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Across the "Universe" of Sigma receptor modulators. The experience of the MedChemLab

Sigma Receptors (SRs) represent an interesting orphan class of molecular targets to hit for counteracting neurodegenerative diseases and cancer. They can be divided into two receptor subtypes (S1R and S2R, respectively), endowed with different physio-pathological and structural properties. From a therapeutic standpoint, the pharmacological activity of S1Rs is strictly related to the modulators that they interact with. In detail, S1R agonists promote neuroprotection and neuroplasticity, whereas antagonists can be beneficial in thwarting neuropathic pain and tumorous manifestations. Conversely, S2R modulators may have a pivotal role as anticancer agents. So far, four compounds reached the Clinical Stage of the Drug Discovery Process, as drug candidate in therapy and diagnosis for Alzheimer's disease (ANAVEX 2-73, AVP-923), for neuropathic pain (MR309) and cancer ([18F]-ISO-1).

The Medicinal Chemistry Laboratory (MedChemLab) is part of this scenario and has a long lasting experience in this field. Indeed, the group spent its efforts in designing and synthetizing molecules with affinity and selectivity towards SRs and discoved *(R)*-RC-33 and RC-106 as promising compounds. *(R)*-RC-33 is a S1R agonist compound, characterized by good S1R affinity, good in vivo pharmacokinetic profile and endowed with neuroprotective properties. RC-106 is a pan-SR ligand (S1R antagonist and S2R agonist) showing anticancer activity towards a panel of cancer cell lines. These encouraging results lead members of the MedChemLab group to keep believing that SR modulators could become a relevant opportunity in the pharmaceutical field. Accordingly, throughout this speech the state-of-art and new insights of SRs, as well as the MedChemLab projects will be depicted.

Speaker Biography

Simona Collina is interested in the design and synthesis of small molecules, peptides, and peptidomimetics, focusing on their therapeutic application, in particular in neurodegenerative diseases, cancer and pain. Her interests also focus on drug discovery from natural sources. Among the different research topics, the discovery of small molecules able to affect the protein kinase C (PKC)/ELAV proteins/mRNA system as well as new modulators of sigma receptors as well as of are the most challenging.

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