ALL. B – Scipione Luigi

Decreto Rettore Università di Roma "La Sapienza" n 2177/2020 del 27/08/2020

LUIGI SCIPIONE
Curriculum Vitae
ai fini della pubblicazione

Part I – General Information

Full Name	LUIGI SCIPIONE
Spoken Languages	Italian (mother tongue), English (intermediate)

Part II – Education

Type	Year	Institution	Notes (Degree, Experience,…)
University graduation	1990	Sapienza University of Rome	Full mark graduation in "Chemistry and Pharmaceutical Technologies"
PhD	1995	Sapienza University of Rome	Pharmaceutical sciences - title of the doctoral thesis "A new chemical system for the site-specific release of bioactive substances: transport and release of dopamine, GABA and serotonin in the brain district"
Post-graduate studies	1995	National Research Council (CNR), "National Committee of Chemical Sciences"	Research grant (201-03-22) for research carried out at the "Study Center for Electrochemistry and Physical Chemistry of Interphases", CNR Rome.

Part III – Academic Appointments

Start	End	Institution	Position
1996	1999	Sapienza University of Rome	Researcher
2000	2020	Sapienza University of Rome	Confirmed Researcher

Part IV - Teaching experience

Year	Institution	Lecture/Course
1999-2004	Sapienza University of Rome – Degree course in "Chemistry and Pharmaceutical Technologies"	Course of "Advanced methodologies in pharmaceutical chemistry"
2002-2012	Sapienza University of Rome – Degree course in "Pharmacy"	Course of "Chemical-pharmaceutical and toxicological analysis"

2013-2020	Sapienza University of Rome – Degree course in "Pharmacy"	Course of "Medicines analysis I"
2013-2016	Sapienza University of Rome – PhD Course in "Pharmaceutical Sciences"	PhD Tutor of Dr. Fabiana Pandolfi XXIX cycle
2017-2019	Sapienza University of Rome – PhD Course in "Pharmaceutical Sciences"	PhD Tutor of Dr. Martina Bortolami XXXII cycle
2002-2020	Sapienza University of Rome - Degree courses in "Chemistry and Pharmaceutical Technologies" and "Pharmacy"	Tutor of graduating students, dissertation and experimental theses.

Part V - Society memberberships, Awards and Honors

Year	Title
2019	Italian Society of Chemistry – Pharmaceutical chemistry division - Membership
2019	European Federation of Medicinal Chemistry - Membership
2020	Italian Society of Chemistry – Pharmaceutical chemistry division - Membership
2020	European Federation of Medicinal Chemistry - Membership

Part VI -Main Funding Information

Year	Title	Program	Grant value
1998-1999	Investigator in the research project "Rilascio sito-specifico di farmaci"	PRIN 1997 Prot. 9703228605_020	66.106 euro
2001-2002	Investigator in the in the research project "Veicolazione di farmaci e profarmaci nel sistema nervoso centrale"	PRIN 2001 Prot 2001033877_005	54.227 euro
2003-2004	Investigator in the initial phase and PI in the final phase, in the research project "Sintesi e studio farmacologico di sistemi chimici idonei a trasportare e liberare specificamente nel sistema nervoso centrale colina e altri composti colinergici"	PRIN 2003 (Prot. 2003034531_003	67.600 euro
2006-2008	Principal Investigator in the research project "Sintesi e screening farmacologico di sistemi chimici per il trasporto nel SNC di principi attivi idonei ad innalzare il tono colinergico o dotati di proprietà antiossidanti e antiamiloidogeniche"	PRIN 2005 Prot. 2005037894_005	54.800 euro
2010-2012	Investigator in the research program "Progettazione, sintesi e valutazione biologica di composti anti-HIV attivi su nuovi target (IN, RNAse H, TAT/TAR, HAT, CCR5, CXCR4)"	PRIN 2008 Prot. 2008CE75SA_001	68.988 euro
2013-2016	Investigator in the research program "Bloccare la replicazione di HIV-1 attraverso un approccio rivolto verso diversi bersagli molecolari"	PRIN 2010-11 Prot. 2010W2KM5L_002	100.791 euro

