Curriculum Vitae

Personal information

First name(s) / Surname(s)	**Roberto Di Santo**
Address(es)	Dipartimento di Chimica e Tecnologie del Farmaco, P.le Aldo Moro 5 I-00185 Rome, Italy
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E-mail	roberto.di.santo@uniroma2.it roberto.disanto@uniroma1.it
Nationality	Italian
Date of birth	07/06/1963
Gender	M

Occupational field

University Full Professor

Work experience

2016 Full Professor in Medicinal Chemistry (Sapienza University of Rome)
2010 Qualified as Full Professor in Medicinal Chemistry (University of Turin)
2015-1998 Associated Professor in Medicinal Chemistry (Sapienza University of Rome)
2009 Dean of Pharmaceutical Applied Science (Sapienza University of Rome)
2005 Dean of Scientific Information about Drugs, Science and Technology of Erbal Products, Environmental Toxicology (Faculty of Pharmacy, Sapienza University of Rome)
1996 Researcher in Medicinal Chemistry (Faculty of Pharmacy, Sapienza University of Rome)
1989 Graduated Chemical Technician (Dpt. of Pharm Studies, Sapienza University of Rome)
1987 Army Officer (Nuclear Biological Chemical Army Headquarters, Rome)

Education and training

1995 Licence of pharmacist
1994 Degree in Pharmacy (5 year course) (Sapienza University of Rome)
1988 Fellowship Pasteur Institut (Sapienza University of Rome)
1987 Licence of chemist
1986 Degree in Chemistry (5 year course) (Sapienza University of Rome)
1981 Classical Liceum (Anco Marzio Lyceum, Rome)

Personal skills and competences

More than 170 scientific peer reviewed publication (high IF) and patents in the field of Medicinal Chemistry.
High expertise in coordination of research group.
High qualification and competences in Medicinal and Pharmaceutical Chemistry.
High qualification for teaching Medicinal and Pharmaceutical Chemistry.
High competence in drug design and development.
High expertise in collaborations with Pharmaceutical Companies for drug design and development.
Longstanding collaborations and contracts with Sigma-Tau Company, Pfizer, Angelini ACRAF.
Expertize in European Projects

University Teaching

More than 10 teaching in the field of Medicinal Chemistry.
- 2022 – to date: Medicinal Chemistry I (Pharmacy)
- 2022 – to date: Pharmaceutical Chemistry (Biotechnology)
- 2017 – to date: Drug Design (Chemistry and Technology of Drug)
- 2011-2012: Phytotherapy (Applied Pharmaceutical Sciences)
- 2006 – to date: Medicinal and Toxicological Chemistry II (M-Z) (Pharmacy)
- 2012 – 2020: Research and Development of Drug and Regulatory Documentation (Applied Pharmaceutical Sciences)
- 2004/2005 Medicinal and Toxicological Chemistry a II (M-Z) (Pharmacy)
- 2001-2010 Medicinal and Toxicological Chemistry II (Science and Technology of Herbals)
- 2000-2002 Medicinal and Toxicological Chemistry (Hospital Pharmacy)
- 1999/2000 Analysis of Drugs I (Pharmacy)
- 1999/2000 Analysis of Drugs and Metabolites in Biological Fluids (Chemistry and Technology of Drug)
- 1998/1999 Medicinal and Toxicological Chemistry III (Pharmacy)
- 1998/1999 Analysis of Drugs and Metabolites in Biological Fluids (Pharmacy)

