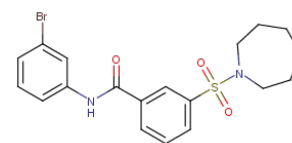


Catalog Number: CM06450

产品信息

Catalog Number:
CM06450CAS号:
420831-40-9分子式:
C₁₉H₂₁BrN₂O₃S主要靶点:
Sirtuin主要通路:
DNA损伤和修复|表观遗传分子量:
437.351溶解度:
DMSO:50 mg/mL (114.32 mM)

靶点活性

SIRT2:15.5 μM

体外活性

AK-7 is a selective cell- and brain-permeable SIRT2 inhibitor, this SIRT2 inhibitor stimulated cytoplasmic retention of sterol regulatory element binding protein-2 and subsequent transcriptional downregulation of cholesterol biosynthesis genes, resulting in reduced total cholesterol in primary striatal neurons. Furthermore, the identified inhibitor reduced cholesterol in cultured naïve neuronal cells and brain slices from wild-type mice[1]. AK-7 has roles in metabolic diseases, cancer, age-related disorders, and neurodegenerative diseases, potentially including Alzheimer's, Huntington's, and Parkinson's diseases[2][3].

体内活性

AK-7 (15 mg/kg/dose, i.p.) is brain-permeable in wild-type and HD mice[1].

动物实验

AK-7, solubilized at 1.5 mg/mL in 25% Cremophor EL (BASF)/ 10% DMSO in water, was administered by intraperitoneal injection to 11 week old mice at 15 mg/kg/dose. Blood was collected and centrifuged at 7,000 rpm for 7 min, and then serum was aspirated and immediately frozen in liquid nitrogen. Brains were immediately frozen in liquid nitrogen and stored at -80 C. Brains were weighed and then homogenized in four volumes of 10% Cremophor RH40 in water using homogenizer, and 2% v/v phosphoric acid was added to the homogenate, vortexed, and centrifuged at 10,000g at 25 C for 1 h. The supernatant was aspirated, and solid phase extraction was performed immediately. Serum samples were vortexed into 2% v/v phosphoric acid and centrifuged at 2500 rpm for 10 min[1]

细胞实验

Neuronal nuclear antigen (NeuN)-positive neurons and some astroglia were derived from mechanically dissociated ganglionic eminences of E16 rat embryos. The HD model based on the expression of mutant huntingtin has been described previously. Treatments of cultures with AK-7 were at 10 μM for 24 h unless stated otherwise. DMSO was included at the same concentrations as a control. Lower dose, chronic treatments with AK-7 were introduced to neurons at DIV4 and continued weekly coinciding with normal medium change [1].

描述

AK-7 is a brain-permeable SIRT2 inhibitor and to characterize its cholesterol-reducing properties in neuronal models with an IC₅₀ of 15.5 μM.

储存

Powder: -20°C for 3 years | In solvent: -80°C for 2 years