Product Data Sheet

Chemical Properties

Product Name: BAY 80-6946 (Copanlisib)
Cas No.: 1032568-63-0
M.Wt: 480.52
Formula: C23H28N8O4
Synonyms: N/A

Chemical Name: 2-amino-N-[7-methoxy-8-(3-morpholin-4-ylpropoxy)-2,3-dihydroimidazo[1,2-c]quinazolin-5-yl]pyrimidine-5-carboxamide

Canonical SMILES: \( \text{COC1=C(C=CC2=C1N=C(N3C2=NCC3)NC(=O)C4=CN=C(N=C4)N)OCCC N5CCOCC5} \)

Solubility: <0.96mg/mL in DMSO, <1.022mg/mL in H2O

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/Akt/mTOR Signaling
Pathways: PI3K

Description:

Several phosphatidylinositol-3-kinase (PI3K) inhibitors are being investigated as a treatment for patients with B-cell malignancies. Such agents prevent activation of PI3K enzymes that are hyperactive in many B-cell malignancies and associated with tumor progression. Copanlisib is a novel pan-Class I phosphatidylinositol-3-kinase (PI3K) inhibitor with potent preclinical inhibitory activity.
activity against both PI3K-d and PI3K-α isoforms. In vitro: BAY 80-6946 is a phosphoinositide 3-kinase (PI3K) inhibitor with potential antineoplastic activity, which inhibits proliferation with IC50 of 147 nM in HuCCT-1 (KRASG12D) and 137 nM in EGI-1 (KRASG12D) cell lines [1]. In vivo: BAY 80-6946 is generally well tolerated through the maximum tolerated dose (MTD) of 0.8 mg/kg. Pharmacokinetics (PK) results support dosing weekly. Grade 2 or 3 hyperglycemia in the first 24 hrs after receiving a MTD dose. Pharmacokinetics, clinical SD as well as FDG-PET data are consistent with effective exposure and PI3K pathway inhibition. [2].

Clinical trial: Copanlisib (BAY 80-6946), developed by Bayer, is a selective Class I phosphoinositide 3-kinase inhibitor which has shown promise in Phase I/II clinical trials for the treatment of non-Hodgkin lymphoma and chronic lymphocytic leukemia. Phase II study shows that Copanlisib is active as a single-agent in heavily pretreated, advanced refractory/relapsed FL, MZL, CLL and SLL. Copanlisib exhibited an acceptable toxicity profile, which was consistent with previous findings (https://ash.confex.com/ash/2014/webprogram/Paper70672.html).

Reference:

Protocol

Cell experiment:

Cell lines
A panel of cancer cell lines

Preparation method
The solubility of this compound in DMSO is limited. 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

Applications
BAY 80-6946 showed significant anti-proliferative activity in a series of cancers cells exhibiting constitutively activated PI3K signaling. Several breast cancer, endometrial cancer and hematologic tumor cell lines were extremely sensitive to BAY 80-6946 (IC50 values < 10 nM).

Animal experiment [3]:

Animal models
A rat KPL4 tumor xenograft model

Dosage form
0.5 ~ 6 mg/kg; i.v.; every 2 days for a total of 5 doses starting on day 14 after tumor cell implantation.
Applications

On day 25 (i.e. 3 days after the last dose), BAY 80-6946 at doses of 0.5, 1, 3 and 6 mg/kg showed TGI rates of 77%, 84%, 99% and 100%, respectively. In addition, BAY 80-6946 at doses of 3 and 6 mg/kg resulted in complete tumor regression.

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


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.