ORIGINAL ARTICLE

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Myocardial adenosine A_{2a} receptor imaging of rabbit by PET with [^{11}C]KF17837

Kiichi Ishiwata, Yojiro Sakiyama, Takayo Sakiyama, Junichi Shimada, Hinako Toyama, Keiichi Oda, Fumio Suzuki and Michio Senda

Positron Medical Center, Tokyo Metropolitan Institute of Gerontology, and Pharmaceutical Research Laboratories, Kyowa Hakko Kogyo Co.

Adenosine A_{2a} receptors are found in the endothelia, vascular smooth muscle cells and cardiac myocytes. The properties of a carbon-11 labeled A_{2a} antagonist [^{11}C]KF17837 ([7-methyl-^{11}C](*E*)-8-(3,4-dimethoxystyryl)-1,3-dipropyl-7-methylxanthine) for myocardial imaging were evaluated by dynamic PET scanning of the myocardium in rabbits. Myocardial uptake of [^{11}C]KF17837 was clearly visualized by PET. The tracer was taken up at a high level by the myocardium immediately after the injection, and the myocardial level of radioactivity gradually decreased. On the other hand, an inactive [^{11}C]*Z*-isomer of [^{11}C]KF17837 showed a very low myocardial uptake and the myocardium was not visualized with a selective A_1 antagonist [^{11}C]KF15372. By co-injection with carrier KF17837 or a xanthine type A_{2a} antagonist 7-chlorostyrylcaffeine (CSC), the myocardial uptake of [^{11}C]KF17837 was completely blocked. The effect of non-xanthine A_{2a} antagonists ZM 241385 and SCH 58261, which have a higher affinity than CSC, was smaller than that of the CSC. The effect of weak antagonists caffeine and alloxazine or a xanthine type A_1 antagonist KF15372 on the radioactivity level was small. It is concluded that PET with [^{11}C]KF17837 can image myocardial adenosine A_{2a} receptors.

Key words: [^{11}C]KF17837, xanthine, adenosine A_{2a} receptors, rabbit myocardium, positron emission tomography