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An Investigation of Anticancer Fluorinated Aza-Heterocycles

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Abstract : A broad family of carbocycle-fluorinated aza-heterocycles including 1,3-benzodiazoles (benzimidazoles), 1,2,3-benzotriazoles, 2,1,3-benzothia/selenadiazoles and 1,4-benzodiazines (quinoxalines) was synthesized in the unified way and assessed for cytotoxicity towards the Hep2 (laryngeal epidermoid carcinoma, a kind of oral cancer) cells. The diazoles, triazoles and selenadiazoles revealed low medium inhibitory concentrations IC50 = 2.2-26.4 μM and induced the cells' apoptosis at low concentrations C = 1-25 μM. For selenadiazoles, cell death dynamics was observed already in the first hours after the treatment. Replacement of one atom F by group Me2N in some cases enlarged apoptotic activity of the compounds towards the Hep2 cells. In contrast, the archetypal (i.e. non-fluorinated) 1,3-benzodiazole, 1,2,3-benzotriazole and 2,1,3-benzoselenadiazole were low toxic (IC50 > 100 μM) and induced apoptosis only at high concentrations. The chlorinated congeners of the heterocycles under discussion were highly toxic towards the Hep2 cells but revealed insignificant ability to induce their apoptosis. Overall, the findings above suggest that fluorinated 1,3-benzodiazole, 1,2,3-benzotriazole and 2,1,3-benzoselenadiazole derivatives can be considered as potential anticancer drugs. For the laryngeal epidermoid carcinoma (for which, according to available statistics, the five-year survival rate remained ~50% during the past 30 years), it is especially important since surgical treatment is seriously complicated here thus encouraging medicament one.

Keywords : Apoptosis, aza-heterocycles, cytotoxicity, fluorinated, Hep2 cells, synthesis
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