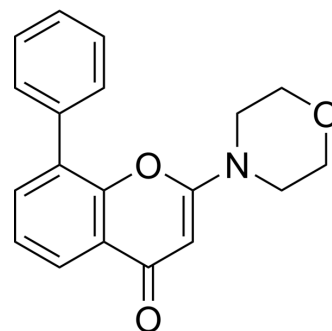


## LY294002

<b>Cat. No.:</b>	HY-10108		
<b>CAS No.:</b>	154447-36-6		
<b>Molecular Formula:</b>	C <sub>19</sub> H <sub>17</sub> NO <sub>3</sub>		
<b>Molecular Weight:</b>	307.34		
<b>Target:</b>	PI3K; DNA-PK; Apoptosis; Casein Kinase; Autophagy		
<b>Pathway:</b>	PI3K/Akt/mTOR; Cell Cycle/DNA Damage; Apoptosis; Stem Cell/Wnt; Autophagy		
<b>Storage:</b>	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)

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

- Add each solvent one by one: 0.5% CMC-Na/saline water  
Solubility: 15.71 mg/mL (51.12 mM); Suspended solution; Need ultrasonic
- 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
- 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
- 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
- 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<sub>50</sub>s of 0.5, 0.57, and 0.97 μM for PI3Kα, PI3Kδ and PI3Kβ, respectively<sup>[1]</sup>. LY294002 also inhibits CK2 with an IC<sub>50</sub> of 98 nM<sup>[2]</sup>. LY294002 is a competitive DNA-PK inhibitor that binds reversibly to the

	kinase domain of DNA-PK with an IC <sub>50</sub> of 1.4 μM. LY294002 is an apoptosis activator <sup>[3]</sup> .																											
IC <sub>50</sub> & Target	p110α 0.5 μM (IC <sub>50</sub> )	p110δ 0.57 μM (IC <sub>50</sub> )	p110β 0.97 μM (IC <sub>50</sub> )	human CK2 98 nM (IC <sub>50</sub> )																								
	human CK2α2 3.869 μM (IC <sub>50</sub> )	DNA-PK 1.4 μM (IC <sub>50</sub> )																										
In Vitro	<p>LY294002 (0-75 μM; 24 hours and 48 hours) remarkably decreases human nasopharyngeal carcinoma CNE-2Z cells in a dose-dependent fashion<sup>[4]</sup>.</p> <p>LY294002 (0-75 μM; 24 hours and 48 hours ) induces CNE-2Z cells apoptosis rate in dose-dependent<sup>[4]</sup>.</p> <p>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<sup>[4]</sup>.</p> <p>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<sup>[6]</sup>.</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <p>Cell Proliferation Assay<sup>[4]</sup></p> <table border="1"> <tr> <td>Cell Line:</td> <td>CNE-2Z cells</td> </tr> <tr> <td>Concentration:</td> <td>0 μM, 10 μM, 25 μM, 50 μM, and 75 μM</td> </tr> <tr> <td>Incubation Time:</td> <td>24 hours and 48 hours</td> </tr> <tr> <td>Result:</td> <td>Decreased CNE-2Z cells in a dose-dependent fashion.</td> </tr> </table> <p>Apoptosis Analysis<sup>[4]</sup></p> <table border="1"> <tr> <td>Cell Line:</td> <td>CNE-2Z cells</td> </tr> <tr> <td>Concentration:</td> <td>0 μM, 10 μM, 25 μM, 50 μM, and 75 μM</td> </tr> <tr> <td>Incubation Time:</td> <td>24 hours and 48 hours</td> </tr> <tr> <td>Result:</td> <td>Induced apoptosis rate in dose-dependent.</td> </tr> </table> <p>Western Blot Analysis<sup>[4]</sup></p> <table border="1"> <tr> <td>Cell Line:</td> <td>CNE-2Z cells</td> </tr> <tr> <td>Concentration:</td> <td>10 μM, 25 μM, 50 μM, and 75 μM</td> </tr> <tr> <td>Incubation Time:</td> <td></td> </tr> <tr> <td>Result:</td> <td>Decreased phosphorylated Akt (S473) expression levels were significantly, up-regulated caspase-9 activity in CNE-2Z cells in treated group.</td> </tr> </table>				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.	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.	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.
	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.																										
	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.																										
	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	<p>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<sup>[4]</sup>.</p> <p>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<sup>[5]</sup>.</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>																											
	Animal Model:	Athymic nude mice (6-8 weeks) with CNE-2Z xenograft <sup>[4]</sup>																										
	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

- Signal Transduct Target Ther. 2022 Aug 31;7(1):290.
- Signal Transduct Target Ther. 2021 Jun 18;6(1):234.
- Mol Cancer. 2023 Dec 14;22(1):206.
- Mol Cancer. 2022 May 10;21(1):112.
- Nat Immunol. 2023 Nov;24(11):1813-1824.

See more customer validations on [www.MedChemExpress.com](http://www.MedChemExpress.com)

## 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.

**Caution: Product has not been fully validated for medical applications. For research use only.**

Tel: 609-228-6898

Fax: 609-228-5909

E-mail: [tech@MedChemExpress.com](mailto:tech@MedChemExpress.com)

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA