

Personal information

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Education

March 2007
pHd "Pasteur Science", at Department of Drug Chemistry and Technologies, "Sapienza", University of Rome;
March 2003
University degree in Pharmacy 110/110 *cum laude* at the above mentioned Department.

Research experiences

From October 2011: Assistant Professor (currently RTD-B) in Medicinal Chemistry at Department of Drug Chemistry and Technologies, Sapienza University of Rome, Italy;
July-2009-May 2011: Post-doc position (REDCAT, Marie Curie project, [European Community's] Seventh Framework Programme [FP7/2007-2013], Grant Agreement No. 215009), LIMBP, Université de la Lorraine-Metz, France.
April 2007-June 2009: contract with Sapienza University for research activity at the Department of Drug Chemistry and Technologies, "Sapienza", University of Rome.

Main research activity

Design, synthesis and development of small epigenetic modulators of HDACs, SIRTs, HMTs, KDMs, DNMTs) for a new approach to anticancer chemotherapy, neurodegenerative and metabolic pathologies, anti-parasitic treatment.

Abilities

From July 2007 national abilitation for Pharmacist profession and from January 2015 National Scientific Abilitation (ASN, MIUR) for Associate Professor Position.

Teaching Activity (Faculty of Pharmacy and Medicine, Sapienza University of Rome, Italy).
Holder of the course 2015-2018 "Chemical-Pharmaceutical and Toxicological Analysis I", II year of master degree in CTF; holder of the course 2012-2013, 2013-2014 and 2014-2015 "Chemical-Pharmaceutical and Toxicological Analysis", II year of master degree in Pharmacy; holder of the course 2011-2012 "Laboratory of Extractive and Synthetic Preparation of Drugs", V year of master degree in CTF (Pharmaceutical Chemistry and Technology; member of examination committee, also for Master Degree, integrative lectures and assistance for students; d) tutoring for graduating and PhD students in Medicinal Chemistry.

Congress Communications (oral and posters)

10 oral communications and more than 100 poster communications at National and International Congresses in Medicinal Chemistry.

Awards

Paestum (SA), 11 September 2017, National Prize for Medicinal Chemistry Research received by Medicinal Chemistry Division of Italian Chemical Society.

Technical skills and competences

Advanced and microwave-assisted organic synthesis. Molecular modelling. Windows Vista, XP 2003, 2007, 2010, Windows 7-10, ISIS Draw, Chem Bio Draw 2013, MS Office, Spectrometry analysis (¹H-NMR, ¹³C-NMR, Mass).

Grants

1. Ateneo 2015 Sapienza Project
Title: "Design, synthesis, anticancer evaluation of novel irreversible as well as reversible LSD1 inhibitors and development of probes for chemoproteomics of LSD1"
Position in project: Coordinator

2. Ateneo 2014 Sapienza Project

Title: "Enhancer of Zeste Homolog 2 inhibitors: a novel strategy anticancer therapy"

Position in project: Collaborator

3. Ateneo 2013 Sapienza Project

Title: "Regolazione dell'espressione di citochine infiammatorie nel tessuto periprotetico di protesi mammarie siliconiche mediante impiego di inibitori delle istone deacetilasi in un modello sperimentale murino"

Position in project: Collaborator

4. Ateneo 2012 Sapienza Project

Title: "Novel Probes for Sirtuin Enzymes: a Medicinal Chemistry/Chemical Biology Approach"

Position in project: Collaborator

5. European Project EC-GA N°602080; WORK PACKAGE 4, 6, 7

A-PARADDISE 2014-2016

Title: "Anti-Parasitic Drug Discovery in Epigenetics"

Position in project: Collaborator

6. European Project N° 282520; WORK PACKAGE 15, BLUEPRINT 2011-2016

Title: "A BLUEPRINT of Haematopoietic Epigenomes"

Position in project: Collaborator

Reviewer activity

Journal of Medicinal Chemistry, European Journal of Medicinal Chemistry, Current Topics in Medicinal Chemistry, Expert Opinion on Drug Discovery, Oxidative Medicine and Cellular Longevity, Aging and Disease, Tetrahedron Letters.

