PERSONAL INFORMATION

Antonio Macchiarulo, PhD.

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www.researchgate.net/profile/Antonio_Macchiarulo

WORK EXPERIENCE

01/12/2018 - today

Full Professor

University of Perugia – Department of Pharmaceutical Sciences, via del liceo n.1, 06123 Perugia. www.unipg.it

- Full Professor in the area of Medicinal Chemistry (S.C. 03/D1; S.S.D. CHIM/08)
- Coordinator of the PhD Program in Pharmaceutical Sciences (from 2016 to 2022)

Business or sector: Higher Education and R&D.

28/12/2018 – 30/11/2018

Associate Professor

University of Perugia – Department of Pharmaceutical Sciences, via del liceo n.1, 06123 Perugia. www.unipg.it

- Associate Professor in the area of Medicinal Chemistry (S.C. 03/D1; S.S.D. CHIM/08)
- Coordinator of the PhD Program in Pharmaceutical Sciences (from 2016 to today)
- Delegate to the Research Activities of the Department of Pharmaceutical Sciences (from 2014 to 2016)
- Member of the Committee for the Scientific Area CUN-03 for the academic self-evaluation model in research and technology transfer (2015).

Business or sector: Higher Education and R&D.

01/02/2006 – 28/02/2006

Visiting Researcher

King's College, London (UK). www.kcl.ac.uk

- Visiting Researcher (Fellowship R1/2006, Royal Society)

Business or sector: R&D.

01/01/2004 – 27/12/2018

Assistant Professor

University of Perugia – Department of Pharmaceutical Sciences, via del liceo n.1, 06123 Perugia. www.unipg.it

- Assistant Professor in the area of Medicinal Chemistry (S.C. 03/D1; S.S.D. CHIM/08).
- Member of the Academic Committee for the definition of the internal areas of specialized technologies (2013).

Business or sector: Higher Education and R&D.

01/06/2003 – 31/10/2003

Visiting Researcher

European Bioinformatics Institute (EBI), Cambridge (UK). www.ebi.ac.uk

- Marie Curie fellowship, European Commission Program 'Quality of Life,' contract number: QLRI-1999-50595

Business or sector: R&D.

EDUCATION AND TRAINING

01/11/2000 – 31/10/2003

PhD. in Chemistry and Technology of Drugs

University of Perugia – Department of Chemistry and Technology of Drugs, via del liceo n.1, 06123 Perugia. www.unipg.it

- Computational Modelling; Computer Aided Drug Design; Chemometrics; Biomodelling; Medicinal Chemistry; Glutamate Receptors; Nuclear Receptors.

01/11/1991 – 08/05/1997

Graduation in Chemistry and Technology of Drugs (*with honours*)

University of Perugia – Department of Chemistry and Technology of Drugs, via del liceo n.1, 06123 Perugia. www.unipg.it

- Medicinal Chemistry; Pharmacology; Biochemistry; Biology; Organic Chemistry; Analytical Chemistry; Pharmaceutical Chemistry; Microbiology; Inorganic Chemistry; Pharmacy.

PERSONAL SKILLS

Mother tongue(s): Italian

Other language(s)

	UNDERSTANDING		SPEAKING		WRITING
	Listening	Reading	Spoken interaction	Spoken production	
English	Independent	Proficient	Independent	Independent	Proficient
Spanish	Basic	Basic	Basic	Basic	Basic

Communication skills

- **Communication skills** gained through my long-standing experience as lecturer in national and international congresses, schools, seminars and teaching courses.

