



DIPARTIMENTO DI CHIMICA E TECNOLOGIE DEL FARMACO
CURRICULUM DIDATTICO-SCIENTIFICO DEL PROF. ANTONELLO MAI

DATI PERSONALI

Nome e Cognome ANTONELLO MAI

Luogo e data di nascita:

Stato Civile:

Dipartimento Chimica e
Tecnologie del Farmaco

Indirizzo P.le A. Moro 5,
00185 Roma

Telefono uff./lab./ 0649913392/0649913891/

Fax 0649693268

E-mail antonello.mai@uniroma1.it

Settore Scientifico-Disciplinare: CHIM/08 (03D1)

ATTUALE POSIZIONE

➤ **Prof. Ordinario SSD CHIM/08 (Chimica Farmaceutica)**

CARRIERA E TITOLI

2011 Prof. Ordinario di Chimica Farmaceutica, SSD CHIM/08
1998 Prof. Associato di Chimica Farmaceutica, SSD CHIM/08
1992 PhD in Scienze Farmaceutiche, Sapienza Università di Roma
1990 Funzionario Tecnico

Editorial Boards: Il prof. Antonello Mai è Chair Editor di "ChemMedChem" (Wiley), Regional Editor delle riviste "Mini Reviews in Medicinal Chemistry" (Bentham) e "Medicinal Chemistry" (Bentham) e Associate Editor di Clinical Epigenetics (Springer Nature) e Journal of Enzyme Inhibition and Medicinal Chemistry (...).

Honors: Il prof. Mai dal 1992 è membro della SCI (Società Chimica Italiana). Dal 2004 to 2009 è stato membro dell'Executive Committee della Divisione di Chimica Farmaceutica della SCI. Dal 2009 al 2014 il prof. Mai è stato membro dello Scientific Committee della European School of Medicinal Chemistry (ESMEC). Dal 2015 è membro dell'Executive Committee della European Federation of Medicinal Chemistry (EFMC).

Dal 2012 al 2018, il prof. Mai è stato Coordinatore del Dottorato in Scienze Farmaceutiche, afferente alla Scuola di Dottorato in Biologia e Medicina Molecolare (BEMM), in Sapienza Università di Roma.



Dal 2013, il prof. Mai è Presidente del Corso di Laurea Magistrale a Ciclo Unico in Chimica e Tecnologia Farmaceutiche, Facoltà di Farmacia e Medicina, Sapienza Università di Roma.

Dal 2014, il prof. Mai è membro della Commissione Istruttoria per i Dottorati di Ricerca di Sapienza Università di Roma, che assicura la qualità dei Dottorati di Ricerca Sapienza preparandoli ad hoc per l'approvazione da parte dell'ANVUR.

Dal 2016, il prof. Mai è Esperto di Sistema per le Visite di Accreditamento ANVUR degli Atenei italiani.

ATTIVITA' DIDATTICA

- 1) Chimica Farmaceutica e Tossicol. 2, CTF, dal 2011 ad oggi
- 2) Analisi dei Medicinali/Analisi Chimico Farmaceutica e Tossicologica 1, CTF, dal 1998 al 2010
- 3) Biotecnologie Farmaceutiche, Biotecnologie (2002-2004)
- 4) Chimica Farmaceutica, Biotecnologie (2003-2006)
- 5) Chimica Farmaceutica e Tossicol. 2, Farmacia (2005)
- 6) Chimica Farmaceutica e Tossicol. 3, CTF, dal 2007 ad oggi
- 7) Chimica Tossicologica, CTF (2005, 2006)
- 8) Modulo di Chimica Farmaceutica in Master in Bioinformatica (2002-2005)
- 9) Modulo di Chimica Farmaceutica 1 in SSFO (dal 2007 ad oggi)

ATTIVITA' SCIENTIFICA

L'attività di ricerca del prof. Antonello Mai, espressa in oltre 280 pubblicazioni, 7 brevetti ed oltre 400 comunicazioni a congressi, può essere riferita a quattro settori fondamentali: a) progettazione e sintesi di piccole molecole come modulatori di targets epigenetici coinvolti nel controllo di trascrizione genica (HDACs, HATs, SIRT, HMTs, HDMs, DNMTs). Potenzialità terapeutiche nel campo dell'oncologia e delle malattie neurodegenerative e muscolari; b) ricerche su sostanze attive sul S.N.C.; c) ricerche su sostanze ad attività antivirale (inibitori specifici della trascrittasi inversa dell'HIV-1); d) ricerche su sostanze ad attività antibatterica, antimicobatterica ed antifungina. Le ricerche sono state e sono attualmente svolte dal prof. Mai presso il Dipartimento di Chimica e Tecnologie del Farmaco, Sapienza Università di Roma, avvalendosi di un gran numero di collaborazioni nazionali e internazionali, testimoniate dai lavori pubblicati in comune.

INDICI BIBLIOMETRICI: totale pubblicazioni = 287 (Scopus, 14/03/2019);

IF >600, IF medio 5,5;

h-index = 50, n. totale citazioni = 8.627 (Scopus, 14/03/2019).

PUBBLICAZIONI SCIENTIFICHE 2010-2019

(aggiornato a marzo 2019)

1. Mazzone R, Zwergel C, Artico M, Taurone S, Ralli M, Greco A, Mai A. The emerging role of epigenetics in human autoimmune disorders. *Clin Epigenetics*. 2019 Feb 26;11(1):34. doi: 10.1186/s13148-019-0632-2.
2. 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. doi: 10.3389/fcell.2019.00006.



