

9th World Congress on

Chemistry and Medicinal Chemistry

May 13-14, 2019 | Prague, Czech Republic

20 years of G-quadruplex ligands: From synthesis to relevant biological activity

Marco Franceschin

University of Rome, Italy

G-Quadruplexes represent a group of unusual DNA secondary structures, based on Hoogsteen G–G paired hydrophobic planar rings consisting of four guanine units. Many studies have shown that G-quadruplex structures appear to be involved in several important biological processes, such as DNA replication, gene expression and recombination, as well as cell transformation. Some of the first G-quadruplex ligands (namely perylene and anthracene derivatives) were published by L.H. Hurley and S. Neidle in 1998/1999. Starting from 2001, inspired by their works, we synthesized several perylene derivatives with different side chains and studied their chemical properties and biological activity, with the aim to understand the relevant molecular features. In the following years, based on these results and through improved syntheses, we prepared novel and more promising aromatic compounds: berberine and palmatine derivatives (from natural compounds), triazatruxene, xanthene and xanthone derived compounds, as well as, more recently, coronene derivatives. After 20 years, some of these compounds have shown very interesting biological effects, based on their ability to bind specific G-quadruplex structures, both on telomers, affecting

therefore telomerase activity, and on oncogene promoting sequences, leading to antiproliferative effects and thus representing new potential selective anticancer drugs. In this Keynote Speech, an overview of the most interesting results will be given in order to understand future perspectives.

Speaker Biography

Marco Franceschin graduated cum laude from the 'Sapienza' in 2001, where he successively earned a PhD degree in Chemistry in 2005, including a significant period of research activity at the School of Pharmacy, University College London. Until 2011 he was a post-doc in the same University of Rome: with over 10 years research experience in the field of G-quadruplex ligands, leading to more than 30 international publications (h-index 18). His work included organic synthesis, characterization of the synthesized compounds and the study of DNA/ligand interactions by means of gel electrophoresis, spectroscopic techniques, molecular modeling simulations and mass spectrometry, as well as their biological activity. He has been qualified as associate professor of both Organic Chemistry and Medicinal Chemistry, holding a temporary teaching position as professor of organic chemistry for students in Biotechnology at the Faculty of Sciences of the University of Rome 'Sapienza' from 2014 to 2018.

e: marco.franceschin@uniroma1.it

 *Notes:*