Scientific Publications

Author/Co-Author of 103 scientific papers; H-Index: 29, total citations: 3082.

1. Mattioli E, Andrenacci D, Mai A, Valente S, Robijns J, De Vos WH, Capanni C, Lattanzi G. Statins and Histone Deacetylase Inhibitors Affect Lamin A/C - Histone Deacetylase 2 Interaction in Human Cells. *Front Cell Dev Biol.* 2019 Jan 31;7:6
2. Stazi G, Battistelli C, Piano V, Mazzone R, Marrocco B, Marchese S, Louie SM, Zwergel C, Antonini L, Patsilinos A, Ragno R, Viviano M, Sbardella G, Ciogli A, Fabrizi G, Cirilli R, Strippoli R, Marchetti A, Tripodi M, Nomura DK, Mattevi A, Mai A, Valente S. Development of alkyl glycerone phosphate synthase inhibitors: Structure-activity relationship and effects on ether lipids and epithelial-mesenchymal transition in cancer cells. *Eur J Med Chem.* 2019 Feb 1;163:722-735
3. Zwergel C, Romanelli A, Stazi G, Besharat ZM, Catanzaro G, Tafani M, Valente S, Mai A. Application of Small Epigenetic Modulators in Pediatric Medulloblastoma. *Front Pediatr.* 2018 Dec 3;6:370
4. Bouchut A, Rotili D, Pierrot C, Valente S, Lafitte S, Schultz J, Hoglund U, Mazzone R, Lucidi A, Fabrizi G, Pechalrieu D, Arimondo PB, Skinner-Adams TS, Chua MJ, Andrews KT, Mai A, Khalife J. Identification of novel quinazoline derivatives as potent antiplasmodial agents. *Eur J Med Chem.* 2019 Jan 1;161:277-291
5. Fioravanti R, Stazi G, Zwergel C, Valente S, Mai A. Six Years (2012-2018) of Researches on Catalytic EZH2 Inhibitors: The Boom of the 2-Pyridone Compounds. *Chem Rec.* 2018 Dec;18(12):1818-1832
6. Mattioli E, Andrenacci D, Garofalo C, Prencipe S, Scotlandi K, Remondini D, Gentilini D, Di Blasio AM, Valente S, Scarano E, Cicchilitti L, Piaggio G, Mai A, Lattanzi G. Altered modulation of lamin A/C-HDAC2 interaction and p21 expression during oxidative stress response in HGPS. *Aging Cell.* 2018 Aug 15:e12824.