Organisational / managerial skills

- **Leadership** (currently responsible for a team of 11 people: 1 Post.Doc; 4 PhD students; 2 research fellows; 4 undergraduate students).
- **Conceptual skills** acquired along six years spent in coordinating and integrating all the activities and interests of the international board of Professors of the PhD program in Pharmaceutical Sciences which counts n. 5 Full Professors; n. 23 Associate Professors; n. 9 Assistant Professors and n. 25 enrolled PhD students (dsf.unipg.it/didattica/alta-formazione/dottorato-di-ricerca). Major achievements: n.6 joined supervised and/or released PhD titles with European and International Universities (n. 1 University of Valencia - Spain, n. 2 University of Granada - Spain, n. 1 University of Amsterdam – The Netherlands, n. 1 University of Pelotas - Brasil, n. 1 University Do Vale do Itajai – Brasil); n. 16 PhD titles granted or co-supervised from/with pharmaceutical companies (Aboca Spa, Aptuit Srl, Itel Telecomunicazioni Srl, Intercept Pharmaceuticals Inc., Menarini Srl, Janssen-Cilag Spa, MAGI's Lab. srl).
- **Analytical and decision-making skills** acquired participating as co-PI and/or researcher in European and national granted research projects as well as in research collaborations with pharmaceutical companies and as co-founder of a biotech company (TESpharma, www.tespharma.com).
- **Technical skills:** knowledge and proficiency in research activities involving the application of methods and procedures of the areas of computational biology, computational modelling, computer aided design, biomodelling, biophysics and medicinal chemistry to understand more about how proteins works at the molecular level, how these molecules recognize one another and their cognate ligands, and how the selectivity and/or specificity of such interactions are affected by mutations in patients to generate poor therapy response and/or resistance.

Computer skills

- good command of Microsoft Office™ tools
- good command of software for computational biology, computational modelling, computer aided design, biomodelling (e.g. Schrödinger Suite; MOE; NAMD; Desmond; GOLD; Autodock).

Driving licence • B

ADDITIONAL INFORMATION

Publications

H-index (Scopus): 36;
Total number of publications in peer-reviewed journals: 163
Total I.F.: 1120,437
Academic age: 23

Selected top 10 publications in the last 10 years (2012 – today):

