

CURRICULUM VITAE

(updated November 2024)

Antimo Gioiello

Full Professor of Medicinal Chemistry

Contact Information

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Education

- **2006:** PhD in Medicinal Chemistry at the Department of Chemistry and Drug Technologies, University of Perugia. Thesis: "Design, Synthesis and SAR Profile of New Bile Analogs as Potent and Selective FXR Modulators". Supervisor: Prof. Roberto Pellicciari.
- **2001:** Master's Degree in Chemistry at the University of Perugia. Thesis: "Reactivity and Reaction of Tetraethyl Methylenebisfosfonate (MBP) and of Tetraethyl Vinylidenbisfosfonate (VBP)". Supervisor: Prof. Renzo Ruzziconi.

Professional experience

- **2024-at present:** Member of the Scientific Advisory Board at 'Centro Umbro di Ricerca ed Innovazione (CURI)' (Spoleto, Italy).
- **2021-at present:** Responsible for the work-package "Development of innovative diagnostic and therapeutic products and techniques", within the University plan for collaborative and transversal actions in the field of Research and Third Mission, University of Perugia (Italy).
- **2022-at present:** Member of the Scientific Committee of the "European School of Medicinal Chemistry (ESMEC)".
- **2014-at present:** Member of the Joint Steering Committee for a research collaboration with Intercept Pharmaceuticals (New York, USA).
- **2021-2024:** Elected President of the Umbria Section of the Italian Chemical Society.
- **2020-2022:** Member of the Scientific and Coordination Committee of the Operative Support Group for the management of the Covid-19 emergency (GoS) (University of Perugia).
- **2019-2025:** Member of the board of directors, University of Perugia (Italy).
- **2015-2025:** Associate Professor of Medicinal Chemistry at the Department of Pharmaceutical Sciences, University of Perugia.
- **2010-2014:** Member of the Joint Steering Committee for a research collaboration with Servier (Paris, France).
- **2009:** Visiting Scientist at IRIX Pharmaceutical, Florence (SC, USA).
- **2007-2015:** Researcher at the Department of Pharmaceutical Sciences, University of Perugia.

- **2007-2015:** Expert Member of Phytochemicals Advisory Board, Ministry of Health, Rome (Italy).
- **2004:** Visiting Scientist at the Institute for Pharmaceutical Chemistry, Universitat Wien, Vienna (Austria).
- **2002:** Visiting Scientist at GlaxoSmithKline, Harlow Essex (UK).
- **2000:** Visiting Student at Université de la Bretagne Occidentale (UBO), U.F.R. Science et Techniques, Brest (France).

Affiliations with scientific societies

- **2022-present:** Community Associate of the American Chemical Society.
- **2017-present:** Member of the Flow Chemistry Society.
- **2002-present:** Member of the Italian Chemical Society, Division of Medicinal Chemistry.

Awards and recognitions

- **2023, 2025:** Included in the Top 2% Scientists list compiled by Stanford University in collaboration with Elsevier and Scopus.
- **2023:** Front cover for *Advanced Synthesis and Catalysis* for the article “Merging Continuous Flow Technology, Photochemistry and Biocatalysis to Streamline Steroid Synthesis” (DOI: 10.1002/adsc.202300305).
- **2023:** Top cited article 2022-2023 'Endoplasmatic Reticulum Stress and NF-kB Activation in SARS-CoV-2 Infected Cells and Their Response to Antiviral Therapy' published in *IUBMB Life* (DOI: 10.1002/iub.2537).
- **2022:** Top 5% of highly cited works from RSC journals ‘Recent Advances in Urea-and Thiourea-containing Compounds: Focus on Innovative Approaches in Medicinal Chemistry and Organic Synthesis’ published in *RSC Medicinal Chemistry* (DOI: 1039/D1MD00058F).
- **2018:** Outstanding reviewer for the *European Journal of Medicinal Chemistry*.
- **2017:** Outstanding reviewer for *Reaction Chemistry & Engineering*.
- **2017:** 'Highly Cited Paper' (Web of Science) – “TGR5-Mediated Bile Acid Sensing Controls Glucose Homeostasis” published in *Cell Metabolism* (DOI: 10.1002/iub.2537).
- **2016:** "European Talent" from *MedChemComm* of Royal Society of Chemistry.
- **2016:** Front cover for *ACS Chemical Biology* for the article “Bile Acid Recognition by NAPE-PLD” (DOI: 10.1021/acscchembio.6b00624).
- **2014:** Best Poster Prize, VIII New Perspective in Medicinal Chemistry. Poster title: “Regioselective flow synthesis and biochemical characterization of C3-glucuronidated bile acids”.
- **2013:** Front cover for *ACS Combinatorial Science* for the article “Building a Sulfonamide Library by Eco-Friendly Flow Synthesis” (DOI: 10.1021/co400012m).
- **2012:** Editor pick for *Expert Opinion on Therapeutic Patents* for the article “Patented TGR5 modulators: a review (2006 present)”.
- **2010:** Wiley-VCH Poster Prize, XX National Meeting on Medicinal Chemistry. Poster title: “Chromatographic hydrophobicity index: a high-throughput tool to estimate the critical micellar concentration of bile acids”.

