

Dr. VASSILIOS TSIKARIS, PROFESSOR

i. CURRICULUM VITAE

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1958: Born in Meg. Kerassia, Kalambaka, Greece. **1977-1982:** Diploma in Chemical Engineering with the distinction of "excellent" in the Institut Polytechnic "Gh. Ashaki" in Roumania. **1985-1988:** Doctoral thesis entitled "Arginine Sequential Polypeptides as Histone models. Studies on their Synthesis, Conformation and Interactions with DNA. Circular Dichroism Spectroscopy", in the Chemistry Department, Section of Organic Chemistry and Biochemistry, University of Ioannina, Greece.

Actual address: University of Ioannina, Dept. of Chemistry, Section of Organic Chemistry and Biochemistry, 45110 Ioannina. Tel: +3026510-08383 FAX: +3026510-08789, e-mail: btsikari@cc.uoi.gr.

Post doctoral research fellowships: **1986** (2 months), **1987** (3 months), **1988** (2 months), **1989** (6 ½ months), **1990** (2 months), **1991** (2 months), **1992** (2 ½ months), **1993** (3 months) and **1994** (5 months). Short term post doctoral research fellowships in NMR, CD and IR spectroscopy in the «Institut National Polytechnique de Lorraine, ENSIC-INPL, CNRS UA 494, Nancy, France, supported by E.C., EMBO and FEBS.

Professional Employment:

1989-1994: Lecturer in the Chemistry Department of the University of Ioannina, Greece. **1994-1999:** Assistant Professor in the same department. **1999-2006:** Associate Professor in the same department **2006-Today:** Professor in the same Department.

2010-2014: Head of the Department of Chemistry, University of Ioannina.

Academic Activities: Teaching Organic Chemistry, Peptide Chemistry and spectroscopy in the Chemistry Department of the University of Ioannina (**1989-today**) in the graduate and post-graduate courses and laboratories of Organic Chemistry (**1994-today**).

Supervisor of 12 concluded and 2 ongoing PhD Theses.

Supervisor of 23 concluded Master's Theses.

Participation in many Advisory and Examination Committees.

Publications: In International Journals: **90**. In International Books: **8**. In the Proceedings of International Congresses: **69**. Abstracts in International and Greek Congresses: more than **230**. **Citations:** more than **1370**. **h-index:** **21**. Results from Scopus (9/2021)

Research Interests: Peptide chemistry:

1. Design, synthesis and structure elucidation of biologically active peptides (Myasthenia gravis, Leishmania, LHRH agonists, Antithrombotic agents, cell adhesion).
2. Development of new artificial carriers of immunogens/antigens
3. Design and production of specific antibodies. Development of vaccines
4. Development of new diagnostic tools.
5. Development of new cell penetrating carriers for specific intracellular delivery of drugs.

Reviewer in a number of peer review scientific journals (Selected Journals): *Journal of Combinatorial Chemistry, BBA - Proteins and Proteomics, Biopolymers, Angewandte Chemie, Bioconjugate Chemistry, J. Peptide Sci. etc.*

Oral Presentations in International and National Congresses

4 Main Lectures (Invited)

13 Short oral presentations

Participation in European and Greek funded research projects: 34

Keywords: Peptide synthesis, NMR, Circular Dichroism, Myasthenia gravis, Leishmania, GPIIb/IIIa inhibitors, Complimentary peptides, Mylopeptides, Artificial carriers, SOC carriers, immunogenic constructs.

