

## **Influence of extracellular pH on the cytotoxicity, cellular accumulation and DNA interaction of novel pH-sensitive 2-aminoalcoholato platinum(II) complexes**

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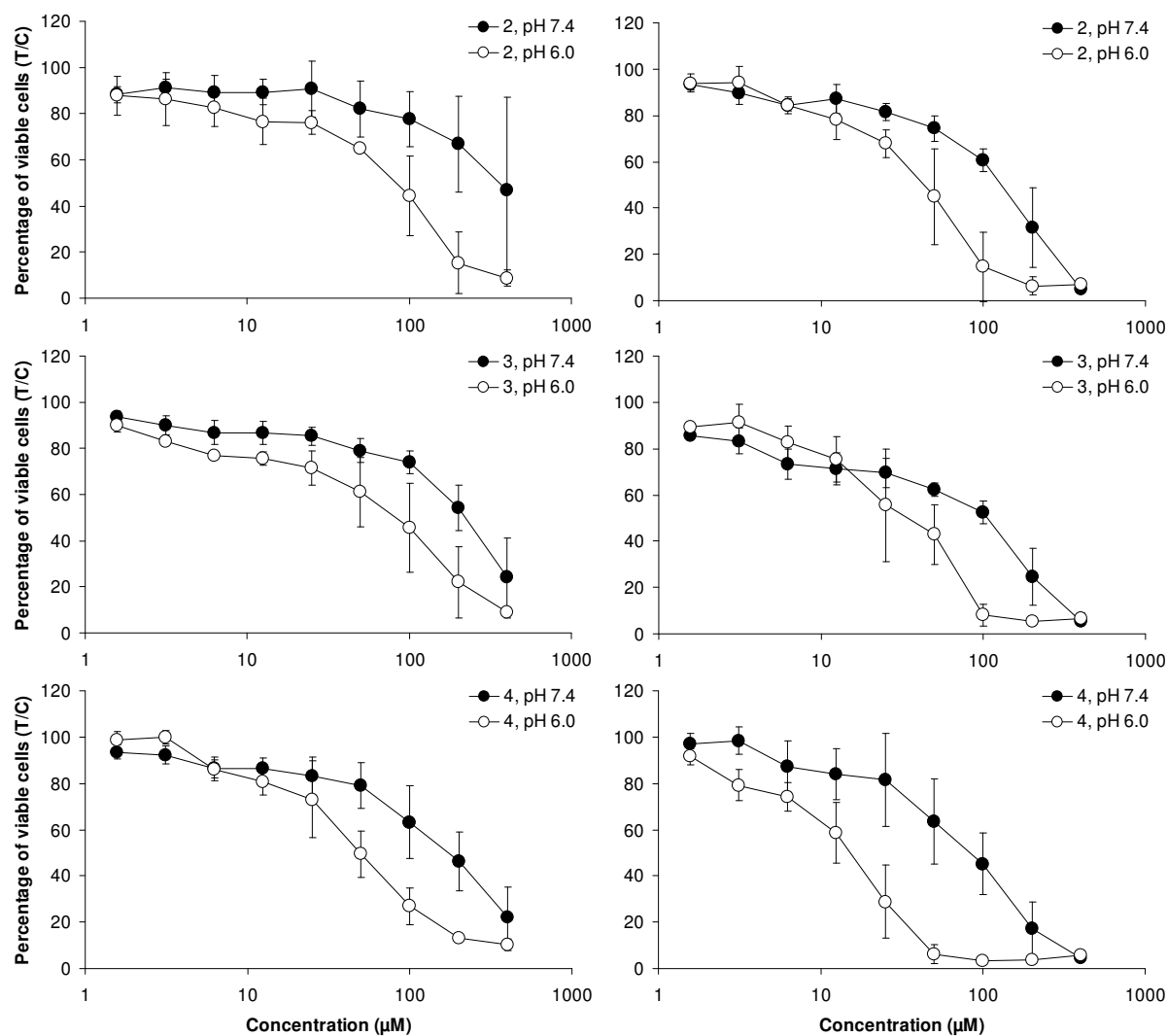
### **Supplementary Information**

Table S1 summarizes the enhancement of cellular accumulation and DNA platination as ratio (pH 6.0)/(pH 7.4). The standard deviations given for the reported ratios are based upon the standard deviations of cellular uptake or DNA platination at both pH values according to error propagation (most probable error).

