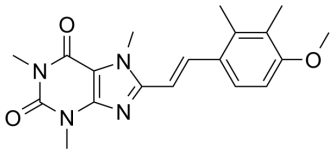
KF21213

Cat. No.:	HY-U00180
CAS No.:	155271-17-3
Molecular Formula:	$C_{19}H_{22}N_4O_3$
Molecular Weight:	354.4
Target:	Adenosine Receptor
Pathway:	GPCR/G Protein
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	KF21213 is a highly selective ligand for mapping CNS adenosine A_{2A} receptors. KF21213 shows a high affinity for the adenosine A_{2A} receptors (K_i=3.0 nM).
IC_{50} & Target	Ki: 3.0 nM (A_{2A} receptor)[1]
In Vitro	[^{11}C]KF21213 as a PET ligand for mapping adenosine A_{2A} receptors in the central nervous system (CNS). KF21213 shows a high affinity for the adenosine A_{2A} receptors in vitro (K_i=3.0 nM) and a very low affinity for the A_1 receptors (K_i>10,000 nM)[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	The uptake of [^{11}C]KF21213 by the striatum gradually increases for the first 15 min and then decreases. The uptake by the cortex and cerebellum is rapidly decreased after injection. Their levels are compatible with that in the blood. Consequently, the uptake ratios of striatum to cortex and striatum to cerebellum increases up to 8.6±1.6 and 10.5±2.1 (N=4), respectively, by 60 min. The striatal activity level of [^{11}C]KF21213 is retained for the initial 5 min and then gradually decreased with time, whereas that of [^{11}C]KF18446 rapidly decreases.The cerebellar activity of the two ligands rapidly decreases.The striatum-to-cerebellum uptake ratio for [^{11}C]KF21213 gradually increases to 2.4 6 0.5 (N 5 3) by 50-60 min, whereas that for [^{11}C]KF18446 increases for the first 10 min and remains constant (1.4±0.3, N=3, at 25-35 min)[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Kinase Assay [1]	The in vitro affinity of KF21213 for the adenosine A_{2A} and A_1 receptors is determined using the rat striatal membrane and [^{3}H]CGS 21680 as a radioligand and the rat forebrain membrane and N^6-[^{3}H]cyclohexyladenosine, respectively. The assay is performed in the dark to prevent photo-isomerization[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Cell Assay [1]	Mice[1] Two groups of male ddY mice (30-39 g) are used. In the first group, [^{11}C]KF21213 (1.1 MBq/36 pmol) is intravenously injected. They are killed by cervical dislocation at 5, 15, 30, and 60 min after injection (N=4 each). Blood is collected by heart puncture. The brain is removed and dissected into the striatum, cortex, and cerebellum. The regional brain uptake of radioactivity is measured as the percentage of injected dose per gram tissue (%ID/g). In the other group, [^{11}C]KF21213 (1.1 MBq/21 pmol) is co-injected with one of the following adenosine receptor antagonists: A_{2A} antagonists KF21213, KF17837, KF18446, and SCH

58261 and an A_1 antagonist KF15372. The injected dose of KF21213 is 30 nmol and that of other antagonists is 50 nmol. The mice are killed at 15 min after injection (N=9 for control and N=4 for each of the other groups). The regional brain uptake of radioactivity is measured.

Rat[1]

PET measurement is performed in three rats with a model SHR-2000 camera with Z=2 mode, providing 14 slices at 3.25 mm intervals. The rat (240-300 g) is anesthetized with 0.02% isoflurane throughout the PET study. The anesthetized rat is positioned prone on a stereotaxic head holder made of polymethyl methacrylate. An incision is made on the scalp to locate the bregma, which is positioned at the tenth slice from caudal. After a transmission scan to correct for photon attenuation, the [^{11}C]KF21213 (N=3) (43–56 MBq/1.2-1.9 nmol/kg body weight) or [^{11}C]KF18446 (N=3) (50-55 MBq/1.8-4.9 nmol/kg body weight) is injected through the tail vein, and the time sequential tomographic scanning is performed for 60 min (20 frames by 30 sec and 50 frames by 1 min).

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Wang WF, et al. Carbon-11-labeled KF21213: a highly selective ligand for mapping CNS adenosine A(2A) receptors with positron emission tomography. Nucl Med Biol. 2000 Aug;27(6):541-6.

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