CURRICULUM VITAE

SERENA MASSARI

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Education and qualifications

2015-present, Assistant Professor of Pharmaceutical Chemistry, Department of Pharmaceutical Sciences (PS) of the University of Perugia, where she is teacher of Drug analyses, Master degree in Pharmacy;

2016: Visiting Professor of Medicinal Chemistry (Erasmus Program) at the Department of Organic Chemistry, Faculty of Chemistry, Wroclaw University of Technology, Wroclaw, Poland and Department of Organic Chemistry, Faculty of Pharmacy, Universidad de Santiago De Compostela, Santiago De Compostela, Spain.

2007-2008, 2008-2009, 2010-2011, 2011-2012, and 2013-2015, Post-Doc, project title "Design and synthesis of New anti-HIV Agents", Department of Chemistry and Technology of Drug (CTD)/PS of the University of Perugia;

2012-2013, Project contract for "Updating and verification of a database of compounds synthesized in-house", Department of CTD of the University of Perugia;

2008, PhD in CTD, thesis title "Design and synthesis of new anti-HIV agents as Tat-mediated transcription inhibitors", Department of CTD of the University of Perugia;

2003, 2006, 2007, and 2008, Support teaching activity, Medicinal Analysis IV, Medicinal Analysis I, Medicinal Analysis, and Pharmaceutical and Toxicological Chemistry II, Department of CTD of the University of Perugia;

2007, Stage at the Rega Institute for Medical Research of the University of Leuven (Belgium);

2007, 2006, and 2005, ESMC, XXXV-XXVII Advanced Courses in Medicinal Chemistry, University of Urbino;

2004-2007, Doctoral grant;

2004, Research fellow, project title "Synthesis and anti-HIV activity of quinolone derivatives", Department of CTD of the University of Perugia;

2003-2004, Open-ended contract as Pharmacist, Pharmacy Scoccianti (Spoleto, Perugia, Italy).

2003, Degree in CTD, thesis title "Quinolones Modified as anti-HIV Agents", Department of CTD of the University of Perugia.

Participation in research projects

Fondazione Cassa Risparmio Perugia, Ricerca Scientifica e Tecnologica 2017 - cod. 2017.0277.021 - project title: " Reduction of prionic protein-induced neurotoxicity with heterocyclic molecules: implications in Alzheimer's disease", (12 months), component of the research unit;

University of Perugia, Ricerca di base 2015 – cod. 3RICBASEOT - project title: "Development of influenza polymerase subunits PA-PB1 interaction inhibitors as new antiviral agents", (24 months), component of the research unit;

Fondazione Cassa Risparmio Perugia, Ricerca Scientifica e Tecnologica 2015 - cod. 2015.0342.021 - project title: "Development of anti-influenza drugs that interfere with polymerase subunits heterodimerization", (12 months), component of the research unit;

Fondazione Cassa Risparmio Perugia, Ricerca di base 2010 - cod. 2010.011.0408 - project title: "Development of new drugs based on the tryptophan metabolism for the treatment of multiple sclerosis", (12 months), component of the research unit;

PRIN 2010 cod. 2010W2KM5L, project title: "A multi-target molecular approach to block HIV-1 replication", duration of the project: (36 months), holder of research grant;

AIDS 2009 cod. UPR-2009-1301355, project title: "Targeting HIV transcription to control infection and to purge post-integrative latency", duration of the project: (30 months), holder of research grant;

Fondazione Cassa Risparmio Perugia, Ricerca di base 2009 cod. 2009.010.00413, project title: "Fight hepatitis C; basic research as a tool for the identification of new antiviral agents", duration of the project: 12 months, role: component of the research unit;

PRIN 2008 cod. 2008CE75SA_002, project title: "Design, synthesis, and biological activity of anti-HIV agents that interact with innovative viral and cellular targets (IN, HAT, Tat/TAR, CDK9, RNase H, RT dimerization, DDX3, CXCR4, and CCR5)", (24 months), component of the research unit;

