

## Renzo Ruzziconi

Full Professor of Organic Chemistry



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## Career

Born in Sassoferrato (AN/Italy), Renzo Ruzziconi studied chemistry at the University of Perugia. He accomplished a thesis work under the guidance of Professor Enrico Baciocchi. After the “laurea” 1973, he was a research fellow of the “Accademia Nazionale dei Lincei” (1975) at the Faculty of Pharmacy and then at the Chemistry Department of the University of Perugia. From 1980 until 1982 he stayed as a Ministerial fellow at the University of Lausanne. In 1986 he was a lecturer of “*Mécanismes Réactionnels*” at the Science Faculty, University of Lausanne (UNIL) and “Ecole Polytechnique Fédérale de Lausanne” (EPFL). Associate Professor at Perugia chemistry department since 1987, he was appointed full professor at the Basilicata University in 1994. Since 1998 he holds a chair in Perugia.

## Scientific Profile

### Research Activity

Italian Scientist-in-Charge of the COST D2 research project “*Regio- and Stereocontrolled Access to Trifluoromethyl Containing Compounds*” (1994-1997).

Italian Scientist-in-Charge of the European contract TMR (Training and Mobility of Researchers) “*Fluorine as Unique Tool for Engineering Molecular Properties*” (Contract N° FMRX-CT97-0120) (1998-2002).

Scientist-in-Charge of the COST D12 project “*Oxidation Retarding Molecular Manipulation*” (1998- 2002).

Scientist-in-Charge of the COST D24 project “*Stereoselective Catalysis by Ruthenium Complexes*” (2003-2006).

Local Coordinator of the PRIN 2001 project “*New Theoretical and Experimental Methods for the Assignment of the Absolute Molecular Configuration in Solution.*” (2001-03).

Local Coordinator of the PRIN 2004 project “*New Theoretical and Experimental Methods for the Assignment of the Absolute Molecular Configuration in Solution.*” (2004-06).

Local Coordinator of the PRIN 2008 project “*Synthesis of new fluorinated chiral targets of pharmacological and agrochemical interest and their structural determination based on the respective chiroptical*” (2008-11)

Even if the ultimate goal is to engineer molecular *properties*, the latter have to be incarnated by chemical *structures*. Therefore, synthesis arguably is and remains the cornerstone of our branch. Our research group develops methods to make novel, biologically or technically promising compounds.

All our work benefits from a strong background in reaction mechanisms and stereochemistry. Thus, we can approach any new project in a rational and, for the sake of the graduate students involved, also in a didactic way. A few highlights such as the radical-mediated alkene/enol silyl ether coupling (“Perugia cyclization”), the selective functionalization and elaboration of key intermediates employing polar or “superbasic” organometallic reagents and the access to fluorinated naphthalenes and indoles (the latter being isoelectronic with naphthalenes) may serve as typical illustrations of this concept.

### **Organizing and Managerial Activities**

From 1996 until 1998 Prof. Ruzziconi was the President of Basilicata Section of Italian Chemical Society (SCI). He was also the chairman of the first International Symposium “Organofluorine Compounds: a Bonanza for Life and Advanced Materials Research”, the “1<sup>st</sup> Perugia Fluorine Days” held in Perugia, 15–16 October 2001. Later in 2010 he organized the 2<sup>nd</sup> International Symposium on “Organofluorine Compounds in Biomedical and Agricultural Sciences”, the “2<sup>nd</sup> Perugia Fluorine Days”. The success of these events opened the road to the series of “Fluorine Days” International Symposia. The 3<sup>rd</sup> edition, “Valencia Fluorine Days”, was held in Valencia, 20–24 May 2012. The 4<sup>th</sup> edition “Bordeaux Fluorine Days” was held in Bordeaux, in 2014. The 5<sup>th</sup> edition “Bremen Fluorine Days” is planned in 2016.

Since April 18, 2014 Prof. Ruzziconi holds the office of Coordinator of the Chemistry Courses (L-27 and LM-54) at the Department of Chemistry, Biology and Biotechnologies of the Perugia University.

### **Selected Recent Publications**

*Synthesis of 2,3-Substituted Cycloalkanones by Ceric Ammonium Nitrate Promoted Oxidative Tandem Additions of Silyloxycyclopropanes to  $\alpha,\beta$ -Unsaturated Cycloalkenones*  
A. Belli Paolobelli, R. Ruzziconi *J. Org. Chem.* **1996**, *61*, 6434.