7. Muñoz-Cobo I, Erburu MM, Zwergel C, Cirilli R, Mai A, Valente S, Puerta E, Tordera RM. Nucleocytoplasmic export of HDAC5 and SIRT2 downregulation: two epigenetic mechanisms by which antidepressants enhance synaptic plasticity markers. *Psychopharmacology (Berl)*. 2018 Aug 8.
8. Manara MC, Valente S, Cristalli C, Nicoletti G, Landuzzi L, Zwergel C, Mazzone R, Stazi G, Arimondo PB, Pasello M, Guerzoni C, Picci P, Nanni P, Lollini PL, Mai A, Scotlandi K. A Quinoline-Based DNA Methyltransferase Inhibitor as a Possible Adjuvant in Osteosarcoma Therapy. *Mol Cancer Ther*. 2018 Jun 29.
9. Singh AA, Petraglia F, Nebbioso A, Yi G, Conte M, Valente S, Mandoli A, Scisciola L, Lindeboom R, Kerstens H, Janssen-Megens EM, Pourfarzad F, Habibi E, Berentsen K, Kim B, Logie C, Heath S, Wierenga ATJ, Clarke L, Flicek P, Jansen JH, Kuijpers T, Yaspo ML, Valle VD, Bernard O, Gut I, Vellenga E, Stunnenberg HG, Mai A, Altucci L, Martens JHA. Multi-omics profiling reveals a distinctive epigenome signature for high-risk acute promyelocytic leukemia. *Oncotarget*. 2018 May 22;9(39):25647-25660
10. Petraglia F, Singh AA, Carafa V, Nebbioso A, Conte M, Scisciola L, Valente S, Baldi A, Mandoli A, Belsito Petrizzi V, Ingenito C, De Falco S, Cicatiello V, Apicella I, Janssen-Megens EM, Kim B, Yi G, Logie C, Heath S, Ruvo M, Wierenga ATJ, Flicek P, Yaspo ML, Della Valle V, Bernard O, Tomassi S, Novellino E, Feoli A, Sbardella G, Gut I, Vellenga E, Stunnenberg H, Mai A, Martens J, and Altucci L. *Oncotarget*. 2018 May 22;9(39):25630-25646.
11. Rossi L, Battistelli C, de Turreis V, Noce V, Zwergel C, Valente S, Moiola A, Manzione A, Palladino M, Bordoni V, Domenici A, Menè P, Mai A, Tripodi M, Strippoli R. HDAC1 inhibition by MS-275 in mesothelial cells limits cellular invasion and promotes MMT reversal. *Sci Rep*. 2018 May 31;8(1):8492.
12. Zwergel C, Stazi G, Mai A, Valente S. Trends of LSD1 inhibitors in viral infections. *Future Med Chem*. 2018 May 1;10(10):1133-1136.
13. Buonvicino D, Felici R, Ranieri G, Caramelli R, Lapucci A, Cavone L, Muzzi M, Di Pietro L, Bernardini C, Zwergel C, Valente S, Mai A, Chiarugi A. Effects of Class II-Selective Histone Deacetylase Inhibitor on Neuromuscular Function and Disease Progression in SOD1-ALS Mice. *Neuroscience*. 2018 May 21;379:228-238.
14. Kalin JH, Wu M, Gomez AV, Song Y, Das J, Hayward D, Adejola N, Wu M, Panova I, Chung HJ, Kim E, Roberts HJ, Roberts JM, Prusevich P, Jeliakov JR, Roy Burman SS, Fairall L, Milano C, Eroglu A, Proby CM, Dinkova-Kostova AT, Hancock WW, Gray JJ, Bradner JE, Valente S, Mai A, Anders NM, Rudek MA, Hu Y, Ryu B, Schwabe JWR, Mattevi A, Alani RM, Cole PA. Targeting the CoREST complex with dual histone deacetylase and demethylase inhibitors. *Nat Commun*. 2018 Jan 4;9(1):53.
15. Mellini P, Marrocco B, Borovika D, Polletta L, Carnevale I, Saladini S, Stazi G, Zwergel C, Trapencieris P, Ferretti E, Tafani M, Valente S and Antonello Mai. Pyrazole-based inhibitors of Enhancer of Zeste Homolog 2 induce apoptosis and autophagy in cancer cells. *Philos Trans R Soc Lond B Biol Sci*. 2018 Jun 5;373(1748)
16. Miele E, Valente S, Alfano V, Silvano M, Mellini P, Borovika D, Marrocco B, Po A, Besharat ZM, Catanzaro G, Battaglia G, Abballe L, Zwergel C, Stazi G, Milite C, Castellano S, Tafani M, Trapencieris P, Mai A and Ferretti E. The histone methyltransferase EZH2 as a druggable target in SHH medulloblastoma cancer stem cells. *Oncotarget*. 2017; 8:68557-68570.
17. Lapucci A, Cavone L, Buonvicino D, Felici R, Gerace E, Zwergel C, Valente S, Mai A, Chiarugi A. Effect of Class II HDAC inhibition on glutamate transporter expression and survival in SOD1-ALS mice. *Neurosci Lett*. 2017 Aug 24;656:120-125.

