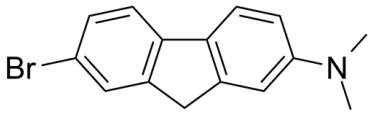
Data Sheet

Product Name:	K 01-162
Cat. No.:	CS-5567
CAS No.:	677746-25-7
Molecular Formula:	C15H14BrN
Molecular Weight:	288.18
Target:	Amyloid-β
Pathway:	Neuronal Signaling
Solubility:	DMSO : 14.29 mg/mL (49.59 mM; Need ultrasonic)



BIOLOGICAL ACTIVITY:

K 01-162 (K162) binds and destabilizes AβO (β-amyloid), with an EC50 of 80 nM. IC50 value: 80 nM (EC50) Target: Amyloid-β in vitro: The active drug candidate K162 (EC50 = 0.080 μM), stabilizes hydrophobic core I of Aβ42 peptide (residues 17-21) to its α-helical conformation by interacting specifically in this region. [1] K01-162 shows full MC65 protection at 125 nM, an EC50 of 80 nM, and no cytotoxicity up to 50 μM. [2] in vivo：K01-162 can reduce the brain amyloid burden that exists in both fibrillar and RIPA-soluble, non-fibrillar forms.[2]

PROTOCOL (Extracted from published papers and Only for reference)

Animal administration [2] The line Tg6799 5xFAD mice co-express human APP695 with the Swedish (K670N, M671L), Florida (I716V), and London (V717I) mutations and human PS1 harboring M146L and L286V mutations. For intracerebroventricular infusion, miniosmotic pumps were loaded either with 100 μM K01-162, K01-182 or equivalent amount of DMSO solvent (mock) in 100 μl of artificial cerebrospinal fluid. The procedure for implantation of the pumps was the same. Mice were infused at a flow rate of 0.25 μl/h for 2 weeks. This amounted to ~400 ng/g of brain/day. At the conclusion of the infusion, the mice were sacrifice and their brains were cut in half sagitally. The left hemispheres were snap frozen for biochemical assays. The right hemispheres were fixed in 4% paraformaldehyde for immunohistochemical studies.

References:

[1]. Li J, et al. Alzheimer's disease drug candidates stabilize A-β protein native structure by interacting with the hydrophobic core. Biophys J. 2011 Feb 16;100(4):1076-82.

[2]. Hong HS, et al. Candidate anti-A beta fluorene compounds selected from analogs of amyloid imaging agents. Neurobiol Aging. 2010 Oct;31(10):1690-9.

CAIndexNames:

9H-Fluoren-2-amine, 7-bromo-N,N-dimethyl-

SMILES:

BrC1=CC(CC2=C3C=CC(N(C)C)=C2)=C3C=C1

Caution: Product has not been fully validated for medical applications. For research use only.

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