*A New Synthetic Approach to Substituted 1-(2H)Phenanthrenones Based on the Ceric Ammonium Nitrate-Promoted Oxidative Addition of 3-Aryl-1-trimethylsilyloxycyclohexenes to Ethyl Vinyl Ether*

P. Lupattelli, R. Ruzziconi, P. Scafato, S. Alunni, A. Belli Paolobelli *J. Org. Chem.* **1998**, *63*, 4506.

*The Oxidative Coupling of O-Silyl and O-Alkyl Enethers: Application of the Novel Annulation Sequence to the Synthesis of Fluorinated Naphthaldehydes and Naphthyl Ketones*

J.-P. Gourvès, R. Ruzziconi, L. Vilarroig *J. Org. Chem.* **2001**, *66*, 617.

*A Facile Approach to Alkyl- and Aryl-Substituted 3-Furylphosphonates Based on Ceric Ammonium Nitrate-Promoted Radical Reactions*

R. Ruzziconi, H. Couthon-Gourvès, J.-P. Gourvès, B. Corbel *Synlett* **2001**, 703.

*New Strategies in the Synthesis of Regioselectively Trifluoromethyl- and Trifluoromethoxy-Substituted Arenes as Building Blocks for Biologically Active Molecules*

S. Leconte, R. Ruzziconi *J. Fluorine Chem.* **2002**, *117*, 167.

*CYP 17 and CYP 19 Inhibitors. Evaluation of Fluorine Effects on the Inhibiting Activity of Regioselectively Fluorinated 1-(Naphthalen-2-ylmethyl)imidazoles*

R. W. Hartmann, A. Paluszczak, F. Lacan, G. Ricci, R. Ruzziconi *J. Enzyme. Inhib. Med. Chem.* **2004**, *19*, 145.

*Regioselectively Nucleus and/or Side-Chain Fluorinated 2-(Phenanthryl)propionic Acids by an Effective Combination of Radical and Organometallic Chemistry*

G. Ricci and R. Ruzziconi *J. Org. Chem.* **2005**, *70*, 611.

*On the Enzymatic Hydrolysis of Methyl 2-Fluoro-2-arylpropionates by Lipases*

Bellezza, F.; Cipiciani, A.; Ricci, G.; Ruzziconi, R. *Tetrahedron* **2005**, *61*, 8005.

*[2]Paracyclo[2](5,8)quinolinophanyl Carbinols as Catalysts of Diethylzinc Addition to Aldehydes: Cooperative Effect of Planar and Central Chirality on the Asymmetric Induction*

G. Ricci, R. Ruzziconi *Tetrahedron: Asymmetry* **2005**, *16*, 1817.

*Lipase-Catalyzed Enantioselective Hydrolysis of Methyl 2-Fluoro-2-arylpropionates in Water-Saturated Isooctane*

P.-Y. Wang, T.-L. Chen, S.-W. Tsai, A. Cipiciani, F. Bellezza, R. Ruzziconi *J. Mol. Cat. B* **2006**, *42*, 90.

*Cationic half-sandwich Ru(II) complexes bearing 2-pyridylimino-(S)-[2.2]paracyclophane ligands: Synthesis, Intramolecular and Interionic Structure*

G. Ciancaleoni, G. Bellachioma, G. Cardaci, G. Ricci, R. Ruzziconi, D. Zuccaccia, A. Macchioni *J. Organomet. Chem.* **2006**, *691*, 165.

*Quinolinophane-Derived Alkyldiphenylphosphines: Two Homologous P,N-Type Planar Chiral Ligands for a Study of Palladium-Catalysed Allylic Alkylation*

R. Ruzziconi, C. Santi, S. Spizzichino *Tetrahedron: Asymmetry* **2007**, *18*, 1742.

*Nucleus- and Side-Chain Fluorinated 3-Substituted Indoles by a Suitable Combination of Organometallic and Radical Chemistry*

F. Bellezza, A. Cipiciani, R. Ruzziconi, S. Spizzichino, *J. Fluorine Chem.* **2008**, 129, 97.

*B-Values as a Sensitive Measure of Steric Effects*

R. Ruzziconi, S. Spizzichino, L. Lunazzi, A. Mazzanti, M. Schlosser *Chemistry: Eur. J.* **2009**, 15, 2645.

*Metalation of 2-Heterosubstituted Naphthalenes at the 1- or 3- Position: Factors that May Determine the Regiochemistry*

R. Ruzziconi, S. Spizzichino, M. Giurg, E. Castagnetti, M. Schlosser *Synthesis* **2010**, (10), 1531.

*The Torsional Barriers of 2-Hydroxy- and 2-Fluorobiphenyl: Small, but Measurable*

A. Mazzanti, L. Lunazzi, R. Ruzziconi, S. Spizzichino, M. Schlosser *Chem. Eur. J.* **2010**, 16, 9186.

*Nucleophilic Substitutions of Nitroarenes and Pyridines: New Insight and New Application*

M Schlosser, R. Ruzziconi *Synthesis (Rev.)* **2010**, (13), 2111.