PRIN 2006 cod. 2006030809, project title: "Development of quinolone and other nitrogen heterocycles derivative as anti-HIV agents: design, synthesis, binding studies to novel targets (IN, RNase H, Tat/TAR), and drug-resistance modulation (NNRTI)" (24 months), component of the research unit;

Congresses organizer committees

XXIV National Meeting in Medicinal Chemistry and the 10th Young Medicinal Chemists Symposium "Nuove Prospettive in Chimica Farmaceutica", which will be held in Perugia, September 2016.

Selected Oral presentations

2018: "Thiophene-3-carboxamides and triazolopyrimidine-2-carboxamides as precious scaffolds to disrupt influenza polymerase PA-PB1 subunits heterodimerization." Italian-Spanish-Portuguese Joint Meeting in Medicinal Chemistry MedChemSicily2018, Palermo, Italy, July 17-20, 2018.

2014: "Targeting Influenza A Virus RNA-dependent-RNA-polymerase: development of PA-PB1 interaction inhibitors." Approaches for Identification of Antiviral Agents Summer School. Santa Margherita di Pula, Sardinia (Italy), September 28 – October 3, 2014.

2011: "Design and synthesis of new antiviral agents". Update on the research results for the project "Ricerca finanziata dalla Fondazione Cassa Risparmio Perugia", Perugia (Italy), February 25, 2011.

2006: "Design and synthesis of new anti-HIV agents." Annual meeting of Italian PhD students in Pharmaceutical Sciences. Firenze, Italy, November 23-24, 2006.

2006: "New 6-desfluoroquinolones as HIV-1 Tat-mediated transcription inhibitors." 6° Sigma Aldrich Young Chemists Symposium. Riccione (Italy), October 9-11, 2006.

2005: "Design and synthesis of new anti-HIV agents." Annual meeting of Italian PhD students in Pharmaceutical Sciences. Camerino (Italy), November 25-26, 2005.

Supervisor of thesis and fellows

2016: Tutor and Supervisor of a research fellow (paid with Massari's funds).
2007- present: Supervisor of 12 experimental work thesis.

Editor

Guest Editor of a Special Thematic Issues for the journal "Current Pharmaceutical Design" entitled: "Acting on Tat-mediated transcription to achieve a long term control of HIV-1 latency".

Advisory Board member for "Global Drugs and therapeutic" journal.

Reviewer activity

Referee for international journals: Journal of Medicinal Chemistry, Bioorganic and Medicinal Chemistry, European Journal of Medicinal Chemistry, Antiviral Research, Journal of Organic Chemistry, Current Organic Chemistry, and Arabian Journal of Chemistry.

Projects reviewer for Czech Science Foundation (2016).

Research activities

The main research lines entail the identification of new pharmacologic hits and their optimization to leads compounds. In particular, the skills acquired and the research activities include:

i) design of new chemical entities with desired biological activity, employing traditional medicinal chemistry approaches and actively working to the rational design based on targets and ligands;

ii) development and optimization of the synthetic procedures used for the preparation of new chemical entities (traditional and innovative methodologies of organic synthesis, i.e. combinatorial, parallel, and assisted by microwave synthesis, and spectroscopic, chromatographic and other analytical methodologies);

iii) structure-activity-relationship studies for the synthesized class of molecules, through the interpretation and elaboration of the biological data;

iv) study and selection of new druggable targets for innovative antiviral (Human Immundeficiency Virus, Hepatitis C Virus, Influenza virus, Human Cytomegalovirus, Human Papillomavirus, and bacterial therapies (Sthaphylococcus aureus e Mycobacterium Tuberculosis).

The scientific activity, documented by 44 scientific publications in peer-review journals and by more than 80 conference proceedings, has been mainly focused on the design, synthesis and identification of new chemical entities possessing antiviral activity.