Scientific Appointments

Member of European Federation of Medicinal Chemistry (EFMC)
2024 Chairman of the International Symposium on Medicinal Chemistry – EFMC, Rome 2024.
2022 – 2024 International Organizing Committee International Symposium on Medicinal Chemistry – EFMC, Rome 2024.
2020 – 2022 International Organizing Committee International Symposium on Medicinal Chemistry – EFMC, Nice 2022.
2016 – 2018 International Organizing Committee International Symposium on Medicinal Chemistry – EFMC, Lubiana 2018.
2014 “Biotech, SME, pharma landscape and how to interact with that landscape” EFMC working group.
Member of Italian Chemical Society (SCI), Division of Medicinal Chemistry.
2019-2021 Executive Committee of Division of Medicinal Chemistry of SCI.
2019-2021 Vice President of Division of Medicinal Chemistry of SCI.
2016-2018 Executive Committee of Division of Medicinal Chemistry of SCI.
2016-2018 Treasurer of Division of Medicinal Chemistry of SCI.
Scientific Committee XXII National Meeting on Medicinal Chemistry – Rome 2013
Organizing Committee XXII National Meeting on Medicinal Chemistry – Roma 2013
Member of Scientific Committee of “Laboratory of Synthetic Methodologies in Medicinal Chemistry”, University of Siena.

Scientific Activity

The research activity is focused on Drug Design and Development (DDD) of novel drugs and performed by the means of the most modern technics in the medicinal chemistry field like, computer driven drug design (CDDD), classical organic synthesis, parallel synthesis, microwave applications and flow chemistry.

The main research field are focused on chemotherapy, in particular on antiviral (HIV, HCV, poliovirus et al.), antiprotozoal, antibacterial, and antimicobacterial and antifungal agents, as well as on anticancer drugs.

Collaborations with companies gave results in the Alzheimer field, 5-HT4 ligands, antimalarial drugs, antitumor drugs, including anti-metastatic drug and compounds interfering with the autophagy process.

In summary the expertise can be listed as follows in the field of:

1-Chemotheapeutic agents:

a) Antiviral compounds (HIV, HCV, Chicungunya, poliovirus, fluvirus)
b) Antifungal agents
c) Antiprotozoal agents
d) Antimiycobacterial agents
e) Antibacterial agents (resistance)

2- Antitumor agents (specific targets for personalized medicine)

3- Anti-Alzheimer

4- Ligands of 5-HT4R

More than 170 papers and 6 patents, and oral communications, in national and international meetings.

Funding

- PNRR PE13 Spoke 5: One Health Basic and Translational Research Actions addressing Unmet Needs on Emerging Infectious Diseases (2022-25)
- Institut Pasteur International Network: Drug Design SARS2 (2020-22)
- FP7 European Project.: Combined Highly Active Anti-retroviral Microbicides (CHAARM) FP7 (2010-15).
- National Coordinator National Research Project 2010 (PRIN) anti-HIV agents.
- National Coordinator National Research Project 2008 (PRIN) anti-HIV agents targeting innovative viral and human targets.
- National Coordinator National Research Project 2006 (PRIN) anti-HIV agents acting on novel targets.
- National Program against AIDS 2009: New quinolones acting as microbicides.
- VI National Program against AIDS: Synthesis enzyme assays and antiviral activity of novel HIV integrase inhibitors.
- **V National Program against AIDS:** Novel HIV integrase inhibitors.
- **PI** for Department of Pharmaceutical Studies of **joint project ISS-NIH** on HIV integrase inhibitors.
- **PI Bill e Melinda Gates Foundation - CPDD Grant 2009 –** Protein farnesyltransferase inhibitors as anti-HAT agents and anti-Leishmanial compounds.
- **PI Pasteur Institute- Fondazione Cenci Bolognetti - Grant 2008-10 –** Inhibitors of RNase H function of the HIV reverse transcriptase.
- **PI Pasteur Institute- Fondazione Cenci Bolognetti - Grant 2011-13 –** New azole derivative sas antiprotozoal agents.
- **PI Pasteur Institute- Fondazione Cenci Bolognetti - Grant 2014-16** – Dual integrase and RNase H inhibitors to defeat HIV.
- **PI Pasteur Institute- Fondazione Cenci Bolognetti - Grant 2020-22** – Targeting Trypanosomatids sterol biosynthesis and thiol redox metabolism key enzymes for lead drug discovery.
- **Joint research with Sigma-Tau Company - Grant 1999-2011** – Beta-amiloid project.
- **Joint research with Sigma-Tau Company - Grant 2004-2010** – Malaria Artekin.
- **Joint research with Sigma-Tau Company - Grant 2018-2019** – Triamcinolone
- **Joint research with Sigma-Tau Company - Grant 2021-2022** – Brilacidin
- **Joint research with ACRAF Company - Grant 2003-2008** – Ligands of 5-HT4 receptor.
- **Joint research with Sigma-Tau Company - Grant 2013-2016** – Small molecules as inhibitors of heparanase.
- **Participant** of several National Project (PRIN) 1997, 2000, 2001, 2002 and FIRB 2002

