

ELENCO PUBBLICAZIONI (dal 2010 al 2020)

- 1) Structural Insight into Peroxisome Proliferator-Activated Receptor γ Binding of Two Ureidofibrate-Like Enantiomers by Molecular Dynamics, Cofactor Interaction Analysis, and Site-Directed Mutagenesis. G. Pochetti, N. Mitro, A. Lavecchia, F. Gilardi, N. Besker, E. Scotti, M. Aschi, N. Re, G. Fracchiolla, A. Laghezza, P. Tortorella, R. Montanari, E. Novellino, F. Mazza, M. Crestani, F. Loiodice. *J. Med. Chem.* 53, 4354-4366 (2010)
- 2) Microwave-assisted synthesis of KN-93, a potent and selective inhibitor of Ca^{2+} /calmoduline-dependent protein kinase II. C. Bruno, G. Lentini, A. Catalano, A. Carocci, A. Lovece, A. Di Mola, M. M. Cavalluzzi, P. Tortorella, F. Loiodice, G. Iaccarino, P. Campiglia, E. Novellino, C. Franchini. *Synthesis* 24, 4193-4198 (2010)
- 3) Convenient synthesis of some 3-phenyl-1-benzofuran-2-carboxylic acid derivatives as new potential inhibitors of CLC-Kb channels. L. Piemontese, G. Carbonara, G. Fracchiolla, A. Laghezza, P. Tortorella, F. Loiodice. *Heterocycles* 81, 2865-2872 (2010)
- 4) Structural insight into the crucial role of ligand chirality in the activation of PPARs by crystallographic methods. F. Loiodice, G. Pochetti. *Curr. Top. Med. Chem.* 11, 819-839 (2011)
- 5) Biphenyl sulfonylamino methyl bisphosphonic acids as inhibitors of matrix metalloproteinases and bone resorption. M. T. Rubino, M. Agamennone, C. Campestre, P. Campiglia, V. Cremasco, R. Faccio, A. Laghezza, F. Loiodice, D. Maggi, E. Panza, A. Rossello, P. Tortorella. *ChemMedChem* 6, 1258-1268 (2011)
- 6) Identification of novel matrix metalloproteinase inhibitors by screening of phenol fragments library. M. T. Rubino, D. Maggi, A. Laghezza, F. Loiodice, P. Tortorella. *Arch. Pharm. Chem. Life Sci.* 344, 557-563 (2011)
- 7) Frontal affinity chromatography with MS detection of the ligand binding domain of PPAR γ receptor: ligand affinity screening and stereoselective ligand-macromolecule interaction. E. Calleri, G. Fracchiolla, R. Montanari, G. Pochetti, A. Lavecchia, F. Loiodice, A. Laghezza, L. Piemontese, G. Massolini, C. Temporini. *J. Chromatogr. A* 1232, 84-92 (2012)
- 8) Structural nucleotide analogs are potent activators/inhibitors of pancreatic beta-cell KATP channels: an emerging mechanism supporting their use as anti-diabetic drugs. D. Tricarico, J.-F. Rolland, G. Cannone, A. Mele, V. Cippone, A. Laghezza, G. Carbonara, G. Fracchiolla, P. Tortorella, F. Loiodice, D. Conte Camerino. *J. Pharmacol. Exp. Ther.* 340, 266-276 (2012)
- 9) Synthesis, characterization and biological evaluation of ureidofibrate-like derivatives endowed with peroxisome proliferator-activated receptor activity. L. Porcelli, F. Gilardi, A. Laghezza, L. Piemontese, N. Mitro, A. Azzariti, F. Altieri, L. Cervoni, G. Fracchiolla, M. Giudici, U. Guerrini, A. Lavecchia, R. Montanari, C. Di Giovanni, A. Paradiso, G. Pochetti, G. M. Simone, P. Tortorella, M. Crestani, F. Loiodice. *J. Med. Chem.* 55, 37-54 (2012)
- 10) In-vivo administration of CLC-K kidney chloride channels inhibitors increases water diuresis in rats: a new drug target for hypertension? A. Liantonio, G. Gramegna, G. M.