18. Mazzone R, Zwergel C, Mai A, Valente S. Epi-drugs in combination with immunotherapy: a new avenue to improve anticancer efficacy. *Clin Epigenetics*. 2017 May 30;9:59.
19. Zwergel C, Czepukoje B, Evain-Bana E, Xu Z, Stazi G, Mori M, Patsilidakos A, Mai A, Botta B, Ragno R, Bagrel D, Kirsch G, Meiser P, Jacob C, Montenarh M, Valente S. Novel coumarin- and quinolinone-based polycycles as cell division cycle 25-A and -C phosphatases inhibitors induce proliferation arrest and apoptosis in cancer cells. *Eur J Med Chem*. 2017 Jul 7;134:316-333.
20. Stazi G, Zwergel C, Mai A, Valente S. EZH2 inhibitors: a patent review (2014-2016). *Expert Opin Ther Pat*. 2017 Jul;27(7):797-813.
21. Madia VN, Benedetti R, Barreca ML, Ngo L, Pescatori L, Messori A, Pupo G, Saccoliti F, Valente S, Mai A, Scipione L, Zheng YG, Tintori C, Botta M, Cecchetti V, Altucci L, Di Santo R, Costi R. Structure-Activity Relationships on Cinnamoyl Derivatives as Inhibitors of p300 Histone Acetyltransferase. *ChemMedChem*. 2017 Mar 27.
22. Panella S, Marcocci ME, Celestino I, Valente S, Zwergel C, Li Puma DD, Nencioni L, Mai A, Palamara AT, Simonetti G. MC1568 inhibits HDAC6/8 activity and influenza A virus replication in lung epithelial cells: role of Hsp90 acetylation. *Future Med Chem*. 2016 Oct 14.
23. Stazi G, Zwergel C, Valente S, Mai A. LSD1 inhibitors: a patent review (2010-2015). *Expert Opin Ther Pat*. 2016 Mar 28:1-16
24. Di Liddo R, Valente S, Taurone S, Zwergel C, Marrocco B, Turchetta R, Conconi MT, Scarpa C, Bertalot T, Schrenk S, Mai A, Artico M. Histone deacetylase inhibitors restore IL-10 expression in lipopolysaccharide-induced cell inflammation and reduce IL-1 β and IL-6 production in breast silicone implant in C57BL/6J wild-type murine model. *Autoimmunity*. 2016 Jan 20:1-11
25. Vianello P, Botrugno OA, Cappa A, Dal Zuffo R, Dessanti P, Mai A, Marrocco B, Mattevi A, Meroni G, Minucci S, Stazi G, Thaler F, Trifiró P, Valente S, Villa M, Varasi M, Mercurio C. Discovery of a Novel Inhibitor of Histone Lysine-Specific Demethylase 1A (KDM1A/LSD1) as Orally Active Antitumor Agent. *J Med Chem*. 2016 Feb 25;59(4):1501-17
26. Valente S, Mellini P, Spallotta F, Carafa V, Nebbioso A, Polletta L, Carnevale I, Saladini S, Trisciuglio D, Gabellini C, Tardugno M, Zwergel C, Cencioni C, Atlante S, Moniot S, Steegborn C, Budriesi R, Tafani M, Del Bufalo D, Altucci L, Gaetano C, Mai A. 1,4-Dihydropyridines Active on the SIRT1/AMPK Pathway Ameliorate Skin Repair and Mitochondrial Function and Exhibit Inhibition of Proliferation in Cancer Cells. *J Med Chem*. 2016 Feb 25;59(4):1471-91.
27. Erburu M., Muñoz-Cobo I, Domínguez-Andrés, J, Beltran E, Suzuki T, Mai A, Valente S, Puerta E, Tordera R. M. Chronic stress and antidepressant induced changes in Hdac5 and Sirt2 affect synaptic plasticity. *European Neuropsychopharmacology* 2015, 25, 2036-2048.
28. Wang Q, Rosa BA, Nare B, Powell K, Valente S, Rotili D, Mai A, Marshall GR, Mitreva M. Targeting Lysine Deacetylases (KDACs) in Parasites. *PLoS Negl Trop Dis*. 2015 Sep 24;9(9):e0004026.
29. Piano V, Benjamin DI, Valente S, Nenci S, Marrocco B, Mai A, Aliverti A, Nomura DK, Mattevi A. Discovery of Inhibitors for the Ether Lipid-Generating Enzyme AGPS as Anti-Cancer Agents. *ACS Chem Biol*. 2015 Sep 4.
30. Zwergel C, Valente S, Mai A. DNA Methyltransferases Inhibitors from Natural Sources. *Curr Top Med Chem*. 2015 Aug 25.

