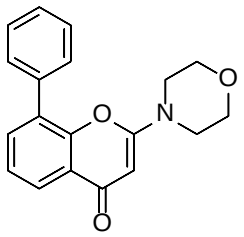
Product data sheet

MedKoo Cat#: 201795 Name: LY294002 CAS#: 154447-36-6 Chemical Formula: $C_{19}H_{17}NO_3$ Exact Mass: 307.1208 Molecular Weight: 307.34	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:

LY294002 is a morpholine derivative of quercetin. It is a potent inhibitor of phosphoinositide 3-kinases (PI3Ks). Two of these are the proto-oncogene serine/threonine-protein kinase (PIM1) and the phosphatidylinositol-4,5-bisphosphate 3-kinase catalytic subunit gamma isoform. With an IC50 of 1.4 uM it is somewhat less potent than wortmannin, another well-known PI3 kinase inhibitor However, LY294002 is a reversible inhibitor of PI3K whereas wortmannin acts irreversibly. Application of LY294002 causes a substantial acceleration of MEPP frequency (150 μM) at the frog neuromuscular junction through a mechanism that is independent of intraterminal calcium. LY294002 causes the release of MEPPs through a perturbation of synaptotagmin function.

2. CoA, QC data, SDS, and handling instruction

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under “QC And Documents” section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
Ethanol	61.0	198.48
DMSO	51.34	167.05
DMSO:PBS (pH 7.2) (1:1)	0.50	1.63

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	**1 mg**	**5 mg**	**10 mg**
1 mM	3.25 mL	16.27 mL	32.54 mL
5 mM	0.65 mL	3.25 mL	6.51 mL
10 mM	0.33 mL	1.63 mL	3.25 mL
50 mM	0.07 mL	0.33 mL	0.65 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator

Please refer the product web page under section of “Calculator”

6. Recommended literature which reported protocols for in vitro and in vivo study

In vitro study

1. Wang YQ, Lin Y, Zhao JD, Yang YT. [Inhibitory Effect of LY294002 on Proliferation of Multiple Myeloma Cells and Its Mechanism]. Zhongguo Shi Yan Xue Ye Xue Za Zhi. 2017 Aug;25(4):1092-1096. Chinese. doi: 10.7534/j.issn.1009-2137.2017.04.023. PMID: 28823274.
2. Chen P, Wen X, Wang B, Hou D, Zou H, Yuan Q, Yang H, Xie J, Huang H. PI3K/Akt inhibitor LY294002 potentiates homoharringtonine antimyeloma activity in myeloma cells adhered to stromal cells and in SCID mouse xenograft. Ann Hematol. 2018 May;97(5):865-875. doi: 10.1007/s00277-018-3247-3. Epub 2018 Feb 15. PMID: 29450644.

In vivo study

1. Hongyan L, Chunyan W, Yue'e Y. LY294002, a PI3K inhibitor, attenuates Tourette syndrome in rats. Metab Brain Dis. 2017 Oct;32(5):1619-1625. doi: 10.1007/s11011-017-0051-z. Epub 2017 Jun 18. PMID: 28624893.

2. Chen P, Wen X, Wang B, Hou D, Zou H, Yuan Q, Yang H, Xie J, Huang H. PI3K/Akt inhibitor LY294002 potentiates homoharringtonine antimyeloma activity in myeloma cells adhered to stromal cells and in SCID mouse xenograft. Ann Hematol. 2018 May;97(5):865-875. doi: 10.1007/s00277-018-3247-3. Epub 2018 Feb 15. PMID: 29450644.

7. Bioactivity

Biological target: LY294002 is a PI3K inhibitor with IC50s of 0.5, 0.57, and 0.97 μM for PI3Kα, PI3Kδ and PI3Kβ, respectively.

In vitro activity

The inhibitory effect of LY294002 on proliferation of multiple myeloma cell U266 was explored. U266 cell viability was reduced in time- and dose-dependent manner after treatment with 5, 10, 20 μmol/L of LY294002 for 24, 48, 72 h. The 5, 10, 20 μmol/L LY294002 leaded to cell nucleus dense and thick, and the cell cycle arrested in the G1 phase ($P<0.01$). The expressions of BCL-2, Cyclin D1, Cyclin E, PI3K and p-AKT were down-regulated ($P<0.01$), and the expression of BAX up-regulated ($P<0.01$). These results indicate that LY294002 can inhibit U266 cell proliferation via suppresion of activation of PI3K/AKT signal pathway.

Reference: Zhongguo Shi Yan Xue Ye Xue Za Zhi. 2017 Aug;25(4):1092-1096. https://pubmed.ncbi.nlm.nih.gov/28823274/

In vivo activity

The effects of LY294002 on Tourette syndrome (TS) in rats were evaluated. TS model was induced in rats by DOI (the selective 5-HT2A/2C agonist 1- (2, 5- dimethoxy -4 - iodophenyl) -2- aminopropane). Behavior was assessed by stereotypic score and autonomic activity. Inflammatory cytokines such as interleukin-6 (IL-6), interleukin-1β (IL-1β) and tumor necrosis factor-α (TNF-α) in serum and striatum were detected. The protein levels of PI3K/Akt/NF-B in striatum were detected by Western Blot. LY294002 treatment significantly reduced IL-6, IL-1β and TNF-α in serum and striatum of TS rats, Also, highly expressed P-PI3K, P-Akt, P-NF-κBp65, P-IκBα in TS rats were restored respectively by LY294002 treatment as indicted in western blot analysis and immunohistochemistry analysis. Thus, it was supposed that the protective effect of LY294002 against TS in rat might be associated with the regulation of PI3K/Akt/NF-B pathway.

Reference: Metab Brain Dis. 2017 Oct;32(5):1619-1625. https://link.springer.com/article/10.1007%2Fs11011-017-0051-z

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.