- Camerino, M. M. Dinardo, A. Scaramuzzi, M. A. Potenza, M. Montagnani, G. Procino, D. R. Lasorsa, L. Mastrofrancesco, A. Laghezza, G. Fracchiolla, F. Loiodice, M. G. Perrone, A. Lopodota, S. Conte, R. Penza, G. Valenti, M. Svelto, D. Conte Camerino. *J. Hypertens.* 30, 153-167 (2012)
- 11) Synthesis, biological evaluation and molecular investigation of fluorinated peroxisome proliferator-activated receptors alpha/gamma dual agonists. G. Fracchiolla, A. Laghezza, L. Piemontese, M. Parente, A. Lavecchia, G. Pochetti, R. Montanari, C. Di Giovanni, G. Carbonara, P. Tortorella, E. Novellino, F. Loiodice. *Bioorg. Med. Chem.* 20, 2141-2151 (2012)
 - 12) Design, synthesis and biological evaluation of 5-hydroxy, 5-substituted-pyrimidine-2,4,6-triones as potent inhibitors of gelatinases MMP-2 and MMP-9. O. Nicolotti, M. Catto, I. Giangreco, M. Barletta, F. Leonetti, A. Stefanachi, L. Pisani, S. Cellamare, P. Tortorella, F. Loiodice, A. Carotti. *Eur. J. Med. Chem.* 58, 368-376 (2012)
 - 13) Effects of biphenyl sulfonylamino methyl bisphosphonic acids on Porphyromonas Gingivalis and cytokine secretion by oral epithelial cells. L. Zhao, A. Marquis, V. D. La, M. Agamennone, F. Loiodice, P. Tortorella, D. Grenier. *Med. Chem.* 9, 855-860 (2013)
 - 14) New 2-aryloxy-3-phenyl-propanoic acids as peroxisome proliferator-activated receptors alpha/gamma dual agonists able to upregulate the mitochondrial carnitine shuttle system gene expression. A. Laghezza, G. Pochetti, A. Lavecchia, G. Fracchiolla, S. Faliti, L. Piemontese, C. Di Giovanni, V. Iacobazzi, V. Infantino, R. Montanari, D. Capelli, P. Tortorella, F. Loiodice. *J. Med. Chem.* 56, 60-72 (2013)
 - 15) Open tubular columns containing the immobilized ligand binding domain of peroxisome proliferator-activated receptors α and γ for dual agonists characterization by frontal affinity chromatography with mass spectrometry detection. C. Temporini, G. Pochetti, G. Fracchiolla, L. Piemontese, R. Montanari, R. Moaddel, A. Laghezza, F. Altieri, L. Cervoni, D. Ubiali, E. Prada, F. Loiodice, G. Massolini, E. Calleri. *J. Chromatogr. A* 1284, 36-43, (2013)
 - 16) Molecular determinants for nuclear receptors selectivity: Chemometric analysis, dockings and site-directed mutagenesis of dual peroxisome proliferator-activated receptors alpha/gamma agonists. A. Carrieri, M. Giudici, M. Parente, M. De Rosas, L. Piemontese, G. Fracchiolla, A. Laghezza, P. Tortorella, G. Carbonara, A. Lavecchia, F. Gilardi, M. Crestani, F. Loiodice. *Eur. J. Med. Chem.* 63, 321-332 (2013)
 - 17) An efficient synthesis of the optically active isomers of 2H-1,4-benzoxazine derivatives, novel KATP channel modulators. L. Piemontese, A. Laghezza, G. Fracchiolla, G. Carbonara, P. Tortorella, F. Loiodice. *Tetrahedron: Asymmetry* 24, 791-795 (2013)
 - 18) Arylamino methylene bisphosphonate derivatives as bone seeking matrix metalloproteinase inhibitors. M. Tauro, A. Laghezza, F. Loiodice, M. Agamennone, C. Campestre, P. Tortorella. *Bioorg. Med. Chem.* 21, 6456-6465 (2013)
