**Product Data Sheet**

### Chemical Properties

**Product Name:** LY2606368  
**Cas No.:** 1234015-52-1  
**M.Wt:** 365.39  
**Formula:** C18H19N7O2  
**Synonyms:** N/A  

**Chemical Name:** 5-((5-(2-(3-aminopropoxy)-6-methoxyphenyl)-1H-pyrazol-3-yl)amino)pyrazine-2-carbonitrile  
**Canonical SMILES:** NCCCOC1=CC=CC(OC)=C1C2=CC(NC(C=N3)=NC=C3C#N)=NN2  
**Solubility:** insoluble 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:** Cell Cycle/Checkpoint  
**Pathways:** Chk  
**Description:**  
LY2606368 is a selective ATP competitive inhibitor of checkpoint kinase 1 (CHK1) with IC50 value of 1.5nM in SW1990 cells [1]. CHK1 is an intracellular serine/threonine kinase that plays a role in DNA damage response pathway. The inhibitors of CHK1 are developed for the treatment of cancers. LY2606368 is an ATP-competitive inhibitor of CHK1 and is undergoing clinical trials currently. It inhibits the
auto-phosphorylation of CHK1 and induces the phosphorylation of H2AX in cancer cells. In the pancreatic cell line SW1990, LY2606368 significantly inhibits cell proliferation with IC50 value of 1.5nM. LY2606368 also exerts potent anti-tumor activity in SW1990 xenograft model. Besides that, in the orthotopic SKVO3 model, treatment of LY2606368 is found to inhibit tumor growth and reduce the incidence of metastases and accumulation. However, LY2606368 is only administered intravenously due to its poor oral bioavailability [1, 2 and 3].

Reference:

Protocol

Cell experiment:

Cell lines
Hela cells

Preparation method
Limited solubility. 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
7 h

Applications
LY2606368 triggers DNA damage during S-phase as pH2AX (S139) and TUNEL-positive staining cells increases substantially in Sphase cells. LY2606368 also need CDC25A and CDK2 to trigger DNA damage. In addition, LY2606368 leads to replication catastrophe.

Animal experiment [3]:

Animal models
Female CD-1 nu-/nu- mice (26–28 g) bearing Calu-6 tumor

Dosage form
Twice daily for 3 days with 1, 3.3, or 10 mg/kg of LY2606368

Applications
Up to 72.3% tumor growth inhibition is observed in all three doses of LY2606368 groups. Wight loss of mice is not exceeded by 3%,
indicating the LY2606368 is well tolerated in any of the treatment groups. Moreover, tumor regrowth of the highest dose group is slow during the 28-day recovery period, suggesting a durable response to LY2606368.

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:

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. Shortterm 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.