

Potential of 2-phenyl-3-hydroxy-4 (1*H*)-quinolinones in anticancer treatment

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2-Phenyl-3-hydroxy quinolinones (2P3HQs) can be considered as azaanalogues of naturally occurred flavones known for their wide range of biological activities 2P3HQs exhibited anticancer activity *in-vitro* against drug sensitive as well as drug resistant cancer cell lines. Some of them exhibited also high therapeutic index and became to be perspective as novel anticancer drugs. Modification of the active substances followed by affinity chromatography was successful in finding the molecular target, which was identified as elongation factor EF1A1. The complete mechanism of the drug action inside the cell is not quite clear, but some processes, like complexation with pyruvate kinase M2 were unambiguously identified. According to knowledge of the molecular target we were able to perform molecular modeling and design the new targeted chemical library. The problem of these compounds including low solubility, bioavailability and chemical stability was overcome by suitable modification. The methods of modification focused on derivatization or encapsulation to various nanoparticles of organic/inorganic matrix will be presented together with physico-chemical as well as biological data. Because the 2P3HQs posses also relevant fluorescent properties, their potential can lie also in development of novel theranostics.

Biography

Jan Hlavac has completed his PhD in 1997 at Palacký University, Olomouc. Currently he works as the Head of Department of Medicinal Chemistry in Institute of Translational and Medicinal Chemistry in Olomouc. He has published more than 55 papers in reputed journals and is co-author of several patents covering the preparation of novel drugs as well as methods of the specific modification of organic substances. His research interests include organic, bioorganic, medicinal and analytical chemistry.

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