Development of compounds with anti-HIV activity

The research line that has been the main object of the scientific activity of Dr. Massari has been the development of different classes of derivatives with anti-HIV activity, such as:

a) Inhibitors of Tat-mediated transcription

The 6-desfluoroquinolones are compounds with a powerful anti-HIV activity thanks to an alternative mechanism of action to the drugs in use, such as the inhibition of Tat-mediated transcription, a viral replicative cycle step particularly attractive in antiviral chemotherapy.

b) Inhibitors of the CDK9

A different approach to inhibition of Tat-mediated transcription has entailed the development of 2-phenylquinazolinone derivatives which, through the inhibition of CDK9, are able to selectively interfere with Tat-mediated transcription and to inhibit the reactivation of HIV in latently infected cells.

c) Inhibitors of the reverse transcriptase (RT) RNaseH function

One of the most recent approaches to inhibit HIV replication has entailed the development of cycloheptatohene-3-carboxyamide derivatives as inhibitors of the RT-associated RNase H activity in the nanomolar range through an allosteric mechanism of inhibition.

Development of compounds with anti-influenza activity such as viral polymerase inhibitors

A more recent line concerns the development of cycloheptatohene-3-carboxyamide and triazolopyrimidine-2-carboxyamide derivatives as inhibitors of the interaction between the PA-PB1 subunits of the influenza virus polymerase, leading to the identification of the most powerful derivative so far reported in the literature.

Other research lines in the field of chemotherapy have involved:

Development of anti-human cytomegalovirus (HCMV) compounds as inhibitors of IE2-mediated transactivation

In addition to the anti-HIV activity, some 6-desfluoroquinolones have also shown a broader spectrum of action for other viruses, including HCMV. These derivatives show an interesting antiviral activity through the inhibition of transactivation process mediated by the viral protein IE2.

More recently, the research activity has also entailed the **Identification of selective PARP inhibitors as pharmacological tools** and the **Identification of small molecules CAG RNA binders treatment of neurodegenerative diseases such as Huntington's Korea**.

List of Publications

1. Massari, S.; Corona, A.; Distinto, S.; Desantis, J.; Caredda, A.; Sabatini, S.; Manfroni, G.; Felicetti, T.; Cecchetti, V.; Pannecouque, C.; Maccioni, E.; Tramontano, E.; Tabarrini, O. From Cycloheptathiophene-3-carboxamide to Oxazinone-based Derivatives as Allosteric HIV-1 Ribonuclease H Inhibitors. *J. Enzyme Inhib. Med. Chem.* **2019**, *34*, 55-74.
2. Felicetti, T.; Cannalire, R.; Pietrella, D.; Latacz, G.; Lubelska, A.; Manfroni, G.; Barreca, M.L.; Massari, S.; Tabarrini, O.; Kieć-Kononowicz, K.; Schindler, B.D.; Kaatz, G.W.; Cecchetti, V.; Sabatini, S. 2-Phenylquinoline S. aureus NorA Efflux Pump Inhibitors: Evaluation of the Importance of

Methoxy Group Introduction. *J. Med. Chem.* **2018**, *61*, 7827-7848.

3. Murthy, S.; Desantis, J.; Verheugd, P.; Maksimainen, M.M.; Venkannagari, H.; Massari, S.; Ashok, Y.; Obaji, E.; Nkizinkinko, Y.; Lüscher, B.; Tabarrini, O.; Lehtiö, L. 4-(Phenoxy) and 4-(benzyloxy)benzamides as potent and selective inhibitors of mono-ADP-ribosyltransferase PARP10/ARTD10. *Europ. J. Med. Chem.* **2018**, *156*, 93-102.

