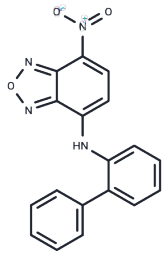
Data Sheet (Cat.No.T3686)

TargetMol

10074-G5

Chemical Properties



CAS No. : 413611-93-5

Formula: C18H12N4O3

Molecular Weight: 332.31

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	10074-G5 is an inhibitor of c-Myc-Max dimerization.
Targets(IC50)	Autophagy,c-Myc
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 accumulates 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].
In vivo	The plasma half-life of 10074-G5 in mice treated with 20 mg/kg i.v. is 37 minutes, with a peak plasma concentration of 58 μM, 10-fold higher than peak tumor concentration. The rapid metabolism of 10074-G5 to inactive metabolites likely causes insufficient tumor concentrations to inhibit c-Myc/Max dimerization. A plasma peak concentration (Cmax) of 58.5 ± 2.7 nmol/ml is observed at 5 minutes post-intravenous administration in mice bearing Daudi xenografts, with plasma concentrations declining rapidly. Except for lung, liver, and fat, tissue concentrations are lower than plasma at all time points[2].
Cell Research	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)

Solubility Information

Solubility	H2O: < 1 mg/mL (insoluble or slightly soluble), DMSO: 57.5 mg/mL (173.03 mM),Sonication is recommended. Ethanol: 10 mg/mL (30.09 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 5.75 mg/mL (17.3 mM),Solution. 10% DMSO+90% Saline: < 5.75 mg/mL (17.3 mM),Lower concentrations may be soluble, but exact solubility limit is unknown. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

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.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

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.