LY294002

Cat. No.: HY-10108

CAS No.: 154447-36-6

Molecular Formula: $C_{19}H_{17}NO_3$

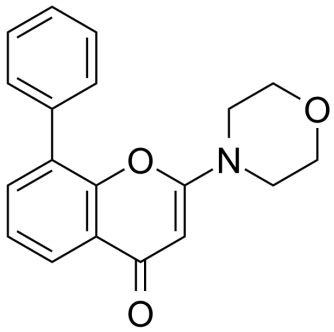
Molecular Weight: 307.34

Target: PI3K; Casein Kinase; DNA-PK; Apoptosis; Autophagy

Pathway: PI3K/Akt/mTOR; Cell Cycle/DNA Damage; Stem Cell/Wnt; Apoptosis; Autophagy

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (162.69 mM; Need ultrasonic)
Ethanol : 50 mg/mL (162.69 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.2537 mL	16.2686 mL	32.5373 mL
5 mM	0.6507 mL	3.2537 mL	6.5075 mL
10 mM	0.3254 mL	1.6269 mL	3.2537 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 5% DMSO >> 95% (20% SBE-β-CD in saline)
   Solubility: 2.87 mg/mL (9.34 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.25 mg/mL (7.32 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.25 mg/mL (7.32 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.25 mg/mL (7.32 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

LY294002 is a broad-spectrum inhibitor of PI3K with IC_{50}s of 0.5, 0.57, and 0.97 μM for PI3Kα, PI3Kδ and PI3Kβ, respectively[1]. LY294002 also inhibits CK2 with an IC_{50} of 98 nM[2]. LY294002 is a competitive DNA-PK inhibitor that binds reversibly to the kinase domain of DNA-PK with an IC_{50} of 1.4 μM. LY294002 is an apoptosis activator[3].

IC_{50} & Target	p110α 0.5 μM (IC_{50})	p110δ 0.57 μM (IC_{50})	p110β 0.97 μM (IC_{50})	human CK2 98 nM (IC_{50})
	human CK2α2 3.869 μM (IC_{50})	DNA-PK 1.4 μM (IC_{50})		

In Vitro

LY294002 (0-75 μM; 24 hours and 48 hours) remarkably decreases human nasopharyngeal carcinoma CNE-2Z cells in a dose-dependent fashion[4].
LY294002 (0-75 μM; 24 hours and 48 hours) induces CNE-2Z cells apoptosis rate in dose-dependent[4].
LY294002 (10-75 μM) significantly decreases p-Akt (S473) expression levels and up-regulates caspase-9 activity in CNE-2Z cells. Total Akt protein level is not difference with different concentration[4].
LY294002 (5, 10, 100 μM; for 2 hours) treatment partially suppresses Lysophosphatidic acid (LPA)-induced (20 μM; for 4 hours) nuclear translocation of YAP, accompanied by a reduction in p-AKT levels[6].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[4]

Cell Line:	CNE-2Z cells
Concentration:	0 μM, 10 μM, 25 μM, 50 μM, and 75 μM
Incubation Time:	24 hours and 48 hours
Result:	Decreased CNE-2Z cells in a dose-dependent fashion.

Apoptosis Analysis[4]

Cell Line:	CNE-2Z cells
Concentration:	0 μM, 10 μM, 25 μM, 50 μM, and 75 μM
Incubation Time:	24 hours and 48 hours
Result:	Induced apoptosis rate in dose-dependent.

Western Blot Analysis[4]

Cell Line:	CNE-2Z cells
Concentration:	10 μM, 25 μM, 50 μM, and 75 μM
Incubation Time:	
Result:	Decreased phosphorylated Akt (S473) expression levels were significantly, up-regulated caspase-9 activity in CNE-2Z cells in treated group.

In Vivo

LY294002 (10, 25, 50, 75 mg/kg; i.p.; twice weekly; for 4 weeks) significantly reduces mean NPC tumor burden in a dose-dependent manner. LY294002 (10, 25 mg/kg) is less effective in decreasing tumor burden[4].
LY294002 (1.2 mg/kg per day; i.p.; for 14 days) prevents Leptin (60 ug/kg)-induced adverse effects on spermatozoa in Sprague-Dawley rats[5].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Athymic nude mice (6-8 weeks) with CNE-2Z xenograft[4]
Dosage:	10 mg/kg, 25 mg/kg, 50 mg/kg, and 75 mg/kg
Administration:	Intraperitoneal injection; twice weekly, for 4 weeks

Result:	Mean Nasopharyngeal carcinoma (NPC) tumor burden was remarkably decreased in a dose-dependent manner.

CUSTOMER VALIDATION

- Blood. 2018 Jul 12;132(2):210-222.
- Sci Transl Med. 2018 Jul 18;10(450):eaaq1093.
- J Pineal Res. 2019 Apr;66(3):e12552.
- Signal Transduct Target Ther. 2021 Jun 18;6(1):234.
- Nat Chem Biol. 2021 May;17(5):576-584.

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REFERENCES

[1]. Chaussade C, et al. Evidence for functional redundancy of class IA PI3K isoforms. Biochem J. 2007 Jun 15;404(3):449-58.

[2]. Gharbi SI, et al. Exploring the specificity of the PI3K family inhibitor LY294002. Biochem J. 2007 May 15;404(1):15-21.

[3]. Davidson D, et al. Small Molecules, Inhibitors of DNA-PK, Targeting DNA Repair, and Beyond. Front Pharmacol. 2013 Jan 31;4:5.

[4]. Jiang H, et al. Phosphatidylinositol 3-kinase inhibitor(LY294002) induces apoptosis of human nasopharyngeal carcinoma invitro and in vivo. J Exp Clin Cancer Res. 2010 Apr 22;29:34.

[5]. Md Mokhtar AH, et al. LY294002, a PI3K pathway inhibitor, prevents leptin-induced adverse effects on spermatozoa in Sprague-Dawley rats. Andrologia. 2019 Apr;51(3):e13196.

[6]. Yi-Jen Hsueh, et al. Lysophosphatidic acid induces YAP-promoted proliferation of human corneal endothelial cells via PI3K and ROCK pathways. Mol Ther Methods Clin Dev. 2015 Apr 29;2:15014.

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