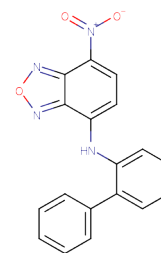


10074-G5

Chemical Properties

CAS No. : 413611-93-5
Formula: C₁₈H₁₂N₄O₃
Molecular Weight: 332.31
Appearance: no data available
Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year



Biological Description

Description	10074-G5 is an inhibitor of c-Myc-Max dimerization.
Targets(IC50)	c-Myc, Autophagy
In vitro	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 μ M 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].
In vivo	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 μ M, 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 $\pm$ 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].
Cell Research	Daudi cells (3 $\times$ 10 ⁸ cells in logarithmic growth) are incubated for 0, 1, 3, 6, or 24 h in 3 ml of complete medium containing 10 μ M 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)

Solubility Information

Solubility	H2O: <1 mg/mL, DMSO: 56 mg/mL (168.5 mM), Ethanol: 10 mg/mL (30.09 mM), (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.0092 mL	15.0462 mL	30.0924 mL
5 mM	0.6018 mL	3.0092 mL	6.0185 mL
10 mM	0.3009 mL	1.5046 mL	3.0092 mL
50 mM	0.0602 mL	0.3009 mL	0.6018 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

Yap JL, et al. Bioorg Med Chem Lett. 2013, 23(1):370-4.

Clausen DM, et al. J Pharmacol Exp Ther. 2010, 335(3):715-27.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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