31. Di Pompo G, Salerno M, Rotili D, Valente S, Zwergel C, Avnet S, Lattanzi G, Baldini N, Mai A. Novel Histone Deacetylase Inhibitors Induce Growth Arrest, Apoptosis, and Differentiation in Sarcoma Cancer Stem Cells. *J Med Chem*. 2015 Apr 30.
32. Zwergel C, Valente S, Jacob C, Mai A. Emerging approaches for histone deacetylase inhibitor drug discovery. *Expert Opin Drug Discov*. 2015 Apr 20:1-15.
33. Valente S, Rodriguez V, Mercurio C, Vianello P, Saponara B, Cirilli R, Ciossani G, Labella D, Marrocco B, Monaldi D, Ruoppolo G, Tilset M, Botrugno OA, Dessanti P, Minucci S, Mattevi A, Varasi M, Mai A. Pure enantiomers of benzoylamino-tranlylcypromine: LSD1 inhibition, gene modulation in human leukemia cells and effects on clonogenic potential of murine promyelocytic blasts. *Eur J Med Chem*. 2015 Mar 3;94:163-174.
34. Pilotto S, Speranzini V, Tortorici M, Durand D, Fish A, Valente S, Forneris F, Mai A, Sixma TK, Vachette P, Mattevi A. Interplay among nucleosomal DNA, histone tails, and corepressor CoREST underlies LSD1-mediated H3 demethylation. *Proc Natl Acad Sci U S A*. 2015 Mar 3;112(9):2752-7.
35. Rodriguez V, Valente S, Rovida S, Rotili D, Stazi G, Lucidi A, Ciossani G, Mattevi A, Botrugno OA, Dessanti P, Mercurio C, Vianello P, Minucci S, Mario Varasi M and Mai A. Pyrrole- and indole-containing tranlylcypromine derivatives as novel lysine-specific demethylase 1 inhibitors active on cancer cells. *Med. Chem. Commun*. 2015, 6, 665-670.
36. Gros C, Fleury L, Nahoum V, Faux C, Valente S, Labella D, Cantagrel F, Rilova E, Bouhrel MA, David-Cordonnier M, Dufau I, Ausseil F, Mai A, Mourey L, Lacroix L, Arimondo PB. New Insights on the Mechanism of Quinoline-based DNA Methyltransferase Inhibitors. *J Biol Chem*. 2015 Mar 6;290(10):6293-302.
37. Valente S, Rodriguez V, Mercurio C, Vianello P, Saponara B, Cirilli R, Ciossani G, Labella D, Marrocco B, Ruoppolo G, Botrugno OA, Dessanti P, Minucci S, Mattevi A, Varasi M, Mai A. Pure Diastereomers of a Tranlylcypromine-Based LSD1 Inhibitor: Enzyme Selectivity and In-Cell Studies. *ACS Med Chem Lett*. 2014 Dec 8;6(2):173-7
38. Bana E, Sibille E, Valente S, Cerella C, Chaimbault P, Kirsch G, Dicato M, Diederich M, Bagrel D. A novel coumarin-quinone derivative SV37 inhibits CDC25 phosphatases, impairs proliferation, and induces cell death. *Mol Carcinog*. 2015 Mar;54(3):229-41.
39. Mellini P, Valente S, Mai A. Sirtuin modulators: an updated patent review (2012 - 2014). *Expert Opin Ther Pat*. 2015 Jan;25(1):5-15.
40. Vianello P, Botrugno OA, Cappa A, Ciossani G, Dessanti P, Mai A, Mattevi A, Meroni G, Minucci S, Thaler F, Tortorici M, Trifiró P, Valente S, Villa M, Varasi M, Mercurio C. Synthesis, biological activity and mechanistic insights of 1-substituted cyclopropylamine derivatives: A novel class of irreversible inhibitors of histone demethylase KDM1A. *Eur J Med Chem*. 2014, 86C:352-363.
41. Seidel C, Schnekenburger M, Zwergel C, Gaascht F, Mai A, Dicato M, Kirsch G, Valente S, Diederich M. Novel inhibitors of human histone deacetylases: Design, synthesis and bioactivity of 3-alkenoylcoumarines. *Biorg Med Chem Lett* 2014, 24, 3797-801.
42. Valente S, Trisciuglio D, De Luca T, Nebbioso A, Labella D, Lenoci A, Bigogno C, Dondio GM, Miceli M, Brosch G, Del Bufalo D, Altucci L, Mai A. 1,3,4-Oxadiazole-Containing Histone Deacetylase Inhibitors: Anticancer Activities in Cancer Cells. *J Med Chem*. 2014, 57, 6259-65.
43. Scuderi C, Stecca C, Bronzuoli MR, Rotili D, Valente S, Mai A, Steardo L. Sirtuin modulators control reactive gliosis in an in vitro model of Alzheimer's disease. *Front Pharmacol*. 2014, 5, 89.

