Product Data Sheet

Product Name: 10074-G5
Cat. No.: GC14918

Chemical Properties

Cas. No.	413611-93-5		
Chemical Name	N-[1,1'-biphenyl]-2-yl-7-nitro-2,1,3-benzoxadiazol-4-amine		
SMILES	O=[N+](C(C1=NON=C12)=CC=C2NC3=CC=CC=C3C4=CC=CC=C4)[O-]		
Formula	$C_{18}H_{12}N_4O_3$	M.Wt	332.3
Solubility	≤2mg/ml in ethanol;20mg/ml in DMSO;20mg/ml in dimethyl formamide	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	10074-G5		

Protocol

Cell experiment:

10074-G5 is dissolved in DMSO and diluted with culture medium. Daudi cells or HL-60 cells in logarithmic growth are treated with 10074-G5 (1-100 μM). After 72 h, 50 μL of 1 mg/mL MTT is added to each well and incubated for 4 h. At the end of the incubation, medium containing drug and MTT is removed from each well, and 100 μl of DMSO is added, followed by shaking for 5 min. The absorbance at 570 nm is read[1].

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com
Address: 10292 Central Ave. #205, Montclair, CA, USA

Product Data Sheet

Animal experiment:

Mice: C.B-17 SCID mice bearing Daudi xenografts are stratified into the following groups (10 mice/group): control; vehicle control (0.01 ml/g body weight, once daily for 5 days); positive control, doxorubicin (2.5 mg/kg/dose, one dose every 4 days for three doses); and 10074-G5 (20 mg/kg/dose, once daily for 5 days). Mice are dosed intravenously on the appropriate schedule, and body weights and tumor volumes are recorded twice weekly[1].

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com
Address: 10292 Central Ave. #205, Montclair, CA, USA

References:

[1]. Clausen DM, et al. In vitro cytotoxicity and in vivo efficacy, pharmacokinetics, and metabolism of 10074-G5, a novel small-molecule inhibitor of c-Myc/Max dimerization. J Pharmacol Exp Ther. 2010 Dec;335(3):715-27.
[2]. Chauhan J, et al. Discovery of methyl 4'-methyl-5-(7-nitrobenzo[c][1,2,5]oxadiazol-4-yl)-[1,1'-biphenyl]-3-carboxylate, an improved small-molecule inhibitor of c-Myc-max dimerization. ChemMedChem. 2014 Oct;9(10):2274-85.
[3]. Yap JL, et al. Pharmacophore identification of c-Myc inhibitor 10074-G5. Bioorg Med Chem Lett. 2013 Jan 1;23(1):370-4.

Background

10074-G5 is a c-Myc inhibitor [1].

c-Myc is a bHLH-ZIP transcription factor involved in cell cycle progression, cellular growth and metabolism, differentiation, and apoptosis. Overexpression of c-Myc has been identified in numerous cancers, including prostate, pancreatic, lung, breast, and colon cancers, B-cell lymphoma, and leukemias. Alterations in c-Myc have been associated

with cancer aggressiveness and poor treatment prognosis. Inhibition of c-Myc is an attractive pharmacological approach in the development of new anticancer treatments. Inactivation of c-Myc rapidly results in cell-cycle arrest, apoptosis, tumor vascular degeneration, redifferentiation of tumor cells, and ultimately tumor regression [1].

The IC50 values of 10074-G5 against Daudi cells and HL-60 cells were 15.6 ± 1.5 μM and 13.5 ± 2.1 μM, respectively. 10074-G5 (10 μM) inhibited c-Myc/Max dimerization and decreased total c-Myc protein expression. In C.B-17 SCID mice bearing Daudi xenografts, treatment with 10074-G5, (20 mg/kg i.v., for 10 consecutive days) significantly inhibited tumor growth with no effects on body weight.

References:
[1] Clausen D M, Guo J, Parise R A, et al. In vitro cytotoxicity and in vivo efficacy, pharmacokinetics, and metabolism of 10074-G5, a novel small-molecule inhibitor of c-Myc/Max dimerization[J]. Journal of Pharmacology and Experimental Therapeutics, 2010, 335(3): 715-727.

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com
Address: 10292 Central Ave. #205, Montclair, CA, USA