4. Felicetti , T.; Cannalire, R.; Nizi, M.G.; Tabarrini, O.; Massari, S.; Barreca, M.L.; Manfroni, G.; Schindler, B.D.; Cecchetti, V.; Kaatz, G.W.; Sabatini, S. Studies on 2-phenylquinoline Staphylococcus aureus NorA efflux pump inhibitors: New insights on the C-6 position. *Europ. J. Med. Chem.* **2018**, *155*, 428-433.

5. Matthes, F.; Massari, S.; Bochicchio, A.; Schorpp, K.; Schilling, J.; Weber, S.; Offermann, N.; Desantis, J.; Wanker, E.E.; Carloni, P.; Hadian, K.; Tabarrini, O.; Rossetti, G.; Krau Szlig, S. Reducing mutant Huntingtin protein expression in living cells by a newly identified RNA CAG binder. ACS Chem Neurosci. **2018**, *9*, 1399-1408.

6. Nkizinkiko, Y.; Desantis, J.; Koivunen, J.; Haikarainen, T.; Murthy, S.; Sancineto, L.; Massari, S.; Ianni, F.; Obaji, E.; Loza, M.I.; Pihlajaniemi, T.; Brea, J.; Tabarrini, O.; Lehtiö, L. 2-Phenylquinazolinones as dual-activity tankyrase-kinase inhibitors. *SciRep.* **2018**, *8*:1680.

7. Cannalire, R.; Tarantino, D.; Astolfi, A.; Barreca, M.L.; Sabatini, S.; Massari, S.; Tabarrini, O.; Milani, M.; Querat, G.; Mastrangelo, E.; Manfroni, G.; Cecchetti, V. Functionalized 2,1-benzothiazine 2,2-dioxides as new inhibitors of Dengue NS5 RNA-dependent RNA polymerase. *Eur. J. Med. Chem.* **2018**, *143*, 1667-1676.

8. Tabarrini, O.; Massari S. Editorial: Acting on Tat-Mediated Transcription to Achieve a Long Term Control of HIV-1 Latency. *Curr. Pharm. Des.* **2017**, *23*, 4077-4078.

9. Cannalire, R.; Machado, D.; Felicetti, T.; Santos Costa, S.; Massari, S.; Manfroni, G.; Barreca, M.L.; Tabarrini, O.; Couto, I.; Viveiros, M.; Sabatini, S.; Cecchetti, V. Natural isoflavone biochanin A as a template for the design of new and potent 3-phenylquinolone efflux inhibitors against *Mycobacterium avium*. *Eur. J. Med. Chem.* **2017**, *140*, 321-330.

10. Massari, S.; Desantis, J.; Nannetti, G.; Sabatini, S.; Tortorella, S.; Goracci, L.; Cecchetti, V.; Loregian, A.; Tabarrini, O. Efficient and regioselective one-step synthesis of 7-aryl-5-methyl- and 5-aryl-7-methyl-2-amino-[1,2,4]triazolo[1,5-*a*]pyrimidine derivatives. *Org. Biomol. Chem.* **2017**, *15*, 7944–7955.

11. Desantis, J.; Nannetti, G.; Massari, S.; Barreca, M.L.; Manfroni, G.; Cecchetti, V.; Palù, G.; Goracci, L.; Loregian, A.; Tabarrini, O. Exploring the cycloheptathiophene-3-carboxamide scaffold to disrupt the interactions of the influenza polymerase subunits and obtain potent anti-influenza activity. *Eur. J. Med. Chem.* **2017**, *138*, 128-139.

12. Felicetti, T., Cannalire, R.; Burali, M.S.; Massari, S.; Manfroni, G.; Barreca, M.L.; Tabarrini, O.; Schindler, B.D.; Sabatini, S.; Kaatz, G.W.; Cecchetti, V. Searching for Novel Inhibitors of the S. aureus NorA Efflux Pump: Synthesis and Biological Evaluation of the 3-Phenyl-1,4-benzothiazine Analogues. *ChemMedChem* **2017**, *12*, 1293-1302.

