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Synthesis of no-carrier-added [^{124}I]MIBG using the Synthera synthesis module

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Introduction

The diagnostic and therapeutic applications of radioiodinated *meta*-iodobenzylguanidine (MIBG) in oncology and cardiology are well documented[1]. The positron emitter I-124, with a half-life of 4.2 days, is a suitable candidate when incorporated in MIBG for prolonged *in vivo* PET-studies. High specific activity is required to avoid pharmacological side-effects.

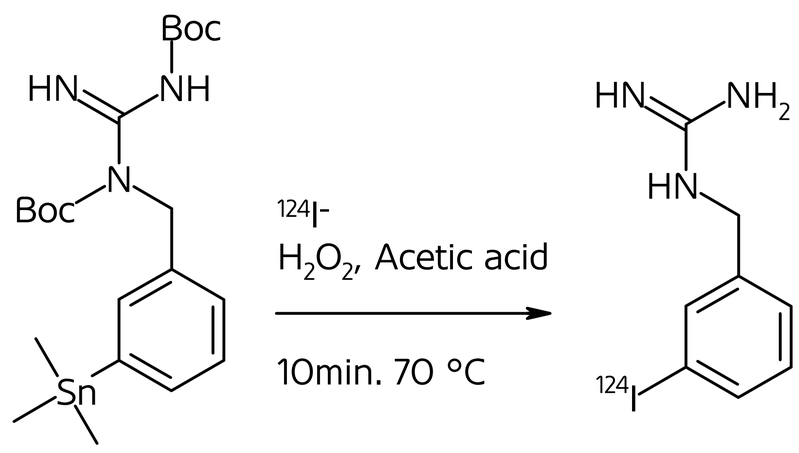
Aim

We wanted to accomplish the following intents:

- An efficient and simple production
- Electrophilic iodination with large volumes
- High specific activity
- Automated for an IBA Synthera synthesis module

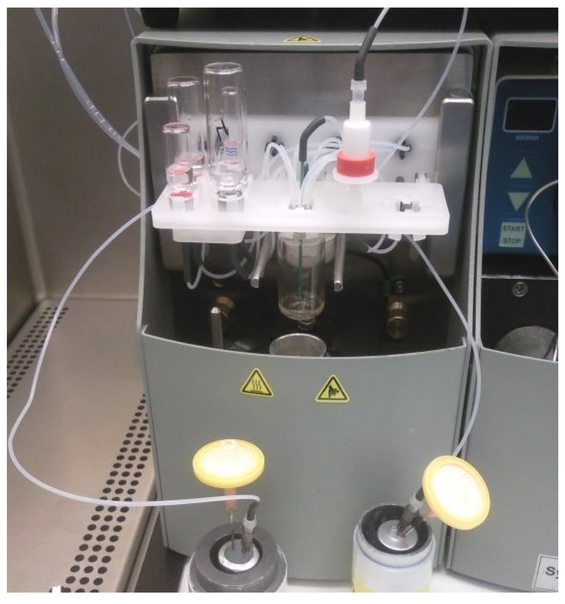
Method

The radiochemical labeling of [^{124}I]MIBG was performed with the reaction and conditions as shown in scheme 1 and subsequently purified by solid phase extraction[1].



Scheme 1. Synthesis of [^{124}I]MIBG.

A diluted I-124 solution in 10mM NaOH was transferred into the reaction vessel and after addition of the precursor, acetic acid and hydrogen peroxide, the reaction vessel was heated at 70 °C for ten minutes. The reaction mixture was subsequently diluted with a NaOH/Na_2SO_3-solution and this mixture was passed over a Waters Sep-Pak C18 plus cartridge. The cartridge was washed with saline, and afterwards the product was eluted with ethanol and ethanol/aq. 0,1% phosphoric acid.



To accommodate the synthesis on an IBA Synthera synthesis module a standard disposable [^{18}F]FDG IFP™ was adapted to the setup shown in Figure 1. The control software of the module was modified accordingly. The final [^{124}I]MIBG solution was analyzed by HPLC using a Phenomenex Luna C18 column (250 x 4.6 mm; 5 µm; mobile phase: water/methanol 60/40 (v/v), containing 5 mM guanidinium carbonate and 17 mM acetic acid; 254 nm; 1 mL•min-1; Rt [^{124}I]MIBG: 12 minutes).

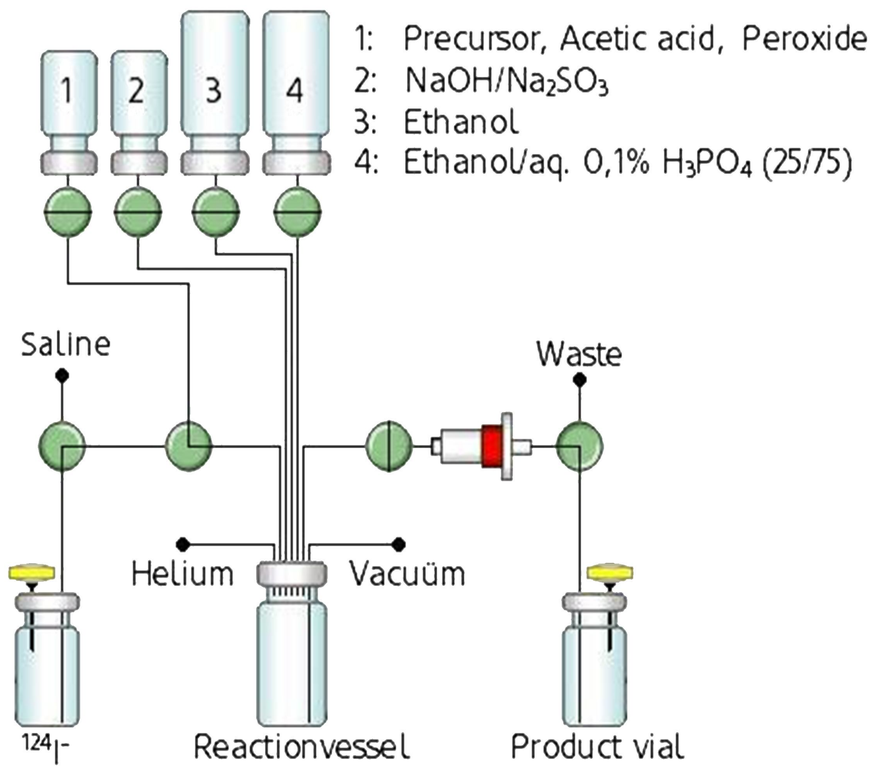


Figure 1. Schematic view of an IFP™ modified for [^{124}I]MIBG

Results

Because of the short reaction time and simple work-up procedure [^{124}I]MIBG could be prepared in a total production time of only thirty minutes, while the production proceeds with an overall yield of 65 ± 4% (N=5) in high radiochemical purity (>99%; N=5).

Discussion

We developed conditions to apply electrophilic iodination with large volumes in an automated process. No-carrier-added I-124 generates [^{124}I]MIBG with high specific activity, the amount of 'cold' MIBG in the product vial could not be determined with the HPLC analysis used.

Conclusions

A simple, efficient and automated process was developed for an IBA Synthera synthesis module to obtain no-carrier-added [^{124}I]MIBG through an electrophilic iodination in high yield, purity and specific activity.

References

1. Daniel D. Rossouw, 2009, J. Label. Compnd. Radiopharm., 52, 499-503

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