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Abstract

Four 4-nitrophenyl-functionalized benzofuran (**BF1**, **BF2**) and benzodifuran (**BDF1**, **BDF2**) compounds were synthesized by a convenient route based on the Craven reaction. All the compounds underwent a detailed chemical-physical characterization to evaluate their structural, thermal and optical properties. An investigation on the therapeutic potential of the reported compounds was performed by analysing their antiproliferative activity on prostatic tumour cells (PC-3). In both classes of compounds, anticancer potential is in direct correlation with the lipophilicity. From our study it emerged that antiproliferative activity was higher for benzofuran derivates as compared to benzodifuran systems. Moreover, we report a mechanistic study relative to the most promising molecule, i.e. the apolar benzofuran **BF1**, that relates the antiproliferative properties found in our investigation to its ability to bind telomeric DNA (proven by CD and fluorescence techniques on tel_{22} G4 DNA), and highlights its unexpected impact on cell cycle progression.