Participation in conferences as speaker

- **2007:** XVIII National Meeting in Medicinal Chemistry, Chieti (Italy). Title: "In Search for FXR Gene Selective Modulators: from Guggulsterone to Side-chain Modified Bile Acid Derivatives" - INVITED SPEAKER
- **2008:** Heterocyclic Structure in Medicinal Chemistry (XIII Meeting), Palermo (Italy). Title: "Diazoesters in the Synthesis of Heterocyclic Compounds of Biological Interest" - INVITED SPEAKER
- **2010:** New Perspectives in Medicinal Chemistry (IV Meeting), S. Margherita di Pula (Italy). Title: "New Potent and Selective FXR Agonists: Design, Synthesis and Modeling of Norcholanylamine Derivatives" - ORAL COMMUNICATION
- **2011:** X Laboratory of Synthetic Methodologies in Medicinal Chemistry, Siena (Italy). Title: "Novel Explorations with Bile Acids. Case Studies in Organic and Medicinal Chemistry" - INVITED SPEAKER
- **2011:** XXIV National Meeting in Medicinal Chemistry, Lecce (Italy). Title: "Avicholic Acid: a Primary Bile Acid from Birds on the Route to Potent and Selective TGR5 Ligands" - ORAL COMMUNICATION
- **2013:** XXII National Meeting in Medicinal Chemistry, Roma (Italy). Title: "Bile Acid Derivatives: An Emerging Class of Compounds in Inflammation and Metabolic Disorders" - INVITED SPEAKER
- **2014:** Drug Design & Medicinal Chemistry Conference, Berlin (Germany). Title: "In-Flow Synthesis of Thieno[2,3-c]-isoquinolin-5(4H)-one Derivatives: A Wealthy Source of PARP-1 inhibitors" - INVITED SPEAKER
- **2015:** National Meeting in Medicinal Chemistry, Salerno (Italy). Title: "Combining Innovative Chemical Tools for Novel Synthetic Solutions: Flow Oxidative Cleavage of Alkenes Promoted by Ruthenium Nanoparticles" - SELECTED ORAL COMMUNICATION
- **2015:** GOCH – Joint Meeting of the Italian & Austrian Chemical Societies, Innsbruck (Austria). Title: "In-Flow Generation of PARP-1 Inhibitors with Promising Therapeutic Potential" - INVITED SPEAKER
- **2016:** International Symposium on Medicinal Chemistry, Manchester (UK). Title: "Atypical Bile Acids as Chemical Probes for Diagnosis and Therapy Monitoring of Progressive Cholestatic Liver Disease in HSD3B7 Patients" - SELECTED ORAL COMMUNICATION
- **2017:** Flow Chemistry Europe, Cambridge (UK). Title: "Integrated Synthetic Platforms for Chemical Probes: A Journey through the Routes of Flow Processing" - INVITED SPEAKER
- **2017:** Beilstein Institut – Organic Synthesis Symposia, Postdam (Germany). Title: "Setup and Assessment of Flow Systems for Streamlined Synthesis and Medicinal Chemistry" - INVITED SPEAKER
- **2017:** 2nd International Gazi Pharma Symposium Series, Ankara (Turkey). Titolo: "Enabling Synthetic Technologies for Chemical Libraries, Hit Optimization and Scale-up: In-flow Synthesis and Biological Activity of Quinoline-based Compounds" - INVITED SPEAKER
- **2018:** European School of Medicinal Chemistry (ESMEC), Urbino (Italia). Title: "Medicinal Chemistry Powered by Continuous Flow Technology - a Multifaceted Toolbox for Compound Library Building and Scale-up Processes" - INVITED SPEAKER
- **2018:** International Symposium on Medicinal Chemistry – EFMC, Ljubljana (Slovenia). Title: "Integrated System for the Expedited Generation and Characterization of Drug-like Libraries for Hit-to-Lead Explorations" - SELECTED ORAL COMMUNICATION