 - 19) LT175 is a novel PPAR α/γ ligand with potent insulin sensitizing effects and reduced adipogenic properties. F. Gilardi, M. Giudici, N. Mitro, O. Maschi, U. Guerrini, G. Rando, A. Maggi, G. Cermenati, A. Laghezza, F. Loiodice, G. Pochetti, A. Lavecchia, D. Caruso, E. De Fabiani, K. Bamberg, M. Crestani. *J. Biol. Chem.* 289, 6908-6920 (2014)

- 20) Resveratrol and its metabolites bind to PPARs. E. Calleri, G. Pochetti, K. S. S. Dossou, A. Laghezza, R. Montanari, D. Capelli, E. Prada, F. Loiodice, G. Massolini, M. Bernier, Ruin Moaddel. *ChemBioChem* 15, 1154-1160 (2014)
- 21) Arylamino bisphosphonates: Potent and selective inhibitors of the tumor-associated carbonic anhydrase XII. M. Tauro, F. Loiodice, M. Ceruso, C. T. Supuran, P. Tortorella. *Bioorg. Med. Chem. Lett.* 24, 1941-1943 (2014)
- 22) Dual carbonic anhydrase/matrix metalloproteinase inhibitors incorporating bisphosphonic acid moieties targeting bone tumors. M. Tauro, F. Loiodice, M. Ceruso, C. T. Supuran, P. Tortorella. *Bioorg. Med. Chem. Lett.* 24, 2617-2620 (2014)
- 23) Structural basis of the transactivation deficiency of human PPAR γ F360L mutant associated with familial partial lipodystrophy. C. Lori, A. Pasquo, R. Montanari, D. Capelli, V. Consalvi, R. Chiaraluce, L. Cervoni, F. Loiodice, A. Laghezza, M. Aschi, A. Giorgi and G. Pochetti. *Acta Cryst. D70*, 1965-1976 (2014)
- 24) Structural development studies of PPARs ligands based on tyrosine scaffold. B. De Filippis, P. Linciano, A. Ammazalorso, C. Di Giovanni, M. Fantacuzzi, L. Giampietro, A. Laghezza, C. Maccallini, P. Tortorella, A. Lavecchia, F. Loiodice, R. Amoroso. *Eur. J. Med. Chem.* 89, 817-825 (2015)
- 25) Design, synthesis and biological evaluation of a class of bioisosteric oximes of the novel dual peroxisome proliferator-activated receptor α/γ ligand LT175. L. Piemontese, G. Fracchiolla, A. Carrieri, M. Parente, A. Laghezza, G. Carbonara, S. Sblano, M. Tauro, F. Gilardi, P. Tortorella, A. Lavecchia, M. Crestani, B. Desvergne, F. Loiodice. *Eur. J. Med. Chem.* 90, 583-594 (2015)
- 26) On the metabolically active form of metaglidazen: improved synthesis and investigation of its peculiar activity on peroxisome proliferator-activated receptors and skeletal muscles. A. Laghezza, R. Montanari, A. Lavecchia, L. Piemontese, G. Pochetti, V. Iacobazzi, V. Infantino, D. Capelli, M. De Bellis, A. Liantonio, S. Pierno, P. Tortorella, D. Conte Camerino, F. Loiodice. *ChemMedChem* 10, 555-565 (2015)
- 27) Antiproliferative Activity Evaluation of a Series of N-1,3-Benzothiazol-2-ylbenzamides as Novel Apoptosis Inducers. F. Corbo, A. Carocci, D. Armenise, N. De Laurentis, A. Laghezza, F. Loiodice, P. Ancona, M. Muraglia, V. Pagliarulo, C. Franchini, A. Catalano. *Journal of Chemistry*, Article ID 4267564, 1-5 (2016)
