

Poster Session: Inorganic Pharmacology

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A Biological Study on the Palladium(II) and Platinum(II) Complexes with Heterocyclic Ligands

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In recent work [1] we studied the inhibitory activity of some ML_2X_2 complexes ($M = Pd(II)$, $Pt(II)$, $L = isox$ and its derivatives, $X = Cl, Br$) on the Ca, Mg dependent ATP-ase.

We have now examined the inhibitory effect of the palladium(II) and platinum(II) complexes with benzoxazole (BO) and 2-methylbenzoxazole (MeBO), the palladium compounds with N-ethylimidazole (N-EtIm), N-propylimidazole (N-PropIm) and the palladium(II) oxalato complexes with heterocyclic ligands.

The extraction method of the sarcoplasmic reticulum (SR) containing Ca, Mg dependent ATP-ase and the inhibitory activity of the complexes towards the ferment and the SH groups determinations by amperometric titrations were published previously [2, 3].

Regarding the benzoxazole and 2-methylbenzoxazole complexes [4], the inhibitory activity in aqueous solution with respect to the Ca, Mg dependent ATP-ase of the palladium(II) is greater than that of the platinum(II) complexes. The chloride derivatives show a greater effect with respect to the bromide for the high lability of the former in comparison with the latter ion. The introduction of a methyl group in position two of the BO ring does not seem to modify the inhibitory effect of the $Pd(II)$ compounds.

As regards the N-EtIm and N-PropIm palladium(II) complexes [4] the inhibitory effect in salt aqueous solutions of the N-PropIm compounds is higher than the N-EtIm complexes. This fact can be explained by the length of the N-PropIm chain which renders the complex soluble in the enzyme lipidic part. The $[Pd(N-PropIm)_2Cl_2]$ has an activity almost double that of $[Pd(N-PropIm)_4]Cl_2$, because in the latter case it is difficult to substitute the ligands with water molecules and successively with thiolic groups. The

$[Pd(L)_4]X_2$ derivatives have an activity which increases in the sequence $I > Br > Cl$.

These results are compared with the activity of the platinum(II) complexes in aqueous solution [5].

Because the oxalato complexes are soluble in water and are very important in biological systems, we have studied the monomeric, water-soluble $[Pd(ox)(L)_2]$ (where $L = imidazole$ and aminoisoxazole derivatives or $L_2 = en$) and the dimeric, almost insoluble in water, $Pd(ox)(L)$ (where $L = isox, 3,5-diMeisox, BO$ and its methyl derivatives) [6].

The inhibitory activity of the $Pd(ox)(L)_2$ decreases in the order $N-PropIm > N-EtIm > N-MeIm$ because the greater length of the ligand chain renders the respective complex more soluble in the ATP-ase lipidic part. The $Pd(ox)(en)$ has no inhibitory effect for the presence of strong bonds of the chelating en . In the $Pd(ox)(L)$ derivatives this effect is generally higher than in $Pd(ox)(L)_2$, because the L bridging ligand is easily substituted with water molecules and with thiol groups of the enzyme.

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- 5 Unpublished data.
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Technetium-99m Chelates as Tumor Visualizing Agents

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For scintigraphic visualization of tissues and organs, Tc-99m is an ideal radionuclide because of its optimal half life and good quality scintigrams. There