ii. List of publications

1. «Circular Dichroism Studies of Synthetic Polypeptides as Histone Models: Poly(L-Arg-L-Ile-Gly), Poly(L-Arg-L-Nva-Gly) and Poly(L-Arg-L-Nle-Gly)». V. Tsikaris, M. Sakarellos-Daitsiotis, C. Sakarellos, and M. Marraud. *Biopolymers*, **27**, 213-229 (1988).
2. «Circular Dichroism Studies of Sequential Polypeptides Poly(L-Arg-X-Gly) when X=L-Ala, Val, Leu, Ile, Nva, Nle in Amphiphilic Environments». V. Tsikaris, M. Sakarellos-Daitsiotis, E. Panou-Pomonis, C. Sakarellos, and M. Marraud. *Int. J. Peptide Protein Res.*, **33**, 195-201 (1989).
3. «2D-NMR Study of Synthetic MIR-decapeptides Related to the Myasthenia Gravis Disease». M.T. Cung, M. Marraud, E. Bairaktari, I. Hadjidakis, V. Tsikaris, C. Sakarellos, I. Papadouli, S. Potamianos, and S.J. Tzartos. *Bull. Magn. Res.*, **11**, 381 (1989).
4. «Antigenic Role of Single Residues within the Main Immunogenic Region of the Nicotinic Acetylcholine Receptor». I. Papadouli, S. Potamianos, I. Hadjidakis, E. Bairaktari, V. Tsikaris, C. Sakarellos, M.T. Cung, M. Marraud, and S.J. Tzartos. *Biochemical J.*, **269**, 239-245 (1990).
5. «Myasthenia Gravis, Studies with Monoclonal Antibodies against the Acetylcholine Receptor». S.J. Tzartos, M.T. Cung, A. Kordossi, H. Loutrari, M. Marraud, I. Papadouli, C. Sakarellos, D. Sophianos, and V. Tsikaris. *Epitheorese klinikes farmakologias and Farmakokinetikes, International Edition*, **4**, 148-163, (1990).
6. «The main Immunogenic Region of the Acetylcholine Receptor. Structure and Role in Myasthenia Gravis». S.J. Tzartos, T. Barkas, M.T. Cung, A. Cordossi, E. Loutrari, M. Marraud, I. Papadouli, C. Sakarellos, D. Sophianos, and V. Tsikaris. *Autoimmunity*, **8**, 259-270 (1991).
7. «2D-¹H NMR Study of Antigen-Antibody Interactions: Binding of Synthetic Decapeptides to an Anti-Acetylcholine Receptor Monoclonal Antibody». M.T. Cung, P. Demange, M. Marraud, V. Tsikaris, C. Sakarellos, I. Papadouli, A. Kokla, and S.J. Tzartos. *Biopolymers* **31**, 769-776 (1991).
8. «The Significance of Bound Residual Water in the DMSO Solution Structure of Stable Peptide Hydrates». V. Tsikaris, M. Sakarellos-Daitsiotis, N. Theophanidis, C. Sakarellos, M.T. Cung and M. Marraud. *J. Chem. Soc. Perkin Trans. 2*, 1353-1357 (1991).
9. «Changes in the CD Spectra of Calf Thymus DNA induced by Sequential Polypeptides in Aqueous Solutions. Part I». V. Tsikaris, E. Panou-Pomonis, C. Sakarellos, M. Marraud, and M. Sakarellos-Daitsiotis *Int. J. Biol. Macromol.* **13**, 349-354 (1991).
10. «Changes in the CD Spectra of calf Thymus DNA Induced by Sequential polypeptides in Trifluoroethanol Solutions. Part II». E. Panou-Pomonis, V. Tsikaris, C. Sakarellos, M. Marraud and M. Sakarellos-Daitsiotis *Int. J. Biol. Macromol.* **13**, 355-358 (1991).
11. «The Main Immunogenic Region (MIR) of the Nicotinic Acetylcholine Receptor and the Anti-MIR Antibodies». S.J. Tzartos, M.T. Cung, P. Demange, H. Loutrari, A. Mamalaki, M. Marraud, I. Papadouli, C. Sakarellos and V. Tsikaris. *Molecular Neurobiology*, **5**, 1-29 (1991).
12. «Etude par RMN-2D des Interactions Antigene-Anticorps: Reconnaissance des Analogues Decapeptidiques du Fragment a67-76 du Recepteur RACh par les Anticorps anti-RACh». M.T. Cung, M. Marraud, V. Tsikaris, C. Sakarellos, I. Papadouli et S.J. Tzartos. *J. Chim. Phys.* **89**, 167-173 (1992).
13. «2D-NMR and Molecular Dynamics Analysis of the Torpedo Californica Acetylcholine Receptor a67-76 Fragment and of its [Ala76] Analogue». M.T. Cung, V. Tsikaris, P. Demange, I. Papadouli, S.J. Tzartos, C. Sakarellos, and M. Marraud. *Peptide Research*, **5**, 14-24 (1992).
14. «¹⁷O-NMR and FT-IR Study of the Ionization State of Peptides in Aprotic Solvents. Application to Leu-Enkephalin». I.P. Gerohanassis, N. Birlirakis, T. Karayannis, V. Tsikaris, M. Sakarellos-Daitsiotis, C. Sakarellos, B. Vitoux, and M. Marraud. *FEBS Letters*, **298**, N° 2,3, 188-190 (1992).
15. «¹H-NMR Studies on Arginine Tripeptides: Evidence for Guanidinium-C-Terminal Carboxylate Interactions». V. Tsikaris, M. Sakarellos-Daitsiotis, E. Panou-Pomonis, E. Detsikas, C. Sakarellos, M.T. Cung, and M. Marraud. *Peptide Res.*, **5**, N° 2, 110-114 (1992).
16. «The Ser-Arg-Tyr-Asp Region of the Major Surface Glycoprotein of Leishmania Mimics the Arg-Gly-Asp-Ser Cell Attachment Region of Fibronectin». K.P. Soteriadou, M.S. Remoundos, M.C. Kotsikas, A.K. Tzinia, V. Tsikaris, C. Sakarellos and S.J. Tzartos. *J. Biol. Chem.*, **267**, No20, 13980-13985 (1992).
17. «Interactions of an Immunogenic Decapeptide Fragment of the Neuromuscular Acetylcholine Receptor (AChR) with a Monoclonal Anti-AChR Antibody». M. Marraud, P. Demange, M.T. Cung, V. Tsikaris, C. Sakarellos, E. Vatzaki and J. Tzartos. *Biochem. Soc. Trans.*, **20**, 837-839 (1992).
18. «Cyclic Lactam Analogues Containing the Main Immunogenic Region (MIR) of Torpedo Acetylcholine Receptor (AChR)». E. Detsikas, V. Tsikaris, M. Sakarellos-Daitsiotis, C. Sakarellos, M.T. Cung, M. Marraud, E. Vatzaki, and S.J. Tzartos. *Peptide Res.*, **6** N° 1, 17-23 (1993).
19. «Conformational Requirements for Molecular Recognition of AChR MIR Analogues by Monoclonal Anti-MIR Antibody: α2D-NMR and Molecular Dynamics Approach» V. Tsikaris, E.

