Summary of the PhD thesis of **Zita Rádai** entitled

α-HYDROXYPHOSPHONATES: FROM THEIR SYNTHESIS TO THEIR BIOLOGICAL ACTIVITY

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During my PhD studies we focused on α-hydroxyphosphonates that are of importance due to the wide range of their biological effects. My thesis summarizes the results we achieved in the field of their synthesis, reactions and biological activity.

First, a new, green method has been elaborated for the synthesis of α-hydroxyphosphonates from substituted benzaldehydes and dialkyl phosphites [1]. The novelty of this new procedure is the minimization of the amount of organic solvents by the precipitation of the desired product from the reaction mixture. Further purification was unnecessary, as the crystals of the α-hydroxyphosphonate could be obtained in a high purity by a simple filtration. The structure of a series of α-hydroxyphosphonates was investigated by X-ray diffraction measurements that let us determine the main interactions of the crystal lattice [2].

α-Hydroxyphosphonates obtained by us are chiral compounds. A new method has been elaborated for the resolution of α-hydroxyphosphonates. Enantiomeric excesses of 68–99% could be attained depending on the substituents.

My research work involved the investigation of four different transformations of α-hydroxyphosphonates. By the acylation of the α hydroxy function by phosphinic and phosphoric chlorides, new α-phosphinoyloxyphosphonates and α-phosphoryloxyphosphonates have been synthesized [3]. The nucleophilic substitution of α-hydroxyphosphonates with primary amines resulted in α-aminophosphonates [4]. The catalytic hydrogenation of dibenzyl-α-hydroxyphosphonates has been elaborated as a new method for the synthesis of α-hydroxyphosphonic acids. The fourth investigated reaction was the phospha-Brook rearrangement of α-hydroxyphosphonates to the corresponding phosphates. The experimental results of the rearrangement reaction have been supported by theoretical calculations.

Our chemical library has been screened against five human cancer cell lines as potential cytotoxic agents [5]. Among α-hydroxyphosphonates and α-phosphinoyloxyphosphonates a number of derivatives showed promising cytotoxic activity.

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[4] Kiss, N. Z.; **Rádai, Z.**; Mucsi, Z.; Keglevich G. *Heteroatom Chem*. **2016**, *27*, 260–268.
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