44. Huber S, Valente S, Chaimbault P, Schohn H. Evaluation of $\Delta 2$ -pioglitazone, an analogue of pioglitazone, on colon cancer cell survival: Evidence of drug treatment association with autophagy and activation of the Nrf2/Keap1 pathway. *Int J Oncol.* 2014, 45, 426-38.
45. Zecchin A, Pattarini L, Gutierrez MI, Mano M, Mai A, Valente S, Myers MP, Pantano S, Giacca M. Reversible acetylation regulates vascular endothelial growth factor receptor-2 activity. *J. Mol Cell Biol.* 2014, 6, 116-27.
46. Ciarapica R, Carcarino E, Adesso L, De Salvo M, Bracaglia G, Leoncini PP, Dall'agnese A, Verginelli F, Milano GM, Boldrini R, Inserra A, Stifani S, Screpanti I, Marquez VE, Valente S, Mai A, Puri PL, Locatelli F, Palacios D, Rota R. Pharmacological inhibition of EZH2 as a promising differentiation therapy in embryonal RMS. *BMC Cancer.* 2014, 14, 139.
47. Kirsch G, Valente S. Natural products molten together: Toward multifunctional hybrid molecules with specific activities and applications. *Chapter Book* 2014, 379-397.
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50. Valente S, Mai A. Small-molecule inhibitors of histone deacetylase for the treatment of cancer and non-cancer diseases: a patent review (2011-2013). *Expert Opin Ther Pat.* 2014, 24, 401-15.
51. Valente S, Yiwei L, Schnekenburger M, Zwergel C, Cosconati S, Gros C, Tardugno M, Labella D, Florean C, Minden S, Hashimoto H, Chang Y, Zhang X, Kirsch G, Novellino E, Arimondo PB, Miele E, Ferretti E, Gulino A, Diederich M, Cheng X, Mai A. Selective Non-Nucleoside Inhibitors of Human DNA Methyltransferases Active in Cancer Including Cancer Stem Cells. *J Med Chem.* 2014, 57, 701-13.
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