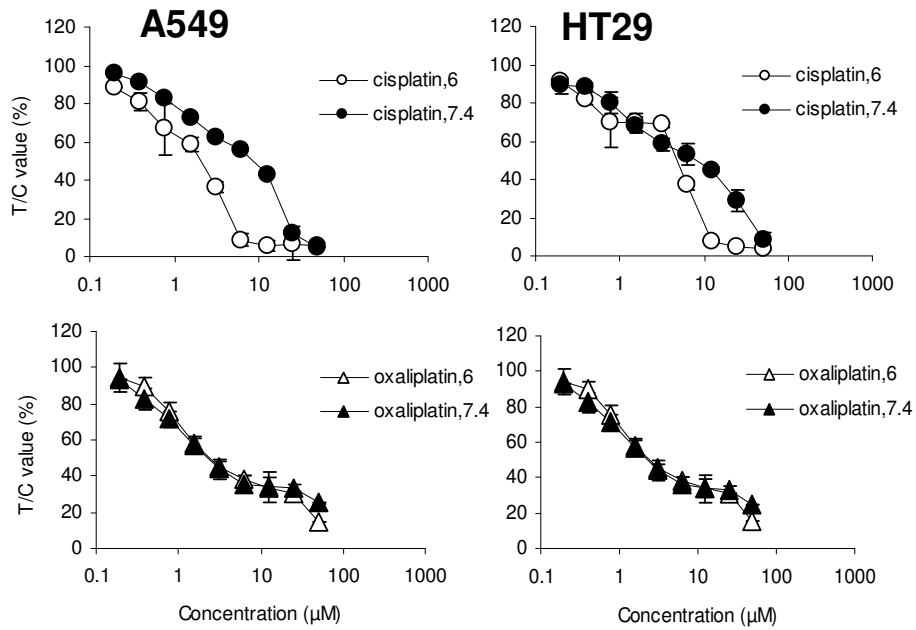
**Table S1** Enhancement of cellular accumulation and DNA platination expressed as the ratio (pH 6.0)/(pH 7.4)  $\pm$  standard deviation of three experiments in the human cancer cell lines HT-29 and A549.

<b>Compound</b>	<b>Ratio (pH 6.0)/(pH 7.4)</b>			
	<b>HT-29</b>		<b>A549</b>	
	<b>DNA Platination</b>	<b>Cellular Accumulation</b>	<b>DNA Platination</b>	<b>Cellular Accumulation</b>
<b>cisplatin</b>	1.2 $\pm$ 0.1	1.6 $\pm$ 0.1	1.0 $\pm$ 0.5	1.0 $\pm$ 0.1
<b>oxaliplatin</b>	2.2 $\pm$ 0.2	1.0 $\pm$ 0.3	3.1 $\pm$ 0.4	1.4 $\pm$ 0.3
<b>1</b>	3.0 $\pm$ 0.4	1.5 $\pm$ 0.7	3.5 $\pm$ 0.4	1.3 $\pm$ 1.0
<b>2</b>	3.4 $\pm$ 0.3	2.6 $\pm$ 0.4	2.8 $\pm$ 0.2	2.0 $\pm$ 0.2
<b>3</b>	3.7 $\pm$ 0.3	4.0 $\pm$ 0.5	3.0 $\pm$ 0.4	2.5 $\pm$ 0.2
<b>4</b>	3.1 $\pm$ 0.2	2.9 $\pm$ 0.5	2.6 $\pm$ 0.2	2.5 $\pm$ 0.2
<b>5</b>	3.3 $\pm$ 0.2	2.4 $\pm$ 0.2	3.2 $\pm$ 0.5	1.6 $\pm$ 0.1

Figure S1 displays concentration–effect curves of **2–4** in A549 and HT-29 cells at pH 7.4 and 6.0 (24 h exposure), indicating enhanced cytotoxic effects in acidic medium. Figure S2 shows the analogous curves of cisplatin and oxaliplatin in A549 and HT-29 cells at pH 7.4 and 6.0 (24 h exposure), indicating slightly enhanced effects of cisplatin in acidic medium, whereas the cytotoxicity of oxaliplatin is independent of the pH value.



**Figure S1** Antiproliferative effects of **2–4**, as determined by the MTT assay. Concentration–effect curves in A549 (left panels) and HT-29 (right panels) cells at pH 7.4 and 6.0 (24 h exposure) indicate enhanced effects in slightly acidic medium.



**Figure S2** Antiproliferative effects of cisplatin and oxaliplatin, as determined by MTT staining after 96 h. Concentration–effect curves in A549 and HT-29 cells at pH 7.4 and 6.0 (24 h exposure), suggesting a slight enhancement of the activity of cisplatin (more pronounced in A549 than in HT-29 cells), while the cytotoxicity of oxaliplatin is independent of the pH value in both cell lines.