Product Data Sheet

Chemical Properties

Product Name: LY 294002

Cas No.: 154447-36-6

M.Wt: 307.34

Formula: C19H17NO3

Chemical Name: 2-morpholin-4-yl-8-phenylchromen-4-one

Canonical SMILES: C1COCCN1C2=CC(=O)C3=C(O2)C(=CC=C3)C4=CC=CC=C4

Solubility: >15.4mg/mL in DMSO

Storage: Store at -20°C

General tips: For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shopping Condition: Evaluation sample solution: ship with blue ice
All other available size: ship with RT, or blue ice upon request

Biological Activity

Targets: PI3K

Pathways: PI3K/Akt/mTOR Signaling >> PI3K

Description:
LY294002 is a potent inhibitor of class I phosphoinositide 3-kinases (PI3Ks) [1, 2]. It is cell permeable and reversible, and selective against p110α, p110β, p110γ and p110δ, which acts on ATP binding site of the catalytic subunit.

PI3K family is divided into 3 classes: class I, II and III. LY294002 can inhibit 3 out of 4 isoforms of catalytic subunit of class I group. The IC50s for p110α, β and δ are 500 nM, 973 nM and 570 nM [3]. Even though it is less potent than wortmannin, other PI3K inhibitor, but it is more stable in solution. In addition, LY294002 is a reversible inhibitor whereas wortmannin acts irreversibly. [2]
PI3Ks signal through Akt, activates mTOR and inhibits Bad, leading to cell growth and proliferation. LY294002 potently inactivates PI3K class I catalytic subunit, resulting in induction of apoptosis and suppression of tumor cell growth in vitro and in vivo. [2, 4] LY294002 is also a potent autophagy inhibitor by blocking autophagosome formation. [5] Quantitative chemoproteomic profiling shows that LY294002 inhibits the BET bromodomain proteins BRD2, BRD3, and BRD4 with IC50 value of 1-2 µM. [6]

Reference:

Protocol

Cell experiment:

Cell lines OVCAR-3 cells

Preparation method The solubility of this compound in DMSO is >10 mM. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Reacting conditions 10 µM, 24 hours

Applications After 24 h of treatment, the number of cells in 1, 5, and 10 µM LY294002-treated wells was reduced by 27, 56, and 75%, respectively, compared to the control group. LY294002 (1–10 µM) markedly inhibited cell proliferation. When the cells were treated with 10 µM LY294002 for 24 h, the effects appeared toxic. Cellularity was decreased, and the cell clusters appeared shrunken with poor cellular cohesion. Cells had hyperchromatic, pyknotic nuclei, and the amount of cytoplasm was decreased. LY294002 induced nuclear pyknosis and diminished cytoplasmic volume, which was clearly demonstrated in the 5 µM-treated wells.
### Animal experiment [3]:

<table>
<thead>
<tr>
<th>Animal models</th>
<th>Athymic immunodeficient mice injected with OVCAR-3 cells</th>
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<tbody>
<tr>
<td>Dosage form</td>
<td>Intraperitoneal injection, 100 mg/kg body weight, daily for 3 weeks</td>
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<tr>
<td>Applications</td>
<td>At postmortem examination, tumors were found on the surface of the peritoneum, intestines, and uterus in both treatment and control groups. However, in the control group, tumors were also found on the diaphragm and in the hilus of the liver. LY294002 induced pyknosis and nuclear condensation, as well as reduced cytoplasmic volume in the tumor cells. Some nuclei separated from the cytoplasm. Abdominal circumference (7.2 ± 2 cm) significantly increased in the control group compared to the LY294002-treated group (6.35 ± 0.42 cm). Body weight increased in both groups for the first week after inoculation. In the control group, body weight continued to increase, whereas there was no significant change in body weight after treatment with LY294002.</td>
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| Other notes | Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal. |

### Reference:


### Product Citations


### Product Validation
SH-SY5Y cells were treated with 10 μM sodium arsenite with or without 30 min pretreatment of 20 μM LY294002 in serum free medium for 24 h. Levels of VEGF in the medium were measured by ELISA. Data represent mean ± SD from four independent experiments. *, ** represent statistical difference from control without LY294002 at p<0.02, and <0.01, respectively. # represents statistical difference from sodium arsenite alone at p<0.05.

Treatment of LY294002 inhibits noradrenaline secretion

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most ApexBio products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Short term storage of many products are stable in the short-term at temperatures that differ from that required for long term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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