International Collaboration

- D. Rauh, Max-Plank Institut, Dortmund University (Germany)
- L. Podust, UCSan Diego, San Diego (USA)
- F. Agou, Pasteur Institu Paris, Paris (France)
- Y. Pommier, NHI, National Cancer Institute, Bethesda (USA)
- S. J. Le Grice, NHI, National Cancer Institute, Frederick (USA)
- H. Waldmann, Max-Plank Institut, Dortmund University (Germany)
- Michael Gelb, Washington University, Seattle (USA)
- Z. Debyser Catholic University of Leuven (KUL) (Belgium)
- G. Vanham Antwerpen University (Belgium)
- K. Augustyns Antwerpen University (Belgium)
- M. Delarue Pasteur Istitut of Paris (France)
- R. Brosch Pasteur Istitut of Paris (France)
- R. Brunn Swiss Tropical Institute Parasite Chemotherapy Basilea (Swissland)
- L. Maes Antwerpen University (Belgium)
- A. Siddiqui, University of Colorado (USA)
- I. Sattler, Hans-Knoell Institut, Jena (Germany)
- S. Rault, CERMN, University of Caen (France),
- S. B. Jensen PET-center Århus University Hospital Århus C (Denmark)

Referee for Funding

- Referee for National and International projects.
National:
PRIN, FIRB, VQR, Levi Montalcini, Regione Campania
International:
Istrael Ministry of Science and Technology
Poland Ministry of Science and Higehr Education
Slovenian Research Agency (ARRS)
Flamish Industrieel Onderzoeksfonds (IOF), Belgium
Health and Medical Research Fund (HMRF) Hong Kong
Programme Vinci 2010 Italo-French University
Progetto Galileo Italo-French University.

Referee Editorial

Referee for Journal Medicinal Chemistry, ACS Medicinal Chemistry Letters, European Journal of Medicinal Chemistry, ChemMedChem, ACS Chemical Biology, Bioorganic and Medicinal Chemistry, Bioorganic and Medicinal Chemistry Letters, Molecules, Journal of Heterociclic Chemistry, Molecules, Journal of Natural Products

Editorial

- Journal of Drug (Editorial Board)
- Current HIV Research (Co-Editor Board)
- Current Pharmaceutical Design (Advisory Board)
- Current Medicinal Chemistry (Editorial Board)
- Mini-Reviews in Medicinal Chemistry (Editorial Board)
- Frontiers in Drug Discovery (Editorial Board)

Scientific Publication
(25 selected over the last ten years out of 170 Total)
For a complete list see Annex 1