- 28) Structure-Based Design of Microsomal Prostaglandin E2 Synthase-1 (mPGES-1) Inhibitors using a Virtual Fragment Growing Optimization Scheme. G. Lauro, P. Tortorella, A. Bertamino, C. Ostacolo, A. Koeberle, K. Fischer, I. Bruno, S. Terracciano, I. M. Gomez-Monterrey, M. Tauro, F. Loiodice, E. Novellino, R. Riccio, O. Werz, P. Campiglia, G. Bifulco. *ChemMedChem* 11, 612-619 (2016)
- 29) Screening of saponins and sapogenins from *Medicago* species as potential PPAR γ agonists and X-ray structure of the complex PPAR γ /caulophyllogenin. R. Montanari, D. Capelli, A. Tava, A. Galli, A. Laghezza, P. Tortorella, F. Loiodice, G. Pochetti. *Sci. Rep.* 6:27658 (2016)

- 30) An Effective Virtual Screening Protocol To Identify Promising p53-MDM2 Inhibitors. P. Tortorella, A. Laghezza, M. Durante, I. Gomez-Monterrey, A. Bertamino, P. Campiglia, F. Loiodice, S. Daniele, C. Martini, M. Agamennone. *J. Chem. Inf. Model.* 56, 1216-27 (2016)
- 31) Statin-induced myotoxicity is exacerbated by aging: A biophysical and molecular biology study in rats treated with atorvastatin. G. M. Camerino, M. De Bellis, E. Conte, A. Liantonio, K. Musaraj, M. Cannone, A. Fonzino, A. Giustino, A. De Luca, R. Romano, C. Camerino, A. Laghezza, F. Loiodice, J. F. Desaphy, D. Conte Camerino, S. Pierno. *Toxicol. Appl. Pharmacol.* 306, 36-46 (2016)
- 32) Catechol-based matrix metalloproteinase inhibitors with additional antioxidative activity. M. Tauro, A. Laghezza, F. Loiodice, L. Piemontese, A. Caradonna, D. Capelli, R. Montanari, G. Pochetti, A. Di Pizio, M. Agamennone, C. Campestre, P. Tortorella. *J. Enzyme Inhib. Med. Chem.* 31, 25-37 (2016)
- 33) Structural basis for PPAR partial or full activation revealed by a novel ligand binding mode. D. Capelli, C. Cerchia, R. Montanari, F. Loiodice, P. Tortorella, A. Laghezza, L. Cervoni, G. Pochetti, A. Lavecchia. *Sci. Rep.* 6:34792 (2016)
- 34) New diphenylmethane derivatives as peroxisome proliferator-activated receptor alpha/gamma dual agonists endowed with antiproliferative effects and mitochondrial activity. L. Piemontese, C. Cerchia, A. Laghezza, P. Ziccardi, S. Sblano, P. Tortorella, V. Iacobazzi, V. Infantino, P. Convertini, F. Dal Piaz, A. Lupo, V. Colantuoni, A. Lavecchia, F. Loiodice. *Eur. J. Med. Chem.* 127, 379-397 (2017)
- 35) Selective inhibition of matrix metalloproteinase-2 in the multiple myeloma-bone microenvironment. G. Shay, M. Tauro, F. Loiodice, P. Tortorella, D. M. Sullivan, L. A. Hazlehurst, C. C. Lynch. *Oncotarget* 8, 41827-41840 (2017)
- 36) Betulinic acid is a PPAR γ antagonist that improves glucose uptake, promotes osteogenesis and inhibits adipogenesis. G. Brusotti, R. Montanari, D. Capelli, G. Cattaneo, A. Laghezza, P. Tortorella, F. Loiodice, F. Peiretti, B. Bonardo, A. Paiardini, E. Calleri, G. Pochetti. *Sci. Rep.* 7:5777 (2017)
- 37) Dual targeting of cancer-related human matrix metalloproteinases and carbonic anhydrases by chiral N-(biarylsulfonyl)-phosphonic acids. G. Luisi, G. Angelini, C. Gasbarri, A. Laghezza, M. Agamennone, F. Loiodice, C. T. Supuran, C. Campestre, P. Tortorella. *J. Enzyme Inhib. Med. Chem.* 32, 1260-1264 (2017)
