Contribution ID: 30 Type: not specified

TOWARDS THE DESIGN OF CELL DIVISION CYCLE 25 PHOSPHATASES INHIBITORS AS ANTICANCER AGENTS

lunedì 28 febbraio 2022 17:45 (10)

CDC25 phosphatases (CDC25S) are members of the family of dual-specificity phosphatases (DSPs) and play a critical role in the regulation of the cell cycle. The overexpression of CDC25s in many human cancers supports their clinical significance and has encouraged the pursuit of specific small-molecule inhibitors. Unfortunately, there are currently no available CDC25 inhibitors with clinical utility. In recent years, our research group has been actively involved in this field, by discovering new drug-like CDC25s targeting molecules endowed with marked antiproliferative effect at cancer cells. Starting from the initial identification of new lead compounds by structure-based virtual screening [1], we then embarked on a medicinal chemistry optimization program, involving multidisciplinary approaches and in particular computational techniques, which eventually led to the discovery of novel chemotypes able to potently inhibit melanoma cells proliferation by triggering apoptosis [2,3]. Thus, CDC25s targeting might open up a new avenue for drug intervention in antimelanoma therapy.

References

- [1] Lavecchia, A. et al. J. Med. Chem. 2012, 55, 4142-4158.
- [2] Capasso, A. et al. Oncotarget 2015, 6, 40202-40222.
- [3] Cerchia, C. et al. J. Med. Chem. 2019, 62, 7089-7110.

Department

Pharmacy

Primary author(s): Dr. CERCHIA, Carmen (Department of Pharmacy, "Drug Discovery" Laboratory, University of Naples Federico II, Via D. Montesano, 49, 80131 Naples, Italy)

Co-author(s): Dr. CAPASSO, Alessandra (Department of Molecular Medicine and Medical Biotechnology, University of Naples Federico II, Via S. Pansini 5, 80131 Naples, Italy); Prof. LAVECCHIA, Antonio (Department of Pharmacy, "Drug Discovery" Laboratory, University of Naples Federico II, Via D. Montesano, 49, 80131 Naples, Italy); GELAIN, Arianna (Department of Pharmaceutical Sciences, University of Milan, Via Mangiagalli, 25, 20133 Milan, Italy); Prof. DE VENDITTIS, Emmanuele (Department of Molecular Medicine and Medical Biotechnology, University of Naples Federico II, Via S. Pansini 5, 80131 Naples, Italy); Dr. ALIOTTA, Federica (Department of Molecular Medicine and Medical Biotechnology, University of Naples Federico II, Via S. Pansini 5, 80131 Naples, Italy); Prof. RUOCCO, Maria Rosaria (Department of Molecular Medicine and Medical Biotechnology, University of Naples Federico II, Via S. Pansini 5, 80131 Naples, Italy); MASULLO, Mariorosario (Department of Movement Sciences and Wellness, University of Naples "Parthenope", 80133 Naples, Ital); Dr. MORI, Matteo (Department of Pharmaceutical Sciences, University of Milan, Via Mangiagalli, 25, 20133 Milan, Italy); Dr. RULLO, Rosario (Department of Molecular Medicine and Medical Biotechnology, University of Naples Federico II, Via S. Pansini 5, 80131 Naples, Italy; Institute for the Animal Production Systems in the Mediterranean Environment, Via Argine 1085, 80147 Naples, Italy); Dr. NASSO, Rosarita (Department of Molecular Medicine and Medical Biotechnology, University of Naples Federico II, Via S. Pansini 5, 80131 Naples, Italy; Department of Movement Sciences and Wellness, University of Naples "Parthenope", 80133 Naples, Italy); Dr. VILLA, Stefania (Department of Pharmaceutical Sciences, University of Milan, Via Mangiagalli, 25, 20133 Milan, Italy)

Presenter(s): Dr. CERCHIA, Carmen (Department of Pharmacy, "Drug Discovery" Laboratory, University of Naples Federico II, Via D. Montesano, 49, 80131 Naples, Italy)