*The Biphenyl-Monitored Effective Size of Unsaturated Functional or Fluorinated ortho Substituents*

R. Ruzziconi, S. Spizzichino, A. Mazzanti, L. Lunazzi, M. Schlosser, *Org. Biomol. Chem.* **2010**, 8, 4463.

*How Space-Filling Is a Pyridine Lone Pair?*

A. Mazzanti, L. Lunazzi, S. Lepri, R. Ruzziconi, M. Schlosser *Eur. J. Org. Chem.* **2011**, 6725.

*Electrical and Mechanical Anharmonicities from NIR-VCD Spectra of Compounds*

*Exhibiting Axial and Planar Chirality: The Cases of (S)-2,3-Pentadiene and Methyl-d<sub>3</sub> (R)- and (S)-[2.2]Paracyclophane-4-carboxylate*

Abbate, S.; Longhi, G.; Gangemi, F.; Gangemi, R.; Superchi, S.; Caporusso, A. M.; Ruzziconi, R. *Chirality* **2011**, 23(9), 841.

*Vibrational Circular Dichroism: A Valuable Tool for Conformational Analysis and Absolute Configuration Assignment of Chiral 1-Aryl-2,2,2-Trifluoroethanols*

S. Abbate, F. Lebon, S. Lepri, G. Longhi, R. Gangemi, S. Spizzichino, G. Bellachioma, R. Ruzziconi *ChemPhysChem* **2011**, 12, 3519.

*Rotational Barriers of Biphenyls Having Heavy Heteroatoms as Ortho Substituents:*

*Experimental and Theoretical Determination of Steric Effects*

L. Lunazzi, M. Mancinelli, A. Mazzanti, S. Lepri, R. Ruzziconi, M. Schlosser *Org. Biomol. Chem.* **2012**, 10, 1847.

*Stereochemical Characterization of Fluorinated 2-(Phenanthren-1-yl)propionic Acids by Enantioselective High Performance Liquid Chromatography Analysis and Electronic Circular Dichroism Detection*

Bertucci, C.; Pistolozzi, M.; Tedesco, D.; Zanasi, R.; Ruzziconi, R.; Di Pietra, A. M. *J. Chromatography, A* **2012**, 1232, 128.

*Recent Advances in the Synthesis of Regioselectively Fluorinated Homo- and Heterocyclic Compounds by Complementary Cyclization Methods*

R. Ruzziconi, F. Buonerba, *J. Fluorine Chem.* **2013**, 152, 12-28.

*Cationic Half-Sandwich Quinolinophaneoxazoline-Based ( $\eta^6$ -p-Cymene)ruthenium(II) Complexes Exhibiting Different Chirality Types: Synthesis, and Structural Determination by Complementary Spectroscopic Methods*

R. Ruzziconi, G. Bellachioma, G. Ciancaleoni, S. Lepri, S. Superchi, R. Zanasi, G. Monaco, *Dalton Trans* **2014**, 43, 1636–1650.

*Optimization of Small-Molecule Inhibitors of Influenza Virus Polymerase: From Thiophene-3-Carboxamide to Polyamido Scaffolds*

S. Lepri, G. Nannetti, G. Muratore, G. Cruciani, R. Ruzziconi, B. Mercorelli, G. Palù, A. Loregian, L. Goracci, *J. Med. Chem.* **2014**, 57, 4337–4350.

*Importance of C\*–H Based Modes and Large Amplitude Motions Effects in Vibrational Circular Dichroism Spectra: The Case of the Chiral Adduct of Dimethyl Fumarate and Anthracene*

M. Passarello, S. Abbate, G. Longhi, S. Lepri, R. Ruzziconi, V.P. Nicu *J. Phys. Chem. (A)* **2014**, 118, 4339–4350.

## Plenary and Invited Lectures

*An Unorthodox Isoprenylation Sequence having Metalated Heterocycles as Key Intermediates.*

XIII Convegno Nazionale di Chimica Organica, Milano, 12–16 September **1982**.

*Metalated Cyclic Ethers as Versatile Building Blocks in Organic Synthesis.*

X Groupe d'Etude sur la Chimie Organometallique (GECOM X), Marseille, 1–5 June **1982**.

*Cerium(IV)-Promoted Reactions of Carbonyl Compounds with Alkenes and Aromatic Systems.*

V European Symposium on Organic Chemistry (ESOC V), Jerusalem, 30 Aug.– 3 Sept. **1987**.

*Oxidative Addition of Carbonyl Compounds to Carbon-Carbon Double Bond.*

Workshop "Progress and Prospects in Organic Synthesis", Champéry-Lausanne, Sept. 27–Oct. 1 **1989**.

*Addition of Carbonyl Compounds to Unsaturated Systems.*

XIII Convegno Nazionale della Divisione di Chimica Organica, Cagliari, 8–13 October **1989**.

