For Research Use Only

# AR-A014418

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## Catalog Number: CM04320

## 产品信息

**Catalog Number:**
CM04320

**CAS号:**
487021-52-3

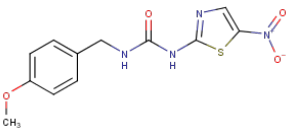
**分子式:**
$C_{12}H_{12}N_4O_4S$

**主要靶点:**
GSK-3

**主要通路:**
PI3K/Akt/mTOR信号通路|干细胞

**分子量:**
308.31

**溶解度:**
Ethanol:1.5 mg/mL (5 mM),DMSO:30.8 mg/mL (100 mM)



## 靶点活性

GSK-3β:38 nM(Ki)

## 体外活性

AR-A014418通过调节NMDA和代谢型受体信号传导以及在脊髓中的TNF-α和IL-1β传递,对乙酸和福尔马林诱导的小鼠伤害感受产生抑制作用.在具有G93A突变型人SOD1的ALS小鼠模型中,AR-A014418（0-4 mg/kg,i.p.）延迟症状的发作,改善运动行为,减缓疾病进展.

## 体内活性

AR-A014418在NGP细胞和SH-5Y-SY细胞中,抑制神经内分泌标志物,抑制神经瘤细胞的生长。AR-A014418抑制海马切片中由β样淀粉肽诱导的神经退化。AR-A014418抑制表达人类四重复tau蛋白的3T3成纤维细胞中的GSK3特异性位点（Ser-396）处的tau磷酸化,IC50为2.7 μM,并且保护培养的N2A细胞免于通过阻断PI3K/PKB途径诱导的死亡。

## 细胞实验

Cell viability is assessed by calcein/propidium iodide uptake. Calcein AM is taken up and cleaved by esterases present within living cells, yielding yellowish-green fluorescence, whereas PI is only taken up by dead cells, which become orange-red fluorescent. In brief, N2A cells are cultured for 2 days in vitro and then treated with 50 μM LY-294002 in the presence of AR-A014418 or vehicle (DMSO) for 24 h. Subsequently, N2A cells are incubated for 30 min with 2 μM PI and 1 μM calcein-AM. The cultures are then rinsed three times with Hanks' buffered saline solution containing 2 mM CaCl2, and the cells are visualized by fluorescence microscopy using a Zeiss Axiovert 135 microscope. Three fields (selected at random) are analyzed per well (∼300 cells/field) in at least three different experiments. Cell death is expressed as percentage of PI-positive cells from the total number of cells. In every experiment, specific cell death is obtained after subtracting the number of dead cells present in vehicle-treated cultures. (Only for Reference)

## 描述

AR-A014418 is an ATP-competitive, and selective GSK3β inhibitor.

## 储存

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