BIOGRAPHICAL SKETCH

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NAME	POSITION TITLE
Antonello Mai	Full Professor
eRA COMMONS USER NAME (credential, e.g., agency login) AMAI	

EDUCATION/TRAINING *(Begin with baccalaureate or other initial professional education, such as nursing, include postdoctoral training and residency training if applicable.)*

INSTITUTION AND LOCATION	DEGREE *(if applicable)*	MM/YY	FIELD OF STUDY
Sapienza University of Rome	Pharmacy	11/84	Pharmacy
Sapienza University of Rome	PhD	02/92	Medicinal Chemistry

A. Personal Statement

I am professor of the following courses at the Faculty of Pharmacy and Medicine of Sapienza University of Rome involving Medicinal Chemistry: Medicinal Chemistry 1, Medicinal Chemistry 2, Medicinal Chemistry 3, Medicinal Chemistry and Toxicology Analysis, Pharmaceutical Biotechnology.
I have great expertise in 1) organic synthesis; 2) synthesis of new potential bio-active compounds, particularly in the field of epigenetics (inhibitors of DNMTs, HDACs [unselective and class-selective], HATs, sirtuins, PRMTs, HKMTs, and HDs), anticancer agents, antiviral agents (anti-human picornavirus compounds (disoxaril analogues), and anti-HIV-1 compounds belonging to the non-nucleoside reverse transcriptase inhibitor classes (DABOs, S-DABOs, DATNOs, F_2-S-DABOs, Amino-DABOs), antibacterial (oxacine analogues), antimycobacterial (oxacine and U-100480 analogues) and antifungal (trichostatin A, pyrrolnitrin, and bifonazole analogues) agents, and CNS agents (pyrrolobenzodiazepines active as analgesic, antidepressant and nootropic compounds); 3) development of new methodology for the synthesis of heterocycles; 4) analysis and purification of organic mixtures; 5) study and characterization of organic molecules.

B. Positions and Honors

Positions

1987 Institute Pasteur-Cenci Bolognetti Foundation Fellow, Sapienza University of Rome
1988-1992 PhD student, Dept Medicinal Chemistry studies, Sapienza University of Rome
1990-1998 Technical Researcher, Dept Medicinal Chemistry studies, Sapienza University of Rome
1998-2011 Associate Professor, Dept Drug Chemistry and Technologies, Sapienza University of Rome
2011-today Full Professor, Dept Drug Chemistry and Technologies, Sapienza University of Rome

Honors

From 1992 member of Italian Chemical Society (SCI)
From 2003 Regional Editor of the 'Mini Reviews in Medicinal Chemistry" (Bentham) and "Medicinal Chemistry" (Bentham)
2004-2009 member of the Executive Committee of the SCI Medicinal Chemistry Division
From 2008 member of the Scientific Committee of the PhD commission for the PhD course "Medicinal Chemistry" at Sapienza University of Rome.
2009- member of the Scientific Committee of the European School of Medicinal Chemistry (ESMEC)
2010 member of the International Advisory Board for "ChemMedChem", Wiley eds.
2012-2018: President of the Scientific Committee of the commission for the PhD course "Medicinal Chemistry" at Sapienza University of Rome.
From 2013: President of the Degree Course in "Medicinal Chemistry and Technology", Sapienza University of Rome.
From 2014: Member of the Sapienza Commission for accreditation of PhD Courses
From 2015: Chair Editor of "ChemMedChem".
From 2016: System Expert of ANVUR for accreditation of italian Atenei

From 2018: Associate Editor of “Clinical Epigenetics” and “Journal of Enzyme Inhibition and Medicinal Chemistry”

