



DIPARTIMENTO DI CHIMICA E TECNOLOGIE DEL FARMACO
CURRICULUM DIDATTICO-SCIENTIFICO DEL PROF. SSA ROBERTA COSTI

DATI PERSONALI

Nome e Cognome ROBERTA COSTI
Luogo e data di nascita: Roma 13 luglio 1966
Stato Civile:
Dipartimento Di chimica e tecnologie del farmaco
Indirizzo
Telefono uff./lab./mobile 06/49693247
06/49913996 (lab)
Fax
E-mail Roberta.costi@uniroma1.it

Fotografia formato JPG

Settore Scientifico-Disciplinare: CHIM-08
Orario di Ricevimento: mercoledì dalle 14 alle 15

ATTUALE POSIZIONE

➤ Professore associato

CARRIERA E TITOLI

1991-1994 dottorato di ricerca in scienze farmaceutiche
1994-1995 borsista CNR
1995-1997 borsista ISS
1998-2000 Contratto di ricerca presso l'università di Salerno
2000-2005 ricercatore universitario presso l'università di Roma "La Sapienza"
2005-ad oggi professore associato

ATTIVITA' DIDATTICA

- 1) Analisi chimico farmaceutica e tossicologica II (corso di laurea in CTF)
- 2) Progettazione dei farmaci (corso di laurea in Farmacia)
- 3) Chimica farmaceutica del Sistema nervoso centrale mod. II (scuola di specializzazione in Farmacia Ospedaliera)

ATTIVITA' SCIENTIFICA

- 1) ricerche su chemioterapici**
- A. ricerche su composti ad attività antivirale
 - B. ricerche su composti ad attività antimicobatterica
 - C. ricerche su composti ad attività antitumorale



2) ricerche su sostanze attive sul S.N.C.

PUBBLICAZIONI SCIENTIFICHE (max 30 su un totale di 70)

- 1.A. Tafi, J. Anastassopoulou, T. Theophanides, M. Botta, F. Corelli, S. Massa, M. Artico, R. Costi, R. Di Santo, R. Ragno.
Molecular Modeling of Azole Antifungal Agents Active Against *Candida albicans*. 1. A Comparative Molecular Field Analysis Study.
J. Med. Chem. **1996**, 39, 1227-1235. DOI: 10.1021/jm950385+ I.F. 5,207
- 2.M. Artico, R. Di Santo, R. Costi, S. Massa, F. Scintu, A. G. Loi, A. De Montis, P. La Colla.
1-Arylsulfonyl-3-(ω -hydroxybenzyl)-1*H*-pyrroles, a Novel Class of Anti-HIV-1 Reverse Transcriptase Inhibitors.
Bioorg. Med. Chem. Lett. **1997**, 7, 1931-1936. DOI:10.1016/S0960-894X(97)00340-5
- 3.R. Di Santo, R. Costi, M. Artico, S. Massa, M. E. Marongiu, A. G. Loi, M. Putzolu, P. La Colla.
1,2,5-Benzothiadiazepine and Pyrrolo[2,1-*d*][1,2,5]benzothiadiazepine Derivatives with Anti-HIV-1 Activity.
Antiviral. Chem. Chemother. **1998**, 9, 127-137.
- 4.M. Artico, R. Di Santo, R. Costi, E. Novellino, G. Greco, S. Massa, E. Tramontano, M. E. Marongiu, A. De Montis, P. La Colla.
Geometrically and Conformationally Restrained Cinnamoyl-Compounds as Inhibitors of HIV-1 Integrase: Synthesis, Biological Evaluation and Molecular Modeling.
J. Med. Chem. **1998**, 41, 3948-3960. DOI: 10.1021/jm9707232, I.F. 5,207
- 5.R. Di Santo, R. Costi, M. Artico, S. Massa, G. Lampis, D. Deidda, R. Pompei.
Pyrrolnitrin and Related Pyrroles Endowed with Antibacterial Activities Against *Mycobacterium Tuberculosis*.
Bioorg. Med. Chem. Lett. **1998**, 8, 2931-2936. DOI:10.1016/S0960-894X(98)00526-5
- 6.R. Costi, R. Di Santo, M. Artico, S. Massa, A. Lavecchia, T. Marceddu, L. Sanna, P. La Colla, M. E. Marongiu.
Structure-Activity Relationship Studies on Potential Non-Nucleoside DABO-like Inhibitors of HIV-1 Reverse Transcriptase.
Antiviral Chem. Chemother. **2000**, 11, 117-133.