2015	Investigator in the research program "Targeting Trypanosomatids sterol biosynthesis and thiol redox metabolism key enzymes for lead drug discovery	Sapienza progetto di Ateneo Prot. C26H15WYPW	20.000 euro
2016	Principal Investigator in the research program New azole derivatives as antifungal and antiprotozoal agents	Sapienza progetto di Ateneo Prot RM116154C9A02AC1	11.000 euro
2016	Tutor project "Sviluppo di nuovi inibitori multifattoriali dell'acetilcolinesterasi come potenziali agenti terapeutici nel morbo di Alzheimer"	Startup research project Sapienza Prot. AR116154A4BD65F8	1.000 euro
2017	Finanziamento annuale individuale delle attività base di ricerca - FAABR	MIUR Agenzia Nazionale di Valutazione del Sistema Universitario e della Ricerca	3.000 euro
2017	Investigator in the research program "Novel selective inhibitors of ribonuclease H function of the HIV-1 reverse transcriptase enzyme	Sapienza progetto di Ateneo Prot RG11715C7EB6A275	30.000 euro
2018	Tutor project "Sviluppo di nuovi inibitori delle colinesterasi con proprietà chelanti e antiossidanti come potenziali agenti multitarget nella terapia del morbo di Alzheimer"	Startup research project Sapienza Prot. AR118164316B59CC	1.800 euro
2018	Investigator in the research program "Role of autophagy as potential mechanism in the pathogenesis of rheumatoid arthritis: unvelling molecular regulations and novel biomedical strategies by heparanase inhibitors"	Sapienza progetto di Ateneo Prot. RG118164363A8632	30.000 euro
2019	Principal investigator in the research program "Sviluppo di nuovi multitarget directed ligands come potenziali agenti nella terapia del morbo di Alzheimer".	Sapienza progetto di Ateneo Prot. RP11916B6ECA91C1	4.000 euro

Part VII A – Research Activities

Keywords
Cholinergics
Multitarget
Metal chelation
Anti-fungal
Anti-tripanosomal
Anti-HIV

Brief Description

The research activity of Dr Scipione deal with different aspect of medicinal chemistry, in particular it regards the design and synthesis of bioactive compounds, their purification and physico-chemical characterization and also their activity evaluation (chemical and biochemical), and it has covered different topics of medicinal chemistry, including CNS active compounds (cholinergics and CNS chemical delivery systems), antifungal, antiparasitic (anti-Trypanosomal and anti-Leishmanial) antiviral (anti-HIV, anti-polio), antibacterial and antitubercular, anti-cancer.

In the first years of activity, the research was mainly oriented to the design, synthesis, characterization and biological evaluation of redox type chemical delivery systems able to deliver and release bioactive compounds into the CNS, as cholinergic compounds and neurotransmitters. In this field, studies have also been carried out with the aim of clarify the mechanisms of the chemical reduction used for the preparation of redox chemical

delivery systems and their chemical and biochemical properties. This activity is documented by articles n° 26, 38, 43, 46 and 48-53 from the complete list of publication and patents.

Later, the research activity concerned studies in the field of cholinergic compounds, potentially useful for the treatment of neurological disorders such as Alzheimer disease; the research activity pertain to the synthesis of cholinergic pro-drugs and of dual binding site inhibitors of AChE, endowed by multitarget activity, such as antioxidant, metal chelating and anti-amyloid aggregation. This activity is documented by articles n° 1, 12, 20, 24, 25, 34, 39-42, 44, 45, 47 and 1 patent application.

The research activity on anti-fungal compounds was mainly focused on the synthesis of azole CYP51 inhibitors that prompted to identify new molecules active also on resistant fungal strains, on other different classes of compounds, such as indole and catechols, active on *Candida spp* biofilm, and finally, on plant extract useful as adjuvant of other antifungal compounds. This activity is documented by articles n° 3, 6, 16, 27, 29 and 36.

In the field of anti-protozoal agents, the researches were mainly focused on the design and synthesis of azole-based CYP51 inhibitors and pyrimidine-based trypanothione reductase inhibitors; this research activity prompted to identify compounds highly active on *T. cruzi* (nanomolar power) and on *L. infantum* (micromolar power) and was documented by the publication of articles n° 4, 10, 14, 18 and 32.

As regards studies in the field of anti-viral compounds, the activity has mainly focused on the development of anti-HIV compounds and in particular on the study of inhibitors of the integrase and ribonuclease H functions of HIV-1 reverse transcriptase, also including structural and mechanistic studies, aimed at elucidating the interactions of these inhibitors with metal ions essential for enzymatic activity. This research activity is documented by articles n° 2, 5, 11, 21-23, 28 and 30.

In addition to the activities described above, part of the work was dedicated to the study of anticancer compounds, active on different tumor targets such as TDT, heparanase, tumor suppressor Pcdc4 and p300 histone Acetyltransferase. This activity is documented by articles n° 8, 9, 13, 19 and 31.

Finally, a part of the activities was dedicated to the synthesis of anti-bacterial compounds, both of new design and as a modification of natural antibiotics, which showed activity in particular towards *M. tuberculosis*. This activity is documented by articles n° 15, 17, 33 and 37.