13. Astolfi, A.; Felicetti, T.; Iraci, N.; Manfroni, G.; Massari, S.; Pietrella, D.; Tabarrini, O.; Kaatz, G.W.; Barreca, M.L.; Sabatini, S.; Cecchetti, V. Pharmacophore-based repositioning of approved drugs as novel S. aureus NorA efflux pump inhibitors. *J Med Chem.* **2017**, 60, 1598-1604.

14. Massari, S.; Goracci, L.; Desantis, J.; Tabarrini, O. Polymerase Acidic Protein-Basic Protein 1 (PA-PB1) Protein-protein Interaction as a Target for Next-generation Anti-influenza Therapeutics. *J. Med. Chem.* **2016**, *59*, 7699-7718.

15. Corona, A.; Desantis, J.; Massari, S.; Distinto, S.; Masaoka, T.; Sabatini, S.; Esposito, F.; Manfroni, G.; Maccioni, E.; Cecchetti, V.; Pannecouque, C.; Le Grice, S.F.J.; Tramontano, E.; Tabarrini, O. Studies on Cycloheptathiophene-3-Carboxamide Derivatives as Allosteric HIV-1 Ribonuclease H inhibitors. *ChemMedChem* **2016**, *11*, 1-13.

16. Tabarrini, O.; Desantis, J.; Massari, S. Recent advances in the identification of Tat-mediated transcription inhibitors: progressing toward a functional cure of HIV. *Future Med. Chem.* **2016**, *8*, 421-442.

17. Ceccarelli, M.; Germani, R.; Massari, S.; Petit, C.; Nurisso, A.; Wolfender, J.L.; Goracci, L. Phospholipidosis effect of drugs by adsorption into lipid monolayers. *Colloids Surf. B Biointerfaces* **2015**, *136*, 175-184.

18. Massari, S.; Nannetti, G.; Desantis, J.; Muratore, G.; Sabatini, S.; Manfroni, G.; Mercorelli, B.; Cecchetti, V.; Palù, G.; Cruciani, G.; Loregian, A.; Goracci, L.; Tabarrini, O. A Broad Anti-influenza Hybrid Small Molecule that Potently Disrupts the Polymerase Acidic Protein-Basic Protein 1 (PA-PB1) Subunits Interaction. *J. Med. Chem.* **2015**, *58*, 3830-3842.

19. Sabatini, S.; Manfroni, G.; Barreca, M.L.; Bauer, S.M.; Gargaro, M.; Cannalire, R.; Astolfi, A.; Brea, J.; Vacca, C.; Pirro, M.; Massari, S.; Tabarrini, O.; Loza, M.I.; Fallarino, F.; Laufer, S.A.; Cecchetti, V. The Pyrazolobenzothiazine Core as a New Chemotype of p38 Alpha Mitogen-Activated Protein Kinase Inhibitors. *Chem. Biol. Drug. Des.* **2015**, *86*, 531-545.

20. Mercorelli, B.; Luganini, A.; Muratore, G.; Massari, S.; Terlizzi, M. E.; Tabarrini, O.; Gribaudo, G.; Palù, G.; Loregian, A. The 6-Aminoquinolone WC5 Inhibits Different Functions of the Immediate-Early 2 (IE2) Protein of Human Cytomegalovirus that are Essential for Viral Replication. *Antimicrob. Agents Chemother.* **2014**, *58*, 6615-6626.

21. Sancineto, L.; Iraci, N.; Barreca, M.L.; Massari, S.; Manfroni, G.; Corazza, G.; Cecchetti, V.; Marcello, A.; Daelemans, D.; Pannecouque, C.; Tabarrini, O. Exploiting the Anti-HIV 6-Desfluoroquinolones to Design Multiple Ligands. *Bioorg. Med. Chem.* **2014**, *22*, 4658-4666.

