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(71) Applicant: **ZONEONE PHARMA, INC.** [US/US]; UCSF Mission Bay Campus MC2522, QB3 Byers Hall, 1700 4th Street, Suite 214, San Francisco, CA 94158-2330 (US).

(72) Inventors: **HAYES, Mark, E.**; 2171 Grove Street, San Francisco, CA 94117 (US). **NOBLE, Charles, O.**; 990 Carolina Street, San Francisco, CA 94107 (US). **SZOKA, Francis, C., Jr.**; 45 Mendosa Avenue, San Francisco, CA 94116 (US).

(74) Agents: **MANN, Jeffry, S.** et al.; Morgan, Lewis & Bockius LLP, One Market, Spear Street Tower, San Francisco, CA 94105 (US).

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(54) Title: REMOTE LOADING OF SPARINGLY WATER-SOLUBLE DRUGS INTO LIPOSOMES

(57) Abstract: The present invention provides liposome compositions containing sparingly soluble drugs. A preferred method of encapsulating a drug inside a liposome is by remote or active loading. Remote loading of a drug into liposomes containing a transmembrane electrochemical gradient is initiated by co-mixing a liposome suspension with a solution of drug, whereby the neutral form of the compound freely enters the liposome and becomes electrostatically charged thereby preventing the reverse transfer out of the liposome. In the preferred embodiment the drug in the solubilizing agent is mixed with the liposomes in aqueous suspension so that the concentration of solubilizing agent is lowered to below its capacity to completely solubilize the drug. This results in the drug precipitating but remote loading capability is retained. The resulting drug-loaded liposomes are characterized by a high drug-to-lipid ratio and prolonged drug retention when the liposome encapsulated drug is administered to a subject.

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