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Short Research Article

Radiosynthesis of a ^{123}I-labeled clorgiline derivative for MAO-A imaging†

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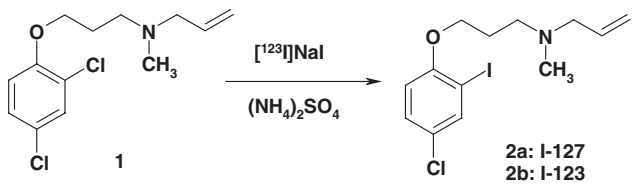
Introduction

It has been shown that, the regional distributions of MAO-A and B can be identified in human brain *in vivo* with intravenously injected labelled clorgyline and L-deprenyl, respectively. These labelled compounds can be used in imaging of MAO-B in peripheral organs in humans, turnover MAO-B, measurement of human cerebral MAO-B activity, reduced lung MAO in smokers and its different binding in human brain[1–4]. Structure activity relationship of MAO inhibitors has shown that the presence of two halide atoms at positions 2 and 4, enhances the inhibition, the idea was to replace the chlorine at the position 2 with an iodine-123 atom to retain the inhibition effect as well as create a labeled compound[5–7]. In this study, the feasibility of a ^{123}I-radioiodinated MAO-A inhibitor for functional MAO-A studies in the brain with SPECT, has been investigated. We report here the synthesis of iodinated clorgyline analogue amenable to radiolabeling with ^{123}I.



Results and discussion

An attractive feature of the radioiodine exchange technique is that it can provide a radioiodinated ligand of high effective specific activity, potentially no-carrier-added, even when an appropriate iodinated precursor is not

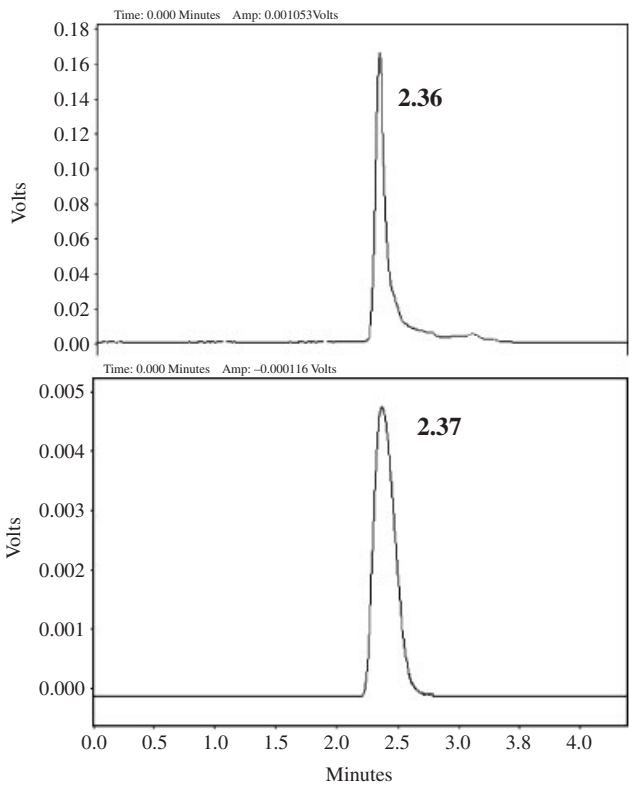


Figure 1 HPLC of the final ^{123}I-labelled compound using UV detector (upper) and gamma scintillation detector (lower).

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available. The identity of **2a** was initially based on similar chromatographic behaviour of **2a** on TLC and HPLC. The co-elution of cold **2a** with radioiodinated **2b** compound on HPLC studies convinced us that the radiolabeling affords the desired compound. For this reason the **2a** compound was synthesized in our laboratory followed by spectroscopic structure determination (Figure 1).

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