22. Donalisio, M.; Massari, S.; Argenziano, M.; Manfroni, G.; Cagno, V.; Civra, A.; Sabatini, S.; Cecchetti, V.; Loregian, A.; Cavalli, R.; Lembo, D.; Tabarrini, O. Ethyl 1,8-Naphthyridone-3-carboxylates Downregulate Human Papillomavirus-16 (HPV-16) E6 and E7 Oncogenes Expression. *J. Med. Chem.* **2014**, *57*, 5649-6563.

23. Royle, C.M.; Tsai, M-H.; Tabarrini, O.; Massari, S.; Graham, D.R.; Aquino, V.N.; Boasso, A. Modulation of HIV-1-induced activation of plasmacytoid dendritic cells (pDCs) by 6-desfluoroquinolones. *AIDS Res. Hum. Retroviruses* **2014**, *30*, 345-354.

24. Massari, S.; Nannetti, G.; Goracci, L.; Sancineto, L.; Muratore, G.; Sabatini, S.; Manfroni, G.; Mercorelli, B.; Cecchetti, V.; Facchini, M.; Palù, G.; Cruciani, G.; Loregian, A.; Tabarrini, O. Structural Investigation of Cycloheptathiophene-3-carboxamide Derivatives Targeting Influenza Virus Polymerase Assembly. *J. Med. Chem.* **2013**, *56*, 10118-10131.

25. Sancineto, L.; Iraci, N.; Massari, S.; Attanasio, V.; Corazza, G.; Sabatini, S.; Manfroni, G.; Barreca, M.L.; Avanzi, N.R.; Cecchetti, V.; Pannecouque, C.; Marcello, A.; Tabarrini, O. Computer-Aided Design, Synthesis and Validation of 2-Phenylquinazolinone Fragments as CDK9 Inhibitors with anti-HIV-1 Tat-Mediated Transcription Activity. *ChemMedChem* **2013**, *8*, 1941-1953.

26. Massari, S.; Mercorelli, B.; Sancineto, L.; Sabatini, S.; Cecchetti, V.; Gribaudo, G.; Palù G, Pannecouque, C.; Loregian, A.; Tabarrini, O. Design, Synthesis, and Evaluation of WC5 Analogues as Inhibitors of Human Cytomegalovirus Immediate-Early 2 Protein, a Promising Target for Anti-HCMV Treatment. *ChemMedChem* **2013**, *8*, 1403-1414.

27. Sabatini, S.; Gosetto, F.; Iraci, N.; Barreca, M.L.; Massari, S.; Sancineto, L.; Manfroni, G.; Tabarrini, O.; Dimovska, M.; Kaatz, G.W.; Cecchetti, V. Re-evolution of the 2-phenylquinolines: LigandBased Design, Synthesis, and Biological Evaluation of a Potent New Class of Staphylococcus aureus NorA Efflux Pump Inhibitors to Combat Antimicrobial Resistance. *J. Med. Chem.* **2013**, *56*, 4975-4989.

28. Martelli, A.; Manfroni, G.; Sabbatini, P.; Barreca, M.L.; Testai, L.; Novelli, M.; Sabatini, S.; Massari, S.; Tabarrini, O.; Masiello, P.; Calderone, V.; Cecchetti, V. 1,4-Benzothiazine ATP-sensitive potassium channel openers: modifications at the C-2 and C-6 positions. *J. Med. Chem.* **2013**, *56*, 4718-4728.

29. Sancineto, L.; Massari, S.; Iraci, N.; Tabarrini, O. From Small to Powerful: The Fragments Universe and its "Chem-Appeal". *Curr. Med. Chem.* **2013**, *20*, 1355-1381.

30. Massari, S.; Sabatini, S.; Tabarrini, O. Blocking HIV-1 replication by targeting the Tat-hijacked transcriptional machinery. *Curr. Pharm. Des.* **2013**, *19*, 1860-1879.

