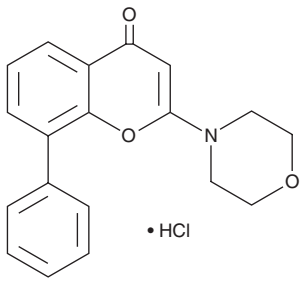
PRODUCT INFORMATION

LY294002 (hydrochloride)

Item No. 39171

CAS Registry No.:	934389-88-5
Formal Name:	2-(4-morpholinyl)-8-phenyl-4H-1-benzopyran-4-one, monohydrochloride
MF:	$C_{19}H_{17}NO_3 \bullet HCl$
FW:	343.8
Purity:	≥98%
Supplied as:	A solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

LY294002 (hydrochloride) is supplied as a solid. A stock solution may be made by dissolving the LY294002 (hydrochloride) in the solvent of choice, which should be purged with an inert gas. LY294002 (hydrochloride) is soluble in DMSO. LY294002 (hydrochloride) is slightly soluble in acetonitrile.

LY294002 (hydrochloride) is slightly soluble in aqueous solutions. To enhance aqueous solubility, dilute the organic solvent solution into aqueous buffers or isotonic saline. If performing biological experiments, ensure the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. We do not recommend storing the aqueous solution for more than one day.

Description

LY294002 is a multi-kinase inhibitor.[1] It inhibits casein kinase 2 (CK2; IC_{50} = 0.098 µM), the PI3K subunits p110α, p110β, p110δ, and p110γ (IC_{50}s = 0.497, 0.554, 0.331, and 3.8 µM, respectively), and DNA-dependent protein kinase (DNA-PK; IC_{50} = 6 µM), among other kinases, as well as CK1, GSK3α, and GSK3β by greater than 40% at 10 µM.[1-3] LY294002 (20 µM) inhibits LPS-induced activation of NF-κB in a reporter assay using RAW 264.7 macrophages in a PI3K-independent manner.[4] It induces apoptosis and autophagy in SGC-7901 human gastric cancer cells when used at a concentration of 50 µM. LY294002 (2 ng/ml/kg) reduces tumor volume in DLD-1 and LoVo mouse xenograft models.[5] It also reduces aging-induced increases in the number of aging human vascular smooth muscle cells (VSMCs) positive for senescence-associated β-galactosidase (SA-β-gal) when used at a concentration of 20 µM in the 5th to 15th generations.[6]

References

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2. Izzard, R.A., Jackson, S.P., and Smith, G.C. Competitive and noncompetitive inhibition of the DNA-dependent protein kinase. *Cancer Res.* **59(11)**, 2581-2586 (1999).
3. Bain, J., Plater, L., Elliot, M., *et al.* The selectivity of protein kinase inhibitors: A further update. *Biochem. J.* **408(3)**, 297-315 (2007).
4. Avni, D., Glucksam, Y., and Zor, T. The phosphatidylinositol 3-kinase (PI3K) inhibitor LY294002 modulates cytokine expression in macrophages via p50 nuclear factor κB inhibition, in a PI3K-independent mechanism. *Biochem. Pharmacol.* **83(1)**, 106-114 (2012).
5. Semba, S., Itoh, N., Ito, M., *et al.* The *in vitro* and *in vivo* effects of 2-(4-morpholinyl)-8-phenyl-chromone (LY294002), a specific inhibitor of phosphatidylinositol 3'-kinase, in human colon cancer cells. *Clin. Cancer Res.* **8(6)**, 1957-1963 (2002).
6. Tan, P., Wang, Y.-J., Li, S., *et al.* The PI3K/Akt/mTOR pathway regulates the replicative senescence of human VSMCs. *Mol. Cell Biochem.* **422(1-2)**, 1-10 (2016).

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