. Chem.*, **46**, 417-426 (2003) [DOI: 10.1021/jm0209477].
- 12) V. J. Demopoulos, I. Nicolaou, Ch. Zika, "A facile synthesis of 1-(6-Hydroxyindol-1-yl)-2,2-dimethylpropan-1-one", *Chem. Pharm. Bull.*, **51**, 98-99 (2003) [DOI: 10.1248/cpb.51.98].
- 13) I. Nicolaou, C. Zika, V. J. Demopoulos, "[1-(3,5-Difluoro-4-hydroxyphenyl)-1H-pyrrol-3-yl]phenylmethanone as bioisostere of a carboxylic acid aldose reductase inhibitor", *J. Med. Chem.*, **47**, 2706-2709 (2004) [DOI: 10.1021/jm031060t].
- 14) P. Alexiou, I. Nicolaou, M. Stefek, A. Kristl, V. J. Demopoulos, "Design and synthesis of N-(3,5-difluoro-4-hydroxyphenyl)benzenesulfonamides as aldose reductase inhibitors", *Bioorg. Med. Chem.*, **16**, 3926-3932 (2008) [DOI: 10.1016/j.bmc.2008.01.042].
- 15) P. Alexiou, K. Pegklidou, M. Chatzopoulou, I. Nicolaou, V. J. Demopoulos, "Aldose Reductase Enzyme and its Implication to Major Health Problems of the 21(st) Century", *Curr. Med. Chem.*, **16**, 734-752 (2009) [DOI: 10.2174/092986709787458362].
- 16) K. Pegklidou, C. Koukoulitsa, I. Nicolaou, V. J. Demopoulos, "Design and synthesis of novel series of pyrrole based chemotypes and their evaluation as selective aldose reductase inhibitors. A case of bioisosterism between a carboxylic acid moiety and that of a tetrazole", *Bioorg. Med. Chem.*, **18**, 2107-2114 (2010) [DOI: 10.1016/j.bmc.2010.02.010].
- 17) P. Alexiou, M. Chatzopoulou, K. Pegklidou, V. J. Demopoulos, "RAGE: A multi-ligand receptor unveiling novel insights in health and disease", *Curr. Med. Chem.*, **17**, 2232-2252 (2010) [DOI: 10.2174/092986710791331086].
- 18) P. Alexiou, V. J. Demopoulos, "A diverse series of substituted benzenesulfonamides as aldose reductase inhibitors with antioxidant activity: Design, synthesis, and in vitro activity", *J. Med. Chem.*, **53**, 7756-7766 (2010) [DOI: 10.1021/jm101008m].
- 19) M. Chatzopoulou, E. Mamadou a, M. Juskova, C. Koukoulitsa, I. Nicolaou, M. Stefek, V. J. Demopoulos, "Structure-activity relations on [1-(3,5-difluoro-4-hydroxyphenyl)-1H-pyrrol-3-yl]phenylmethanone. The effect of methoxy substitution on aldose reductase inhibitory activity and selectivity", *Bioorg. Med. Chem.*, **19**, 1426-1433 (2011) [DOI: 10.1016/j.bmc.2011.01.009].

- 20) M. Chatzopoulou, P. Alexiou, E. Kotsampasakou, V. J. Demopoulos, "Novel aldose reductase inhibitors: A patent survey (2006 present)", *Expert Opin. Ther. Pat.*, 22, 1303-1323 (2012) [DOI:10.1517/13543776.2012.726615].
- 21) E. Kotsampasakou, V. J. Demopoulos, "Synthesis of derivatives of the keto-pyrrolyl-difluorophenol scaffold: Some structural aspects for aldose reductase inhibitory activity and selectivity" *Bioorg. Med. Chem.*, 21, 869-873 (2013) [DOI: 10.1016/j.bmc.2012.12.015].
- 22) M. Chatzopoulou, E. Kotsampasakou, V. J. Demopoulos, "A Clauson-Kaas type synthesis of pyrrolyl-phenols, from the hydrochlorides of aminophenols, in the presence of nicotinamide", *Synth. Commun.*, in press (2013) [DOI: 10.1080/00397911.2012.753460].

Patents

M. Stefek, V. Snirc, V. Demopoulos, P. Djoubissie, L. Rackova, M. Majekova, C. Karasu, "Carboxymethylated pyridoindoles as aldose reductase inhibitors and antioxidants of zwitterionic nature", *Slovak Patent Registration PP 98-2005*.

Invited Talks

- 1) Yerevan, CANDLE, 18/07/2006, "Novel chemotypes in pharmacochemical approaches".
- 2) Bratislava, 15/12/2006, "Difluorophenol based chemotypes with a putative use in the treatment of the long-term diabetic complications".
- 3) Athens, EIE/IOΦX, 24/4/2007, "Chemotypes as putative inhibitors of the polyol metabolic pathway".
- 4) 13th Panhellenic Symposium in Medicinal Chemistry, 15/3/2008, Athens, "Chemotypes as putative inhibitors of the polyol metabolic pathway".

Funded Research Projects

1994-1996, Principal Investigator in the PENED91ED883 grant entitled "Synthesis of new tetrahydrobenz[g]indolamines as putative anti-Parkinson agents", funded by the European Union, through the General Secretariat of Research and Technology of Greece [6,000000 GDR (approximately 18,500 €)].

1999-2001, Principal Investigator in the PENED99ED427 grant entitled "Development of new organic materials with special biological applications for the prevention-treatment of the long term complications of diabetes mellitus, through the antagonism of the polyol system and the irreversible gluco(fructo)-oxidative modification of proteins", funded by the European Union, through the General Secretariat of Research and Technology of Greece [40,000000 GDR (approximately 117,400 €)].

2003-2005, Principal Investigator in the P.D.A., E.P.AN.-meter 4.3.6.1., code 200SE 01330005 grant under the framework of Scientific and Technological Collaboration between Greece and Slovenia entitled "Preparation and evaluation of new organic substances, with improved physicochemical and biological profile, for the treatment of certain central nervous system (CNS) pathologies", funded by the European Union, through the General Secretariat of Research and Technology of Greece [11,740 €].

2005-2007, Principal Investigator in the P.D.A., E.P.AN.-meter 4.3.6.1., code 200SE 01330005 grant under the framework of Scientific and Technological Collaboration between Greece and Slovakia entitled "Computational design and preparation of 2,6-difluoro-4-pyrrol-1-yl-phenol derivatives as non-carboxylic acid aldose reductase inhibitors: Preclinical implications for pharmacological prevention of diabetic complications", funded by the European Union, through the General Secretariat of Research and Technology of Greece [11,740 €].