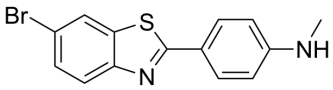
4-(6-Bromo-2-benzothiazolyl)-N-methylbenzenamine

Cat. No.:	HY-111513
CAS No.:	566169-98-0
Molecular Formula:	$C_{14}H_{11}BrN_2S$
Molecular Weight:	319.22
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	4-(6-Bromo-2-benzothiazolyl)-N-methylbenzenamine is a potent amyloid imaging agent which binds to Amyloid-β (1-40) with a K_D of 1.7 nM.
IC_{50} & Target	Ki: 1.7 nM (Amyloid-β)[1]
In Vivo	Alzhermer's Disease (AD) is a neurodegenerative illness characterized by memory loss and other cognitive deficits. The ability to quantify amyloid load before treatment is critical to the efficient development of this class of drugs[2]. Brain entry in control mice and baboons is high for 4-(6-Bromo-2-benzothiazolyl)-N-methylbenzenamine. Staining of AD frontal cortex tissue sections with 4-(6-Bromo-2-benzothiazolyl)-N-methylbenzenamine indicates the selective binding of the compound to amyloid plaques and cerebrovascular amyloid. The encouraging properties of the compound support the choice of this derivative for further evaluation in human subject studies of brain Amyloid-βdeposition. The brain radioactivity concentrations (%ID-kg/g) of 4-(6-Bromo-2-benzothiazolyl)-N-methylbenzenamine is remarkably similar in mice and baboons (0.21 vs 0.27). The rate of clearance of radioactivity is considerably slower from baboon brain than from mouse brain, although the rank order of clearance rate is similar in mice and baboons. The tissue staining findings utilizing nonradiolabeled, fluorescent 4-(6-Bromo-2-benzothiazolyl)-N-methylbenzenamine are similar to those reported for BTA-1. Both amyloid plaques and cerebrovascular amyloid are stained by 4-(6-Bromo-2-benzothiazolyl)-N-methylbenzenamine in a manner similar to serial sections stained with an antibody to Amyloid-β, and relatively little tissue background staining is observed[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration [1]	Mice[1] Studies are performed in female Swiss-Webster mice (23-35 g). The mice are injected in a lateral tail vein with 0.37-3.7 MBq (10-100 μCi) of a high specific activity (>7.4 GBq/μmol) ^{11}C-4-(6-Bromo-2-benzothiazolyl)-N-methylbenzenamine contained in <0.10 mL of a solution of 93% isotonic saline and 7% ethanol. The mice are anesthetized and killed by cardiac excision following cardiac puncture to obtain arterial blood samples at 2 or 30 min postinjection. The mouse brains are rapidly excised and divided into cerebellum and remaining whole brain (including brain stem) fractions. The brain samples are counted in a gamma wellcounter, and the counts are decay-corrected to the time of injection relative to ^{11}C standards

prepared from the injection solution to determine the percent injected dose (%ID) in the samples. The brain samples are weighed to determine the percent injected dose per gram tissue (%ID/g), and this quantity is multiplied by the whole body weight (in kg) to determine the body-weight normalized radioactivity concentration [(%ID-kg)/g] of each tissue sample[1].

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

REFERENCES

[1]. Mathis CA, et al. Synthesis and evaluation of 11C-labeled 6-substituted 2-arylbenzothiazoles as amyloid imaging agents. J Med Chem. 2003 Jun 19;46(13):2740-54.

[2]. Klunk W, et al. Amyloid imaging as a surrogate marker for efficacy of anti-amyloid therapies.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA