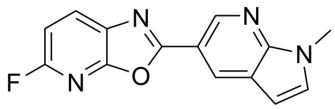
MK-3328

Cat. No.:	HY-100275
CAS No.:	1201323-97-8
Molecular Formula:	$C_{14}H_9FN_4O$
Molecular Weight:	268.25
Target:	Amyloid-β
Pathway:	Neuronal Signaling
Storage:	4°C, protect from light * In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



BIOLOGICAL ACTIVITY

Description	MK-3328 is a β-Amyloid PET ligand, which exhibits high binding potency with an IC_{50} of 10.5 nM[1][2].
IC_{50} & Target	IC50: 10.5 nM (β-Amyloid)[1]
In Vitro	MK-3328 exhibits amyloid binding potency balanced with low levels of nonspecific binding[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	In vivo, [^{18}F]MK-3328 demonstrates favorable kinetics, exhibiting high brain uptake and good washout in normal rhesus monkey positron emission tomography (PET) imaging studies[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Kinase Assay [1]

[^{3}H]-DMAB is synthesized at a specific activity of ~80 Ci/mmol. The final concentration of radioligand for tissue homogenate binding assay is 1.5nM. Brain homogenates are diluted with PBS to 0.4 mg/mL from original 10 mg/mL volume and 200 µL is used in assay for a final concentration of 50 µg/assay tube. Unlabeled test compounds are dissolved in DMSO at 1 mM. Dilution of test compound (e.g., MK-3328) to various concentrations is made with PBS containing 2% DMSO. Total binding is defined in the absence of competing compound, and non-displaceable binding is determined in the presence of 1 µM unlabeled self block. Compound dilutions (10×) are added into the assay tube (25 µL each/per tube, separately) containing 200 µL brain homogenate dilution, and the tubes are pre-incubated at room temperature for 10 minutes. Then radioligand dilutions (10×) are added into the assay tube (25 µL each/per tube, separately) to a final volume of 250 µL per tube. Incubation is carried out at room temperature (25°C) for 90 minutes, and then the assay samples are filtered onto GF/C filters using Skatron 12 well harvester, washing on setting 5-5-5 (~ 3×2 mL) ice cold buffer (PBS, pH 7.4). GF/C filter papers for the Skatron harvester are pre-soaked in 0.1% BSA for 1 hour at room temperature before use. Filters are punched into scintillation vials and counted in 2 mL Ultima Gold on Perkin Elmer Tri-Carb 2900TR for 1 minute. The data analysis is done with Prism software. All assays are done in triplicate, and in the laboratory designated for studies using human tissues[1].

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

REFERENCES

[1]. Hostetler ED, et al. [18F]Fluoroazabenzoxazoles as potential amyloid plaque PET tracers: synthesis and in vivo evaluation in rhesus monkey. Nucl Med Biol. 2011 Nov;38(8):1193-203.

[2]. Harrison ST, et al. Synthesis and Evaluation of 5-Fluoro-2-aryloxazolo[5,4-b]pyridines as β-Amyloid PET Ligands and Identification of MK-3328. ACS Med Chem Lett. 2011 Apr 18;2(7):498-502.

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