- Detsikas, M. Sakarellos-Daitsiotis, C. Sakarellos, E. Vatzaki, J. Tzartos, M. Marraud and M.T. Cung. *Biopolymers*, 33, 1123-1134 (1993).
20. «¹H-NMR Studies on the Preferred Interactions of Guanidinium and C-Terminal Carboxylate Groups in Arginine Containing Peptides». V. Tsikaris, M. Sakarellos-Daitsiotis, E. Panou-Pomonis, C. Sakarellos and M.T. Cung. *J. Chem. Soc. Perkin Trans.* 2, 1345-1349 (1993).
 21. «NMR Study on a SRYD-Containing Fibronectin-like Sequence (250-257) of Leishmania gp63]: Contribution of Residual Water in the Dimethyl Sulfoxide Solution Structure». V. Tsikaris, M.T. Cung, C. Sakarellos, A.K. Tzinia, K.P. Soteriadou and M. Sakarellos-Daitsiotis. *J. Chem. Soc. Perkin Trans* 2, 821-826 (1994).
 22. «Conformational Properties of the Arg-Leu-Gly Tripeptide-DMSO-Water Clusters with the Combined use of Molecular Dynamics and Energy Minimization Studies». I. Demetropoulos, A. Tsibiris, V. Tsikaris, M. Sakarellos-Daitsiotis and C. Sakarellos. *J. Biomol. Struct. Dynamics*, 12, No 4, 755-765 (1995).
 23. «Conformational Properties and Biological Activity of Torpedo Acetylcholine MIR-Analogues». M. Sakarellos-Daitsiotis, V. Tsikaris, E. Detsikas, C. Sakarellos, E. Vatzaki, S. Tzartos, M. Marraud and M.T. Cung. *Epitheorese klinikes Farmakologias and Farmakokinetikes, International Edition*, 9, 109-111, (1995).
 24. «Antigenicity and Conformational Analysis of the Zinc-Binding Sites of two Zinc-Metalloproteases: Leishmania gp63 and Mammalian Endopeptidase-24.11». K. Soteriadou, A. Tzinia, E. Panou-Pomonis, V. Tsikaris, M. Sakarellos-Daitsiotis, C. Sakarellos, G. Papapoulou and R. Matsas. *Biochemical J.*, 313, 455-466 (1996).
 25. «Concept and Design of a New Class of Sequential Oligopeptide Carriers (SOC) for Covalent Attachment of Multiple Antigenic Peptides». V. Tsikaris, C. Sakarellos, M.T. Cung, M. Marraud and M. Sakarellos-Daitsiotis. *Biopolymers*, 38, 291-293 (1996).
 26. «Isomerization of the Xaa-Pro peptide Bond Induced by Ionic Interactions of Arginine». V. Tsikaris, M. Sakarellos-Daitsiotis, D. Tzovaras, C. Sakarellos, P. Orlewski, M.T. Cung and M. Marraud. *Biopolymers*, 38, 673-682 (1996).
 27. «Immunoreactivity and Conformation of the P-P-G-M-R-P-P Repetitive Epitope of the Sm Autoantigen». V. Tsikaris, P.G. Vlachoyiannopoulos, E. Panou-Pomonis, M. Marraud, C. Sakarellos, H.M. Moutsopoulos and M. Sakarellos-Daitsiotis. *Int. J. Pept. Prot. Res.*, 48, 319-327, (1996).
 28. «Construction of a New Class of Sequential Oligopeptide Carriers (SOCn) for Multiple Anchoring of Antigenic Peptides. Applications to Main Immunogenic Region (MIR) of the acetylcholine receptor (AChR)». V. Tsikaris, C. Sakarellos, M. Sakarellos-Daitsiotis, M.T. Cung, M. Marraud, E. Vatzaki and S. Tzartos. *Int. J. Biol. Macromol.*, 19, 195-205, (1996).
 29. «Conformational and Antigenic Properties of SRYD Containing Peptide Analogs of Leishmania gp63 Adhesion Site». M. Sakarellos-Daitsiotis, E. Panou-Pomonis, C. Sakarellos, M.T. Cung, M. Marraud, A.K. Tzinia, K. Soteriadou and V. Tsikaris. *Lett. Pept. Sci.*, 3, 200-208 (1996).
 30. «Compared Structures of the Free AChR MIR Decapeptide and the Antibody-Bound [A76] MIR Analogue. A Molecular Dynamics Simulation from 2D-NMR Data». P. Orlewski, M. Marraud, M.T. Cung, V. Tsikaris, M. Sakarellos-Daitsiotis, C. Sakarellos, E. Vatzaki, S.J. Tzartos. *Biopolymers*, 40, 419-432 (1996).
 31. «Solution Structure of a SRYD-Containing Sequence (250-257) of the Fibronectin-like Leishmania gp63 Protein by NMR and Restrained Molecular Dynamics». P. Orlewski, V. Tsikaris, M. Sakarellos-Daitsiotis, C. Sakarellos, K.P. Soteriadou, M. Marraud and M.T. Cung. *Lett. Pept. Sci.*, 3, 317-326, (1996).
 32. «Use of Sequential Oligopeptide Carriers (SOCn) in the Design of Potent Leishmania gp63 Immunogenic Peptides». V. Tsikaris, C. Sakarellos, M. Sakarellos-Daitsiotis, M.T. Cung, M. Marraud, G. Konidou, A. Tzinia and K.P. Soteriadou. *Peptide Research*, 9, No 5, 240-247 (1996).
 33. «Design, Synthesis and Catalytic Activity of a Serine Protease Synthetic Model». A. Stavrakoudis, I.N. Demetropoulos, C. Sakarellos, M. Sakarellos-Daitsiotis, and V. Tsikaris. *Lett. Pept. Sci.*, 4, 481-487 (1997).
 34. «TR-NOE and MD Studies of Leishmania GP63 SRYD-Containing Sequences Bound to Anti-SRYD Monoclonal Antibody». V. Tsikaris, M.C. Petit, P. Orlewski, M. Sakarellos-Daitsiotis, C. Sakarellos, A. Tzinia, G. Konidou, K.P. Soteriadou, M. Marraud and M.T. Cung. *Lett. Pept. Sci.*, 4, 323-330 (1997).
 35. «The PPGMRPP Repetitive Epitope of the Sm Autoantigen: Antigenic Specificity Induced by Conformational Changes. Application of the Sequential Oligopeptide Carriers (SOCs)». C. Sakarellos, V. Tsikaris, E. Panou-Pomonis, Ch. Alexopoulos, M. Sakarellos-Daitsiotis, C. Petrovas, P.G. Vlachoyiannopoulos and M. Moutsopoulos. *Lett. Pept. Sci.*, 4, 447-454, (1997).

