Product Data Sheet

Chemical Properties

Product Name: PD0325901
Cas No.: 391210-10-9
M.Wt: 482.19
Formula: C16H14F3IN2O4
Synonyms: PD0325901,PD0325901,PD325901,PD325901,PD325901,PD325901
Chemical Name: N-[(2R)-2,3-dihydroxypropoxy]-3,4-difluoro-2-(2-fluoro-4-iodoanilino)benzamide
Canonical SMILES: C1=CC(=C(C=C1I)F)NC2=C(C(=C2F)F)C(=O)NOCC(CO)O
Solubility: >24.1mg/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: MEK1/2
Pathways: MAPK Signaling >> MEK1/2
Description:

PD0325901 is a specific inhibitor of mitogen-activated protein kinase MEK. PD0325901 is a small molecular with the formula of C16H14F3IN2O4 and Molecular Weight of 482. MEK is a key component of the RAS/RAF/MEK/ERK signaling pathway that is frequently activated in human tumors, and MEK/ERK regulates cell proliferation, survival, and differentiation in response to extracellular signals. PD0325901 effectively reduces P-ERK levels and cell growth in vitro, and
inhibits tumor growth in mouse model in vivo

Reference:
2. Targeting mitogen-activated protein kinase kinase with the inhibitor PD0325901 decreases hepatocellular carcinoma growth in vitro and in mouse model. M Hennig, MT Yip-Schneider, S Wentz, H Wu. Hepatology. 2010

Protocol

Cell experiment:

Cell lines M14 (BRAFV600E) 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 1 μM, 48 hours for cell cycle accumulation ≥100 nM, 72 hours for DNA decrease

Applications PD0325901 caused a dose- and time-dependent cell cycle accumulation at the G1/S boundary and depletion of cells in the S-phase. It also caused a dose- and time-dependent increase in the percentage of cells with sub-G1 DNA content, thus indicating induction of apoptosis. Compared with the kinetics and dose-response curve of cell cycle inhibition, DNA decrease to sub-G1 levels required longer times of exposure (72 hours) and higher concentrations of the drug (≥100 nM).

Animal experiment [3]:

Animal models Female CD-1 nude (nu/nu) mice injected with M14 (BRAFV600E) and ME8959 (wtBRAF) cells

Dosage form Oral administration, 50 mg/kg per day for 21 days

Applications Daily oral treatment of established tumors with 50 mg/kg per day of PD0325901 significantly impaired in vivo tumor growth (60%-65% inhibition compared with controls at the end of a 21-day treatment cycle) in both M14 and ME8959 xenografts. The effects of PD0325901 were reversible, and tumors grew back after treatment interruption.
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 Validation

Inhibition of the PI3K and MEK-ERK pathway enhances cell death in HCT116 cells. HCT116 cells stably transduced with control or PIK3CA inducible shRNA were treated with PD0325901 in the presence or absence of doxycycline. HCT116 cells grown in the presence or absence of doxycycline were treated with PD0325901 for 48 h. Cells were subsequently fixed with formaldehyde and stained with Hoechst 33342 to visualize fragmented nuclei. For each treatment condition, 1,500 cells were counted under a fluorescence microscope.

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.

ApexBio Technology

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