

## Europass Curriculum Vitae



### Personal information

First name(s) / Surname(s)

**Roberto Di Santo**

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Nationality

Italian

Date of birth

07/06/1963

Gender

M

### Occupational field

University Associated Professor

### Work experience

2011-1998 up to day Associated Professor in Medicinal Chemistry (Faculty of Pharmacy, Sapienza University of Rome)  
2010 enabled as Full Professor in Medicinal Chemistry (University of Turin)  
2009 Chief of the Degree Course in Pharmaceutical Applied Science (Faculty of Pharmacy, Sapienza University of Rome)  
2005 Chief of the Degree Course in Scientific Information about Drugs, Science and Thecnology of Erbal Products, Environmental Toxicology (Faculty of Pharmacy, Sapienza University of Rome)  
1996 Researcher in Medicinal Chemistry (Faculty of Pharmacy, Sapienza University of Rome)  
1995 enabled to the profession of pharmacist  
1989 Graduated Chemical Technician (Dpt. of Pharmaceutical Studies, Sapienza University of Rome)  
1987 Army Officer (NBC Army Headquarters, Rome)

### Education and training

1995 enabled to the profession of pharmacist  
1994 Degree in Pharmacy (Sapienza University of Rome)  
1988 Fellowship Pasteur Institut (Sapienza University of Rome)  
1987 enabled to the profession of chemist  
1986 Degree in Chemistry (Sapienza University of Rome)  
1981 Classical Liceum (Anco Marzio Lyceum, Rome)



**Personal skills and competences**

Mother tongue(s) **Italian**

Other language(s) **English**

Self-assessment

European level (\*)

**Language**

**Language**

Understanding		Speaking		Writing
Listening	Reading	Spoken interaction	Spoken production	
B2	B2	B2	B2	C1

(\*) [Common European Framework of Reference for Languages](#)

**Additional information**

International collaboration in the Medicinal Chemistry field:

Prof. I. Pommier, NHI, National Cancer Institute, Bethesda (USA), research on inhibitors of HIV Integrase;

- Prof. H. Waldmann, Max-Plank Institut, Dortmund University (Germany), antitumor agents;

- Prof. Michael Gelb, Washington University, Seattle (USA) anti-protozoal agents;

- Dott. Reto Brunn, Swiss Tropical Institute Parasite Chemotherapy Basel (Swissland) anti-protozoal agents;

- Prof. A. Siddiqui, University of San Diego (USA), research on anti- HCV agents;

- Dott. I. Sattler, Hans-Knoell Institut, Jena (Germany), farnesyl transferatse inhibitors;

- Prof. S. Rault, CERMN, University of Caen (France), research on 5-HT receptors ligands;

- Dott. Svend Borup Jensen PET-center Århus University Hospital Århus C (Danmark)

PET studies on MAO inhibitors.

**Annexes**

List any items attached.