- **2018:** Workshop ‘Selective Targeting of Nuclear Receptors’, Utrecht (The Netherlands). Title: "Knocking on the FXR Back Door to Access Selective Receptor Modulators" - INVITED SPEAKER
- **2019:** Workshop ‘Innovation and sustainability in organic synthesis and drug development’, Pavia (Italy). Title: “Medicinal chemistry powered by flow chemistry and automation” - INVITED SPEAKER
- **2019:** Making Pharmaceuticals – Milano (Italy). Title: “Automated Flow Systems for Lead Discovery and Development” - INVITED SPEAKER
- **2019:** Automated Synthesis Forum – Glasgow (Scotland). Title: “Automated Flow Systems for Lead Discovery and Development” - INVITED SPEAKER
- **2019:** 21st European Symposium on Organic Chemistry – Vienna (Austria). Title: “Expanding the Bile Acid Chemical Space: Synthetic Strategies for Lead Discovery and Development” – SELECTED ORAL COMMUNICATION
- **2020:** 8th International Drug Chemistry Congress – Antalya (Turkey). Title: “Bile Acids and the Chemistry They Inspire to Discover New Chemical Entities” – INVITED SPEAKER
- **2021:** Trends in Medicinal Chemistry - Virtual Symposium. Title: “Streamlining Medicinal Chemistry through Flow Technology” – INVITED SPEAKER
- **2021:** Summer School on Pharmaceutical Analysis (SSPA2021). Title: “Enabling Chemical Technologies to Automate Process Optimization and Medicinal Chemistry” – INVITED SPEAKER
- **2021:** 27th National Meeting on Medicinal Chemistry (Online event). Title: “Enabling Synthesis and Technologies to Develop Bile Acid-inspired Lead Compounds” – INVITED SPEAKER
- **2022:** Academia-Industry symbiosis for sustainability in drug discovery – Chiesi Live Webinar (online event). Title “Enabling Synthesis by Continuous Flow Technology and Automation” – INVITED SPEAKER
- **2022:** Workshop dei giovani ricercatori chimici abruzzesi – Sezione Abruzzo della Società Chimica Italiana (Online Event). Title: “Streamlining Synthesis and Medicinal Chemistry by Continuous Flow Technology” – INVITED SPEAKER
- **2023:** Evotec virtual lecture (Online event) Title: “Continuous flow technology in synthetic and medicinal chemistry: Challenges and opportunities” – INVITED SPEAKER
- **2023:** EUFEPS – EFMC Session “EFMC-Innovative approaches for sustainable Drug Discovery” - Lisboa (Portugal). Title: “Multicomponent Flow Reactions (MCFRs): Enabling Tools for Sustainable Medicinal Chemistry” – INVITED SPEAKER
- **2024:** SCS Seminar on Flow and Process Chemistry 2024 - New Trends in FlowChem II: Flow Application in MedChem - Fribourg (Switzerland). Title: “Flow-enhanced Medicinal Chemistry: Opportunities for Accelerating Drug Discovery and Development” – INVITED SPEAKER
- **2024:** Flow Chemistry Europe – Malaga (Spain). Title: “Boosting Medicinal Chemistry by Flow-Enhanced Synthesis” – INVITED SPEAKER
- **2024:** Group for the Promotion of Pharmaceutical chemistry in Academia (GP2A) – Coimbra (Portugal). Title: Accelerating Medicinal Chemistry by Flow-enhanced Synthesis – INVITED SPEAKER
- **2024:** Flow Chemistry India - Goa (India). Title: “Flow Chemistry as a Technology to Improve the Medicinal Chemistry Learning Cycle” – INVITED SPEAKER

Participation in conferences as organizer or scientific committee member

- **2020-at present:** Chairman of the ‘Italian Flow Chemistry Symposium’.

