SYNTHESIS OF 1-$^{14}$C-17-IODOHEPTADECANOIC ACID

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1,16-Diiodohexadecane was obtained by reaction of 1,16-hexadecanediol and potassium iodide. The $^{14}$C-label was introduced into the 1,16-diiodohexadecan using a substitution reaction which replaced one of the terminal iodine with $^{14}$C-cyanide. Hydrolysis and HPLC purification of 1-$^{14}$C-17-iodoheptadecanoic acid yielded a product with a radiochemical yield of 17% and a specific activity of approx. 1850 MBq mol$^{-1}$.

INTRODUCTION

Fatty acids labelled with iodine-123 have been used for the diagnosis of myocardial diseases in nuclear medicine$^{1-3}$. Two compounds i.e. 17-$^{123}$I-heptadecanoic acid /IHA/ /Ref. 4/ and 15-/para-$^{123}$I-phenyl/-pentadecanoic acid /IPPA/ /Ref. 5/ appeared to be particularly useful in diagnostic nuclear medicine. Since the fatty acid catabolism via $\beta$-oxidation gave rise to the release of CO$_2$, the iodinated fatty acids were planned to be...
labelled with carbon-14. Thus, it would be possible to determine the metabolic rate by measuring the amount of released $^{14}\text{C} \text{O}_2$.

The planned metabolic studies required $1-^{14}\text{C}-17$-iodoheptadecanoic acid. The following labelling procedure was developed for the preparation of this compound.

A three step synthesis was chosen starting from 1,16-hexadecanediol which is commercially available. In the first step, 1,16-diiodohexadecane was prepared by reaction of 1,16-hexadecanediol with potassium iodide. The product then reacted with $^{14}\text{C}$-labelled sodium cyanide, yielding $1-^{14}\text{C}-1$-nitrilo-17-iodo-heptadecane. Then, by hydrolysis, $1-^{14}\text{C}-17$-iodoheptadecanoic acid was obtained.

**SYNTHESIS SCHEME**

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\begin{align*}
\text{HO-CH}_2-\text{CH}_2-\text{OH} & \quad \xrightarrow{\text{KI, H}_3\text{PO}_4/120^\circ\text{C}} \quad \text{HO-CH}_2-\text{CH}_2-\text{OH} \quad \xrightarrow{\text{Na}^{14}\text{CN, MEK/80^\circ\text{C}}} \quad \text{I-CH}_2-\text{I} \quad \xrightarrow{\text{H}^+, 170^\circ\text{C}} \quad \text{I-CH}_2-\text{CN} \quad \xrightarrow{\text{H}^+, 170^\circ\text{C}} \quad \text{I-CH}_2-\text{COOH}
\end{align*}
\]

**EXPERIMENTAL**

**1,16-Diiodo-hexane**

1,16-Diiodo-hexadecane was prepared, according to the method described by Stone and Shechter. 40.8 g /0.16 mol/ 1,16-hexadecanediol /Aldrich-Chemie GmbH und Co. KG, Steinheim, FRG/, 103.5 g /0.64 mol/ potassium iodide and 100 g 95% phosphoric acid /Merck AG, Darmstadt, FRG/ were placed in a three necked round