Supplementary information

Anticancer potential of a photoactivated transplatin derivative containing methylazaindole ligands mediated by ROS generation and DNA cleavage

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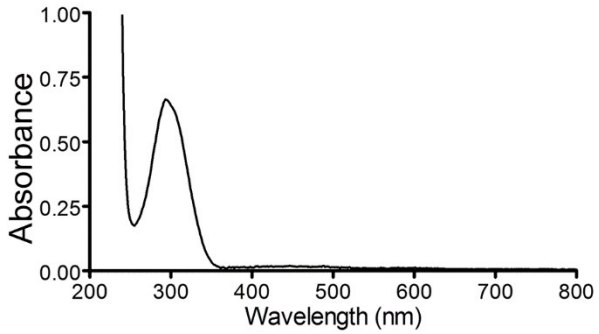
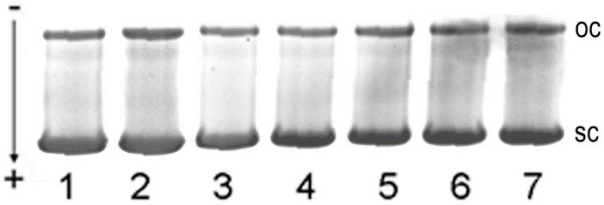
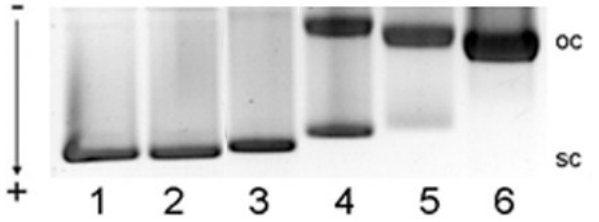


Supplementary Fig. S1 The electronic absorption spectra of 1 x 10^{-4} M compound **1** in H_2O plus 0.2% DMF.



Supplementary Fig. S2 Influence of free 1-methyl-7-azaindole on the circular pSP73 plasmid DNA with and without UVA irradiation (oc = „open circle“ DNA form; sc = „super coiled“ DNA form): lane 1, control – non irradiated DNA; lane 2, DNA irradiated for 15 min; lanes 3-7, DNA treated with 1-methyl-7-azaindole irradiated for 0, 5, 10, 15, 25 min, respectively.



Supplementary Fig. S3 Photoactivated interaction of **1** with pSP73 plasmid DNA in NaCl (10 mM). Lanes: 1, control – non irradiated DNA; 2, DNA irradiated with UVA for 15 min; 3-6, DNA treated with **1** and irradiated with UVA for 0, 5, 10 and 15 min, respectively. oc = „open circle“ DNA form; sc = „super coiled“ DNA form.

DNA platination in cells exposed to platinum complexes.

A2780 cells grown to near confluence were treated with **1**, cisplatin, or transplatin at their 2 and 20 μM concentrations and incubated for 5 or 24 h. After incubation, the cells were trypsinized and washed twice in ice-cold PBS. Cells were lysed in DNAzol (DNAzol genomic DNA isolation reagent) supplemented with RNase A (100 μg mL^{-1}). The genomic DNA was precipitated from the lysate with ethanol, dried, and resuspended in water. The DNA content in each sample was determined by UV spectrophotometry. To avoid the effect of high DNA concentration on detection of platinum in the samples, the DNA samples were digested in the presence of HCl (11 M) using a high pressure microwave mineralization system. The platinum content in these samples was determined by ICP-MS.

Table S1 Platinum content of DNA isolated from A2780 cells treated with equimolar concentrations of **1**, cisplatin and transplatin[a]

	2 μM		20 μM	
	5h	24h	5h	24h
1	0.13 ± 0.02	0.48 ± 0.08	0.18 ± 0.03	0.73 ± 0.14
cisplatin	0.13 ± 0.01	0.34 ± 0.03	0.35 ± 0.12	0.64 ± 0.07
transplatin	0.02 ± 0.004	0.02 ± 0.005	0.03 ± 0.005	0.040 ± 0.008

[a]Cells were exposed to tested compounds (2 or 20 μM) for 5 or 24 h. Each value shown in the table is in pmoles of Pt/μg of DNA. Results are expressed as the mean ± SD of two independent experiments, each measured in quadruplicate.