3. Was H, Krol SK, Rotili D, Mai A, Wojtas B, Kaminska B, Maleszewska M. Histone deacetylase inhibitors exert anti-tumor effects on human adherent and stem-like glioma cells. *Clin Epigenetics*. 2019 Jan 17;11(1):11. doi: 10.1186/s13148-018-0598-5.
4. Atehortua-Martinez LA, Masniere C, Campolongo P, Chasseigneaux S, Callebert J, Zwergel C, Mai A, Laplanche JL, Chen H, Etheve-Quellejeu M, Mégarbane B, Benturquia N. Acute and chronic neurobehavioral effects of the designer drug and bath salt constituent 3,4-methylenedioxypropylvalerone in the rat. *J Psychopharmacol*. 2019 Mar;33(3):392-405. doi: 10.1177/0269881118822151.
5. Guida MC, Birse RT, Dall'Agnese A, Toto PC, Diop SB, Mai A, Adams PD, Puri PL, Bodmer R. Intergenerational inheritance of high fat diet-induced cardiac lipotoxicity in *Drosophila*. *Nat Commun*. 2019 Jan 14;10(1):193. doi: 10.1038/s41467-018-08128-3.
6. 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. doi: 10.1016/j.ejmech.2018.11.050.
7. 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. doi: 10.3389/fped.2018.00370.
8. Nawrozkij M, Forgione M, Yablokov AS, Lucidi A, Tomaselli D, Patsilinos A, Panella C, Hailu GS, Kirillov IA, Badia R, Riveira Muñoz E, Crespan E, Armijos-Rivera JI, Cirilli R, Ragno R, Este JA, Maga G, Mai A, Rotili D. Effect of α -Methoxy Substitution on the anti-HIV Activity of Dihydropyrimidin-4(3H)-ones. *J Med Chem*. 2018 Dec 7. doi: 10.1021/acs.jmedchem.8b01238.
9. Papale M, Ferretti E, Battaglia G, Bellavia D, Mai A, Tafani M. EZH2, HIF-1, and Their Inhibitors: An Overview on Pediatric Cancers. *Front Pediatr*. 2018 Nov 19;6:328. doi: 10.3389/fped.2018.00328.
10. Bouchut A, Rotili D, Pierrot C, Valente S, Lafitte S, Schultz J, Høglund 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. doi: 10.1016/j.ejmech.2018.10.041.
11. 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. doi: 10.1002/tcr.201800091.
12. Iachettini S, Triscioglio D, Rotili D, Lucidi A, Salvati E, Zizza P, Di Leo L, Del Bufalo D, Ciriolo MR, Leonetti C, Steegborn C, Mai A, Rizzo A, Biroccio A. Pharmacological activation of SIRT6 triggers lethal autophagy in human cancer cells. *Cell Death Dis*. 2018 Sep 24;9(10):996. doi: 10.1038/s41419-018-1065-0.
13. 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. doi: 10.1111/ace1.12824.
14. 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. doi: 10.1007/s00213-018-4975-8.
15. Blanquart C, Linot C, Cartron PF, Tomaselli D, Mai A, Bertrand P. Epigenetic metalloenzymes. *Curr Med Chem*. 2018 Jul 5. doi: 10.2174/0929867325666180706105903.
16. 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. doi: 10.1158/1535-7163.
17. 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. doi: 10.18632/oncotarget.25429.
18. Petraglia F, Singh AA, Carafa V, Nebbioso A, Conte M, Scisciola L, Valente S, Baldi A, Mandoli A, Petrizzi VB, 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 HG, Mai A, Martens JHA, Altucci L. Combined HAT/EZH2 modulation leads to cancer-selective cell death. *Oncotarget*. 2018 May 22;9(39):25630-25646. doi: 10.18632/oncotarget.25428.
19. 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. doi: 10.1038/s41598-018-26319-2.
20. 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. doi: 10.4155/fmc-2018-0065.
 21. 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. doi: 10.1098/rstb.2017.0150.
 22. Fiorentino F, Mai A, Rotili D. Lysine acetyltransferase inhibitors: structure-activity relationships and potential therapeutic implications. *Future Med Chem.* 2018 May 1;10(9):1067-1091. doi: 10.4155/fmc-2017-0244.
 23. Cocco E, Leo M, Canzonetta C, Di Vito S, Mai A, Rotili D, Di Napoli A, Vecchione A, De Nunzio C, Filetici P, Stoppacciaro A. KAT3B-p300 and H3AcK18/H3AcK14 levels are prognostic markers for kidney ccRCC tumor aggressiveness and target of KAT inhibitor CPTH2. *Clin Epigenetics.* 2018 Apr 4;10:44. doi: 10.1186/s13148-018-0473-4.
 24. 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. doi: 10.1016/j.neuroscience.2018.03.022.
 25. 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. doi: 10.1158/1078-0432.CCR-17-3081.
 26. Sabatino M, Rotili D, Patsilinos A, Forgione M, Tomaselli D, Alby F, Arimondo PB, Mai A, Ragno R. Disruptor of telomeric silencing 1-like (DOT1L): disclosing a new class of non-nucleoside inhibitors by means of ligand-based and structure-based approaches. *J. Comput. Aided Mol. Des.* 2018, Jan 15. doi: 10.1007/s10822-018-0096-z.
 27. 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, 9(1), 53. doi: 10.1038/s41467-017-02242-4.
 28. 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. doi: 10.1038/s41467-017-01701-2.
 29. 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, Ferretti E. The histone methyltransferase EZH2 as a druggable target in SHH medulloblastoma cancer stem cells. *Oncotarget* 2017, 8(40), 68557-68570. doi: 10.18632/oncotarget.19782.
 30. 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, 656, 120-125. doi: 10.1016/j.neulet.2017.07.033.
 31. Mazzone R, Zwergel C, Mai A, Valente S. Epi-drugs in combination with immunotherapy: a new avenue to improve anticancer efficacy. *Clin. Epigenetics* 2017, 9:59. doi: 10.1186/s13148-017-0358-y.
 32. Wapenaar H, van den Bosch T, Leus NGJ, van der Wouden PE, Eleftheriadis N, Hermans J, Hailu GS, Rotili D, Mai A, Dömling A, Bischoff R, Haisma HJ, Dekker FJ. The relevance of Ki calculation for bi-substrate enzymes illustrated by kinetic evaluation of a novel lysine (K) acetyltransferase 8 inhibitor. *Eur. J. Med. Chem.* 2017, 136, 480-486. doi: 10.1016/j.ejmech.2017.05.015.
 33. Zwergel C, Czepukojc B, Evain-Bana E, Xu Z, Stazi G, Mori M, Patsilinos 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, 134, 316-333. doi: 10.1016/j.ejmech.2017.04.012.
 34. Stazi G, Zwergel C, Mai A, Valente S. EZH2 inhibitors: a patent review (2014-2016). *Expert Opin. Ther. Pat.* 2017, 27, 797-813. doi: 10.1080/13543776.2017.1316976.
 35. 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, 12, 1359-1368. doi: 10.1002/cmdc.201700040.
 36. Coni S, Mancuso AB, Di Magno L, Sdruscia G, Manni S, Serrao SM, Rotili D, Spiombi E, Bufalieri F, Petroni M, Kusio-Kobialka M, De Smaele E, Ferretti E, Capalbo C, Mai A, Niewiadomski P, Screpanti I, Di Marcotullio L, Canettieri G. Selective targeting of HDAC1/2 elicits anticancer effects through



