

10<sup>th</sup> World Congress on

# Medicinal Chemistry and Drug Design

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### Stilbene-based compounds: from synthesis to biological activities

Stilbene is a versatile scaffold characterized by two aromatic rings linked by an ethylene bridge. Stilbenes are defense compounds produced by some plants in response to pathogen attack and other stresses. Stilbene-containing compounds, as resveratrol, are abundant in natural products, with a variety of important biological activities such as antioxidant, hypolipidemic, antiviral and anti-inflammatory. In the last decade, natural compounds with stilbene backbone demonstrated to possess promising activity in cancer prevention, targeting a wide variety of intracellular pathways. In order to overcome the unfavorable pharmacokinetic of resveratrol, different substituents were introduced on one or both aromatic rings and numerous hybrid derivatives have been synthesized and tested on different biological targets. There are clinically used drugs featured by the presence of stilbene nucleus. Starting from these data, our studies explored the potential of synthetic compounds containing the stilbene scaffold (Figure) on crucial biological processes, such as the modulation of PPAR nuclear receptor activities. We further explored the effects of the above mentioned compounds on different pathological processes, including cancer. This talk focuses on the synthesis and biological activities of compounds that contain stilbene:- hybrids constituted by a 4-substituted stilbene moiety and different alkanolic chains, active as agonists on PPARs and antioxidant on mouse myoblast C2C12 and breast cancer MCF7 cell lines;- stilbene-phenols with different substitution patterns on aromatic rings, active on C2C12 and pancreatic tumor cell lines;- stilbene-sulfonates and sulfonamides potentially active as aromatase inhibitors.

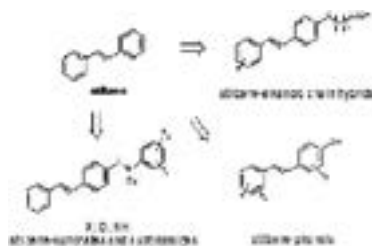


Figure: Stilbene derivatives

### Recent Publications

1. Diaz-Gerevini G T et al. (2016) Beneficial action of resveratrol: How and why? *Nutrition* 32:174-178.
2. Giacomini E et al. (2016) The Use of stilbene scaffold in medicinal chemistry and multi-target drug design, *Current Medicinal Chemistry* 23: 2439-2489.
3. De Filippis B et al. (2017) Anticancer activity of stilbene based derivatives, *ChemMedChem* 12: 1-4.
4. De Filippis B et al. (2015) PPAR agonists based on the stilbene and its bioisosters: biological evaluation and docking studies, *Med. Chem. Comm.* 6:1513-1517.
5. Loporini L et al. (2017) *In vitro* protective effects of resveratrol and stilbene alkanolic derivatives on induced oxidative stress on C2C12 and MCF7 cells, *J Biol Regul Homeost Agents*. 31: 589-601

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## Biography

Barbara De Filippis completed her bachelor degree in Pharmaceutical Chemistry and Technology in 1997 and PhD in Medicinal Chemistry at the University of Chieti (Italy) in 2001, and she is currently an Assistant Professor of Medicinal Chemistry in the same university. Her main research topics are related to metabolic diseases and cancer and she has published numerous publications in these fields. Her current research interests have shifted toward the synthesis of resveratrol derivatives useful as potential anticancer and antioxidants.

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