- 38) Novel Benzylidene Thiazolidinedione Derivatives as Partial PPAR γ Agonists and their Antidiabetic Effects on Type 2 Diabetes. S. Yasmin, F. Capone, A. Laghezza, F. Dal Piaz, F. Loiodice, V. Vijayan, V. Devadasan, S. K. Mondal, Ö. Atlı, M. Baysal, A. K. Pattnaik, V. Jayaprakash, A. Lavecchia. *Sci. Rep.* 7:14453 (2017)
- 39) Bisphosphonate matrix metalloproteinase inhibitors for the treatment of periodontitis: An in vitro study. M. De Colli, P. Tortorella, M. Agamennone, C. Campestre, F. Loiodice, A. Cataldi, S. Zara. *Int. J. Mol. Med.* 42, 651-657 (2018)
- 40) Natural Scaffolds with Multi-Target Activity for the Potential Treatment of Alzheimer's Disease. L. Piemontese, G. Vitucci, M. Catto, A. Laghezza, F. M. Perna, M. Rullo, F. Loiodice, V. Capriati, M. Solfrizzo. *Molecules* 23, 2182 (2018)

- 41) Identification of the First PPAR α/γ Dual Agonist Able To Bind to Canonical and Alternative Sites of PPAR γ and To Inhibit Its Cdk5-Mediated Phosphorylation. A. Laghezza, L. Piemontese, C. Cerchia, R. Montanari, D. Capelli, M. Giudici, M. Crestani, P. Tortorella, F. Peiretti, G. Pochetti, A. Lavecchia, F. Loiodice. *J. Med. Chem.* 61, 8282-8298 (2018)
- 42) Mimic catechins to develop selective MMP-2 inhibitors. A. Di Pizio, M. Agamennone, A. Laghezza, F. Loiodice, P. Tortorella. *Monatsh. Chem.* 149, 1293-1300 (2018)
- 43) The therapy of Alzheimer's disease: towards a new generation of drugs. L. Piemontese, F. Loiodice, S. Chaves, M. A. Santos. *Chapter 2 in Frontiers in Clinical Drug Research- Alzheimer Disorders*, 8, 33-80 (2019)
- 44) Chiral phenoxyacetic acid analogues inhibit colon cancer cell proliferation acting as PPAR γ partial agonists. L. Sabatino, P. Ziccardi, C. Cerchia, L. Muccillo, L. Piemontese, F. Loiodice, V. Colantuoni, A. Lupo, A. Lavecchia. *Sci. Rep.* 9:5434 (2019)
- 45) Novel Phenylidiazanyl Fibrate Analogues as PPAR $\alpha/\gamma/\delta$ Pan-Agonists for the Amelioration of Metabolic Syndrome. L. Giampietro, A. Laghezza, C. Cerchia, R. Florio, L. Recinella, F. Capone, A. Ammazalorso, I. Bruno, B. De Filippis, M. Fantacuzzi, C. Ferrante, C. Maccallini, P. Tortorella, F. Verginelli, L. Brunetti, A. Cama, R. Amoroso, F. Loiodice, A. Lavecchia. *ACS Med. Chem. Lett.* 10, 545-551 (2019)
- 46) An update about the crucial role of stereochemistry on the effects of Peroxisome Proliferator-Activated Receptor ligands. A. Laghezza, L. Piemontese, P. Tortorella, F. Loiodice. *Eur. J. Med. Chem.* 176, 326-342 (2019)
- 47) Synthesis of novel benzothiazole amides: Evaluation of PPAR activity and anti-proliferative effects in paraganglioma, pancreatic and colorectal cancer cell lines. A. Ammazalorso, L. De Lellis, R. Florio, A. Laghezza, B. De Filippis, M. Fantacuzzi, L. Giampietro, C. Maccallini, P. Tortorella, S. Veschi, F. Loiodice, A. Cama, R. Amoroso. *Bioorg. Med. Chem. Lett.* 29, 2302-2306 (2019)
