# SYNTHESIS AND ANALYSIS OF IODINE-123 LABELLED ω-IODOUNDECANOIC AND ω—IODOPENTADECANOIC ACIDS

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There are some radiopharmaceuticals in nuclear medicine for diagnostic studies of the heart, which are ω-iododerivatives of fatty acids, labelled with short-lived iodine-123 /1,2/. In this article we report briefly on the methods of preparation and analysis of iodine-23 labelled 11-iodoundecanoic (IUDA) and 15-iodopentadecanoic (IPDA) acids. The radiolabelling was performed by using the nucleophilic exchange method shown here schematically;

$$Na^{123}I + I - (CH_2)_n - COOH \Leftrightarrow {}^{123}I - (CH_2)_n - COOH + NaI \quad (n = 10,14)$$

The initial IUDA was prepared from technical quality 11-bromoundecanoic acid, which was distilled twice in a vacuum and was transformed into IUDA by boiling in acetone with potassium iodide and subsequent precipitation by water excess and by cristallisation from ethanol.

The synthesis of IDPA was performed from pentadecanolide by synthetic route shown here shematically:

$$1.\ \underset{\llcorner\!\!\!

2. $15 - HO - (CH_2)_{14} - COOK + HCl \xrightarrow{pH \sim 3} 15 - HO - (CH_2)_{14} - COOH + KCl$.

3. $15 - HO - (CH_2)_{14} - COOH + NaI \xrightarrow[CH_3CN,\ t]{(CH_3)_3SiCl} 15 - I - (CH_2)_{14} - COOH + KOH$.

The IPDA was purified by cristallisation from ethanol and characterised by a chemical analysis, UV-spectroscopy and TLC.

We have now studied the iodine isotopic exchange in the system IUDA—Na $^{125}$I—organic solvent (acetone, ethanol) /3/. We have also examined the effect of temperature and water additions to acetone on the rate of iodine exchange between IPDA and Na$^{125}$I in acetone. Here are the results of measurements of the rates of this exchange at four different temperatures; the dependence of lgK on 1/T is also presented in figure.

The values of rate exchange constants are presented in the table.

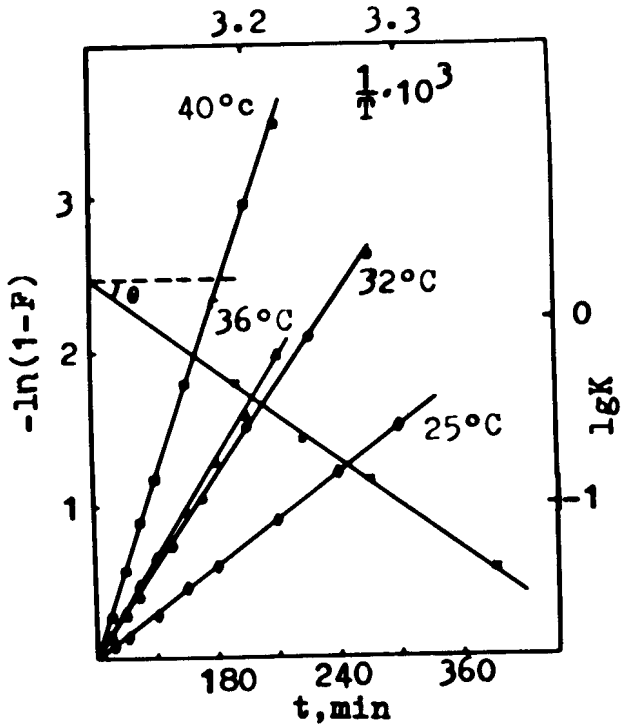


Fig.

*Table*

| t, °C | K $10^2$ (l/mole·s) |
|---|---|
| 25 | 6.04 |
| 32 | 12.3 |
| 36 | 16.7 |
| 40 | 24.7 |

The energy of activation is 70,8 kJ/mole.

Short-lived iodine-123 (13,3 h) was produced by spallation reactions at 1000 MeV proton energy /4/. The method of $^{123}$I production is based on separation from the target during the irradiaton of xenone radionuclides, which are absorbed at —196° C in a trap filled with $SiO_2$ and with a small quantity of NaI in it. After 6 hours to convert $^{123}$Xe into $^{123}$I, the trap is eluated with acetone to extract Na$^{123}$I. Then IUDA or IPDA are added to the solution and acetone is evaporated to dryness in a stream of He. The labelled product is sterilized by heating at 120° C.

Radiochemical purity of radioiodinated fatty acids was checked by TLC. The separation of iodide-ions and iodinated fatty acids is fast and effective on plates of silicagel («Silufol», CSSR) by using a mixture of $CHCl_3$:$CH_3OH$:$(C_2H_5)_3N$=84.5:13.7:1.8 v/v) /5/.

Radioiodinated fatty acids are dissolved in ethanol and added dropwise to a stirred solution of 10% serum albumine at 40° C, then a saline solution is added /2/.

Radiopharmaceuticals have the following characteristics: radionuclidic purity $\geqslant$97.3% ($^{121}$I$\sim$ $\sim$1.5%; $^{125}$I$\sim$1.0—1.2 %); radiochemical purity >95%; sp. activity —40—60 MBk/ml; basic substance 0.3—0.4 mg/ml.

Iodine-123 labelled fatty acids are under experimental studies at the Central Research Roentgenological-radiological Institute of the USSR Ministry of Public Health (Leningrad).

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