36. «Solution Structures of the Fibronectin-Like Leishmania gp63 SRYD-containing Sequence in the Free and Antibody-Bound States. Transferred NOE and Molecular Dynamics Studies». M.-C. Petit, P. Orlewski, V. Tsikaris, M. Sakarellos-Daitsiotis, C. Sakarellos, A. Tzinia, G. Konidou, K.P. Soteriadou, M. Marraud and M.T. Cung. *Eur. J. Biochem.* 253, 184-193, (1998).
37. «A Major Sm Epitope Anchored to Sequential Oligopeptide Carriers in a Suitable Antigenic Substrate to Detect Anti-Sm Antibodies». C.J. Petrovas, P.G. Vlachoyiannopoulos, A.G. Tzioufas, Ch. Alexopoulos, V. Tsikaris, M. Sakarellos-Daitsiotis, C. Sakarellos and H.M. Moutsopoulos. *J. Immunol. Methods* 220, 59-68 (1998).
38. «Structural, Molecular and Immunological Properties of Linear B-cell Epitopes of Ro60KD Autoantigen». J.G. Routsias, M. Sakarellos-Daitsiotis, V. Tsikaris, C. Sakarellos, H.M. Moutsopoulos and A.G. Tzioufas. *Scand. J. Immunol.*, 47, 280-287 (1998).
39. «The value of Synthetic Linear Epitope Analogues of La/SSB for the Detection of Autoantibodies to La/SSB. Specificity, Sensitivity and Comparison of Methods». E.E. Yiannaki, A.G. Tzioufas, M. Bachmann, J. Hantoumi, V. Tsikaris, M. Sakarellos-Daitsiotis, C. Sakarellos and H.M. Moutsopoulos. *Clin. Exp. Immunol.*, 112, 152-158 (1998).
40. «Anatomy of the Antigenic Structure of a Large Membrane Autoantigen, the Muscle-Type Nicotinic Acetylcholine Receptor». S.J. Tzartos, T. Barkas, M.T. Cung, A. Mamalaki, M. Marraud, P. Orlewski, D. Papanastasiou, C. Sakarellos, M. Sakarellos-Daitsiotis, P. Tsantili and V. Tsikaris. *Immunological Reviews* 163, 89-120 (1998).
41. "Peptide Carrier: A New Helicoid-Type Sequential Oligopeptide Carrier (SOCn) for Multiple Anchoring of Antigenic Immunogenic Peptides". M. Sakarellos-Daitsiotis, V. Tsikaris, P.G. Vlachoyiannopoulos, A.G. Tzioufas, H.M. Moutsopoulos, and C. Sakarellos. *Methods* 19, 133-141 (1999).
42. "The 3D Structure of the Complex Between an Fv Antibody Fragment and an Analogue of the Main Immunogenic Region of the Acetylcholine Receptor: A Combined 2D-NMR Homology and Molecular Modeling Approaches". J. Kleinjung, M.-C. Petit, P. Orlewski, A. Mamalaki, S.J. Tzartos, V. Tsikaris, M. Sakarellos-Daitsiotis, C. Sakarellos, M. Marraud and M.T. Cung. *Biopolymers* 53, 113-128 (2000).
43. "A new helicoid-type sequential oligopeptide carrier (SOCn) for developing potent antigens and immunogens" M. Sakarellos-Daitsiotis, V. Tsikaris, C. Sakarellos, P.G. Vlachoyiannopoulos, A.G. Tzioufas, H.M. Moutsopoulos. *Vaccine* 18, 302-310 (2000).
44. "Arg Side-Chain-Backbone Interactions Evidenced in Model Peptides by ¹⁷O-NMR Spectroscopy". V. Tsikaris, A. Troganis, V. Moussis, E. Panou-Pomonis, M. Sakarellos-Daitsiotis, C. Sakarellos. *Biopolymers* 53, 135-139 (2000).
45. "No Evidence of Epitope Spreading After Immunization with the Major Sm Epitope P-P-G-M-R-P-P Anchored to Sequential oligopeptide Carriers (SOCs)". P.G. Vlachoyiannopoulos, C. Petrovas, A.G. Tzioufas, Ch. Alexopoulos, V. Tsikaris, A. Guialis, L. Nakopoulou, M. Sakarellos-Daitsiotis, C. Sakarellos, P. Davaris and M. Moutsopoulos. *J. Autoimmunity* 14, 53-61, (2000).
46. "The Position of the LysNeH2-Grafted Antigens Along the Sequential Oligopeptide carrier, Ac-(Aib-Lys-Aib-Gly)n (SOCn-II), Influences the Antibody Recognition: Application to the Sm Main Autoimmune Epitope". Ch. Alexopoulos, V. Tsikaris, C. Rizou, M. Sakarellos-Daitsiotis, C. Sakarellos, M.T. Cung, M. Marraud, P.G. Vlachoyiannopoulos, H.M. Moutsopoulos. *Biopolymers* 54, 1-10 (2000).
47. «A slow rate exchange process allows the detection of both carbonyl (C=O) and hydroxyl (-OH) ¹⁷O nuclear magnetic resonances of the carboxylic group». V. Tsikaris, V. Moussis, M. Sakarellos-Daitsiotis and C. Sakarellos. *Tetrahedron Letters* 41, 8651-8654 (2000).
48. «A diepitopic sequential oligopeptide carrier (SOCn) as mimic of the Sm autoantigen: synthesis, conformation and biological assays». Ch. Alexopoulos, V. Tsikaris, C. Rizou, E. Panou-Pomonis, M. Sakarellos-Daitsiotis, C. Sakarellos, P.G. Vlachoyiannopoulos and H. M. Moutsopoulos. *J. Peptide Sci.*, 7, 105-114 (2001).
49. «A Three Residue Cyclic Scaffold of non-RGD Containing Peptide Analogs as Platelet Aggregation Inhibitors: Design, Synthesis and Structure-Function Relationships». A. Stavrakoudis, G. Bizos, D. Eleftheriadis, A. Kouki, E. Panou-Pomonis, M. Sakarellos-Daitsiotis, C. Sakarellos, D. Tsoukatos and V. Tsikaris. *Biopolymers*, 56, 20-26 (2001).
50. «Design, Synthesis and Conformational Study of Biologically Active Photolabeled Analogues of the Main Immunogenic Region of the Acetylcholine Receptor». V. Theodorou, V. Tsikaris, M. Sakarellos-Daitsiotis, V. Avramopoulou, K. Kostelidou, S.J. Tzartos and C. Sakarellos. *Biopolymers*, 56, 37-46 (2001).
51. «A convenient and rapid method for the selective oxygen-17 enrichment of aspartyl peptides during solid-phase synthesis». V. Theodorou-Kassioumis, N. Biris, C. Sakarellos and V. Tsikaris. *Tetrahedron Letters*, 42, 7703-7705 (2001).