Part VII B – Scientific Collaborations

- Prof. Reto Brun, "Department Medical Parasitology and Infection Biology, Swiss Tropical and Public Health Institute", Basilea, Switzerland
- Prof. Louis Maes "Laboratory for Microbiology, Parasitology and Hygiene (LMPH)" Antwerp University, Belgium
- Prof. Carlos Alberto Montanari, "Departamento de Quimica e Fisica Molecular" University of Sao Paulo, Brazil
- Prof. Larissa M. Podust, Skaggs School of Pharmacy and Pharmaceutical Sciences, University of California San Diego, United States
- Prof. Ana Marisa Fusco Almeida, Faculdade de Ciências Farmacêuticas, Universidade Estadual Paulista, Araraquara, Brasil
- Prof. Raimon Sabaté, Department of Physical Chemistry, University of Barcelona, Spain
- Prof. Claudiu T. Supuran, Dipartimento Neurofarba, Sezione di Scienze Farmaceutiche e Nutraceutiche, University of Firenze, Florence, Italy

- Prof. Alessandro De Logu, Dipartimento di Scienze della Vita e dell'Ambiente, University of Cagliari, Italy
- Prof.ssa Elisabetta Barrocelli, Dipartimento di Scienze Farmacologiche, Biologiche e Chimiche Applicate, University of Parma, Italy
- Prof.ssa Manuela Bartolini, Dipartimento di Farmacia e Biotecnologie University of Bologna, Italy
- Prof. Stefano Alcaro, Dipartimento di Scienze Farmacobiologiche University of "Magna Græcia" Catanzaro, Italy
- Dott.ssa Giovanna Simonetti, Dipartimento di Sanità Pubblica e Malattie Infettive, "Sapienza" University of Rome, Italy
- Dott. Lanfranco Fattorini, Dipartimento di Malattie infettive, Parassitarie e Immunomediate, Istituto Superiore di Sanità, Rome, Italy
- Dott. Roberto Cirilli, Dipartimento del Farmaco, Istituto Superiore di Sanità, Rome, Italy

Part VIII – Summary of Scientific Achievements (Database Scopus 08/09/2020)

Product type	Number	Data Base	Start	End
Papers [international]	53	SCOPUS	1996	2020

Total Impact factor	184.641
Total Citations	666
Average Citations per Product	12.6
Hirsch (H) index	16
Normalized H index*	0.67

*H index divided by the academic seniority.

Part IX– Selected Publications

List of the publications selected for the evaluation. For each publication report title, authors, reference data, journal IF (if applicable), citations, press/media release (if any).

	Title	Authors	Journal	Reference	JIF (JCR)	Cited (Scopus)	Press release
1	New deferiprone derivatives as multi-functional cholinesterase inhibitors: design, synthesis and in vitro evaluation	Bortolami, M; Pandolfi, F; De Vita, D; Carafa, C; Messore, A; Di Santo, R; Feroci, M; Costi, R; Chiarotto, I; Bagetta, D; Alcaro, S; Colone, M; Stringaro, A; Scipione, L	EUROPEAN JOURNAL OF MEDICINAL CHEMISTRY	2020,198, Art n° 112350	5,572	0	All rights reserved, only procedure administrators
2	Searching for new agents active against Candida albicans biofilm: A series of indole derivatives, design, synthesis and biological evaluation	Pandolfi, F; D'Acierno, F; Bortolami, M; De Vita, D; Gallo, F; De Meo, A; Di Santo, R; Costi, R; Simonetti, G; Scipione, L	EUROPEAN JOURNAL OF MEDICINAL CHEMISTRY	2019, 165, 93-106	5,572	7	All rights reserved, only procedure administrators
3	New pyridine derivatives as inhibitors of	Pandolfi, F; De Vita, D; Bortolami, M; Coluccia, A; Di Santo, R; Costi, R; Andrisano,	EUROPEAN JOURNAL OF	2017, 141, 197-210	4,816	9	All rights reserved, only

