Structure-Activity Relationship in Interactions of Quercetin and its Analogues with Double Stranded DNA/RNA and Single Stranded RNA

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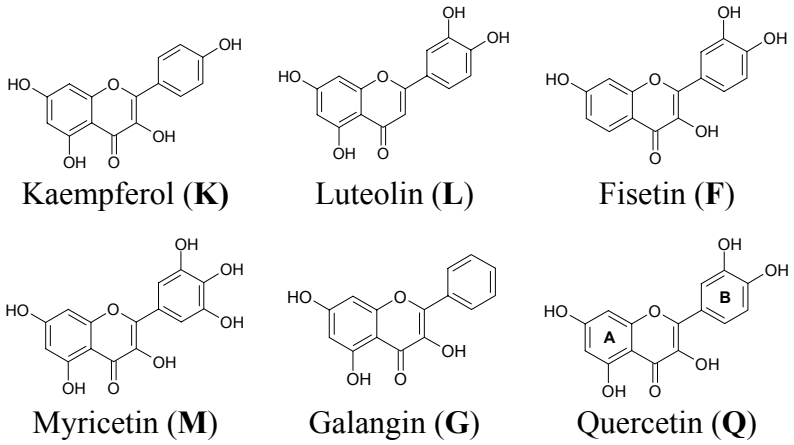
Flavonoids are ubiquitous in all vascular plants and important constituents of human diet. Molecular targets of flavonoids relevant for their broad spectrum of biological activities are not systematically identified and characterized [1]. Particularly interesting is usage of flavonoids as promising anticancer agents [2]. Our recent studies of interactions of quercetin with double stranded DNA / RNA and single stranded RNA revealed among other interesting results that addition of poly G yielded more than order of magnitude stronger changes in UV/vis and fluorescence spectrum of quercetin compared to the changes upon addition of poly A and poly U, revealing possible usage of quercetin as a powerful spectroscopic probe for poly G sequences [3]. In order to investigate the role of -OH substitutents of quercetin in binding and spectroscopic recognition of DNA/RNA, we have studied interactions of DNA/RNA with five close analogues of quercetin (Scheme 1).



Scheme 1: Studied flavonoids.

The studies were performed by UV/vis titrations of flavonoids with *calf thymus* (ct) DNA, synthetic double stranded RNA (poly A- poly U, poly G- poly C) and single stranded RNA (poly A, poly G, poly C, poly U). Obtained results revealed significance of a number and distribution of -OH groups within phenylbenzopyrane core on the affinity of the particular flavonoid toward specific DNA / RNA. Moreover, the intensity of the observed changes in the UV/vis spectra of flavonoids upon addition of studied DNA/RNA is also dependent on a number and distribution of -OH groups within phenylbenzopyrane core as well as on the nucleobase constitution of the polynucleotide. Exclusively poly G caused significant batochromic shift of the UV/vis maxima of all studied flavonoids, whereby the intensity of batochromic shift can be lined as follows: **Q**>>>**K**>**L**>**F**>**G**, the tendency nicely corresponding to the number of OH groups attached to the phenylbenzopyrane core.

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