

Oxidative Radioiodination of Aripiprazole by Chloramine-T as a Route to a Potential Brain Imaging Agent: a Mechanistic Approach¹

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Abstract—Aripiprazole was successfully labeled with radioactive iodine using chloramine-T via an electrophilic substitution reaction. The influence exerted on the labeling yield by reaction parameters such as concentration, pH, and time was studied to optimize the labeling conditions. The radiochemical yield was $96 \pm 3\%$. The radioiodinated compound was separated by HPLC. In vitro studies showed that the compound was stable for up to 24 h. The brain uptake was 5.53%, which was higher than that for the commercially available Ceretec kit. The clearance from the rats occurs via the hepatobiliary and renal pathways. Predosing the rats with cold aripiprazole reduced the brain uptake to $1.9 \pm 0.1\%$ and further confirmed that the uptake of this radioiodinated compound was specific for the brain. A mechanism of the formation of electropositive iodine is discussed, and possible oxidizing species of Chloramine-T in both acidic and alkaline media are ascertained.

Keywords: aripiprazole, iodine-123, SPECT, brain, iodonium ion, Chloramine-T, oxidation, mechanism

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