	acetylcholinesterase and amyloid aggregation	V; Alabiso, F; Bergamini, C; Fato, R; Bartolini, M; Scipione, L	MEDICINAL CHEMISTRY				procedure administrators
4	Inhibition of the alpha-carbonic anhydrase from Vibrio cholerae with amides and sulfonamides incorporating imidazole moieties	De Vita, D; Angeli, A; Pandolfi, F; Bortolami, M; Costi, R; Di Santo, R; Suffredini, E; Ceruso, M; Del Prete, S; Capasso, C; Scipione, L; Supuran, CT	JOURNAL OF ENZYME INHIBITION AND MEDICINAL CHEMISTRY	2017, 32(1), 798-804	3,638	19	All rights reserved, Open access
5	Exploring the anti-biofilm activity of cinnamic acid derivatives in Candida albicans	De Vita, D; Simonetti, G; Pandolfi, F; Costi, R; Di Santo, R; D'Auria, FD; Scipione, L	BIOORGANIC & MEDICINAL CHEMISTRY LETTERS	2016, 26(24), 5931-5935	2,454	12	All rights reserved, only procedure administrator
6	In vitro screening of 2-(1H-imidazol-1-yl)-1-phenylethanol derivatives as antiprotozoal agents and docking studies on Trypanosoma cruzi CYP51	De Vita, D; Moraca, F; Zamperini, C; Pandolfi, F; Di Santo, R; Matheeussen, A; Maes, L; Tortorella, S; Scipione, L	EUROPEAN JOURNAL OF MEDICINAL CHEMISTRY	2016, 113, 28-33	4,519	12	All rights reserved, only procedure administrators
7	New N,N-dimethylcarbamate inhibitors of acetylcholinesterase: design synthesis and biological evaluation	De Vita, D; Pandolfi, F; Ornano, L; Feroci, M; Chiarotto, I; Sileno, I; Pepi, F; Costi, R; Di Santo, R; Scipione, L	JOURNAL OF ENZYME INHIBITION AND MEDICINAL CHEMISTRY	2016, 31 S4, 106-113	4,293	7	All rights reserved, Open access
8	Design, synthesis and evaluation of 3,4-dihydroxybenzoic acid derivatives as antioxidants, bio-metal chelating agents and acetylcholinesterase inhibitors	Friggeri, L; De Vita, D; Pandolfi, F; Tortorella, S; Costi, R; Di Santo, R; Scipione, L	JOURNAL OF ENZYME INHIBITION AND MEDICINAL CHEMISTRY	2015, 30(1), 166-172	3,428	6	All rights reserved, Open access
9	Synthesis, biological evaluation and structure-activity correlation study of a series of imidazol-based compounds as Candida albicans inhibitors	Moraca, F; De Vita, D; Pandolfi, F; Di Santo, R; Costi, R; Cirilli, R; D'Auria, FD; Panella, S; Palamara, AT; Simonetti, G; Botta, M; Scipione, L	EUROPEAN JOURNAL OF MEDICINAL CHEMISTRY	2014, 83, 665-673	3,447	18	All rights reserved, only procedure administrators
10	Activity of caffeic acid derivatives against Candida albicans biofilm	De Vita, D; Friggeri, L; D'Auria, FD; Pandolfi, F; Piccoli, F; Panella, S; Palamara, AT; Simonetti, G; Scipione, L; Santo, R; Costi, R; Tortorella, S	BIOORGANIC & MEDICINAL CHEMISTRY LETTERS	2014, 24(6), 1502-1505	2,420	33	All rights reserved, only procedure administrators
11	Synthesis and antifungal activity of a new series of 2-(1H-imidazol-1-yl)-1-phenylethanol derivatives	De Vita, D; Scipione, L; Tortorella, S; Mellini, P; Di Rienzo, B; Simonetti, G; D'Auria, FD; Panella, S; Cirilli, R; Di Santo, R; Palamara, AT	EUROPEAN JOURNAL OF MEDICINAL CHEMISTRY	2012, 49, 334-342	3,499	27	All rights reserved, only procedure administrators
12	4-aminopyridine derivatives with anticholinesterase and antiamnesic activity	Scipione, L; De Vita, D; Musella, A; Flammini, L; Bertoni, S; Barocelli, E	BIOORGANIC & MEDICINAL CHEMISTRY LETTERS	2008, 18(1), 309-312	2,531	13	All rights reserved, only procedure administrators

Part X – Organizational activities

Year	Institution	activity
2013	Italian Society of Chemistry – Pharmaceutical chemistry division	Member of organizing committee of the XXII National Meeting on Medicinal Chemistry, Rome,10-13 September 2013
2018-2020	Sapienza University of Rome – Degree course in "Pharmacy"	Member of the quality assurance management commission

Part XI – Reviewer activity

2009 -2020	Review activity for the following international peer review scientific journals:
	- European Journal of Medicinal Chemistry (Ed. Elsevier);
	- Bioorganic and Medicinal Chemistry (Ed. Elsevier);
	- Bioorganic and Medicinal Chemistry Letters (Ed. Elsevier);
	- Journal of Enzyme inhibition and Medicinal Chemistry (Ed. Taylor and Francis);
	- Molecules (Ed. MDPI);
	- IET Nanotechnology (IET Digital Library);
	- Journal of Chemistry (Ed. Hindawi);
	- Letters in Drug Design and Discovery (Ed. Bentham Science)).

VELLETRI 08/09/2020