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Abstract

This study aims to design and synthesize a novel harmine derivative *N*-(4-(hydroxycarbamoyl)benzyl)-1-(4-methoxyphenyl)-9H-pyrido [3,4-*b*]indole-3-carboxamide (HBC) as histone deacetylase (HDAC) inhibitor, and evaluate its antitumor activities and anti-metastasis mechanism. HBC not only exerted significant ant-proliferation activity against five human cancer cell lines, especially for HepG2 cell with an IC₅₀ value of 2.21 μM, which is nearly three-fold lower than SAHA (IC₅₀ = 6.26 μM), but also showed selective HDAC1/6 inhibitory effects in vitro. However, HBC had little effect on normal hepatic cells LO2. Furthermore, HBC simultaneously increased the acetylation of histone H3, H4, and α-tubulin, induced hypochromism by electrostatical

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