Product Data Sheet

Chemical Properties

Product Name: BEZ235 (NVP-BEZ235)
Cas No.: 915019-65-7
M.Wt: 469.55
Formula: C30H23N5O
Synonyms: N/A
Chemical Name: 2-methyl-2-[4-(3-methyl-2-oxo-8-quinolin-3-yl)imidazo[4,5-c]quinolin-1-yl]phenyl]propanenitrile
Canonical SMILES: CC(C)(C#N)C1=CC=C(C=C1)N2C3=C4C=C(C=CC4=NC=C3N(C2=O)C)C5=CC6=CC=CC=C6N=C5
Solubility: ≥7.8mg/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/Akt/mTOR Signaling
Pathways: PI3K
Description:
BEZ235 is an imidazoquinoline derivative inhibiting both PI3K and mTOR kinases with low nanomolar IC50s. It was well tolerated in preclinical animal studies as well as in clinical trials with manageable gastrointestinal side-effects[1, 2]. It competes with ATP by binding to the ATP-binding site of kinases and reversibly reduces enzyme activity, resulting in growth arrest of tumor cells in G1 phase[1]. Besides the inhibition of cell growth, BEZ235 blocks VEGF-induced
angiogenesis [3]. It may also inhibit DNA-PKcs [4].

BEZ235 has shown potential anti-tumor activity both in vitro and in vivo. It inhibited growth of multiple cancer cell lines independently of mutation status in PI3K pathway [5]. In xenograft mice models, it blocked PI3K signaling and showed antitumor activity [1, 5]. Combination study demonstrated that it enhances the efficacy of temozolomide [1].

Clinical data shows anti-tumor activity of BEZ235 treatment, especially in cancer patients with deregulated PI3K signaling pathway. This compound is currently under investigation in multiple clinical trials either as monotherapy or in combination with other agents.

Reference:

Protocol

Cell experiment:

Cell lines MOLT-4 and CEM-R 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 500 nM, for cell cycle inhibition 200 nM, 16 hours for pRb decrease

Applications Flow cytometric analysis of PI-stained T-ALL cells treated with BEZ235 for 16 hours documented an increase in G0/G1 phase cells and a concomitant decrease in S and G2-M phases in both MOLT-4 and CEM-R cell lines. A decrease in the amount of Ser807/811 pRb was detected in MOLT-4 and CEM-R cells treated with 200 nmol/L NVP-BEZ235 for 16 hours, whereas total pRb levels remained unchanged.
Animal experiment [3]:

Animal models: Female athymic nude-Foxn1nu mice injected with BT474-VH2 cells

Dosage form: Oral administration, 40 mg/kg, once daily for 21 days

Applications: The antitumor activity of BEZ235 was studied in a xenograft model derived from HER2-amplified BT474 breast cancer cells engineered to express either the H1047R hotspot mutation or the empty vector (pBABE). BEZ235 treatment resulted in suppressed tumor growth. The H1047R-overexpressing tumors responded better to the BEZ235 treatment when compared with mock controls.

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.