Product Name: Gefitinib (ZD1839)

Revision Date: 6/30/2018

Product Data Sheet

Chemical Properties

Product Name: Gefitinib (ZD1839)
Cas No.: 184475-35-2
M.Wt: 446.90
Formula: C22H24ClFN4O3
Synonyms: Iressa, ZD-1839, Gefitinib
Chemical Name: N-(3-chloro-4-fluorophenyl)-7-methoxy-6-(3-morpholin-4-ylpropoxy) quinazolin-4-amine
Canonical SMILES: COC1=C(C=C2(=C1)N=CN=C2NC3=CC(=C(C=C3)F)Cl)OCCCN4CCOCC4
Solubility: ≥22.3mg/mL in DMSO, ≥2.48 mg/mL in EtOH with ultrasonic, <2.76 mg/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: JAK/STAT Signaling
Pathways: EGFR

Description:
Gefitinib, also known as ZD1839 or Iressa, is a potent and orally-bioavailable small-molecule inhibitor of epidermal growth factor receptor (EGFR) tyrosine kinase with 50% inhibition concentration IC50 values of 0.033 μM and 0.027 μM in A431 membrane prep and baculovirus lysate respectively. Gefitinib binds to the kinase ATP binding site of EGFR interfering with the
binding of adenosine triphosphate, which suppresses the EGFR tyrosine kinase activity and resultant signal transduction of EGFR. Gefitinib exhibits anti-angiogenic activities in a wide range of human tumor types, including head and neck, prostate, breast, ovarian, colon, small-cell lung and non-small-cell lung cancer. Moreover, gefitinib has also been found to reduce proliferation, induce cell cycle arrest and increase apoptosis.

Reference:

Protocol

Cell experiment:

Cell lines

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

1 μM, 24 hours

Applications

A 24-h treatment of BT-474 cells with 1 μM ZD1839 increased the G1 fraction from 74 to 88% and reduced the proportion of cells in S from 15 to 4%. Simultaneous with the accumulation of cells in G1 was complete elimination of both active Akt and MAPK, as measured with phosphospecific antibodies, without changes in the content of total Akt and MAPK. Consistent with the inhibition of Akt activity, phosphorylation of GSK-3β, a target of the Akt kinase, was reduced. Cyclin D1 and Cdk4 were also reduced, whereas protein levels of the Cdk inhibitor p27 were up-regulated.

Animal experiment [3]:

Animal models

Female Balb/C athymic nude mice injected with BT-474 cells

Dosage form

Oral administration, 200 mg/kg/day

Applications

Mice were randomly allocated to either no treatment, ZD1839, Herceptin, or the combination. ZD1839 completely prevented tumor growth but did not induce complete remissions. Herceptin alone induced complete remission in two of seven, whereas the
combination resulted in three of eight complete responses. No mice exhibited treatment-related toxicity. Three mice treated with ZD1839 plus Herceptin, in which tumors regressed completely, remained tumor free for > 6 months after discontinuation of therapy and had no detectable tumor at necropsy.

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. 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.