C. Selected Peer-reviewed Publications

1. 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** Sep;17(9):1881-1892.
2. Mellini P, Marrocco B, Borovika D, Polletta L, Carnevale I, Saladini S, Stazi G, Zwergel C, Trapencieris P, Ferretti E, Tafani M, Valente S, Mai A. Pyrazole-based inhibitors of enhancer of zeste homologue 2 induce apoptosis and autophagy in cancer cells. Philos Trans R Soc Lond B Biol Sci. **2018** Jun 5;373(1748). pii: 20170150.
3. Carafa V, Nebbioso A, Cuomo F, Rotili D, Cobellis G, Bontempo P, Baldi A, Spugnini EP, Citro G, Chambery A, Russo R, Ruvo M, Ciana P, Maravigna L, Shaik J, Radaelli E, De Antonellis P, Tarantino D, Pirolli A, Ragno R, Zollo M, Stunnenberg HG, Mai A, Altucci L. RIP1-HAT1-SirT complex identification and targeting in treatment and prevention of cancer. Clin Cancer Res. **2018** Mar 13. pii: clincanres.3081.2017.
4. 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, Jeliazkov 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**, 9(1), 53.
5. Pannek M, Simic Z, Fuszard M, Meleshin M, Rotili D, Mai A, Schutkowski M, Steegborn C. Crystal structures of the mitochondrial deacylase Sirtuin 4 reveal isoform-specific acyl recognition and regulation features. Nat. Commun. **2017**, 8(1), 1513.
6. Hailu GS, Robaa D, Forgione M, Sippl W, Rotili D, Mai A. Lysine Deacetylase Inhibitors in Parasites: Past, Present, and Future Perspectives. J. Med. Chem. **2017**, 60, 4780-4804.
7. Moniot S, Forgione M, Lucidi A, Hailu GS, Nebbioso A, Carafa V, Baratta F, Altucci L, Giacché N, Passeri D, Pellicciari R, Mai A, Steegborn C, Rotili D. Development of 1,2,4-Oxadiazoles as Potent and Selective Inhibitors of the Human Deacetylase Sirtuin 2: Structure-Activity Relationship, X-ray Crystal Structure, and Anticancer Activity. J. Med. Chem. **2017**, 60, 2344-2360.
8. You W, Rotili D, Li TM, Kambach C, Meleshin M, Schutkowski M, Chua KF, Mai A, Steegborn C. Structural Basis of Sirtuin 6 Activation by Synthetic Small Molecules. *Angew Chem Int Ed Engl* **2017**, *56*, 1007-1011.
9. Speranzini V, Rotili D, Ciossani G, Pilotto S, Marrocco B, Forgione M, Lucidi A, Forneris F, Mehdipour P, Velankar S, Mai A, Mattevi A. Polymyxins and quinazolines are LSD1/KDM1A inhibitors with unusual structural features. *Sci Adv*. **2016**, *2*, e1601017.
10. Valente S, Mellini P, Spallotta F, Carafa V, Nebbioso A, Polletta L, Carnevale I, Saladini S, Trisciuoglio 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**, *59*, 1471-1491.
11. 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**, *58*, 4073-4079.
12. Rotili, D.; Tarantino, D.; Marrocco, B.; Gros, C.; Masson, V.; Poughon, V.; Ausseil, F.; Chang, Y.; Labella, D.; Cosconati, S.; Di Maro, S.; Novellino, E.; Schnekenburger, M.; Grandjenette, C.; Bouvy, C.; Diederich, M.; Cheng, X.; Arimondo, P. B.; Mai A. Properly Substituted Analogues of BIX-01294 Lose

Inhibition of G9a Histone Methyltransferase and Gain Selective Anti-DNA Methyltransferase 3A Activity. *PLoS One* **2014**, *9*, e96941.

