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Radiosynthesis and *in vivo* evaluation of ^{11}C-labeled 1,5-diarylpyrazole derivatives for mapping cyclooxygenases

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We prepared ^{11}C-labeled 5-(4-chlorophenyl)-1-(4-methoxyphenyl)-3-(trifluoromethyl)-1*H*-pyrazole ([^{11}C]**1**) and 4-[5-(4-methoxyphenyl)-3-trifluoromethyl-1*H*-pyrazol-1-yl]benzenesulfonamide ([^{11}C]**2**) for imaging COX-1 and COX-2 isoforms, respectively, by positron emission tomography. [^{11}C]**1** and [^{11}C]**2** were synthesized in high radiochemical yields by *O*-[^{11}C]methylation with [^{11}C]methyl triflate in acetone containing an equivalent of NaOH as a base with respect to the phenolic precursors. *In vivo* evaluation in rats bearing AH109A hepatoma demonstrated minimal specific binding of [^{11}C]**1** to COX-1 in peripheral organs, such as the spleen and small intestine. Carrier-saturable uptake of [^{11}C]**2** was found in the spleen, but COX-2-specific binding of [^{11}C]**2** was not identifiable in the brain, AH109A hepatoma or other peripheral organs, although *ex vivo* autoradiography showed regionally different distribution in the brain and AH109A. The results suggest that neither [^{11}C]**1** nor [^{11}C]**2** is a suitable radioligand for *in vivo* biomarkers of COX enzymes, mainly because of marked non-specific binding.

Key words: cyclooxygenase inhibitor, carbon-11, radiosynthesis, tissue distribution