31. Tabarrini, O.; Sabatini, S.; Massari, S.; Pieroni, M.; Franzblau, S.G.; Cecchetti, V. 6-Hydrogen-8-Methylquinolones Active Against Replicating and Non-replicating Mycobacterium Tuberculosis. *Chem. Biol. Drug Des.* **2012**, *80*, 781-786.

32. Pieroni, M.; Sabatini, S.; Massari, S.; Kaatz, G.W.; Cecchetti, V.; Tabarrini, O. 1 Searching for innovative quinolone-like scaffolds: synthesis and biological evaluation of 2,1-benzothiazine 2,2-dioxide derivatives. *MedChemComm* **2012**, *3*, 1092-1097.

33. Manfroni, G.; Meschini, F.; Barreca, M.L.; Leyssen, P.; Samuele, A.; Iraci, N.; Sabatini, S.; Massari, S.; Maga, G.; Neyts, J.; Cecchetti, V. Pyridobenzothiazole derivatives as new chemotype targeting the HCV NS5B polymerase. *Bioorg. Med. Chem.* **2012**, *20*, 866-876.

34. Natalini, B.; Sardella, R.; Massari, S.; Ianni, F.; Tabarrini, O.; Cecchetti, V. Synthesis and chromatographic enantioresolution of anti-HIV quinolone derivatives. *Talanta* **2011**, *85*, 1392-1397.

35. Tabarrini, O.; Massari, S.; Sancineto, L.; Daelemans, D.; Sabatini, S.; Manfroni, G.; Cecchetti, V.; Pannecouque, C. Structural Investigation on naphthyridone scaffold: identification of 1,6-naphthyridone derivative with potent and selective anti-HIV activity. *ChemMedChem* **2011**, *6*, 1249-1257.

36. Tabarrini, O.; Massari, S.; Daelemans, D.; Meschini, F.; Manfroni, G.; Bottega, L.; Gatto, B.; Palumbo, M.; Pannecouque, C.; Cecchetti, V. Studies on Anti-HIV Transcription Inhibitor Quinolones: Identification of Potent N-1-Vinyl Derivatives. *ChemMedChem* **2010**, *5*, 1880-1892.

37. Tabarrini, O.; Massari, S.; Cecchetti, V. 6-Desfluoroquinolones As HIV-1 Tat-Mediated Transcription Inhibitors. *Future Med. Chem.* **2010**, *2*, 1161-1180.

38. Pieroni, M.; Dimovska, M.; Brincat, J. P., Sabatini, S.; Carosati, E.; Massari, S.; Kaatz, G. W.; Fravolini, A. From 6-aminoquinolone antibacterials to 6-amino-7-thiopyranopyridinylquinolone ethyl esters as inhibitors of *Staphylococcus aureus* multidrug efflux pumps. *J. Med. Chem.* **2010**, *53*, 4466-4480.

39. Loregian, A.; Mercorelli, B.; Muratore, G.; Sinigalia, E.; Pagni, S.; Massari, S.; Gribaudo, G.; Gatto,

B.; Palumbo, M.; Tabarrini, O.; Cecchetti, V.; Palù, G. The 6-Aminoquinolone WC5 Inhibits Human Cytomegalovirus Replication at an Early Stage by Interfering with the Transactivating Activity of Viral Immediate-Early 2 Protein. *Antimicrob. Agents Chemother.* **2010**, *54*, 1930-1940.

40. Massari, S.; Daelemans, D.; Barreca, M. L.; Knezevich, A.; Sabatini, S.; Cecchetti, V.; Marcello, A.; Pannecouque, C.; Tabarrini, O. A 1,8-Naphthyridone Derivative Targets the HIV-1 Tat-Mediated Transcription and Potently Inhibits the HIV-1 Replication. *J. Med. Chem.* **2010**, *53*, 641-648.