13. Valente, S.; Liu, Y.; 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, P. B.; Miele, E.; Ferretti, E.; Gulino, A.; Diederich, M.; Cheng, X.; Mai, A. Selective Non-nucleoside Inhibitors of Human DNA Methyltransferases Active in Cancer Including in Cancer Stem Cells. *J Med Chem*. **2014**, *57*, 701-713.
14. Rotili, D.; Tomassi, S.; Conte, M.; Benedetti, R.; Tortorici, M.; Ciossani, G.; Valente, S.; Marrocco, B.; Labella, D.; Novellino, E.; Mattevi, A.; Altucci, L.; Tumber, A.; Yapp, C.; King, O. N.; Hopkinson, R. J.; Kawamura, A.; Schofield, C. J.; Mai, A. Pan-histone demethylase inhibitors simultaneously targeting Jumonji C and lysine-specific demethylases display high anticancer activities. *J Med Chem*. **2014**, *57*, 42-55.
15. Rotili, D.; Tarantino, D.; Nebbioso, A.; Paolini, C.; Huidobro, C.; Lara, E.; Mellini, P.; Lenoci, A.; Pezzi, R.; Botta, G.; Lahtela-Kakkonen, M.; Poso, A.; Steinkühler, C.; Gallinari, P.; De Maria, R.; Fraga, M.; Esteller, M.; Altucci, L.; Mai, A. Discovery of salermide-related sirtuin inhibitors: binding mode studies and antiproliferative effects in cancer cells including cancer stem cells. *J. Med Chem*. **2012**, *55*, 10937-10947.
16. Rotili, D.; Tarantino, D.; Carafa, V.; Paolini, C.; Schemies, J.; Jung, M.; Botta, G.; Di Maro, S.; Novellino, E.; Steinkühler, C.; De Maria, R.; Gallinari, P.; Altucci, L.; Mai, A. Benzodeazaoxaflavins as sirtuin inhibitors with antiproliferative properties in cancer stem cells. *J. Med. Chem*. **2012**, *55*, 8193-8197.
17. Cheng, D.; Valente, S.; Castellano, S.; Sbardella, G.; Di Santo, R.; Costi, R.; Bedford, M. T.; Mai, A. Novel 3,5-bis(bromohydroxybenzylidene)piperidin-4-ones as coactivator-associated arginine methyltransferase 1 inhibitors: enzyme selectivity and cellular activity. *J Med Chem* **2011**, *54*, 4928-4932.
18. Binda, C.; Valente, S.; Romanenghi, M.; Pilotto, S.; Cirilli, R.; Karytinos, A.; Ciossani, G.; Botrugno, O. A.; Forneris, F.; Tardugno, M.; Edmondson, D. E.; Minucci, S.; Mattevi, A.; Mai, A. Biochemical, structural, and biological evaluation of tranylcypromine derivatives as inhibitors of histone demethylases LSD1 and LSD2. *J. Am. Chem. Soc*. **2010**, *132*, 6827-6833.

D. Research Support

- Italian Ministry of Health 2010 RF-2010-2318330, title: Epigenetic drugs for human diabetic foot ulcer treatment; role: *Responsible of Research Unit*. 80,000 euro.
- IEO/DDP (Italy) 2011, title: “Search for LSD1 inhibitors as anticancer agents”; role: *PI*. 30,000 euro.
- FP7 n. 282510 2011-2015, title “A BLUEPRINT of Haematopoietic Epigenomes”; role: *Responsible of Research Unit*. 225,000 euro.
- IEO/DDP (Italy) 2012, title: “Search for LSD1 inhibitors as anticancer agents”; role: *PI*. 30,000 euro.
- IEO/DDP (Italy) 2013, title: “Search for LSD1 inhibitors as anticancer agents”; role: *PI*. 30,000 euro.
- Pasteur Institute - Cenci Bolognetti Foundation, three-year (2013-2016) project, title: “Targeting DNA and histone methylation for cancer therapy: identification and development of new DNMT and EZH2 inhibitors”; role: *Principal Investigator (PI).* 45,000 euro.
- FP7 n. 602080 2014-2017, title “A-PARADDISE, Anti-Parasitic Drug Discovery in Epigenetics”; role: *Responsible of Research Unit*. 284,016 euro.
- PRIN (from Italian Government) 2015, title: “Epitargeting of Acute Myeloid Leukemia: a Synergistic Multidisciplinary Approach”; role: *Principal Investigator*. 81,500 euro.
- AIRC 2016, title: “Targeting histone demethylation for cancer therapy: development of new LSD1 inhibitors”; role: *Principal Investigator*. 95,000 euro.