- Gli1 acetylation in preclinical models of SHH Medulloblastoma. *Sci. Rep.* 2017, 7:44079. doi: 10.1038/srep44079.
37. 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. doi: 10.1021/acs.jmedchem.6b01595.
 38. 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. doi: 10.1021/acs.jmedchem.6b01609.
 39. Palanisamy SK, Trisciuglio D, Zwergel C, Del Bufalo D, Mai A. Metabolite profiling of ascidian *Styela plicata* using LC-MS with multivariate statistical analysis and their antitumor activity. *J. Enzyme Inhib. Med. Chem.* 2017, 32, 614-623. doi: 10.1080/14756366.2016.1266344.
 40. Božović M, Garzoli S, Sabatino M, Pepi F, Baldisserotto A, Andreotti E, Romagnoli C, Mai A, Manfredini S, Ragno R. Essential Oil Extraction, Chemical Analysis and Anti-Candida Activity of *Calamintha nepeta* (L.) Savi subsp. *glandulosa* (Req.) Ball-New Approaches. *Molecules* 2017, 22. pii: E203. doi: 10.3390/molecules22020203.
 41. Fulci C, Rotili D, De Luca A, Stella L, Morozzo Della Rocca B, Forgione M, Di Paolo V, Mai A, Falconi M, Quintieri L, Caccuri AM. A new nitrobenzoxadiazole-based GSTP1-1 inhibitor with a previously unheard of mechanism of action and high stability. *J Enzyme Inhib Med Chem* 2017, 32, 240-247. doi: 10.1080/14756366.2016.1247059.
 42. 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. doi: 10.1002/anie.201610082.
 43. Ourailidou ME, Lenoci A, Zwergel C, Rotili D, Mai A, Dekker FJ. Towards the development of activity-based probes for detection of lysine-specific demethylase-1 activity. *Bioorg Med Chem* 2017, 25, 847-856. doi: 10.1016/j.bmc.2016.11.043.
 44. Stunnenberg HG; International Human Epigenome Consortium., Hirst M. The International Human Epigenome Consortium: A Blueprint for Scientific Collaboration and Discovery. *Cell* 2016, 167, 1145-1149. doi: 10.1016/j.cell.2016.11.007.
 45. Ferrari A, Fiorino E, Longo R, Barilla S, Mitro N, Cermenati G, Giudici M, Caruso D, Mai A, Guerrini U, De Fabiani E, Crestani M. Attenuation of diet-induced obesity and induction of white fat browning with a chemical inhibitor of histone deacetylases. *Int J Obes (Lond)*. 2016 Dec 20. doi: 10.1038/ijo.2016.191.
 46. 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. [Epub ahead of print]
 47. Milite C, Feoli A, Viviano M, Rescigno D, Cianciulli A, Balzano AL, Mai A, Castellano S, Sbardella G. The emerging role of lysine methyltransferase SETD8 in human diseases. *Clin Epigenetics.* 2016, 8, 102.
 48. 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. doi: 10.1126/sciadv.1601017.
 49. Milite C, Feoli A, Viviano M, Rescigno D, Mai A, Castellano S, Sbardella G. Progress in the Development of Lysine Methyltransferase SETD8 Inhibitors. *ChemMedChem* 2016, 11, 1680-1685.
 50. Carafa V, Rotili D, Forgione M, Cuomo F, Serretiello E, Hailu GS, Jarho E, Lahtela-Kakkonen M, Mai A, Altucci L. Sirtuin functions and modulation: from chemistry to the clinic. *Clin Epigenetics.* 2016 May 25;8:61.
 51. Chano T, Avnet S, Kusuzaki K, Bonuccelli G, Sonveaux P, Rotili D, Mai A, Baldini N. Tumour-specific metabolic adaptation to acidosis is coupled to epigenetic stability in osteosarcoma cells. *Am J Cancer Res* 2016, 6, 859-875.
 52. Stazi G, Zwergel C, Valente S, Mai A. LSD1 inhibitors: a patent review (2010-2015). *Expert Opin Ther Pat.* 2016, 26, 565-580.
 53. Di Martile M, Desideri M, De Luca T, Gabellini C, Buglioni S, Eramo A, Sette G, Milella M, Rotili D, Mai A, Carradori S, Secci D, De Maria R, Del Bufalo D, Trisciuglio D. Histone acetyltransferase inhibitor CPTH6 preferentially targets lung cancer stem-like cells. *Oncotarget* 2016, 7, 11332-11348.
 54. 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.
 55. van den Bosch T, Boichenko A, Leus NG, Ourailidou ME, Wapenaar H, Rotili D, Mai A, Imhof A, Bischoff R, Haisma HJ, Dekker FJ. The histone acetyltransferase p300 inhibitor C646 reduces pro-



- inflammatory gene expression and inhibits histone deacetylases. *Biochem Pharmacol.* 2016, 102, 130-140.
56. 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, Trifirò 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, 59, 1501-1517.
 57. Valente S, Mellini P, Spallotta F, Carafa V, Nebbioso A, Polletta L, Carnevale I, Saladini S, Triscioglio 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.
 58. Zwergel C, Valente S, Mai A. DNA Methyltransferases Inhibitors from Natural Sources. *Curr. Top. Med. Chem.* 2016, 16, 680-696.
 59. Wapenaar H, van der Wouden PE, Groves MR, Rotili D, Mai A, Dekker FJ. Enzyme kinetics and inhibition of histone acetyltransferase KAT8. *Eur. J. Med. Chem.* 2015, 105, 289-296.
 60. Erburu M, Muñoz-Cobo I, Domínguez-Andrés J, Beltran E, Suzuki T, Mai A, Valente S, Puerta E, Tordera RM. Chronic stress and antidepressant induced changes in Hdac5 and Sirt2 affect synaptic plasticity. *Eur. Neuropsychopharmacol.* 2015, 25, 2036-2048.
 61. 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, 9, e0004026.
 62. 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, 10, 2589-2597.
 63. Zwergel C, Valente S, Mai A. DNA Methyltransferases Inhibitors from Natural Sources. *Curr Top Med Chem.* 2015 Aug 25; PMID: 26303417.
 64. 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.
 65. Zwergel C, Valente S, Jacob C, Mai A. Emerging approaches for histone deacetylase inhibitor drug discovery. *Expert Opin. Drug Discov.* 2015, 10, 599-613.
 66. Graziani G, Artuso S, De Luca A, Muzi A, Rotili D, Scimeca M, Atzori M G, Ceci C, Mai A, Leonetti C, Levati L, Bonanno E, Tentori L, Caccuri A M. A new water soluble MAPK activator exerts antitumor activity in melanoma cells resistant to the BRAF inhibitor vemurafenib. *Biochem Pharmacol.* 2015, 95, 16-27.
 67. Valente S, Rodriguez V, Mercurio C, Vianello P, Saponara B, Cirilli R, Ciossani G, Labella D, Marrocco B, Monaldi D, Ruoppolo G, Tiset M, Botrugno OA, Dessanti P, Minucci S, Mattevi A, Varasi M, Mai A. Pure enantiomers of benzoylamino-tranylcypromine: LSD1 inhibition, gene modulation in human leukemia cells and effects on clonogenic potential of murine promyelocytic blasts. *Eur. J. Med. Chem.* 2015, 94, 163-174.
 68. 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, 112, 2752-2757.
 69. Milite C, Feoli A, Sasaki K, La Pietra V, Balzano A L, Marinelli L, Mai A, Novellino E, Castellano S, Tosco A, Sbardella G. A novel cell-permeable, selective, and noncompetitive inhibitor of KAT3 histone acetyltransferases from a combined molecular pruning/classical isosterism approach. *J. Med. Chem.* 2015, 58, 2779-2798.
 70. Polletta L, Vernucci E, Carnevale I, Arcangeli T, Rotili D, Palmerio S, Steegborn C, Nowak T, Schutkowski M, Pellegrini L, Sansone L, Villanova L, Runci A, Pucci B, Morgante E, Fini M, Mai A, Russo MA, Tafani M. SIRT5 regulation of ammonia-induced autophagy and mitophagy. *Autophagy* 2015, 11, 253-270.
 71. De Luca A, Rotili D, Carpanese D, Lenoci A, Calderan L, Scimeca M, Mai A, Bonanno E, Rosato A, Geroni C, Quintieri L, Caccuri AM. A novel orally active water-soluble inhibitor of human glutathione transferase exerts a potent and selective antitumor activity against human melanoma xenografts. *Oncotarget* 2015, 6, 4126-4143.
 72. Rodriguez V, Valente S, Rovida S, Rotili D, Stazi G, Lucidi A, Ciossani G, Mattevi A, Botrugno O A, Dessanti P, Mercurio C, Vianello P, Minucci S, Varasi M, Mai A. Pyrrole- and indole-containing tranylcypromine derivatives as novel lysine-specific demethylase 1 inhibitors active on cancer cells. *Med. Chem. Commun.* 2015, 6, 665-670.
 73. Cencioni C, Spallotta F, Mai A, Martelli F, Farsetti A, Zeiher AM, Gaetano C. Sirtuin function in aging heart and vessels. *J. Mol. Cell Cardiol.* 2015, 83, 55-61.
 74. Gros C, Fleury L, Nahoum V, Faux C, Valente S, Labella D, Cantagrel F, Rilova E, Bouhleb 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, 290, 6293-6302.