1) V. N. Madia, A. Messore, L. Pescatori, F. Saccoliti, V. Tudino, A. De Leo, M. Bortolami, L. Scipione, R. Costi, S. Rivara, L. Scalvini, M. Mor, F. F. Ferrara, E. Pavoni, G. Roscilli, G. Cassinelli, F. M. Milazzo, G. Battistuzzi, R. Di Santo, G. Giannini.
Novel benzazole derivatives endowed with potent antiheparanase activity.
J. Med. Chem. **2018**, *61*, 6918-6936.
2) A. Messore, V. N. Madia, L. Pescatori, F. Saccoliti, V. Tudino, A. De Leo, M. Bortolami, D. De Vita, L. Scipione, F. Pepi, R. Costi, S. Rivara, L. Scalvini, M. Mor, F. F. Ferrara, E. Pavoni, G. Roscilli, G. Cassinelli, F. M. Milazzo, G. Battistuzzi, R. Di Santo, G. Giannini.
Novel symmetrical benzazolyl derivatives endowed with potent anti-heparanase activity.
J. Med. Chem. **2018**, *61*, 10834-10859.
3) V. N. Madia, A. Messore, L. Pescatori, F. Saccoliti, V. Tudino, A. De Leo, L. Scipione, L. Fiore, E. Rhoden, F. Manetti, M. S. Oberste, R. Di Santo, R. Costi.
In vitro antiviral activity of new oxazoline derivatives as potent poliovirus inhibitors.
J. Med. Chem. **2019**, *62*, 798-810.
4) F. Saccoliti, V. N. Madia, V. Tudino, A. De Leo, L. Pescatori, A. Messore, D. De Vita, L. Scipione, R. Brun, M. Kaiser, P. Mäser, C. M. Calvet, G. K. Jennings, L. M. Podust, G. Pepe, R. Cirilli, C. Faggi, A. Di Marco, M. R. Battista, V. Summa, R. Costi, R. Di Santo.Design, synthesis, and biological evaluation of new 1-(aryl-1*H*-pyrrolyl)(phenyl)methyl-1*H*-imidazole derivatives as antiprotozoal agents.
J. Med. Chem. **2019**, *62*, 798-810.
5) F. Pandolfi, F. D'Acierno, M.Bortolami, D. De Vita, R. Di Santo, R. Costi, G. Simonetti, L. Scipione.
Searching for new agents active against *Candida albicans* biofilm: A series of indole derivatives, design, synthesis and biological evaluation.
Eur. J. Med. Chem. **2019**, *165*, 93-106.
6) A. Messore, A Corona, V N Madia, F Saccoliti, V Tudino, A De Leo, L Scipione, D De Vita, G Amendola, S Di Maro, E Novellino, S Cosconati, M Métifiot, M-L Andreola, F Esposito, N Grandi, E Tramontano, R Costi, R Di Santo.
Pyrrolyl Pyrazoles as Non-Diketo Acid Inhibitors of the HIV-1 Ribonuclease H Function of Reverse Transcriptase.
ACS MedChemLett. **2020**, 11, 798-805.
7) G. Colotti, F. Saccoliti, M. Gramiccia, T. Di Muccio, J. Prakash, S. Yadav, V.K. Dubey, G. Vistoli, T. Battista, S. Mocci, A. Fiorillo, A. Bibi, V.N. Madia, A. Messore, R. Costi, R. Di Santo, A. Ilari.
Structure-guided approach to identify a novel class of anti-leishmaniasis diaryl sulfide compounds targeting the trypanothione metabolism.
Amino Acids **2020**, *52*, 247-259
8) M. Bortolami, F. Pandolfi, D. De Vita, C. Carafa, A. Messore, R. Di Santo, M. Feroci, R. Costi, I. Chiarotto, D. Bagetta, S. Alcaro, M. Colone, A. Stringaro, L. Scipione.
New deferiprone derivatives as multi-functional cholinesterase inhibitors: design, synthesis and in vitro evaluation.
Eur. J. Med. Chem. **2020**, 198, 112350.
9) F. Saccoliti, R. Di Santo, R. Costi.
Recent advancement in the search of innovative antiprotozoal agents targeting trypanothione metabolism.
ChemMedChem. **2020**, *15*, 2420-2435.
10) M. Bortolami, D. Rocco, A. Messore, R. Di Santo, R. Costi, V. N. Madia, L. Scipione, F. Pandolfi.
Acetylcholinesterase inhibitors for the treatment of Alzheimer's disease–a patent review (2016–present).
Expert Opin. Ther. Patents **2021**, *31*, 399-420.
11) M. Bortolami, F. Pandolfi, A. Messore, D. Rocco, M. Feroci, R. Di Santo, D. De Vita, R. Costi, P. Cascarino, G. Simonetti, L. Scipione.
Design, synthesis and biological evaluation of a series of iron and copper chelating deferiprone derivatives as new agents active against *Candida albicans*.
Bioorg. Med. Chem. Lett. **2021**, *42*, 128087.