- **2022:** Chairman of the ‘Congresso Interregionale delle Sezioni Toscana, Umbria, Marche e Abruzzo’ (TUMA) of the Italian Chemical Society, Perugia (Italy).
- **2018-2022:** Scientific Committee Member of the European Workshop in Drug Synthesis, Siena (Italy).
- **2017:** Scientific Committee Member of the II International Gazi Pharma Symposium Series (GPSS), Ankara (Turkey).
- **2016:** Organizing Committee Member of the XXIV National Meeting in Medicinal Chemistry, Perugia (Italy).
- **2014:** Organizing Committee Member of the Spanish-Italian Medicinal Chemistry Congress, Barcelona (Spain).
- **2014:** Organizing Committee Member of the III Scientific Workshop on SeS Redox and Catalysis, Perugia (Italy).

Key scientific collaborations

Prof. Gioiello has established a network of collaborations with numerous research groups at the international level from both universities, research centres and pharmaceutical companies:

- Intercept Pharmaceuticals, New York (USA)
- Servier, Paris (France)
- Tes Pharma, Solomeo, Perugia (Italy)
- Sterling SpA, Solomeo, Perugia (Italy)
- Chiesi Farmaceutici, Parma (Italy)
- Cincinnati Children’s Hospital Medical Center and Department of Pediatrics of the University of Cincinnati College of Medicine, Cincinnati (Ohio, USA)
- Ecole Polytechnique Fédérale de Lausanne, Lausanne (Switzerland)
- Van’t Hoff Institute for Molecular Sciences (HIMS), University of Amsterdam (The Netherlands)
- Center for Integrated Technology and Organic Synthesis, Liège (Belgium)
- Department of Chemistry, Durham University, Durham (UK)
- Institute for Applied Synthetic Chemistry, Vienna University of Technology, Vienna (Austria)
- Center for Molecular Medicine, UMC Utrecht, Utrecht (Netherlands)
- Department für Pharmazeutische Chemie, Universität Wien, Vienna (Austria)
- Faculty of Pharmacy, Gazi University, Gazi (Turkey)
- Department of Chemistry ‘G. Ciamician’, University of Bologna, Bologna (Italy)

Research projects awarded funding

- **2023:** Unit Leader for the PRIN PNRR 2022 project. Title: “Thermochemiluminescence-based nanoprobe for multiplex prostate cancer biomarkers in personalized medicine (THERMOPROS)”.
- **2022:** Principal Investigator (PI) for the Spoke 8 “Innovative Therapeutic Approaches: New Chemical Entities, Biologics and Drugs Delivery” within the project ‘Innovation, digitalisation and sustainability for the diffused economy in Central Italy – VITALITY’. The project is financed by National Recovery and Resilience Plan (NRRP), Mission 4, Component 2 Investment 1.5, funded from the European Union – NextGenerationEU.

- **2022:** Unit Leader for the SPOKE 9 – POLO NANOMAT, Nanostructured materials and devices within the project ‘Innovation, digitalisation and sustainability for the diffused economy in Central Italy – VITALITY. The project is financed by National Recovery and Resilience Plan (NRRP), Mission 4, Component 2 Investment 1.5, funded from the European Union – NextGenerationEU.
- **2021:** Unit Leader for the project funded by Fondazione Cassa di Risparmio di Perugia “Creazione di un laboratorio universitario integrato per indagini biomolecolari e sviluppo di nuove terapie per l’infezione SAR-CoV-2”.
- **2019:** Principal Investigator (PI) of the project funded by University of Perugia. Title: “Development of an integrated platform for the preparation of chemical libraries and for the process optimization in early drug discovery”.
- **2018:** Principal Investigator (PI) of the project funded by Fondazione Cassa di Risparmio di Perugia. Title: “Development and application of continuous flow technologies for synthetic processes and chemical libraries.
- **014:** Principal Investigator (PI) of the project funded by Fondazione Cassa di Risparmio di Perugia. Title: “New catalysts for the development of green chemical processes under flow conditions”.
- **2012:** Member of the project funded by Fondazione Cassa di Risparmio di Perugia. Title: “New eco-sustainable process for the production and analysis of pharmaceutical relevant products”
- **2013:** Member of the ERC 2013 project. Title: “Innovative drugs targeting IDO molecular dynamics in autoimmunity and neoplasia” (ERC-2013-AdG 338954-DIDO).
- **2012:** Member of the COST action “GLISTEN: GPCR-Ligand Interactions, Structures, and Transmembrane Signalling: a European Research Network”.
- **2008:** Member of the PRIN 2008 project. Title: “Design, synthesis and biological evaluation of new modulators of Kynurenine pathway on the road of new neuroprotective therapies for Huntington disease”.

