tritiated quinoline resulted in the labeled quinolinic acid which also rapidly lost its tritium atom.

Pyridine-2,3-dicarboxylic acid was therefore labeled directly by hydrogen-tritium catalytic exchange (general labeling)[3] and gave a stable compound at a specific activity of 7.8 Ci/mmol.

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SYNTHESIS OF TRITIUM LABELED TRICAPRYLIN

M. Shimoni and O. Buchman

Glyceryl tricaprylate (tricaprylin) is one of the most important triglycerides influencing the metabolism of the human body. Such a labeled compound could be used as a tool in medical research and particularly in arteriosclerosis diagnosis.

We attempted the radioactive synthesis of this derivative by the adaptation of a three-step procedure:

1. Labeling[1]

$$CH_3-(CH_2)_4CH = CH-COOH \xrightarrow[\text{catalyst}]{^3H_2\ (T_2)} CH_3-(CH_2)_4-CHT-CHT-COOH$$

2. Chlorination[2]

$$CH_3-(CH_2)_4-CHT-CHT-COOH \xrightarrow{SOCl_2} CH_3-(CH_2)_4-CHT-CHT-COCl$$

3. Esterification[3]

$$\begin{array}{l} CH_2OH \\ | \\ CHOH \\ | \\ CH_2OH \end{array} + 3\ CH_3-(CH_2)_4-CHT-CHT-COCl \longrightarrow \begin{array}{l} CH_2-OOC-CHT-CHT-(CH_2)_4-CH_3 \\ | \\ CH-OOC-CHT-CHT-(CH_2)_4-CH_3 \\ | \\ CH_2-OOC-CHT-CHT-(CH_2)_4-CH_3 \end{array}$$

The three steps of the synthesis were performed on a micro-scale level using a vacuum manifold. Highly labeled tritiated caprylic acid-2,3-^{3}H (step 1) was obtained at a specific activity of 53.9 Ci/mmol. It was separated and purified before continuing the procedure. In order to be able to perform the following two steps, the compound

had to be isotopically diluted with the unlabeled derivative. Therefore, the tricaprylin was obtained with a specific activity of only 4.0 Ci/mmol, after purification by solvent-solvent extraction.

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ATTEMPTS TO TRITIATE ETOPOSIDE

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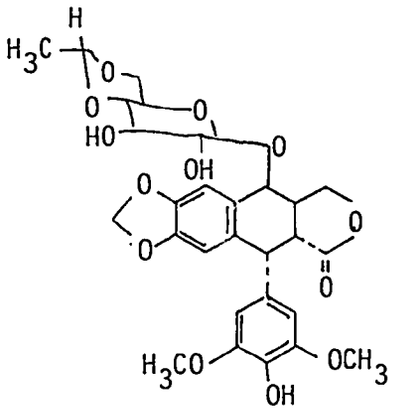
The recent interest in tritiating etoposide stems from the fact that it is one of the most active, presently available, drugs for small cell carcinoma of the lung.



Etoposide

Structurally, it is a glucosidic derivative of podophyllotoxin and therefore it was thought that such a compound could be easily labeled with tritium. Unexpectedly, most of our attempts failed. All the preparations of a brominated precursor to be tritiated resulted in the irreversible decomposition of the molecule. On the other hand, isotopic hydrogen-tritium exchange appeared to be very inefficient and the etoposide remained inert to any usual exchange procedure[(1)].

Only the use of PtO_2 as catalyst, large amounts of tritium and drastic prolonged experimental conditions resulted in a mixture of