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Preparation and biodistribution in mice of [^{11}C]carfentanil: a radiopharmaceutical for studying brain μ-opioid receptors by positron emission tomography

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A potent μ-opioid agonist, [^{11}C]carfentanil, was prepared by the methylation of carfentanil carboxylic acid with [^{11}C]methyl iodide in order to study brain μ-opioid receptors by positron emission tomography. Synthesis (including purification) was completed within 25 min and the radiochemical yield was approximately 40%. The radiochemical purity of the product was more than 99% and its specific activity was 3.7–7.4 GBq/μmol. Biodistribution studies performed in mice after intravenous injection showed a high brain uptake and rapid blood clearance, so a high brain/blood ratio of 1.5–1.8 was found from 5 to 30 min. Regional cerebral distribution studies in the mouse showed a significantly higher uptake of [^{11}C]carfentanil by the thalamus and striatum than by the cerebellum, with the radioactivity in the striatum disappearing more rapidly than that in the thalamus. Treatment with naloxone significantly reduced the uptake of [^{11}C]carfentanil by the thalamus and striatum. These results indicate that [^{11}C]carfentanil binds specifically to brain μ-opioid receptors.

Key words: [^{11}C]carfentanil, synthesis, biodistribution, opioid receptor, positron emission tomography