

Short Communication

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Identification of (1*H*)-pyrroles as histone deacetylase inhibitors with antitumoral activity

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Abstract

Histone deacetylases (HDACs) play a key role in the regulation of gene expression and chromatin structure, and drugs targeting these enzymes might have an important impact in the treatment of human cancer. Herein, we report the characterization of (1*H*)-pyrroles as a new subfamily of HDAC inhibitors obtained by computational modeling of class-I human HDACs. From a functional standpoint, (1*H*)-pyrroles are powerful inductors of acetylation of histones H3 and H4, and restore the expression of growth-inhibitory genes. From a cellular view, these compounds cause a marked decrease in the viability of cancer cells *in vitro* and *in vivo*, associated with a cell-cycle arrest at G2/M and an inhibition of angiogenesis. Thus, (1*H*)-pyrroles emerge as a novel group of HDAC inhibitors with promising antitumoral features.

Keywords:

angiogenesis, cancer, computational modeling, epigenetics, histones, histone deacetylase inhibitor