52. «Conformational study of the complementary peptide to a B-cell epitope of the La/SSB autoantigen». Ch. Hemmerlin, A. Phan Chan Du, Z. Elthilali, A. Moulia, **V. Tsikaris**, M. Sakarellos-Daitsiotis, C. Sakarellos, E. Dotsika, A.G. Tzioufas, H.M. Moutsopoulos and M.T. Cung. *C. R. Acad. Sci. Paris, Chimie/Chemistry* 4, 729-733 (2001).
53. «Unmasking the anti-La/SSB response in sera from patients with Sjogren's Syndrome by specific blocking of anti-idiotypic antibodies to La/SSB antigenic determinants». J. G. Routsias, E. Touloupi, E. Dotsika, A. Moulia, **V. Tsikaris**, C. Sakarellos, M. Sakarellos-Daitsiotis, H. M. Moutsopoulos and A. G. Tzioufas. *Mol. Med.*, 8, 293-305 (2002).
54. «Multiple anchoring of myelopeptides on sequential oligopeptide carriers (SOC_n): synthesis, conformation and studies in human leukaemia cells». D. Keramisanou, **V. Tsikaris**, M. Sakarellos-Daitsiotis, C. Sakarellos, A. A. Mikhailova, L. A. Strelkov. *J. Peptide Res.*, 60, 178-185 (2002).
55. «Computational screening of branched cyclic peptide motifs as potential Enzyme mimetics». A. Stavrakoudis, S. Makropoulou, **V. Tsikaris**, M. Sakarellos-Daitsiotis, C. Sakarellos, and I.M. Demetropoulos. *J. Peptide Sci.*, 9, 145-155 (2003).
56. «The Ac-RGD-NH₂ peptide as a probe of slow conformational exchange of short linear peptides in DMSO». N. Biris, A. Stavrakoudis, A.S. Politou, E. Mikros, M. Sakarellos-Daitsiotis, C. Sakarellos, and **V. Tsikaris**. *Biopolymers*, 69, 72-86, (2003).
57. «Mapping the binding domains of the α_{IIb} subunit. A study performed on the activated form of the platelet integrin $\alpha_{IIb}\beta_3$ ». N. Biris, M. Abatzis, J.V. Mitsios, M. Sakarellos-Daitsiotis, C. Sakarellos, D. Tsoukatos, A.D. Tselepis, L. Michalis, D. Sideris, G. Konidou, K. Soteriadou and **V. Tsikaris**. *Eur. J. Biochem.* 270, 3760-3767, (2003).
58. «Aspartyl Methyl Ester Formation via Aspartimide Ring Opening: A Proposed Modification of the Protocols used in Boc- and Fmoc-Based Solid Phase Peptide Synthesis». S. Kostidis, P. Stathopoulos, N.-I. Chondrogiannis, C. Sakarellos, and **V. Tsikaris**. *Tetrahedron Letters*, 44, 8673-8676 (2003).
59. «Solution conformation of the antibody-bound tyrosine phosphorylation site of the nicotinic acetylcholine receptor β -subunit in its phosphorylated and nonphosphorylated states». A. Phan-Chan-Du, C. Hemmerlin, D. Krikorian, M. Sakarellos-Daitsiotis, **V. Tsikaris**, C. Sakarellos, M. Marinou, A. Thureau, M.T. Cung and S.J. Tzartos. *Biochemistry*, 42, 7371-7380 (2003).
60. «Synthesis and Comparison of Antibody Recognition of Conjugates Containing Herpes Simplex Virus type 1 Glycoprotein D Epitope VII». G. Mezo, E. Oliveira , D. Krikorian, M. Feijlbrief, A. Jakab , **V. Tsikaris**, C. Sakarellos, S. Welling-Wester, D. Andreu, and F. Hudecz. *Bioconjugate Chemistry*, 14(6), 1260-1269 (2003).
61. «Effect of Synthetic Peptides Corresponding to Residues 313-332 of the α_{IIb} Subunit on Platelet Activation and Fibrinogen Binding to $\alpha_{IIb}\beta_3$ ». J.V. Mitsios, A.P. Tambaki, M. Abatzis, N. Biris, M. Sakarellos-Daitsiotis, C. Sakarellos, K. Soteriadou, J. Goudevenos, M. Elisaf, D. Tsoukatos, **V. Tsikaris** and A.D. Tselepis. *Eur. J. Biochem.* 271, 855-862 (2004).
62. «Synthesis of a Proline-rich [60]Fullerene Peptide with Potential Biological Activity». P. Sofou, Y. Elemes, E. Panou-Pomonis, A. Stavrakoudis, **V. Tsikaris**, C. Sakarellos, M. Sakarellos-Daitsiotis, M. Maggini, F. Formaggio and C. Toniolo. *Tetrahedron* 60, 2823-2828 (2004).
63. «The relative orientation of the Arg and Asp side chains defined by a pseudo-dihedral angle as a key criterion for the evaluation the structure-activity relationship of RGD peptides». S. Kostidis, A. Stavrakoudis, N. Biris, D. Tsoukatos, C. Sakarellos, and **V. Tsikaris**. *J. Peptide Sci.* 10, 494-509 (2004).
64. «The anti-platelet approach targeting the fibrinogen ligand of the GPIIb/IIIa receptor». **V. Tsikaris**. *J. Peptide Sci.* 10, 589–602 (2004). Review.
65. « α - and β - Aspartyl Peptide Ester Formation via Aspartimide Ring Opening». P. Stathopoulos, S. Papas, S. Kostidis and **V. Tsikaris**. *J. Peptide Sci.* 11, 658-664 (2005).
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77. «A palmitoylated peptide, derived from the acidic carboxyl-terminal segment of the integrin IIb cytoplasmic domain, inhibits platelet activation». V. Koloka, E.D. Christofidou, S. Vaxevanelis, A.A. Dimitriou, **V. Tsikaris**, A.D. Tselepis, E. Panou-Pomonis, M. Sakarellos-Daitsiotis, and D.C. Tsoukatos. *Platelets* 19(7) 502-511, (2008).
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83. «A rapid and efficient method for the synthesis of selectively S-Trt or S-Mmt protected Cys-containing peptides». Stathopoulos P, Papas, S, Sakka M, Tzakos GA, **Tsikaris** V. *Amino Acids* 46, 1367-1376 (2014).
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85. «Inhibition of $\alpha_{IIb}\beta_3$ Ligand Binding by an α_{IIb} Peptide that Clasps the Hybrid Domain to the β_1 Domain of β_3 ». Lee WH, Schaffner-Reckinger E, Tsoukatos DC, Aylward K, Moussis V, **Tsikaris** V, Trypou P, Egot M, Baruch D, Kieffer N, Bachelot-Loza C. *PLoS ONE* 10(9): e0134952. doi:10.1371/journal.pone.0134952, (2015).

