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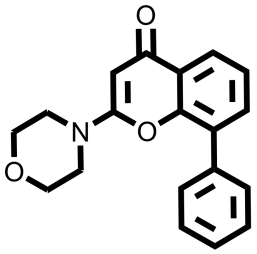
PI3K/BET Dual Inhibitor – LY294002

Chemical Name: 2-morpholino-8-phenyl-4H-chromen-4-one



Molecular Weight:	307.30
Formula:	$C_{19}H_{17}NO_3$
Purity:	≥98%
CAS#:	154447-36-6
Solubility:	DMSO up to 100 mM
Storage	Powder: 4 °C 1 year DMSO: 4 °C 3 months -20 °C 1 year

Biological Activity:

LY294002 is a potent and selective small molecule PI3K inhibitor, inhibits PI3Kα/δ/β with IC_{50} of 0.5 μM, 0.57 μM and 0.97 μM, respectively. It is the most widely used PI3K inhibitor to study the role of phosphoinositide 3-kinases in the signal transduction pathway involved in cell migration, proliferation, survival, and metabolism. LY294002 inactivates Akt/PKB, consequently inhibiting cell proliferation and inducing apoptosis. It is more stable in solution than Wortmannin, and also blocks autophagosome formation. Quantitative chemoproteomic profiling shows that LY294002 inhibits the BET bromodomain proteins BRD2, BRD3, and BRD4 with IC_{50} ~1-2 μM. It competitively inhibits acetyl-lysine binding of the first but not the second bromodomain of BET proteins in cell extracts. LY294002 provides a starting point for the generation of bromodomain inhibitors tapping the chemical space of kinase antagonists.

How to Use:

In vitro: LY294002 was used at 10-50 μM final concentration in various in vitro assays.

In vivo: LY294002 could be dosed to the mice by IP administration at 100 mg/kg once day.

Reference:

1. Vlahos CJ, et al. A specific inhibitor of phosphatidylinositol 3-kinase, 2-(4-morpholinyl)-8-phenyl-4H-1-benzopyran-4-one (LY294002). (1994) J Biol Chem. 269(7):5241-8.
2. Hu L, et al. In vivo and in vitro ovarian carcinoma growth inhibition by a phosphatidylinositol 3-kinase inhibitor (LY294002). (2000) Clin Cancer Res. 6(3):880-6.
3. Semba S, 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. (2002) Clin Cancer Res. 8(6):1957-63.
4. Antje Dittmann, et al. The Commonly Used PI3-Kinase Probe LY294002 Is an Inhibitor of BET Bromodomains. (2014) ACS Chem. Biol., In press.