FR8202938

4. International symposium on radiopharmaceutical
chemistry
Jülich, FR Germany 23 - 27 Aug 1982
CEA-CONF-- 6329

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PRODUCTION AND LABELING RESULTS

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NO-CARRIER-ADDED ^{18}F-FLUORIDE IN ORGANIC SOLVENTS: PRODUCTION AND LABELING RESULTS

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While labeling reactions using displacement by F18-fluoride ion have enjoyed increasing interest (1,2,3), the production of usable fluoride activity has involved use of carrier, water, or solid salts which are insoluble in organic solvents. We report here the cyclotron production of anhydrous F18-fluoride with no added carrier, and handling methods which allow its delivery as a solution in organic solvents. The reactivity of this fluoride has been compared with certain other reported fluoride preparations, and the reaction conditions for its use in different labeling reactions have been investigated.

The activity was produced by the He3 bombardment of Ne20 to produce Ne18 using a mixture of 2% hydrogen in neon in a non-passivated nickel target (4). The Ne18 decays to F18 with a half- life of 1.5 sec while it is swept from the target at a flow rate of 2 cubic meters per hour. The F18-HF is trapped using a PTFE tube (5mm x 1m) cooled at -15 degrees. The yield of F18-HF by this method is 5.4 mCi/μA hr.

The HF contained in the large PTFE cold trap was flushed with dry nitrogen into a 1mm id PTFE tube while heating the large trap over 80°C. Over 90% of the activity was easily transferred. A small quantity (0.1-1ml) of the organic solvent of choice ($CHCl_3$, CH_2Cl_2,benzene,bromobenzene, pyridine) was then used to wash the activity into a teflon reaction vessel of 2ml volume which could be tightly sealed. The activity recovery in solution was 95-100% when glycol sulfite, pyridine, or solutions of sulfonic acids, soluble salts, or triazine in other solvents were used, and 70-90% when the dry, distilled solvents were used alone. Use of the pre-mixed reaction solution for the collection of activity was therefore preferable to adding other reagents later to an F18-HF solution.

It has been noted in early experiments that while HF in solution was a good reagent for labeling via triazine decomposition, it was not an effective reagent for labeling by nucleophilic displacement. The addition of an alkalai metal carbonate however gave displacement yields which exceeded those obtained by other methods.

Table I shows the early radiochemical yields obtained using this general method for the preparation of some simple molecules which serve as a comparison. The yields in each case equal or exceed former results when conditions are unchanged. It is hoped that additional investigation of reaction conditions will lead to further increases in yield.

Labeled Compound	Precursor	Solvent	Other	Yield	Ref. Yield
Fluoroethanol	Glycol Sulfite	-	K_2CO_3	60 %	60 % (5)
Fluorobenzonitrile	Piperidyldiazobenzonitrile	Benzene	-	11 %	4 % (6)
7-Fluoropalmitic acid	Benzyl-7-Mesylpalmitate	DMSO	K_2CO_3	10 %	6 % (7)

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Work partly supported by INSERM contract N° 120006 and an NSF/CNRS scientific exchange award to MB.