86. «Molecular requirements involving the human platelet protease-activated receptor-4 mechanism of activation by peptide analogues of its tethered-ligand». Moschonas, I.C., Kellici, T.F., Mavromoustakos, T., Stathopoulos, P., **Tsikaris, V.**, Magafa, V., Tzakos, A.G., Tselepis, A.D. *Platelets*, 28 (8), 812-821, (2017).
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88. «Development of novel GnRH and Tat48–60 based luminescent probes with enhanced cellular uptake and bioimaging profile». Anastasia Kougioumtzi, Maria V. Chatziathanasiadou, Eirinaios I. Vrettos, Nisar Sayyad, Mariana Sakka, Panagiotis Stathopoulos, Michalis D. Mantzaris, Ab Majeed Ganai, Rajshekhar Karpoormath, Georgios Vartholomatos, **Vassilios Tsikaris**, Theodore Lazarides, Carol Murphy and Andreas G. Tzakos. *Dalton Trans.*, 50, 9215–9224, (2021).
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90. «Intracellular targets: A multiple cargo transporting molecule». Christos Papadopoulos, Evgenia Fotou, Vassilios Moussis, Alexandra Ntoyhaniari, Sofia Zografiou, Violetta Maltabe, Panos Kouklis, Savvas Christoforidis, **Vassilios Tsikaris**. *J Pep Sci*. 2021;27:e3359.

