THE MECHANISM OF ^{99m}TC-LABELED BILIARY IMAGING AGENTS
---DIFFERENCE BETWEEN HIDA AND PI(PIRIDOXYLIDENE
ISOLEUCINE)

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The purpose of the present study is to obtain informations on pharmacokinetic properties of Tc-Pi (pyridoxylidene isoleucine) and Tc-HIDA in comparison to BSP, the agent which has been studied in detail in the past 20 years.

Under pentobarbital anesthesia, male, SD rats (300g, 8-week-old) with bile duct cannulas were infused iv BSP solution (0.25mg/100g/min). Twenty minutes after the start of infusion, $^{99m}{\rm Tc~HIDA}$, or Pi (100 $-200\,\mu{\rm Ci}$) was injected iv and plasma clearance as well as biliary excretion of $^{99m}{\rm Tc}$ activity was monitored for the successive 60 minutes by measuring the specific activities of the plasma and bile samples.

Results: Twenty min after the start of BSP infusion, the biliary excretion rate of BSP reached a plateau (0.13 - 0.15mg/100g/min) which continued to be stable for the successive 30 min followed by a very gradual decline thereafter. Plasma BSP concentration continued to rise linearly during this period and the rats given BSP infusion were thus confirmed to have a Tm (transport maximum) condition during the isotope study. The biliary recovery of 99mTc HIDA in 60 min after the injection (% of the dose) was 33.6 ± 10.0 (n=8), while it was 77.0 ± 5.6 (n=6) in control rats, showing a marked depression in the biliary excretion of HIDA in BSP Tm state rats. The Pi recovery in BSP Tm rats was also depressed (51.2±5.8,n=10) compared with control study (78.3± 7.2, n=11), but the extent of depression was milder than HIDA. On the other hand plasma clearance of HIDA was less delayed by BSP, compared with Pi activ-

It was shown that Pi is interfered more markedly at its hepatic uptake step, while HIDA is more strongly inhibited at its biliary excretion process, by BSP. BASIC EVALUATION OF HEPATOBILIARY RADIOPHARMACEUTI-CALS: 99^mTc-PI, 99^mTc-HIDA, 131 I-RB AND 123 I-RB

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This investigation was undertaken to assess the values of 99m Tc-PI, 99m Tc-HIDA, 131 I-RB and 123 I-RB on rabbits. 99m Tc-labels were much preferable to 131 I-RB for hepatobiliary imaging.

However, biliary excretion rates of ^{99m}Tc-labels were less than that of ¹³¹I-RB because of greater urinary excretions. A comparative study on ^{99m}Tc-agents and ¹³¹I-RB performed in rabbits with complete obstructive jaundice from a surgical ligation of the common bile duct showed that ¹³¹I-RB was superior to ^{99m}Tc-agents for hyperbilubinemia.

Therefore, rose bengal was labeled with 123 I instead of 131 I.

123 I is a lower gamma ray energy emitter more suitable for imaging and has a short half life of 13 hours.

123 I-RB was prepared using iodine exchange reaction between nonradioactive rose bengal and Na¹²³I.

Commercially obtained rose bengal was purified using Sephadex-25 column on gelfiltration. Radiochemical purity of ¹²³I-RB was examined by paper-chromatography. Biological distribution of ¹²³I-RB in rabbits at 1 hour after intravenous injection indicated that the tracer was cleared from the blood to the liver, thereafter excreted into the small intestine through the common bile duct. Hepatic uptake and excretion of activity had been measured for 60 minutes using a scintillation camera in conjunction with a VIR system. There existed no significant difference relative to those of ¹³¹I-RB.

Serial scintigraphic images showed satisfactorily better images even in a rabbit with complete obstructive jaundice.