Technology transfer

- **2023:** Methods and intermediates for preparation of bile acids derivatives. Patent number: WO2024163640 A2.
- **2018:** Methods and intermediates for preparation of bile acids derivatives. Patent number: US 2018/0371009 A1.
- **2017:** 3-Deoxy derivatives and pharmaceutical compositions thereof. Patent number: US 10,815,267 B2.
- **2013:** Process for preparing bile acid derivatives. Patent number: US 2015/0291653 A1.
- **2016:** Farnesoid X receptor modulators. Patent number: US 2017/0101434 A1.
- **2016:** Methods for preparation of bile acids and derivatives thereof. Patent number: US 10,414,731 B2.
- **2016:** TGR5 modulators and methods of use thereof. Patent number: US 10,800,807 B2.

Research Statement

Prof. Antimo Gioiello leads the Laboratory of Medicinal and Advanced Synthetic Chemistry (Lab MASC) at the Department of Pharmaceutical Sciences of the University of Perugia. The scientific activity of the group focuses on the design and synthesis of biologically active molecules, the development of chemical probes for underexplored therapeutic targets, and the application of advanced chemical technologies, such as continuous-flow chemistry, in early drug

discovery projects. The research benefits from national and international collaborations, both academic and industrial, as documented by publications in peer-reviewed journals indexed in Scopus and/or Web of Science, international patents, publicly and privately funded research projects, and participation in European research networks. Prof. Gioiello scientific and professional experience spans several stages of drug discovery and development, primarily in the field of liver and metabolic diseases. Adopting a multidisciplinary approach, compounds designed with the support of computational chemistry are synthesized and tested in structure–activity relationship studies to identify potent and selective lead compounds with appropriate physicochemical and pharmacokinetic profiles. These molecules are employed as chemical tools to investigate the pharmacological and therapeutic relevance of steroid-responsive nuclear and membrane receptors. A major aspect of the research also concerns the development of new synthetic methodologies and strategies through the study of novel reactions and the implementation of innovative synthetic technologies to address sustainability challenges in the preparation of compound libraries and lead candidates at scale. More recently, part of the group’s research has focused on the design and synthesis of biomarkers and thermochemiluminescent compounds for theragnostic applications.

Bibliometric Parameters

- H-index: 33 (Scopus), 40 (Google Scholar)
- Total number of publications: 115
- Total number of citations: 5450 (Scopus), 7183 (Google Scholar)
- Average number of citations per publication: 47.4 (Scopus), 62.5 (Google Scholar)
- Number of years since first publication: 21
- Average number of publications per year: 5.5
- Number of publications in the last ten years: 69
- Number of publications in the last five years: 37
- Number of Q1 (ISI) publications: 97

Selected publications

Pellicciari, R.; Costantino, G.; Camaioni, E.; Entrena, A.; Willson, T. M.; Fiorucci, S.; Clerici, C.; Sadeghpour, B. M.; **Gioiello, A.** “Bile Acid Derivatives as Ligands of the FXR Receptor. Synthesis, Evaluation, and Structure Activity Relationship of a Series of Body- and Side Chain-Modified Analogs of Chenodeoxycholic Acid (CDCA)”. *J. Med. Chem.* **2004**, *47*, 4559-4569. <https://doi.org/10.1021/jm049904b>

Thomas, C.; **Gioiello, A.**; Noriega, L.; Strehle, A.; Oury, J.; Rizzo, G.; Macchiarulo, A.; Yamamoto, H.; Matak, C.; Pruzanski, M.; Pellicciari, R.; Auwerx, J.; Schhonsjans, K. “TGR5-Mediated Bile Acid Sensing Controls Glucose Homeostasis”. *Cell Metab.* **2009**, *10*, 167-177. ****HIGHLY CITED PAPER**** received enough citations as of September/October 2017 to place them in the **top 1%** of their academic fields based on a highly cited threshold for the field and publication year.

