Dokumenttyp: journal article

Autor(en) des Beitrags: Henriksen, G; Herz, M; Schwaiger, M; Wester, HJ


Abstract:
With the aim to develop and evaluate new ligands for depicting the mu-opioid receptor with positron emission tomography, the F-18-fluoroalkyl esters of carfentanil, 3-carboxy-(2-[F-18]fluoroethyl)fentanyl, (2-[F-18]fluoroethyl-carfentanil) and 3-carboxy-(3-[F-18]fluoropropyl)fentanyl (3-[F-18]fluoropropyl-carfentanil) were prepared by a two-step radiosynthesis. Reacting carfentanil carboxylate sodium salt, added 0.96 eqv. of tetrabutyl ammonium hydroxide (TBAH), with no-carrier-added (n.c.a.) 2-[F-18]fluoroethyltosylate for 20 min at 150 degrees C in dimethyl formamide (DMF) provided 2-[F-18]fluoroethyl carfentanil in an isolated radiochemical yield (RCY) of 36 +/- 8%, a specific activity (S-A) of 35 +/- 5 TBq/mmol (n = 4) within a synthesis time of similar to 100 min.

Similarly, 3-[F-18]fluoropropyl carfentanil could be obtained by reacting the carfentanil TBA/Na salt with 3-[F-18]fluoropropyl iodide at 160 degrees C in DMF (isolated RCY = 6 +/- 2%; similar to 100 min, S-A = 27 +/- 5 TBq/mmol, n = 4). The developed methods allow the production of the two F-18-labeled carfentanil derivatives in amounts and specific activities necessary and relevant for a detailed preclinical evaluation of these new potential mu-opioid receptor ligands in vitro and in animal models. Copyright (c) 2005 John Wiley & Sons, Ltd.

Zeitschriftentitel / Abkürzung: J Labelled Comp Radiopharm