75. Rotili D, De Luca A, Tarantino D, Pezzola S, Forgione M, Morozzo Della Rocca B, Falconi M, Mai A, Caccuri A. M. Synthesis and structure-activity relationship of new cytotoxic agents targeting human glutathione-S-transferases. *Eur. J. Med. Chem.* 2015, 89, 156-171.
76. Mellini P, Valente S, Mai A. Sirtuin modulators: an updated patent review (2012 - 2014). *Expert Opin. Ther. Pat.* 2015, 25, 5-15.
77. Castellano S, Milite C, Feoli A, Viviano M, Mai A, Novellino E, Tosco A, Sbardella G. Identification of Structural Features of 2-Alkylidene-1,3-Dicarbonyl Derivatives that Induce Inhibition and/or Activation of Histone Acetyltransferases KAT3B/p300 and KAT2B/PCAF. *ChemMedChem* 2015, 10, 144-157.
78. 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 Tranylcpromine-Based LSD1 Inhibitor: Enzyme Selectivity and In-Cell Studies. *ACS Med Chem Lett.* 2014, 6, 173-177.
79. Vianello P, Botrugno O. A, Cappa A, Ciossani G, Dessanti P, Mai A, Mattevi A, Meroni G, Minucci S, Thaler F, Tortorici M, Trifirò 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, 86, 352-363.
80. Galletti M, Cantoni S, Zambelli F, Valente S, Palazzini M, Manes A, Pasquinelli G, Mai A, Galiè N, Ventura C. Dissecting histone deacetylase role in pulmonary arterial smooth muscle cell proliferation and migration. *Biochem. Pharmacol.* 2014, 91, 181-190.
81. 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-alkenylcoumarines. *Bioorg. Med. Chem. Lett.* 2014, 24, 3797-37801.
82. Suchánková J, Legartová S, Sehnalová P, Kozubek S, Valente S, Labella D, Mai A, Eckerich C, Fackelmayer F. O, Sorokin D. V, Bartova E. PRMT1 arginine methyltransferase accumulates in cytoplasmic bodies that respond to selective inhibition and DNA damage. *Eur. J. Histochem.* 2014, 58, 2389.
83. Valente S, Trisciuglio D, De Luca T, Nebbioso A, Labella D, Lenoci A, Bigogno C, Dondio G, 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, 6259-6265.
84. Rotili D, Tarantino D, Nawrozki MB, Babushkin AS, Botta G, Marrocco B, Cirilli R, Menta S, Badia R, Crespan E, Ballante F, Ragno R, Esté JA, Maga G, Mai A. Exploring the role of 2-chloro-6-fluoro substitution in 2-alkylthio-6-benzyl-5-alkylpyrimidin-4(3H)-ones: effects in HIV-1-infected cells and in HIV-1 reverse transcriptase enzymes. *J. Med. Chem.* 2014, 57, 5212-5225.
85. Scuderi C, Stecca C, Bronzuoli M. R, 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.
86. Carradori S, Rotili D, De Monte C, Lenoci A, D'Ascenzio M, Rodriguez V, Filetici P, Miceli M, Nebbioso A, Altucci L, Secci D, Mai A. Evaluation of a large library of (thiazol-2-yl)hydrazones and analogues as histone acetyltransferase inhibitors: enzyme and cellular studies. *Eur. J. Med. Chem.* 2014, 80, 569-578.
87. 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.
88. Zecchin A, Pattarini L, Gutierrez M. I, Mano M, Mai A, Valente S, Myers M. P, Pantano S, Giacca M. Reversible acetylation regulates vascular endothelial growth factor receptor-2 activity. *J. Mol. Cell Biol.* 2014, 6, 116-127.
89. Varricchio L, Dell'Aversana C, Nebbioso A, Migliaccio G, Altucci L, Mai A, Grazzini G, Bieker J. J, Migliaccio A. R. Identification of NuRSERY, a new functional HDAC complex composed by HDAC5, GATA1, EKLF and pERK present in human erythroid cells. *Int. J. Biochem. Cell. Biol.* 2014, 50, 112-122.
90. Mai A. Targeting epigenetics in drug discovery. *ChemMedChem* 2014, 9, 415-417.
91. Ciarapica, R.; Carcarino, E.; Adesso, L.; De Salvo, M.; Bracaglia, G.; Leoncini, P. P.; Dall'Agnese, A.; Verginelli, F.; Milano, G. M.; Boldrini, R.; Inserra, A.; Stifani, S.; Screpanti, I.; Marquez, V. E.; Valente, S.; Mai, A.; Puri, P. L.; Locatelli, F.; Palacios, D.; Rota, R. Pharmacological inhibition of EZH2 as a promising differentiation therapy in embryonal RMS. *BMC Cancer* 2014, 14, 139.
92. De Bellis, F.; Carafa, V.; Conte, M.; Rotili, D.; Petraglia, F.; Matarese, F.; Francoijs, K. J.; Ablain, J.; Valente, S.; Castellano, R.; Goubard, A.; Collette, Y.; Mandoli, A.; Martens, J. H.; de The, H.; Nebbioso, A.; Mai, A.; Stunnenberg, H. G.; Altucci, L. Context-selective death of acute myeloid leukemia cells triggered by the novel hybrid retinoid-HDAC inhibitor MC2392. *Cancer Res.* 2014, 74, 2328-2339.
93. Lenoci, A.; Tomassi, S.; Conte, M.; Benedetti, R.; Rodriguez, V.; Carradori, S.; Secci, D.; Castellano, S.; Sbardella, G.; Filetici, P.; Novellino, E.; Altucci, L.; Rotili, D.; Mai, A. Quinoline-Based p300 Histone Acetyltransferase Inhibitors with Pro-apoptotic Activity in Human Leukemia U937 Cells. *ChemMedChem* 2014, 9, 542-548.