- Mammoli A, Bianconi E, Ruta L, Riccio A, Bigiotti C, Souma M, Carotti A, Rossini S, Suvieri C, Pallotta MT, Grohmann U, Camaioni E, Macchiarulo A. Critical Assessment of a Structure-Based Screening Campaign for IDO1 Inhibitors: Tips and Pitfalls. Int J Mol Sci. 2022 Apr 2;23(7):3981. doi: 10.3390/ijms23073981 [IF = 5.924; No. citations = 0] Corresponding author.
- Clement CC, D'Alessandro A, Thangaswamy S, Chalmers S, Furtado R, Spada S, Mondanelli G, Ianni F, Gehrke S, Gargaro M, Manni G, Cara LCL, Runge P, Tsai WL, Karaman S, Arasa J, Fernandez-Rodriguez R, Beck A, Macchiarulo A, Gadina M, Halin C, Fallarino F, Skobe M, Veldhoen M, Moretti S, Formenti S, Demaria S, Soni RK, Galarini R, Sardella R, Lauvau G, Putterman C, Alitalo K, Grohmann U, Santambrogio L. 3-hydroxy-L-kynurenamine is an immunomodulatory biogenic amine. Nat Commun. 2021, 12(1), 4447. doi: 10.1038/s41467-021-24785-3. [IF = 14.919; No. citations = 4] Co-author.
- Mondanelli G, Coletti A, Greco FA, Pallotta MT, Orabona C, Iacono A, Belladonna ML, Albini E, Panfili E, Fallarino F, Gargaro M, Manni G, Matino D, Carvalho A, Cunha C, Maciel P, Di Filippo M, Gaetani L, Bianchi R, Vacca C, Iamandii IM, Proietti E, Boscia F, Annunziato L, Peppelenbosch M, Puccetti P, Calabresi P, Macchiarulo A, Santambrogio L, Volpi C, Grohmann U. Positive allosteric modulation of indoleamine 2,3-dioxygenase 1 restrains neuroinflammation. Proc Natl Acad Sci U S A. 2020, 117(7), 3848-3857. doi: 10.1073/pnas.1918215117. [IF = 11.205; No. citations = 31] Co-senior author.
- Mondanelli G, Di Battista V, Pellanera F, Mammoli A, Macchiarulo A, Gargaro M, Mavridou E, Matteucci C, Ruggeri L, Orabona C, Volpi C, Grohmann U, Mecucci C. A novel mutation of indoleamine 2,3-dioxygenase 1 causes a rapid proteasomal degradation and compromises protein function. J Autoimmun. 2020, 115, 102509. doi: 10.1016/j.jaut.2020.102509. [IF = 7.094; No. citations = 6] Co-author.
- Albini E, Coletti A, Greco F, Pallotta MT, Mondanelli G, Gargaro M, Belladonna ML, Volpi C, Bianchi R, Grohmann U, Macchiarulo A, Orabona C. Identification of a 2-propanol analogue modulating the non-enzymatic function of indoleamine 2,3-dioxygenase 1. Biochem Pharmacol. 2018, 158, 286-297. doi: 10.1016/j.bcp.2018.10.033. [IF = 5.858; No. citations = 9] Co-senior author.
- Albini E, Rosini V, Gargaro M, Mondanelli G, Belladonna ML, Pallotta MT, Volpi C, Fallarino F, Macchiarulo A, Antognelli C, Bianchi R, Vacca C, Puccetti P, Grohmann U, Orabona C. Distinct roles of immunoreceptor tyrosine-based motifs in immunosuppressive indoleamine 2,3-dioxygenase 1. J Cell Mol Med. 2017, 21(1), 165-176. doi: 10.1111/jcmm.12954. [IF = 5.31; No. citations = 35] Co-author.
- Pellegrino M, Mancini F, Lucà R, Coletti A, Giacchè N, Manni I, Arisi I, Florenzano F, Teveroni E, Buttarelli M, Fici L, Brandi R, Bruno T, Fanciulli M, D'Onofrio M, Piaggio G, Pellicciari R, Pontecorvi A, Marine JC, Macchiarulo A, Moretti F. Targeting the MDM2/MDM4 interaction interface as a promising approach for p53 reactivation therapy. Cancer Res. 2015, 75(21), 4560-72. doi: 10.1158/0008-5472.CAN-15-0439. [IF = 12.701; No. citations = 30] Co-senior author.
- Nuti R, Gargaro M, Matino D, Dolciami D, Grohmann U, Puccetti P, Fallarino F, Macchiarulo A. Ligand binding and functional selectivity of L-tryptophan metabolites at the mouse aryl hydrocarbon receptor (mAhR). J Chem Inf Model. 2014, 54(12), 3373-83. doi: 10.1021/ci5005459. [IF = 4.956; No. citations = 32] Corresponding author.
- Bessede A, Gargaro M, Pallotta MT, Matino D, Servillo G, Brunacci C, Bicciato S, Mazza EM, Macchiarulo A, Vacca C, Iannitti R, Tissi L, Volpi C, Belladonna ML, Orabona C, Bianchi R, Lanz TV, Platten M, Della Fazia MA, Piobbico D, Zelante T, Funakoshi H, Nakamura T, Gilot D, Denison MS, Guillemin GJ, DuHadaway JB, Prendergast GC, Metz R, Geffard M, Boon L, Pirro M, Iorio A, Veyret B, Romani L, Grohmann U, Fallarino F, Puccetti P. Aryl hydrocarbon receptor control of a disease tolerance defence pathway. Nature. 2014; 511(7508), 184-90. doi: 10.1038/nature13323. [IF = 49.962; No. citations = 407] Co-author.
- Wahlberg E, Karlberg T, Kouznetsova E, Markova N, Macchiarulo A, Thorsell AG, Pol E, Frostell Å, Ekblad T, Öncü D, Kull B, Robertson GM, Pellicciari R, Schüler H, Weigelt J. Family-wide chemical profiling and structural analysis of PARP and tankyrase inhibitors. Nat Biotechnol. 2012, 30(3), 283-8. doi: 10.1038/nbt.2121. [IF = 54.908; No. citations = 344] Co- author.

Patents

- Moretti F., Mancini F., Pellegrino M., Macchiarulo A., Pellicciari R. Peptides able to interfere with the inhibiting activity of MDM2/MDM4 heterodimer towards P53 and use thereof for cancer treatment. EP-2639240.
- Pellicciari R., Gioiello A., Macchiarulo A., Perron-Sierra F., Seedorf K.. TGR5 modulators and methods of use thereof. TW201700447.
- Grohmann U., Macchiarulo A. Indoleamine 2,3-Dioxygenase Signalling Modulator and Therapeutic Use Thereof. WO2019211783A1.

