

Supporting Information

Nanoformulations of Thiosemicarbazone Anticancer Drugs

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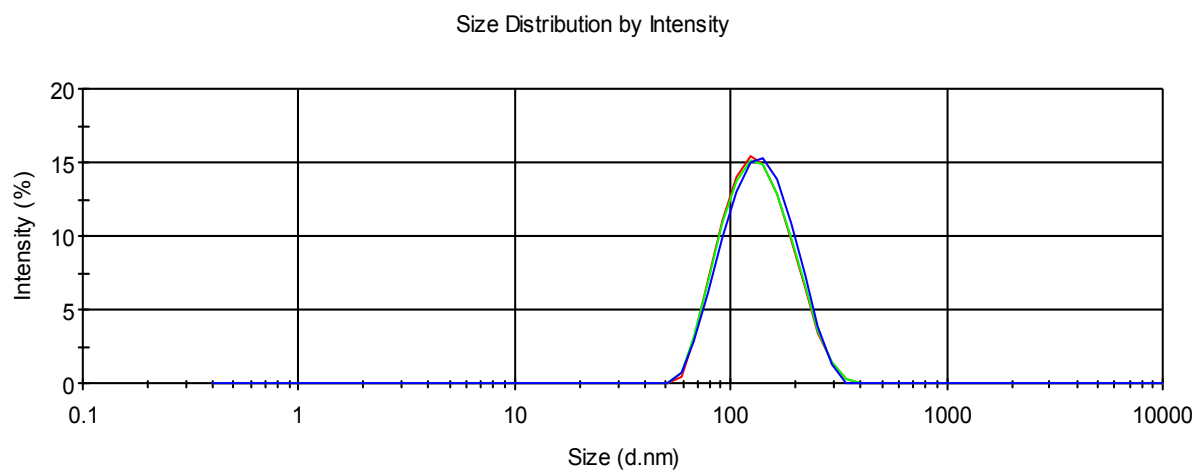


Fig. S1 Size distribution of **Triapine-PLA** nanoparticles.

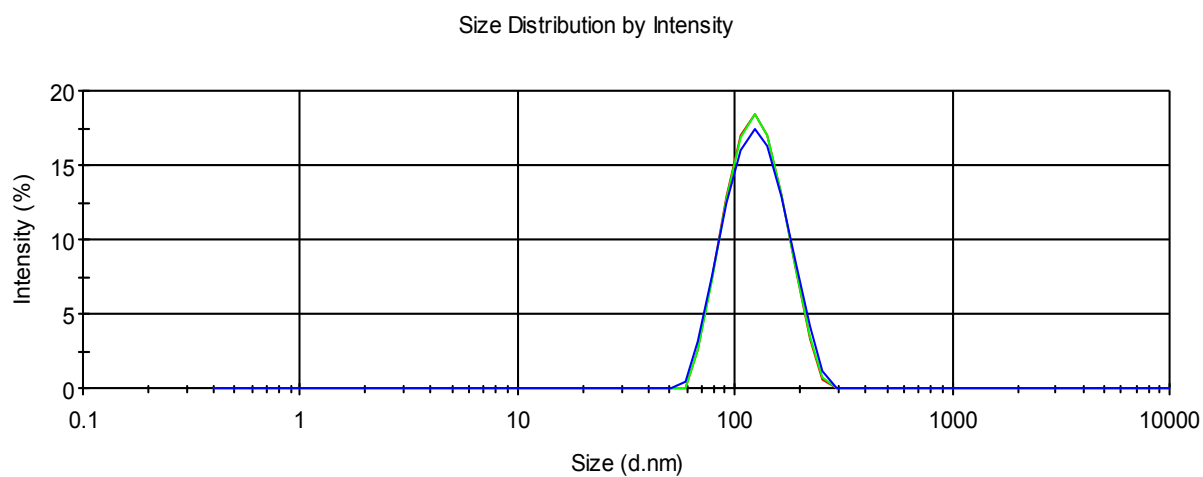


Fig. S2 Size distribution of **Triapine-PLGA** nanoparticles.

