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New analogs of carboplatin as the basis for the structural design of compounds with high antitumor activity

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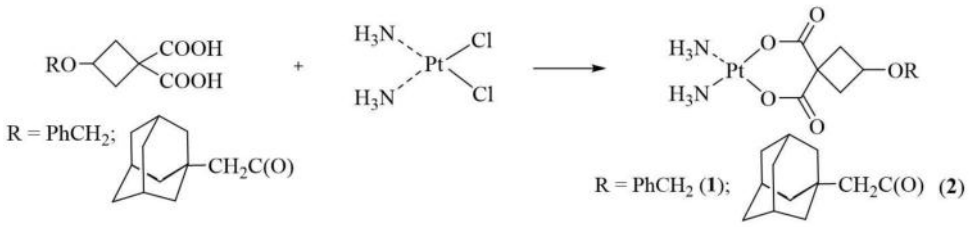
Oncological diseases are today one of the global problems for health care. However, previously found highly effective drugs lose their relevance due to the fact that tumor cells and tissues that have undergone therapy with the aforementioned drugs quickly enough acquire the multidrug resistance phenotype, manifested, to a greater extent, in reducing the intensity of accumulation of these drugs in transformed tissues, and decrease, thereby, efficiency of their action. In this regard, the creation of new forms of chemotherapy does not cease to be a promising direction. The most developed and studied are platinum complexes Pt (II) or Pt (IV) .1

As part of this study, the synthesis of new 3-hydroxycarboplatin derivatives was carried out, their activity on a series of cells was investigated.



As a result of the studies, a good antitumor potential of compound **1** was revealed, which manifests itself in high activity against the cisplatin-resistant $A549^{Pt}$ line (IC_{50} 51.8 μM (**1**) and 179.3 μM (cisplatin)), as well as a pronounced ability to induce apoptosis after 6 hours of incubation comparison of cisplatin (12 hours of incubation) and complex **2**.

References

[1] Timothy C. Johnstone, K. Suntharalingam, Stephen J. Lippard, *Chem. Rev.* **2016**, 116, 3436−348