Presentations

Communications at congresses, schools and workshops in the last 10 years (2012 – today):

- Antonio Macchiarulo. Sailing the Chemical Space for Polypharmacology: The Case of Nuclear Receptor Superfamily. 02 April 2012. Servier, Centre de Recherche de Coissy-sur-Seine. [Invited Oral Presentation].
- Antonio Macchiarulo. From Target Specificity to Targeted Polypharmacology. The EMBO Meeting 2012. 22-25 September 2012. Nice (France). [Invited Oral Presentation].
- Antonio Macchiarulo. Strategie di Targeting Farmaceutico nell'Era Post-Genomica. Istituto di Studi Superiori – ISSUGE. Indirizzo di Eccellenza in Biomedicina (IEB). 18 October 2013, Genova (Italy). [Invited Oral Presentation].
- Antonio Macchiarulo. Strategie di Targeting Farmaceutico nell'Era Post-Genomica. Istituto di Studi Superiori – ISSUGE. Indirizzo di Eccellenza in Biomedicina (IEB). 23 May 2014, Genova (Italy). [Invited Oral Presentation].
- Antonio Macchiarulo, Daniela Dolciami, Roberto Nuti, Marco Gargaro, Paolo Puccetti, Francesca Fallarino. Ligand Promiscuity and Conformational Specificity in the Aryl Hydrocarbon Receptor (AHR): The Case of L-Tryptophan Metabolites. 20th EuroQSAR. St. Petersburg, Russia - August 31-September 4, 2014
- Antonio Macchiarulo. Tackling a New Paradigm in Drug Discovery: The Case of Polypharmacology. 29èmes Journées Franco-Belges de Pharmacochimie (JFB 2015), Spa (Belgium), Sol Cress, 4-5 June 2015. [Invited Oral Presentation].
- Antonio Macchiarulo. Integrated Fragment-Based Approaches on the Route to Novel IDO1 Modulators. XXIII National Meeting in Medicinal Chemistry, Salerno (Italy), Fisciano, 6-9 September 2015.
- Antonio Macchiarulo. Insights into the Polypharmacology of PARP Inhibitors. Vienna Summer School in Drug Design, Vienna (Austria), 20-25 September 2015. [Invited Oral Presentation].
- Antonio Macchiarulo. Targeting a Disease Tolerance Defense Pathway for Novel Therapeutic Opportunities. International Gazì Pharma Symposium Series, Antalya (Turkey), 12-15 November 2015. [Invited Oral Presentation].
- Antonio Macchiarulo. Targeting the Janus-Faced Nature of IDO1 in Immuno-Oncology. XXIVth International Symposium Medicinal Chemistry (ISMC-EFMC). August 28-September 1 2016, Manchester (UK). [Invited Oral Presentation].
- Antonio Macchiarulo. Ligand Promiscuity and Signaling Specificity in Nuclear Receptors: The Case of the Aryl Hydrocarbon Receptor (AhR). Workshop 'Selective targeting of Nuclear Receptors. UMC Utrecht, (the Netherlands) - 17 April 2018. [Invited Oral Presentation].
- Antonio Macchiarulo. The Ever-Evolving Concept of Ligand/Target Interaction in Biology and Medicine. Summer School in Pharmaceutical Analysis, Rimini (Italy), 19-21 September 2018. [Invited Oral Presentation].
- Alice Coletti, Antonio Macchiarulo. Integrated Fragment-Based Approach Reveals Enzymatic Inhibitors with Potential Therapeutic Application. WEBINAR - Drug Target Review. 25 October 2018. [Invited Oral Presentation].
- Francesco Antonio Greco, Elisa Albini, Alice Coletti, Daniela Dolciami, Andrea Mammoli, Andrea Carotti, Ciriana Orabona, Ursula Grohmann, Antonio Macchiarulo. The Way Home: Molecular Recognition Path of L-Trp to IDO1. 6th NovAliX Conference. Biophysics in Drug Discovery 2019 | European Edition. Cannes (France). March 20-22, 2019. [Oral Presentation].
- Macchiarulo, A.; Greco, F.A.; Coletti, A.; Dolciami, D.; Mammoli, A.; Carotti, A.; Orabona, C.; Camaioni, E.; Grohmann, U. Unveiling Uncharted Pockets of IDO1 for Novel Therapeutic Opportunities. 26th National Meeting in Medicinal Chemistry. Milano (Italy), July 16-19, 2019. [Invited Oral Presentation].

