Distribution and fate in the rabbit of liposomes containing [^{131}I]-sodium iodide

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The incorporation of γ-emitting radiolabelled drugs into liposomal formulations allows the disposition and fate of the liposomes to be studied *in vivo* by the technique of external scintigraphy. The use of the gamma camera to follow the distribution of [^{131}I]-sodium iodide has been described previously (Hardy, Leeson & Wilson, 1978). We now report the distribution and fate of [^{131}I]-sodium iodide entrapped in the aqueous core of liposomes for comparison with data obtained in the previous study using [^{131}I]-sodium iodide in saline.

Multilamellar liposomes of molar composition lecithin:cholesterol:dicetylphosphate (5:2:1) were formed on sonication of the mixed lipid film with [^{131}I]-sodium iodide (2.5 mCi). Separation of the untrapped radio-iodine was by molecular sieve chromatography on Sephadex G-25. The rate of release of [^{131}I]-sodium iodide from liposomes placed in isotonic buffer *in vitro* was about 2% per hour at 37°C, thus the liposomes were permeable to this small ion. The liposomal preparations, containing approximately 30 μCi ^{131}I per sample, were administered to seven rabbits by intravenous injection. For the ensuing 60 h, anterior images of the rabbit were recorded at intervals using a gamma camera (Searle LFOV), linked to a data processor (Varian V76).

Regions of interest were defined over neck, liver/stomach, bladder and thigh muscle; data obtained were corrected for radioactive decay and background activity. The results are shown in Figure 1.

In the group of 7 rabbits given [^{131}I]-sodium iodide in the liposome formulation, more radioactivity was detected over the neck and over the liver and stomach 1 to 10 h after administration, than was detected in the group given [^{131}I]-sodium iodide as a saline solution. Thereafter there were no differences in levels of radioactivity. There were no differences detected over the bladder.

Reference

HARDY, J.G., LEESON, M. & WILSON, C.G. (1978). The use of gamma cameras to measure the disposition and fate of iodide in the rabbit. *Br. J. Pharmac.*, **64**, 468–469P.

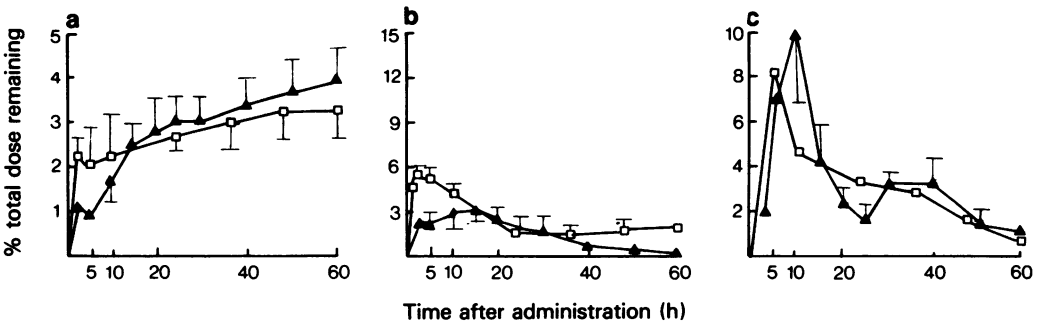

Figure 1 Distribution of I-131 in (a) neck, (b) liver/stomach and (c) bladder regions following intravenous administration of [^{131}I]-sodium iodide in saline (▲) and [^{131}I]-sodium iodide-entrapped in liposomes (□). Each point is the mean, from 7 rabbits, of the amount of radioactivity detected over the region (neck, liver/stomach or bladder) at each time point, expressed as a percentage of the administered dose corrected for background activity and isotope decay. (Mean shown + or −1 s.e. mean.)