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POSTER

Synthesis and biological evaluation of novel curcumin derivative with water-soluble phosphate group as potential antitumor agent

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Abstract

Background: Curcumin (diferuloylmethane) is the main natural active polyphenol from the rhizome of Curcuma longa (turmeric) and in others Curcuma spp. The main pharmacological effects include anti-tumor, anti-inflammatory, anti-oxidation, anti-fungal and anti-bacterial activities. However, the clinical application of curcumin is limited by its main drawbacks such as low solubility, poor bioavailability and rapid excretion from the body. In this study, the chemical modification approach was performed to improve the solubility of curcumin in water, at the same time increase the anti-tumor activity.

Methods: The water-soluble compound (2-(curcumin-O-yl)ethyl dihydrophosphate, LH-42017) was synthesized by two classical reactions: O-alkylation with 2-bromoethanol to obtain mono-O-(2-hydroxyethyl)-curcumin, followed by esterification using phosphoryl chloride in water and pyridine. The chemical structure was confirmed by IR-, NMR-spectroscopies and mass-spectrometry. The anti-tumor activity of LH-42017 was assessed against hepatocellular carcinoma Hep-G2, human breast cancer MCF-7, human leukemia K562 and human cervical carcinoma HeLa cell lines based on the sulforhodamine B (SRB) assay.

Results: LH-42017 was successfully synthesized from curcumin by overall yield of 28.43%. IC50 of original curcumin was 63.55, 90.88, 104.99 and 60.24 µM on Hep-G2, MCF-7, K562 and Hela, respectively. IC50 of LH-42017 was 36.82, 51.60, 60.80 and 26.14 µM on Hep-G2, MCF-7, K562 and Hela, respectively.

Conclusion: The novel synthesized compound LH-42017 showed the antitumor activity in 1.7-2.3 times better than original curcumin. The water-soluble phosphate group plays an important role in development of natural curcumin as potential antitumor agent.

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Keywords

Curcumin, hydroxyethyl, phosphate, water-soluble

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