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Synthesis and Anticancer Activity of Novel α-Carboline and Carbazole Derivatives

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Compound **A** was used as a lead compound, a series of novel 3, 6, 9-substituted α-carboline and carbazole derivatives were synthesized. The anticancer activities of these synthesized compounds were examined by MTT cell proliferation assay, the result showed some of the target compounds exhibited antiproliferatory activities for HL-60、K562、Hep3B、MCF7、2744、SKOV3、H460、H226、A498、COLO 205 and HCT116 cancer cells and worthy for further investigation.

In α-carboline derivatives, the most promising derivative **35** was submitted to NCI for evaluation against its 60 human tumor cell line panel. The results demonstrated that compound **35** was selective against NCI-H522、COLO 205 and HCC-2998 human tumor cell lines. Preliminary study on action mechanism suggested that compound **35** can induce apoptosis in Hep3B and COLO 205 cell lines. In carbazole derivatives, compound **11** exhibited significant inhibitory activities in HL-60、K562、Hep3B、MCF7、2774、SKOV3、H460 and A498 cell lines. Preliminary study on action mechanism suggested compound **11** can induce apoptosis through activation of the mitochondria signaling pathway in HL-60 cell line.

In summary, the novel α-carboline derivative **35** and carbazole derivative **11** are considered potential lead compounds for further investigation.