Pellicciari, R.; **Gioiello, A.**; Macchiarulo, A.; Thomas, C.; Rosatelli, E.; Natalini, B.; Sardella, R.; Pruzanski, M.; Roda, A.; Pastorini, E.; Schoonjans, K.; Auwerx, J. “Discovery of 6 β -Ethyl-23(S)-methylcholic Acid (S-EMCA, INT-777) as a Potent and Selective Agonist for the TGR5 Receptor, a Novel Target for Diabetes”. *J. Med. Chem.* **2009**, *52*, 7958-7961. <https://doi.org/10.1021/jm901390p>

Gioiello, A.*; Rosatelli, E.; Teofrasti, M.; Filipponi, P.; Pellicciari, R. "Building a Sulfonamide Library by Eco-Friendly Flow Synthesis". *ACS Comb. Sci.* **2013**, *15*, 235-239. <https://doi.org/10.1021/co400012m>

Filipponi, P.; Ostacolo, C.; Novellino, E.; Pellicciari, R.; **Gioiello, A.*** "Continuous Flow Synthesis of Thieno[2,3-disoquinolin-5(4H)-one Scaffold: A Valuable Source of PARP-1 Inhibitors". *Org. Proc. Res. Dev.* **2014**, *18*, 1345-1353. <https://doi.org/10.1021/op500074h>

Cerra, B.; Mostarda, S.; Custodi, C.; Macchiarulo, A.; **Gioiello, A.*** "Integrating Multicomponent Flow Synthesis and Computational Approaches for the Generation of a Tetrahydroquinoline Compound Based Library". *MedChemComm* **2016**, *7*, 439-446. <https://doi.org/10.1039/C5MD00455A> ****Invited article for "New Talent: Europe" issue****

Pellicciari, R.; Passeri, D.; De Franco, F.; Mostarda, S.; Filipponi, P.; Colliva, C.; Gadaleta, R. M.; Franco, P.; Carotti, A.; Macchiarulo, A.; Roda, A.; Moschetta, A.; **Gioiello, A.** "Discovery of 3 α ,7 α ,11 β -Trihydroxy-6 α -ethyl-5 β -cholan-24-oic Acid (TC-100), a Novel Bile Acid as Potent and Highly Selective FXR Agonist for Enterohepatic Disorders". *J. Med. Chem.* **2016**, *59*, 9201-9214. <https://doi.org/10.1021/acs.jmedchem.6b01126>

Mancino, V.; Cerra, B.; Piccinno, A.; **Gioiello, A.*** "Continuous Flow Synthesis of 16-Dehydropregnenolone Acetate, a Key Synthone for Natural Steroids and Drugs". *Org. Proc. Res. Dev.* **2018**, *22*, 600-607. <https://doi.org/10.1021/acs.oprd.8b00038>

Cerra, B.; Carotti, A.; Passeri, D.; Sardella, R.; Moroni, G.; Di Michele, A.; Macchiarulo, A.; Pellicciari, R.; **Gioiello, A.*** "Exploiting Chemical Toolboxes for the Expedited Generation of Tetracyclic Quinolines as a Novel Class of PXR Agonists". *ACS Med. Chem. Lett.* **2019**, *10*, 677-681. <https://doi.org/10.1021/acsmmedchemlett.8b00459>

Mancino, V.; Croci, F.; Lozza, A. M.; Cerra, B.; **Gioiello, A.*** "Streamlined Synthesis of the Neurosteroid 3 β -Methoxypregnenolone Assisted by Statistical Experimental Design and Automation". *React. Chem. Engineer.* **2020**, *5*, 300-307. <https://doi.org/10.1039/C9RE00353C>

Gioiello, A.*; Piccinno, A.; Lozza, A. M.; Cerra, B. "The Medicinal Chemistry in the Era of Machines and Automation: Recent Advances in Continuous Flow Technology". *J. Med. Chem.* **2020**, *63*, 6624-6647. <https://doi.org/10.1021/acs.jmedchem.9b01956>