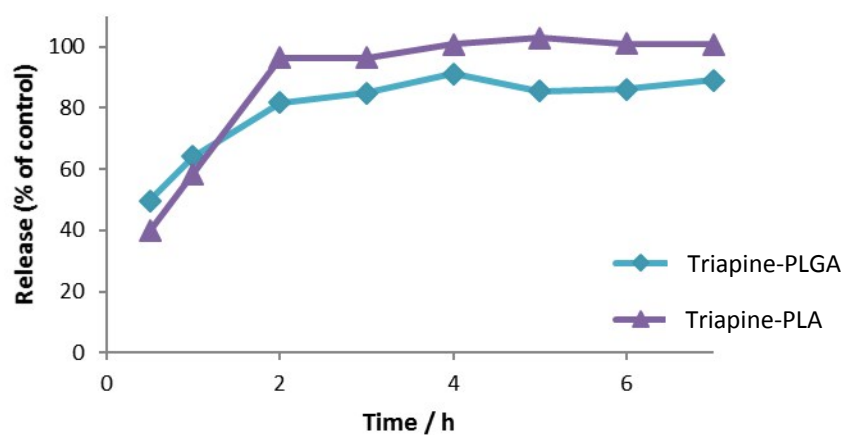


Fig. S3 Release profile of **Triapine-PLA** and **Triapine-PLGA** nanoparticles (as positive control free Triapine was used and the highest value was set as 100%).

Table S1 Properties of the prepared Triapine nanoparticles

Polymer used	Average size (nm)	PDI	Encapsulation efficiency (%)
PLA	127 ± 1	<0.15	24 ± 2
PLGA	112 ± 2	<0.15	16 ± 1

Table S2 IC₅₀ values of Triapine and Triapine-loaded PLA and PLGA nanoparticles

	IC ₅₀ (μM) ± SD (μM)	
	SW480	A2780
Triapine	0.7 ± 0.06	0.6 ± 0.14
Triapine-PLA	0.6 ± 0.01	0.5 ± 0.02
Triapine-PLGA	0.8 ± 0.01	0.8 ± 0.01
Unloaded PLA	>>50	>>50
Unloaded PLGA	>>50	n.d.

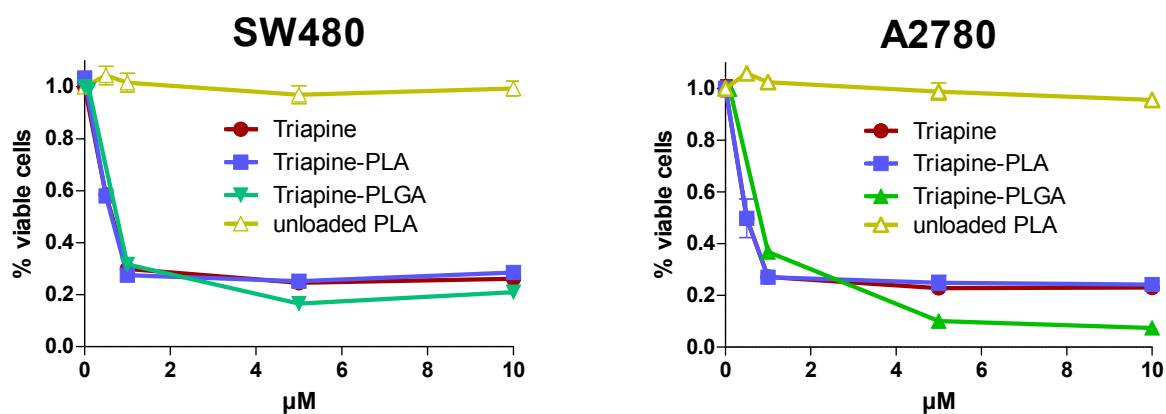


Fig. S4 Representative dose-response curves of SW480 and A2780 cells treated with Triapine and Triapine-PLA and Triapine-PLGA nanoparticles, respectively. Cell viability was determined by MTT assay after 72 h treatment. Values given are means \pm SD of one representative experiment performed in triplicate.

