静注投与されたマイクロスフィアからのブロモスルホフタレイン、フェノールフタレイン、ドキソルビシン胆汁排泄

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Biliary Elimination of Bromsulphthalein, Phenolphthalein, and Doxorubicin Released from Microspheres Following Intravenous Administration

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Abstract The elimination of certain materials in bile allows them to be studied at low systemic doses. Some drugs may be pharmcokinetically assessed if they are found exclusively in a small volume body fluid such as bile. Bromsulphthalein (BSP) and phenolphthalein (PT) have been used to assess liver function due to their appearance in bile. Doxorubicin is largely eliminated in bile and is included in the following studies as a therapeutically relevant compound. An assessment of the effectiveness of bile analysis in detecting controlled or delayed release of dye or drug from polymeric delivery systems is an objective of these studies.

The microspheres containing the dye or drug were prepared. BSP, PT, and doxorubicin alone, and in microspheres were injected to rats intravenously. The half-life for appearance of the dye or drug in bile released from microspheres was significantly longer than that of the dye or drug solution.

This method appears to be a sensitive in vivo analytical approach to the assessment of controlled or delayed release of dye/drug.

主に胆汁より排泄されるブロモスルホフタレイン、フェノールフタレイン、ドキソルビシンのいずれかを含有するマイクロスフィア(MS,<10μm)を調製し、ラットに静注した。対照群には、薬物(色素)溶液を投与し、胆汁排泄動態を比較した。その結

果、胆汁中への薬物(色素)出現半減期は、MS投与群が、溶液投与群に比べて有意に増大することが、明らかとなった。

以上より、胆汁中の薬物(色素)を測定する方法は、胆汁より主に排泄される薬物(色素)を含有する放出制御型あるいは徐放性製剤をin vivoで評価するのに優れた方法であると考えられる。

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