Bartolini, D.; De Franco, F.; Torquato, P.; Marinelli, R.; Cerra, B.; Ronchetti, R.; Schon, A.; Fallarino, F.; De Luca, A.; Bellezza, G.; Ferri, I.; Sidoni, A.; Walton, W. G.; Pellock, S. J.; Redinbo, M. R.; Mani, S.; Pellicciari, R.; **Gioiello, A.***; Galli, F. "Garcinoic Acid Is a Natural and Selective Agonist of Pregnane X Receptor". *J. Med. Chem.* **2020**, *63*, 3701-3712. <https://doi.org/10.1021/acs.jmedchem.0c00012>

Moroni, G.; Calabria, D.; Quintavalla, A.; Lombardo, M.; Mirasoli, M.; Roda, A.*; **Gioiello, A.*** "Thermochemiluminescence-based Sensitive Probes: Synthesis and Photophysical Characterization of New Acridine-containing 1, 2-Dioxetanes Focusing on Fluorophore Push-Pull Effects". *ChemPhotoChem* **2022**, *6*, e202100152. <https://doi.org/10.1002/cptc.202100152>

Cerra, B.; Venturoni, F.; Souma, M.; Ceccarelli, G.; Lozza, A. M.; Passeri, D.; De Franco, F.; Baxendale, I. R.; Pellicciari, R.; Macchiarulo, A.; **Gioiello, A.*** "Development of 3 α , 7 α -Dihydroxy-6 α -ethyl-24-nor-5 β -cholan-23-sulfate Sodium Salt (INT-767): Process Optimization, Synthesis and Characterization of Metabolites". *Eur. J. Med. Chem.* **2022**, *242*, 114652-114667. <https://doi.org/10.1016/j.ejmech.2022.114652>

Tomarelli, E.; Cerra, B.; Mutti, F.*; **Gioiello, A.*** "Merging Continuous Flow Technology, Photochemistry and Biocatalysis to Streamline Steroid Synthesis". *Adv. Synth. Catal.* **2023**, *365*, 4024-4048.

<https://doi.org/10.1002/adsc.202300305> ****Selected as VERY IMPORTANT PUBLICATION** - Selected for journal Front Cover**

Filipponi, P.; Cerra, B.; Piccinno, A.; Camaioni, E.; **Gioiello, A.*** “Continuous Flow Synthesis of the PARP-1/2 Inhibitor HYDAMTIQ: Synthetic Strategy, Optimization, and Green Metrics Evaluation”. *Org. Process Res. Dev.* **2024**, *28*, 1648–1656. <https://doi.org/10.1021/acs.oprd.3c00295> ****Invited for the Special Issue: Flow Chemistry Enabling Efficient Synthesis 2024****

Rosatelli, E.; Carotti, A.; Cerra, B.; De Franco, F.; Passeri, D.; Pellicciari, R.; **Gioiello, A.*** “Chemical Exploration of TGR5 Functional Hot-spots: Synthesis and Structure-activity Relationships of C7-and C23-Substituted Cholic Acid Derivatives”. *Eur. J. Med. Chem.* **2023**, *261*, 115851-115866. <https://doi.org/10.1016/j.ejmech.2023.115851>

Moroni, G.; Bombonato, E.; Bonafè, S.; Di Michele, A.; Presenti, S.; Guariento, S.; Marcaccio, M.; Sardella, R.; Ronchi, P.; **Gioiello, A.*** “Investigating the Catalytic Efficiency of Supported NHC-Ag (I) Complexes in the Borono-Minisci Reaction”. *ChemCatChem* **2024**, *16*, e2023016. <https://doi.org/10.1002/cctc.202301654> ****Selected as HOT PAPER****

Ceccarelli, G.; Goracci, L.; Carotti, A.; Paccioia, F.; Passeri, D.; Cipolloni, M.; Di Bona, S.; Cruciani, G.; Pellicciari, R.; **Gioiello, A.*** “Discovery and Structure–Activity Relationships of Novel ssDAF-12 Receptor Modulators”. *J. Med. Chem.* **2024**, *67*, 4150–4169. <https://doi.org/10.1021/acs.jmedchem.3c02421>