12) A. Messore, A. Corona, V. N. Madia, F. Saccoliti, V. Tudino, A. De Leo, D. Ialongo, L. Scipione, D. De Vita, G. Amendola, E. Novellino, S. Cosconati, M. Métifiot, M.-L. Andreola, F. Esposito, N. Grandi, E. Tramontano, R. Costi, R. Di Santo.
Quinolinonyl non-diketo acid derivatives as inhibitors of HIV-1 ribonuclease H and polymerase functions of reverse transcriptase.
J. Med. Chem. **2021**, *64*, 8579-8598
13) S. Ammendola, V. Secli, F. Pacello, M. Bortolami, F. Pandolfi, A. Messore, R. Di Santo, L. Scipione, A. Battistoni
Salmonella typhimurium and pseudomonas aeruginosa respond differently to the Fe chelator deferiprone and to some novel deferiprone derivatives
Int. J. Mol. Sci. **2021**, *22*, 10217.
14) M. Bortolami, F. Pandolfi, V. Tudino, A. Messore, V. N. Madia, D. De Vita, R. Di Santo, R. Costi, I. Romeo, S. Alcaro, M. Colone, A. Stringaro, A. Espargarò, R. Sabatè, L. Scipione
New Pyrimidine and Pyridine Derivatives as Multitarget Cholinesterase Inhibitors: Design, Synthesis, and in Vitro and in Cellulo Evaluation
ACS Chemical Neuroscience **2021**, *12*, 4090 – 41123.
15) V. N. Madia, A. Messore, A. De Leo, V. Tudino, I. Pindinello, F. Saccoliti, D. De Vita, L. Scipione, R. Costi, R. Di Santo.
Small-molecule Inhibitors of HIV-1 Reverse Transcriptase-Associated Ribonuclease H function: Challenges and Recent Developments
Curr Med Chem **2021,** *28*, 6146-6178.
16) V. N. Madia, R. Benedetti, M. L. Barreca, L. Ngo, L. Pescatori, A. Messore, G. Pupo, F. Saccoliti, S. Valente, A. Mai, L. Scipione,Y. G. Zheng, C. Tintori, M. Botta, V. Cecchetti, L. Altucci, R. Di Santo, R. Costi.
Structure-Activity Relationships on Cinnamoyl Derivatives as Inhibitors of p300 Histone Acetyltransferase.
ChemMedChem. **2017**, *12*, 1359-1368. **DOI**: 10.1002/cmdc.201700040.
17) L. Pescatori, M. Métifiot, S. Chung, T. Masoaka, G. Cuzzucoli Crucitti, A. Messore, G. Pupo, V. N. Madia, F. Saccoliti, L. Scipione, S. Tortorella, F. S. Di Leva, S. Cosconati, L. Marinelli, E. Novellino, S. F. J. Le Grice, Y. Pommier, C. Marchand, R. Costi, R. Di Santo.
N-Substituted quinolinonyl diketo acid derivative sas HIV integrase strand transfer inhibitors and their activity against RNase H function of reverse transcriptase.
J. Med. Chem. **2015**, *58*, 4610-4623. **DOI**: 10.1021/acs.jmedchem.5b00159 (IF_{14} = 5.447)
18) G. Cuzzucoli Crucitti, M. Métifiot, L. Pescatori, A. Messore, V. N. Madia, G. Pupo, F. Saccoliti, L. Scipione, S. Tortorella, F. Esposito, A. Corona, M. Cadeddu, C. Marchand, Y. Pommier, E. Tramontano, R. Costi, R. Di Santo.
Structure-activity relationship of pyrrolyl diketo acid derivatives as dual inhibitors of HIV-1 integrase and reverse transcriptase ribonuclease H domain.
J. Med. Chem. **2015**, *58*, 1915-1928. **DOI**: 10.1021/jm501799k (IF_{14} = 5.447)
19) R. Di Santo
Inhibiting the HIV integration process: past, present, and the future
J. Med. Chem. **2014**, *57*, 539-566. **DOI:** 10.1021/jm400674a (IF_{13} = 5.480)
20) L. Friggeri, T. Y. Hargrove, G. Rachakonda, A. D. Williams, Z. Wawrzak, R. Di Santo, D. De Vita, M. R. Waterman, S. Tortorella, F. Villalta, G. I. Lepesheva
Structural basis for rational design of inhibitors targeting Trypanosoma cruzi sterol 14-demethylase: two regions of the enzyme molecule potentiate its inhibition
J. Med. Chem. **2014**, *57*, 6704-6717 **DOI:** 10.1021/jm500739f (IF_{13} = 5.480)
21) R. Costi, M. Métifiot, S. Chung, G. Cuzzucoli Crucitti, K. Maddali, L. Pescatori, A. Messore, V. N. Madia, G. Pupo, L. Scipione, S. Tortorella, F. S. Di Leva, S. Cosconati, L. Marinelli, E. Novellino, S. F. J. Le Grice, A. Corona, Y. Pommier, C. Marchand, R. Di Santo
Basic quinolinonyl diketo acid derivatives as inhibitors of HIV integrase and their activity against RNase H function of reverse transcriptase
J. Med. Chem. **2014**, *57*, 3223-3234. **DOI:** 10.1021/jm 5001503 (IF_{13} = 5.480)
22) A. Corona, F. S. Di Leva, S. Tierry , L. Pescatori, G. Cuzzucoli Crucitti, F. Subra, O. Delelis, F. Esposito, G. Rigogliuso, R. Costi, S. Cosconati, E. Novellino, R. Di Santo, E. Tramontano.