- 1) Z. Michelini, C. M. Galluzzo, D. R. Negri, P. Leone, R. Amici, R. Bona, V. Summa, R. Di Santo, R. Costi, Y. Pommier, C. Marchand, L. Palmisano, S. Vella, A. Cara.  
Evaluation of HIV-1 integrase inhibitors on human primary macrophages using a luciferase-based single-cycle phenotypic assay.  
*J. Virol. Methods* **2010**, *168*, 272-276. DOI:10.1016/j.jviromet.2010.06.004 (IF<sub>09</sub> = 2.133)
- 2) E. Tramontano, R. Di Santo  
HIV-1 RT-associated RNase H function inhibitors: recent advances in drug development  
*Curr. Med. Chem.* **2010**, *17*, 2837-2853. ISSN: 0929-8673 (IF<sub>09</sub> = 4.708)
- 3) R. Di Santo  
Natural Products as Antifungal Agents Against Clinically Relevant Pathogens.  
*Nat. Prod. Rep.* **2010**, *27*, 1084-1098. DOI: 10.1039/b914961a (IF<sub>09</sub> = 9.202)
- 4) M. Mazzarino, X. de la Torre, R. Di Santo, I. Fiacco, F. Rosi, F. Botre  
Mass spectrometric characterization of tamoxifene metabolites in human urine utilizing different scan parameters on liquid chromatography/tandem mass Spectrometry.  
*Rapid Commun. Mass Spectrom.* **2010**, *24*, 749-760. DOI: 10.1002/rcm.4432 (IF<sub>09</sub> = 2.695)
- 5) R. Cirilli, R. Costi, R. Di Santo, F. La Torre, M. Pierini, G. Siani  
Perturbing effects of chiral stationary phase on enantiomerization second-order rate constants determined by enantioselective dynamic high-performance liquid chromatography: a practical tool to quantify the accessible acid and basic catalytic sites bonded on chromatographic supports.  
*Anal. Chem.* **2009**, *81*, 3560-3570. DOI: 10.1021/ac802212s (IF = 5.214)
- 6) O. Jegede, J. Babu, R. Di Santo, D. J. McColl, J. Weber, M. E. Quiñones-Mateu  
HIV type 1 integrase inhibitors: from basic research to clinical implications.  
*AIDS Rev.* **2008**, *10*, 172-189.
- 7) R. Di Santo, R. Costi, A. Roux, G. Miele, G. Cuzzucoli Crucitti, A. Iacovo, F. Rosi, A. Lavecchia, L. Marinelli, C. Di Giovanni, E. Novellino, L. Palmisano, M. Andreotti, R. Amici, C. M. Galluzzo, L. Nencioni, A. T. Palamara, Y. Pommier, C. Marchand.  
Novel quinolinonyl diketo acid derivatives as HIV-1 integrase inhibitors: design, synthesis and biological activities.  
*J. Med. Chem.* **2008**, *51*, 4744-4750. DOI: 10.1021/jm8001422
- 8) K. Terrazas-Aranda, Y. Van Herrewege, D. Hazuda, P. Lewi, R. Costi, R. Di Santo, A. Cara, G. Vanham.  
Human immunodeficiency virus type 1 (HIV-1) integration: a potential target for microbicides to prevent cell-free or cell-associated HIV-1 infection.  
*Antimicrob. Agents Chemother.* **2008**, *52*, 2544-2554. DOI:10.1128/AAC.01627-07
- 9) R. Di Santo.  
Recent patents in antifungal agent discovery.  
*Expert. Opin. Ther. Patents*, **2008**, *18*, 275-292. DOI:10.1517/13543776.18.3.275
- 10) S. B. Jensen, R. Di Santo, A. K. Olsen, K. Pedersen, R. Costi, R. Cirilli, P. Cumming.  
Synthesis and cerebral uptake of 1-(1-[<sup>11</sup>C]methyl-1H-pyrrol-2-yl)-2-phenyl-2-(1-pyrrolidinyl)ethanone a novel tracer for positron emission tomography studies of monoamine oxidase type A.  
*J. Med. Chem.* **2008**, *51*, 1617-1622. DOI: 10.1021/jm701378e
- 11) M. Cianfriglia, M. L. Dupuis, A. Molinari, A. Verdoliva, R. Costi, C. M. Galluzzo, M. Andreotti, A. Cara, R. Di Santo, L. Palmisano.  
HIV-1 integrase inhibitors are substrates for the multidrug transporter MDR1-P-glycoprotein.  
*Retrovirology* **2007**, *4*, 17. DOI:10.1186/1742-4690-4-17
- 12) R. Costi, R. Di Santo, M. Artico, G. Miele, P. Valentini, E. Novellino, A. Cereseto.  
Cinnamoyl compounds as simple molecules that inhibit p300 histone acetyltransferase.  
*J. Med. Chem.* **2007**, *50*, 1973-1977. DOI: 10.1021/jm060943s