94. Vecellio, M.; Spallotta, F.; Nanni, S.; Colussi, C.; Cencioni, C.; Derlet, A.; Bassetti, B.; Tilenni, M.; Carena, M. C.; Farsetti, A.; Sbardella, G.; Castellano, S.; Mai, A.; Martelli, F.; Pompilio, G.; Capogrossi, M. C.; Rossini, A.; Dimmeler, S.; Zeiher, A. M.; Gaetano C. The Histone Acetylase Activator Pentadecylidenemalonate 1b Rescues Proliferation and Differentiation in Human Cardiac Mesenchymal Cells of Type 2 Diabetic Patients. *Diabetes* 2014, 63, 2132-2147.
95. 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, 401-415.
96. Sgarbanti, M.; Marsili, G.; Remoli, A. L.; Stellacci, E.; Mai, A.; Rotili, D.; Perrotti, E.; Acchioni, C.; Orsatti, R.; Iraci, N.; Ferrari, M.; Borsetti, A.; Hiscott, J.; Battistini, A. I κ B Kinase ϵ Targets Interferon Regulatory Factor 1 in Activated T Lymphocytes. *Mol Cell Biol* 2014, 34, 1054-1065.
97. 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.
98. 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.
99. Ciarapica, R.; De Salvo, M.; Carcarino, E.; Bracaglia, G.; Adesso, L.; Leoncini, P. P.; Dall'agnese, A.; Walters, Z. S.; Verginelli, F.; De Sio, L.; Boldrini, R.; Inserra, A.; Bisogno, G.; Rosolen, A.; Alaggio, R.; Ferrari, A.; Collini, P.; Locatelli, M.; Stifani, S.; Screpanti, I.; Rutella, S.; Yu, Q.; Marquez, V. E.; Shipley, J.; Valente, S.; Mai, A.; Miele, L.; Puri, P. L.; Locatelli, F.; Palacios, D.; Rota, R. The Polycomb group (PcG) protein EZH2 supports the survival of PAX3-FOXO1 alveolar rhabdomyosarcoma by repressing FBXO32 (Atrogin1/MAFbx). *Oncogene* 2014, 33, 4173-4184.
100. Crea, F.; Clermont, P. L.; Mai, A.; Helgason, C. D. Histone modifications, stem cells and prostate cancer. *Curr Pharm Des* 2014, 20, 1687-1697.
101. Cencioni, C.; Spallotta, F.; Martelli, F.; Valente, S.; Mai, A.; Zeiher, A. M.; Gaetano, C. Oxidative stress and epigenetic regulation in ageing and age-related diseases. *Int J Mol Sci* 2013, 14, 17643-63.
102. Mellini, P.; Kokkola, T.; Suuronen, T.; Salo, H. S.; Tolvanen, L.; Mai, A.; Lahtela-Kakkonen, M.; Jarho, E. M. Screen of pseudopeptidic inhibitors of human sirtuins 1-3: two lead compounds with antiproliferative effects in cancer cells. *J Med Chem* 2013, 56, 6681-95.
103. Spallotta, F.; Tardivo, S.; Nanni, S.; Rosati, J. D.; Straino, S.; Mai, A.; Vecellio, M.; Valente, S.; Capogrossi, M. C.; Farsetti, A.; Martone, J.; Bozzoni, I.; Pontecorvi, A.; Gaetano, C.; Colussi, C. Detrimental effect of class-selective histone deacetylase inhibitors during tissue regeneration following hindlimb ischemia. *J Biol Chem* 2013, 288, 22915-29.
104. Tortorici, M.; Borrello, M. T.; Tardugno, M.; Chiarelli, L. R.; Pilotto, S.; Ciossani, G.; Vellore, N. A.; Bailey, S. G.; Cowan, J.; O'Connell, M.; Crabb, S. J.; Packham, G.; Mai, A.; Baron, R.; Ganesan, A.; Mattevi, A. Protein recognition by short peptide reversible inhibitors of the chromatin-modifying LSD1/CoREST lysine demethylase. *ACS Chem Biol* 2013, 8, 1677-1682.
105. Valente, S.; Trisciuglio, D.; Tardugno, M.; Benedetti, R.; Labella, D.; Secci, D.; Mercurio, C.; Boggio, R.; Tomassi, S.; Di Maro, S.; Novellino, E.; Altucci, L.; Del Bufalo, D.; Mai, A.; Cosconati, S. tert-Butylcarbamate-Containing Histone Deacetylase Inhibitors: Apoptosis Induction, Cytodifferentiation, and Antiproliferative Activities in Cancer Cells. *ChemMedChem* 2013, 8, 800-811.
106. Spallotta, F.; Cencioni, C.; Straino, S.; Nanni, S.; Rosati, J.; Artuso, S.; Manni, I.; Colussi, C.; Piaggio, G.; Martelli, F.; Valente, S.; Mai, A.; Capogrossi, M. C.; Farsetti, A.; Gaetano, C. A Nitric Oxide-dependent Crosstalk Between Class I and III Histone Deacetylases Accelerates Skin Repair. *J. Biol. Chem.* 2013, 288, 11004-11012.
107. Mannaerts, I.; Eysackers, N.; Onyema, O. O.; Van Beneden, K.; Valente, S.; Mai, A.; Odenthal, M.; van Grunsven, L. A. Class II HDAC inhibition hampers hepatic stellate cell activation by induction of microRNA-29. *PLoS One* 2013, 8, e55786.
108. Galmozzi, A.; Mitro, N.; Ferrari, A.; Gers, E.; Gilardi, F.; Godio, C.; Cermenati, G.; Gualerzi, A.; Donetti, E.; Rotili, D.; Valente, S.; Guerrini, U.; Caruso, D.; Mai, A.; Saez, E.; De Fabiani, E.; Crestani, M. Inhibition of Class I Histone Deacetylases Unveils a Mitochondrial Signature and Enhances Oxidative Metabolism in Skeletal Muscle and Adipose Tissue. *Diabetes* 2013, 62, 732-742.
109. 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.