Identification of highly conserved residues involved in the inhibition of the HIV-1 ribonuclease H function by diketoacid derivatives
Antimicrob. Ag. Chemother. **2014**, *58*, 6101-6110. **DOI:** 10.1128/AAC.03605-14 (IF_{13} = 4.451)

23) R. Costi, M. Métifiot, F. Esposito, G. Cuzzucoli Crucitti, L. Pescatori, A. Messore, L. Scipione, S. Tortorella, L. Zinzula, E. Novellino, Y. Pommier, E. Tramontano, C. Marchand, R. Di Santo
6-(1-Benzyl-1*H*-pyrrol-2-yl)-2,4-dioxo-5-hexenoic acids as dual inhibitors of recombinant HIV-1 integrase and ribonuclease H, synthesized by a parallel synthesis approach
J. Med. Chem. **2013**, *56*, 8588-8598. **DOI**: 10.1021/jm401040b. (IF_{13} = 5.480)

24) R. Costi, G. Cuzzucoli Crucitti, L. Pescatori, A. Messore, L. Scipione, S. Tortorella, A. Amoroso, E. Crespan, P. Campiglia, B. Maresca, A. Porta, I. Granata, E. Novellino, J. Gouge, M. Delarue, G. Maga, R. Di Santo
New nucleotide-competitive non-nucleoside inhibitors of terminal deoxynucleotidyl transferase: discovery, characterization, and crystal structure in complex with the target
J. Med. Chem. **2013**, *56*, 7431-7441. **DOI:** 10.1021/jm4010187 (IF_{13} = 5.480)

25) S. Taliani, I. Pugliesi, E. Barresi, S. Salerno, C. Marchand, K. Agama, F. Simorini, C. La Motta, A. M. Marini, F. S. Di Leva, L. Marinelli, S. Cosconati, E. Novellino, Y. Pommier, R. Di Santo, F. Da Settimo
Phenylpyrazolo[1,5‑a]quinazolin-5(4H)‑one: a suitable scaffold for the development of noncamptothecin topoisomerase I (Top1) inhibitors
J. Med. Chem. **2013**, *56*, 7458−7462. **DOI:** 10.1021/jm400932c (IF_{13} = 5.480)

Textbooks (Chapters, etc.)

Italian Translation of 3 chapters of Foye's Principles of Medicinal Chemistry, VI Ed.
Italian Translation of 3 chapters of Foye's Principles of Medicinal Chemistry, VII Ed.
Chapter "Ipotensivi" for textbook "Chimica Farmaceutica" in press Ed. Casa Editrice Ambrosiana (CEA)
Italian Translation of parts of Foye's Principles of Medicinal Chemistry, L'Essenziale.