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- 13) A. A. Johnson, C. Marchand, S. S. Patil, R. Costi, R. Di Santo, T. R. Burke, Jr., Y. Pommier. Probing HIV-1 integrase inhibitor binding sites with position-specific integrase-DNA cross-linking assays. *Mol. Pharmacol.* **2007**, *71*(3), 893-901. DOI:10.1124/mol.106.030817
  - 14) A. Lavecchia, R. Costi, M. Artico, G. Miele, E. Novellino, A. Bergamini, E. Crespan, G. Maga, R. Di Santo. Arylthiopyrrole (AThP) Derivatives as Non-Nucleoside HIV-1 Reverse Transcriptase Inhibitors. Synthesis, Structure-Activity Relationships and Docking Studies. Part 2. *Chem. Med. Chem.* **2006**, *1*, 1379-1390. DOI: 10.1002/cmdc.200600122
  - 15) A. Lavecchia, R. Costi, M. Artico, G. Miele, E. Novellino, A. Bergamini, E. Crespan, G. Maga, R. Di Santo. Arylthiopyrrole (AThP) Derivatives as Non-Nucleoside HIV-1 Reverse Transcriptase Inhibitors. Synthesis, Structure-Activity Relationships and Docking Studies. Part 2. *Chem. Med. Chem.* **2006**, *1*, 1379-1390. DOI: 10.1002/cmdc.200600122
  - 16) R. Di Santo, R. Costi, M. Artico, G. Miele, A. Lavecchia, E. Novellino, A. Bergamini, R. Cancio, G. Maga. Arylthiopyrrole (AThP) Derivatives as Non-Nucleoside HIV-1 Reverse Transcriptase Inhibitors. Synthesis, Structure-Activity Relationships and Docking Studies. Part 1. *Chem. Med. Chem.* **2006**, *1*, 1367-1378. DOI: 10.1002/cmdc.200600119
  - 17) R. Bona, M. Andreotti, V. Buffa, P. Leone, C. M. Galluzzo, R. Amici, L. Palmisano, M. G. Mancini, Z. Michelini, R. Di Santo, R. Costi, A. Roux, Y. Pommier, C. Marchand, S. Vella, A. Cara. Development of a Human Immunodeficiency Virus Vector-Based, Single-Cycle Assay for Evaluation of Anti-Integrase Compounds. *Antimicrobial Ag. Chemother.* **2006**, *50*, 3407-3417. DOI:10.1128/AAC.00517-06
  - 18) R. Di Santo  
Recent Development in Antifungal Drug Discovery  
*Ann. Rep. Med. Chem.* **2006**, *41*, 299-315. DOI:10.1016/S0065-7743(06)41020-4
  - 19) R. Di Santo, G. Maga.  
Human Terminal Deoxynucleotidyl Transferase as Novel Targets for Anticancer Chemotherapy.  
*Curr. Med. Chem.* **2006**, *13*, 2353-2368. DOI: 10.2174/092986706777935087
  - 20) R. Di Santo, R. Costi, A. Roux, M. Artico, A. Lavecchia, L. Marinelli, E. Novellino, L. Palmisano, M. Andreotti, R. Amici, C. M. Galluzzo, L. Nencioni, A. T. Palamara, Y. Pommier, C. Marchand. Novel Bifunctional Quinolonyl Diketo Acid Derivatives as HIV-1 Integrase Inhibitors: Design, Synthesis, Biological Activities and Mechanism of Action  
*J. Med. Chem.* **2006**, *49*, 1939-1945. DOI: 10.1021/jm0511583
  - 21) R. Di Santo, R. Costi, M. Artico, R. Ragno, A. Lavecchia, E. Novellino, E. Gavuzzo, F. La Torre, R. Cirilli, R. Cancio, G. Maga.  
Design, Synthesis, Biological Evaluation, and Molecular Modeling Studies on TIBO-Like Cyclic Sulfones as Novel Non-Nucleoside HIV-1 Reverse Transcriptase Inhibitors.  
*Chem. Med. Chem.* **2006**, *1*, 82-95. DOI: 10.1002/cmdc.200500020
  - 22) R. Di Santo, M. Fermeglia, M. Ferrone, M. S. Paneni, R. Costi, M. Artico, A. Roux, M. Gabriele, K. D. Tardif, A. Siddiqui, S. Pricl.  
Simple but Highly Effective Three-Dimensional Chemical-Feature-Based Pharmacophore Model for Diketo Acid Derivatives as Hepatitis C Virus RNA-Dependent RNA Polymerase Inhibitors.  
*J. Med. Chem.* **2005**, *48*, 6304-6314. DOI: 10.1021/jm0504454
  - 23) G. A. Locatelli, R. Di Santo, E. Crespan, R. Costi, A. Roux, U. Hübscher, I. Shevelev, G. Blanca, G. Villani, S. Spadai, G. Maga.  
Diketo hexenoic acid derivatives are novel selective non-nucleoside inhibitors of mammalian terminal deoxynucleotidyl transferases, with potent cytotoxic effect against leukemic cells.  
*Mol. Pharmacol.* **2005**, *68*, 538-550. DOI:10.1124/mol.105.013326