Projects

- University of Perugia. Call: Bando Ricerca di Ateneo 2020. PI; budget: 40.000,00 Eur.
- European Research Council. Call: ERC-2019-PoC-899838-ENHANCIDO. Co-PI; budget: 150.000,00 Eur.
- Ministero dell'Università e della Ricerca. Call: PRIN 2017-BZEREZ. Participant; budget: 871.624,00 Eur.
- European Research Council. Call: ERC-2017-PoC-780807-DIDO-MS. Co-PI; budget: 150.000,00 Eur.
- European Research Council. Call: ERC-2013-AdG 338954-DIDO. Co-PI; budget: 2.442.416,00 Eur.
- Ministero dell'Università e della Ricerca. Call: PRIN 2012-S47X27. PI; budget: 109.136,00
- Ministero dell'Università e della Ricerca. Call: PRIN 2008-5HR5JK. Participant.
- ERASMUS-Mundus, EUROPIN PhD Program. Call: 133831-LLP-1-2007-1-AT-ERASMUS-ECDSP; Co-PI.
- Ministero dell'Università e della Ricerca. Call: PRIN 2006-030948. Participant.
- Ministero dell'Università e della Ricerca. Call: PRIN 2004-037521. Participant.
- Ministero dell'Università e della Ricerca. Call: PRIN 2002-038577. Participant.

Collaborations with Industry

- Intercept Phamaceuticals Inc.
- NEWCHEM Spa
- TES Pharma Srl
- Servier
- Sterling Spa

Honours and awards

- Faculty of 1000 worth mentioning for the publication by title “Family-wide chemical profiling and structural analysis of PARP and tankyrase inhibitors.” Nat Biotechnol. 2012; 30(3):283-288”. Classified as GOOD FOR TEACHING (Recommended by: David Hayes, 20th July 2018).
- Best Poster Prize. 4th NovAlix Conference: Biophysics in Drug Discovery; Strasbourg (France), 6-9 June 2017.
- New Talent in Medicinal Chemistry 2013; MedChemComm (Royal Society of Chemistry, UK).
- Faculty of 1000 worth mentioning for the publication by title “TGR5-mediated bile acid sensing controls glucose homeostasis”. Cell Metab. 2009, 10(3):167-77”. Classified as INTERESTING HYPOTHESIS, NEW FINDING (Recommended by: Guorong Xu with Monica Saumoy, Pat Griffin with Patricia McDonald, Aaron Vinik with Matthew Bourcier, Moshe Levi, 21st July 2010).
- Faculty of 1000 worth mentioning for the publication by title ”Nongenomic Actions of Bile Acids. Synthesis and Preliminary Characterization of 23- and 6,23-Alkyl-Substituted Bile Acid Derivatives as Selective Modulators for the G-Protein Coupled Receptor TGR5”. J Med Chem. 2007, 50(18): 4265-8. Classified as TECHNICAL ADVANCE (Recommended by: Thomas W von Geldern, 2nd October 2007).
- Faculty of 1000 worth mentioning for the publication by title “ Ligand selectivity and competition between enzymes in silico”. Nat Biotechnol 2004 Aug 22(8):1039-45. Classified as INTERESTING HYPOTHESIS (Recommended by: Torsten Schwede, 27th August 2004).

Memberships

Italian Society of Chemistry – Division of Medicinal Chemistry.

References

- Prof. Roberto Pellicciari; President and CEO. TES Pharma S.r.l. via Palmiro Togliatti 20. 06073 Loc. Taverne Corciano (Perugia) Italy. phone: +39 075 697 8111. fax: +39 075 697 8882. email: rpellicciari@tespharma.com. web: www.tespharma.com
- Prof. Dame Janet Thornton; European Molecular Biology Laboratory–European Bioinformatics Institute (EMBL-EBI), Wellcome Genome Campus, Cambridge, UK. email: thornton@ebi.ac.uk https://academictree.org/chemistry/tree.php?pid=723105

According to law 679/2016 of the Regulation of the European Parliament of 27th April 2016, I hereby express my consent to process and use my data provided in this CV.

PERUGIA, 06/12/2022