110. Castellano, S.; Spannhoff, A.; Milite, C.; Dal Piaz, F.; Cheng, D.; Tosco, A.; Viviano, M.; Yamani, A.; Cianciulli, A.; Sala, M.; Cura, V.; Cavarelli, J.; Novellino, E.; Mai, A.; Bedford, M. T.; Sbardella, G. Identification of Small-Molecule Enhancers of Arginine Methylation Catalyzed by Coactivator-Associated Arginine Methyltransferase 1. *J. Med. Chem.* 2012, 55, 9875-9890.
111. Wei, W.; Coelho, C. M.; Li, X.; Marek, R.; Yan, S.; Anderson, S.; Meyers, D.; Mukherjee, C.; Sbardella, G.; Castellano, S.; Milite, C.; Rotili, D.; Mai, A.; Cole, P. A.; Sah, P.; Kobor, M. S.; Bredy, T. W. p300/CBP-associated factor selectively regulates the extinction of conditioned fear. *J. Neurosci.* 2012, 32, 11930-11941.
112. Mellini, P.; Carafa, V.; Di Rienzo, B.; Rotili, D.; De Vita, D.; Cirilli, R.; Gallinella, B.; Provisiero, D. P.; Di Maro, S.; Novellino, E.; Altucci, L.; Mai, A. Carprofen Analogues as Sirtuin Inhibitors: Enzyme and Cellular Studies. *ChemMedChem* 2012, 7, 1905-1908.
113. 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.
114. Crea, F.; Sun, L.; Mai, A.; Chiang, Y. T.; Farrar, W. L.; Danesi, R.; Helgason, C. D. The emerging role of histone lysine demethylases in prostate cancer. *Mol. Cancer* 2012, 11, 52.
115. Colussi, C.; Scopece, A.; Vitale, S.; Spallotta, F.; Mattiussi, S.; Rosati, J.; Illi, B.; Mai, A.; Castellano, S.; Sbardella, G.; Farsetti, A.; Capogrossi, M. C.; Gaetano, C. P300/CBP Associated Factor Regulates Nitroglycerin-Dependent Arterial Relaxation by Ne-Lysine Acetylation of Contractile Proteins. *Arterioscler. Thromb. Vasc. Biol.* 2012, 32, 2435-2443.
116. Palmisano, I.; Della Chiara, G.; D'Ambrosio, R. L.; Huichalaf, C.; Brambilla, P.; Corbetta, S.; Riba, M.; Piccirillo, R.; Valente, S.; Casari, G.; Mai, A.; Martinelli Boneschi, F.; Gabellini, D.; Poli, G.; Schiaffino, M. V. Amino acid starvation induces reactivation of silenced transgenes and latent HIV-1 provirus via down-regulation of histone deacetylase 4 (HDAC4). *Proc Natl. Acad. Sci. U. S. A.* 2012, 109, E2284-E2293.
117. Silvestri, L.; Ballante, F.; Mai, A.; Marshall, G. R.; Ragno, R. Histone deacetylase inhibitors: structure-based modeling and isoform-selectivity prediction. *J. Chem. Inf. Model.* 2012, 52, 2215-2235.
118. Valente, S.; Lepore, I.; Dell'Aversana, C.; Tardugno, M.; Castellano, S.; Sbardella, G.; Tomassi, S.; Di Maro, S.; Novellino, E.; Di Santo, R.; Costi, R.; Altucci, L.; Mai, A. Identification of PR-SET7 and EZH2 selective inhibitors inducing cell death in human leukemia U937 cells. *Biochimie* 2012, 94, 2308-2313.
119. Valente, S.; Conte, M.; Tardugno, M.; Nebbioso, A.; Tinari, G.; Altucci, L.; Mai, A. Developing novel non-hydroxamate histone deacetylase inhibitors: the chelidamic warhead. *Med. Chem. Commun.* 2012, 3, 298-304.
120. Maxwell, M. M.; Zaldivar-Jolissaint, J. F.; Mai, A.; Outeiro, T. F.; Kazantsev, A. G. Highlights of the Keystone Symposium: sirtuins in metabolism, aging and disease. *EMBO Mol. Med.* 2012, 4, 557-560.
121. Rotili, D.; Samuele, A.; Tarantino, D.; Ragno, R.; Musmuca, I.; Ballante, F.; Botta, G.; Morera, L.; Pierini, M.; Cirilli, R.; Nawrozkij, M. B.; Gonzalez, E.; Clotet, B.; Artico, M.; Esté, J. A.; Maga, G.; Mai, A. 2-(Alkyl/aryl)amino-6-benzylpyrimidin-4(3H)-ones as inhibitors of wild-type and mutant HIV-1: enantioselectivity studies. *J. Med. Chem.* 2012, 55, 3558-3362.
122. Adams, D.; Altucci, L.; Antonarakis, S. E.; Ballesteros, J.; Beck, S.; Bird, A.; Bock, C.; Boehm, B.; Campo, E.; Caricasole, A.; Dahl, F.; Dermitzakis, E. T.; Enver, T.; Esteller, M.; Estivill, X.; Ferguson-Smith, A.; Fitzgibbon, J.; Flicek, P.; Giehl, C.; Graf, T.; Grosveld, F.; Guigo, R.; Gut, I.; Helin, K.; Jarvius, J.; Küppers, R.; Lehrach, H.; Lengauer, T.; Lernmark, Å.; Leslie, D.; Loeffler, M.; Macintyre, E.; Mai, A.; Martens, J. H.; Minucci, S.; Ouwehand, W. H.; Pelicci, P. G.; Pendeville, H.; Porse, B.; Rakyán, V.; Reik, W.; Schrappe, M.; Schübeler, D.; Seifert, M.; Siebert, R.; Simmons, D.; Soranzo, N.; Spicuglia, S.; Stratton, M.; Stunnenberg, H. G.; Tanay, A.; Torrents, D.; Valencia, A.; Vellenga, E.; Vingron, M.; Walter, J.; Willcocks, S. BLUEPRINT to decode the epigenetic signature written in blood. *Nat. Biotechnol.* 2012, 30, 224-226.
123. Upadhyay, A. K.; Rotili, D.; Han, J. W.; Hu, R.; Chang, Y.; Labella, D.; Zhang, X.; Yoon, Y. S.; Mai, A.; Cheng, X. An Analog of BIX-01294 Selectively Inhibits a Family of Histone H3 Lysine 9 Jumonji Demethylases. *J. Mol. Biol.* 2012, 416, 319-327. IF: 4.008.
124. Valente, S.; Tomassi, S.; Tempera, G.; Saccoccio, S.; Agostinelli, E.; Mai, A. Novel Reversible Monoamine Oxidase A Inhibitors: Highly Potent and Selective 3-(1H-Pyrrol-3-yl)-2-oxazolidinones. *J. Med. Chem.* 2011, 54, 8228-8232. IF: 5.207.
125. Ferretti, R.; Mai, A.; Gallinella, B.; Zanitti, L.; Valente, S.; Cirilli, R. Application of 3 µm particle-based amylose-derived chiral stationary phases for the enantioseparation of potential histone deacetylase inhibitors. *J. Chromatogr. A* 2011, 1218, 8394-8398. IF: 4.194.
126. Hauser, A. T.; Bissinger, E. M.; Metzger, E.; Repenning, A.; Bauer, U. M.; Mai, A.; Schüle, R.; Jung, M. Screening Assays for Epigenetic Targets Using Native Histones as Substrates. *J. Biomol. Screen.* 2012, 17, 18-26. IF: 2.500.
127. Lenoir, O.; Flosseau, K.; Ma, F. X.; Blondeau, B.; Mai, A.; Bassel-Duby, R.; Ravassard, P.; Olson, E. N.; Haumaitre, C.; Scharfmann, R. Specific control of pancreatic endocrine beta- and delta-cell