- 24) R. Di Santo, A. Tafi, R. Costi, M. Botta, M. Artico, F. Corelli, M. Forte, F. Caporuscio, L. Angiolella, A. T. Palamara.  
Antifungal Agents. 11. *N*-Substituted Derivatives of 1-[(Aryl)(4-aryl-1*H*-pyrrol-3-yl)methyl]-1*H*-imidazole, Synthesis, Anti-*Candida* Activity and QSAR Studies.  
*J. Med. Chem.* **2005**, *48*, 5140-5153. DOI: 10.1021/jm048997u
- 25) R. Di Santo, R. Costi, A. Roux, M. Artico, O. Befani, T. Meninno, E. Agostinelli, P. Palmegiani, P. Turini, R. Cirilli, R. Ferretti, B. Gallinella, F. La Torre.  
Design, Synthesis and Biological Activities of Pyrrolylethanoneamine Derivatives, a Novel Class of MAO Inhibitors.  
*J. Med. Chem.* **2005**, *48*, 4220-4223. DOI: 10.1021/jm050172c
- 26) E. Tramontano, F. Esposito, R. Badas, R. Di Santo, R. Costi, P. La Colla.  
6-[1-(4-Fluorophenyl)methyl-1*H*-pyrrol-2-yl]-2,4-dioxo-5-hexenoic acid ethyl ester a novel diketo acid derivative which selectively inhibits the HIV-1 viral replication in cell culture and the ribonuclease H activity in vitro.  
*Antiviral Res.* **2005**, *65*, 117-124. DOI:10.1016/j.antiviral.2004.11.002
- 27) R. Costi, R. Di Santo, M. Artico, A. Roux, R. Ragno, S. Massa, E. Tramontano, M. La Colla, R. Loddo, M. E. Marongiu, A. Pani, P. La Colla.  
6-Aryl-2,4-dioxo-5-hexenoic Acids, Novel Integrase Inhibitors Active Against HIV-1 Multiplication in Cell-Based Assays.  
*Bioorg. Med. Chem. Lett.* **2004**, *14*, 1745-1749. DOI:10.1016/j.bmcl.2004.01.037
- 28) R. Costi, R. Di Santo M. Artico, S. Massa, R. Ragno, R. Loddo, M. La Colla, E. Tramontano, P. La Colla, A. Pani. 2,6-Bis(3,4,5-trihydroxybenzylidene) derivatives of cyclohexanone: novel potent HIV-1 integrase inhibitors that prevent HIV-1 multiplication in cell-based assays.  
*Bioorg. Med. Chem.* **2004**, *12*, 199-215. DOI:10.1016/j.bmc.2003.10.005
- 29) F. La Torre, R. Cirilli, R. Ferretti, B. Gallinella, R. Costi, R. Di Santo. Conversion of a Racemic Mixture of 8-Chloro-2-(2,6-difluorophenylmethyl)-2,3-dihydro-3-methyl-1,2,5-benzothiadiazepin-4(5*H*)-one 1,1-Dioxide into a Single Enantiomer Via a Chromatographic Resolution/Racemization Method.  
*Chirality* **2003**, *15*, 429-432. DOI: 10.1002/chir.10226
- 30) R. Cirilli, R. Costi, R. Di Santo, M. Artico, A. Roux, B. Gallinella, L. Zanitti, F. La Torre. Enantioselective liquid chromatography of C<sub>3</sub>-chiral 2,3-dihydro-1,2,5-benzothiadiazepin-4(5*H*)-one and thione 1,1-dioxides on polyacrylamide- and polysaccharide-based chiral stationary phases.  
*J. Chromatography Sec. A* **2003**, *993*, 17-28. DOI:10.1016/S0021-9673(03)00321-2

Textbooks (Chapters, etc.) Translation in Italian language of parts of Foye's Principles of Medicinal Chemistry, VI Ed.