- 48) New Approaches to Cancer Therapy: Combining Fatty Acid Amide Hydrolase (FAAH) Inhibition with Peroxisome Proliferator-Activated Receptors (PPARs) Activation. L. Brunetti, F. Loiodice, L. Piemontese, P. Tortorella, A. Laghezza. *J. Med. Chem.* 62, 10995-11003 (2019)
- 49) Combining fatty acid amide hydrolase (FAAH) inhibition with peroxisome proliferator-activated receptor (PPAR) activation: a new potential multi-target therapeutic strategy for the treatment of Alzheimer's disease. L. Brunetti, A. Laghezza, F. Loiodice, P. Tortorella, L. Piemontese. *Neural Regen. Res.* 15, 67-68 (2020)
- 50) Virtual screening identification and chemical optimization of substituted 2-arylbenzimidazoles as new non-zinc-binding MMP-2 inhibitors. A. Laghezza, G. Luisi, A. Caradonna, A. Di Pizio, L. Piemontese, F. Loiodice, M. Agamennone, P. Tortorella. *Bioorg. Med. Chem.* 28, 115257 (2020)
- 51) A Review of Recent Patents (2016-2019) on Plant Food Supplements with Potential Application in the Treatment of Neurodegenerative and Metabolic Disorders. R. Leuci, L.

- Brunetti, A. Laghezza, P. Tortorella, F. Loiodice, L. Piemontese. *Recent Pat. Food Nutr. Agric.* *11*, 145-153 (2020)
- 52) Insights into PPAR γ Phosphorylation and Its Inhibition Mechanism. R. Montanari, D. Capelli, K. Yamamoto, H. Awaishima, K. Nishikata, A. Barendregt, A. J. R. Heck, F. Loiodice, F. Altieri, A. Paiardini, A. Grottesi, L. Pirone, E. Pedone, F. Peiretti, J. M. Brunel, T. Itoh, G. Pochetti. *J. Med. Chem.* *63*, 4811-4823 (2020)
- 53) Sulfonimide and Amide Derivatives as Novel PPAR α Antagonists: Synthesis, Antiproliferative Activity, and Docking Studies. A. Ammazalorso, I. Bruno, R. Florio, L. De Lellis, A. Laghezza, C. Cerchia, B. De Filippis, M. Fantacuzzi, L. Giampietro, C. Maccallini, P. Tortorella, S. Veschi, F. Loiodice, A. Lavecchia, A. Cama, R. Amoroso. *ACS Med. Chem. Lett.* *11*, 624-632 (2020)
- 54) Bone-Seeking Matrix Metalloproteinase Inhibitors for the Treatment of Skeletal Malignancy. A. Laghezza, L. Piemontese, L. Brunetti, A. Caradonna, M. Agamennone, A. Di Pizio, G. Pochetti, R. Montanari, D. Capelli, M. Tauro, F. Loiodice, P. Tortorella. *Pharmaceuticals (Basel)* *13*, 113 (2020)
- 55) Synthesis and Biological Evaluation of Pyrazoline and Pyrrolidine-2,5-dione Hybrids as Potential Antitumor Agents. K. Tilekar, N. Upadhyay, F. J. Meyer-Almes, F. Loiodice, N. Y. Anisimova, T. S. Spirina, D. V. Sokolova, G. B. Smirnova, J. Y. Choe, V. S. Pokrovsky, A. Lavecchia, S. C. Ramaa. *ChemMedChem* *15*, 1813-1825 (2020)
- 56) Importance of biometals as targets in medicinal chemistry: An overview about the role of zinc (II) chelating agents. R. Leuci, L. Brunetti, A. Laghezza, F. Loiodice, P. Tortorella, L. Piemontese. *Applied Sciences (Switzerland)* *10*, 4118 (2020)
- 57) Beyond the Canonical Endocannabinoid System. A Screening of PPAR Ligands as FAAH Inhibitors. L. Brunetti, A. Carrieri, L. Piemontese, P. Tortorella, F. Loiodice, A. Laghezza. *Int. J. Mol. Sci.* *21*, 7026 (2020)