Cytotoxic potential of rifamycin-loaded liposomes in 2D and 3D cancer cell models

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INTRODUCTION

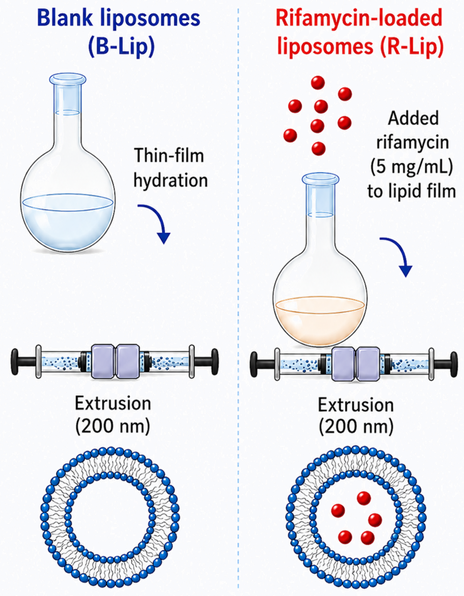
Cancer is a significant global health burden, demanding new therapeutic strategies. The scientific community continuously seeks alternatives, from new drugs to novel delivery systems. Rifamycin, an antibiotic that inhibits RNA synthesis, presents potential as a cytotoxic agent. Liposomes, as well-established and biocompatible drug delivery platforms, can incorporate diverse drugs.

OBJECTIVE

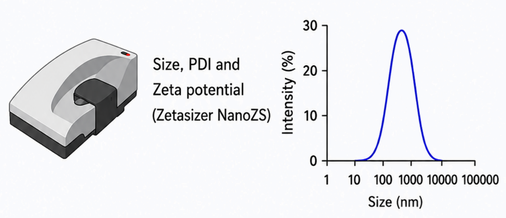
Our goal was to investigate rifamycin cytotoxic activity towards cancer cell lines in 2D and 3D models, using liposomes as delivery system.

METHODOLOGY

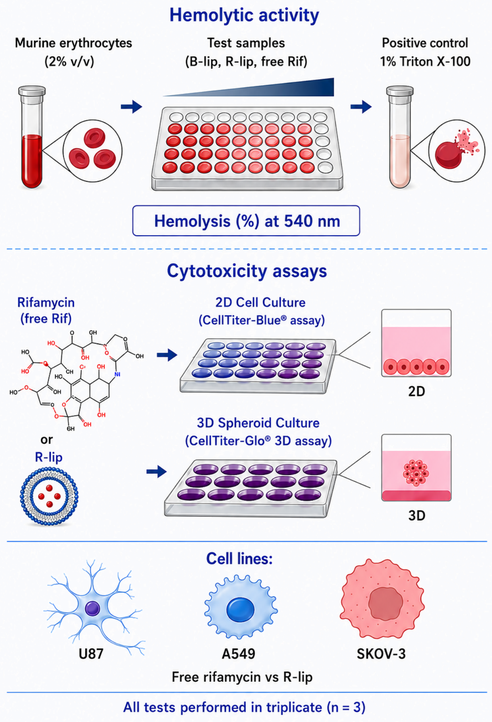
1. LIPOSOME PREPARATION



2. CHARACTERIZATION & STABILITY



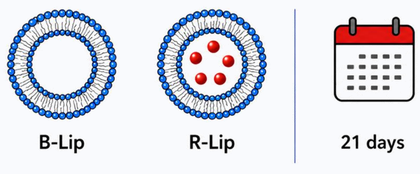
3. BIOCOMPATIBILITY & CYTOTOXICITY



RESULTS

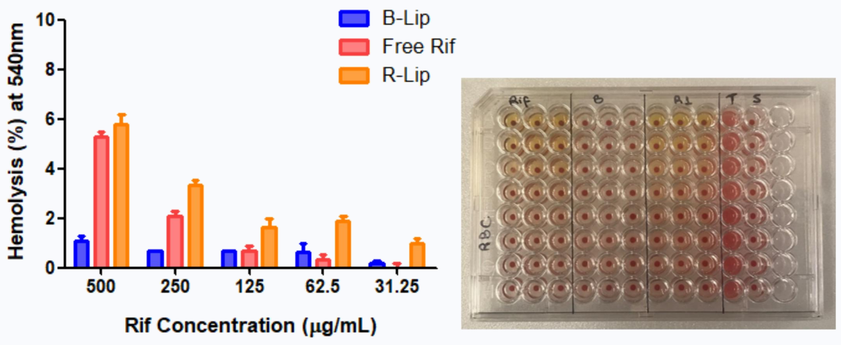
CHARACTERIZATION & STABILITY

Formulation	Size (nm) (Z-average)	PDI	Zeta potential (mV)	Drug loading (mg/10 mg lipid)
B-Lip	77.4 ± 0.1	0.23 ± 0.01	-4.9 ± 0.9	--
R-Lip	70.3 ± 0.9	0.26 ± 0.01	-3.0 ± 0.3	3.2 ± 0.5



Liposomes with suitable physicochemical properties and stable for at least 21 days at 4°C.