iii. Significant research achievements in the last 10 years (publications, invited talks, patents, awards etc).

- One of the main achievements of the VT and the Peptide Chemistry research team is the development of the innovative and multifunctional **artificial carriers X-(Lys-Aib-Gly)₄-NH₂**, and **X-(Lys-Aib-Cys)₄-NH₂**, as **scaffold** for the **reconstitution** of biomolecular (proteins, antigens, receptors) **mimics**. The **helical conformation** of the carriers (identified by ¹H NMR spectroscopy and molecular modeling) mainly attributed to the inclusion of the **strongly helicogenic** α -aminoisobutyric acid (**Aib**) contributes to the optimal **presentation** and the initial **topology** of the anchored biomolecules. These artificial carriers were extensively used in various cases as in Autoimmune diseases Sjogren Syndrom (SS), Systemic Lupus Erythematosus (SLE), Reumatoid Arthritis (RA), and Systemic Sclerosis, Myasthenia gravis etc.
- Another research achievement of the VT is the development of strong inhibitors of platelet aggregation bearing the cyclic (S,S)- CDC- motif and the contribution to evaluation of the structure-activity relationships of RGD peptides. The (S,S)-CDC- sequence was incorporated, as a conformational constraint, in molecules bearing at least one positive charge with the general formula (S,S)XCD CZ where X and Z various amino acids. The cyclic (S,S)- CDC- containing analogues, although resembles to RGD peptides, their integrin binding site differs from that of the RGD peptides. Recently (2011, publication ...) we have shown that these molecules are potent inhibitors of carotid artery thrombosis in rabbits. In this Topic the **Patent**: Cyclic peptide sequence as part of molecules that inhibit human platelet aggregation. **V. Tsikaris**, D. Tsoukatos, C. Sakarellos, (2002). **GR 1003778** was published.
- Over the last years our research group has made significant research efforts to identify the sequences of the platelet integrin receptor $\alpha_{IIb}\beta_3$ which participate in fibrinogen binding and platelet aggregation. It has been shown that the 313-332 sequence of the α_{IIb} subunit of the human platelet integrin $\alpha_{IIb}\beta_3$ is involved in platelet aggregation process. Peptide analogues of this sequence have demonstrated antiaggregatory effects on human platelets in vitro. The most potent in vitro inhibitory effects on human platelet aggregation were exhibited by the peptide YMESRADR that correspond to the residues 313-320 of the α_{IIb} subunit of the $\alpha_{IIb}\beta_3$ integrin. It was estimated that the peptide's antiaggregatory effects were exerted in a non-RGD dependent manner. Such non-RGD extracellular inhibitors possibly present more advantages than the RGD-

like antagonists, as the former inhibit platelet aggregation without interacting with receptor specific sites that recognize RGD-containing sequences, and consequently they fail to induce α IIb β 3-mediated outside-in signalling. The efficacy of the peptide has been evaluated by our group on experimental model of arterial thrombosis in rabbits. This peptide significantly inhibited thrombus formation and platelet aggregation without causing haemorrhagic complications.

