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产品名称：N-2-联苯基-7-硝基-2,1,3-苯并恶二唑-4-胺
产品别名：10074-G5

生物活性：

Description	10074-G5 is an inhibitor of c-Myc-Max dimerization with an IC50 of 146 μM.
IC_{50} & Target	IC50: 15.6 μM (Daudi cells), 13.5 μM (HL-60 cells)[1], 146 μM (c-Myc–Max)[2]
In Vitro	10074-G5 inhibits the growth of Daudi Burkitt's lymphoma cells and disruptes c-Myc/Max dimerization. The IC50 values against Daudi and HL-60 cells are 15.6 and 13.5 μM, respectively[1]. 10074-G5 binds the Myc peptide Myc353-437 with a Kd value of 2.8 μM in the region Arg363-Ile381. 10074-G5 binds in a cavity that is created by a kink (Asp379-Ile381) in the N-terminus of an induced helical domain (Leu370–Arg378)[3].
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 was 58 μM, which is 10-fold higher than peak tumor concentration[1].

Solvent&Solubility

In Vitro:

DMSO : ≥ 28 mg/mL (84.26 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	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

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

In Vivo:

请根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都请先按照 In Vitro 方式配制澄清的储备液，再依次添加助溶剂：

——为保证实验结果的可靠性，澄清的储备液可以根据储存条件，适当保存；体内实验的工作液，建议您现用现配，当天使用； 以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比；如在配制过程中出现沉淀、析出现象，可以通过加热和/或超声的方式助溶

1.请依序添加每种溶剂： 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline

Solubility: ≥ 2.5 mg/mL (7.52 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (7.52 mM，饱和度未知) 的澄清溶液。

以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 400 μL PEG300 中，混合均匀；向上述体系中加入 50 μL Tween-80，混合均匀；然后继续加入 450 μL 生理盐水定容至 1 mL。

2.请依序添加每种溶剂： 10% DMSO →90% corn oil

Solubility: ≥ 2.5 mg/mL (7.52 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (7.52 mM，饱和度未知) 的澄清溶液，此方案不适用于实验周期在半个月以上的实验。

以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。

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.

实验参考：

Cell Assay	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].
Animal Administration	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].
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.