

New Hydrazone Derivatives Based on Pyrazolopyridothiazine Core as Cytotoxic Agents to Colon Cancers: Design, Synthesis, Biological Evaluation, and Molecular Modeling

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Streszczenie

In this research, a series of novel hydrazone derivatives based on pyrazolopyridothiazinylacetohydrazide were designed, synthesized, and evaluated for their *in vitro* cytotoxic potency on several human colon cancer cells (HTC116, HT-29, and LoVo). After MTT and SRB assays four of the most active derivatives: hydrazide **GH** and hydrazones **GH7**, **GH8**, and **GH11**, were chosen for further investigation. Hydrazone **GH11** had the highest cytotoxic activity (IC_{50} values of c.a. 0.5 μ M). Additionally, the impact of novel derivatives on the oxidative stress level, apoptosis induction, and modulation of inflammation in colon cancer cells was examined. In all studies, the activity of the derivatives increased in order **GH** < **GH7** < **GH8** < **GH11**. At the same time, most of the research was conducted on compounds combined with apple pectin (PC). The most interesting observation was that all the studied derivatives applied together with PC showed significantly higher activity than observed in the case of using PC, hydrazide, or hydrazones separately. Finally, computational chemistry methods (molecular modeling and Density Functional Theory – DFT) were used to complement the experimental studies.

Słowa kluczowe

pyrazolopyridothiazinylacetohydrazide, hydrazones, colon cancer, cytotoxic activity, molecular modeling

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