*Ceric Ammonium Nitrate-Promoted Carbon-Carbon Bond Formation in Organic Synthesis.*

Workshop on "Practical Organometallic Synthesis", Lausanne, 6–10 September **1992**.

*Reazioni di Sostituzione Catalizzate da Palladio(0) di Nitrati Allilici Ottenuti dall'Addizione Ossidativa di  $\alpha$ - e  $\beta$ -Carbonilalchil Radicali all'1,3-Butadiene.*

XXI Convegno Nazionale della Divisione di Chimica Organica, Palermo, 28 Sept.–2 Oct. **1993**.

*CAN Promoted Oxidative Addition of Masked Carbonyl Compounds to Activated Double Bonds.*

Symposium on "Some Kaleidoscopic view on Chemistry". Lausanne, **1994**.

*Carbon-Carbon Bond Formation Reactions Through Redox Processes Involving Cerium, Iron and Copper Salts.*

IV COST Action D2 Workshop on CF<sub>3</sub> Chemistry "One-Day Symposium on Fluoroorganic Chemistry", Warsaw, May **1996**.

*A New Approach to the Synthesis of Substituted Polycyclic Aromatic Ketones Based on the Ceric Ammonium Nitrate Promoted Oxidative Addition of 3-Aryl-1-trimethylsilyloxycyclohexenes to Ethyl Vinyl ether.*

Workshop on "Modern Organofluorine Chemistry", Lausanne, 7–10 September **1997**.

*Ceric Ammonium Nitrate Promoted Carbon-Carbon Bond Formation Reactions.*

2<sup>nd</sup> Italian-Spanish Symposium on Organic Chemistry - Lecce 7–11 June **1998**.

*Synthesis of Homo- and Heterocyclic Aromatic Compounds by Complementary Cyclization Methods.*

12<sup>th</sup> European Symposium on Fluorine Chemistry - Berlin 29 Aug.–2 Sept. **1998**.

*Oxidation Retarding Molecular Manipulations*

COST D12 Workshop on Catalysis Transition Metals and Enzymes, Alicante (E) 24–27 September, **1998**.

*Synthesis of 2-Phenanthrylpropionic acids and Other Regioselectively Fluorinated Phenanthrene Derivatives Exhibiting Pharmacological Activities.*

XXVI Convegno Nazionale di Chimica Organica, Giardini Naxos (Messina) 1–5 September **1999**.

*Synthesis of Regioselectively Fluorinated Aromatic Ketones (OC) 16th International*

Symposium on Fluorine Chemistry, Durham (UK), 16–21 July **2000**.

*Synthesis of new Optically Active [2.2]Paracyclophanes*

Workshop on Recent progresses in the interpretation of chiroptical data for the assignment of absolute configuration, Brescia, 24–25 July **2002**.

*[2.2]Paracyclophane: Synthesis of new derivatives and their applications in Asymmetric Synthesis.*

XXVIII Convegno Nazionale della Divisione di Chimica Organica, Rome, 16–20 September **2002**.

*Fluorinated 1-(2-Naphthylmethyl)imidazoles as Potential Inhibitors of CYP<sub>17</sub> and CYP<sub>19</sub>*

Final COST-D12 Conference "Selective Processes in Organic Synthesis" Arcachon (F), 25–29 September **2002**.

*Synthesis of Fluorinated 2-(1-Phenanthryl)propionic Acids of Pharmacological Interest.*

XXIX Convegno Nazionale della Divisione di Chimica Organica, Potenza, 31 August–4 September **2004**.

*Fluoroorganic Products of Pharmacological Interest by an Effective Combination of Radical and Organometallic Chemistry.*

Nuovi Orientamenti nella Sintesi Organica, Milan 21 November **2005**.

*Obtaining Chiral Compounds in Enantiopure Form*

2<sup>a</sup> Scuola Estiva Nazionale sulla Chiralità Molecolare, Perugia 3–6-July **2006**.

*[2]Paracyclo[2](5,8)quinolinophane (QUINOPHAN): a valuable precursor of new planar chiral ligands for transition metal-catalysed stereoselective syntheses.*

COST Chemistry D24 “Sustainable Chemical Processes Stereoselective Transition Metal-Catalysed Reactions” STEREOCAT 2006, Lisbon 21–23 September **2006**.

*New Strategies in the synthesis of Homo- and Heterocyclic Compounds by Complementary Cyclization Methods*

XI IBN SINA International Conference on Pure and Applied Heterocyclic Chemistry, Cairo (Egypt), 13–16 December **2008**.

*Radical and Organometallic Chemistry in Tandem: Synthesis of Nucleus and Side-Chain Fluorinated Homo- and Heterocyclic Compounds*

“Valencia Fluorine Days” 3<sup>rd</sup> International Symposium on Organofluorine Compounds in Biomedical, Materials and Agricultural Sciences. Valencia 20–24 May **2012**.