41. Manfroni, G.; Paeshuyse, J.; Massari, S.; Zanoli, S.; Gatto, B.; Maga, G.; Tabarrini, O.; Cecchetti, V.; Fravolini, A.; Neyts, J. Potential NS3 Helicase-Mediated Inhibition of Subgenomic HCV RNA Replication by Acridone Derivatives. *J. Med. Chem.* **2009**, *52*, 3354–3365.

42. Gatto, B.; Tabarrini, O.; Massari, S.; Giaretta, G.; Sabatini, S.; Del Vecchio, C.; Parolin, C.; Fravolini, G.; Palumbo, M.; Cecchetti, V. 2-Phenylquinolones as HIV-1 Tat/TAR Inhibitors. *ChemMedChem* **2009**, *4*, 935-938.

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44. Tabarrini, O.; Massari, S.; Daelemans, D.; Stevens, M.; Manfroni, G.; Sabatini, S.; Balzarini, J.; Cecchetti, V.; Pannecouque, C.; Fravolini, A. Structure-Activity Relationship Study on Anti-HIV 6-Desfluoroquinolones. *J. Med. Chem.* **2008**, *51*, 5454-5458.

Hot articles

The article “A Broad Anti-influenza Hybrid Small Molecule that Potently Disrupts the Polymerase Acidic Protein-Basic Protein 1 (PA-PB1) Subunits Interaction. di Massari, S.; Nannetti, G.; Desantis, J.; Muratore, G.; Sabatini, S.; Manfroni, G.; Mercorelli, B.; Cecchetti, V.; Palù, G.; Cruciani, G.; Loregian, A.; Goracci, L.; Tabarrini, O. J. Med. Chem. 2015, 58, 3830-3842.” has been highlighted as “Relevant article to acquire an update on this original class of inhibitors.” by Naesens L, Stevaert A, Vanderlinden E. Antiviral therapies on the horizon for influenza. Curr Opin Pharmacol. 2016, 30, 106-115.

The article “Studies on Cycloheptathiophene-3-Carboxamide Derivatives as Allosteric HIV-1 Ribonuclease H inhibitors. di Corona, A.; Desantis, J.; Massari, S.; Distinto, S.; Masaoka, T.; Sabatini, S.; Esposito, F.; Manfroni, G.; Maccioni, E.; Cecchetti, V.; Pannecouque, C.; Le Grice, S.F.J.; Tramontano, E.; Tabarrini, O. ChemMedChem 2016, 11, 1-13.” was selected for the realization of the Front Cover Picture in ChemMedChem 16/2016.

The article “Structural Investigation on naphthyridone scaffold: identification of 1,6-naphthyridone derivative with potent and selective anti-HIV activity. di Tabarrini, O.; Massari, S.; Sancineto, L.; Daelemans, D.; Sabatini, S.; Manfroni, G.; Cecchetti, V.; Pannecouque, C. ChemMedChem 2011, 6, 1249-1257.” merited a mention in the Cover Picture of ChemMedChem 7/2011.

The article “Studies of Anti-HIV Transcription Inhibitor Quinolones: Identification of Potent N1-Vinyl Derivatives. di Tabarrini, O.; Massari, S.; Daelemans, D.; Meschini, F.; Manfroni, G.; Bottega, L.; Gatto, B.; Palumbo, M.; Pannecouque, C.; Cecchetti, V. ChemMedChem 2010, 5, 1880-1892.” was selected for the realization of the Inside Cover Picture in ChemMedChem 11/2010.

The article "A 1,8-Naphthyridone Derivative Targets the HIV-1 Tat-Mediated Transcription and Potently Inhibits the HIV-1 Replication. di Massari, S.; Daelemans, D.; Barreca, M. L.; Knezevich, A.; Sabatini, S.; Cecchetti, V.; Marcello, A.; Pannecouque, C.; Tabarrini, O. J. Med. Chem. 2010, 53, 641-648.” was highlighted in Science-Business eXchange (SciBX) from the Markers of BioCentury and Nature, January 7, 2010, Vol. 3(N. 1).