Patents

1. Cyclic peptide sequence as part of molecules that inhibit human platelet aggregation.
V. Tsikaris, D. Tsoukatos, C. Sakarellos, (2002). **GR 1003778**.

Invited Main Lectures in International Congresses: 4

iv. List of Research Projects in the last 10 years (2002-2012)

1. "Improved method of diagnosis of allergies in antibiotics that contain β -lactamic ring". **Coordinator:** Tsikaris Vassilios, **Budget:** 100.425,53 €, **Founded by:** YGEIA A.E. **Duration:** 01/05/2001-30/04/2003.
2. "Development of a new immunodiagnostic assay (Elisa) for fascioliasis". **Coordinator:** Tsikaris Vassilios, **Budget:** 13.965,00 €, **Founded by:** Greek GSRT. **Duration:** 01/05/2003-30/04/2005.
3. "Development of immunochemical methods for isolation, purification and determination of taxol-species from natural sources, reaction mixtures and patients serum". **Coordinator:** Tsikaris Vassilios, **Budget:** 146.597,21 €, **Founded by:** Greek GSRT. **Duration:** 09/04/2003-08/04/2007.
4. "Design and synthesis of RGD sequence analogues of adhesion proteins for the investigation of conformational factors that influence the biological action and specialization". **Coordinator:** Tsikaris Vassilios, **Budget:** 33.246,58 €, **Founded by:** Greek Ministry of Education. **Duration:** 08/11/2002-31/12/2007.
5. "Antithrombotic factors of fibrinogen. Investigation of mechanism of the inhibitory action in the aggregation of human platelets cyclic (S,S)-CDC- inhibitors and development of peptitomimetics analogues". **Coordinator:** Tsikaris Vassilios, **Budget:** 49.942,18 €, **Founded by:** Greek Ministry of Education. **Duration:** 01/04/2005-31/12/2007.
6. "Development of strong antiplatelet and antithrombotic peptides based on the sequences -ESRAD- and (S,S)-CDC. Investigation of suitable pharmatechnical form for in vivo use". **Coordinator:** Tsikaris Vassilios, **Budget:** 59.500,00 €, **Founded by:** Greek GSRT. **Duration:** 01/12/2005-30/11/2007.
7. "Effect of seasonal variations on farm fish primary and memory humoral immune responses to peptidic vaccine". **Coordinator:** Tsikaris Vassilios, **Budget:** 8.000,00 €, **Founded by:** Greek GSRT. **Duration:** 01/04/2007-29/09/2008.
8. "Development of reagents for detection of biochemical markers". **Coordinator:** Tsikaris Vassilios, **Budget:** 29.500,00 €, **Founded by:** MEDICON HELLAS A.E. **Duration:** 01/01/2004-30/06/2004.
9. "Peptide synthesis and characterization". **Coordinator:** Tsikaris Vassilios, **Budget:** 60.000,00 €, **Founded by:** COMMISSION OF THE EUROPEAN COMMUNITIES, **Duration:** 01/12/2001-31/05/2005.
10. "A new antiplatelet therapeutic approach for coronary syndromes: combination of peptide inhibitors of indication through antiplatelet integrin α IIb β 3 for clinical and intravenous administration". **Coordinator:** Sideris Dimitrios, **Budget:** 1.500.000,00 €, **Work package Responsible:** Tsikaris Vassilios, **Founded by:** Greek GSRT. **Duration:** 01/04/2003-31/03/2006.
11. "Interactions of molecular mechanisms of transfer signal, cytoskeleton and excretion at the thrombosis and the inflammation of endothelium". **Coordinator:** Kouklis Pangiotis, **Budget:** 199.080,00 €, **Research member:** Tsikaris Vassilios, **Founded by:** Greek GSRT. **Duration:** 01/01/2006-30/06/2009.
12. "Investigation of antithrombotic action in vivo conditions of active peptide inhibitors of platelets aggregation". **Coordinator:** Michalis Lambros, **Budget:** 9.000,00 €, **Research member:** Tsikaris Vassilios, **Founded by:** Greek Ministry of Health. **Duration:** 01/10/2009-30/09/2011.
13. "Peptides from the intracellular and extracellular region of α IIb β 3 integrin for antiplatelet action". **Coordinator:** Tsoukatos Demokritos, **Budget:** 20.000,00 €, **Research member:** Tsikaris Vassilios, **Founded by:** Greek GSRT. **Duration:** 18/11/2010-17/11/2012.
14. "Investigation of new markers for diagnosis of resistance to antiplatelet drugs in patients with cardiovascular disease. Alternative therapeutic approach to the development of new antiplatelet agents". **Coordinator:** Tselepis Alexandros, **Budget:** 1.000.000,00 €, **Work package Responsible:** Tsikaris Vassilios, **Budget:** 80.000,00 €, **Founded by:** Greek GSRT. **Duration:** 10/01/2012-09/01/2015.