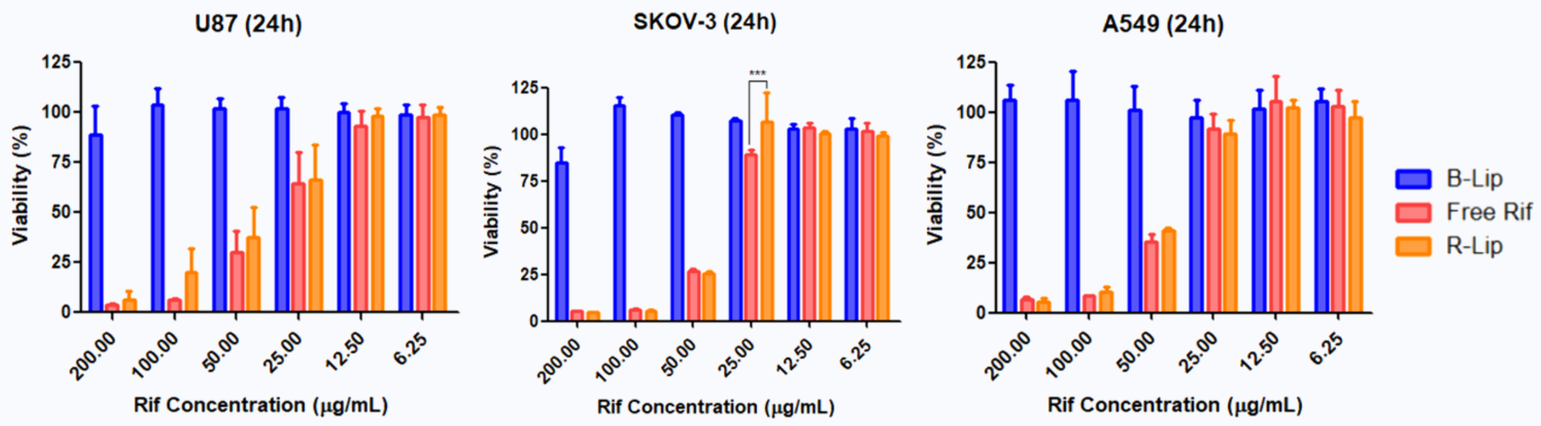
HEMOLYTIC ACTIVITY



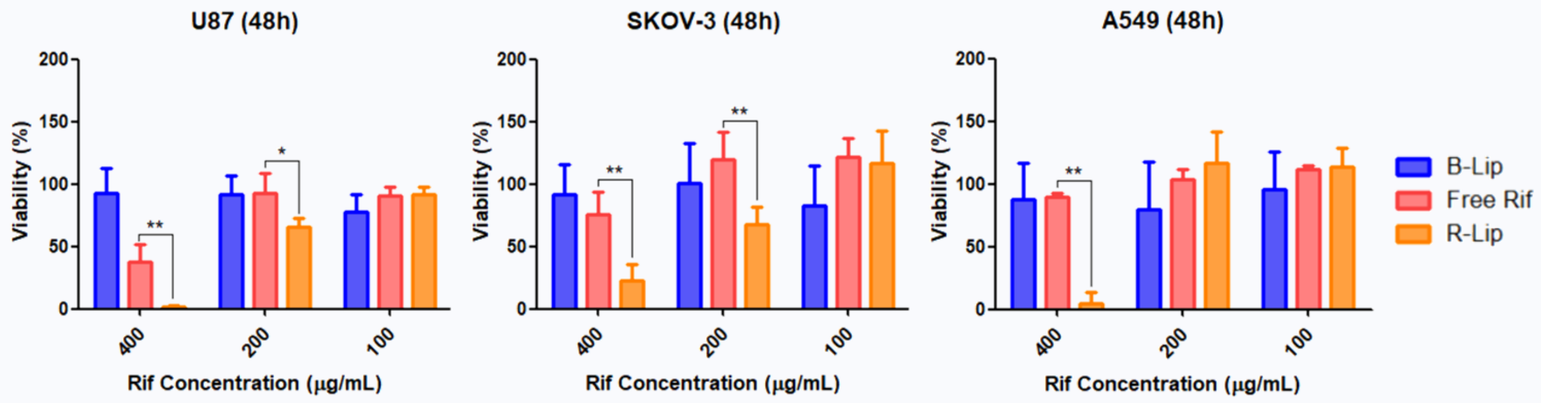
All formulations showed low hemolysis (<6%) at all tested concentrations.

CYTOTOXICITY IN 2D x 3D CANCER CELL MODELS

2D CELL CULTURE (24 h)



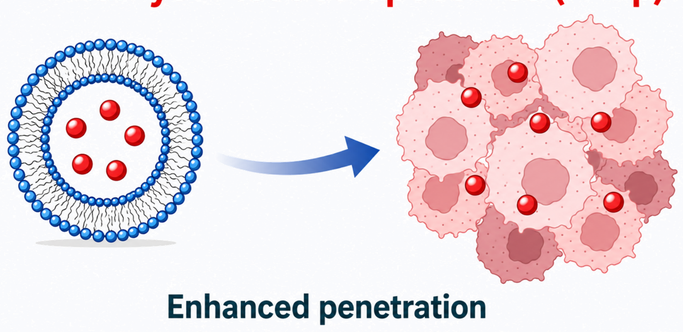
3D CELL CULTURE (48 h)



Rifamycin-loaded liposomes (R-Lip) exhibited significantly higher cytotoxicity than free rifamycin in 3D spheroids after 48 h treatment.

CONCLUSIONS

Rifamycin-loaded liposomes (R-Lip)



- **Stable liposomes (~70 nm)** — Low PDI and stable for at least 21 days at 4°C.
- **High drug loading** — 3.2 mg rifamycin / 10 mg lipid.
- **Non-hemolytic** — Hemolysis < 6% at all tested concentrations.
- **Comparable activity in 2D models** — Similar cytotoxicity to free rifamycin.
- **Enhanced cytotoxicity in 3D spheroids after 48 h** — R-Lip significantly outperformed free rifamycin.

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Universidade de São Paulo
LAFAPECS Laboratório de Farmacotécnica e Cuidados em Saúde

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