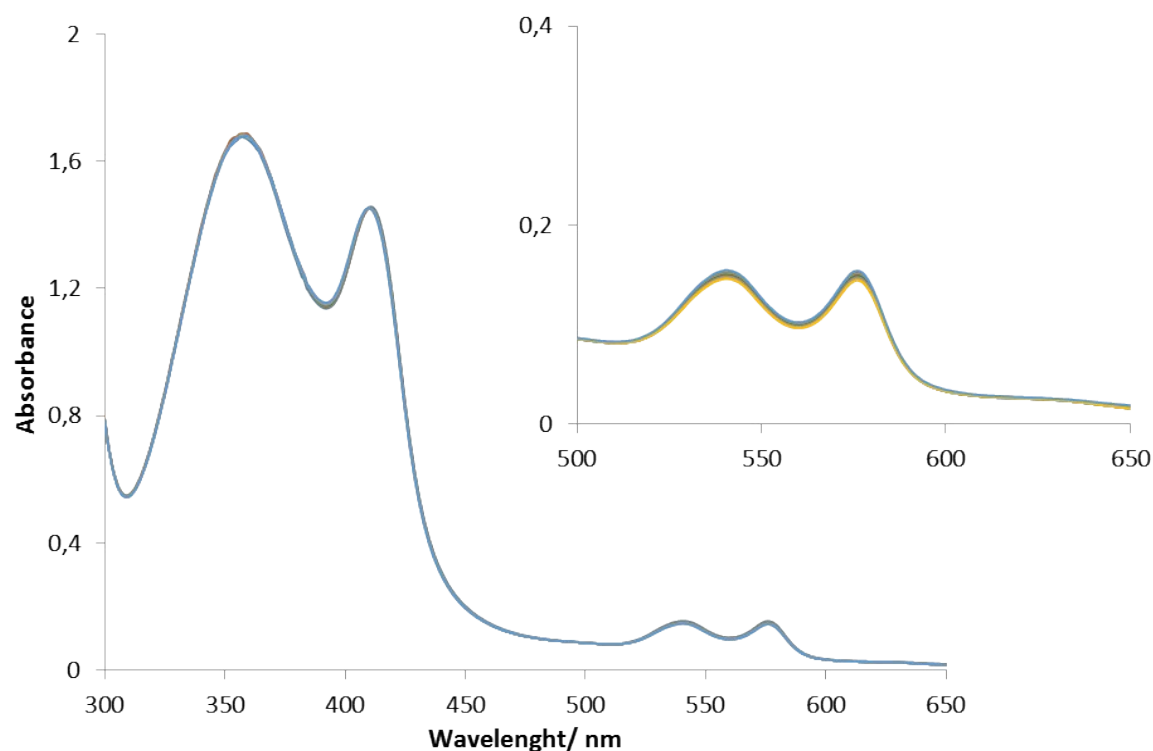


Fig. S5 Full spectral changes of a solution of **2** and HbO₂ during 20 min (inset zoom of the range 500-650 nm).

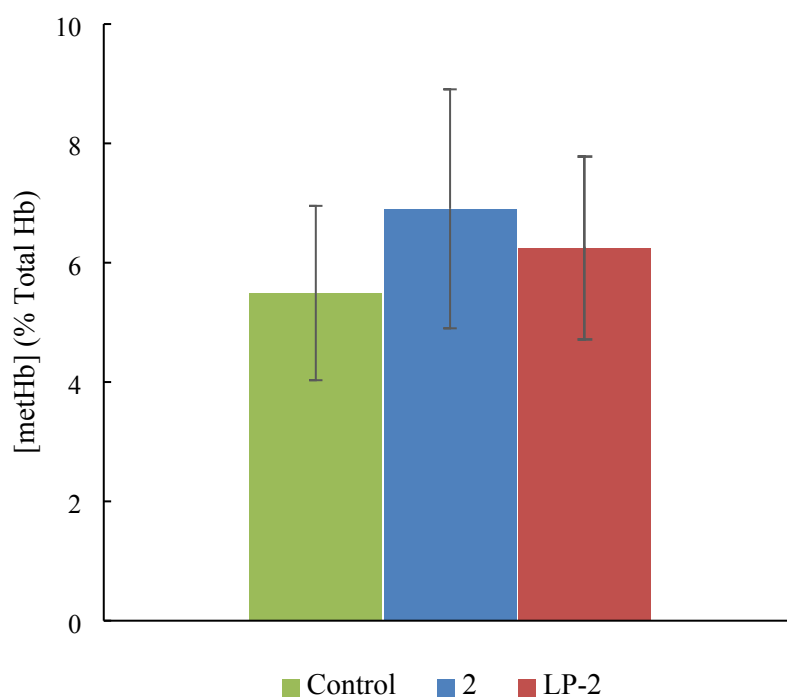


Fig. S6 MethHb formation *in vivo* after the administration **2** or LP-2 intravenously to mice. At 60 min after administration the blood was collected and methHb levels from the RBC lysates were assayed spectrophotometrically. Results are means \pm SD (n = 4 mice/group).