- 7.R. Ragno, G. R. Marshall, R. Di Santo, R. Costi, S. Massa, R. Pompei, M. Artico.
Antimycobacterial Pyrroles: Synthesis, Anti-*Mycobacterium tuberculosis*
Activity and QSAR Studies.
Bioorg. Med. Chem. **2000**, *8*, 1423-1432. DOI:10.1016/S0968-0896(00)00061-4
- 8.R. Cirilli, R. Costi, R. Di Santo, R. Ferretti, F. La Torre, L. Angiolella, M. Micocci.
Analytical and Semipreparative Enantiomeric Separation of Azole Antifungal
Agents by High-Performance Liquid Chromatography on Polysaccharide-
Based Chiral Stationary Phases - Application to *in vitro* Biological Studies.
J. Chromatography Sec. A **2002**, *942*, 107-114. DOI:10.1016/S0021-
9673(01)01401-7
- 9.R. Di Santo, R. Costi, M. Artico, S. Massa, R. Ragno, G. R. Marshall, P. La Colla.
Design Synthesis and QSAR Studies on of *N*-Aryl
Heteroarylisopropanolamines, a New Class of non Peptidic HIV-1 Protease
Inhibitors.
Bioorg. Med. Chem. **2002**, *10*, 2511-2526. DOI:10.1016/S0968-0896(02)00119-0
- 10.A. Tafi, R. Costi, M. Botta, R. Di Santo, F. Corelli, S. Massa, A. Ciacci, F.
Manetti, M. Artico.
Antifungal Agents. 10. New Derivatives of 1-[(Aryl)[4-aryl-1*H*-pyrrol-3-
yl]methyl]-1*H*-imidazole, Synthesis, Anti-*Candida* Activity, and Quantitative
Structure-Activity Relationship Studies.
J. Med. Chem. **2002**, *45*, 2720-2732. DOI: 10.1021/jm011087h I.F. 5,207
- 11.R. Cirilli, R. Costi, R. Di Santo, M. Artico, A. Roux, B. Gallinella, L. Zanitti, F.
La Torre. Enantioselective liquid chromatography of C₃-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
- 12.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
- 13.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

- 14.E. Tramontano, F. Esposito, R. Badas, R. Di Santo, R. Costi, P. La Colla.
6-[1-(4-Fluorophenyl)methyl-1H-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
- 15.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 I.F. 5,207
- 16.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-1H-pyrrol-3-yl)methyl]-1H-imidazole, Synthesis, Anti-*Candida* Activity and QSAR Studies.
J. Med. Chem. **2005**, *48*, 5140-5153. DOI: 10.1021/jm048997u, I.F. 5,207
- 17.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 I.F. 4,725
- 18.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 I.F. 5,207
- 19.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.



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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
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21.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.

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Antimicrobial Ag. Chemother. **2006**, *50*, 3407-3417. DOI:10.1128/AAC.00517-06
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22.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

23.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

24.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 I:F.4,725

25.R. Costi, R. Di Santo, M. Artico, G. Miele, P. Valentini, E. Novellino, A. Cereseto.

Cynamoyl compounds as simple molecules that inhibit p300 histone acetyltransferase.



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26.S. B. Jensen, R. Di Santo, A. K. Olsen, K. Pedersen, R. Costi, R. Cirilli, P. Cumming.

Synthesis and cerebral uptake of 1-(1-[¹¹C]methyl-1*H*-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 I.F. 5,207

27.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.

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28.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.

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29..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 primari macrophages using a luciferase-based single-cycle phenotypic assay.

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30. Cheng, DH ; Valente, S ; Castellano, S; Sbardella, G ; Di Santo, R ; Costi, R ; Bedford, MT; Mai, A

Novel 3,5-Bis(bromohydroxybenzylidene)piperidin-4-ones as Coactivator-Associated Arginine Methyltransferase 1 Inhibitors: Enzyme Selectivity and Cellular Activity

JOURNAL OF MEDICINAL CHEMISTRY **2011** , *54* , 4928-4932 DOI: 10.1021/jm200453n I.F. 5,207