

Catalog Number: CM03508

产品信息

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CM03508

CAS号:
413611-93-5

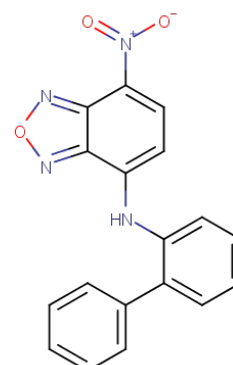
分子式:
C₁₈H₁₂N₄O₃

主要靶点:
Autophagy|c-Myc

主要通路:
细胞周期|自噬

分子量:
332.32

溶解度:
H₂O:<1 mg/mL,DMSO:56 mg/mL
(168.5 mM),Ethanol:10 mg/mL
(30.09 mM)



靶点活性

c-Myc:2.8 μM(Kd)

体外活性

10074-G5 binds to and distorts the bHLH-ZIP domain of c-Myc, thereby inhibiting c-Myc/Max heterodimer formation and inhibiting its transcriptional activity. In vitro, 10074-G5 inhibits the growth of Daudi Burkitt's lymphoma cells and disrupts c-Myc/Max dimerization. Daudi cells accumulate 10074-G5, and the highest intracellular concentration is observed at 6 h. 10074-G5 inhibits c-Myc/Max dimerization in Daudi cells by approximately 75% at 4 h, and this inhibition is maintained through 24 h of incubation. Total c-Myc protein expression also decreases, and after 24 h exposure to 10 μM 10074-G5, c-Myc protein expression decreases approximately 40% compared with vehicle-treated control. 10074-G5 is cytotoxic in vitro against Daudi and HL-60 cells, which overexpress c-Myc [2].

体内活性

The plasma half-life of 10074-G5 in mice treated with 20 mg/kg i.v. is 37 min, and peak plasma concentration is 58 μM, which is 10-fold higher than peak tumor concentration. The lack of antitumor activity probably is caused by the rapid metabolism of 10074-G5 to inactive metabolites, resulting in tumor concentrations of 10074-G5 insufficient to inhibit c-Myc/Max dimerization. Plasma 10074-G5 peak concentration (C_{max}) of 58.5 ± 2.7 nmol/ml is observed at 5 min after intravenous administration of 20 mg/kg to mice bearing Daudi xenografts, 10074-G5 concentration in plasma declines rapidly. Except for lung, liver, and fat, tissue concentrations of 10074-G5 are lower than those of plasma at all time points[2].

细胞实验

Daudi cells (3 × 10⁸ cells in logarithmic growth) are incubated for 0, 1, 3, 6, or 24 h in 3 ml of complete medium containing 10 μM 10074-G5. After incubation, cells are harvested, split into two samples of 1.5 ml each, and overlaid in microcentrifuge tubes containing 0.5 ml of silicon oil. The tubes are centrifuged at 12,000 g for 4 min. After centrifugation, the top 1 ml of medium is removed and stored in cryovials at -70°C until analysis. The remaining medium and silicon oil are carefully removed without disturbing the cell pellets. The sides of the tubes are cleaned with cotton-tipped applicators, and the cell pellets are stored at -70°C until analysis.(Only for Reference)

描述

10074-G5 is an inhibitor of c-Myc-Max dimerization.

储存

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