- mass by class IIa histone deacetylases HDAC4, HDAC5, and HDAC9. *Diabetes* 2011, 60, 2861-2871. IF: 8.889.
128. Mai, A. Identification of specific and semi-specific SIRT inhibitors through computer-aided studies. *Aging* 2011, 3, 819-820. IF: 2.964
129. Orecchia, A.; Scarponi, C.; Di Felice, F.; Cesarini, E.; Avitabile, S.; Mai, A.; Mauro, M. L.; Sirri, V.; Zambruno, G.; Albanesi, C.; Camilloni, G.; Failla, C. M. Sirtinol Treatment Reduces Inflammation in Human Dermal Microvascular Endothelial Cells. *PLoS ONE* 2011, 6, e24307. IF: 4.411.
130. Ragno, R.; Gioia, U.; Laneve, P.; Bozzoni, I.; Mai, A.; Caffarelli, E. Identification of Small-Molecule Inhibitors of the XendoU Endoribonucleases Family. *ChemMedChem* 2011, 6, 1797-1805. IF: 3.306.
131. Rotili, D.; Mai, A. Targeting Histone Demethylases: A New Avenue for the Fight against Cancer. *Genes & Cancer* 2011, 2, 663-679.
132. Carradori, S.; Secci, D.; Mai A. Epigenetic modulation of PGC-1 α activity by GCN5 inhibitors: WO2010007085. *Expert Opin Ther Pat* 2011, 21, 1651-1656. IF: 2.412.
133. Sbardella, G.; Mai, A.; Bartolini, S.; Castellano, S.; Cirilli, R.; Rotili, D.; Milite, C.; Santoriello, M.; Orlando, S.; Sciamanna, I.; Serafino, A.; Lavia, P.; Spadafora, C. Modulation of cell differentiation, proliferation, and tumor growth by dihydrobenzoxopyrimidine non-nucleoside reverse transcriptase inhibitors. *J Med Chem* 2011, 54, 5927-5936. IF: 5.207.
134. 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. IF: 5.207.
135. Rotili, D.; Altun, M.; Kawamura, A.; Wolf, A.; Fischer, R.; Leung, I. K.; Mackeen, M. M.; Tian, Y. M.; Ratcliffe, P. J.; Mai, A.; Kessler, B. M.; Schofield, C. J. A photoreactive small-molecule probe for 2-oxoglutarate oxygenases. *Chem Biol* 2011, 18, 642-654. IF: 5.838.
136. Stronach, E. A.; Alfraidi, A.; Rama, N.; Datler, C.; Studd, J. B.; Agarwal, R.; Guney, T. G.; Gourley, C.; Hennessy, B. T.; Mills, G. B.; Mai, A.; Brown, R.; Dina, R.; Gabra, H. HDAC4-regulated STAT1 activation mediates platinum resistance in ovarian cancer. *Cancer Res* 2011, 71, 4412-4422. IF: 8.234.
137. Saito, S.; Lasky, J. A.; Guo, W.; Nguyen, H.; Mai, A.; Danchuk, S.; Sullivan, D. E.; Shan, B. Pharmacological inhibition of HDAC6 attenuates endothelial barrier dysfunction induced by thrombin. *Biochem Biophys Res Commun* 2011, 408, 630-634. IF: 2.595.
138. Lewis, M. G.; DaFonseca, S.; Chomont, N.; Palamara, A. T.; Tardugno, M.; Mai, A.; Collins, M.; Wagner, W. L.; Yalley-Ogunro, J.; Greenhouse, J.; Chirullo, B.; Norelli, S.; Garaci, E.; Savarino, A. Gold drug auranofin restricts the viral reservoir in the monkey AIDS model and induces containment of viral load following ART suspension. *AIDS* 2011, 25, 1347-1356. IF: 6.348.
139. Rotili D, Tarantino D, Artico M, Nawrozki MB, Gonzalez-Ortega E, Clotet B, Samuele A, Esté JA, Maga G, Mai A. Diarylpyrimidine-Dihydrobenzoxopyrimidine Hybrids: New, Wide-Spectrum Anti-HIV-1 Agents Active at (Sub)-Nanomolar Level. *J Med Chem* 2011, 54, 3091-3096. IF: 5.207.
140. Varasi M, Thaler F, Abate A, Bigogno C, Boggio R, Carezzi G, Cataudella T, Dal Zuffo R, Fulco MC, Rozio MG, Mai A, Dondio G, Minucci S, Mercurio C. Discovery, Synthesis, and Pharmacological Evaluation of Spiropiperidine Hydroxamic Acid Based Derivatives as Structurally Novel Histone Deacetylase (HDAC) Inhibitors. *J Med Chem* 2011, 54, 3051-3064. IF: 5.207.
141. Valente S, Tardugno M, Conte M, Cirilli R, Perrone A, Ragno R, Simeoni S, Tramontano A, Massa S, Nebbioso A, Miceli M, Franci G, Brosch G, Altucci L, Mai A. Novel cinnamyl hydroxyamides and 2-aminoanilides as histone deacetylase inhibitors: apoptotic induction and cytodifferentiation activity. *ChemMedChem* 2011, 6, 698-712. IF: 3.306.
142. Mosca L, Rotili D, Tempera I, Masci A, Fontana M, Chiaraluce R, Mastromarino P, d'Erme M, Mai A. Biological Effects of MC2050, a Quinazoline-Based PARP-1 Inhibitor, in Human Neuroblastoma and EBV-Positive Burkitt's Lymphoma Cells. *ChemMedChem* 2011, 6, 606-611. IF: 3.306.
143. Meli M, Tolomeo M, Grifantini M, Mai A, Cappellacci L, Petrelli R, Rotili D, Ferro A, Saiko P, Szekeres T, Dusonchet L. Histone deacetylase inhibition modulates deoxyribonucleotide pools and enhances the antitumor effects of the ribonucleotide reductase inhibitor 3'-C-methyladenosine in leukaemia cells. *Int J Oncol* 2011, 38, 1427-1436. IF: 2.571.
144. Rotili D, Carafa V, Tarantino D, Botta G, Nebbioso A, Altucci L, Mai A. Simplification of the tetracyclic SIRT1-selective inhibitor MC2141: Coumarin- and pyrimidine-based SIRT1/2 inhibitors with different selectivity profile. *Bioorg Med Chem* 2011, 19, 3659-3668. IF: 2.978.
145. Milite C, Castellano S, Benedetti R, Tosco A, Ciliberti C, Vicidomini C, Bouilly L, Franci G, Altucci L, Mai A, Sbardella G. Modulation of the activity of histone acetyltransferases by long chain alkylidenemalonates (LoCAMs). *Bioorg Med Chem* 2011, 19, 3690-3701. IF: 2.978.
146. Colussi C, Rosati J, Straino S, Spallotta F, Berni R, Stilli D, Rossi S, Musso E, Macchi E, Mai A, Sbardella G, Castellano S, Chimenti C, Frustaci A, Nebbioso A, Altucci L, Capogrossi MC, Gaetano C. N ϵ -lysine acetylation determines dissociation from GAP junctions and lateralization of connexin 43 in normal and dystrophic heart. *Proc Natl Acad Sci U S A* 2011, 108, 2795-2800. IF: 9.771.



147. Rotili, D.; Altun, M.; Hamed, R. B.; Loenarz, C.; Thalhammer, A.; Hopkinson, R. J.; Tian, Y. M.; Ratcliffe, P. J.; Mai, A.; Kessler, B. M.; Schofield, C. J. Photoactivable peptides for identifying enzyme-substrate and protein-protein interactions. *Chem Commun* 2011, 47, 1488-1490. IF: 5.787.
148. Mai, A. Hydroxamic acids: Biological properties and potential uses as therapeutic agents. *PATAI - The chemistry of hydroxylamines, oximes and hydroxamic acids*, Vol. 2, Chapter 12, pagg. 731-806. Edited by Z. Rappoport and J. F. Liebman 2011, John Wiley & Sons Ltd.
149. Borbone, E.; Berlingieri, M. T.; De Bellis, F.; Nebbioso, A.; Chiappetta, G.; Mai, A.; Altucci, L.; Fusco, A. Histone deacetylase inhibitors induce thyroid cancer-specific apoptosis through proteasome-dependent inhibition of TRAIL degradation. *Oncogene* 2010, 29, 105-116. IF: 7.414.
150. Liu, Z.; Mai, A.; Sun, J. Lysine acetylation regulates Bruton's tyrosine kinase in B cell activation. *J. Immunol.* 2010, 184, 244-254. IF: 5.745.
151. Thaler, F.; Colombo, A.; Mai, A.; Amici, R.; Bigogno, C.; Boggio, R.; Cappa, A.; Carrara, S.; Cataudella, T.; Fusar, F.; Gianti, E.; di Ventimiglia, S. J.; Moroni, M.; Munari, D.; Pain, G.; Regalia, N.; Sartori, L.; Vultaggio, S.; Dondio, G.; Gagliardi, S.; Minucci, S.; Mercurio, C.; Varasi, M. Synthesis and biological evaluation of N-hydroxyphenylacrylamides and N-hydroxypyridin-2-ylacrylamides as novel histone deacetylase inhibitors. *J. Med. Chem.* 2010, 53, 822-839. IF: 5.207.
152. Pasco, M. Y.; Rotili, D.; Altucci, L.; Farina, F.; Rouleau, G. A.; Mai, A.; Néri, C. Characterization of sirtuin inhibitors in nematodes expressing a muscular dystrophy protein reveals muscle cell and behavioral protection by specific sirtinol analogues. *J. Med. Chem.* 2010, 53, 1407-1411. IF: 5.207.
153. Spallotta, F.; Rosati, J.; Straino, S.; Nanni, S.; Grasselli, A.; Ambrosino, V.; Rotili, D.; Valente, S.; Farsetti, A.; Mai, A.; Capogrossi, M. C.; Gaetano, C.; Illi, B. Nitric oxide Determines Mesodermic Differentiation of Mouse Embryonic Stem Cells by Activating Class IIa Histone Deacetylases: Potential Therapeutic Implications in a Mouse Model of Hindlimb Ischemia. *Stem Cells* 2010, 28, 431-442. IF: 7.871.
154. Castellano, S.; Milite, C.; Ragno, R.; Simeoni, S.; Mai, A.; Limongelli, V.; Novellino, E.; Bauer, I.; Brosch, G.; Spannhoff, A.; Cheng, D.; Bedford, M. T.; Sbardella, G. Design, Synthesis and Biological Evaluation of Carboxy Analogues of Arginine Methyltransferase Inhibitor 1 (AMI-1). *ChemMedChem* 2010, 5, 398-414. IF: 3.306.
155. Zhu, H.; Shan, L.; Schiller, P. W.; Mai, A.; Peng, T. Histone deacetylase-3 activation promotes TNF- α expression in cardiomyocytes during lipopolysaccharide stimulation. *J. Biol. Chem.* 2010, 285, 9429-9436. IF: 5.328.
156. Colussi, C.; Berni, R.; Rosati, J.; Straino, S.; Vitale, S.; Spallotta, F.; Baruffi, S.; Bocchi, L.; Delucchi, F.; Rossi, S.; Savi, M.; Rotili, D.; Quaini, F.; Macchi, E.; Stilli, D.; Musso, E.; Mai, A.; Gaetano, C.; Capogrossi, M. C. The Histone Deacetylase Inhibitor Suberoylanilide Hydroxamic Acid Reduces Cardiac Arrhythmias In Dystrophic Mice. *Cardiovasc. Res.* 2010, 87, 73-82. IF: 6.051.
157. Musmuca, I.; Caroli, A.; Mai, A.; Kaushik-Basu, N.; Arora, P.; Ragno, R. Combining 3-D Quantitative Structure-Activity Relationship with Ligand Based and Structure Based Alignment Procedures for in Silico Screening of New Hepatitis C Virus NS5B Polymerase Inhibitors. *J. Chem. Inf. Model.* 2010, 50, 662-676. IF: 3.822.
158. Colussi, C.; Illi, B.; Rosati, J.; Spallotta, F.; Farsetti, A.; Grasselli, A.; Mai, A.; Capogrossi, M. C.; Gaetano, C. Histone deacetylase inhibitors: Keeping momentum for neuromuscular and cardiovascular diseases treatment. *Pharmacol. Res.* 2010, 62, 3-10. IF: 3.612.
159. Rotili, D.; Tarantino, D.; Carafa, V.; Lara, E.; Meade, S.; Botta, G.; Nebbioso, A.; Schemies, J.; Jung, M.; Kazantsev, A. G.; Esteller, M.; Fraga, M. F.; Altucci, L.; Mai, A. Identification of Tri- and Tetracyclic Pyrimidinediones as Sirtuin Inhibitors. *ChemMedChem* 2010, 5, 674-677. IF: 3.306.
160. Colussi, C.; Banfi, C.; Brioschi, M.; Tremoli, E.; Straino, S.; Spallotta, F.; Mai, A.; Rotili, D.; Capogrossi, M. C.; Gaetano, C. Proteomic profile of differentially expressed plasma proteins from dystrophic mice and following suberoylanilide hydroxamic acid treatment. *Proteomics Clin. Appl.* 2010, 4, 71-83. IF: 1.807.
161. Mai, A. Small-molecule chromatin-modifying agents: therapeutic applications. *Epigenomics* 2010, 2, 307-324. IF: 3.429.
162. Binda, C.; Valente, S.; Romanenghi, M.; Pilotto, S.; Cirilli, R.; Karytinis, 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 tranlycypromine derivatives as inhibitors of histone demethylases LSD1 and LSD2. *J. Am. Chem. Soc.* 2010, 132, 6827-6833. IF: 9.019.
163. Thaler, F., Varasi, M., Colombo, A., Boggio, R., Munari, D., Regalia, N., Rozio, M. G., Reali, V., Resconi, A. E., Mai, A., Gagliardi, S., Dondio, G., Minucci, S., Mercurio, C. Synthesis and biological characterization of amidopropenyl hydroxamates as HDAC inhibitors. *ChemMedChem* 2010, 5, 1359-1372. IF: 3.306.
164. Nebbioso, A., Dell'Aversana, C., Bugge, A. K., Sarno, R., Valente, S., Rotili, D., Manzo, F., Teti, D., Mandrup, S., Ciana, P., Maggi, A. C., Mai, A., Gronemeyer, H., Altucci, L. HDACs class II



- selective inhibition alters nuclear receptor dependent differentiation. *J. Mol. Endocrinol.* 2010, 45, 219-228. IF: 3.628.
165. Ruotolo, R.; Tosi, F.; Vernarecci, S.; Ballario, P.; Mai, A.; Filetici, P.; Ottonello, S. Chemogenomic profiling of the cellular effects associated with histone H3 acetylation impairment by a quinoline-derived compound. *Genomics* 2010, 96, 272-280. IF: 3.327.
166. Quinti, L.; Chopra, V.; Rotili, D.; Valente, S.; Amore, A.; Franci, G.; Meade, S.; Valenza, M.; Altucci, L.; Maxwell, M. M.; Cattaneo, E.; Hersch, S.; Mai, A.; Kazantsev, A. Evaluation of histone deacetylases as drug targets in Huntington's disease models. Study of HDACs in brain tissues from R6/2 and CAG140 knock-in HD mouse models and human patients and in a neuronal HD cell model. *PLoS Curr.* 2010 Sep 2;2. pii.
167. Palacios, D.; Mozzetta, C.; Consalvi, S.; Caretti, G.; Saccone, V.; Proserpio, V.; Marquez, V. E.; Valente, S.; Mai, A.; Forcales, S. V.; Sartorelli, V.; Puri, P. L. TNF/p38 α /polycomb signaling to Pax7 locus in satellite cells links inflammation to the epigenetic control of muscle regeneration. *Cell Stem Cell* 2010, 7, 455-469. IF: 25.943.